Use these links to rapidly review the document
TABLE OF CONTENTS
PART IV
INDEX TO CONSOLIDATED FINANCIAL STATEMENTS

Table of Contents

UNITED STATES
SECURITIES AND EXCHANGE COMMISSION
Washington, D.C. 20549

FORM 10-K

(Mark One)

☒ **ANNUAL REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE SECURITIES EXCHANGE ACT OF 1934**

For the fiscal year ended December 31, 2019

Or

o **TRANSITION REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE SECURITIES EXCHANGE ACT OF 1934**

For the transition period from to

Commission file number 001-37990

LEAP THERAPEUTICS, INC.
(Exact name of registrant as specified in its charter)

Delaware	**27-4412575**
State or other jurisdiction of incorporation or organization	(I.R.S. Employer Identification No.)
47 Thorndike Street, Suite B1-1 Cambridge, MA (Address of principal executive offices)	**02141** (Zip Code)

Registrant's telephone number, including area code **(617) 714-0360**

Securities registered pursuant to Section 12(b) of the Act:

Title of each class	**Trading Symbol(s)**	**Name of each exchange on which registered**
Common Stock, par value $0.001	LPTX	Nasdaq Global Market

Securities registered pursuant to Section 12(g) of the Act: **None**

Indicate by check mark if the registrant is a well-known seasoned issuer, as defined in Rule 405 of the Securities Act. o Yes ☒ No

Indicate by check mark if the registrant is not required to file reports pursuant to Section 13 or Section 15(d) of the Act. o Yes ☒ No

Indicate by check mark whether the registrant (1) has filed all reports required to be filed by Section 13 or 15(d) of the Securities Exchange Act of 1934 during the preceding 12 months (or for such shorter period that the registrant was required to file such reports), and (2) has been subject to such filing requirements for the past 90 days. ☒ Yes o No

Indicate by check mark whether the registrant has submitted electronically every Interactive Data File required to be submitted pursuant to Rule 405 of Regulation S-T (§232.405 of this chapter) during the preceding 12 months (or for such shorter period that the registrant was required to submit and files). ☒ Yes o No

Indicate by check mark whether the registrant is a large accelerated filer, an accelerated filer, a non-accelerated filer, a smaller reporting company, or an emerging growth company. See definitions of "large accelerated filer," "accelerated filer", "smaller reporting company" and "emerging growth company" in Rule 12b-2 of the Exchange Act.:

Large accelerated filer o	Accelerated filer o	Non-accelerated filer ☒	Smaller reporting company ☒ Emerging growth company ☒

If an emerging growth company, indicate by check mark if the registrant has elected not to use the extended transition period for complying with any new or revised financial accounting standards provided pursuant to Section 13(a) of the Exchange Act. o

Indicate by check mark whether the registrant is a shell company (as defined in Rule 12b-2 of the Exchange Act). o Yes ☒ No

The aggregate market value of the voting and non-voting common stock held by non-affiliates of the registrant, computed based on the closing price for such stock as reported on the Nasdaq Global Market on June 28, 2019, the last business day of the registrant's most recently completed second quarter, was approximately: $28.2 million.

As of March 11, 2020 there were 35,799,488 outstanding shares of the registrant's common stock, par value $0.001 per share which is the only outstanding capital stock of the registrant.

DOCUMENTS INCORPORATED BY REFERENCE:

Portions of the registrant's definitive proxy statement for its 2020 Annual Meeting of Stockholders, which is expected to be filed with the Securities and Exchange Commission not later than 120 days after the end of the registrant's fiscal year ended December 31, 2019, are incorporated by reference into Part III, Item 10-14 of this Annual Report on Form 10-K. With the exception of the portions of the registrant's definitive proxy statement for its 2020 Annual Meeting of Stockholders that are expressly incorporated by reference into this Annual Report on Form 10-K, such proxy statement shall not be deemed filed as part of this Annual Report on Form 10-K.

TABLE OF CONTENTS

SPECIAL NOTE REGARDING FORWARD-LOOKING STATEMENTS AND INDUSTRY DATA

This Annual Report on Form 10-K contains forward-looking statements within the meaning of Section 27A of the Securities Act of 1933 and Section 21E of the Securities Exchange Act of 1934, which reflect our current views with respect to, among other things, our operations and financial performance. In some cases, you can identify forward-looking statements by terminology such as "anticipate," "believe," "estimate," "expect," "intend," "may," "plan," "predict," "project," "will" or the negative of such terms or other comparable terminology. Forward-looking statements appear in a number of places throughout this Annual Report and include statements regarding our intentions, beliefs, projections, outlook, analyses or current expectations concerning, among other things, our ability and plan to develop and commercialize DKN-01 and TRX518; status, timing and results of pre-clinical studies and clinical trials; the potential benefits of DKN-01 and TRX518; the timing of our development programs and seeking regulatory approval of DKN-01 and TRX518; our ability to obtain and maintain regulatory approval; our estimates of expenses and future revenues and profitability; our estimates regarding our capital requirements and our needs for additional financing; our estimates of the size of the potential markets for DKN-01 and TRX518; our ability to attract collaborators with acceptable development, regulatory and commercial expertise; the benefits to be derived from our agreement with BeiGene, Ltd and any other collaborations, license agreements, and other acquisition efforts, including those relating to the development and commercialization of DKN-01 and TRX518; sources of revenues and anticipated revenues, including contributions from our agreement with BeiGene, Ltd any other collaborations or license agreements for the development and commercialization of products; our ability to create an effective sales and marketing infrastructure if we elect to market and sell DKN-01 and TRX518 directly; the rate and degree of market acceptance of DKN-01 and TRX518; the timing and amount of reimbursement for DKN-01 and TRX518; the success of other competing therapies that may become available; the manufacturing capacity for DKN-01 and TRX518; our intellectual property position; our ability to maintain and protect our intellectual property rights; our results of operations, financial condition, liquidity, prospects, and growth and strategies; the industry in which we operate; and the trends that may affect the industry or us.

By their nature, forward-looking statements involve risks and uncertainties because they relate to events, competitive dynamics and industry change, and depend on the economic circumstances that may or may not occur in the future or may occur on longer or shorter timelines than anticipated. Although we believe that we have a reasonable basis for each forward-looking statement contained in this Annual Report, we caution you that forward-looking statements are not guarantees of future performance and that our actual results of operations, financial condition and liquidity, and the development of the industry in which we operate may differ materially from the forward-looking statements contained in this Annual Report. In addition, even if our results of operations, financial condition and liquidity, and events in the industry in which we operate are consistent with the forward-looking statements contained in this Annual Report, they may not be predictive of results or developments in future periods. You should carefully read this Annual Report and the documents that we have filed as exhibits to this Annual Report completely.

You should refer to Item 1A. Risk Factors in this Annual Report for a discussion of important factors that may cause our actual results to differ materially from those expressed or implied by our forward-looking statements. As a result of these factors, we cannot assure you that the forward-looking statements in this Annual Report will prove to be accurate. Furthermore, if our forward-looking statements prove to be inaccurate, the inaccuracy may be material. In light of the significant uncertainties in these forward-looking statements, you should not regard these statements as a representation or warranty by us or any other person that we will achieve our objectives and plans in any specified timeframe, or at all. Any forward-looking statements that we make in this Annual Report speaks only as of the date of such statement, and, except to the extent required by applicable law, we undertake no obligation to update such statements to reflect events or circumstances after the date of

this Annual Report or to reflect the occurrence of unanticipated events. You should, therefore, not rely on these forward-looking statements as representing our views as of any date subsequent to the date of this Annual Report. Comparisons of results for current and any prior periods are not intended to express any future trends or indications of future performance, unless expressed as such, and should only be viewed as historical data.

DKN-01 and TRX518 are investigational drugs undergoing clinical development and have not been approved by the U.S. Food and Drug Administration (the "FDA"), nor been submitted to the FDA for approval. DKN-01 and TRX518 have not been, and may never be, approved by any regulatory agency or marketed anywhere in the world. Statements contained in this Annual Report should not be deemed to be promotional.

We obtained the industry, market and competitive position data in this Annual Report from our own internal estimates and research as well as from industry and general publications and research surveys and studies conducted by third parties. Industry publications and surveys generally state that the information contained therein has been obtained from sources believed to be reliable. We believe this data is accurate in all material respects as of the date of this Annual Report.

INTRODUCTORY COMMENT

References to Leap

Throughout this Annual Report on Form 10-K, the "Company," "Leap," "Leap Therapeutics," "we," "us," and "our," except where the context requires otherwise, refer to Leap Therapeutics, Inc. and its consolidated subsidiaries, and "our board of directors" refers to the board of directors of Leap Therapeutics, Inc.

PART I

Item 1. BUSINESS

Corporate Information

We were incorporated in the state of Delaware as Dekkun Corporation on January 3, 2011 and changed our name to HealthCare Pharmaceuticals, Inc. effective May 29, 2014, and then to Leap Therapeutics, Inc. effective November 16, 2015. During 2015, HealthCare Pharmaceuticals Pty Ltd ("HCP Australia") was formed and is our wholly owned subsidiary.

On December 10, 2015, we entered into a merger agreement with GITR Inc. ("GITR"), an entity under common control, whereby a wholly owned subsidiary was merged with GITR and the surviving name of the wholly owned subsidiary was GITR Inc.

On August 29, 2016, we entered into a definitive merger agreement with Macrocure Ltd. ("Macrocure"), a publicly held, clinical-stage biotechnology company based in Petach Tikva, Israel, and M-Co Merger Sub Ltd. ("Merger Sub"), a wholly owned subsidiary of the Company which provided for the merger of Macrocure with and into Merger Sub, with Macrocure continuing after the merger as a wholly owned subsidiary of the Company. In connection with the merger, we applied to be listed on the Nasdaq Global Market. Nasdaq approved the listing, and trading in our common stock commencing on January 24, 2017, under the trading symbol "LPTX." On February 1, 2017, Macrocure's name was changed to Leap Therapeutics Ltd.

The mailing address of Leap's principal executive office is 47 Thorndike Street, Suite B1-1, Cambridge, MA 02141. Leap's telephone number is 617-714-0360. Leap's website address is *www.leaptx.com* (the information contained therein or linked thereto shall not be considered incorporated by reference in this Form 10-K).

Overview

We are a biopharmaceutical company developing novel therapies designed to treat patients with cancer by inhibiting fundamental tumor-promoting pathways and by harnessing the immune system to attack cancer cells. Our strategy is to identify, acquire, and develop molecules that will rapidly translate into high impact therapeutics that generate durable clinical benefit and enhanced patient outcomes. Our two clinical stage programs are:

- *DKN-01:* A monoclonal antibody that inhibits Dickkopf-related protein 1, or DKK1. DKK1 is a protein that regulates the Wnt signaling pathways and enables tumor cells to profilerate and spread, as well as suppresses the immune system from attacking the tumor. When DKN-01 binds to DKK1, an anti-tumor effect can be generated. DKN-01-based therapies have generated responses and clinical benefit in several patient populations. We are currently studying DKN-01 in multiple ongoing clinical trials in patients with esophagogastric cancer, hepatobiliary cancer, gynecologic cancers, or prostate cancer. In January 2020, we entered into an Option and License Agreement with BeiGene, Ltd., or BeiGene, which granted BeiGene the right to develop and commercialize DKN-01 in Asia (excluding Japan), Australia, and New Zealand.

- *TRX518:* A monoclonal antibody targeting the glucocorticoid-induced tumor necrosis factor-related receptor, or GITR. GITR is a receptor found on the surface of a wide range of immune cells. GITR stimulation activates tumor fighting white blood cells and decrease the activity of potentially tumor-protective immunosuppressive cells. TRX518 has been specifically engineered to enhance the immune system's anti-tumor response by activating GITR signaling without causing the immune cells to be destroyed. We have conducted clinical trials of TRX518 in patients with advanced solid tumors in combination with gemcitabine chemotherapy or with

cancer immunotherapies known as PD-1 antagonists. In November 2019, we announced that we have deprioritized continued development of TRX518.

We intend to apply our extensive experience identifying and developing transformational products to aggressively develop a pipeline of programs that have the potential to change the practice of cancer medicine.

Market

Cancer is the general name for a group of more than 100 diseases in which cells grow and divide out of control. Over 14 million people in the United States have cancer. The National Cancer Institute, or NCI, estimated that approximately 1.7 million people developed cancer and that nearly 610,000 people died of cancer in 2018. While progress has been made from the War on Cancer to the Human Genome Project, and despite advances in early detection and new cancer cell targeted treatments, cancer generally remains an incurable disease.

Esophagogastric Cancer (EGC)

Esophageal cancer, or EC, and gastric cancer, or GC, are malignancies of the digestive tract. The American Cancer Society, or ACS, estimates that there are about 18,000 new patients diagnosed in the United States with EC and 28,000 new patients with GC each year. The World Cancer Research Fund estimates that there are over 450,000 EC patients and 950,000 GC patients diagnosed each year worldwide. EC patients have difficulty swallowing and often have pain while swallowing. Substantial weight loss can result from reduced appetite, poor nutrition and having an active cancer. Pain may be severe, occur almost daily, and be worsened by swallowing any form of food. The disruption of normal swallowing can lead to aspiration of food content, nausea, vomiting and an increased risk of pneumonia. The tumor itself may be irritable and bleed, which can either cause spitting up with blood or blood in the bowels. Compression of local structures in the esophagus occurs in advanced disease, leading to problems such as upper airway obstruction. Many people diagnosed with EGC have late-stage disease, because people usually do not have significant symptoms until the tumor is fairly large. In advanced stages, the cancer frequently spreads into the liver or lungs. EC and GC patients have few treatment options, and patients have a 5-year survival rate of 18.8% and 30.6%, respectively. The frequently-used therapies in patients who have not had many previous courses of treatment have low objective response rates, defined as patients with a greater than 30% reduction in tumor volume as determined by the Response Evaluation Criteria in Solid Tumors v1.1, known as RECIST. Published data has demonstrated that paclitaxel monotherapy generated a response rate of 6.7% in second-line EC patients and 16% in second-line GC patients. Studies have also demonstrated that PD-1 antibody monotherapy generated a response rate of 9% in GC patients who have tumors that are not microsatellite instability high.

Gynecologic Cancers

There are numerous forms of gynecologic cancers, but two of the most prevalent types are cancers of the uterus or ovaries. According to the NCI, there are more than 61,000 patients diagnosed with uterine cancer and 22,000 patients diagnosed with ovarian cancer each year in the United States. There are currently very few treatment options for these patients, typically consisting of chemotherapy, local radiation therapy, and hormonal agents, and poor treatment outcomes. Patients with endometrioid cancers have a high frequency of mutations in a protein known as b-catenin, with alterations estimated at approximately 30% of cases according to The Cancer Genome Atlas. These b-catenin mutations are often driver mutations leading to rapid disease progression and poor outcomes.

Prostate Cancer

Prostate cancer is one of the most common types of cancer in men. There are several types of prostate cancer, but the vast majority are adenocarcinomas that arise from the gland cells that produce prostate fluid as part of the male reproductive system. According to the American Cancer Society, about 175,000 cases are diagnosed each year in the United States. Treatment options include the surgical removal of the prostate, radiation, as well as hormonal agents; many of which can result in poor side effects, such as urinary incontinence and erectile dysfunction. Most prostate cancer tumors eventually become resistant to hormonal treatments. As this stage, which is referred to as metastatic castration-resistant prostate cancer, or mCRPC, chemotherapies, usually taxanes, offer the next line of treatment offering objective response rates of 30% or less. After progressing through taxanes, the next line of agents are cabazitaxel or Radium-223, both of which are associated with significant toxicity. Androgen-receptor negative, non-neuroendocrine, or double negative, mCRPC is a particularly difficult-to-treat subtype that comes with a worsened prognosis. Research has shown that, in this double negative subtype, DKK1 is specifically upregulated and patients with higher DKK1 levels have a loss of certain immune system cells that could target the cancer.

Biliary Tract Cancer

Biliary tract cancer is a cancer that starts in the bile duct, a thin tube about 4 to 5 inches long that reaches from the liver to the small intestine. The major function of the bile duct is to move a fluid called bile from the liver and gallbladder to the small intestine, where it helps digest the fats in food. The Cholangiocarcinoma Foundation estimates that approximately 6,000 patients will be diagnosed with biliary tract cancer in the United States each year, with publications estimating that nearly 200,000 patients are diagnosed worldwide each year. The majority of biliary tract cancer cases are diagnosed with advanced stage disease with a 5-year survival rate of less than 10%. The standard treatment option for advanced patients is systemic chemotherapy and supportive care. Published data demonstrated that gemcitabine and cisplatin combination chemotherapy in patients with advanced biliary tract cancer generated a clinical benefit rate, representing patients with either an objective response or stable disease as determined by RECIST of 68.3% to 81.4%, median progression-free survival of 6 to 8 months, and median overall survival of 11.2 to 11.7 months.

Hepatocellular Carcinoma

Hepatocellullar carcinoma, or HCC, is the major form of liver cancer. The NCI estimates there will be approximately 40,000 cases of liver cancer in the U.S. this year with nearly 30,000 deaths. According to the American Cancer Society, more than 700,000 people are diagnosed with liver cancer each year throughout the world, accounting for more than 600,000 deaths each year. Few effective treatment options for HCC patients exist and multityrosine-kinase inhibitors have a survival benefit of only 3 months. HCC has a high frequency of activated Wnt/b-catenin signaling alterations estimated at 11-37% per The Cancer Genome Atlas and are associated with poor outcomes.

Cancer Therapies and New Targets

Older, established cancer therapies, or chemotherapies, target rapidly dividing cells. While chemotherapies can attack and kill cancer cells, these drugs also attack and destroy rapidly dividing non-cancer normal cells and, unfortunately, are associated with unwanted side effects. Even though outcomes can often be improved by giving a cancer patient two or more chemotherapies in combination, physicians and patients desire new drugs with greater efficacy and fewer side effects. Recently, a revolution in the understanding of cancer biology has generated compelling new anti-cancer

targets that are based on fundamental mechanisms used by cancer cells to grow, spread, and survive, which are:

- cell signaling pathways that promote tumor growth, and
- evading detection and avoiding destruction by the immune system.

Cancer Cell Signaling

Cancer cells often hijack proteins that are involved in cell signaling pathways, the complex communication system that governs basic cellular functions and activities, such as cell division, cell movement, cell responses to specific stimuli, and even cell death. By blocking signals that tell cancer cells to grow and divide uncontrollably, to generate new blood vessels, a process referred to as angiogenesis, or to spread to other parts of the body, a process referred to as metastasis, a new generation of cancer therapies is seeking to help stop cancer progression, which could lead to cancer cell death. By focusing on cellular signaling pathways and molecules that are used by cancer cells, these targeted cancer therapies may be more effective than other types of treatment, including chemotherapy, and less harmful to normal cells. Several small molecule and monoclonal antibodies that target cell signaling pathways have been approved by the FDA as cancer therapies for specific patient populations.

Cancer Immunotherapy

The immune system has evolved a dynamic ability to identify and attack cells which pose a danger to the body. Often these dangerous cells are foreign, or non-self, cells, but a person's own cells can become a danger, such as in cancer. Ideally, the immune system identifies cancer cells as dangerous and removes them before they can grow into tumors. However, cancer cells can evade or suppress the body's natural immune response by secreting anti-inflammatory molecules and by using receptors on the cell membrane of either immune system cells or cancer cells known as immune checkpoints. Cancer therapies known as checkpoint inhibitors, such as nivolumab, pembrolizumab, atezolizumab, avelumab, and tislelizumab, are designed to block checkpoint receptors, such as Programmed Cell Death protein-1, or PD-1, or its ligand, PD-L1, and prevent the cancer cell from evading the natural immune response, thus enabling the immune system to mount an attack on the tumor. While there are several FDA-approved checkpoint inhibitors, there is a consensus in the scientific and medical communities that there remains room for improvement in response rate and efficacy. In many cases, the lack of efficacy has been attributed to an insufficient immune response.

Our Approach

Our approach to treating cancer patients seeks to enhance the effectiveness of approved chemotherapies and immune checkpoint inhibitors by:

- altering cell signaling pathways that promote tumor growth and spreading;
- stimulating the immune cells that could attack the tumor; and
- inhibiting immune suppression that would prevent an attack on the tumor.

Altering cell signaling. An important set of signaling pathways in cancer cells are known as the canonical and non-canonical Wnt pathways. DKK1 serves as one of the inhibitors of the canonical Wnt signaling pathway and modulates the non-canonical Wnt signaling pathways. Changes in these Wnt pathways can lead to the expression of several cancer-causing genes and factors associated with cell growth, angiogenesis, and metastasis. We believe that a monoclonal antibody that reduces free DKK1 could shift canonical and non-canonical signaling to healthy levels, thereby resulting in a direct anti-tumor effect as well as a local anti-angiogenic effect in the diseased tissue. These mechanisms

could enhance or complement the anti-tumor mechanisms used by chemotherapies or other therapies targeted at different cell signaling pathways.

Stimulating anti-tumor immune cells. A potential way to enhance an immune response against a tumor is by activating tumor-attacking immune cells directly through specific receptors, such as GITR, known as costimulatory receptors. Monoclonal antibodies that stimulate immune cells through these costimulatory receptors are referred to as agonist antibodies and are designed to induce or augment an immune response that may have been insufficient, suppressed, or non-existent. This strategy is expected to overcome mechanisms that would prevent these immune cells from attacking a tumor. Agonist antibodies that costimulate the immune system have the potential to be combined with chemotherapy or checkpoint inhibitors to generate a more robust anti-tumor immune response.

Inhibiting immune suppression. The human immune system has the ability to recognize and protect its own cells and tissues. Certain kinds of white blood cells, such as T regulatory cells and myeloid-derived suppressor cells, serve to prevent other cells from attacking the body. In the case of cancer, these cells may fail to recognize the danger posed by the tumor and suppress the activity of potentially tumor-fighting white blood cells. We believe that using a monoclonal antibody to signal through GITR could inhibit the immunosuppressive activities of T regulatory cells. In addition, cancer cells promote these suppressor cells by producing anti-inflammatory molecules, such as DKK1. We believe that monoclonal antibodies that reduce the levels of anti-inflammatory molecules, such as DKK1, in the tumor microenvironment could result in the inhibition of immune suppressor cells and create a pro-inflammatory environment to enhance the immune system activity against the tumor.

By targeting novel pathways and immune cell types, our therapies are designed to combine with existing drugs and have the potential to significantly increase the survival and quality of life of cancer patients.

Our Products, Clinical Programs and Pipeline

DKN-01

Dickkopf-related protein 1, or DKK1, is a cell secreted protein that research has found plays a crucial role in embryonic development. DKK1 binds to specific cell surface receptors and affects the signaling of key cellular pathways, known as the canonical and non-canonical Wnt signaling pathways. DKK1 serves as one of the inhibitors of the canonical Wnt signaling pathway and modulates the non-canonical Wnt signaling pathways. Changes in these pathways can lead to the expression of several cancer-causing genes and factors associated with cell growth, angiogenesis, and metastasis. DKK1 also has a role in suppressing the immune system from effectively targeting and clearing the cancer.

Published data indicates that DKK1 expression levels are significantly higher in many cancers, including esophagogastric cancer, or EGC, biliary tract cancer, and non-small cell lung cancer, or NSCLC. In addition, elevated DKK1 expression is associated with worse overall survival for patients with EGC, biliary tract cancer, and NSCLC. Researchers have shown that when the DKK1 protein is added in certain animal models, the cancer grows larger.

Recent publications have also demonstrated a role for DKK1 in maintaining an environment around a tumor that suppresses the immune system's ability to clear the tumor and to prevent metastasis. DKK1 has been shown to activate the suppressive effects of myeloid-derived suppressor cells, or MDSC, a type of white blood cell that can potently block other immune system cells. Other published data has shown that metastatic tumor cells with stem cell-like features avoid the immune system by overexpressing DKK1 and secreting it out of the cell. Secreted DKK1 can then down-regulate certain molecules on tumor cells known as natural killer cell activating ligands, or NK cell ligands, that would activate the immune system, causing these cancer cells to remain invisible to

NK cells and evade the immune system. Through these multiple activities, research has shown that DKK1 helps protect the cancer cells from being targeted by the immune system.

Preclinical studies that we and others have conducted demonstrated that using an anti-DKK1 antibody led to clinical benefits in xenograft cancer models. The anti-DKK1 antibody is believed to shift canonical and non-canonical Wnt signaling to healthy levels, thereby resulting in a direct anti-tumor effect as well as a local anti-angiogenic effect in the diseased tissue. In these models, researchers demonstrated that an anti-DKK1 antibody allowed the immune system to recognize and attack the cancer cells. We believe that the more selective and local the activity is to the tumor, the more likely a drug will be safe and well tolerated and a potential combination partner to other anti-cancer drugs.

DKN-01 is a high affinity, neutralizing monoclonal antibody targeting DKK1. We have shown that DKN-01 reduces free DKK1 levels and has demonstrated an anti-tumor effect in preclinical models.

First-in-human study

Our first-in-human study of DKN-01 was a single ascending dose Phase 1 trial in patients with low bone density. DKN-01 was administered by intravenous infusion at doses from 7 mg to 300 mg and as a subcutaneous injection at a dose of 44 mg. Eight subjects were treated per cohort, five of whom received DKN-01 and three of whom received placebo, for a total of 48 subjects in six cohorts. There were no clinically significant safety signals observed with increasing doses of DKN-01, and all reported adverse events were mild in severity.

P100—Advanced Solid Tumors or Multiple Myeloma Study

We conducted study P100, a two-part dose-finding Phase 1 study, to establish the safety, maximum tolerated dose, and antitumor activity of DKN-01 as a monotherapy for patients with advanced malignancies. Other endpoints were progression free survival, or PFS, overall response rate, or ORR, and overall survival, or OS. Part A of the study was a dose escalation designed to evaluate increasing doses of DKN-01 between 75 mg and 600 mg administered weekly or biweekly in a 28 day cycle. Part B of the study was an expansion cohort designed to evaluate the activity of DKN-01 as a single agent in patients with advanced NSCLC. For Part B, DKN-01 was administered to refractory NSCLC patients at 300 mg on days 1 and 15 of each 28 day cycle.

We enrolled thirty-two patients in Parts A and B, twenty-four of whom were patients with NSCLC. DKN-01 was well tolerated with no dose limiting toxicities, or DLTs, or serious adverse events, or SAEs, that were deemed by the physician to be related to DKN-01 treatment or treatment-emergent adverse events or TEAEs, which lead to study discontinuation. All of the treatment-related adverse events were Grade 1 or Grade 2, the two lowest severity levels. TEAEs were generally those typically observed in cancer patients; and the most frequently reported treatment-related TEAEs were fatigue (25%) and nausea (9.4%).

DKN-01 as a single agent demonstrated clinical activity in patients with refractory NSCLC, with a clinical benefit rate of 45.9%, including one NSCLC patient (4.2%) with more than a 30% reduction in the size of their tumor, referred to as a partial response or PR. In the Part B group of NSCLC patients who were dosed at a level of 300 mg every two weeks, the clinical benefit rate was 47.4%, including the patient with the PR (5.3%). Median PFS in the evaluable Part B NSCLC patients was 2.2 months and median OS was 6.6 months.

P102—Esophagogastric Cancer (EGC)

We are conducting study P102, a multi-part Phase 1/2 study of DKN-01 as a monotherapy and in combination with paclitaxel or KEYTRUDA® (pembrolizumab) in advanced EGC patients, all of whom

have had previous treatment with standard therapies. Many of these subjects have had multiple lines of prior therapy and/or rapidly growing tumors, representing a difficult to treat population. The study is intended to establish the safety and activity of DKN-01 as a monotherapy and in combination with paclitaxel or pembrolizumab and has the secondary endpoints of ORR, PFS, and OS.

Monotherapy

Two DKN-01 monotherapy patients in the sub-study experienced PRs by central imaging analysis. A patient who had previously been treated with prior immunotherapies, including an anti-PD-L1 antibody and an inhibitor of IDO, achieved a PR and was on therapy for over one year, and an additional esophageal cancer patient experienced a single agent PR. Six additional patients of the twenty evaluable for central imaging assessment were determined to have had a best response of stable disease, or SD.

Paclitaxel Combination

In total fifty-eight patients were treated with DKN-01 in combination with paclitaxel chemotherapy, with fifty-two patients evaluable for response. Across all lines of prior therapy and tumor types, DKN-01 plus paclitaxel generated a 25.0% ORR, 13.4 weeks PFS, and 27.9 weeks OS. The combination of DKN-01 plus paclitaxel generated a 46.7% ORR, 19.6 weeks PFS, and 61.1 weeks OS in fifteen evaluable patients as a second-line therapy.

One of our goals is to identify biomarkers or genetic alterations that could define a patient population more likely to respond to treatment with DKN-01. In this study, four patients evaluated with genetic testing on pre-treatment biopsies were found to have activating/stabilizing mutations of beta-catenin, which is a molecule in the Wnt signaling pathway implicated in oncogenesis, metastasis, and immune suppression. Of these four patients, two achieved PRs and one had prolonged SD. One patient had a response exceeding 2.5 years, of which over 1.5 years was on DKN-01 monotherapy with continued tumor reduction.

Pembrolizumab combination

Sixty-three patients were treated with DKN-01 plus pembrolizumab combination therapy. Fifty-three patients had not received prior PD-1/PD-L1 therapy, and ten patients were refractory to PD-1/PD-L1 therapy. All of the patients enrolled had tumors that were microsatellite stable or unknown. Patients in the study were heavily pretreated having had received one to five prior lines of therapy, with nearly 64% having received a prior taxane regimen, 37% having received prior ramucirumab, and 24% having received prior trastuzumab. The combination therapy was well tolerated with no new safety signals.

The combination of DKN-01 and pembrolizumab in gastroesophageal junction and gastric cancer patients demonstrated improved outcomes in patients whose tumors expressed high levels of DKK1 as measured by in situ hybridization RNAscope, or DKK1-high, and who had not previously been treated with PD-1/PD-L1 therapy. DKK1-high patients experienced over 22 weeks median PFS and nearly 32 weeks OS, with a 50% ORR and 80% DCR in ten evaluable patients. Patients whose tumors expressed low levels of DKK1, or DKK1-low, experienced nearly 6 weeks median PFS and over 17 weeks OS, with a 20% DCR in fifteen evaluable patients.

PD-L1 Combined Positive Scores, or CPS, did not predict efficacy on the combination of DKN-01 plus pembrolizumab. In multi-variate analysis, DKK1-high status correlated with longer PFS independent of PD-L1 CPS scores. One-third of patients in the study were DKK1-high.

Among the six GEJ/GC patients who were refractory to PD-1/PD-L1 therapy, three DKK1-high patients had a best response of SD, whereas the three patients with DKK1-low tumors had progressive disease.

Tislelizumab combination

As part of the collaboration with BeiGene, we intend to study the combination of DKN-01 and BeiGene's anti-PD-1 antibody, tislelizumab. We plans to evaluate approximately forty patients with second-line gastric cancer or gastroesophageal junction cancer whose tumors are DKK1-high. In addition, we will evaluate the combination of DKN-01 with tislelizumab and chemotherapy in approximately twenty patients with first-line GC/GEJ. We currently expect to initiate this clinical trials in the first half of 2020.

DKN-01-based therapies in EGC appear to be well tolerated. There have been no new emerging safety concerns observed. The majority of adverse events have been Grade 1 and 2 in severity.

P204—Gynecologic Malignancies

We have initiated study P204, a Phase 2 basket study of DKN-01 as a monotherapy and in combination with paclitaxel in patients with advanced epithelial endometrioid cancer, or EEC, epithelial ovarian cancer, or EOC, and carcinosarcoma. The study consists of six dosing groups and enrolled 111 patients. The primary objective in each independent study group is to determine the ORR. Secondary objectives are to determine additional measurements of efficacy, such as OS and PFS, and to evaluate the safety of the study treatment regimen. The study is designed to enroll at least 50% of patients whose tumors have predefined activating mutations or signaling alterations in the Wnt pathway.

As of September 17, 2019, twenty-two EEC patients, who had previously received one to ten lines of therapy had been enrolled in on DKN-01 monotherapy. In the cohort of sixteen evaluable monotherapy EEC patients with identified Wnt signaling mutations, patients had higher response rates and demonstrated longer PFS as compared to patients without Wnt signaling mutations. Specifically, one patient had a complete response and one patient had a partial response, seven patients had a best response of stable disease, and seven patients had progressive disease. In the six evaluable monotherapy EEC patients who did not have any identified Wnt signaling mutations, none had clinical benefit.

Across the study, patients with Wnt activating mutations have demonstrated a longer PFS (n=21, 175 days) as compared to patients without Wnt activating mutations (n=67, 63 days). The benefit observed in patients with Wnt activating mutations was statistically maintained regardless of treatment type (monotherapy or combination therapy) and cancer type (endometrial or ovarian cancer). Median OS had not yet been reached for the patients with Wnt activating mutations as compared to 321 days OS for patients without Wnt activating mutations.

As of September 17, 2019, DKK1 expression as measured by RNAscope assay was available for fifty-four of the patients on the study, and thirteen patients (24.1%) were identified as DKK1-high tumoral expression. Similar to the results from our study in patients with EGC, patients whose tumors are DKK1-high have prolonged PFS (n=13, 168 days) as compared to patients with tumors that are DKK1-low (n=41, 63 days). The benefit observed in patients with DKK1-high tumors was statistically maintained regardless of monotherapy or combination therapy treatment and cancer type (endometrial or ovarian cancer). Median OS had not been reached for the DKK1-high patients as compared to 365 days for the patients who are DKK1-low.

Enrollment has recently completed in the carcinosarcoma groups.

P103—Biliary Tract Cancer

We have conducted study P103, a two-part Phase 1/2 study of DKN-01 in combination with gemcitabine and cisplatin in patients with advanced biliary tract cancer. The study enrolled fifty-one patients. Seven patients received one of two dose levels (150 mg or 300 mg) of DKN-01 in combination with gemcitabine and cisplatin during Part A, with forty-four additional patients treated at the 300 mg dose level of DKN-01 in the Part B expansion cohort. Forty-two patients were chemotherapy treatment-naïve, and nine patients had received 1-2 prior therapies. The primary objective of this study was to evaluate the safety, pharmacokinetics, and efficacy of DKN-01 in combination with gemcitabine and cisplatin.

DKN-01 in combination with gemcitabine and cisplatin was well tolerated with no new emerging safety trends. Forty-seven patients overall were treated at the 300 mg DKN-01 dose level, and their median OS was 53.7 weeks (12.4 months). Median PFS was 37.7 weeks (8.7 months). Ten patients (21.3%) had a PR and thirty-one patients (66.0%) experienced a best response of SD, representing a DCR of 87.2%. Two patients (4.3%) had progressive disease, and four patients (8.5%) were non-evaluable for response. The one-year probability of overall survival was 0.51, and the six-month probability of progression free survival was 0.58. The median number of cycles of DKN-01 was seven (range of 1 to 23), and the median duration on study was 331 days.

Investigator-Initiated and Collaborative Group Studies

As part of our strategy to advance the development of DKN-01 in a cost-effective manner and on a global basis, we work with key opinion leaders and groups to initiate and conduct clinical trials in targeted patient populations and in combination with other therapies. We currently have established relationships for four investigator-initiated studies:

NYU—Prostate Cancer

An investigator-initiated study led by David R. Wise, M.D., Ph.D. of the Perlmutter Cancer Center at NYU Langone Health is evaluating DKN-01 as a monotherapy and in combination with docetaxel in patients with advanced prostate cancer. This clinical trial is specifically targeting a biomarker-selected patient population in metastatic castration-resistant prostate cancer, or mCRPC, with elevated DKK1 levels. mCRPC patients who have progressed on one or more androgen receptor therapies will be screened for DKK1 expression or Wnt activation. Up to 97 patients will be enrolled in a dose-escalation and then dose expansion cohorts. DKK1—positive, or DKK1+, mCRPC patients who have not received prior taxane chemotherapies will be treated with DKN-01 and docetaxel. DKN-01 will be given as a monotherapy to DKK1+ mCRPC patients who have progressed on or refused docetaxel treatment.

DIAL-1—Hepatocellular Carcinoma

An investigator-initiated study of DKN-01 as a monotherapy and in combination with sorafenib is being conducted in patients with hepatocellular carcinoma, a type of liver cancer that has a high percentage of patients with Wnt pathway alterations. The study is led by principal investigators Markus Moehler, M.D., Ph.D, Professor of Gastrointestinal Oncology, and Jens Marquardt, M.D., Lichtenberg Professor for Molecular Hepatocarcinogenesis, Johannes-Gutenberg University in Mainz, Germany. In order to be eligible for this study, patients will be required to have documented activation of the Wnt pathway in tumor tissue through a predefined biomarker assay. The study includes dose escalation and dose expansion cohorts, evaluating a range of dose levels of DKN-01.

Biliary Tract Cancer

An investigator-initiated clinical study to evaluate DKN-01 in combination with Bristol-Myers Squibb's OPDIVO® (nivolumab) is being conducted in previously treated patients with advanced biliary tract cancer. Massachusetts General Hospital will enroll up to 36 biliary tract cancer patients who have progressed after one or more lines of systemic therapy for advanced biliary tract cancer. The primary endpoint of the study will be ORR, to be assessed in the overall population as well as in subgroups stratified by tumor DKK1 and PD-L1 expression. Bristol-Myers Squibb is providing nivolumab drug supply and partial funding for the study, and we are providing DKN-01 drug supply as well as additional partial funding.

WAKING—Esophagogastic Cancer

The Royal Marsden Hospital in the United Kingdom is planning to conduct a study that will evaluate DKN-01 in combination with Roche's Tecentriq® (atezolizumab) in patients with esophagogastric cancer. Roche is providing atezolizumab drug supply and funding the study as part of its imCORE network.

TRX518

The human immune system has the ability to adapt to and attack foreign cells, or non-self cells, and in doing so it recognizes danger with the goal of protecting the body from harm. It has been well established that cancer cells develop mechanisms to suppress the body's natural immune response and evade destruction by immune cells. Activating signals to augment an immune response in cancer, or costimulation, is a strategy that is being explored by using agonist antibodies targeting activating receptors on immune cells. This strategy is expected to overcome suppressive mechanisms that would prevent these immune system cells from attacking a tumor. Agonist antibodies that costimulate the immune system have the potential to be combined with established therapies such as chemotherapy or checkpoint inhibitors to enable the immune system to yield a robust anti-tumor immune response.

We believe glucocorticoid-induced tumor necrosis factor-related receptor, or GITR, is an ideal target for costimulation as it is an activating receptor present on a wide range of naive and activated immune system cells, including CD4+ and CD8+ T effector cells, T regulatory cells, natural killer cells, granulocytes, mast cells and monocytes/macrophages. The expression and activation of GITR has been shown to enhance an antigen-specific inflammatory response. Preclinical studies demonstrated that GITR activation led to robust clinical benefits in multiple animal models. GITR agonist antibodies have been found to be effective in combination with chemotherapies, checkpoint inhibitors, and vaccines in various preclinical cancer and vaccine models.

TRX518 is a high affinity GITR agonist monoclonal antibody that binds to GITR and generates a signal in the target cell. We expect TRX518 binding to GITR to generate a sufficient signal to enhance the activity of anti-tumor immune system cells and impede the activity of immune system cells that protect the tumor. TRX518 was specifically engineered with a modification in its amino acid backbone sequence, or Fc region, to prevent binding to certain complementary receptors on other immune system cells, or Fc receptors, that would lead to the killing of GITR-expressing cells. We believe that depleting GITR-expressing cells would be harmful in that it would limit efficacy and create a theoretical risk of breakthrough autoimmune disease. Our goal in designing TRX518 was to optimize the efficacy and safety profile of the antibody, as our preclinical studies confirmed activity without Fc receptor binding and demonstrated comparable efficacy to Fc receptor binding intact antibodies.

In a recent publication, an Fc inactive GITR agonist antibody was evaluated against an Fc intact GITR agonist antibody, alone and in combination with radiation, in a model of murine glioblastoma. The results demonstrated that the Fc inactive GITR agonist antibody was effective in the model in combination with radiation, whereas the Fc active GITR agonist was not effective, either alone or in

combination with radiation. We believe that the removal of Fc function represents an advantage to our GITR agonist antibody and a differentiator from other competing GITR agonist antibodies.

001—Single Ascending Dose Monotherapy

We conducted study 001, a Phase 1 study of TRX518 as a monotherapy in adults with refractory solid tumors, initially to evaluate the safety of increasing single doses between 0.0001 mg/kg and 8.0 mg/kg and subsequently in later study parts to explore multi-dose therapy at 2 week intervals at doses between 0.25 mg/kg to 1 mg/kg. Exploratory objectives include evaluating for immune system responses to tumor antigens and demonstrating evidence of biological activity.

In the single-dose study, no maximum tolerated dose was reached for the single administration of TRX518, with few related treatment-emergent adverse events, all Grade 1 and 2, and no reported autoimmune events. There were signs of immune system activation and biological activity in some patients, including evidence of T regulatory cell modulation in the blood and in tumors.

003—Multiple Dose Study

We conducted study 003, a multi-part, multiple dose Phase 1 study of TRX518 as a monotherapy or in combination with gemcitabine chemotherapy, Keytruda (pembrolizumab), or Opdivo (nivolumab) in adults with advanced solid tumors.

Monotherapy

Part A of the study evaluated the safety of escalating doses of TRX518 between 1.0 mg/kg and 4.0 mg/kg. The initial three cohorts were administered as weekly doses to the patient over a three week cycle. Additional cohorts evaluated the strategy of using a larger initial dose and lower subsequent doses once every three weeks to patients. Part B was an expansion cohort of up to twenty patients using the preferred dosing strategy identified during Part A. Additional objectives include evaluating for objective responses, survival, and demonstrating evidence of immune system activity.

A non-virally mediated hepatocellular cancer patient, who remained on single agent TRX518 for two years, achieved a PR with 47% tumor reduction and continues on study. Multiple patients had reductions in tumor burden, and signs of pharmacodynamic activity were observed.

Gemcitabine combination

Part C of the study treated patients with the combination of TRX518 plus gemcitabine in dose escalation and dose confirmation cohorts and was designed to evaluate the safety, pharmacokinetics/pharmacodynamics, and efficacy of the combination. Thirty patients who had received one to nine prior therapies were enrolled, including fourteen patients with pancreatic cancer, five with biliary tract cancer, and eleven with other cancers including ovarian, appendiceal, and mesothelioma. A pancreatic cancer patient, who had previously progressed on gemcitabine therapy and two other prior lines of therapy, has experienced a PR with 58% tumor reduction. Additional reductions in tumor burden and durable clinical benefit have been noted in appendiceal cancer, mesothelioma, and two in ovarian cancer.

Pembrolizumab and Nivolumab combination

Parts D and E of the study evaluated TRX518 in combination with the anti-PD-1 antibody therapies Keytruda (pembrolizumab) or Opdivo (nivolumab). The combination arms included both dose escalation and dose confirmation cohorts and evaluated the safety, pharmacokinetics/pharmacodynamics, and efficacy of the combinations. The study enrolled patients who had received treatment with pembrolizumab or nivolumab for ³ 4 months with a best response of stable disease or

patients who were not currently taking pembrolizumab or nivolumab, but for whom treatment is clinically appropriate.

An esophageal squamous cell carcinoma patient has a confirmed complete response while on TRX518 in combination with pembrolizumab, which remains ongoing for nearly two years. A patient with urothelial carcinoma who had failed prior pembrolizumab therapy had a confirmed partial response while on the combination of TRX518 and nivolumab and remained on therapy for six months.

004—Avelumab combination

We initiated study 004, a trial of TRX518, in combination with Pfizer's Bavencio (avelumab), an anti-PD-L1 monoclonal antibody, and cyclophosphamide chemotherapy. We completed enrollment in dose escalation phase of the clinical trial evaluating TRX518 in combination with cyclophosphamide chemotherapy and avelumab. However, instead of pursuing additional enrollment through the expansion cohorts in this study as initially planned, we decided to reprioritize resources on the further development of the DKN-01 program. There were no safety or efficacy concerns leading to the decision, and patients who are benefitting from the combination therapy continue to be treated in the study.

Intellectual Property

We strive to protect and enhance the proprietary technology, inventions and improvements that are commercially important to our business, including seeking, maintaining and defending patent rights. We also rely on confidential know-how that may be important to the development of our business. We protect our confidential know-how as trade secrets and through confidentiality agreements and invention assignment agreements with our employees, consultants, scientific advisors and others. We additionally expect to rely on regulatory protection afforded through data exclusivity as well as patent term extensions, where available.

Our commercial success may depend in part on our ability to obtain and maintain patent and other proprietary protection for commercially important technology, inventions and know-how related to our business; to defend and enforce our patents; to preserve the confidentiality of our know-how and trade secrets; and to operate without infringing the valid and enforceable patents and proprietary rights of third parties.

Our ability to prevent third parties from making, using, selling, offering to sell or importing competing products to ours, including a competitor to either of DKN-01 or TRX518, depends on the validity, enforceability and/or scope of our patents. We have several patents and patent applications relating to each of DKN-01 and TRX518 and their therapeutic uses, and possess substantial know-how relating to the development and commercialization of DKN-01 and TRX518. We cannot be sure that any of our pending patent applications or future patent filings will lead to the issuance of new patents, nor can we be sure that any of our existing patents or any patents that may be granted to us in the future will be adequate to protect our market.

We plan on pursuing in-licensing opportunities to develop, strengthen and maintain our proprietary position in our field. We expect to use trademark protection for our products as they are marketed.

Patents

We exclusively license from Eli Lilly and Company, or Lilly, rights under 23 issued patents and 4 pending patent applications, all of which belong to the same patent family. The patents and applications in this patent family are directed to the composition of matter and use of DKN-01, and include (i) one issued U.S. Patent, (ii) issued patents in the following jurisdictions: Argentina, Australia, Canada, China, Eurasia, Europe, Gulf Cooperation Council, India, Israel, Japan, Lebanon, Macao,

Mexico, New Zealand, Pakistan, Singapore, South Africa, Taiwan, Ukraine, Hong Kong and South Korea and (iii) pending applications in the following jurisdictions: Argentina, Brazil, Europe, Venezuela and Thailand. The base 20-year term for patents in this family would expire in 2030. The U.S. patent will expire 87 days after the base term due to patent term adjustment. Patent term extensions for delays in marketing approval may also extend the terms of patents in this family.

We own pending applications directed to the use of a biomarker in patients receiving DKN-01 therapy in the following jurisdictions: Australia, Brazil, Canada, China, Europe, India, Israel, Japan, Korea, Mexico, New Zealand, Russia, Singapore and the United States. Any patents that may issue in the United States based on the pending U.S. Application will expire in 2037, absent any terminal disclaimer, patent term adjustment due to administrative delays by the USPTO or patent term extension under the Hatch-Waxman Act, and provided that all required maintenance fee payments are timely paid. Any patents that may issue in foreign jurisdictions will likewise expire in 2037, provided that all required annuities are timely paid. We also own two U.S. Provisional patent applications directed to treatment of cancer using DKN-01 in specific subpopulations of patients. In the first U.S. Provisional patent application, the patient subpopulation is defined by its DKK-1 expression level. In the second U.S. Provisional patent application, the patient subpopulation is defined as harboring a specific genetic mutation. If non-Provisional patent applications claiming the benefit of the pending U.S. Provisional patent application referenced above are filed in 2020, any patent that my issue from such applications will expire no earlier than 2040 absent any terminal disclaimer. Any patents issued in foreign jurisdictions will likewise expire in 2040.

We own 67 patents and 5 pending patent applications relating to TRX518 and uses thereof. The patents and applications primarily fall into two families. The base 20-year term for U.S. patents in the first family would expire in 2026 and in the second family would expire in 2028 provided that all required maintenance fee payments are timely paid and no terminal disclaimers are filed. Patent term extensions for delays in marketing approval may also extend the terms of patents in these two families. The various patent applications and patents covering TRX-518 include claims directed to compositions of matter (antibodies and antigen-binding fragments), pharmaceutical compositions, methods for inducing or enhancing an immune response, methods of treating a subject having a cancerous tumor, combination therapies, and uses of antibodies and antigen-binding fragments. Patent applications and patents claiming these subject matters have been filed and/or granted in the following jurisdictions: United States, Australia, Canada, Europe (Austria, Belgium, Denmark, Finland, France, Germany, Ireland, Italy, Netherlands, Portugal, Spain, Sweden, Switzerland, United Kingdom), Hong Kong, India and Japan.

Patent Term

The base term of a U.S. patent is 20 years from the filing date of the earliest-filed non-provisional patent application to which the patent is entitled to priority. The term of a U.S. patent can be lengthened by patent term adjustment, which compensates the owner of the patent for administrative delays at the United States Patent and Trademark Office (USPTO). In some cases, the term of a U.S. patent is shortened by a terminal disclaimer that reduces its term to that of an earlier-expiring U.S. patent.

The term of a U.S. patent may be eligible for patent term extension under the Drug Price Competition and Patent Term Restoration Act of 1984, referred to as the Hatch-Waxman Act or Hatch-Waxman Amendment, to account for at least some of the time a product is under development and regulatory review after the patent is granted. With regard to a product for which FDA approval is the first permitted marketing of the active ingredient, the Hatch-Waxman Act allows for extension of protection of one U.S. patent that includes at least one claim covering the composition of matter of an FDA-approved product, an FDA-approved method of treatment using the product, and/or a method of manufacturing the FDA-approved product. The extended protection cannot exceed the shorter of five

years beyond the non-extended expiration of the patent or 14 years from the date of the FDA approval of the product. Some foreign jurisdictions, including Europe, have patent extension provisions (e.g., supplementary protection certificates), which allow for extension of the protection of a patent that covers a drug approved by the applicable foreign regulatory agency. In the future, if and when each of DKN-01 or TRX518 receives FDA approval, we expect to apply for patent term extension to extend the protection of one of our U.S. patents covering DKN-01, its use, or a method of manufacturing this product, and one of our U.S. patents covering TRX518, its use, or a method of manufacturing this product. We also may pursue extensions in foreign jurisdictions where applicable.

Lilly License Agreement

On January 3, 2011, we entered into a license agreement with Lilly (the "Lilly Agreement"), pursuant to which Lilly granted us an exclusive license for certain intellectual property rights relating to pharmaceutically active compounds that may be useful in the treatment of bone healing, cancer and, potentially, other medical conditions. The license includes a right to sublicense, under certain Lilly intellectual property rights to further develop and commercialize, on a worldwide basis, pharmaceutical products containing such licensed compounds.

Pursuant to the Lilly Agreement, we granted to Lilly 657,614 shares of common stock and agreed to pay Lilly a royalty in the low single digits of net sales of a particular product in the territory during the applicable royalty term, with certain adjustments to be made to the royalty rate in connection with third person intellectual property, sales of competing products, and sales of biosimilar or generic products. We have not yet paid any royalties to Lilly pursuant to this agreement.

The royalty term, with respect to each country in which a product is sold, on a country-by-country and product-by-product basis, begins on first commercial sale of the product in the country and the later of (i) the tenth anniversary of the first date of commercial sale of the product in the country, (ii) expiration of the last-to-expire issued patent included within the patents licensed under the Lilly Agreement having a valid claim covering the sale of the product, and (iii) the expiration of any data exclusivity period for the product in the country.

The term of the Lilly Agreement began on January 3, 2011 and, unless earlier terminated pursuant to the termination provisions described below, will continue on a country-by-country basis until we have no remaining royalty or other payment obligations in a specific country. Upon expiration in a given country, the licenses granted with respect to such country shall become fully paid up, perpetual and irrevocable.

Either party may terminate the Lilly Agreement with immediate effect if the other party enters into bankruptcy or takes similar action. We may terminate the Lilly Agreement (i) at any time without cause upon ninety (90) days written notice to Lilly or (ii) upon material breach of the Lilly Agreement by Lilly upon ninety (90) days written notice to Lilly, unless Lilly cures such breach or violation during such ninety (90) day period. Lilly may terminate the agreement (i) upon our material breach of the Lilly Agreement upon ninety (90) days written notice to us, unless we cure such breach or violation during such ninety (90) day period or (ii) if we challenge, or materially assist any third person to challenge, the validity or enforceability of the licensed intellectual property that is the subject of the Lilly Agreement upon thirty (30) days written notice to us, unless we cure such breach or violation during such thirty (30) day period.

If Lilly terminates the Lilly Agreement or if we terminate the Lilly Agreement without cause, (i) all rights under the licensed intellectual property rights will terminate and immediately and automatically revert to Lilly, (ii) any sublicense will be assigned by us to Lilly so that such sublicense becomes a direct license between Lilly and such sublicensee, (iii) subject to certain limitations, we will be required to grant to Lilly an irrevocable, non-exclusive, perpetual, fully paid up license under all patent rights developed or acquired by us during the term of the Lilly Agreement that relate to the

Lilly licensed intellectual property, (iv) subject to certain limitations, we will be required to grant to Lilly an irrevocable, non-exclusive, perpetual, fully paid up license to the results of data from all preclinical and clinical studies of any compound or product covered by the Lilly Agreement, (v) subject to certain limitations, we will be required to take all steps necessary to permit Lilly to commence marketing product covered by the Lilly Agreement, and (vi) we will be required to assign or re-assign to Lilly all Lilly patents covered by the Lilly Agreement and that were assigned by Lilly to us. If we terminate the Lilly Agreement for material breach by Lilly or Lilly's bankruptcy, the licenses will remain in full force and effect and we will remain liable for the payment of all royalty obligations under the Lilly Agreement. However, in this case, we may offset against such royalties any damages that we are entitled to for breach of the Lilly Agreement by Lilly.

The Lilly Agreement also contains certain standard representations and warranties and certain standard confidentiality and indemnification provisions.

Lonza License Agreement

On May 28, 2015, we entered into a license agreement with Lonza Sales AG (the "Lonza Agreement"), pursuant to which Lonza granted us a world-wide, non-exclusive license for certain intellectual property rights relating to a gene expression system, solutions of nutrients used in mammalian cell culture and related know-how and patent rights to use, test, develop, manufacture, market, sell offer for sale, distribute, import and export DKN-01. Such license includes a right to sublicense to (i) a competing contract manufacturer solely for the purpose of such manufacturer producing DKN-01 and (ii) our affiliates and strategic partners solely for undertaking commercial activities.

In exchange for the license and sublicense described above, we agreed to pay to Lonza a low single-digit royalty calculated as a percentage of net sales on DKN-01. In addition, in connection with DKN-01 manufactured by Lonza, or a strategic partner of Lonza, we agreed to pay (i) an annual payment to Lonza beginning on the date of initiation of phase 1 clinical trials for DKN-01 and (ii) an increased annual payment to Lonza beginning on the date of initiation of phase 2 clinical trials for DKN-01, for so long as Lonza, or a strategic partner of Lonza, manufactures DKN-01. In connection with DKN-01 manufactured by any other party, we agreed to pay (i) an annual amount to Lonza per sublicense beginning on the commencement date of such sublicense and continuing for so long as the sublicense exists and (ii) a low single-digit royalty calculated as a percentage of net sales of DKN-01. All royalty amounts are subject to certain adjustments if, on a country-by-country basis, the manufacture and/or sale of DKN-01 are not protected by a valid claim. All royalty obligations will expire on a country-by-country basis upon the later of (i) the expiration, revocation or complete rejection of all valid claims covering product in such country or (ii) ten (10) years from first commercial sale of DKN-01 in such country.

The Lonza Agreement will remain in force in each country of the world until either the expiration of the last valid patent claim or for so long as the know-how is identified and remains secret and substantial, whichever is later. Upon expiration of the Lonza Agreement with respect to DKN-01 in a particular country, the licenses granted under the Lonza Agreement with respect to DKN-01 in that country will become fully paid and royalty free.

Either party may terminate the Lonza Agreement (i) if the other party commits a breach of the Lonza Agreement and such breach is not cured within forty-five (45) days of receiving notice of the breach (or thirty (30) days in the case of payment defaults) or (ii) if the other party is unable to pay its debts and enters into compulsory or voluntary liquidation or enters into a bankruptcy or takes other similar action. We may terminate the Lonza Agreement by giving sixty (60) days written notice to Lonza. Lonza may, at its option, immediately terminate any or all of the licenses granted under the Lonza Agreement if we knowingly oppose any patent application within the patent rights granted or

dispute the validity of any patent within under the Lonza Agreement or assist any third party to do so. Termination of the Lonza Agreement will terminate all licenses granted under the Lonza Agreement.

The Lonza Agreement also contains certain standard confidentiality and indemnification provisions.

Competition

The biotechnology and pharmaceutical industries are characterized by continuing technological advancement and significant competition. While we believe that our product candidates, technology, knowledge, experience and scientific resources provide us with competitive advantages, we face competition from major pharmaceutical and biotechnology companies, academic institutions, governmental agencies and public and private research institutions, among others. Any product candidates that we successfully develop and commercialize will compete with existing therapies and new therapies that may become available in the future. Key product features that would affect our ability to effectively compete with other therapeutics include the efficacy, safety and convenience of our products and the ease of use and effectiveness of any companion diagnostics. The level of generic competition and the availability of reimbursement from government and other third-party payors will also significantly affect the pricing and competitiveness of our products. Our competitors also may obtain FDA or other regulatory approval for their products more rapidly than we may obtain approval for ours, which could result in our competitors establishing a strong market position before we are able to enter the market.

Many of the companies against which we may compete have significantly greater financial resources and expertise in research and development, manufacturing, preclinical testing, conducting clinical trials, obtaining regulatory approvals and marketing approved products than we do. Smaller or early-stage companies may also prove to be significant competitors, particularly through collaborative arrangements with large and established companies. For example, Novartis, Merck, and Pfizer are all currently developing or have previously been developing anti-DKK1 monoclonal antibodies. Additionally, Merck, Novartis, Bristol-Myers Squibb, AstraZeneca, and Incyte are all developing or have previously been developing a GITR agonist monoclonal antibody. These competitors also compete with us in recruiting and retaining qualified scientific and management personnel and establishing clinical trial sites and patient registration for clinical trials, as well as in acquiring technologies complementary to, or necessary for, our programs.

Manufacturing and Distribution

We do not have, and we do not currently plan to acquire or develop, the facilities or capabilities to manufacture clinical trial material for use in human clinical trials or finished drug product for commercialization. We depend on third-party contract manufacturers, or CMOs, for the production of clinical trial material for our studies. Our bulk drug substance, or DS, is produced at our CMO, Patheon Biologics, which are required to comply with the FDA's Current Good Manufacturing Practice, or cGMP, regulations. Our finished drug product is produced at a contract fill/ finisher provider, which is also required to comply with cGMP regulations. We have personnel with significant technical, manufacturing, analytical, quality and project management experience to oversee our third-party CMOs and to manage manufacturing and quality data and information for regulatory compliance purposes.

We must manufacture drug product for clinical trial use in compliance with cGMP. The cGMP regulations include requirements relating to organization of personnel, buildings and facilities, equipment, control of components and drug product containers and closures, production and process controls, packaging and labeling controls, holding and distribution, laboratory controls, records and reports, and returned or salvaged products. Our third-party CMOs are also subject to periodic inspections of facilities by the FDA and other authorities, including procedures and operations used in the testing and manufacture of our products to assess our compliance with applicable regulations. Failure to comply with statutory and regulatory requirements subjects a manufacturer to possible legal or regulatory action, including warning letters, the seizure or recall of products, injunctions, consent decrees placing significant restrictions on or suspending manufacturing operations and civil and criminal penalties. These actions could have a material impact on the availability of our products. CMOs often encounter difficulties involving production yields, quality control and quality assurance, as well as shortages of qualified personnel.

We have not yet established a sales, marketing or product distribution infrastructure because our lead candidates are still in clinical development. We eventually may, however, choose to build (or obtain through strategic acquisition) our own sales and marketing team to commercialize some or all of our products if they receive FDA approval and if it is in our long-term interests. We have entered into an Option and License Agreement with BeiGene pursuant to which BeiGene has the right to manufacture and commercial DKN-01 in Asia (excluding Japan), Australia, and New Zeland. We may choose to enter into distribution agreements with strategic partners with their own robust distribution channels for the United States, Europe, Japan, and other non-BeiGene territories.

Government Regulation and Product Approval

Government authorities in the United States, at the federal, state, and local level, and in other countries, extensively regulate, among other things, the research, development, testing, approval, manufacture, packaging, storage, recordkeeping, labeling, advertising, promotion, distribution, post-approval monitoring and reporting, marketing, import, and export of biopharmaceutical products such as those we are developing. In addition, manufacturers of biopharmaceutical products participating in Medicaid and Medicare are required to comply with mandatory price reporting, discount, and rebate requirements. The processes for obtaining regulatory approvals in the United States and in foreign countries, along with subsequent compliance with applicable statutes and regulations, require the expenditure of substantial time and financial resources. The following is a summary of the primary government regulations applicable to our business.

FDA Regulation

In the United States, the Food and Drug Administration, or FDA, regulates biologics under the Federal Food, Drug, and Cosmetic Act, or FDCA, the Public Health Services Act, or PHSA, and their implementing regulations. Any product we may develop must be cleared by the FDA before it is

marketed in the United States. The process required by the FDA before product candidates may be marketed in the United States generally involves the following:

- completion of preclinical laboratory tests, animal studies, and formulation studies in compliance with the FDA's Good Laboratory Practice, or GLP, regulations;
- submission to the FDA of an Investigational New Drug application, or IND, which must become effective before human clinical trials may begin;
- approval by an Institutional Review Board, or IRB, for each clinical site, or centrally, before each trial may be initiated;

- adequate and well-controlled human clinical trials to establish the safety and efficacy of the proposed product candidates for its intended use, performed in accordance with GCPs;
- development of manufacturing processes to ensure the product candidate's identity, strength, quality, and purity;
- submission to the FDA of a Biologics License Application, or BLA;
- satisfactory completion of a FDA advisory committee review, if applicable;
- satisfactory completion of an FDA inspection of the manufacturing facility or facilities at which the products are produced to assess compliance with cGMPs, and to assure that the facilities, methods, and controls are adequate to preserve the therapeutic's identity, strength, quality, and purity, as well as satisfactory completion of an FDA inspection of selected clinical sites and selected clinical investigators to determine GCP compliance; and
- FDA review and approval of the BLA to permit commercial marketing for particular indications for use.

Preclinical Studies and IND Submission

The testing and approval process of product candidates requires substantial time, effort, and financial resources. Satisfaction of FDA pre-market approval requirements typically takes many years and the actual time required may vary substantially based upon the type, complexity, and novelty of the product or disease. Preclinical studies include laboratory evaluation of chemistry, pharmacology, toxicity, and product formulation, as well as animal studies to assess potential safety and efficacy. Such studies must generally be conducted in accordance with the FDA's GLPs. Prior to commencing the first clinical trial with a product candidate, an IND sponsor must submit the results of the preclinical tests and preclinical literature, together with manufacturing information, analytical data, any available clinical data or literature, and proposed clinical study protocols among other things, to the FDA as part of an IND.

An IND automatically becomes effective 30 days after receipt by the FDA, unless the FDA, within the 30-day time period, notifies the applicant of safety concerns or questions related to one or more proposed clinical trials and places the trial on a clinical hold. In such a case, the IND sponsor and the FDA must resolve any outstanding concerns before the clinical trial can begin. Clinical holds also may be imposed by the FDA at any time before or during trials due to safety concerns or non-compliance. As a result, submission of an IND may not result in FDA authorization to commence a clinical trial. A separate submission to an existing IND must also be made for each successive clinical trial conducted during product development.

Clinical Trials

Clinical trials involve the administration of the investigational product to human subjects under the supervision of qualified investigators in accordance with federal regulations and GCP requirements, which include the requirements that all research subjects provide their informed consent in writing for their participation in any clinical trial, as well as review and approval of the study by an IRB. Investigators must also provide certain information to the clinical trial sponsors to allow the sponsors to make certain financial disclosures to the FDA. Clinical trials are conducted under protocols detailing, among other things, the objectives of the trial, the trial procedures, the parameters to be used in monitoring safety, the effectiveness criteria to be evaluated, and a statistical analysis plan. A protocol for each clinical trial, and any subsequent protocol amendments, must be submitted to the FDA as part of the IND. In addition, an IRB at each study site participating in the clinical trial or a central IRB must review and approve the plan for any clinical trial, informed consent forms, and communications to study subjects before a study commences at that site. An IRB considers, among other things, whether

the risks to individuals participating in the trials are minimized and are reasonable in relation to anticipated benefits and whether the planned human subject protections are adequate. The IRB must continue to oversee the clinical trial while it is being conducted. Once an IND is in effect, each new clinical protocol and any amendments to the protocol must be submitted to the IND for FDA review, and to the IRB for approval. Progress reports detailing the results of the clinical trials must also be submitted at least annually to the FDA and the IRB and more frequently if serious adverse events or other significant safety information is found.

The FDA may order the temporary, or permanent, discontinuation of a clinical trial at any time, or impose other sanctions, if it believes that the clinical trial either is not being conducted in accordance with FDA requirements or presents an unacceptable risk to the clinical trial patients. An IRB may also require the clinical trial at the site to be halted, either temporarily or permanently, for failure to comply with the IRB's requirements or if the trial poses an unexpected serious harm to subjects, or may impose other conditions. We may also discontinue clinical trials as a result of risks to subjects, a lack of favorable results, or changing business priorities.

Information about certain clinical trials, including a description of the study and study results, must be submitted within specific timeframes to the National Institutes of Health, or NIH, for public dissemination on their clinicaltrials.gov website.

Additionally, some clinical trials are overseen by an independent group of qualified experts organized by the clinical trial sponsor, known as a data safety monitoring board or committee. This group regularly reviews accumulated data and advises the study sponsor regarding the continuing safety of trial subjects, potential trial subjects, and the continuing validity and scientific merit of the clinical trial. The data safety monitoring board receives special access to unblinded data during the clinical trial and may advise the sponsor to halt the clinical trial if it determined there is an unacceptable safety risk for subjects or on other grounds, such as no demonstration of efficacy.

The manufacture of investigational biologics for the conduct of human clinical trials is subject to cGMP requirements. Investigational biologics and active ingredients imported into the United States are also subject to regulation by the FDA relating to their labeling and distribution. Further, the export of investigational products outside of the United States is subject to regulatory requirements of the receiving country as well as U.S. export requirements under the FDCA.

In general, for purposes of BLA approval, human clinical trials are typically conducted in three sequential phases, which may overlap or be combined.

- *Phase 1*—Studies are initially conducted in healthy human volunteers or subjects with the target disease or condition and test the product candidate for safety, dosage tolerance, target engagement, mechanism of action, absorption, metabolism, distribution, and excretion. If possible, Phase 1 trials may also be used to gain an initial indication of product effectiveness.

- *Phase 2*—Controlled studies are conducted in limited subject populations with a specified disease or condition to evaluate preliminary efficacy, identify optimal dosages, dosage tolerance and schedule, possible adverse effects and safety risks, and expanded evidence of safety.

- *Phase 3*—These adequate and well-controlled clinical trials are undertaken in expanded subject populations, generally at geographically dispersed clinical trial sites, to generate enough data to provide statistically significant evidence of clinical efficacy and safety of the product for approval, to establish the overall risk-benefit profile of the product, and to provide adequate information for the labeling of the product. Typically, two Phase 3 trials are required by the FDA for product approval.

The FDA may also require, or companies may conduct, additional clinical trials for the same indication after a product is approved. These so-called Phase 4 studies may be made a condition to be

satisfied after approval. The results of Phase 4 studies can confirm the effectiveness of a product candidate and can provide important safety information.

Phase 1, Phase 2, and Phase 3 clinical trials may not be completed successfully within any specified period, or at all. Regulatory authorities, an IRB, or the sponsor may suspend or discontinue a clinical trial at any time on various grounds, including a finding that the subjects are being exposed to an unacceptable health risk, the clinical trial is not being conducted in accordance with the FDA's or the IRB's requirements, the product has been associated with unexpected serious harm to the subjects, or based on evolving business objectives or competitive climate.

Concurrent with clinical trials, companies usually complete additional animal studies and must also develop additional information about the chemistry and physical characteristics of the product candidate as well as finalize a process for manufacturing the product in commercial quantities in accordance with cGMP requirements. The manufacturing process must be capable of consistently producing quality batches of the product candidate and, among other things, must develop methods for testing the identity, strength, quality, potency, and purity of the final product. Additionally, appropriate packaging must be selected and tested, and stability studies must be conducted to demonstrate that the product candidate does not undergo unacceptable deterioration over its shelf life.

During the development of a new therapeutic, a sponsor may be able to request a Special Protocol Assessment, or SPA, the purpose of which is to reach agreement with the FDA on the Phase 3 clinical trial protocol design and analysis that will form the primary basis of product approval and an efficacy claim as well as preclinical carcinogenicity trials and stability studies. An SPA may only be modified with the agreement of the FDA and the trial sponsor or if the director of the FDA reviewing division determines that a substantial scientific issue essential to determining the safety or efficacy of the product was identified after the testing began. An SPA is intended to provide assurance that, in the case of clinical trials, if the agreed upon clinical trial protocol is followed, the clinical trial endpoints are achieved, and there is a favorable risk-benefit profile, the data may serve as the primary basis for an efficacy claim in support of a BLA. However, SPA agreements are not a guarantee of an approval of a product candidate or any permissible claims about the product candidate. In particular, SPAs are not binding on the FDA if, among other reasons, previously unrecognized public health concerns arise during the performance of the clinical trial, other new scientific concerns regarding the product candidate's safety or efficacy arise, or if the sponsoring company fails to comply with the agreed upon clinical trial protocol.

BLA Submission, Review by the FDA, and Marketing Approval

Assuming successful completion of the required clinical and preclinical testing, the results of product development, including chemistry, manufacture, and controls, non-clinical studies, and clinical trial results, including negative or ambiguous results as well as positive findings, are all submitted to the FDA, along with the proposed labeling, as part of a BLA requesting approval to market the product for one or more indications. In most cases, the submission of a BLA is subject to a substantial application user fee. These user fees must be paid at the time of the first submission of the application, even if the application is being submitted on a rolling basis. Fee waivers or reductions are available in certain circumstances. One basis for a waiver of the application user fee is if the applicant employs fewer than 500 employees, including employees of affiliates, the applicant does not have an approved marketing application for a product that has been introduced or delivered for introduction into interstate commerce, and the applicant, including its affiliates, is submitting its first marketing application. Product candidates that are designated as orphan drugs, which are further described below, are also not subject to application user fees unless the application includes an indication other than the orphan indication.

In addition, under the Pediatric Research Equity Act, or PREA, a BLA or supplement to a BLA for a new active ingredient, indication, dosage form, dosage regimen, or route of administration, must contain data that are adequate to assess the safety and effectiveness of the product for the claimed indications in all relevant pediatric subpopulations, and to support dosing and administration for each pediatric subpopulation for which the product is safe and effective. The FDA may, on its own initiative or at the request of the applicant, grant deferrals for submission of some or all pediatric data until after approval of the product for use in adults, or full or partial waivers from the pediatric data requirements.

The FDA also may require submission of a risk evaluation and mitigation strategy, or REMS, to ensure that the benefits of the biologic outweigh the risks. The REMS plan could include medication guides, physician communication plans, and elements to assure safe use, such as restricted distribution methods, patient registries, or other risk minimization tools. An assessment of the REMS must also be conducted at set intervals. Following product approval, a REMS may also be required by the FDA if new safety information is discovered and the FDA determines that a REMS is necessary to ensure that the benefits of the biologic outweigh the risks.

Once the FDA receives an application, it has 60 days to review the BLA to determine if it is substantially complete to permit a substantive review, before it accepts the application for filing. The FDA may request additional information rather than accept a BLA for filing. In this event, the application must be resubmitted with the additional information. The resubmitted application is also subject to review before the FDA accepts it for filing. Once the submission is accepted for filing, the FDA begins an in-depth substantive review.

Under the goals and policies agreed to by the FDA under the Prescription Drug User Fee Act, or PDUFA, the FDA has set the review goal of completing its review of 90% of all applications within ten months from the 60-day filing date for its initial review of an initial BLA. Such deadlines are referred to as the PDUFA date. The PDUFA date is only a goal, thus, the FDA does not always meet its PDUFA dates. The review process and the PDUFA date may also be extended if the FDA requests or the sponsor otherwise provides substantial additional information or clarification regarding the submission.

The FDA may also refer certain applications to an advisory committee. An advisory committee is typically a panel that includes clinicians and other experts, which review, evaluate, and make a recommendation as to whether the application should be approved and under what conditions. The FDA is not bound by the recommendations of an advisory committee, but it considers such recommendations carefully when making decisions.

The FDA reviews applications to determine, among other things, whether a product is safe, pure and potent and whether the manufacturing methods and controls are adequate to assure and preserve the product's identity, strength, quality, safety, potency, and purity. Before approving a BLA, the FDA typically will inspect the facility or facilities where the product is manufactured, referred to as a Pre-Approval Inspection. The FDA will not approve an application unless it determines that the manufacturing processes and facilities, including contract manufacturers and subcontractors, are in compliance with cGMP requirements and adequate to assure consistent production of the product within required specifications. Additionally, before approving a BLA the FDA will inspect one or more clinical trial sites to assure compliance with GCPs.

The approval process is lengthy and difficult and the FDA may refuse to approve a BLA if the applicable regulatory criteria are not satisfied or may require additional clinical data or other data and information. Even if such data and information are submitted, the FDA may ultimately decide that the BLA does not satisfy the criteria for approval. Data obtained from clinical trials are not always conclusive and the FDA may interpret data differently than an applicant interprets the same data.

After evaluating the BLA and all related information, including the advisory committee recommendation, if any, and inspection reports regarding the manufacturing facilities and clinical trial sites, the FDA may issue an approval letter, or, in some cases, a Complete Response Letter, or CRL. If a CRL is issued, the applicant may either: resubmit the BLA, addressing all of the deficiencies identified in the letter; withdraw the application; or request an opportunity for a hearing. A CRL indicates that the review cycle of the application is complete and the application is not ready for approval and describes all of the specific deficiencies that the FDA identified in the BLA. A CRL generally contains a statement of specific conditions that must be met in order to secure final approval of the BLA, and may require additional clinical or preclinical testing in order for the FDA to reconsider the application. The deficiencies identified may be minor, for example, requiring labeling changes; or major, for example, requiring additional clinical trials. Even with submission of this additional information, the FDA ultimately may decide that the application does not satisfy the regulatory criteria for approval. If and when those conditions have been met to the FDA's satisfaction, the FDA may issue an approval letter. An approval letter authorizes commercial marketing of the product with specific prescribing information for specific indications.

Even if the FDA approves a product, it may limit the approved indications for use of the product, require that contraindications, warnings, or precautions be included in the product labeling, including a boxed warning, require that post-approval studies, including Phase 4 clinical trials, be conducted to further assess a product's safety and efficacy after approval, require testing and surveillance programs to monitor the product after commercialization, or impose other conditions, including distribution restrictions or other risk management mechanisms under a REMS which can materially affect the potential market and profitability of the product. The FDA may also not approve label statements that are necessary for successful commercialization and marketing.

After approval, some types of changes to the approved product, such as adding new indications, manufacturing changes, and additional labeling claims, are subject to further testing requirements and FDA review and approval. The FDA may also withdraw the product approval if compliance with the pre- and post-marketing regulatory standards are not maintained or if problems occur after the product reaches the marketplace. Further, should new safety information arise, additional testing, product labeling, or FDA notification may be required.

Biosimilars, Orphan Drugs, and Exclusivity

The Biologics Price Competition and Innovation Act of 2009, or BPCIA, creates an abbreviated approval pathway for biological products shown to be highly similar to or interchangeable with an FDA-licensed reference biological product. Biosimilarity sufficient to reference a prior FDA-approved product requires a high similarity to the reference product notwithstanding minor differences in clinically inactive components, and no clinically meaningful differences between the biological product and the reference product in terms of safety, purity, and potency. Biosimilarity must be shown through analytical studies, animal studies, and at least one clinical trial, absent a waiver by FDA. There must be no difference between the reference product and a biosimilar in conditions of use, route of administration, dosage form, and strength. A biosimilar product may be deemed interchangeable with a prior approved product if it meets the higher hurdle of demonstrating that it can be expected to produce the same clinical results as the reference product and, for products administered multiple times, the biologic and the reference biologic may be switched after one has been previously administered without increasing safety risks or risks of diminished efficacy relative to exclusive use of the reference biologic. Complexities associated with the larger, and often more complex, structures of biological products, as well as the process by which such products are manufactured, pose significant hurdles to implementation which are still being evaluated by the FDA.

A reference biologic is granted 12 years of exclusivity from the time of first licensure of the reference product, and no application for a biosimilar can be submitted for four years from the date of

licensure of the reference product. However, certain changes and supplements to an approved BLA, and subsequent applications filed by the same sponsor, manufacturer, licensor, predecessor in interest, or other related entity do not qualify for the twelve year exclusivity period.

The Orphan Drug Act provides incentives for the development of products intended to treat rare diseases or conditions, which generally are diseases or conditions affecting less than 200,000 individuals annually in the United States, or affecting more than 200,000 in the United States and for which there is no reasonable expectation that the cost of developing and making the product available in the United States will be recovered from United States sales. Additionally, sponsors must present a plausible hypothesis for clinical superiority to obtain orphan designation if there is a product already approved by the FDA that is intended for the same indication and that is considered by the FDA to be the same as the already approved product. This hypothesis must be demonstrated to obtain orphan exclusivity. If granted, prior to product approval, Orphan Designation entitles a party to financial incentives such as opportunities for grant funding towards clinical study costs, tax advantages, and user-fee waivers. In addition, if a product receives FDA approval for the indication for which it has orphan designation, the product is generally entitled to orphan exclusivity, which means the FDA may not approve any other application to market the same product for the same indication for a period of seven years, except in limited circumstances, such as a showing of clinical superiority over the product with orphan exclusivity.

Special FDA Expedited Review and Approval Programs

The FDA has various programs, including Fast Track designation, priority review, and breakthrough designation, that are intended to expedite or simplify the process for the development and FDA review of certain products that are intended for the treatment of serious or life threatening diseases or conditions, and demonstrate the potential to address unmet medical needs or present a significant improvement over existing therapy. The purpose of these programs is to provide important new therapeutics to patients earlier than under standard FDA review procedures.

To be eligible for a Fast Track designation, the FDA must determine, based on the request of a sponsor, that a product is intended to treat a serious or life threatening disease or condition and demonstrates the potential to address an unmet medical need. The FDA will determine that a product will fill an unmet medical need if the product will provide a therapy where none exists or provide a therapy that may be potentially superior to existing therapy based on efficacy, safety, or public health factors. If Fast Track designation is obtained, sponsors may be eligible for more frequent development meetings and correspondence with the FDA. In addition, the FDA may initiate review of sections of an application before the application is complete. This "rolling review" is available if the applicant provides and the FDA approves a schedule for the remaining information. In some cases, a Fast Track product may be eligible for accelerated approval or priority review.

The FDA may give a priority review designation to products that are intended to treat serious conditions and, if approved, would provide significant improvements in the safety or effectiveness of the treatment, diagnosis, or prevention of serious conditions. A priority review means that the goal for the FDA is to review an application within six months, rather than the standard review of ten months under current PDUFA guidelines, of the 60-day filing date.

Drug or biological products studied for their safety and effectiveness in treating serious or life-threatening illnesses and that provide meaningful therapeutic benefit over existing treatments may receive accelerated approval, which means the FDA may approve the product based upon a surrogate endpoint that is reasonably likely to predict clinical benefit, or on a clinical endpoint that can be measured earlier than irreversible morbidity or mortality, that is reasonably likely to predict an effect on irreversible morbidity or mortality or other clinical benefit, taking into account the severity, rarity, or prevalence of the condition and the availability or lack of alternative treatments. A drug or biologic

candidate approved on this basis is subject to rigorous post-marketing compliance requirements, including the completion of Phase 4 or post-approval clinical trials to confirm the effect on the clinical endpoint. Failure to conduct required post-approval studies, or confirm a clinical benefit during post-marketing studies, will allow the FDA to withdraw the drug or biologic from the market on an expedited basis. All promotional materials for drug or biologic candidates approved under accelerated regulations are subject to prior review by the FDA.

Moreover, under the provisions of the Food and Drug Administration Safety and Innovation Act, or FDASIA, enacted in 2012, a sponsor can request designation of a product candidate as a "breakthrough therapy." A breakthrough therapy is defined as a product that is intended, alone or in combination with one or more other products, to treat a serious or life-threatening disease or condition, and preliminary clinical evidence indicates that the product may demonstrate substantial improvement over existing therapies on one or more clinically significant endpoints, such as substantial treatment effects observed early in clinical development. Products designated as breakthrough therapies are eligible for the Fast Track designation features as described above, intensive guidance on an efficient development program beginning as early as Phase 1 trials, and a commitment from the FDA to involve senior managers and experienced review staff in a proactive collaborative, cross-disciplinary review.

Even if a product qualifies for one or more of these programs, the FDA may later decide that the product no longer meets the conditions for qualification or decide that the time period for FDA review or approval will not be shortened.

Post-approval Requirements

Any products manufactured or distributed pursuant to FDA approvals are subject to pervasive and continuing regulation by the FDA, including, among other things, requirements related to manufacturing, recordkeeping, and reporting, including adverse experience reporting, shortage reporting, and periodic reporting, product sampling and distribution, advertising, marketing, promotion, certain electronic records and signatures, and post-approval obligations imposed as a condition of approval, such as Phase 4 clinical trials, REMS, and surveillance to assess safety and effectiveness after commercialization.

After approval, most changes to the approved product, such as adding new indications or other labeling claims are subject to prior FDA review and approval. There also are continuing annual user fee requirements for any marketed products and the establishments at which such products are manufactured, as well as new application fees for supplemental applications with clinical data. In addition, manufacturers and other entities involved in the manufacture and distribution of approved therapeutics are required to register their establishments with the FDA and certain state agencies, list their products, and are subject to periodic announced and unannounced inspections by the FDA and these state agencies for compliance with cGMP and other requirements, which impose certain procedural and documentation requirements upon a company and its third-party manufacturers. Manufacturers must continue to expend time, money, and effort in the areas of production and quality-control to maintain compliance with cGMPs. Regulatory authorities may withdraw product approvals or request product recalls if a company fails to comply with regulatory standards, if it encounters problems following initial marketing, or if previously unrecognized problems are subsequently discovered.

Changes to the manufacturing process are strictly regulated and often require prior FDA approval or notification before being implemented. FDA regulations also require investigation and correction of any deviations from cGMP and specifications, and impose reporting and documentation requirements upon the sponsor and any third-party manufacturers that the sponsor may decide to use. Accordingly, manufacturers must continue to expend time, money, and effort in the area of production and quality control to maintain cGMP compliance.

Moreover, the enacted Drug Quality and Security Act, or DQSA, imposes obligations on manufacturers of biopharmaceutical products related to product tracking and tracing. Among the requirements of this legislation, manufacturers are required to provide certain information regarding the products to individuals and entities to which product ownership is transferred, will be required to label products with a product identifier, and are required to keep certain records regarding the product. The transfer of information to subsequent product owners by manufacturers will eventually be required to be done electronically. Manufacturers must also verify that purchasers of the manufacturers' products are appropriately licensed. Further, under this legislation, manufacturers will have product investigation, quarantine, disposition, and notification responsibilities related to counterfeit, diverted, stolen, and intentionally adulterated products that would result in serious adverse health consequences of death to humans, as well as products that are the subject of fraudulent transactions or which are otherwise unfit for distribution such that they would be reasonably likely to result in serious health consequences or death. Similar requirements additionally are and will be imposed through this legislation on other companies within the biopharmaceutical product supply chain, such as distributors and dispensers.

Adverse event reporting and submission of periodic reports, including annual reports and deviation reports, are required following FDA approval of a BLA. Later discovery of previously unknown problems with a product, including adverse events of unanticipated severity or frequency, or with manufacturing processes, or failure to comply with regulatory requirements, may result in significant regulatory actions. Such actions may include refusal to approve pending applications, license suspension or revocation, withdrawal of an approval, imposition of a clinical hold or termination of clinical trials, warning letters, untitled letters, cyber letters, modification of promotional materials or labeling, provision of corrective information, imposition of post-market requirements including the need for additional testing, imposition of distribution or other restrictions under a REMS, product recalls, product seizures or detentions, refusal to allow imports or exports, total or partial suspension of production or distribution, FDA debarment, injunctions, fines, consent decrees, corporate integrity agreements, debarment from receiving government contracts, and new orders under existing contracts, exclusion from participation in federal and state healthcare programs, restitution, disgorgement, or civil or criminal penalties, including fines and imprisonment, and result in adverse publicity, among other adverse consequences.

Other Regulation

In addition to any FDA restrictions on marketing and promotion of drugs and devices, other federal and state laws restrict our business practice including, without limitation, anti-kickback and false claims laws, data privacy and security laws, as well as transparency laws regarding payment or other items of value provided to healthcare providers. Future legislative proposals to reform healthcare may also impact us.

We are also governed by other federal, state and local laws of general applicability, such as laws regulating working conditions, employment practices, as well as environmental protection.

Research and Development Expenses

Our total research and development expenses were $24.4 million and $21.8 million, during the years ended December 31, 2019 and 2018, respectively. See Part II—Item 7—"Management's Discussion and Analysis of Financial Condition and Results of Operations" of this Annual Report on Form 10-K for additional detail regarding our research and development activities.

Employees

As of March 11, 2020, we had 26 employees, including 24 full-time employees and 2 part-time employees. We also use the services of consultants on a regular basis. None of our employees are represented by labor unions or covered by collective bargaining agreements. We consider our employee relations to be good.

Web Availability

We make available free of charge through our website, www.leaptx.com, our Annual Report on Form 10-K, other reports that we file with the Securities and Exchange Commission and any amendments to the reports filed or furnished pursuant to Sections 13(a) and 15(d) of the Securities Exchange Act of 1934, as amended (the "Exchange Act"), as well as certain of our corporate governance policies, including the charters for the audit, compensation and nominating and governance committees of our board of directors and our code of ethics and corporate governance guidelines. We make these reports available as soon as reasonably practicable after they are filed with or furnished to the SEC. The information contained on, or that can be accessed through our website is not a part of or incorporated by reference into this Annual Report on Form 10-K. We will also provide to any person without charge, upon request, a copy of any of the foregoing materials. Any such request must be made in writing to us at: Leap Therapeutics, Inc. c/o Investor Relations, 47 Thorndike Street, Suite B1, Cambridge, MA 02141.

Item 1A. Risk Factors.

The following risk factors and other information included in this Annual Report on Form 10-K should be carefully considered. The risks and uncertainties described below are not the only ones we face. Additional risks and uncertainties not presently known to us or that we presently deem less significant may also impair our business operations. Please see the "Special Note Regarding Forward-Looking Statements and Industry Data" at the beginning of this Annual Report on Form 10-K for a discussion of some of the forward-looking statements that are qualified by these risk factors. If any of the following risks occur, our business, financial condition, results of operations and future growth prospects could be materially and adversely affected.

Risks Related to Leap's Financial Position and Capital Needs

We have incurred significant losses since our inception and anticipate that we will continue to incur losses in the future.

We are a clinical-stage biopharmaceutical company with a limited operating history on which to base your investment decision. Investment in biopharmaceutical product development is highly speculative because it entails substantial upfront capital expenditures and significant risk that our two product candidates, DKN-01 and TRX518, or any other products will fail to gain regulatory approval or become commercially viable. We do not have any products approved by regulatory authorities for marketing and have not generated any revenue from product sales. We incur significant research, development and other expenses related to our ongoing operations.

As a result, we are not profitable and have incurred losses in every reporting period since our inception in 2011. For the year ended December 31, 2019, we reported a net loss of $32.9 million, and had an accumulated deficit of $195.2 million at December 31, 2019.

We expect to continue to incur significant expenses and operating losses for the foreseeable future. We anticipate these losses to increase as we continue the research and development of, and seek regulatory approvals for DKN-01, and we potentially begin to commercialize DKN-01, if it receives regulatory approval. We may encounter unforeseen expenses, difficulties, complications, delays and other unknown factors that may adversely affect our business. The size of our future net losses will depend, in part, on the rate of future growth of our expenses and our ability to generate revenues. If DKN-01 fails in clinical trials or does not gain regulatory approval, or if approved, fails to achieve market acceptance, we may never become profitable. Even if we achieve profitability in the future, we may not be able to sustain profitability in subsequent periods.

The timing of the milestone and royalty payments we may be entitled to receive from BeiGene, Ltd. is uncertain and could adversely affect our cash flows and results of operations.

In January 2020, we entered into an option and license agreement, or the BeiGene Agreement, with BeiGene, Ltd., or BeiGene, pursuant to which we granted BeiGene with the option to develop and commercialize DKN-01 in Asia (excluding Japan), Australia and New Zealand. We may be entitled to receive additional payments upon the exercise of BeiGene's option and the achievement of certain milestones and royalties upon BeiGene's sales of DKN-01. The receipt of these payments is inherently uncertain. There can be no assurance that we will receive any future milestone or royalty payments from BeiGene.

We currently have no source of product revenue and may never become profitable.

We have not generated any product revenues, and we have no commercial products. Our ability to generate revenue from product sales and achieve profitability will depend upon our ability to successfully gain regulatory approval and commercialize DKN-01 or other product candidates that we may in-license or acquire in the future. Even if we are able to successfully achieve regulatory approval,

we do not know when we will generate revenue from product sales, if at all. Our ability to generate revenue from product sales from any product candidates also depends on a number of additional factors, including but not limited to, our ability to:

- initiate and successfully complete development activities, including enrollment of study participants and completion of the necessary clinical trials;
- complete and submit new drug applications, or NDAs, or biologics license applications, or BLAs, to the FDA and obtain regulatory approval for indications for which there is a commercial market;
- complete and submit applications to, and obtain regulatory approval from, foreign regulatory authorities;
- make or have made commercial quantities of our products at acceptable cost levels;
- develop a commercial organization capable of manufacturing, sales, marketing and distribution for any products we intend to sell ourselves in the markets in which we choose to commercialize on our own;
- find suitable partners to help us market, sell and distribute our approved products in other markets; and
- obtain adequate pricing, coverage and reimbursement from third parties, including government and private payors.

In addition, because of the numerous risks and uncertainties associated with product development, including that DKN-01 may not advance through development or achieve the endpoints of applicable clinical trials, we are unable to predict the timing or amount of increased expenses, or when or if we will be able to achieve or maintain profitability. Even if we are able to complete the development and regulatory process for DKN-01, we anticipate incurring significant costs associated with commercializing these products, including in building the requisite sales and marketing capabilities to sell such products (which itself may pose financial and operational risks).

Even if we are able to generate revenues from the sale of our products, we may not become profitable and will need to obtain additional funding to continue operations. If we fail to become profitable or are unable to sustain profitability on a continuing basis, and we are not successful in obtaining additional funding, then we may be unable to continue our operations at planned levels.

We will require additional capital to fund our operations and if we fail to obtain necessary financing, we may be unable to complete the development and potential commercialization of DKN-01 or acquire other products.

Our operations have consumed substantial amounts of cash since inception. We expect to continue to spend substantial amounts to advance the clinical development of DKN-01 and launch and commercialize our product candidates, if we receive regulatory approval. We will require additional capital for the further development and potential commercialization. If we are unable to raise capital when needed or on attractive terms, we could be forced to delay, reduce or eliminate our research and development programs or any future commercialization efforts.

We believe that our cash and cash equivalents will enable us to fund our operating expenses and capital expenditure requirements for at least the next 12 months from the date of this filing. We have based this estimate on assumptions that may prove to be wrong, and we could deploy our available capital resources sooner than we currently expect. Our future funding requirements, both near and long-term, will depend on many factors, including, but not limited to the:

- initiation, progress, timing, costs and results of pre-clinical studies and clinical trials for our product candidates;

- costs and timing of additional clinical trial and commercial manufacturing activities;
- clinical development plans we establish for DKN-01 and any other future product candidates;
- number and characteristics of any new product candidates that we in-license and develop;
- outcome, timing and cost of regulatory review by the FDA and comparable foreign regulatory authorities, including the potential for the FDA or comparable foreign regulatory authorities to require that we perform more studies than those that we currently expect;
- costs of filing, prosecuting, defending and enforcing any patent claims and maintaining and enforcing other intellectual property rights;
- effect of competing product candidates and market developments; and
- costs and timing of establishing sales, marketing and distribution capabilities for any product candidates for which we may receive regulatory approval.

If we are unable to fund our operations or otherwise capitalize on our business opportunities due to a lack of capital, our ability to become profitable will be compromised.

Raising additional capital may cause dilution to our existing stockholders, restrict our operations or require us to relinquish rights to our product candidates.

Until we can generate substantial revenue from product sales, if ever, we expect to seek additional capital through a combination of private and public equity offerings, debt financings, strategic collaborations and alliances and licensing arrangements. To the extent that we raise additional capital through the sale of equity or convertible debt securities, the ownership interests of existing stockholders will be diluted, and the terms may include liquidation or other preferences that adversely affect the rights of existing stockholders. Debt financing, if available, may involve agreements that include liens or other restrictive covenants limiting our ability to take important actions, such as incurring additional debt, making capital expenditures or declaring dividends. If we are unable to raise additional funds through equity or debt financing when needed, we may be required to delay, limit, reduce or terminate our product development or commercialization efforts or grant rights to develop and market our product candidates that we would otherwise prefer to develop and market ourselves. If we raise additional funds through strategic collaborations and alliances or licensing arrangements with third parties, we may have to relinquish valuable rights to our product candidates in particular countries, or grant licenses on terms that are not favorable to us.

Future utilization of net operating loss carryforwards may be limited.

As of December 31, 2019, we had federal, state and foreign net operating loss carryforwards of $135.9 million, $117.6 million and $83.9 million, respectively, which begin to expire in 2030. We may be able to utilize our net operating loss carryforwards to reduce future federal and state income tax liabilities. However, these net operating losses are subject to various limitations under Section 382 of the U.S. Internal Revenue Code of 1986, as amended ("IRC"). In accordance with Section 382 of the IRC, a change in equity ownership of greater than 50% of the Company within a three-year period can result in an annual limitation on the Company's ability to utilize its net operating loss carryforwards that were created during tax periods prior to the change in ownership. A change in ownership may result from the issuance of common or preferred shares of the Company, among other events.

We rely on a Research & Development Incentive program to finance our operations in Australia, which could be amended or changed.

We have also financed our business operations through R&D Incentive income. The research and development tax incentive is one of the key elements of Australian Government's support for

Australia's innovation system and if eligible, provides the recipient with a refundable tax offset for research and development activities. For the year ended December 31, 2019, we recorded a research and development incentive income receivable of $0.2 million, representing the applicable percentage of our expected eligible expenses net of our current Australia tax liability. For the 2019 financial year, the refundable research and development rate was 43.5% of eligible expenses. There are proposals to cap the total refundable payments to Australian $2 million on an annual basis. There can be no assurance that we will continue to qualify and be eligible for such incentives or that the Australian Government will continue to provide incentives, offset, grants and rebates on similar terms or at all.

Risks Related to Our Business and Industry

The failure to maintain the BeiGene Agreement or the failure of BeiGene to perform its obligations under the BeiGene Agreement could negatively impact our business.

Pursuant to the terms of the BeiGene Agreement, we granted to BeiGene the right to an exclusive license to develop, manufacture and commercialize DKN-01 in the BeiGene Territory. We have limited control over the amount and timing of resources that BeiGene will dedicate to these efforts or BeiGene's decision whether and when to exercise its option. We are subject to a number of other risks associated with our dependence on the BeiGene Agreement with respect to DKN-01 in the BeiGene Territory, including:

- BeiGene may not comply with applicable regulatory guidelines with respect to developing, manufacturing or commercializing DKN-01, which could adversely impact sales or future development of DKN-01 in the BeiGene Territory or elsewhere;
- We and BeiGene could disagree as to future development plans and BeiGene may delay, fail to commence or stop future clinical trials or other development;
- There may be disputes between us and BeiGene, including disagreements regarding the BeiGene Agreement, that may result in (1) the delay of or failure to achieve developmental, regulatory and commercial objectives that would result in milestone or royalty payments, (2) the delay or termination of any future development or commercialization of sitravatinib in the BeiGene Territory, and/or (3) costly litigation or arbitration that diverts our management's attention and resources;
- Business combinations or significant changes in BeiGene' business strategy may adversely affect BeiGene' ability or willingness to exercise its option or perform its obligations under the BeiGene Agreement; and
- BeiGene may not properly defend our intellectual property rights, or may use our proprietary information in such a way as to invite litigation that could jeopardize or invalidate our intellectual property rights or expose us to potential litigation.

The BeiGene Agreement is also subject to BeiGene's right to terminate without cause upon advance notice to us. If the agreement is terminated early, we may not be able to find another collaborator for the further development and commercialization of DKN-01 in the BeiGene Territory on acceptable terms, or at all, and we may be unable to pursue continued development and commercialization of DKN-01 in the BeiGene Territory on our own.

Clinical testing is expensive and can take many years to complete, and its outcome is inherently uncertain. Failure can occur at any time during the clinical trial process.

The results of preclinical studies, preliminary study results, and early clinical trials of our product candidates may not be predictive of the results of later-stage clinical trials or the ultimately completed trial. For instance, while we have preliminary study results for our clinical studies of DKN-01 in

esophagogastric cancer, gynecologic cancer, and biliary tract cancer, as well as our two clinical studies of TRX518, these studies are still ongoing and the ultimate study results may be different than the preliminary ones we have seen to date. Moreover, while we have seen preliminary favorable results in individual study subjects, these results may not be representative of the ultimate study population. Finally, the clinical trials conducted to date are relatively small, open-label, uncontrolled studies. Preliminary and final results from such studies may not be representative of study results that are found in larger, controlled, blinded, and more long term studies.

Product candidates in later stages of clinical trials may fail to show the desired safety and efficacy traits despite having progressed through preclinical studies and initial clinical trials. Preclinical studies may also reveal unfavorable product candidate characteristics, including safety concerns. In some instances, there can be significant variability in safety or efficacy results between different clinical trials of the same product candidate due to numerous factors, including changes in trial procedures set forth in protocols, the impact of an active comparator arm, differences in the size and type of the patient populations, changes in and adherence to the clinical trial protocols, changes in medical prescribing practices, and the rate of dropout among clinical trial participants.

Our future clinical trial results may not be successful. A number of companies in the biopharmaceutical industry have suffered significant setbacks in advanced clinical trials, notwithstanding promising results in earlier trials. Moreover, should there be a flaw in a clinical trial, it may not become apparent until the clinical trial is well advanced. Further, because we currently plan to develop our product candidates for use with established oncology products, the design, implementation, and interpretation of the clinical trials necessary for marketing approval may be more complex than if we were developing our product candidates alone.

We may also experience numerous unforeseen events during, or as a result of, clinical trials that could delay or adversely affect our existing or future development programs, including:

- we may have delays in identifying and adding new investigators or clinical trial sites, we may experience delays in reaching, or fail to reach, agreement on acceptable clinical trial contracts or clinical trial protocols with prospective trial sites and our CROs or we may experience a withdrawal of clinical trial sites;
- the number of patients required for clinical trials of our product candidates may be larger than we anticipate, enrollment in these clinical trials may be slower than we anticipate or participants may drop out of these clinical trials at a higher rate than we anticipate;
- clinical trials of our product candidates may produce negative or inconclusive results, or our studies may fail to reach the necessary level of statistical significance, and we may decide to conduct additional clinical trials or abandon product development programs;
- we may not be able to demonstrate that a product candidate provides an advantage over current standards of care or current or future competitive therapies in development;
- the cost of clinical trials of our product candidates may be greater than we anticipate or we may have insufficient funds for a clinical trial;
- the supply or quality of the clinical trial material of our product candidates may be insufficient or inadequate to conduct clinical trials; and
- there may be changes to the therapies or their regulatory status which we are administering in combination with our product candidates or changes to standard of care, which require that we change our study design, or otherwise halt, discontinue or delay our clinical studies. This occurred for a multiple myeloma study that we were conducting. In that case, the standard of care changed such that we were no longer able to recruit study subjects under the study protocol.

The regulatory approval processes of the FDA and comparable foreign authorities are lengthy, time consuming and inherently unpredictable, especially for an early-stage company such as ours. If we are not able to obtain, or if there are delays in obtaining, required regulatory approvals, we will not be able to commercialize our product candidates as expected, and our ability to generate revenue will be materially impaired.

Because we are at the early stages of the clinical and regulatory development of our product candidates, the time required to obtain approval for them from the FDA and comparable foreign authorities is unpredictable but typically takes many years following the commencement of clinical trials and depends upon numerous factors, including the substantial discretion of the regulatory authorities.

In addition, approval policies, regulations, or the type and amount of clinical data necessary to gain approval may change during the course of a product candidate's clinical development and may vary among jurisdictions. These may require us to amend our clinical trial protocols, conduct additional studies that require regulatory or institutional review board, or IRB, approval, or otherwise cause delays in the approval or rejection of an application. We have not obtained regulatory approval for any product candidate and it is possible that none of our existing product candidates or any product candidates we may seek to develop in the future will ever obtain regulatory approval. Moreover, we have only completed early studies and enrolled limited numbers of patients for both DKN-01 and TRX518. Both DKN-01 and TRX518 will require additional preclinical and clinical development, as well as additional manufacturing development before we will be able to submit marketing applications to the FDA. Moreover, should the FDA determine that a companion diagnostic device is required for use of our product candidates or should we decide to pursue the development of a companion diagnostic device for the use of our product candidates, further development work would be required for such a device, including, possibly the approval of an Investigational Device Exemption for the study of such a device from the FDA, compliance with the FDA's device regulations, and either FDA clearance or approval of the device for commercial use. Such development would potentially take additional time and be subject to the risk of FDA non-approval or clearance of the diagnostic. Any delay in obtaining or failure to obtain required approvals could materially adversely affect our ability or that of any of our future collaborators to generate revenue from the particular product candidate, which likely would result in significant harm to our financial position and adversely impact our stock price.

Our product candidates and the activities associated with their development and commercialization, including their design, testing, manufacture, safety, efficacy, recordkeeping, labeling, storage, approval, advertising, marketing, promotion, sale, and distribution, are subject to comprehensive regulation by the FDA and other regulatory agencies in the United States and by the EMA, and similar regulatory authorities outside the United States and Europe. Failure to obtain marketing approval for a product candidate will prevent us from commercializing the product candidate. We have no experience in filing and supporting the applications necessary to gain marketing approvals and expect to rely on third-party clinical research organizations, or CROs, and consultants to assist us in this process. Securing marketing approval requires the submission of extensive preclinical and clinical data and supporting information to regulatory authorities for each therapeutic indication to establish the product candidate's safety, purity, and potency for that indication. Securing marketing approval also requires the submission of information about the product manufacturing process to, and inspection of manufacturing facilities and clinical trial sites by, the regulatory authorities.

We may also experience numerous unforeseen events during, or as a result of, clinical trials that could delay or prevent our ability to receive marketing approval or commercialize our product candidates, including:

- regulators or IRBs may not authorize us or our investigators to commence a clinical trial or to conduct a clinical trial at a prospective trial site, we may fail to reach an agreement with

regulators or IRBs regarding the scope, design, or implementation of our clinical trials or regulators or IRBs may require that we modify or amend our clinical trial protocols;

- our third-party contractors may fail to comply with regulatory requirements, standard operating procedures or the clinical trial protocol, or meet their contractual obligations to us in a timely manner, or at all, or we may be required to engage in additional clinical trial site monitoring or manufacturing activities;

- we, the regulators, or IRBs may require that we or our investigators suspend or terminate clinical research for various reasons, including noncompliance with regulatory requirements or a finding that the participants are being exposed to unacceptable health risks, undesirable side effects, or other unexpected characteristics of the product candidate, or due to findings of undesirable effects caused by a chemically or mechanistically similar therapeutic or therapeutic candidate;

- changes in or the enactment of additional statutes or regulations;

- there may be changes in marketing approval or regulatory review policies during the development period rendering our data insufficient to obtain marketing approval;

- we may decide, or regulators may require us, to conduct additional clinical trials, analyses, reports, data, or preclinical trials, or we may abandon product development programs;

- there may be regulatory questions or disagreements regarding interpretations of data and results, or new information may emerge regarding our product candidates, the FDA or comparable foreign regulatory authorities may disagree with our study design or our interpretation of data from preclinical studies and clinical trials or find that a product candidate's benefits do not outweigh its safety risks;

- the FDA or comparable regulatory authorities may disagree with our intended indications;

- the FDA or comparable foreign regulatory authorities may fail to approve or subsequently find fault with the manufacturing processes or our manufacturing facilities for clinical and future commercial supplies;

- the data collected from clinical trials of our product candidates or any additional product candidate may not be sufficient to the satisfaction of the FDA or comparable foreign regulatory authorities to support the submission of a BLA, or other comparable submission in foreign jurisdictions or to obtain regulatory approval in the United States or elsewhere; and

- the FDA or comparable regulatory authorities may take longer than we anticipate to make a decision on our product candidates.

Regulatory authorities have substantial discretion in the approval process and may refuse to accept any application or may decide that our data are insufficient for approval and require additional preclinical, clinical or other studies. In addition, varying interpretations of the data obtained from preclinical and clinical testing could delay, limit or prevent marketing approval of a product candidate. The number and types of preclinical studies and clinical trials that will be required for regulatory approval also varies depending on the product candidate, the disease or condition that the product candidate is designed to address, and the regulations applicable to any particular product candidate.

Approval policies, regulations or the type and amount of clinical data necessary to gain approval may change during the course of a product candidate's clinical development and may vary among jurisdictions, and there may be varying interpretations of data obtained from preclinical studies or clinical trials, either of which may cause delays or limitations in the approval or the decision not to approve an application. It is possible that neither of our product candidates nor any product candidates

we may seek to develop in the future will ever obtain the appropriate regulatory approvals necessary for us or any future collaborators to commence product sales.

Finally, even if we were to obtain approval, regulatory authorities may approve any of our product candidates for fewer or more limited indications or uses than we request, may contain significant safety warnings, including black box warnings, contraindications, and precautions, may grant approval contingent on the performance of costly post-marketing clinical trials, surveillance, or other requirements, including risk evaluation and mitigation strategies, or REMS, to monitor the safety or efficacy of the product, or may approve a product candidate with a label that does not include the labeling claims necessary or desirable for the successful commercialization of that product candidate. Any of these scenarios could compromise the commercial prospects for our product candidates.

If we experience delays in obtaining approval, if we fail to obtain approval of a product candidate or if the label for a product candidate does not include the labeling claims necessary or desirable for the successful commercialization of that product candidate, the commercial prospects for such product candidate may be harmed and our ability to generate revenues from that product candidate will be materially impaired.

If we experience delays or difficulties in the enrollment of patients in clinical trials, our receipt of necessary regulatory approvals could be delayed or prevented.

We may not be able to initiate or continue conducting clinical trials for our product candidates if we are unable to locate and enroll a sufficient number of eligible patients to participate in these trials as required by the FDA or similar regulatory authorities outside the United States. Some of our competitors have ongoing clinical trials for product candidates that treat the same indications or use the same mechanism of action as our product candidates, and patients who would otherwise be eligible for our clinical trials may instead enroll in clinical trials of our competitors' product candidates. Patient enrollment is affected by other factors including:

- the size and nature of the patient population;
- the severity of the disease under investigation;
- the eligibility criteria for, and design of, the clinical trial in question, including factors such as frequency of required assessments, length of the study and ongoing monitoring requirements;
- the perceived risks and benefits of the product candidate under study, including the potential advantages or disadvantages of the product candidate being studied in relation to other available therapies;
- competition in recruiting and enrolling patients in clinical trials;
- the efforts to facilitate timely enrollment in clinical trials;
- the patient referral practices of physicians;
- effectiveness of publicity created by clinical trial sites regarding the trial;
- patients' ability to comply with the specific instructions related to the trial protocol, proper documentation, and use of the biologic product;
- our inability to obtain or maintain patient informed consents;
- the risk that enrolled patients will drop out before completion or not return for post-treatment follow-up;
- the ability to monitor patients adequately during and after treatment; and
- the proximity and availability of clinical trial sites for prospective patients.

Our inability to enroll a sufficient number of patients for our clinical trials would result in significant delays and could require us to abandon one or more clinical trials altogether.

Enrollment delays in our clinical trials may result in increased development costs for our product candidates, or the inability to complete development of our product candidates, which would materially impair our ability to generate revenues, limit our ability to obtain additional financing and cause the value of our company to decline.

The FDA may determine that any of our current or future product candidates have undesirable side effects that could delay or prevent their regulatory approval or commercialization.

Undesirable side effects caused by our product candidates could cause us, IRBs, and other reviewing entities or regulatory authorities to interrupt, delay, or halt clinical trials and could result in a more restrictive label or the delay or denial of regulatory approval by the FDA or other comparable foreign authorities. For example, if concerns are raised regarding the safety of a new therapeutic as a result of undesirable side effects identified during clinical or preclinical testing, the FDA may order us to cease further development, decline to approve a product candidate or issue a letter requesting additional data or information prior to making a final decision regarding whether or not to approve the biologic. FDA requests for additional data or information can result in substantial delays in the approval of a new biologic.

Undesirable side effects caused by any of our current or future product candidates could also result in denial of regulatory approval by the FDA or other comparable foreign authorities for any or all targeted indications or the inclusion of unfavorable information in our product labeling, such as limitations on the indicated uses for which the products may be marketed or distributed, a label with significant safety warnings, including boxed warnings, contraindications, and precautions, a label without statements necessary or desirable for successful commercialization, or may result in requirements for costly post-marketing testing and surveillance, or other requirements, including REMS, to monitor the safety or efficacy of the products, and in turn prevent us from commercializing and generating revenues from the sale of our current or future product candidates.

If any of our product candidates is associated with serious adverse events or undesirable side effects or have properties that are unexpected, we may need to abandon development or limit development of that product candidate to certain uses or subpopulations in which the undesirable side effects or other characteristics are less prevalent, less severe or more acceptable from a risk-benefit perspective. The therapeutic-related side effects could affect patient recruitment or the ability of enrolled patients to complete the trial or result in potential product liability claims. Any of these occurrences may significantly harm our business, financial condition, results of operations, and prospects.

Failure to obtain marketing approval in international jurisdictions would prevent our product candidates from being marketed abroad.

In order to market and sell our products in the European Union and other jurisdictions, we or our third-party collaborators must obtain separate marketing approvals and comply with numerous and varying regulatory requirements. The approval procedure varies among countries and can involve additional testing, in some cases involving clinical trials involving subjects from the country. The time required to obtain approval may differ substantially from that required to obtain FDA approval. The regulatory approval process outside the United States generally includes all of the risks associated with obtaining FDA approval. In addition, in many countries outside the United States, it is required that the product be approved for reimbursement before the product can be approved for sale in that country. We or these third parties may not obtain approvals from regulatory authorities outside the United States on a timely basis, if at all. Approval by the FDA does not ensure approval by regulatory

authorities in other countries or jurisdictions, and approval by one regulatory authority outside the United States does not ensure approval by regulatory authorities in other countries or jurisdictions or by the FDA. However, the failure to obtain approval in one jurisdiction may compromise our ability to obtain approval elsewhere. We may not be able to file for marketing approvals and may not receive necessary approvals to commercialize our products in any market.

Even if our product candidates receive regulatory approval, we will be subject to ongoing obligations and continued regulatory review, which may result in significant additional expense. Additionally, any of our product candidates, if approved, could be subject to labeling and other restrictions and market withdrawal and we may be subject to penalties if we fail to comply with regulatory requirements or experience unanticipated problems with our products.

Any product candidate for which we obtain marketing approval will be subject to extensive and ongoing requirements of and review by the FDA and other regulatory authorities, including requirements related to the manufacturing processes, post-approval clinical data, labeling, packaging, distribution, adverse event reporting, storage, recordkeeping, export, import, advertising, marketing, and promotional activities for such product. These requirements further include submissions of safety and other post-marketing information, including manufacturing deviations and reports, registration and listing requirements, the payment of annual fees for our product candidates, if approved, and the establishments at which they are manufactured, continued compliance with cGMP requirements relating to manufacturing, quality control, quality assurance, and corresponding maintenance of records and documents, requirements regarding the distribution of samples to physicians and recordkeeping, and good clinical practices, or GCPs, for any clinical trials that we conduct post-approval.

Even if marketing approval of a product candidate is granted, the approval may be subject to limitations on the indicated uses and populations for which the product may be marketed or to the conditions of approval, including significant safety warnings, including boxed warnings, contraindications, and precautions that are not desirable for successful commercialization and any requirement to implement a REMS that render the approved product not commercially viable or other post-market requirements or restrictions. Moreover, the FDA and comparable foreign regulatory authorities will continue to closely monitor the safety profile of any product even after approval. If the FDA or comparable foreign regulatory authorities become aware of new safety information after approval of any of our product candidates, they may withdraw approval, require labeling changes or establishment of a REMS or similar strategy, impose significant restrictions on a product's indicated uses or marketing, or impose ongoing requirements for potentially costly post-approval studies or post-market surveillance. Any such restrictions could limit sales of the product.

We and any of our collaborators, including our contract manufacturers, could be subject to periodic unannounced inspections by the FDA to monitor and ensure compliance with cGMPs. Application holders must further notify the FDA, and depending on the nature of the change, obtain FDA pre-approval for product and manufacturing changes. Application fees may apply to certain changes.

In addition, later discovery of previously unknown adverse events or that the product is less effective than previously thought or other problems with our products, manufacturers or manufacturing processes, or failure to comply with regulatory requirements both before and after approval, may yield various results, including:

- restrictions on manufacturing or distribution, or marketing of such products;
- restrictions on the labeling, including required additional warnings, such as black box warnings, contraindications, precautions, and restrictions on the approved indication or use;
- modifications to promotional pieces;

- requirements to conduct post-marketing studies or clinical trials;
- clinical holds or termination of clinical trials;
- requirements to establish or modify a REMS or a comparable foreign authority may require that we establish or modify a similar strategy, that may, for instance, require us to create a Medication Guide outlining the risks of the previously unidentified side effects for distribution to patients, or restrict distribution of the product, if and when approved, and impose burdensome implementation requirements on us;
- changes to the way the biologic is administered;
- liability for harm caused to patients or subjects;
- reputational harm;
- the product becoming less competitive;
- warning, untitled, or cyber letters;
- suspension of marketing or withdrawal of the products from the market;
- regulatory authority issuance of safety alerts, Dear Healthcare Provider letters, press releases, or other communications containing warnings or other safety information about the biologic;
- refusal to approve pending applications or supplements to approved applications that we submit;
- recalls of products;
- fines, restitution or disgorgement of profits or revenues;
- suspension or withdrawal of marketing approvals;
- refusal to permit the import or export of our products;
- product seizure or detention;
- FDA debarment, debarment from government contracts, and refusal of future orders under existing contracts, exclusion from federal healthcare programs, consent decrees, or corporate integrity agreements; or
- injunctions or the imposition of civil or criminal penalties, including imprisonment.

Any of these events could prevent us from achieving or maintaining market acceptance of the particular product candidate, if approved, or could substantially increase the costs and expenses of commercializing such product, which in turn could delay or prevent us from generating significant revenues from its sale. Any of these events could have other material and adverse effects on our operations and business and could adversely impact our stock price and could significantly harm our business, financial condition, results of operations, and prospects.

Laws, regulatory policies, and medical practices could change in ways that are not favorable to us.

The FDA's policies may change and additional government regulations may be enacted that could prevent, limit or delay regulatory approval of our product candidates, that could limit the marketability of our product candidates, or that could impose additional regulatory obligations on us if our product candidates are approved. Changes in medical practice and standard of care may also impact the marketability of our product candidates. For instance, because we are currently planning to develop our product candidates for use with other cancer therapies, should there be a change to the regulatory status of the other therapy or should the standard of care change, the marketability of our product candidates would be impacted.

If we are slow or unable to adapt to changes in existing requirements, standards of care, or the adoption of new requirements or policies, or if we are not able to maintain regulatory compliance, we may lose any marketing approval that we may have obtained and be subject to regulatory enforcement action. Should any of the above actions take place, they could adversely affect our ability to achieve or sustain profitability. Further, the cost of compliance with post-approval regulations may have a negative effect on our operating results and financial condition.

Risks Related to the Development and Commercialization of Our Product Candidates

The therapeutic safety and efficacy of DKN-01 is unproven, and we may not be able to successfully develop and commercialize DKN-01.

DKN-01 is a novel monoclonal antibody and its potential benefit as a therapeutic cancer drug is unproven. Our ability to generate revenues from the sales of products, which we do not expect will occur in the short term, if ever, will depend on successful development and commercialization after approval, if achieved, which is subject to many potential risks. DKN-01 may interact with human biological systems in unforeseen, ineffective or harmful ways. If DKN-01 is associated with undesirable side effects or has characteristics that are unexpected, we may need to abandon its development or limit development to certain uses or subpopulations in which the undesirable side effects or other characteristics are less prevalent, less severe or more acceptable from a risk-benefit perspective. Many compounds that initially showed promise in early stage testing for treating cancer have later been found to be ineffective in later stage studies or cause side effects that prevented further development of the compound. As a result of these and other risks described herein that are inherent in the development of novel therapeutic agents, we may never successfully develop, enter into or maintain third party licensing or collaboration transactions with respect to, or successfully commercialize DKN-01, in which case we will not achieve profitability and the value of our stock may decline.

The results of preclinical studies or early clinical trials are not necessarily predictive of future results, and DKN-01 may not have favorable results in later clinical trials or receive regulatory approval.

Success in preclinical studies and early clinical trials does not ensure that later clinical trials will generate adequate data to demonstrate the efficacy and safety of DKN-01. A number of companies in the pharmaceutical and biotechnology industries, including those with greater resources and experience than we have, have suffered significant setbacks in clinical trials, even after seeing promising results in earlier clinical trials. Despite the results reported in earlier preclinical and clinical trials for DKN-01, we do not know whether the clinical trials we may conduct will demonstrate adequate efficacy and safety to result in regulatory approval to market DKN-01 in any particular jurisdiction. If our clinical trials do not produce favorable results, our ability to achieve regulatory approval for DKN-01 will be adversely impacted and the value of our stock may decline.

Our future success is dependent primarily on the regulatory approval and commercialization of DKN-01, which is currently undergoing early stage clinical trials.

We do not have any products that have gained regulatory approval. Currently, our most advanced clinical-stage product candidate is DKN-01. As a result, our business is substantially dependent on our ability to obtain regulatory approval for, and, if approved, to successfully commercialize DKN-01 in a timely manner. We cannot commercialize the product in the U.S. without first obtaining regulatory approval from the FDA; similarly, we cannot commercialize the product outside of the U.S. without obtaining regulatory approval from comparable foreign regulatory authorities. Before obtaining regulatory approvals for the commercial sale of the product for a target indication, we must demonstrate with substantial evidence gathered in preclinical studies and well-controlled clinical trials, that the product is safe and effective for use for that target indication and that the manufacturing facilities, processes and controls are adequate. Even if DKN-01 were to successfully obtain approval

from the FDA and comparable foreign regulatory authorities, any approval might contain significant limitations related to use restrictions for specified age groups, warnings, precautions or contraindications, or may be subject to burdensome post-approval study or risk management requirements. If we are unable to obtain regulatory approval in one or more jurisdictions, or any approval contains significant limitations, we may not be able to obtain sufficient funding or generate sufficient revenue to continue the development of any other product candidate that we may discover, in-license, develop or acquire in the future. Furthermore, even if we obtain regulatory approval for our products, we will still need to develop a commercial organization or strategy, establish commercially viable pricing and obtain approval for adequate reimbursement from third-party and government payors. If we are unable to successfully commercialize our products, we may not be able to earn sufficient revenues to continue our business.

Our commercial success depends upon attaining significant market acceptance of DKN-01, if approved, among physicians, patients, healthcare payors and the major operators of cancer clinics.

Even if we obtain regulatory approval for DKN-01, DKN-01 may not gain market acceptance among physicians, healthcare payors, patients or the medical community. Market acceptance of DKN-01, if we receive approval, depends on a number of factors, including the:

- efficacy and safety of DKN-01 as demonstrated in clinical trials and post-marketing experience;
- clinical indications for which DKN-01 is approved;
- acceptance by physicians, major operators of cancer clinics and patients of DKN-01 as a safe and effective treatment;
- potential and perceived advantages of DKN-01 over alternative treatments;
- product labeling or product insert requirements of the FDA or other regulatory authorities;
- timing of market introduction of DKN-01 as well as competitive products;
- cost of treatment in relation to alternative treatments;
- availability of coverage and adequate reimbursement and pricing by third-party payors and government authorities;
- relative convenience and ease of administration; and
- effectiveness of our sales and marketing efforts.

Moreover, if DKN-01 is approved but fails to achieve market acceptance among physicians, patients, or healthcare payors, we may not be able to generate significant revenues, which would compromise our ability to become profitable.

If we are unable to establish effective marketing and sales capabilities or enter into agreements with third parties to market and sell our product candidates, if they are approved, we may be unable to generate product revenues.

We currently do not have a commercial infrastructure for the marketing, sale, and distribution of pharmaceutical products. If approved, in order to commercialize our products, we must build our marketing, sales, and distribution capabilities or make arrangements with third parties to perform these services. We may not be successful in doing so. Should we decide to develop our own marketing capabilities, we will incur substantial expenses prior to product launch or even approval in order to recruit a sales force and develop a marketing and sales infrastructure. If a commercial launch is delayed as a result of FDA requirements or other reasons, we would incur these expenses prior to being able to realize any revenue from sales of our product candidates. Even if we are able to

effectively hire a sales force and develop a marketing and sales infrastructure, our sales force and marketing teams may not be successful in commercializing our current or future product candidates.

We have no prior experience in the marketing, sale, and distribution of pharmaceutical products, and there are significant risks involved in the building and managing of a commercial infrastructure. The establishment and development of commercial capabilities, including compliance plans, to market any products we may develop will be expensive and time consuming and could delay any product launch, and we may not be able to successfully develop this capability. We, or our future collaborators, will have to compete with other pharmaceutical and biotechnology companies to recruit, hire, train, manage, and retain marketing and sales personnel.

We have granted BeiGene the right to commercialize DKN-01, if approved, in Asia (excluding Japan), Australia, and New Zealand. We may also or alternatively decide to collaborate with a third-party marketing and sales organization to commercialize any approved product candidates in the non-BeiGene territories. To the extent we rely on third parties, such as BeiGene, to commercialize any products for which we obtain regulatory approval, we may receive less revenue than if we commercialized these products ourselves. In addition, we will have less control over the sales efforts of any other third parties involved in our commercialization efforts, and could be held liable if they failed to comply with applicable legal or regulatory requirements.

Even if we are able to commercialize DKN-01, DKN-01 may not receive coverage and adequate reimbursement from third-party payors, which could harm our business.

Our ability to commercialize DKN-01 successfully will depend, in part, on the extent to which coverage and adequate reimbursement for DKN-01 and related treatments will be available from government health administration authorities, private health insurers and other organizations. Government authorities and third-party payors, such as private health insurers and health maintenance organizations, determine which medications they will cover and establish reimbursement levels. We cannot be sure that coverage and adequate reimbursement will be available for DKN-01 and, if reimbursement is available, what the level of reimbursement will be. Coverage and reimbursement may impact the demand for, or the price of, DKN-01, if we obtain marketing approval. If reimbursement is not available or is available only at limited levels, we may not be able to successfully commercialize DKN-01, if we obtain marketing approval.

There may be significant delays in obtaining coverage and reimbursement for newly approved drugs, and coverage may be more limited than the purposes for which the drug is approved by the FDA or comparable foreign regulatory authorities. Moreover, eligibility for coverage and reimbursement does not imply that any drug will be paid for in all cases or at a rate that covers our costs, including research, development, manufacture, sale and distribution. Third-party payors often rely upon Medicare coverage policy and payment limitations in setting their own reimbursement policies. Our inability to obtain coverage and profitable reimbursement rates from both government-funded and private payors for any approved products that we develop could have a material adverse effect on our operating results, our ability to raise capital needed to commercialize products and our overall financial condition.

We face substantial competition, which may result in others discovering, developing or commercializing products before, or more successfully than, we do.

The development and commercialization of new drug products is highly competitive, especially in the oncology space in which we operate. We face competition with respect to DKN-01, and will face competition with respect to any other product candidates that we may seek to develop or commercialize in the future, from major pharmaceutical companies, specialty pharmaceutical companies and biotechnology companies worldwide. There are a number of large pharmaceutical and

biotechnology companies that currently market and sell products or are pursuing the development of products for the treatment of cancer. Some of these competitive products and therapies are based on scientific approaches that are the same as or similar to our approach for DKN-01, and others are based on entirely different approaches. For example, there are several companies developing product candidates that target the same cancer pathways that we are targeting or that are testing product candidates in the same cancer indications that we are testing. For example, Novartis AG, or Novartis, Merck & Co., or Merck, and Pfizer, Inc. are all currently developing or have previously been developing anti-DKK1 monoclonal antibodies.

More established companies may have a competitive advantage over us due to their greater size, cash flows and institutional experience. Compared to us, many of our competitors may have significantly greater financial, technical and human resources. As a result of these factors, our competitors may obtain regulatory approval of their products before we are able to, which may limit our ability to develop or commercialize DKN-01. Our competitors may also develop drugs that are safer, more effective, more widely used and cheaper than ours, and may also be more successful than us in manufacturing and marketing their products. These appreciable advantages could render DKN-01 non-competitive before we can recover the expenses of development and commercialization.

Our product candidates may face biosimilar competition sooner than anticipated.

The enactment of the Biologics Price Competition and Innovation Act of 2009, or BPCIA, as part of the Affordable Care Act, or ACA, created an abbreviated pathway for the approval of biosimilar and interchangeable biological products. The abbreviated regulatory pathway establishes legal authority for the FDA to review and approve biosimilar biologics, including the possible designation of a biosimilar as "interchangeable" based on its similarity to an existing brand product. Under the BPCIA, an application for a biosimilar product cannot be approved by the FDA until 12 years after the original branded product was approved under a BLA.

We believe our product candidates approved as a biological product under a BLA should qualify for the BPCIA's 12-year period of exclusivity. However, this period of regulatory exclusivity does not apply to companies pursuing regulatory approval via their own traditional BLA, rather than via the abbreviated pathway. Moreover, the extent to which a biosimilar, once approved, will be substituted for any one of our reference products in a way that is similar to traditional generic substitution for non-biological products is not yet clear, and will depend on a number of marketplace and regulatory factors that are still developing.

The law is complex and is still being interpreted and implemented by the FDA. As a result, its ultimate impact, implementation, and meaning are subject to uncertainty. While it is uncertain when such processes intended to implement the BPCIA may be fully adopted by the FDA, any such processes could have a material adverse effect on the future commercial prospects for our biological products. Future proposed budgets, international trade agreements and other arrangements or proposals may also affect periods of exclusivity in the future.

We face potential product liability exposure, and if successful claims are brought against us, we may incur substantial liability for our current or future product candidates and may have to limit their commercialization.

The use of our current or future product candidates in clinical trials, and the sale of any of our product candidates for which we obtain regulatory approval, exposes us to the risk of product liability claims. We face inherent risk of product liability related to the testing of our product candidates in human clinical trials and will face an even greater risk if we commercially sell any product candidates that we may develop. Product liability claims might be brought against us by consumers, healthcare providers or others using, administering or selling our products. If we cannot successfully defend

ourselves against these claims, we will incur substantial liabilities or be required to limit commercialization of our product candidates. Even successful defense would require significant financial and management resources.

While we currently carry insurance that we believe is appropriate for a company at our stage of development, our insurance coverage may not reimburse us or may not be sufficient to reimburse us for any expenses or losses we may suffer. Moreover, insurance coverage is becoming increasingly expensive, and, in the future, we may not be able to maintain insurance coverage at a reasonable cost or in sufficient amounts to protect us against losses due to liability. On occasion, large judgments have been awarded in class action lawsuits based on therapeutics that had unanticipated side effects. A successful product liability claim or series of claims brought against us could cause our stock price to fall and, if judgments exceed our insurance coverage, could decrease our cash and adversely affect our business and our prospects.

Laws and regulations governing international operations may preclude us from developing, manufacturing and selling product candidates outside of the U.S. and require us to develop and implement costly compliance programs.

As we seek to expand our operations outside of the U.S., we must comply with numerous laws and regulations in each jurisdiction in which we plan to operate. The creation and implementation of international business practices compliance programs is costly and such programs are difficult to enforce, particularly where reliance on third parties is required.

The Foreign Corrupt Practices Act, or FCPA, prohibits any U.S. individual or business from paying, offering, authorizing payment or offering of anything of value, directly or indirectly, to any foreign official, political party or candidate for the purpose of influencing any act or decision of the foreign entity in order to assist the individual or business in obtaining or retaining business. The FCPA also obligates companies whose securities are listed in the U.S. to comply with certain accounting provisions requiring such companies to maintain books and records that accurately and fairly reflect all transactions of the corporation, including international subsidiaries, and to devise and maintain an adequate system of internal accounting controls for international operations. The anti-bribery provisions of the FCPA are enforced primarily by the Department of Justice, or DOJ. The Securities and Exchange Commission, or the Commission, is involved with enforcement of the books and records provisions of the FCPA.

Compliance with the FCPA is expensive and difficult, particularly in countries in which corruption is a recognized problem. In addition, the FCPA presents particular challenges in the pharmaceutical industry, because, in many countries, hospitals are operated by the government, and doctors and other hospital employees are considered foreign officials. Certain payments to hospitals in connection with clinical trials and other work have been deemed to be improper payments to government officials and have led to FCPA enforcement actions.

Various laws, regulations and executive orders also restrict the use and dissemination outside of the U.S., or the sharing with certain non-U.S. nationals, of information classified for national security purposes, as well as certain products and technical data relating to those products. Our presence outside of the U.S. will require us to dedicate additional resources to comply with these laws, and these laws may preclude us from developing, manufacturing, or selling DKN-01 outside of the U.S., which could increase our development costs and limit our growth potential.

The failure to comply with laws governing international business practices may result in substantial penalties, including suspension or debarment from government contracting. Violation of the FCPA can result in significant civil and criminal penalties. Indictment alone under the FCPA can lead to suspension of the right to do business with the U.S. government until the pending claims are resolved. Conviction of a violation of the FCPA can result in long-term disqualification as a government

contractor. The termination of a government contract or relationship as a result of our failure to satisfy any of our obligations under laws governing international business practices, which would have a negative impact on our business and harm our reputation and ability to procure government contracts. The Commission also may suspend or bar issuers from trading securities on U.S. exchanges for violations of the FCPA's accounting provisions.

Our relationships with customers and third-party payors will be subject to applicable anti-kickback, fraud and abuse and other healthcare laws and regulations, which could expose us to criminal sanctions, civil penalties, contractual damages, reputational harm and diminished profits and future earnings.

Healthcare providers, physicians and third-party payors will play a primary role in the recommendation and prescription of any product candidates for which we obtain marketing approval. Our future arrangements with third-party payors and customers may expose us to broadly applicable fraud and abuse and other healthcare laws and regulations that may affect the business or financial arrangements and relationships through which we would market, sell and distribute our products. Federal and state healthcare laws and regulations pertaining to fraud and abuse and patients' rights are and will be applicable to our business. Restrictions under applicable federal and state healthcare laws and regulations that may affect our operations (including our marketing, promotion, educational programs, pricing, and relationships with healthcare providers or other entities, among other things) and expose us to areas of risk include the following: (i) the federal healthcare Anti-Kickback Statute; (ii) federal civil and criminal false claims laws and civil monetary penalty laws; (iii) the federal Health Insurance Portability and Accountability Act of 1996, or HIPAA; (iv) HIPAA, as amended by the Health Information Technology for Economic and Clinical Health Act, or HITECH; (v) the federal physician sunshine requirements under the Affordable Care Act; and (vi) analogous state and foreign laws and regulations, such as state anti-kickback and false claims laws and state and foreign laws governing the privacy and security of health information in specified circumstances.

Efforts to ensure that our business arrangements with third parties are compliant with applicable healthcare laws and regulations will involve the expenditure of appropriate, and possibly significant, resources. Nonetheless, it is possible that governmental authorities will conclude that our business practices may not comply with current or future statutes, regulations or case law involving applicable fraud and abuse or other healthcare laws and regulations. If our operations are found to be in violation of any of these laws or any other governmental regulations that may apply to us, we may be subject to significant civil, criminal and administrative penalties, damages, fines, imprisonment, exclusion from government funded healthcare programs, such as Medicare and Medicaid, and the curtailment or restructuring of our operations. If any physicians or other healthcare providers or entities with whom we expect to do business are found to not be in compliance with applicable laws, they may be subject to criminal, civil or administrative sanctions, including exclusions from government funded healthcare programs.

We will need to grow the size of our organization, and we may experience difficulties in managing this growth.

As of March 5, 2020, we had 24 full-time employees and 2 part-time employees, of whom six hold Ph.D. degrees and two hold an M.D. degree. We will need additional managerial, operational, sales, marketing, financial and other resources. Future growth would impose significant added responsibilities on members of management, including:

- identifying, recruiting, maintaining, motivating and integrating additional employees;
- improving our managerial, development, operational and finance systems; and
- expanding our facilities.

Because of the specialized scientific and managerial nature of our business, we rely heavily on our ability to attract and retain qualified scientific, technical and managerial personnel. The competition for qualified personnel in the pharmaceutical field is intense and as a result, we may be unable to continue to attract and retain qualified personnel necessary for the development of our business. As our operations expand, we will also need to manage additional relationships with various strategic partners, suppliers and other third parties. Our future financial performance and our ability to develop and commercialize DKN-01, if approved, and to compete effectively will depend, in part, on our ability to manage future growth effectively. Our failure to accomplish any of these tasks could prevent us from successfully growing our company.

We may acquire other assets, form collaborations or make investments in other companies or technologies, that could harm our operating results, dilute our stockholders' ownership, increase our debt or cause us to incur significant expense.

As part of our business strategy, we may pursue acquisitions of assets, including preclinical or clinical stage product candidates, or enter into strategic alliances and collaborations to expand our existing programs and operations, such as the BeiGene Agreement. We may not maintain or complete these transactions on a cost-effective basis, or at all, and we may not realize the anticipated benefits of any such transaction, any of which could have a detrimental effect on our financial condition, results of operations and cash flows. We may not be able to find suitable acquisition candidates, and if we make any acquisitions, we may not be able to integrate these acquisitions successfully into our existing business and we may incur additional debt or assume unknown or contingent liabilities in connection therewith. Integration of an acquired company or assets may also disrupt ongoing operations, require the hiring of additional personnel and the implementation of additional internal systems and infrastructure, and require management resources that would otherwise focus on developing our existing business. We may not be able to find or maintain suitable strategic alliance or collaboration partners or identify other investment opportunities, and we may experience losses related to any such investments.

To finance any acquisitions or collaborations, we may choose to issue debt or shares of our common stock as consideration. Any such issuance of shares would dilute the ownership of our stockholders. If the price of our common stock is low or volatile, we may not be able to acquire other assets or companies or fund a transaction using our stock as consideration. Alternatively, it may be necessary for us to raise additional funds for acquisitions through public or private financings. Additional funds may not be available on terms that are favorable to us, or at all.

Risks Related to Our Dependence on Third Parties

We expect to rely on BeiGene to perform its obligations under the BeiGene Agreement and to develop and commercialize DKN-01 in the BeiGene Territory.

Pursuant to the terms of the BeiGene Agreement, we granted to BeiGene the right to an exclusive license to develop, manufacture and commercialize DKN-01 in the BeiGene Territory. We expect to rely on BeiGene with respect to DKN-01 in the BeiGene Territory, and will have limited influence over their performance. The failure of BeiGene to exercise the option or to successfully carry out its contractual development and commercialization responsibilities could substantially harm our business, because we have no development or commercialization experience or personnel in the BeiGene Territory. We cannot assure you that BeiGene will execute its option or successfully develop or commercialize DKN-01.If BeiGene were to terminate the BeiGene Agreement, then that could delay our DKN-01 development activities and adversely affect our business.

We rely, and expect to continue to rely, on third parties to conduct, supervise, and monitor our preclinical studies and clinical trials. If these third parties do not carry out their contractual duties or do not perform satisfactorily, including failing to meet deadlines for the completion of such trials or failing to comply with regulatory requirements, our business could be substantially harmed.

We rely on third-party contract research organizations, or CROs, to conduct, supervise, and monitor our preclinical and clinical trials for our product candidates. We expect to continue to rely on third parties, such as CROs, clinical data management organizations, medical institutions, and clinical investigators, to conduct our preclinical studies and clinical trials. While we have agreements governing their activities, we have limited influence over their actual performance and control only certain aspects of their activities. The failure of these third parties to successfully carry out their contractual duties or meet expected deadlines could substantially harm our business, because we may be delayed in completing or unable to complete the clinical trials required to support future approval of our product candidates, or we may not obtain marketing approval for or commercialize our product candidates in timely manner or at all. Moreover, these agreements might terminate for a variety of reasons, including a failure to perform by the third parties. If we need to enter into alternative arrangements, then that could delay our product development activities and adversely affect our business.

Our reliance on these third parties for development activities reduces our control over these activities. Nevertheless, we are responsible for ensuring that each of our studies is conducted in accordance with the applicable protocol, legal, regulatory, and scientific standards, and our reliance on the CROs does not relieve us of our regulatory responsibilities. For example, we will remain responsible for ensuring that each of our clinical trials is conducted in accordance with the general investigational plan and protocols for the trial and for ensuring that our preclinical trials are conducted in accordance with GLPs, as appropriate. Moreover, the FDA and comparable foreign regulatory authorities require us to comply with standards, commonly referred to as GCPs, for conducting, recording, and reporting the results of clinical trials to assure that data and reported results are credible and accurate and that the rights, integrity, and confidentiality of trial participants are protected. Regulatory authorities enforce these requirements through periodic inspections of trial sponsors, clinical investigators, and trial sites. If we or any of our CROs fail to comply with applicable GCPs or other regulatory requirements, we or our CROs may be subject to enforcement or other legal actions, the clinical data generated in our clinical trials may be deemed unreliable and the FDA or comparable foreign regulatory authorities may require us to perform additional clinical trials.

In addition, we will be required to report certain financial interests of our third-party investigators if these relationships exceed certain financial thresholds or meet other criteria. The FDA or comparable foreign regulatory authorities may question the integrity of the data from those clinical trials conducted by investigators who may have conflicts of interest.

We cannot assure you that, upon inspection by a given regulatory authority, such regulatory authority will determine that any of our clinical trials complies with GCP regulations. In addition, our clinical trials must be conducted with product candidates that were produced under cGMP regulations. Our failure to comply with these regulations may require us to repeat clinical trials, which would delay the regulatory approval process. We also are required to register certain clinical trials and post the results of certain completed clinical trials on a government-sponsored database, ClinicalTrials.gov, within specified timeframes. Failure to do so can result in enforcement actions and adverse publicity.

Our CROs may also have relationships with other entities, some of which may be our competitors, for whom they may also be conducting clinical trials or other therapeutic development activities that could harm our competitive position. In addition, our CROs are not our employees, and except for remedies available to us under our agreements with such CROs, we cannot control whether or not they devote sufficient time and resources to our ongoing clinical, non-clinical, and preclinical programs. If these third parties do not successfully carry out their contractual duties, meet expected deadlines or

conduct our preclinical studies or clinical trials in accordance with regulatory requirements or our stated protocols, if they need to be replaced or if the quality or accuracy of the data they obtain is compromised due to the failure to adhere to our protocols, regulatory requirements or for other reasons, our trials may be repeated, extended, delayed, or terminated and we may not be able to obtain, or may be delayed in obtaining, marketing approvals for our product candidates and will not be able to, or may be delayed in our efforts to, successfully commercialize our product candidates, or we or they may be subject to regulatory enforcement actions. As a result, our results of operations and the commercial prospects for our product candidates would be harmed, our costs could increase, and our ability to generate revenues could be delayed. To the extent we are unable to successfully identify and manage the performance of third-party service providers in the future, our business may be materially and adversely affected.

If any of our relationships with these third-party CROs terminate, we may not be able to enter into arrangements with alternative CROs or to do so on commercially reasonable terms. Switching or adding additional CROs involves additional cost and requires management time and focus. In addition, there is a natural transition period when a new CRO commences work. As a result, delays could occur, which could compromise our ability to meet our desired development timelines. Though we carefully manage our relationships with our CROs, there can be no assurance that we will not encounter similar challenges or delays in the future or that these delays or challenges will not have a material adverse impact on our business, financial condition and prospects, and results of operations.

If the contract manufacturers upon whom we rely fail to produce our product candidates or components in the volumes that we require on a timely basis, or to comply with stringent regulations applicable to biopharmaceutical manufacturers, we may face delays in the development and commercialization of, or be unable to meet demand for, our product candidates and may lose potential revenues.

We do not manufacture any of our product candidates, and we do not currently plan to develop any capacity to do so. We utilize third-party contract manufacturing organizations, or CMOs, to manufacture the clinical trial material of DKN-01 and TRX518 and expect to do so for commercial products, if approved. We do not have any long-term commitments from our CMOs for clinical trial material or guaranteed prices for our product candidates. Any delays in obtaining adequate supplies with respect to our product candidates will delay the development or commercialization of our product candidates.

Our product candidates compete with other products and product candidates for access to contract manufacturing facilities. There are a limited number of CMOs that operate under cGMP regulations and that are both capable of manufacturing for us and willing to do so. If our existing CMOs, or any new third party CMOs that we engage in the future to manufacture our product candidates for our clinical trials, should cease to continue to do so for any reason, we likely would experience delays in obtaining sufficient quantities of our product candidates for us to advance our clinical trials while we identify and qualify replacement suppliers. Further, even if we do establish such collaborations or arrangements, our CMOs may breach, terminate, or not renew these agreements. We may not succeed in our efforts to establish sufficient manufacturing relationships or other alternative arrangements to meet our needs for any of our existing or future product candidates. If for any reason we are unable to obtain adequate supplies of our product candidates, it will be more difficult for us to conduct clinical trials, develop our product candidates and operate our business.

Any problems or delays we experience in preparing for commercial-scale manufacturing of a product candidate or component may result in a delay in FDA approval of the product candidate or may impair our ability to manufacture commercial quantities or such quantities at an acceptable cost, which could result in the delay, prevention, or impairment of clinical development and commercialization of our product candidates and could adversely affect our business. Furthermore, if our commercial CMOs fail to deliver the required commercial quantities of our product candidates on

a timely basis and at commercially reasonable prices, we would likely be unable to meet demand for our products and we would lose potential revenues.

The manufacture of pharmaceutical products requires significant expertise and capital investment, including the development of advanced manufacturing techniques and process controls. Manufacturers of therapeutics often encounter difficulties in production, particularly in scaling up initial production. These problems include difficulties with production costs and yields, quality control, including stability of the product candidate and quality assurance testing, shortages of qualified personnel, and compliance with strictly enforced federal, state, and foreign regulations. Our CMOs may not perform as agreed or may have a failure of a manufacturing campaign. Any changes or deviations in a manufacturing process may result in the failure of the product to meet the specifications. If our CMOs were to encounter any of these difficulties, our ability to provide product candidates to patients in our clinical trials and for commercial use, if approved, would be jeopardized. Reliance on third-party CMOs entails exposure to risks to which we would not be subject if we manufactured the product candidate ourselves, including:

- inability to negotiate manufacturing agreements with CMOs under commercially reasonable terms;
- reduced day-to-day control over the manufacturing process for our product candidates as a result of using third-party CMOs for all aspects of manufacturing activities;
- reduced control over the protection of our trade secrets and know-how from misappropriation or inadvertent disclosure;
- termination or nonrenewal of manufacturing agreements with third parties in a manner or at a time that may be costly or damaging to us or result in delays in the development or commercialization of our product candidates; and
- disruptions to the operations of our third-party CMOs caused by conditions unrelated to our business or operations, including the bankruptcy of the CMO.

In addition, all CMOs of our product candidates and therapeutic substances must comply with cGMP requirements enforced by the FDA that are applicable to both finished product and their active components used both for clinical and commercial supply, through its facilities inspection program. Our CMOs must be approved by the FDA pursuant to inspections that will be conducted after we submit our marketing applications to the agency. Our CMOs will also be subject to continuing FDA and other regulatory authority inspections should we receive marketing approval. Further, we, in cooperation with our CMOs, must supply all necessary chemistry, manufacturing, and control documentation in support of a BLA on a timely basis. The cGMP requirements include quality control, quality assurance, and the maintenance of records and documentation. Manufacturers of our product candidates and therapeutic substances may be unable to comply with our specifications, these cGMP requirements and with other FDA, state, and foreign regulatory requirements. Poor control of production processes can lead to the introduction of adventitious agents or other contaminants, or to inadvertent changes in the properties or stability of product candidates that may not be detectable in final product testing. If our CMOs cannot successfully manufacture material that conforms to our specifications and the strict regulatory requirements of the FDA or other regulatory authorities, they will not be able to secure or maintain regulatory approval for their manufacturing facilities. Any such deviations may also require remedial measures that may be costly and/or time-consuming for us or a third party to implement and that may include the temporary or permanent suspension of a clinical trial or commercial sales or the temporary or permanent closure of a facility. Any such remedial measures imposed upon us or third parties with whom we contract could materially harm our business.

While we are ultimately responsible for the manufacture of our product candidates and therapeutic substances, other than through our contractual arrangements, we have little control over our CMOs' compliance with these regulations and standards. If the FDA or a comparable foreign regulatory authority does not approve these facilities for the manufacture of our product candidates or if it withdraws any such approval in the future, we may need to find alternative manufacturing facilities, which would significantly impact our ability to develop, obtain regulatory approval for or market our product candidates, if approved. A failure to comply with these requirements may result in regulatory enforcement actions against our CMOs or us, including fines and civil and criminal penalties, including imprisonment, suspension or restrictions of production, suspension, injunctions, delay or denial of product approval or supplements to approved products, clinical holds or termination of clinical studies, warning or untitled letters, regulatory authority communications warning the public about safety issues with the biologic, refusal to permit the import or export of the products, product seizure, detention, or recall, operating restrictions, suits under the civil False Claims Act, corporate integrity agreements, consent decrees, or withdrawal of product approval. If the safety of any quantities supplied is compromised due to our CMOs' failure to adhere to applicable laws or for other reasons, we may not be able to obtain regulatory approval for or successfully commercialize our product candidates.

Any failure or refusal to supply sufficient quantities of our product candidates would delay, prevent or impair our clinical development or commercialization efforts. Any change in our CMO could be costly because the commercial terms of any new arrangement could be less favorable and because the expenses relating to the transfer of necessary technology and processes could be significant. There are significant requirements prior to receiving FDA approval for the transfer of manufacturing process for a therapeutic antibody product to a new manufacturing facility.

We also rely on third parties to store and distribute our product candidates for the clinical trials that we conduct. Any performance failure on the part of our distributors could delay clinical development of our product candidates, producing additional losses.

Any collaboration arrangements that we may enter into, such as the BeiGene Agreement or any we enter into in the future, may not be successful, which could adversely affect our ability to develop and commercialize our product candidates.

For our current or future product candidates, we may determine to collaborate with other pharmaceutical and biotechnology companies for their development and potential commercialization, such as our collaboration with BeiGene on DKN-01. We face significant competition in seeking appropriate collaborators. Our collaboration arrangements may not be successful, and the success of them will depend heavily on the efforts and activities of our collaborators. Collaborators generally have significant discretion in determining the efforts and resources that they will apply to these collaboration arrangements. Disagreements between parties to a collaboration arrangement regarding clinical development and commercialization matters can lead to delays in the development process or commercializing the applicable product candidate and, in some cases, termination of the collaboration arrangement. We may not realize the anticipated benefits of any collaboration, any of which could have a detrimental effect on our financial condition, results of operations and cash flows.

Risks Related to Legal and Compliance Matters

If we fail to comply with federal and state healthcare laws, including fraud and abuse and health and other information privacy and security laws, we could face substantial penalties and our business, financial condition, results of operations, and prospects could be adversely affected.

As a biopharmaceutical company, we are subject to many federal and state healthcare laws, including those described in the Government Regulation and Product Approval section. If we or our operations are found to be in violation of any federal or state healthcare law, or any other governmental regulations that apply to us, we may be subject to penalties, including civil, criminal, and

administrative penalties, damages, fines, disgorgement, debarment from government contracts, and refusal of orders under existing contracts, exclusion from participation in U.S. federal or state health care programs, corporate integrity agreements, and the curtailment or restructuring of our operations, any of which could materially adversely affect our ability to operate our business and our financial results. If any of the physicians or other healthcare providers or entities with whom we expect to do business, including our collaborators, is found not to be in compliance with applicable laws, it may be subject to criminal, civil or administrative sanctions, including but not limited to, exclusions from participation in government healthcare programs, which could also materially affect our business.

Although an effective compliance program can mitigate the risk of investigation and prosecution for violations of these laws, the risks cannot be entirely eliminated. Moreover, achieving and sustaining compliance with applicable federal and state privacy, security, and fraud laws may prove costly. Any action against us for violation of these laws, even if we successfully defend against it, could cause us to incur significant legal expenses and divert our management's attention from the operation of our business.

Our employees, independent contractors, consultants, commercial partners, principal investigators, CMOs or CROs may engage in misconduct or other improper activities, including noncompliance with regulatory standards and requirements, which could have a material adverse effect on our business.

We are exposed to the risk of fraud or other misconduct. Misconduct by employees, independent contractors, consultants, commercial partners, principal investigators, or CROs could include intentional, reckless, negligent, or unintentional failures to comply with FDA regulations, comply with applicable fraud and abuse laws, provide accurate information to the FDA, report financial information or data accurately or disclose unauthorized activities to us. This misconduct could also involve the improper use or misrepresentation of information obtained in the course of clinical trials, which could result in regulatory sanctions and serious harm to our reputation. It is not always possible to identify and deter this type of misconduct, and the precautions we take to detect and prevent this activity may not be effective in controlling unknown or unmanaged risks or losses or in protecting us from governmental investigations or other actions or lawsuits stemming from a failure to be in compliance with such laws or regulations. If any such actions are instituted against us, and we are not successful in defending ourselves or asserting our rights, those actions could have a significant impact on our business, financial condition, and results of operations, including the imposition of significant fines or other sanctions.

Our failure to comply with data protection laws and regulations could lead to government enforcement actions and significant penalties against us, and adversely impact our operating results.

We are subject to U.S. data protection laws and regulations, for example, laws and regulations that address privacy and data security, at both the federal and state levels. The legislative and regulatory landscape for data protection continues to evolve, and in recent years there has been an increasing focus on privacy and data security issues. Numerous federal and state laws, including state data breach notification laws, state health information privacy laws, and federal and state consumer protection laws, including, for example, Section 5 of the FTC Act, govern the collection, use, and disclosure and protection of health-related and other personal information. Failure to comply with data protection laws and regulations could result in government enforcement actions and create liability for us, which could include civil and/or criminal penalties, private litigation and/or adverse publicity that could negatively affect our operating results and business. In addition, we may obtain health information from third parties, such as research institutions with which we collaborate, that are subject to privacy and security requirements under HIPAA. Although we are not directly subject to HIPAA, other than potentially with respect to providing certain employee benefits, we could be subject to criminal penalties if we knowingly obtain or disclose individually identifiable health information maintained by a HIPAA covered entity in a manner that is not authorized or permitted by HIPAA.

EU member states, Switzerland and other countries have also adopted data protection laws and regulations, which impose significant compliance obligations. In the EU, the collection and use of personal health data is governed by the provisions of the General Data Protection Regulation, or GDPR. The GDPR became effective on May 25, 2018, repealing the Data Protection Directive and increasing our responsibility and liability in relation to the processing of personal data of EU subjects.

The GDPR, together with the national legislation of the EU member states governing the processing of personal data, impose strict obligations and restrictions on the ability to collect, analyze and transfer personal data, including health data from clinical trials and adverse event reporting. In particular, these obligations and restrictions concern the consent of the individuals to whom the personal data relates, the information provided to the individuals, the transfer of personal data out of the EU, security breach notifications, security and confidentiality of the personal data, and imposition of substantial potential fines for breaches of the data protection obligations. Data protection authorities from the different EU member states may interpret the GDPR and national laws differently and impose additional requirements, which add to the complexity of processing personal data in the EU. Guidance on implementation and compliance practices are often updated or otherwise revised.

With respect to the transfer of personal data out of the EU, the GDPR provides that the transfer of personal data to countries that are not considered by the European Commission to provide an adequate level of data protection, including the United States, is permitted only on the basis of complying with specific legal steps.

The judgment by the Court of Justice of the European Union in Case C-362/14 Maximillian Schrems v. Data Protection Commissioner, or the Schrems case, held that the Safe Harbor Framework, which was relied upon by many United States entities as a basis for transfer of personal data from the EU to the United States, was invalid. United States entities therefore, had only the possibility to rely on the alternate procedures for such data transfer provided in the EU Data Protection Directive.

On February 29, 2016, however, the European Commission announced an agreement with the United States Department of Commerce, or the DOC, to replace the invalidated Safe Harbor framework with a new "Privacy Shield." On July 12, 2016, the European Commission adopted a decision on the adequacy of the protection provided by the Privacy Shield. The Privacy Shield is intended to address the requirements set out by the Court of Justice of the European Union in the Schrems case. The Privacy Shield imposes more stringent obligations on companies, provides stronger monitoring and enforcement by the DOC and the Federal Trade Commission, and makes commitments on the part of public authorities regarding access to information. United States entities have been able to certify to the DOC their compliance with the privacy principles of the Privacy Shield since August 1, 2016 and rely on the Privacy Shield certification to transfer of personal data from the EU to the United States.

However, in October 2016, three French digital rights advocacy groups, La Quadrature du Net, French Data Network and the Fédération FDN, brought an action for annulment of the European Commission decision on the adequacy of the Privacy Shield before the Court of Justice of the EU (Case T-738/16). The case is currently pending before the European Court of Justice. If the Court of Justice of the European Union invalidates the Privacy Shield, it will no longer be possible to rely on the Privacy Shield certification to transfer personal data from the EU to entities in the United States. Adherence to the Privacy Shield is not, however, mandatory. Entities based in the United States are permitted to rely either on their adherence to the Privacy Shield or on the other authorized means and procedures to transfer personal data provided by the GDPR.

Our failure to comply with these laws, or changes in the way in which these laws are implemented, could lead to government enforcement actions and significant penalties against us, and adversely impact our operating results. In particular, our failure to comply with our obligations under the GDPR, including any failure to adopt measures to ensure that we can continue to conduct the data processing

activities that we have initiated in the EU before the GDPR entered into application could adversely impact the validity of data generated in our studies.

Risks Related to Our Intellectual Property

If we are unable to protect our intellectual property rights or if our intellectual property rights are inadequate to protect our technology and product candidates, our competitive position could be harmed.

Our commercial success will depend in large part on our ability to obtain and maintain patent and other intellectual property protection in the U.S. and other countries with respect to our proprietary technology and products. We rely on patent, trade secret, copyright and trademark laws, and confidentiality, licensing and other agreements with employees and third parties, all of which offer only limited protection. We have sought and continue to seek to protect our proprietary position by filing and prosecuting patent applications in the U.S. and abroad related to our novel technologies and products that are important to our business.

The patent positions of biotechnology and pharmaceutical companies generally are highly uncertain, involve complex legal and factual questions and have in recent years been the subject of much litigation. As a result, the scope, validity, enforceability and commercial value of our patents, including those patent rights licensed to us by third parties, are highly uncertain. The steps we or our licensors have taken to protect our proprietary rights may not be adequate to preclude misappropriation of our proprietary information or infringement of our intellectual property rights, both inside and outside the U.S. Further, the examination process may require us or our licensors to narrow the claims for our pending patent applications and those of our licensors, which may limit the scope of patent protection that may be obtained if these applications issue. The rights already granted under any of our currently issued patents or those licensed to us and those that may be granted under future issued patents may not provide us with the proprietary protection or competitive advantages we are seeking. If we or our licensors are unable to obtain and maintain patent protection for our technology and products, or if the scope of the patent protection obtained is not sufficient, our competitors could develop and commercialize technology and products similar or superior to ours, and our ability to successfully commercialize our technology and products may be adversely affected. It is also possible that we or our licensors will fail to identify patentable aspects of inventions made in the course of our development and commercialization activities before it is too late to obtain patent protection for them.

With respect to patent rights, we do not know whether any of our pending patent applications will result in the issuance of patents that protect our technology or products, or if any of our or our licensors' issued patents will effectively prevent others from commercializing competitive technologies and products. Patents in the field of therapeutic monoclonal antibodies are frequently limited in scope based on the sequence of amino acids that form particular parts of the antibody. A portion of our intellectual property portfolio is limited by amino acid sequences found in our product candidates. Other competing companies may have therapeutic antibodies to the same target as our product candidates, but have a different amino acid sequence and, as a result, may not be determined to infringe our patents which are limited by amino acid sequence(s). Even for those patents which are defined by the target of a therapeutic antibody and not limited by an amino acid sequence, we cannot be certain that we will be able to successfully enforce those patents against our competitors with antibodies to these targets.

Our pending applications cannot be enforced against third parties practicing the technology claimed in such applications unless and until a patent issues from such applications. Because the issuance of a patent is not conclusive as to its inventorship, scope, validity or enforceability, issued patents that we own or have licensed from third parties may be challenged in the courts, administrative agencies or patent offices in the U.S. and abroad. Such challenges may result in the loss of patent protection, the narrowing of claims in such patents or the invalidity or unenforceability of such patents,

which could limit our ability to stop others from using or commercializing similar or identical technology and products, or limit the duration of the patent protection for our technology and products. Protecting against the unauthorized use of our or our licensors' patented technology, trademarks and other intellectual property rights is expensive, difficult and may in some cases not be possible. In some cases, it may be difficult or impossible to detect third-party infringement or misappropriation of our intellectual property rights, even in relation to issued patent claims, and proving any such infringement may be even more difficult.

Our granted European patents for (i) TRX518 and its uses and (ii) anti-GITR agonist antibodies, including TRX518, in combination with a chemotherapeutic agent for treating cancer, each of which is of significant value to us, have been challenged in European Patent Office Opposition proceedings, and successful challenges could limit our future business and revenues.

A patent covering TRX518 and its uses was granted to us by the European Patent Office. Three oppositions to this patent were filed by two major pharmaceutical companies and an individual, possibly on behalf of a major pharmaceutical company. Opposition proceedings took place in 2016, and the Opposition Division of the European Patent Office that decided the case issued an interlocutory decision indicating that our patent should be maintained with modified claims that are narrower than the claims as originally granted. Nonetheless, we believe that the claims deemed allowable by the Opposition Division still sufficiently cover TRX518 and its uses. Regardless, we have filed an appeal of the decision of the Opposition Division seeking to obtain broader claims that more closely reflect the claims as granted in the patent. We cannot assure you that our appeal will have any success. Should the decision of the Opposition Division stand in whole or in part, our ability to prevent competition in Europe or to license our intellectual property may be more limited or of lower value than under the broader claims we were originally granted, which could have an adverse effect on our business, financial condition and results of operations. In addition, the cost of the opposition appeal and any further proceedings could be material.

In 2016, a patent covering the use of anti-GITR agonist antibodies, including TRX518, in combination with a chemotherapeutic agent for treating cancer was granted to us by the European Patent Office. In March 2017, notices of opposition to this patent were filed by ten different entities, including several major pharmaceutical companies. Oral proceedings at the EPO took place on December 4 and 5, 2018. At the conclusion of the oral proceedings, the Opposition Division decided that the patent should be revoked in its entirety on the ground that the claims as granted contained added matter. Subsequently, the Opposition Division issued an interlocutory decision restating its conclusion that the claims as granted contain added matter and revoking the patent. We have filed an appeal of the decision of the Opposition Division seeking to obtain a reversal of the Opposition Division's decision on added matter. We cannot assure you that our appeal will be successful and, if not, our ability to prevent competition in Europe or to license our intellectual property may be more limited, which could have an adverse effect on our business, financial condition and results of operations. In addition, the cost of the appeal and any further proceedings could be material.

Third parties may initiate legal proceedings alleging that we are infringing their intellectual property rights, the outcome of which would be uncertain and could harm our business.

Our commercial success depends upon our ability to develop, manufacture, market and sell DKN-01. We may become party to, or threatened with, future adversarial proceedings or litigation regarding intellectual property rights with respect to DKN-01, including interference, derivation, inter partes review or post-grant review proceedings before the U.S. Patent and Trademark Office. Third parties may assert infringement claims against us based on existing patents or patents that may be granted in the future. If we are found to infringe a third party's intellectual property rights, we could be required to obtain a license from such third party to continue commercializing DKN-01. However, we may not be able to obtain any required license on commercially reasonable terms or at all. Under

certain circumstances, we could be forced, including by court order, to cease commercializing DKN-01. In addition, in any such proceeding or litigation, we could be found liable for monetary damages. A finding of infringement could prevent us from commercializing DKN-01 or force us to cease some of our business operations, which could materially harm our business. Any claims by third parties that we have misappropriated their confidential information or trade secrets could also have a negative impact on our business.

While DKN-01 is in clinical trials in the United States, we believe that the use of DKN-01 in preclinical studies and clinical trials falls within the scope of the exemptions provided by 35 U.S.C. Section 271(e) in the U.S., which exempts from patent infringement liability activities reasonably related to the development and submission of information to the FDA. As DKN-01 progresses toward commercialization, the possibility of a patent infringement claim against us may increase. We attempt to ensure that DKN-01, the methods we employ to manufacture it, as well as the methods for its use we intend to promote, do not infringe other parties' patents and other proprietary rights. There can be no assurance that it does not, however, and competitors or other parties may assert that we infringe their proprietary rights in any event.

We may not be able to protect our intellectual property rights outside the U.S.

Filing, prosecuting and defending patents on DKN-01, TRX518 and/or any future product candidates outside the U.S. could be prohibitively expensive, and our or our licensors' intellectual property rights in some countries outside the U.S. can be less extensive than those in the U.S. In addition, the laws and practices of some foreign countries do not protect intellectual property rights to the same extent as federal and state laws in the U.S. Consequently, we and our licensors may not be able to prevent third parties from practicing our and/or our licensors' inventions in all countries outside the U.S., or from selling or importing products made using our and/or our licensors' inventions in and into the U.S. or other jurisdictions. Competitors may use our technologies in jurisdictions where we have not obtained patent protection to develop their own products, and may export otherwise allegedly infringing products to territories where we or our licensors have patent protection, but where enforcement is not as strong as that in the U.S. These products may compete with our products in jurisdictions where we do not have any issued patents and our patent claims or other intellectual property rights may not be effective or sufficient to prevent them from so competing.

Many companies have encountered significant problems in protecting and defending intellectual property rights in certain foreign jurisdictions. The legal systems of certain countries, particularly certain developing countries, do not favor the enforcement of patents and other intellectual property protection, particularly those relating to biopharmaceuticals, which could make it difficult for us to stop the infringement of our or our licensors' patents or marketing of competing products in violation of our proprietary rights generally in those countries. Proceedings to enforce our and/or our licensors' patent rights in foreign jurisdictions could result in substantial cost and divert our efforts and attention from other aspects of our business, could put our and our licensors' patents at risk of being invalidated, held unenforceable or interpreted narrowly and our and our licensors' patent applications at risk of not issuing and could provoke third parties to assert claims against us or our licensors. We or our licensors may not prevail in any lawsuits that we or our licensors initiate and the damages or other remedies awarded, if any, may not be commercially meaningful.

The laws of certain foreign countries may not protect our rights to the same extent as the laws of the U.S., and these foreign laws may also be subject to change. For example, methods of treatment and manufacturing processes may not be patentable in certain jurisdictions, and the requirements for patentability may differ in certain countries, particularly developing countries. Furthermore, generic drug manufacturers or other competitors may challenge the scope, validity, ownership, inventorship and/or enforceability of our or our licensors' patents, requiring us or our licensors to engage in complex, lengthy and costly litigation or other proceedings. Generic drug or biosimilar manufacturers

may develop, seek approval for, and launch generic or biosimilar versions of our products. Many countries, including some European Union countries, India, Japan and China, have compulsory licensing laws under which a patent owner may be compelled under certain circumstances to grant licenses to third parties. In those countries, we and our licensors may have limited remedies if patents are infringed or if we or our licensors are compelled to grant a license to a third party, which could materially diminish the value of those patents. This could limit our potential revenue opportunities in those countries. Accordingly, our and our licensors' efforts to enforce intellectual property rights outside the U.S. may be inadequate to obtain a significant commercial advantage from the intellectual property that we own or license.

Obtaining and maintaining our patent protection depends on compliance with various procedural requirements, document submissions, fee payments and other requirements imposed by governmental patent agencies, and our patent protection could be reduced or eliminated for non-compliance with these requirements.

Periodic maintenance fees on any issued U.S. utility or foreign patent are due to be paid to the USPTO and foreign patent agencies in several stages over the lifetime of the patent. The USPTO and various foreign governmental patent agencies require compliance with a number of procedural, documentary, fee payment and other similar provisions during the patent application process. While an inadvertent lapse can in many cases be cured by payment of a late fee or by other means in accordance with the applicable rules, there are situations in which noncompliance can result in abandonment or lapse of the patent or patent application, resulting in partial or complete loss of the patent right in the relevant jurisdiction. Non-compliance events that could result in abandonment or lapse of a patent or patent application include, but are not limited to, failure to respond to official actions within prescribed time limits, non-payment of fees and failure to properly legalize and submit formal documents. If we or our licensors fail to maintain the patents and patent applications covering our product candidates, our competitive position could be adversely affected.

We may become involved in lawsuits to protect or enforce our intellectual property, which could be expensive, time consuming and unsuccessful and may have a material adverse effect on the success of our business.

Competitors may infringe our and/or our licensors' patents or misappropriate or otherwise violate our and/or our licensors' intellectual property rights. To prevent or stop infringement or unauthorized use, litigation may be necessary in the future to enforce and/or defend our intellectual property rights, to protect our trade secrets or to determine the validity, enforceability, ownership, inventorship, and/or scope of our own intellectual property rights or the proprietary rights of others. Also, third parties may initiate legal proceedings against us or our licensors to challenge the validity, enforceability, ownership, inventorship and/or scope of intellectual property rights we own or control. These proceedings can be expensive and time consuming. Many of our current and potential competitors have the ability to dedicate substantially greater resources to defend and/or enforce their intellectual property rights than we can. Accordingly, despite our efforts, we may not be able to prevent third parties from infringing upon or misappropriating our intellectual property. Litigation could result in substantial costs and diversion of management resources, which could harm our business and financial results. In addition, in an infringement proceeding, a court may decide that a patent owned by or licensed to us is invalid or unenforceable, or may refuse to stop the other party from using the technology at issue on the grounds that our patents do not cover the technology in question, or other grounds. An adverse result in any litigation proceeding could put one or more of our patents at risk of being invalidated, held unenforceable or interpreted narrowly. Furthermore, because of the substantial amount of discovery typical in intellectual property litigation, there is a risk that some of our confidential information could be compromised by disclosure during this type of litigation. There could also be public announcements of the results of hearings, motions or other interim proceedings or developments. If securities analysts

or investors perceive these results to be negative, it could have a material adverse effect on the price of shares of our common stock.

Risks Related to Our Being a Public Company

We are an "emerging growth company" and we intend to take advantage of reduced disclosure and governance requirements applicable to emerging growth companies, which could result in our common stock being less attractive to investors.

We are an "emerging growth company," as defined in the JOBS Act, and we intend to take advantage of certain exemptions from various reporting requirements that are applicable to other public companies that are not emerging growth companies including, but not limited to, not being required to comply with the auditor attestation requirements of Section 404 of the Sarbanes-Oxley Act, reduced disclosure obligations regarding executive compensation in our periodic reports and proxy statements, and exemptions from the requirements of holding a nonbinding advisory vote on executive compensation and stockholder approval of any golden parachute payments not previously approved. We cannot predict if investors will find our common stock less attractive because we will rely on these exemptions. If some investors find our common stock less attractive as a result, there may be a less active trading market for our common stock, our stock price may be more volatile and it may be difficult for us to raise additional capital as and when we need it. If we are unable to raise additional capital as and when we need it, our financial condition and results of operations may be materially and adversely affected.

We may take advantage of these reporting exemptions until we are no longer an emerging growth company, which in certain circumstances could be for up to five years. We will remain an "emerging growth company" until the earliest of (a) the last day of the first fiscal year in which our annual gross revenues exceed $1.07 billion, (b) the date that we become a "large accelerated filer" as defined in Rule 12b-2 under the Securities Exchange Act of 1934, as amended, or the Exchange Act, which would occur if the market value of our shares that are held by non-affiliates exceeds $700 million as of the last business day of our most recently completed second fiscal quarter, (c) the date on which we have issued more than $1.0 billion in nonconvertible debt during the preceding three-year period and (d) the last day of our 2022 fiscal year containing the fifth anniversary of the date on which shares of our common stock became publicly traded in the U.S.

If we fail to maintain an effective system of internal control over financial reporting in the future, we may not be able to accurately report our financial condition, results of operations or cash flows, which may adversely affect investor confidence in us and, as a result, the value of our common stock.

The Sarbanes-Oxley Act requires, among other things, that we maintain effective internal controls for financial reporting and disclosure controls and procedures. We are required, under Section 404 of the Sarbanes-Oxley Act, to furnish a report by management on, among other things, the effectiveness of our internal control over financial reporting. This assessment needs to include disclosure of any material weaknesses identified by our management in our internal control over financial reporting. A material weakness is a deficiency, or combination of deficiencies, in internal control over financial reporting that results in more than a reasonable possibility that a material misstatement of annual or interim financial statements will not be prevented or detected on a timely basis. Section 404 of the Sarbanes-Oxley Act also generally requires an attestation from our independent registered public accounting firm on the effectiveness of our internal control over financial reporting. However, for as long as we remain a smaller reporting company or an emerging growth company as defined in the JOBS Act, we intend to take advantage of the exemption permitting us not to comply with the independent registered public accounting firm attestation requirement.

Our compliance with Section 404 of the Sarbanes-Oxley Act will require that we incur substantial accounting expense and expend significant management efforts. We currently do not have an internal

audit group, and we will need to hire additional accounting and financial staff with appropriate public company experience and technical accounting knowledge. If we are not able to comply with the requirements of Section 404 in a timely manner, or if we or our independent registered public accounting firm identify deficiencies in our internal control over financial reporting that are deemed to be material weaknesses, the market price of our stock could decline and we could be subject to sanctions or investigations by NASDAQ, the SEC or other regulatory authorities, which would require additional financial and management resources.

Our ability to successfully implement our business plan and comply with Section 404 requires us to be able to prepare timely and accurate financial statements. To manage and grow our business effectively in the future, we expect that we will need to continue to improve existing, and implement new operational and financial systems, procedures and controls. Any delay in the implementation of, or disruption in the transition to, new or enhanced systems, procedures or controls, may cause our operations to suffer and we may be unable to conclude that our internal control over financial reporting is effective and to obtain an unqualified report on internal controls from our auditors as required under Section 404 of the Sarbanes-Oxley Act. This, in turn, could have an adverse impact on trading prices for our common stock, and could adversely affect our ability to access the capital markets.

We cannot assure you that there will not be material weaknesses or significant deficiencies in our internal control over financial reporting in the future. Any failure to maintain internal control over financial reporting could severely inhibit our ability to accurately report our financial condition, results of operations or cash flows. If we are unable to conclude that our internal control over financial reporting is effective, or if our independent registered public accounting firm determines we have a material weakness or significant deficiency in our internal control over financial reporting once that firm begins its Section 404 reviews, we could lose investor confidence in the accuracy and completeness of our financial reports, the market price of our common stock could decline, and we could be subject to sanctions or investigations by the NASDAQ stock market, the Commission or other regulatory authorities. Failure to remedy any material weakness in our internal control over financial reporting, or to implement or maintain other effective control systems required of public companies, could also restrict our future access to the capital markets.

Our disclosure controls and procedures may not prevent or detect all errors or acts of fraud.

We are subject to the periodic reporting requirements of the Exchange Act. Our disclosure controls and procedures are designed to reasonably assure that information required to be disclosed by us in reports we file or submit under the Exchange Act is accumulated and communicated to management, recorded, processed, summarized and reported within the time periods specified in the rules and forms of the Commission. We believe that any disclosure controls and procedures or internal controls and procedures, no matter how well conceived and operated, can provide only reasonable, not absolute, assurance that the objectives of the control system are met.

These inherent limitations include the realities that judgments in decision-making can be faulty, and that breakdowns can occur because of simple error or mistake. Additionally, controls can be circumvented by the individual acts of some persons, by collusion of two or more people or by an unauthorized override of the controls. Accordingly, because of the inherent limitations in our control system, misstatements or insufficient disclosures due to error or fraud may occur and not be detected.

We incur significant costs as a result of operating as a public company, and our management is required to devote substantial time to compliance initiatives.

As a public company, we incur significant legal, accounting and other expenses, and these expenses may increase even more after we are no longer an "emerging growth company." Our management and other personnel need to devote a substantial amount of time to these compliance initiatives. Moreover,

we expect these rules and regulations to substantially increase our legal and financial compliance costs and to make some activities more time-consuming and costly. We estimate that we have incurred approximately $1.5 million in costs per year associated with being a publicly traded company, although it is possible that our actual incremental costs will be higher in future years. The increased costs increase our net loss.

Risks Related to our Common Stock

Because we do not anticipate paying any cash dividends on our capital stock in the foreseeable future, capital appreciation, if any, will be your sole source of gain.

We have never declared or paid cash dividends on our capital stock. We currently intend to retain all of our future earnings, if any, to finance the growth and development of our business. As a result, capital appreciation, if any, of our common stock will be your sole source of gain for the foreseeable future. There is no guarantee that shares of our common stock will appreciate in value or even maintain the price at which you purchased them.

Sales of a substantial number of shares of our common stock in the public market, including the resale of the shares of common stock issuable upon the exercise of the warrants that were issued in both the private placement which we closed on November 14, 2017 and in the public offering which we closed February 5, 2019, could cause our stock price to fall.

Sales of a substantial number of shares of our common stock in the public market could occur at any time. These sales, or the perception in the market that the holders of a large number of shares intend to sell shares, could reduce the market price of our common stock. Prior to the private placement financing, holders of an aggregate of 6,007,947 shares of our common stock had rights, subject to certain conditions, to require us to file registration statements covering their shares or to include their shares in registration statements that we may file for ourselves or other stockholders. On November 14, 2017 in a private placement financing, we issued 2,958,094 shares of common stock and warrants, or the 2017 Warrants, that are exercisable for an aggregate of 2,958,094 shares of common stock, subject to adjustment as provided in such 2017 Warrants. In connection with the closing of the private placement financing, we granted to all Purchasers the right, subject to certain conditions, to require us a file a resale registration statement covering the shares of common stock issued in the financing and the shares of common stock issuable upon exercise of the 2017 Warrants issued in the financing. We have registered 3,734,914 shares of these shares of common stock on a registration statement on Form S-3, which was declared effective by the SEC on December 15, 2017, and as a result these registered shares became generally available for immediate resale. On February 5, 2019 we closed an underwritten public offering, or the 2019 Offering, of 7,557,142 shares of common stock and warrants, or the 2019 Warrants, that are exercisable for an aggregate of 7,557,142 shares of common stock. Sales of such shares of common stock in the public market, including shares issuable upon exercise of the 2017 Warrants and the 2019 Warrants, or the perception that such sales might occur, could adversely affect the market price of our Common Stock, and the market value of our other securities, and could result in dilution to shareholders who hold our common stock.

We also have registered all shares of common stock that we may issue under our equity compensation plans on Form S-8. These shares can be freely sold in the public market upon issuance, subject to volume limitations applicable to affiliates under Rule 144 under the Securities Act.

Further, the stockholders have approved the inclusion of a full ratchet anti-dilution feature as a term of the 2017 Warrants. As a result of the consummation of an equity financing in January 2020, the exercise price of the 2017 Warrants automatically was adjusted pursuant to, and in accordance with their terms, triggering an automatic reduction in the exercise price under each of the 2017 Warrants to $1.055. Accordingly, if we continue to issue common stock, options or common stock equivalents at a price less than the exercise price of the warrants, subject to certain customary exceptions, the exercise

price of the warrants will continue to be reduced to that lower price. Such a decrease in exercise price may cause holders to exercise the warrants which could result in dilution to our existing stockholders at an accelerated rate.

Future sales and issuances of our common stock or rights to purchase common stock, including pursuant to our equity incentive plan, could result in additional dilution of the percentage ownership of our stockholders and could cause our stock price to fall.

We expect that significant additional capital will be needed in the future to continue our planned operations. To raise capital, we may sell substantial amounts of common stock or securities convertible into or exchangeable for common stock. These future issuances of common stock or common stock-related securities, together with the exercise of stock options, warrants outstanding or granted in the future and any additional shares issued in connection with acquisitions, if any, may result in material dilution to our investors. Such sales may also result in material dilution to our existing stockholders, and new investors could gain rights, preferences and privileges senior to those of holders of our common stock.

Pursuant to our equity incentive plans, our compensation committee is authorized to grant equity-based incentive awards to our directors, executive officers and other employees and service providers. Shares currently available for future grant under our Amended and Restated 2012 Equity Incentive Plan and our 2016 Equity Incentive Plan represent a significant number of shares of Leap common stock and could represent significant dilution to our existing stockholders. Future equity incentive grants and issuances of common stock under our 2016 Equity Incentive Plan may have an adverse effect on the market price of our common stock.

In addition, we may issue additional shares of Common Stock or other equity or debt securities convertible into Common Stock in connection with a future financing, acquisition, employee arrangements or otherwise. Any such issuance could result in substantial dilution to our stockholders and could cause our stock price to decline.

We may become obligated to pay liquidated damages if we fail to maintain effectiveness of the registration statement on Form S-3 for 3,734,914 shares of common stock that we filed in connection with the private placement.

In accordance with the terms of the purchase agreements executed in connection with the private placement, the selling stockholders are entitled to receive liquidated damages upon the occurrence of a number of events relating to filing the Registration Statement, including maintaining an effective registration statement covering the securities being registered. The liquidated damages will be payable upon the occurrence of the event and each monthly anniversary thereof until cured. The amount of liquidated damages payable per 30-day period is equal to 1.0% of the purchase price paid by such purchaser and may increase to 2.0% under certain circumstances, provided, however, the maximum aggregate liquidated damages payable to a Selling Stockholder is 10% of the aggregate purchase price paid by a purchaser for the shares and warrants pursuant to a purchase agreement. We may also be responsible for the actual damages suffered by a Selling Stockholder in certain circumstances.

Our share price may be volatile, which could subject us to securities class action litigation and our stockholders could incur substantial losses.

The market price of shares of our Common Stock could be subject to wide fluctuations in response to many risk factors listed in this section, and others beyond our control, including:

- the results of clinical trials or development activities of our programs, or any future programs we may acquire;
- actual or anticipated fluctuations in our financial condition and operating results;

- failure to meet or exceed financial estimates and projections of the investment community or that we provide to the public;
- issuance of new or updated research or reports by securities analysts;
- fluctuations in the valuation of companies perceived by investors to be comparable to us;
- additions or departures of key management or other personnel;
- disputes or other developments related to proprietary rights, including patents, litigation matters, and our ability to obtain patent protection for our technologies;
- announcement or expectation of additional debt or equity financing efforts;
- sales of our Common Stock by us, our insiders or our other stockholders; and
- general economic and market conditions.

These and other market and industry factors may cause the market price and demand for our common stock to fluctuate substantially, regardless of our actual operating performance, which may limit or prevent investors from readily selling their shares of Common Stock and may otherwise negatively affect the liquidity of our common stock. In addition, the stock market in general, and NASDAQ and emerging growth companies in particular, have experienced extreme price and volume fluctuations that have often been unrelated or disproportionate to the operating performance of these companies. In the past, when the market price of a stock has been volatile, holders of that stock have instituted securities class action litigation against the company that issued the stock. If in the future any of our stockholders brought a lawsuit against us, we could incur significant legal expenses, settlement costs or damage awards that are not covered by, or exceed the limits of, our available directors' and officers' liability insurance, which could adversely impact our financial condition, results of operations or cash flows. Such a lawsuit could also divert the time and attention of our management.

If securities or industry analysts do not continue to publish research or reports or publish unfavorable research or reports about our business, our stock price and trading volume could decline.

The trading market for our common stock will depend in part on the research and reports that securities or industry analysts publish about us, our business, our market or our competitors. We do not control these analysts. If we lose securities or industry analysts coverage of our company, the trading price for our stock could be negatively impacted. If one or more of the analysts who covers us downgrades our stock, our stock price would likely decline. If one or more of these analysts issues unfavorable commentary or ceases to cover us or fails to regularly publish reports on us, interest in our stock could decrease, which could cause our stock price or trading volume to decline.

Unfavorable global economic conditions could adversely affect our business, financial condition or results of operations.

Our results of operations could be adversely affected by general conditions in the global economy and in the global financial markets. The global financial crisis caused extreme volatility and disruptions in the capital and credit markets. A severe or prolonged economic downturn, such as the global financial crisis, could result in a variety of risks to our business, including adversely affecting our ability to raise additional capital when needed on acceptable terms, if at all. A weak or declining economy could also strain our suppliers, possibly resulting in supply disruption. Any of the foregoing could harm our business and we cannot anticipate all of the ways in which the current economic climate and financial market conditions could adversely impact our business.

The Novel Coronavirus Disease 2019 (COVID-19) ("Coronavirus") is impacting worldwide economic activity, and activity in China and the United States in particular. China was the first country impacted by the Coronavirus, and now estimates for Chinese gross domestic product and economic

growth have been reduced as a result of the Coronavirus. In addition, with the spread of the Coronavirus to the United States and other countries, it is unclear how economic activity and work flows might be impacted on a worldwide basis. Many employers in the United States are requiring their employees to work from home or not come into their office or laboratories. There is an increased demand on services from hospitals and medical professionals to help people who are suffering from the disease or may be infected. We may find that medical institutions will have to prioritize their work load and may be forced to slow their activities in other, non-Coronavirus, areas. This could slow the opening of, enrollment in, and management of our clinical trials. We may find that our clinical laboratories are impacted by the Coronavirus and be unable to meet our requirements for laboratory testing of patient samples. We also might be unable to obtain products from our suppliers due to the impact on distribution networks resulting from issued caused by responding to the virus, and by the potential constraints on the movement of goods. The impact of the Coronavirus on our clinical development, clinical laboratory operations, and other economic activity are uncertain at this time and could have a material adverse effect on our development plan, clinical studies, operations and results.

Some provisions of our charter documents and Delaware law may have anti-takeover effects that could discourage an acquisition of us by others, even if an acquisition would be beneficial to our stockholders and may prevent attempts by our stockholders to replace or remove our current management.

Provisions in our certificate of incorporation and bylaws, as well as provisions of Delaware law, could make it more difficult for a third party to acquire us or increase the cost of acquiring us, even if doing so would benefit our stockholders, or remove our current management. These include provisions that:

- permit our board of directors to issue up to 10,000,000 shares of preferred stock, with any rights, preferences and privileges as it may designate;
- provide that all vacancies on our board of directors, including as a result of newly created directorships, may, except as otherwise required by law, be filled by the affirmative vote of a majority of directors then in office, even if less than a quorum;
- establish a classified board of directors such that only one of three classes of directors is elected each year;
- provide that directors can only be removed for cause;
- require that any action to be taken by our stockholders must be effected at a duly called annual or special meeting of stockholders and not be taken by written consent;
- provide that stockholders seeking to present proposals before a meeting of stockholders or to nominate candidates for election as directors at a meeting of stockholders must provide advance notice in writing, and also specify requirements as to the form and content of a stockholder's notice;
- require that the amendment of certain provisions of our certificate of incorporation relating to anti-takeover measures may only be approved by a vote of 66.67% (or, in certain limited circumstances, 75%) of our outstanding capital stock;
- not provide for cumulative voting rights, thereby allowing the holders of a majority of the shares of common stock entitled to vote in any election of directors to elect all of the directors standing for election; and
- provide that special meetings of our stockholders may be called only by the board of directors or by such person or persons designated by a majority of the board of directors to call such meetings.

These provisions may frustrate or prevent any attempts by our stockholders to replace or remove our current management by making it more difficult for stockholders to replace members of our board of directors, who are responsible for appointing the members of our management. Because we are incorporated in Delaware, we are governed by the provisions of Section 203 of the Delaware General Corporation Law, which may discourage, delay or prevent someone from acquiring us or merging with us whether or not it is desired by or beneficial to our stockholders. Under Delaware law, a corporation may not, in general, engage in a business combination with any holder of 15% or more of its capital stock unless the holder has held the stock for three years or, among other things, the board of directors has approved the transaction. Any provision of our certificate of incorporation or bylaws or Delaware law that has the effect of delaying or deterring a change in control could limit the opportunity for our stockholders to receive a premium for their shares of our common stock, and could also affect the price that some investors are willing to pay for our common stock.

Item 1B. Unresolved Staff Comments.

Not applicable.

Item 2. Properties.

We have leased our principal offices in Cambridge, Massachusetts covering approximately 7,667 square feet of space. We assumed this lease effective January 1, 2017, from HealthCare Ventures LLC pursuant to an Assignment and Assumption Agreement, dated as of January 1, 2017. In November 2018, we extended the lease through April 30, 2022.

Item 3. Legal Proceedings.

From time to time we may become involved in legal proceedings or be subject to claims that arise in the ordinary course of business. As of the date of this report, and other than as described in the following paragraph, we are not currently a party to any material legal proceedings.

A patent covering the TRX518 antibody and its uses in methods of inducing or enhancing an immune response in a subject was granted in 2013 to us by the European Patent Office (EPO). Three notices of opposition to this patent were filed: two by major pharmaceutical companies and a third by an individual, possibly on behalf of a major pharmaceutical company. At the conclusion of the opposition proceedings before the Opposition Division of the EPO, the Opposition Division issued a decision indicating that our patent was maintained with narrowed claims that differ from the claims as originally granted. These narrowed claims cover the TRX518 antibody and uses of the TRX518 antibody in methods of inducing or enhancing an immune response in a subject. We have filed an appeal of the decision of the Opposition Division seeking to obtain broader claims that more closely reflect the claims as granted in the patent. The EPO Board of Appeal has issued a Summons to Oral Proceedings setting a date of March 31, 2020 for the appeal hearing.

In 2016, a patent covering the use of the TRX518 antibody in combination with a chemotherapeutic agent for treating cancer was granted to us by the EPO. In March 2017, notices of opposition to this patent were filed at the EPO by ten different entities, including several major pharmaceutical companies. Oral proceedings at the EPO took place on December 4 and 5, 2018. At the conclusion of the oral proceedings, the Opposition Division decided that the patent should be revoked in its entirety on the ground that the claims as granted contained added matter. Subsequently, the Opposition Division issued an interlocutory decision restating its conclusion that the claims as granted contain added matter and revoking the patent. We have filed an appeal of the decision of the Opposition Division seeking to obtain a reversal of the Opposition Division's decision on added matter. A statement of our grounds of appeal was filed on May 31, 2019. The EPO Board of Appeal has not yet scheduled a date for the appeal hearing.

Item 4. Mine Safety Disclosures.

Not applicable.

PART II

Item 5. Market for Registrant's Common Equity, Related Stockholder Matters and Issuer Purchases of Equity Securities

Market Information

Our common stock, par value $0.001 per share, has been publicly traded on the Nasdaq Global Market under the symbol "LPTX" since January 24, 2017. Prior to that time, the period covered by this Annual Report on Form 10-K, there was no public market for our common stock. The table below provides the high and low intra-day sales prices of our common stock for the periods indicated, as reported by The Nasdaq Global Market.

	High	Low
Year ended December 31, 2019		
Fourth quarter	$ 1.42	$ 0.57
Third quarter	2.41	1.09
Second quarter	2.17	1.35
First quarter	3.49	1.35
Year ended December 31, 2018		
Fourth quarter	$ 8.02	$ 1.91
Third quarter	9.15	6.30
Second quarter	10.25	6.63
First quarter	9.22	5.64

On March 11, 2020, the last reported sales price for our common stock on the Nasdaq Global Market was $2.01 per share.

Holders

As of March 11, 2020, there were approximately 19 holders of record of our common stock. The actual number of stockholders is greater than this number of record holders, and includes stockholders who are beneficial owners, but whose shares are held in street name by brokers and other nominees. This number of holders of record also does not include stockholders whose shares may be held in trust by other entities.

Dividends

We have never declared or paid cash dividends on our common stock, and we do not expect to pay any cash dividends on our common stock in the foreseeable future. We currently intend to retain our future earnings, if any, to fund the development and growth of our business. Payment of future dividends, if any, on our common stock will be at the discretion of our board of directors after taking into account various factors, including our financial condition, operating results, anticipated cash needs, and plans for expansion.

Securities Authorized for Issuance Under Equity Compensation Plans

Information about securities authorized for issuance under our equity compensation plans is set forth in our Proxy Statement for the 2019 Annual Meeting of Stockholders to be filed with the SEC within 120 days after December 31, 2019, and is incorporated into this Annual Report on Form 10-K by reference.

Recent Sales of Unregistered Securities

Set forth below is information regarding securities issued and options granted by us during the last three fiscal years that were not registered under the Securities Act of 1933, as amended, or the Securities Act. Also included is the consideration, if any, received by us for any such shares, options and warrants and information relating to the section of the Securities Act, or rule of the SEC, under which exemption from registration was claimed.

Pre-Merger Transactions

During 2014, we issued a convertible promissory note to HealthCare Ventures VIII, L.P., HealthCare Ventures IX, L.P. and HealthCare Strategic Fund, L.P. and the note was thereafter amended on November 2, 2015, December 15, 2015, February 12, 2016, April 28, 2016, June 1, 2016, August 30, 2016, October 13, 2016, and January 5, 2017, such that the maximum principal amount available to us under the note was $31.75 million. The interest rate on the note was eight percent (8%) per annum, commencing to accrue with respect to any principal amount outstanding on the applicable drawdown date of such principal amount per its terms.

No underwriters were involved in the foregoing sales of securities. The securities were issued to investors in reliance upon the exemption from the registration requirements of the Securities Act, as set forth in Section 4(a)(2) under the Securities Act, including in some cases, Regulation D promulgated thereunder, relative to transactions by an issuer not involving any public offering, to the extent an exemption from such registration was required. All purchasers of shares of capital stock described above represented to us in connection with their purchase that they were accredited investors and were acquiring the shares for their own account for investment purposes only and not with a view to, or for sale in connection with, any distribution thereof. The purchasers received written disclosures that the securities had not been registered under the Securities Act and that any resale must be made pursuant to a registration statement or an available exemption from such registration.

Immediately prior to the consummation of the merger with Macrocure in January 2017, the note converted into 1,950,768 shares of Leap common stock.

On January 20, 2017, prior and subject to the consummation of the merger, we entered into a subscription agreement with HealthCare Ventures IX, L.P. ("HCV IX"), pursuant to which HCV IX purchased 1,010,225 shares of our common stock for $10.0 million, at a purchase price per share of $9.90.

PIPE Transaction

On November 14, 2017, Leap entered into purchase agreements with certain existing and new institutional accredited investors and strategic partners pursuant to which, Leap, in a private placement, agreed to issue and sell to the purchasers an aggregate of 2,958,094 shares of unregistered Common Stock at a price per share of $6.085, and issued with each share a warrant (the "2017 Warrants") to purchase one share of Common Stock at an exercise price of $6.085 with an exercise period expiring seven years after closing (the "Term"), for gross proceeds of approximately $18.0 million. As a result of the consummation of an equity financing in January 2020, the exercise price of the 2017 Warrants automatically was adjusted pursuant to, and in accordance with, their terms, $1.055 per share.

Raymond James & Associates, Inc. and Ladenburg Thalmann & Co. Inc. acted as the placement agents for the private placement. Pursuant to the agreement with the placement agents, Leap agreed to pay the placement agents a fee equal to 2.2% of the aggregate gross proceeds from the private placement plus the reimbursement of certain expenses.

The Company used the net proceeds from the Private Placement for general corporate purposes. The offering raised gross proceeds to the Company in the amount of approximately $18.0 million and

net proceeds in the amount of approximately $17.3 million, which is after deducting commissions to the placement agents and estimated expenses of approximately $0.7 million in the aggregate.

Leap, on behalf of certain of the purchasers, registered for resale on a registration statement on Form S-3 (File No. 333-221968) 3,734,914 shares of Common Stock which included (i) 1,867,457 shares of the Common Stock issued on November 14, 2017 and (ii) an aggregate of 1,867,457 shares of the Common Stock issuable upon exercise of common stock purchase warrants issued on November 14, 2017.

Stock options

In connection with the consummation of the merger with Macrocure, we adopted our Amended and Restated 2012 Equity Incentive Plan and our 2016 Equity Incentive Plan. The Amended and Restated 2012 Equity Incentive Plan amended and restated the 2012 Equity Incentive Plan in its entirety. The shares subject to both plans have been registered on a registration statement on Form S-8.

Purchases of Equity Securities

We did not purchase any of our registered equity securities during the period covered by this Annual Report on Form 10-K.

Item 6. Selected Financial Data.

Not applicable.

Item 7. Management's Discussion and Analysis of Financial Condition and Results of Operations

You should read the following discussion and analysis of our financial condition and results of operations together with our financial statements and related notes appearing elsewhere in this Annual Report on Form 10-K. In addition to historical information, this discussion and analysis contains forward-looking statements that involve risks, uncertainties and assumptions. Our actual results may differ materially from those anticipated in these forward-looking statements as a result of certain factors. We discuss factors that we believe could cause or contribute to these differences below and elsewhere in this report, including those set forth under Item 1A. "Risk Factors" and under "Cautionary Note Regarding Forward-Looking Statements" in this Annual Report.

Overview

We are a biopharmaceutical company developing novel therapies designed to treat patients with cancer by inhibiting fundamental tumor-promoting pathways and by harnessing the immune system to attack cancer cells. Our strategy is to identify, acquire, and develop molecules that will rapidly translate into high impact therapeutics that generate durable clinical benefit and enhanced patient outcomes. Our two clinical stage programs are:

- *DKN-01:* A monoclonal antibody that inhibits Dickkopf-related protein 1, or DKK1. DKK1 is a protein that regulates the Wnt signaling pathways and enables tumor cells to profilerate and spread, as well as suppresses the immune system from attacking the tumor. When DKN-01 binds to DKK1, an anti-tumor effect can be generated. DKN-01-based therapies have generated responses and clinical benefit in several patient populations. We are currently studying DKN-01 in multiple ongoing clinical trials in patients with esophagogastric cancer, hepatobiliary cancer, gynecologic cancers, or prostate cancer. In January 2020, we entered into an Option and License Agreement with BeiGene, Ltd., or BeiGene, which granted BeiGene the right to develop and commercialize DKN-01 in Asia (excluding Japan), Australia, and New Zealand.

- *TRX518:* A monoclonal antibody targeting the glucocorticoid-induced tumor necrosis factor-related receptor, or GITR. GITR is a receptor found on the surface of a wide range of immune cells. GITR stimulation activates tumor fighting white blood cells and decrease the activity of potentially tumor-protective immunosuppressive cells. TRX518 has been specifically engineered to enhance the immune system's anti-tumor response by activating GITR signaling without causing the immune cells to be destroyed. We conducted clinical trials of TRX518 in patients with advanced solid tumors in combination with gemcitabine chemotherapy or with cancer immunotherapies known as PD-1 antagonists. In November 2019, we announced that we have deprioritized continued development of TRX518.

We intend to apply our extensive experience identifying and developing transformational products to aggressively develop these antibodies and build a pipeline of programs that has the potential to change the practice of cancer medicine.

We have devoted substantially all of our resources to development efforts relating to our product candidates, including manufacturing and conducting clinical trials of our product candidates, providing general and administrative support for these operations and protecting our intellectual property. We do not have any products approved for sale and have not generated any revenue from product sales. We have funded our operations primarily through proceeds from our sales of common stock and preferred stock and proceeds from the issuance of notes payable—related party.

We have incurred net losses in each year since our inception in 2011. Our net loss was $32.9 million for the year ended December 31, 2019 and $23.1 million for the year ended December 31, 2018. As of December 31, 2019, we had an accumulated deficit of approximately $195.2 million. Our net losses have resulted primarily from costs incurred in connection with our research and development programs and from general and administrative costs associated with our operations. We expect to continue to incur significant expenses and have operating losses for at least the next several years as we:

- continue the development of our product candidate, DKN-01;
- seek to obtain regulatory approvals for DKN-01;
- outsource the manufacturing of DKN-01 for clinical trials and any indications for which we receive regulatory approval;
- contract with third parties for the sales, marketing and distribution of DKN-01 for any indications for which we receive regulatory approval;
- maintain, expand and protect our intellectual property portfolio;
- continue our research and development efforts;
- add operational, financial and management information systems and personnel, including personnel to support our product development efforts; and
- operate as a public company.

We do not expect to generate revenue from product sales unless and until we successfully complete development and obtain marketing approval for one or more of our product candidates, which we expect will take a number of years and is subject to significant uncertainty. Accordingly, we will need to raise additional capital prior to the commercialization of DKN-01 or any other product candidate. Until such time, if ever, as we can generate substantial revenue from product sales, we expect to finance our operating activities through a combination of equity offerings, debt financings, government or other third-party funding, commercialization, marketing and distribution arrangements and other collaborations, strategic alliances and licensing arrangements, such as the BeiGene Agreement. However, we may be unable to raise additional funds or enter into such other arrangements when

needed on favorable terms or at all. Our failure to raise capital or enter into such other arrangements as and when needed would have a negative impact on our financial condition and our ability to develop our product candidates.

BeiGene License Agreement

On January 3, 2020, we entered into an exclusive option and license agreement (the "BeiGene Agreement") with BeiGene, Ltd. ("BeiGene") for the clinical development and commercialization of DKN-01, our anti-Dickkopf-1 (DKK1) antibody, in Asia (excluding Japan), Australia, and New Zealand. We retain exclusive rights for the development, manufacturing, and commercialization of DKN-01 for the rest of the world.

Pursuant to the BeiGene Agreement, we received an upfront cash payment of $3.0 million from BeiGene in exchange for granting BeiGene an option to an exclusive license to develop and commercialize DKN-01 in Asia (excluding Japan), Australia, and New Zealand, and will be eligible to receive an additional payment upon BeiGene's exercise of the option. Additionally, we are eligible to receive payments of up to $132.0 million based upon the achievement of certain development, regulatory, and sales milestones as well as tiered royalties on any product sales of DKN-01 in the licensed territory.

Private Placement—January 2020

On January 3, 2020, we entered into a Securities Purchase Agreement (the "Securities Purchase Agreement") with institutional investors named therein (collectively, the "Purchasers," and each, a "Purchaser"), providing for a private placement transaction exempt from the registration requirements of the Securities Act of 1933, as amended (the "Securities Act"), pursuant to which we issued and sold 1,421,801 shares of our Series A Mandatorily Convertible Cumulative Non-Voting Perpetual Preferred Stock, par value $0.001 per share (the "Series A Preferred Stock"), at a purchase price of $10.54 per share, and 1,137,442 shares of our Series B Mandatorily Convertible Cumulative Non-Voting Perpetual Preferred Stock, par value $0.001 per share (the "Series B Preferred Stock") at a purchase price of $10.55 per share, and one (1) share of our Special Voting Stock, par value $0.001 (the "Special Voting Stock") entitling the Purchaser of Series A Preferred Stock to elect one member of our Board of Directors for aggregate net proceeds to us of approximately $25.3 million (the "Transaction"). On March 5, 2020, our stockholders approved the conversion of the Series A preferred stock into a pre-funded warrant to purchase 14,413,902 shares of common stock and the conversion of the Series B preferred stock into 11,531,133 shares of common stock. Each investor also received a warrant to purchase an equal number of shares at an exercise price of $2.11 per share.

As of December 31, 2019, we had cash and cash equivalents of $3.9 million. We believe that our cash and cash equivalents as of December 31, 2019, together with the $25.3 million in net proceeds from the January 2020 private placement and the $3.0 million upfront cash payment received from BeiGene, will enable us to fund our operating expenses and capital expenditure requirements for at least 12 months from issuance of the financial statements included in this Annual Report on Form 10-K. See "—Liquidity and Capital Resources."

Financial Overview

Research and Development Expenses

Our research and development activities have included conducting nonclinical studies and clinical trials, manufacturing development efforts and activities related to regulatory filings for DKN-01 and

TRX518. We recognize research and development expenses as they are incurred. Our research and development expenses consist primarily of:

- salaries and related overhead expenses for personnel in research and development functions, including costs related to stock-based compensation;
- fees paid to consultants and CROs for our nonclinical and clinical trials, and other related clinical trial fees, including but not limited to laboratory work, clinical trial database management, clinical trial material management and statistical compilation and analysis;
- costs related to acquiring and manufacturing clinical trial materials; and
- costs related to compliance with regulatory requirements.

We plan to increase our research and development expenses for the foreseeable future as we continue the development of DKN-01 and any other product candidates, subject to the availability of additional funding.

Our direct research and development expenses are tracked on a program-by-program basis and consist primarily of internal and external costs, such as employee costs, including salaries and stock-based compensation, other internal costs, fees paid to consultants, central laboratories, contractors and CROs in connection with our clinical and preclinical trial development activities. We use internal resources to manage our clinical and preclinical trial development activities and perform data analysis for such activities.

We participate, through our subsidiary in Australia, in the Australian government's R&D Incentive program, such that a percentage of our eligible research and development expenses are reimbursed by the Australian government as a refundable tax offset and such incentives are reflected as other income. The percentage was 43.5% for both the years ended December 31, 2019 and 2018.

The table below summarizes our research and development expenses incurred by development program and the R&D incentive income for the years ended December 31, 2019 and 2018:

	Year Ended December 31,	
	2019	2018
	(in thousands)	
Direct research and development by program:		
DKN-01 program	$ 16,130	$ 15,624
TRX518 program	8,236	6,206
Total research and development expenses	$ 24,366	$ 21,830
Australian research and development incentives	$ 132	$ 756

The successful development of our clinical product candidates is highly uncertain. At this time, we cannot reasonably estimate the nature, timing or costs of the efforts that will be necessary to complete the remainder of the development of any of our product candidates or the period, if any, in which material net cash inflows from these product candidates may commence. This is due to the numerous risks and uncertainties associated with developing drugs, including the uncertainty of:

- the scope, rate of progress and expense of our ongoing, as well as any additional, clinical trials and other research and development activities;
- future clinical trial results; and
- the timing and receipt of any regulatory approvals.

A change in the outcome of any of these variables with respect to the development of a product candidate could result in a significant change in the costs and timing associated with the development of that product candidate. For example, if the FDA or another regulatory authority were to require us to conduct clinical trials beyond those that we currently anticipate will be required for the completion of clinical development of a product candidate, or if we experience significant delays in enrollment in any of our clinical trials, we could be required to expend significant additional financial resources and time on the completion of clinical development.

General and Administrative Expenses

General and administrative expenses consist primarily of salaries and related costs, including stock-based compensation, for personnel in executive, finance and administrative functions. General and administrative expenses also include direct and allocated facility-related costs as well as professional fees for legal, patent, consulting, accounting and audit services.

We anticipate that our general and administrative expenses will increase in the future as we increase our headcount to support our continued research activities and development of our product candidates. We also anticipate that we will incur increased accounting, audit, legal, regulatory, compliance, director and officer insurance costs as well as investor and public relations expenses associated with being a public company.

Interest income

Interest income consists primarily of interest income earned on cash and cash equivalents. During the years ended December 31, 2019 and 2018, interest income was $0.3 million and $0.4 million, respectively.

Research and development incentive income

Research and development incentive income includes payments under the R&D Incentive program from the government of Australia. The R&D Incentive is one of the key elements of the Australian Government's support for Australia's innovation system. It was developed to assist businesses to recover some of the costs of undertaking research and development. The research and development tax incentive provides a tax offset to eligible companies that engage in research and development activities.

Companies engaged in research and development may be eligible for either:

- a 43.5% refundable tax offset for entities with an aggregated turnover of less than A$20 million per annum, or
- a 38.5% non-refundable tax offset for all other entities.

We recognize as other income the amount we expect to be reimbursed for qualified expenses.

Foreign currency translation adjustment

Foreign currency translation adjustment consists of gains (losses) due to the revaluation of foreign currency transactions attributable to changes in foreign currency exchange rates associated with our Australian subsidiary.

Income taxes

Since our inception, we have not recorded any U.S. federal, state or foreign income tax benefits for the net losses we have incurred in each year, due to our uncertainty of realizing a benefit from those items. As of December 31, 2019, we had federal, state and foreign net operating loss carryforwards of $135.9 million, $117.6 million and $83.9 million, respectively. The federal and state net operating losses begin to expire in 2030, while the foreign net operating losses carryforward indefinitely.

Our federal net operating losses include $54.6 million which can be also carried forward indefinitely. We may be able to utilize our net operating loss carryforwards to reduce future federal and state income tax liabilities. However, these net operating losses are subject to various limitations under Internal Revenue Code ("IRC") Section 382, which limits the use of net operating loss carryforwards to the extent there has been an ownership change of more than 50 percentage points. In addition, the net operating loss carryforwards are subject to examination by the taxing authorities and could be adjusted or disallowed due to such exams. Although we have not undergone an IRC Section 382 analysis, it is possible that the utilization of our net operating loss carryforwards may be limited.

As of December 31, 2019, we also had federal and state research and development tax credit carryforwards of $3.8 million and $0.6 million, respectively, which begin to expire in 2030 and whose future usage may also be limited to the extent there has been an ownership change of more than 50 percentage points.

There is no provision for income taxes in the United States or Israel, because we have historically incurred operating losses and maintain a full valuation allowance against our deferred tax assets in these jurisdictions. The deferred tax asset recorded in the consolidated balance sheets relates to our Australian operations.

Critical Accounting Policies and Significant Judgments and Estimates

Our management's discussion and analysis of our financial condition and results of operations is based on our consolidated financial statements, which we have prepared in accordance with U.S. Generally Accepted Accounting Principles ("GAAP"). The preparation of these consolidated financial statements requires us to make estimates and assumptions that affect the reported amounts of assets and liabilities and the disclosure of contingent assets and liabilities at the date of the consolidated financial statements, as well as the reported expenses during the reporting periods. We evaluate these estimates and judgments on an ongoing basis. We base our estimates on historical experience and on various other factors that we believe are reasonable under the circumstances, the results of which form the basis for making judgments about the carrying value of assets and liabilities that are not readily apparent from other sources. Our actual results may differ from these estimates under different assumptions or conditions.

While our significant accounting policies are more fully described in Note 2 to our consolidated financial statements appearing elsewhere in this report, we believe that the following accounting policies are the most critical for fully understanding and evaluating our financial condition and results of operations.

Accrued Research and Development Expenses

As part of the process of preparing consolidated financial statements, we are required to estimate accrued research and development expenses. This process involves communicating with our applicable personnel to identify services that have been performed on our behalf and estimating the level of service performed and the associated cost incurred for the service when we have not yet been invoiced or otherwise notified of actual cost. The majority of our service providers invoice us monthly for services performed. We make estimates of our accrued research and development expenses as of each balance sheet date in our consolidated financial statements based on facts and circumstances known to us. We periodically confirm the accuracy of our estimates with selected service providers and make adjustments, if necessary. To date, we have not adjusted our estimate at any particular balance sheet date by any material amount. Examples of estimated accrued research and development expenses include:

- fees paid to CROs for management of our clinical trial activities;
- fees paid to investigative sites in connection with clinical trials;
- fees paid to contract manufacturers in connection with the production of clinical trial supplies; and
- professional services and fees.

We base our expenses related to clinical trials on our estimates of the services received and efforts expended pursuant to contracts with multiple research institutions and CROs that conduct and manage clinical trials on our behalf. The financial terms of these agreements are subject to negotiation, vary from contract to contract and may result in uneven payment flows. Payments under some of these contracts depend on factors such as the successful enrollment of patients and the completion of clinical trial milestones. In accruing service fees, we estimate the time period over which services will be performed and the level of effort to be expended in each period. If we do not accurately identify costs that we have begun to incur or if we underestimate or overestimate the level of services performed or the costs of these services, our actual expenses could differ from our estimates.

Stock-Based Compensation

We have issued options to purchase our common stock. We account for stock based compensation in accordance with ASC 718, Compensation—Stock Compensation. ASC 718 establishes accounting for stock-based awards exchanged for employee services. Under the fair value recognition provisions of ASC 718, stock-based compensation cost is measured at the grant date based on the fair value of the award and is recognized as expense over the requisite service or vesting period. Determining the appropriate fair value model and calculating the fair value of stock-based payment awards require the use of highly subjective assumptions, including the expected life of the stock-based payment awards and stock price volatility.

We estimate the grant date fair value of stock options and the related compensation expense, using the Black-Scholes option valuation model. This option valuation model requires the input of subjective assumptions including: (1) expected life (estimated period of time outstanding) of the options granted, (2) volatility, (3) risk-free rate and (4) dividends. In general, the assumptions used in calculating the fair value of stock-based payment awards represent management's best estimates, but the estimates involve inherent uncertainties and the application of management judgment. As a result, if factors change and we use different assumptions, our stock-based compensation expense could be materially different in the future.

JOBS Act

We are an "emerging growth company", or EGC, as defined in the Jumpstart Our Business Startups Act of 2012 (the "JOBS Act"). The JOBS Act, permits an "emerging growth company" such as us to take advantage of an extended transition period to comply with new or revised accounting standards applicable to public companies until those standards would otherwise apply to private companies. We may elect to use the extended transition period for complying with new or revised accounting standards under Section 102(b)(1) of the JOBS Act. This election would allow us to delay the adoption of new or revised accounting standards that have different effective dates for public and private companies until those standards apply to private companies. As a result of this election, our financial statements may not be comparable to companies that comply with public company effective dates.

We may take advantage of these reporting exemptions until we are no longer an emerging growth company, which in certain circumstances could be for up to five years. We will remain an "emerging growth company" until the earliest of (a) the last day of the first fiscal year in which our annual gross revenues exceed $1.07 billion, (b) the date that we become a "large accelerated filer" as defined in Rule 12b-2 under the Securities Exchange Act of 1934, as amended, or the Exchange Act, which would occur if the market value of our shares that are held by non-affiliates exceeds $700 million as of the last business day of our most recently completed second fiscal quarter, (c) the date on which we have issued more than $1.0 billion in nonconvertible debt during the preceding three-year period and (d) the last day of our 2022 fiscal year containing the fifth anniversary of the date on which shares of our common stock became publicly traded in the U.S. As of December 31, 2019, we remain an EGC.

Results of Operations

Comparison of the Years Ended December 31, 2019 and 2018

The following tables summarize our results of operations for the years ended December 31, 2019 and 2018:

	Year Ended December 31,		
	2019	2018	Change
	(in thousands)		
Operating expenses:			
Research and development	$ 24,366	$ 21,830	$ 2,536
General and administrative	9,085	8,921	164
Total operating expenses	33,451	30,751	2,700
Loss from operations	(33,451)	(30,751)	(2,700)
Interest income	313	447	(134)
Interest expense	(23)	(19)	(4)
Australian research and development incentives	132	756	(624)
Foreign currency gains (loss)	126	(835)	961
Change in fair value of warrant liability	—	7,284	(7,284)
Loss before income taxes	(32,903)	(23,118)	(9,785)
Income taxes	3	(20)	23
Net loss	$ (32,900)	$ (23,138)	$ (9,762)

Research and Development Expenses

	Year Ended December 31,		Increase (Decrease)
	2019	2018	
	(in thousands)		
Direct research and development by program:			
DKN-01 program	$ 16,130	$ 15,624	$ 506
TRX518 program	8,236	6,206	2,030
Total research and development expenses	$ 24,366	$ 21,830	$ 2,536

Research and development expenses were $24.4 million for the year ended December 31, 2019, compared to $21.8 million for the year ended December 31, 2018. The increase of $2.6 million was primarily due to a $3.5 million increase in clinical trial costs due to an increase in patient enrollment, a $0.7 million increase in payroll and other related expenses due to an increase in headcount in our full time research and development employees and a $0.3 million increase in stock based compensation expense due to new stock options and restricted stock units granted to employees during the year ended December 31, 2019. These increases were partially offset by a $1.5 million decrease in manufacturing costs related to clinical trial material due to timing of manufacturing campaigns and a $0.5 million decrease in consulting fees associated with research and development activities during the year ended December 31, 2019 as compared to the year ended December 31, 2018.

General and Administrative Expenses

General and administrative expenses were $9.1 million for the year ended December 31, 2019, compared to $8.9 million for the year ended December 31, 2018. The increase of $0.2 million was due

to a $0.3 million increase in stock based compensation expense due to new stock options and restricted stock units granted to employees during the year ended December 31, 2019. This increase was partially offset by a $0.1 million decrease in payroll and other related expenses.

Interest Income

We recorded interest income of $0.3 million and $0.4 million, respectively, for the years ended December 31, 2019 and 2018. The decrease in interest income is primarily due to a higher average cash balance during the year ended December 31, 2018 as compared to 2019.

Australian Research and Development Incentives

We recorded R&D incentive income of $0.1 million and $0.8 million for the years ended December 31, 2019 and 2018, respectively, based upon the applicable percentage of eligible research and development activities under the Australian Incentive Program, net of our Australia tax liability, which expenses included the cost of manufacturing of clinical trial material.

We perform certain supporting research and development activity outside of Australia when there are no Australian facilities that support the activity ("Overseas research and development activities"). In October 2017, the Commonwealth of Australia issued us a favorable ruling on our Overseas research and development activities, considering such activities to be eligible research and development activities under the Australian Incentive Program.

During the year ended December 31, 2019, we received $0.8 million of research and development tax incentive payments from the Commonwealth of Australia as a result of the 2018 research and development activities. During the year ended December 31, 2018, we received $0.8 million of research and development tax incentive payments from the Commonwealth of Australia as a result of the 2017 research and development activities.

The remaining R&D incentive receivable has been recorded as "Research and development incentive receivable" in the consolidated balance sheets.

Foreign Currency Gains (Loss)

We recorded foreign currency gains (losses) of 0.1 million and ($0.8) million, respectively, for the years ended December 31, 2019 and 2018. The increase in foreign currency gains is due to the changes in the Australian dollar exchange rate related to activities of the Australian entity.

Interest Expense

We recorded an immaterial amount of interest expense for the years ended December 31, 2019 and 2018.

Liquidity and Capital Resources

Since our inception, we have incurred significant operating losses. We have not yet commercialized any of our product candidates, which are in various phases of clinical trials, and we do not expect to generate revenue from sales of any product for several years, if at all. We have funded our operations to date with proceeds from the sale of common stock and preferred stock and notes payable—related party.

As of December 31, 2019, we had cash and cash equivalents of $3.9 million. In January 2020, we issued common stock in a private placement for aggregate net proceeds of $25.3 million and we entered into an exclusive option and license agreement with BeiGene which included a $3.0 million upfront cash payment.

We expect that our cash and cash equivalents of $3.9 million at December 31, 2019, together with the $25.3 million in aggregate net proceeds from the January 2020 private placement and the $3.0 million upfront cash payment received from BeiGene, will be sufficient to fund our operating expenses for at least the next 12 months from issuance of the financial statements included in this Annual Report on Form 10-K. In addition, we will seek additional funding through public or private equity financings or government programs and will seek funding or development program cost-sharing through collaboration agreements or licenses with larger pharmaceutical or biotechnology companies. If we do not obtain additional funding or development program cost-sharing, we would be forced to delay, reduce or eliminate certain clinical trials or research and development programs, reduce or eliminate discretionary operating expenses, and delay company and pipeline expansion, which would adversely affect our business prospects. The inability to obtain funding, as and when needed, would have a negative impact on Leap's financial condition and our ability to pursue our business strategies.

Cash Flows

The following table summarizes our sources and uses of cash for each of the periods presented:

	Year Ended December 31,	
	2019	2018
	(in thousands)	
Cash used in operating activities	$ (26,902)	$ (26,033)
Cash used in investing activities	(85)	—
Cash provided by financing activities	14,817	15,906
Effect of exchange rate changes on cash and cash equivalents	(223)	674
Net decrease in cash and cash equivalents	$ (12,393)	$ (9,453)

Operating activities. Net cash used in operating activities for the year ended December 31, 2019 was primarily related to our net loss from the operation of our business of $32.9 million and net changes in working capital, including a decrease in lease liabilities of $0.7 million. These changes were partially offset by an increase in accounts payable and accrued expenses of $1.1 million, a decrease of $0.6 million in research and development receivable, a decrease of $0.3 million in prepaid expenses and other assets, noncash stock based compensation expense of $3.7 million and change in restricted stock liability of $0.2 million.

Net cash used in operating activities for the year ended December 31, 2018 was primarily related to our net loss from the operation of our business of $23.1 million and net changes in working capital, including an increase in prepaid expenses and other assets of $0.3 million and a noncash change in the fair value of the warrant liability of $7.3 million, partially offset by noncash stock based compensation expense of $3.5 million, an increase of $0.8 million in research and development incentive receivable and an increase in accounts payable and accrued expenses of $0.4 million. The increase in accounts payable and accrued expenses was due to timing of vendor invoicing and payments.

Investing Activities. Net cash used in investing activities during the year ended December 31, 2019 was related to purchases of equipment. There were no investing activities during the year ended December 31, 2018.

Financing Activities. Net cash provided by financing activities for the year ended December 31, 2019 consisted of $12.3 million in proceeds from the issuance of common stock in connection with the 2019 Public Offering, net of underwriter commissions and discounts, $1.9 million in proceeds from the issuance of common stock under our Distribution Agreement with Raymond James & Associates, Inc. and $1.0 million in proceeds from the issuance of common stock under the Distribution Agreement

with Lincoln Park Capital. These increases were partially offset by payments of $0.4 million for deferred offering costs.

Net cash provided by financing activities for the year ended December 31, 2018 consisted of $15.0 million in proceeds from the issuance of common stock in connection with the March 2018 public offering, net of underwriter commissions and discounts, and $1.2 million in proceeds from the exercise of common stock warrants, partially offset by payments of $0.3 million for deferred offering costs.

Capital Requirements

We expect our expenses to increase substantially in connection with our ongoing activities, particularly as we advance the preclinical activities and clinical trials of our product candidates in development. In addition, we expect to incur additional costs associated with operating as a public company.

Our expenses will also increase as we:

- pursue the clinical development of our most advanced product candidate, DKN-01;
- seek to identify and develop additional product candidates;
- maintain, expand and protect our intellectual property portfolio;
- expand our operational, financial and management systems and increase personnel, including personnel to support our clinical development, manufacturing and commercialization efforts and our operations as a public company; and
- increase our product liability and clinical trial insurance coverage as we initiate our clinical trials and commercialization efforts.

Additional funding may not be available at the time needed on commercially reasonable terms, if at all.

Contractual Obligations and Commitments

The following table summarizes our contractual obligations as of December 31, 2019 and the effects that such obligations are expected to have on our liquidity and cash flows in future periods:

	Payments due by period				
	Total	Less than 1 year	1 - 3 years	3 - 5 years	More than 5 Years
Research commitments(1)	$ 207	$ 207	$ —	$ —	$ —
Operating lease commitments(2)	1,112	532	580	—	
Total	$ 1,319	$ 739	$ 580	$ —	$ —

(1) Represents non-cancellable commitments under manufacturing agreements with vendors to manufacture DKN-01 for use in clinical trials.

(2) Represents operating lease commitments for our office space at 47 Thorndike Street in Cambridge, Massachusetts through April 30, 2022 and for our lab space in Cambridge, Massachusetts, through April 30, 2020.

Pursuant to the Lilly Agreement, we agreed to pay Lilly a royalty in the low single digits of net sales of a particular product in the territory during the applicable royalty term. As the product candidate has not been approved for sale, we have not yet paid any royalties to Lilly pursuant to this agreement and do not know whether or when royalties may ultimately become payable.

Pursuant to the Lonza Agreement, we agreed to pay Lonza a royalty in the low single digits of net sales of a particular product in the territory during the applicable royalty term. As the product candidate has not been approved for sale, we have not yet paid any royalties to Lonza pursuant to this agreement and do not know whether or when royalties may ultimately become payable.

Off-Balance Sheet Arrangements

We did not have during the periods presented, and we do not currently have, any off-balance sheet arrangements, as defined in the rules and regulations of the Securities and Exchange Commission.

Recently Issued Accounting Pronouncements

We have reviewed all recently issued standards and have determined that, other than as disclosed in Note 2 to our consolidated financial statements included in this Annual Report on Form 10-K, such standards will not have a material impact on our financial statements or do not otherwise apply to our operations.

Item 7A. Quantitative and Qualitative Disclosures about Market Risks

Market risk represents the risk of loss that may impact our financial position due to adverse changes in financial market prices and rates. Our market risk exposure is primarily the result of fluctuations in interest rates and foreign exchange rates.

Interest Rate Risk

We are exposed to interest rate risk in the ordinary course of our business. Our cash and cash equivalents are held in highly liquid, readily available checking and money market accounts. As a result, these amounts are not materially affected by changes in interest rates and we do not believe that a 10% change in interest rate would materially impact these amounts.

Foreign Currency Exchange Risk

All of our employees and the majority of our major operations are currently located in the United States. We contract for manufacturing operations outside the United States through contract manufacturing organizations. The functional currency of our foreign subsidiary in Australia is the Australian dollar, and the R&D Tax Incentive payment is received from the Australian government in Australian dollars, although the majority of the Australian subsidiary's contracts are denominated in U.S. dollars. We have engaged in contracts with contractors or other vendors in a currency other than the U.S. dollar, including our services agreement with Lonza Sales AG which is denominated in British pounds. As a result, we are subject to foreign currency risks with respect to the Australian dollar and the British pound which could have the effect of increasing our expenses or reducing the amounts collected under the R&D Tax Incentive from the amounts recorded at the time of the transaction.

Item 8. Financial Statements and Supplementary Data.

Our financial statements required by this Item, together with the report of our independent registered public accounting firm, appear on pages F-1 through F-30 of this Annual Report on Form 10-K and are incorporated herein by reference.

Item 9. Changes in and Disagreements With Accountants on Accounting and Financial Disclosure.

None.

Item 9A. Controls and Procedures.

Evaluation of Disclosure Controls and Procedures

We maintain disclosure controls and procedures that are designed to ensure that information required to be disclosed in the reports that we file or submit under the Securities and Exchange Act of 1934 is (1) recorded, processed, summarized, and reported within the time periods specified in the SEC's rules and forms and (2) accumulated and communicated to our management, including our President and Chief Executive Officer, who is our principal executive officer, and Chief Financial Officer, who is also our principal financial and accounting officer, as appropriate, to allow timely decisions regarding required disclosure.

As of December 31, 2019, our management, with the participation of our principal executive officer and principal financial and accounting officer, evaluated the effectiveness of our disclosure controls and procedures (as defined in Rules 13a-15(e) and 15d-15(e) under the Securities and Exchange Act of 1934). Our management recognizes that any controls and procedures, no matter how well designed and operated, can provide only reasonable assurance of achieving their objectives, and management necessarily applies its judgment in evaluating the cost-benefit relationship of possible controls and procedures. Our principal executive officer and principal financial and accounting officer have concluded based upon the evaluation described above that, as of December 31, 2019, our disclosure controls and procedures were effective at the reasonable assurance level.

Management's Report on Internal Control Over Financial Reporting

This Company's management is responsible for establishing and maintaining adequate internal control over financial reporting (as defined in Rules 13a-15(f) and 15d-15(f) of the Exchange Act). Internal control over financial reporting is a process designed under the supervision and with the participation of our management, including the individuals serving as our principal executive officer and principal financial officer, to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with accounting principles generally accepted in the United States of America. Management conducted an assessment of the effectiveness of the Company's internal control over financial reporting based on the criteria set forth by the Committee of Sponsoring Organizations of the Treadway Commission in Internal Control—Integrated Framework (2013 Framework). Based on this assessment, our management concluded that, as of December 31, 2019, our internal control over financial reporting was effective based on those criteria.

Attestation Report on Internal Control Over Financial Reporting

This Annual Report on Form 10-K does not include an attestation report of our independent registered public accounting firm due to the deferral allowed under the JOBS Act for emerging growth companies.

Changes in Internal Control Over Financial Reporting

There were no changes to our internal control over financial reporting that occurred during the period covered by this report that have materially affected, or are reasonably likely to materially affect, our internal control over financial reporting.

Item 9B. Other Information.

Not applicable.

PART III

Item 10. Directors, Executive Officers and Corporate Governance.

The information required by this Item is set forth in our Proxy Statement for the 2020 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2019, and is incorporated into this Annual Report on Form 10-K by reference.

Item 11. Executive Compensation.

The information required by this Item is set forth in our Proxy Statement for the 2020 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2019, and is incorporated into this Annual Report on Form 10-K by reference.

Item 12. Security Ownership of Certain Beneficial Owners and Management and Related Stockholder Matters.

The information required by this Item is set forth in our Proxy Statement for the 2020 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2019, and is incorporated into this Annual Report on Form 10-K by reference.

Item 13. Certain Relationships and Related Transactions, and Director Independence.

The information required by this Item is set forth in our Proxy Statement for the 2020 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2019, and is incorporated into this Annual Report on Form 10-K by reference.

Item 14. Principal Accounting Fees and Services.

The information required by this Item is set forth in our Proxy Statement for the 2020 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2019, and is incorporated into this Annual Report on Form 10-K by reference.

PART IV

Item 15. Exhibits, Financial Statement Schedules.

(a)(1) Financial Statements

The financial statements listed below are filed as part of this Annual Report on Form 10-K.

(a)(2) Financial Statement Schedules

All financial schedules have been omitted because the required information is either presented in the Consolidated Financial Statements or the Notes thereto or is not applicable or required.

(a)(3) Exhibits

The exhibits required by Item 601 of Regulation S-K and Item 15(b) of this Annual Report on Form 10-K are listed in the Exhibit Index immediately preceding the exhibits and are incorporated herein by reference.

EXHIBIT INDEX

Exhibit No.	Description
3.1	Third Amended and Restated Certificate of Incorporation of Leap Therapeutics, Inc. (filed as Exhibit 3.1 to the Registrant's current report on Form 8-K (File No. 001-37990) as filed on January 26, 2017).
3.2	Amended and Restated By-laws of Leap Therapeutics, Inc. (filed as Exhibit 3.4 to the Registrant's registration statement on Form S-4 (File No. 333-213794) as filed on September 26, 2016 and attached as Annex D to the prospectus which forms part of such registration statement).
4.1	Form of Common Stock Certificate of the Registrant (incorporated by reference to Exhibit 4.1 to Amendment No. 2 to the Registrant's registration statement on Form S-4 (File No. 333-213794) as filed on November 16, 2016).
4.2	Amended and Restated Stockholders' Agreement, between Leap and its stockholders, effective as of December 10, 2015 (incorporated by reference to Exhibit 4.2 to the Registrant's registration statement on Form S-4 (File No. 333-213794) as filed on September 26, 2016).
4.3	Registration Rights Agreement, by and among Leap and certain stockholders, dated as of January 23, 2017 (incorporated by reference to Exhibit 3.1 to the Registrant's current report on Form 8-K (File No. 001-37990) as filed on January 26, 2017).
4.4	Amendment No. 2 to Warrant, by and among Macrocure, Leap and certain warrant holders, dated as of January 23, 2017 (incorporated by reference to Exhibit 4.4 to the Registrant's annual report on Form 10-K (File No. 001-37990) as filed on March 31, 2017).
4.5	Form of Warrant, dated as of November 14, 2017 by and among Leap Therapeutics, Inc. and the Holders identified on the schedule thereto (incorporated by reference to Exhibit 4.1 to the Current Report on Form 8-K (file No. 0001-3799) filed with the Securities and Exchange Commission on November 17, 2017).
4.6*	Description of the Registrant's Securities registered pursuant to Section 12 of the Securities Exchange Act of 1934.
10.1	Underwriting Agreement, dated as of February 1, 2019, by and among the Registrant, Raymond James & Associates, Inc. and Ladenburg Thalmann & Co. Inc., as representatives of the underwriters named therein (incorporated by reference to Exhibit 1.1 to the Registrant's current report on Form 8-K (File No. 001-37990) as filed on February 1, 2019).
10.2	Purchase Agreement dated as of July 11, 2019, by and between the Company and Lincoln Park Capital Fund, LLC (filed as Exhibit 10.1 to the Registrant's current report on Form 8-K as filed on July 11, 2019).
10.3	Purchase Agreement dated as of July 10, 2019, by and between the Company and Lincoln Park Capital Fund, LLC (filed as Exhibit 10.2 to the Registrant's current report on Form 8-K as filed on July 11, 2019).
10.4	Registration Rights Agreement dated as of July 10, 2019, by and between the Company and Lincoln Park Capital Fund, LLC (filed as Exhibit 10.3 to the Registrant's current report on Form 8-K as filed on July 11, 2019).
21.1*	Subsidiaries of Leap Therapeutics, Inc.

Exhibit No.	Description
23.1*	Consent of EisnerAmper LLP related to Leap Therapeutics, Inc. financial statements.
31.1*	Certification of Principal Executive Officer Required Under Rule 13a-14(a) and 15d-14(a) of the Securities Exchange Act of 1934, as amended.
31.2*	Certification of Principal Financial Officer Required Under Rule 13a-14(a) and 15d-14(a) of the Securities Exchange Act of 1934, as amended.
32.1***	Principal Executive Officer Certification and Principal Financial Officer Certification Pursuant to 18 U.S.C. Section 1350, as Adopted Pursuant to Section 906 of the Sarbanes-Oxley Act of 2002.
101*	The following materials from Leap Therapeutics, Inc.'s Annual Report on Form 10-K for the year ended December 31, 2019, formatted in XBRL (Extensible Business Reporting Language): (i) Consolidated Balance Sheets at December 31, 2019 and 2018, (ii) Consolidated Statements of Operations for the year ended December 31, 2019 and December 31, 2018, (iii) Consolidated Statements of Shareholders' Equity (Deficit) at December 31, 2019 and December 31, 2018 (iv) Consolidated Statements of Cash Flows for the year ended December 31, 2019 and December 31, 2018, and (v) Notes to Condensed Consolidated Financial Statements, tagged as blocks of text.

* Exhibits filed herewith

** This exhibit shall not be deemed "filed" for purposes of Section 18 of the Securities Exchange Act of 1934 or otherwise subject to the liabilities of the Section, nor shall it be deemed incorporated by reference in any filings under the Securities Act of 1933, as amended, or the Securities Exchange Act of 1934, as amended, whether made before or after the date hereof and irrespective of any general incorporation language in any filing.

† Indicates management contract or compensation plan

‡ Indicates confidential treatment has been granted by the Securities and Exchange Commission with respect to specific portions of this exhibit. Such portions have been omitted and have been filed separately with the Securities and Exchange Commission as part of an application for confidential treatment pursuant to Rule 406 of the Securities Act of 1933, as amended.

Item 16. 10-K Summary.

None.

SIGNATURES

Pursuant to the requirements of Section 13 or 15(d) of the Securities Exchange Act of 1934, the registrant has duly caused this report to be signed on its behalf by the undersigned, thereunto duly authorized.

LEAP THERAPEUTICS, INC.

By: /s/ CHRISTOPHER K. MIRABELLI, PH.D.

March 16, 2020

Name: Christopher K. Mirabelli, Ph.D.
Title: *President, Chief Executive Officer and Chairman of the Board of Directors*

Pursuant to the requirements of the Securities Exchange Act of 1934, this report has been signed below by the following persons on behalf of the registrant and in the capacities and on the dates indicated.

NAME	TITLE	DATE
/s/ CHRISTOPHER K. MIRABELLI, PH.D. Christopher K. Mirabelli, Ph.D.	Chief Executive Officer, President and Chairman of the Board (Principal Executive Officer)	March 16, 2020
/s/ DOUGLAS E. ONSI Douglas E. Onsi	Chief Financial Officer, Treasurer and Secretary (Principal Financial and Accounting Officer)	March 16, 2020
/s/ JAMES CAVANAUGH, PH.D. James Cavanaugh, Ph.D.	Director	March 16, 2020
/s/ JOHN LITTLECHILD John Littlechild	Director	March 16, 2020
/s/ THOMAS DIETZ, PH.D. Thomas Dietz, Ph.D.	Director	March 16, 2020
/s/ JOSEPH LOSCALZO, M.D., PH.D. Joseph Loscalzo, M.D., Ph.D.	Director	March 16, 2020
/s/ NISSIM MASHIACH Nissim Mashiach	Director	March 16, 2020
/s/ WILLIAM LI, M.D. William Li, M.D.	Director	March 16, 2020
/s/ MONICA M. BERTAGNOLLI, M.D. Monica M. Bertagnolli, M.D.	Director	March 16, 2020

INDEX TO CONSOLIDATED FINANCIAL STATEMENTS

REPORT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

To the Board of Directors and Stockholders of
Leap Therapeutics, Inc.

Opinion on the Financial Statements

We have audited the accompanying consolidated balance sheets of Leap Therapeutics, Inc. and Subsidiaries (the "Company") as of December 31, 2019 and 2018, and the related consolidated statements of operations, comprehensive loss, stockholders' equity (deficiency), and cash flows for each of the years then ended and the related notes (collectively referred to as the "financial statements"). In our opinion, the financial statements present fairly, in all material respects, the consolidated financial position of the Company as of December 31, 2019 and 2018, and the consolidated results of their operations and their cash flows for each of the years then ended, in conformity with accounting principles generally accepted in the United States of America.

Adoption of New Accounting Standard

As discussed in Notes 5 and 6 to the consolidated financial statements, the Company changed its method for accounting for leases and warrants in 2019.

Basis for Opinion

These financial statements are the responsibility of the Company's management. Our responsibility is to express an opinion on the Company's financial statements based on our audits. We are a public accounting firm registered with the Public Company Accounting Oversight Board (United States) ("PCAOB") and are required to be independent with respect to the Company in accordance with the U.S. federal securities laws and the applicable rules and regulations of the Securities and Exchange Commission and the PCAOB.

We conducted our audits in accordance with the standards of the PCAOB. Those standards require that we plan and perform the audit to obtain reasonable assurance about whether the financial statements are free of material misstatement, whether due to error or fraud. The Company is not required to have, nor were we engaged to perform, an audit of its internal control over financial reporting. As part of our audits we are required to obtain an understanding of internal control over financial reporting but not for the purpose of expressing an opinion on the effectiveness of the Company's internal control over financial reporting. Accordingly, we express no such opinion.

Our audits included performing procedures to assess the risks of material misstatement of the financial statements, whether due to error or fraud, and performing procedures that respond to those risks. Such procedures included examining, on a test basis, evidence regarding the amounts and disclosures in the financial statements. Our audits also included evaluating the accounting principles used and significant estimates made by management, as well as evaluating the overall presentation of the financial statements. We believe that our audits provide a reasonable basis for our opinion.

/s/ EisnerAmper LLP

We have served as the Company's auditor since 2014.

EISNERAMPER LLP
Philadelphia, Pennsylvania
March 16, 2020

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED BALANCE SHEETS

(In thousands, except share and per share amounts)

	December 31, 2019	December 31, 2018
Assets		
Current assets:		
Cash and cash equivalents	$ 3,891	$ 16,284
Research and development incentive receivable	185	836
Prepaid expenses and other current assets	165	202
Total current assets	4,241	17,322
Property and equipment, net	124	86
Right of use assets	1,026	—
Deferred tax assets	127	124
Deferred offering costs	831	162
Deposits	1,099	1,380
Total assets	$ 7,448	$ 19,074
Liabilities and Stockholders' Equity (Deficiency)		
Current liabilities:		
Accounts payable	$ 4,571	$ 3,579
Accrued expenses	3,441	2,872
Lease liability—current portion	474	—
Total current liabilities	8,486	6,451
Non current liabilities:		
Warrant liability	—	3,448
Restricted stock liability	159	—
Lease liability, net of current portion	552	—
Total liabilities	9,197	9,899
Stockholders' equity (deficiency):		
Common stock, $0.001 par value; 100,000,000 shares authorized, 24,194,877 and 14,703,159 shares issued and outstanding as of December 31, 2019 and 2018, respectively	24	15
Additional paid-in capital	193,319	162,393
Accumulated other comprehensive income	76	302
Accumulated deficit	(195,168)	(153,535)
Total stockholders' equity (deficiency)	(1,749)	9,175
Total liabilities and stockholders' equity (deficiency)	$ 7,448	$ 19,074

See notes to consolidated financial statements

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED STATEMENTS OF OPERATIONS

(In thousands, except share and per share amounts)

	Year Ended December 31	
	2019	2018
Operating expenses:		
Research and development	$ 24,366	$ 21,830
General and administrative	9,085	8,921
Total operating expenses	33,451	30,751
Loss from operations	(33,451)	(30,751)
Interest income	313	447
Interest expense	(23)	(19)
Australian research and development incentives	132	756
Foreign currency gains (loss)	126	(835)
Change in fair value of warrant liability	—	7,284
Loss before income taxes	(32,903)	(23,118)
Income taxes	3	(20)
Net loss	(32,900)	(23,138)
Dividend attributable to down round feature of warrants	(359)	—
Net loss attributable to common stockholders	$ (33,259)	$ (23,138)
Net loss per share		
Basic	$ (1.47)	$ (1.64)
Diluted	$ (1.47)	$ (2.11)
Weighted average common shares outstanding		
Basic	22,582,687	14,144,287
Diluted	22,582,687	14,412,695

See notes to consolidated financial statements

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED STATEMENTS OF COMPREHENSIVE LOSS

(In thousands)

	Year Ended December 31,	
	2019	2018
Net loss	$ (32,900)	$ (23,138)
Other comprehensive income (loss):		
Foreign currency translation adjustments	(226)	570
Comprehensive loss	$ (33,126)	$ (22,568)

See notes to consolidated financial statements

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED STATEMENTS OF STOCKHOLDERS' EQUITY (DEFICIENCY)

(In thousands, except share amounts)

	Common Stock		Additional Paid-in Capital	Accumulated Other Comprehensive Income (Loss)	Accumulated Deficit	Total Stockholders' Equity
	Shares	Amount				
Balances at December 31, 2017	12,354,014	$ 12	$ 141,770	$ (268)	$ (130,397)	$ 11,117
Issuance of common stock in connection with Public Offering, net of issuance costs of $1,304	2,146,667	3	14,793	—	—	14,796
Issuance of common stock upon exercise of warrants	200,000	—	2,347	—	—	2,347
Issuance of common stock upon exercise of stock options	2,478	—	14	—	—	14
Foreign currency translation adjustment	—	—	—	570	—	570
Stock-based compensation	—	—	3,469	—	—	3,469
Net loss	—	—	—	—	(23,138)	(23,138)
Balances at December 31, 2018	14,703,159	$ 15	$ 162,393	$ 302	$ (153,535)	$ 9,175

	Common Stock		Additional Paid-in Capital	Accumulated Other Comprehensive Income (Loss)	Accumulated Deficit	Total Stockholders' Equity (Deficiency)
	Shares	Amount				
Balances at December 31, 2018	14,703,159	$ 15	$ 162,393	$ 302	$ (153,535)	$ 9,175
Issuance of common stock in connection with February 2019 Public Offering, net of issuance costs of $1,102	7,557,142	7	12,115	—	—	12,122
Issuance of common stock through ATM sales	1,033,147	1	1,922	—	—	1,923
ATM issuance costs	—	—	(13)	—	—	(13)
Issuance of common stock in connection with July 2019 Lincoln Park Capital Commitment Purchase Agreement	330,000	—	—	—	—	—
Issuance of common stock in connection with July 2019 Lincoln Park Capital Registered Offering Purchase Agreement	571,429	1	999	—	—	1,000
Lincoln Park issuance costs	—	—	(10)	—	—	(10)
Reclassification of 2017 warrants from liability to equity	—	—	11,822	—	(8,374)	3,448
Record the value of the effect of the down round feature as a dividend	—	—	359	—	(359)	—
Foreign currency translation adjustment	—	—	—	(226)	—	(226)
Stock-based compensation	—	—	3,732	—	—	3,732
Net loss	—	—	—	—	(32,900)	(32,900)
Balances at December 31, 2019	24,194,877	$ 24	$ 193,319	$ 76	$ (195,168)	$ (1,749)

See notes to consolidated financial statements

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED STATEMENTS OF CASH FLOWS

(In thousands)

	Year Ended December 31,	
	2019	2018
Cash flows from operating activities:		
Net loss	$ (32,900)	$ (23,138)
Adjustments to reconcile net loss to net cash used in operating activities:		
Depreciation expense	47	49
Change in right of use assets	729	—
Stock-based compensation expense	3,732	3,469
Change in fair value of restricted stock liability	159	—
Change in fair value of warrant liability	—	(7,284)
Changes in operating assets and liabilities:		
Prepaid expenses and other assets	302	(297)
Deferred tax assets	(3)	20
Research and development incentive receivable	643	780
Accounts payable and accrued expenses	1,084	368
Lease liability	(695)	—
Net cash used in operating activities	(26,902)	(26,033)
Cash flows from investing activities:		
Purchases of property and equipment	(100)	—
Proceeds from sale of property and equipment	15	—
Net cash used in investing activities	(85)	—
Cash flows from financing activities:		
Proceeds from issuance of common stock, net of underwriter commissions and discounts	12,331	15,034
Proceeds from issuance of common stock from ATM sales	1,923	—
Proceeds from issuance of common stock in connection with July 2019 Lincoln Park Capital Registered Offering Purchase Agreement	1,000	—
Proceeds from the exercise of common stock warrants	—	1,217
Proceeds from the exercise of stock options	—	14
Payment of deferred offering costs	(437)	(359)
Net cash provided by financing activities	14,817	15,906
Effect of exchange rate changes on cash and cash equivalents	(223)	674
Net decrease in cash and cash equivalents	(12,393)	(9,453)
Cash and cash equivalents at beginning of period	16,284	25,737
Cash and cash equivalents at end of period	$ 3,891	$ 16,284
Supplemental disclosure of non-cash financing activities:		
Reduction in fair value of warrant liability as a result of exercise of common stock warrants	$ —	$ 1,130
Reclassification of 2017 Warrants from liability to equity	$ 3,448	$ —
Dividend attributable to down round feature of warrants	$ 359	$ —
Offering costs included in accounts payable and accrued expenses	$ 444	$ 41
Right-of-use asset recorded upon adoption of ASU 2016-02	$ 1,755	$ —
Lease liability recorded upon adoption of ASU 2016-02	$ 1,720	$ —
Accrued rent reclassified upon adoption of ASU 2016-02	$ 35	$ —
Reclassification of deferred offering costs to additional paid-in-capital	$ 23	$ —

See notes to consolidated financial statements

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements

(Amounts in thousands, except share and per share amounts)

1. Nature of Business, Basis of Presentation and Liquidity

Nature of Business

Leap Therapeutics, Inc. was incorporated in the state of Delaware as Dekkun Corporation on January 3, 2011 and changed its name to HealthCare Pharmaceuticals, Inc. effective May 29, 2014, and then to Leap Therapeutics, Inc. effective November 16, 2015 (the "Company"). During 2015, HealthCare Pharmaceuticals Pty Ltd ("HCP Australia") was formed and is a wholly owned subsidiary of the Company. During 2017, the Company merged with Macrocure Ltd. (now "Leap Therapeutics Ltd.") and its wholly owned subsidiary Macrocure, Inc.

The Company is a biopharmaceutical company acquiring and developing novel therapeutics at the leading edge of cancer biology. The Company's approach is designed to target compelling tumor-promoting and immuno-oncology pathways to generate durable clinical benefit and enhanced outcomes for patients. The Company's programs are monoclonal antibodies that target key cellular pathways that enable cancer to grow and spread and specific mechanisms that activate the body's immune system to identify and attack cancer.

Basis of Presentation

The accompanying consolidated financial statements of the Company include the accounts of its wholly owned subsidiaries and have been prepared in conformity with accounting principles generally accepted in the United States of America. All inter-company accounts and transactions are eliminated upon consolidation.

Liquidity

Since inception, the Company has been engaged in organizational activities, including raising capital, and research and development activities. The Company does not yet have a product that has been approved by the Food and Drug Administration (the "FDA"), has not generated any revenues and has not yet achieved profitable operations, nor has it ever generated positive cash flows from operations. There is no assurance that profitable operations, if achieved, could be sustained on a continuing basis. Further, the Company's future operations are dependent on the success of the Company's efforts to raise additional capital, its research and commercialization efforts, regulatory approval, and, ultimately, the market acceptance of the Company's products.

In accordance with ASC 205-40, Going Concern, the Company has evaluated whether there are conditions and events, considered in the aggregate, that raise substantial doubt about the Company's ability to continue as a going concern within one year after the date that the consolidated financial statements are issued. As of December 31, 2019, the Company had an accumulated deficit of $195,168. During the year ended December 31, 2019, the Company incurred a loss of $32,900 and used $26,902 of cash in operations. The Company expects to continue to generate operating losses in the foreseeable future.

On January 3, 2020, the Company entered into a Securities Purchase Agreement (the "Securities Purchase Agreement") with institutional investors named therein (collectively, the "Purchasers," and each, a "Purchaser"), providing for a private placement transaction exempt from the registration requirements of the Securities Act of 1933, as amended (the "Securities Act"), pursuant to which the Company issued and sold 1,421,801 shares of the Company's Series A Mandatorily Convertible

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

1. Nature of Business, Basis of Presentation and Liquidity (Continued)

Cumulative Non-Voting Perpetual Preferred Stock, par value $0.001 per share (the "Series A Preferred Stock"), at a purchase price of $10.54 per share, and 1,137,442 shares of the Company's Series B Mandatorily Convertible Cumulative Non-Voting Perpetual Preferred Stock, par value $0.001 per share (the "Series B Preferred Stock") at a purchase price of $10.55 per share, and one (1) share of the Company's Special Voting Stock, par value $0.001 (the "Special Voting Stock") entitling the Purchaser of Series A Preferred Stock to elect one member of the Company's Board of Directors for aggregate net proceeds to the Company of approximately $25,300 (the "Transaction").

On January 3, 2020, the Company entered into an exclusive option and license agreement (the "BeiGene Agreement") with BeiGene, Ltd. ("BeiGene") for the clinical development and commercialization of DKN-01, the Company's anti-Dickkopf-1 (DKK1) antibody, in Asia (excluding Japan), Australia, and New Zealand. The Company retains exclusive rights for the development, manufacturing, and commercialization of DKN-01 for the rest of the world. Pursuant to the BeiGene Agreement, the Company received an upfront cash payment of $3,000 from BeiGene in exchange for granting BeiGene an option to an exclusive license to develop and commercialize DKN-01 in Asia (excluding Japan), Australia, and New Zealand, and will be eligible to receive an additional payment upon BeiGene's exercise of the option. Additionally, the Company is eligible to receive additional payments based upon the achievement of certain development, regulatory, and sales milestones as well as tiered royalties on any product sales of DKN-01 in the licensed territory.

The Company believes that its cash and cash equivalents of $3,891 as of December 31, 2019, together with the $25,300 in net proceeds from the January 2020 private placement and the $3,000 upfront cash payment received from BeiGene, will be sufficient to fund its operating expenses for at least the next 12 months from issuance of these financial statements. In addition, the Company will seek additional funding through public or private equity financings or government programs and will seek funding or development program cost-sharing through collaboration agreements or licenses with larger pharmaceutical or biotechnology companies. If the Company does not obtain additional funding or development program cost-sharing, or exceeds its current spending forecasts or fails to receive the research and development tax incentive payment, the Company has the ability and would be forced to: delay, reduce or eliminate certain clinical trials or research and development programs, reduce or eliminate discretionary operating expenses, and delay company and pipeline expansion, any of which would adversely affect its business prospects. The inability to obtain funding, as and when needed, would have a negative impact on the Company's financial condition and ability to pursue its business strategies.

2. Summary of Significant Accounting Policies

Principles of Consolidation

The accompanying consolidated financial statements include the accounts of the Company and its wholly owned subsidiaries. All intercompany accounts and transactions are eliminated upon consolidation.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

Use of Estimates

The presentation of consolidated financial statements in conformity with accounting principles generally accepted in the United States of America ("GAAP") requires management to make estimates and assumptions that affect the reported amounts of assets and liabilities and disclosure of contingent assets and liabilities at the date of the consolidated financial statements and the reported amounts of revenues and expenses during the reporting period. Actual results could differ from those estimates.

Cash and Cash Equivalents

The Company considers all highly liquid investments with maturities of three months or less when purchased to be cash equivalents.

Research and Development Expense

Research and development costs are expensed as incurred. Research and development expenses include personnel costs associated with research and development activities, including noncash share-based compensation and costs for third-party contractors to perform research, conduct clinical trials and manufacture drug supplies and materials. The Company accrues for costs incurred by external service providers, including contract research organizations and clinical investigators, based on its estimates of service performed and costs incurred. These estimates include the level of services performed by the third parties, patient enrollment in clinical trials, administrative costs incurred by the third parties, and other indicators of the services completed. Based on the timing of amounts invoiced by service providers, the Company may also record payments made to those providers as prepaid expenses that will be recognized as expense in future periods as the related services are rendered.

Research and development incentive income and receivable

The Company recognizes other income from Australian research and development incentives when there is reasonable assurance that the income will be received, the relevant expenditure has been incurred, and the consideration can be reliably measured. The research and development incentive is one of the key elements of the Australian Government's support for Australia's innovation system and is supported by legislative law primarily in the form of the Australian Income Tax Assessment Act 1997 as long as eligibility criteria are met.

Management has assessed the Company's research and development activities and expenditures to determine which activities and expenditures are likely to be eligible under the research and development incentive regime described above. At each period end management estimates the refundable tax offset available to the Company based on available information at the time. This estimate is also reviewed by external tax advisors on an annual basis.

Under the program, a percentage of eligible research and development expenses incurred by the Company through its subsidiary in Australia are reimbursed. The percentage was 43.5% for the years ended December 31, 2019 and 2018.

The research and development incentive receivable represents an amount due in connection with the above program. The Company has recorded a research and development incentive receivable of

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

$185 and $836 as of December 31, 2019 and 2018, respectively, in the consolidated balance sheets and other income from Australian research and development incentives of $132 and $756, in the consolidated statements of operations for the years ended December 31, 2019 and 2018, respectively, related to refundable research and development incentive program payments in Australia.

The following table shows the change in the research and development incentive receivable from January 1, 2018 to December 31, 2019:

Balance at January 1, 2018	$ 1,744
Australian research and development incentive income	756
Cash received for 2016 eligible overseas research and development expenses	(740)
Cash received for 2017 eligible expenses	(793)
Foreign currency translation	(131)
Balance at December 31, 2018	836
Australian research and development incentive income, net	132
Cash received for 2018 eligible expenses	(757)
Foreign currency translation	(26)
Balance at December 31, 2019	$ 185

Concentration of Credit Risk

Financial instruments which potentially subject the Company to credit risk consist principally of cash and cash equivalents. All cash and cash equivalents are held in United States financial institutions and money market funds. At times, the Company may maintain cash balances in excess of the federally insured amount.

Income Taxes

The Company accounts for income taxes using the asset and liability method. Under the asset and liability method, deferred income taxes are recognized for differences between the financial reporting and tax bases of assets and liabilities at enacted statutory tax rates in effect for the years in which the differences are expected to reverse. The effect on deferred taxes of a change in tax rates is recognized in income in the period that includes the enactment date. Valuation allowances are established when necessary to reduce deferred tax assets to the amount expected to be realized.

The Company follows accounting guidance concerning provisions for uncertainty in income tax positions. This guidance clarifies the accounting for income taxes by prescribing a minimum probability threshold that an uncertain tax position must meet before a financial statement benefit is recognized. The minimum threshold is defined as a tax position that is more likely than not to be sustained upon examination by the applicable taxing authority, of any related appeals or litigation processes, based on the technical merits of the position. The tax benefit to be recognized is measured as the largest amount of benefit that is greater than 50% likely of being realized upon ultimate settlement.

The Company recognizes accrued interest and penalties associated with uncertain tax position as part of the income tax provision. There were no uncertain tax positions or income tax related interest

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

and penalties recorded for the years ended December 31, 2019 and 2018. The income tax returns of the Company for the year ended December 31, 2016 and subsequent years are subject to examination by the Internal Revenue Service and other taxing authorities, generally for three years after the returns were filed.

Foreign Currency Translation

The financial statements of the Company's foreign subsidiary are measured using the local currency as the functional currency. Assets and liabilities of this subsidiary are translated into U.S. dollars at exchange rates as of the consolidated balance sheet date. Equity is translated at historical exchange rates. Revenues and expenses are translated into U.S. dollars at average rates of exchange in effect during the year. The resulting cumulative translation adjustments have been recorded as a separate component of stockholders' deficiency. Foreign currency transaction gains and losses are included in the results of operations.

Property and Equipment

Property and equipment are stated at cost less accumulated depreciation. Depreciation expense is recognized using the straight-line method over the estimated useful life of each asset. Computer equipment is depreciated over three years. Laboratory equipment, office equipment and furniture and fixtures are depreciated over five years. Leasehold improvements are amortized over the shorter of the lease term or the estimated useful life of the asset. Upon retirement or sale, the cost of assets disposed of and the related accumulated depreciation are removed from the accounts and any resulting gain or loss is included in loss from operations. Expenditures for repairs and maintenance are charged to expense as incurred.

Impairment of Long-Lived Assets

Long-lived assets consist of property and equipment. Long-lived assets to be held and used are tested for recoverability whenever events or changes in business circumstances indicate that the carrying amount of the assets may not be fully recoverable. Factors that the Company considers in deciding when to perform an impairment review include significant underperformance of the business in relation to expectations, significant negative industry or economic trends and significant changes or planned changes in the use of the assets. If an impairment review is performed to evaluate a long-lived asset group for recoverability, the Company compares forecasts of undiscounted cash flows expected to result from the use and eventual disposition of the long-lived asset group to its carrying value. An impairment loss would be recognized when estimated undiscounted future cash flows expected to result from the use of an asset group are less than its carrying amount. The impairment loss would be based on the excess of the carrying value of the impaired asset group over its fair value. The Company has not recorded any impairment losses on long-lived assets during 2019 and 2018.

Deferred Offering Costs

The Company capitalizes certain legal, professional accounting and other third-party fees that are directly associated with in-process equity financings as deferred offering costs until such financings are consummated. After consummation of the equity financing, these costs are recorded in stockholders'

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

equity (deficiency) as a reduction of additional paid-in capital generated as a result of the offering. As of December 31, 2019 and 2018 there was $831 and $162, respectively, of deferred offering costs.

Deposits

Deposits as of December 31, 2019 and 2018, included $1,099 and $1,380, respectively, of deposits made by the Company with certain service providers that are to be applied to future payments due under the service agreements or returned to the Company if not utilized.

Fair Value of Financial Instruments

Certain assets and liabilities are carried at fair value under GAAP. Fair value is defined as the exchange price that would be received for an asset or paid to transfer a liability (an exit price) in the principal or most advantageous market for the asset or liability in an orderly transaction between market participants on the measurement date. Valuation techniques used to measure fair value must maximize the use of observable inputs and minimize the use of unobservable inputs. Financial assets and liabilities carried at fair value are to be classified and disclosed in one of the following three levels of the fair value hierarchy, of which the first two are considered observable and the last is considered unobservable:

- Level 1—Quoted prices in active markets for identical assets or liabilities.
- Level 2—Observable inputs (other than Level 1 quoted prices), such as quoted prices in active markets for similar assets or liabilities, quoted prices in markets that are not active for identical or similar assets or liabilities, or other inputs that are observable or can be corroborated by observable market data.
- Level 3—Unobservable inputs that are supported by little or no market activity and that are significant to determining the fair value of the assets or liabilities, including pricing models, discounted cash flow methodologies and similar techniques.

During the years presented, the Company has not changed the manner in which it values assets and liabilities that are measured at fair value using Level 3 inputs. The Company recognizes transfers between levels of the fair value hierarchy as of the end of the reporting period. There were no transfers within the hierarchy during the years ended December 31, 2019 and 2018.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

A summary of the assets and liabilities carried at fair value in accordance with the hierarchy defined above is as follows (in thousands):

	Total	Level 1	Level 2	Level 3
December 31, 2019				
Assets:				
Cash equivalents	$ 3,891	$ 3,891	$ —	$ —
Total assets	$ 3,891	$ 3,891	$ —	$ —
December 31, 2018				
Assets:				
Cash equivalents	$ 16,284	$ 16,284	$ —	$ —
Total assets	$ 16,284	$ 16,284	$ —	$ —
Liabilities:				
Warrant liability	$ 3,448	$ —	$ —	$ 3,448
Total liabilities	$ 3,448	$ —	$ —	$ 3,448

Cash equivalents of $3,891 and $16,284 as of December 31, 2019 and 2018, respectively, consisted of overnight investments and money market funds and are classified within Level 1 of the fair value hierarchy because they are valued using quoted market prices in active markets.

The carrying value of the research and development incentive receivable, accounts payable and accrued expenses approximate their fair value due to the short-term nature of these assets and liabilities.

A roll-forward of the recurring fair value measurements of the warrant liability categorized with Level 3 inputs are as follows (in thousands):

	Warrant Liability
Balance—January 1, 2018	$ 11,862
Exercise of warrants	(1,130)
Change in Fair value	(7,284)
Balance—December 31, 2018	$ 3,448
Final remeasurement and reclassification of 2017 Warrants to equity in connection with the adoption of ASU 2017-11	(3,448)
Balance—January 1, 2019	$ —

The warrant liability in the table above is composed of the fair value of warrants (the "2017 Warrants") to purchase common shares that the Company issued in connection with a private placement (the "November 2017 Private Placement"). The fair value of the warrant liability was determined based on significant inputs not observable in the market, which represents a Level 3 measurement within the fair value hierarchy. The Company utilized a Monte Carlo simulation, which is

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

a statistical method used to generate a defined number of share price paths to develop a reasonable estimate of the range of the future expected share prices, to value the warrant liability. The Monte Carlo simulation incorporated assumptions and estimates to value the warrant liability. Estimates and assumptions impacting the fair value measurement included the estimated probability of adjusting the exercise price of the warrants, the number of shares for which the warrants will be exercisable, the remaining contractual term of the warrants, the risk-free interest rate, the expected dividend yield, and the expected volatility of the price of the underlying common shares.

The Company historically had been a private company and lacks company-specific historical and implied volatility information of its shares. Therefore, it estimated its expected share volatility based on the historical volatility of publicly traded peer companies for a term equal to the remaining contractual term of the warrants. The risk-free interest rate was determined by reference to the U.S. Treasury yield curve for time periods approximately equal to the remaining contractual term of the warrants. The Company estimated a 0% expected dividend yield based on the fact that the Company has never paid or declared dividends and does not intend to do so in the foreseeable future.

Leases

In February 2016, the FASB issued ASU 2016-02, *Leases*, or ASU 2016-02, to enhance the transparency and comparability of financial reporting related to leasing arrangements. The Company adopted ASU 2016-02 on January 1, 2019, the effective date, and used the effective date as its date of initial application.

At the inception of an arrangement, the Company determines whether the arrangement is or contains a lease based on the unique facts and circumstances present. Most leases with a term greater than one year are recognized on the balance sheet as right-of-use assets, lease liabilities and, if applicable, long-term lease liabilities. The Company has elected not to recognize on the balance sheet leases with terms at commencement of one year or less. Operating lease liabilities and their corresponding right-of-use assets are recorded based on the present value of lease payments over the expected remaining lease term. The Company has determined that the rate implicit in the lease is not determinable and the Company does not have borrowings with similar terms and collateral. Therefore, the Company considered a variety of factors, including observable debt yields from comparable companies and the volatility in the debt market for securities with similar terms, in determining that 8% was reasonable to use as the incremental borrowing rate for purposes of the calculation of lease liabilities.

In accordance with the guidance in ASU 2016-02, components of a lease should be split into three categories: lease components (e.g. land, building, etc.), non-lease components (e.g. common area maintenance, maintenance, consumables, etc.), and non-components (e.g. property taxes, insurance, etc.). Then the fixed and in-substance fixed contract consideration (including any related to non-components) must be allocated based on fair values to the lease components and non-lease components.

Although separation of lease and non-lease components is required, certain practical expedients are available. Entities may elect the practical expedient to not separate lease and non-lease components. Rather, they would account for each lease component and the related non-lease

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

component together as a single component. The Company has elected to account for the lease and non-lease components of each of its operating leases as a single lease component and allocate all of the contract consideration to the lease component only. The lease component results in an operating right-of-use asset being recorded on the consolidated balance sheets and amortized such that lease expense is recorded on a straight line basis over the term of the lease.

Segment Information

The Company manages its operations as a single segment for the purposes of assessing performance and making operating decisions. The Company's singular focus is developing novel, targeted drugs for the treatment of cancer. Substantially all of the Company's tangible assets are held in the United States.

Patent Costs

All patent related costs incurred in connection with filing and prosecuting patent applications are expensed as incurred due to the uncertainty about the recovery of the expenditure. Amounts incurred are classified as general and administrative expenses.

Stock-Based Compensation

The Company measures all stock options and other stock-based awards granted to employees based on the fair value on the date of the grant and recognizes compensation expense of those awards, net of estimated forfeitures, over the requisite service period, which is generally the vesting period of the respective award. Generally, the Company issues stock options to employees with only service-based vesting conditions and records the expense for these awards using the straight-line method.

The Company measures stock-based awards granted to consultants and nonemployees based on the fair value of the award on the date on which the related service is complete. Compensation expense is recognized over the period during which services are rendered by such consultants and nonemployees until completed. At the end of each financial reporting period prior to completion of the service, the fair value of these awards is remeasured using the then current fair value of the Company's common stock and updated assumption inputs in the Black-Scholes option-pricing model.

Stock-based compensation is classified in the accompanying consolidated statements of operations based on the function to which the related services are provided. The Company recognizes compensation expense for only the portion of awards that are expected to vest. In developing a forfeiture rate estimate, the Company has considered its historical experience to estimate pre-vesting forfeitures for service-based awards. The impact of a forfeiture rate adjustment will be recognized in full in the period of adjustment, and if the actual forfeiture rate is materially different from the Company's estimate, the Company may be required to record adjustments to stock-based compensation expense in future periods.

The fair value of each stock option grant is estimated on the date of grant using the Black-Scholes option-pricing model. The Company historically has been a private company and lacks company-specific historical and implied volatility information. Therefore, it estimates its expected stock volatility based on the historical volatility of a publicly traded set of peer companies and expects to continue to do so

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

until such time as it has adequate historical data regarding the volatility of its own traded stock price. The expected term of the Company's stock options has been determined utilizing the "simplified" method for awards that qualify as "plain-vanilla" options. The expected term of stock options granted to nonemployees is equal to the contractual term of the option award. The risk-free interest rate is determined by reference to the U.S. Treasury yield curve in effect at the time of grant of the award for time periods approximately equal to the expected term of the award. Expected dividend yield is based on the fact that the Company has never paid cash dividends on common stock and does not expect to pay any cash dividends in the foreseeable future.

Net Loss per Share

Basic net loss per share is computed using the weighted average number of common shares outstanding during the period. Diluted net loss per share is computed using the weighted average number of common shares outstanding during the period and, if dilutive, the weighted average number of potential shares of common stock, including the assumed exercise of stock options.

Reclassifications

Certain prior period amounts have been reclassified for consistency with the current period presentation. These reclassifications had no effect on previously reported results of operations.

Warrant Liability

In connection with entering into the November 2017 Private Placement, the Company issued the 2017 Warrants with each share of common stock sold in the November 2017 Private Placement. The Company classified the 2017 Warrants as a liability on its consolidated balance sheet prior to January 1, 2019, because each warrant represented a freestanding financial instrument that is not indexed to the Company's own shares. The warrant liability was initially recorded at fair value upon entering into the November 2017 Private Placement agreement and was subsequently remeasured to fair value at each reporting date. Changes in the fair value of the warrant liability were recognized as gains (losses) in the consolidated statements of operations through the year ended December 31, 2018.

Recent Accounting Pronouncements

From time to time, new accounting pronouncements are issued by the Financial Accounting Standards Board, or FASB, and are early adopted by the Company or adopted as of the specified effective date.

In June 2018, the FASB issued ASU No. 2018-07, Compensation—Stock Compensation (Topic 718): Improvements to Nonemployee Share-Based Payment Accounting, which is intended to simplify the accounting for share-based payments to nonemployees by aligning it with the accounting for share-based payments to employees, with certain exceptions. The new guidance is effective for fiscal years beginning after December 15, 2018, including interim periods within those fiscal years. The Company adopted this standard effective January 1, 2019, which had no impact on the Company's consolidated financial statements.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

2. Summary of Significant Accounting Policies (Continued)

In July 2017, the FASB issued ASU No. 2017-11, *Earnings Per Share (Topic 260), Distinguishing Liabilities from Equity (Topic 480), and Derivatives and Hedging (Topic 815)* ("ASU 2017-11"), which changes the classification analysis of certain equity-linked financial instruments (or embedded features) with down round features. The amendments require entities that present earnings per share ("EPS") in accordance with Topic 260 to recognize the effect of the down round feature when triggered with the effect treated as a dividend and as a reduction of income available to common shareholders in basic EPS. The new standard is effective for fiscal years beginning after December 15, 2018, including interim periods within those fiscal years. The Company adopted this standard effective January 1, 2019 and concluded that the 2017 Warrants qualified for equity classification. The Company applied the guidance retrospectively to the 2017 Warrants by means of a cumulative-effect adjustment to its statement of financial position as of the beginning of the interim and annual period beginning January 1, 2019. The Company performed a final remeasurement of the warrant liability as of January 1, 2019 and reclassified $3,448 to stockholders' equity.

In February 2016, the FASB issued ASU 2016-02, Leases, or ASU 2016-02. ASU 2016-02 requires a lessee to recognize on its balance sheet (for both finance and operating leases) a liability to make lease payments and a right-of-use asset representing its right to use the underlying asset for the lease term. ASU 2016-02 is effective for fiscal years, and interim periods within those fiscal years, beginning after December 15, 2018. The Company adopted ASU 2016-02 on January 1, 2019, the effective date, and used the effective date as its date of initial application. As such, the Company did not adjust prior period amounts. The Company also elected to adopt the practical expedients upon transition, which permit companies to not reassess lease identification, classification, and initial direct costs under ASU 2016-02 for leases that commenced prior to the effective date (see Note 5).

3. Property and Equipment, Net

Property and equipment, net consisted of the following:

	December 31,	
	2019	2018
Computer office equipment	$ 51	$ 51
Leasehold improvements	69	69
Lab equipment	113	58
Furnitures and fixtures	30	30
	263	208
Less: accumulated depreciation	(139)	(122)
Property and equipment, net	$ 124	$ 86

Depreciation expense was $47 and $49 for the years ended December 31, 2019 and 2018, respectively.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

4. Accrued Expenses

Accrued expenses consist of the following:

	December 31, 2019	December 31, 2018
Clinical trials	$ 1,828	$ 1,745
Professional fees	609	219
Payroll and related expenses	1,004	908
Accrued expenses	$ 3,441	$ 2,872

5. Leases

Effective January 1, 2017, the Company entered into an assignment agreement to assume an operating lease for its office space in Cambridge, Massachusetts. Annual rent under the lease, exclusive of operating expenses and real estate taxes, was $289 for the 12-month period ending July 31, 2017, $297 for the 12-month period ending July 31, 2018 and $305 for the 12-month period ending April 30, 2019. During the year ended December 31, 2018, the Company extended the term through April 30, 2022. Annual rent, exclusive of operating expenses and real estate taxes, for the 12-month periods ending April 30, 2020, April 30, 2021 and April 30, 2022, is $422, $429 and $437, respectively.

Effective July 15, 2018, the Company entered into an agreement to assume an operating lease for its research laboratory in Cambridge, Massachusetts. Annual rent under the lease, exclusive of operating expenses and real estate taxes, is $420. The lease expires on April 30, 2020.

Future lease payments under non-cancelable operating leases as of December 31, 2019 are detailed as follows:

	Future Operating Lease Payments
2020	532
2021	434
2022	146
Total Lease Payments	**1,112**
Less: imputed interest	(86)
Total operating lease liabilities	**$ 1,026**

In February 2016, the FASB issued ASU 2016-02 as amended, Leases Topic 842. Topic 842 requires a lessee to recognize on its balance sheet (for both finance and operating leases) a liability to make lease payments and a right-of-use asset representing its right to use the underlying asset for the lease term. The Company adopted Topic 842 on January 1, 2019, the effective date, and used the effective date as its date of initial application. As such, the Company did not adjust prior period amounts. The Company also elected to adopt the practical expedients upon transition, which permit companies to not reassess lease identification, classification, and initial direct costs under Topic 842 for leases that commenced prior to the effective date.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

5. Leases (Continued)

The Company has operating leases for real estate in the United States and does not have any finance leases. The Company's leases may contain options to renew and extend lease terms and options to terminate leases early. Reflected in the right-of-use asset and lease liability on the Company's consolidated balance sheets are the periods provided by renewal and extension options that the Company is reasonably certain to exercise, as well as the periods provided by termination options that the Company is reasonably certain to not exercise.

The Company has existing leases that include variable lease and non-lease components that are not included in the right-of-use asset and lease liability and are reflected as an expense in the period incurred. Such payments primarily include common area maintenance charges and increases in rent payments that are driven by factors such as future changes in an index (e.g., the Consumer Price Index).

In calculating the present value of future lease payments, the Company utilized its incremental borrowing rate based on the remaining lease term at the date of adoption. The Company has elected to account for each lease component and its associated non-lease components as a single lease component and has allocated all of the contract consideration across lease components only. This will potentially result in the initial and subsequent measurement of the balances of the right-of-use asset and lease liability for leases being greater than if the policy election was not applied. The Company has existing net leases in which the non-lease components (e.g. common area maintenance, maintenance, consumables, etc.) are paid separately from rent based on actual costs incurred and therefore are not included in the right-of-use asset and lease liability and are reflected as an expense in the period incurred. On January 1, 2019, the Company recorded a right-of-use asset of $1,755 and a lease liability of $1,755 on its consolidated balance sheet. As of December 31, 2019, a right-of-use asset of $1,026 and lease liability of $1,026 are reflected on the consolidated balance sheets. The Company recorded rent expense of $837 and $690 during the years ended December 31, 2019 and 2018, respectively.

6. Warrants

As of December 31, 2019, outstanding warrants to purchase common stock consisted of the following:

	December 31, 2019				
Date Exercisable	Number of Shares Issuable		Exercise Price	Exercisable for	Classification
Penny Warrants	54,516	$	0.01	Common Stock	Equity
2017 Warrants	2,758,094	$	1.75	Common Stock	Equity
2019 Warrants	7,557,142	$	1.95	Common Stock	Equity
	10,369,752				

2017 Warrants

The 2017 Warrants contain full ratchet anti-dilution protection provisions. Prior to January 1, 2019, the Company classified the 2017 Warrants as a liability on its consolidated balance sheet because each warrant represented a freestanding financial instrument that, due to the potential variable nature of the

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

6. Warrants (Continued)

exercise price, is not considered to be indexed to the Company's own shares. The warrant liability was initially recorded at fair value upon entering into the Private Placement and has been subsequently remeasured to fair value at each reporting date. Changes in the fair value of the warrant liability were recognized as gains (losses) in the Company's consolidated statement of operations.

On January 1, 2019, the Company adopted ASU 2017-11 and concluded that the 2017 Warrants now qualify for equity classification. The Company applied the guidance retrospectively to the 2017 Warrants by means of a cumulative-effect adjustment to its statement of financial position as of the beginning of the interim and annual period beginning January 1, 2019. The Company performed a final remeasurement of the warrant liability as of January 1, 2019 and reclassified $3,448 to stockholders' equity.

The Company will recognize on a prospective basis the value of the effect of the down round feature in the warrant when it is triggered (i.e., when the exercise price is adjusted downward). This value is measured as the difference between (1) the financial instrument's fair value (without the down round feature) using the pre-trigger exercise price and (2) the financial instrument's fair value (with the down round feature) using the reduced exercise price. The value of the effect of the down round feature will be treated as a dividend and a reduction to income available to common shareholders in the basic EPS calculation. In connection with the public offering in February 2019, when the 2017 Warrants were repriced from $6.085 to $1.75, the Company recorded a dividend of $359 during the year ended December 31, 2019.

2019 Warrants

On February 5, 2019, in connection with the 2019 Public Offering, the Company issued immediately exercisable warrants (the "2019 Warrants") to purchase 7,557,142 shares of common stock to investors. The 2019 Warrants have an exercise price of $1.95 per share and expire on February 5, 2026. The 2019 Warrants qualify for equity classification.

7. Common Stock

Each share of common stock entitles the holder to one vote on all matters submitted to a vote of the Company's stockholders. Common stockholders are entitled to receive dividends, as may be declared by the board of directors, if any, subject to the preferential dividend rights of the preferred stockholders. Through December 31, 2019, no dividends have been declared.

As of December 31, 2019, the Company had reserved shares of common stock for the exercise of outstanding stock options and warrants, and for the number of shares remaining for grant under the Company's 2012 and 2016 Equity Incentive Plans (see Note 8).

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

7. Common Stock (Continued)

Public Offering of Common Stock—March 2018

On March 27, 2018, the Company completed a public offering whereby the Company issued 2,146,667 shares of its common stock at a price of $7.50 per share, which included 280,000 shares issued pursuant to the underwriters' exercise of their option to purchase additional shares of common stock. The aggregate net proceeds received by the Company from the offering were approximately $14,796, net of underwriting discounts and commissions and estimated offering expenses payable by the Company.

Public Offering of Common Stock—February 2019

On February 5, 2019, the Company completed the 2019 Public Offering whereby the Company issued 7,557,142 shares of its common stock at a price of $1.75 per share, which included 985,714 shares issued pursuant to the underwriters' exercise of their option to purchase additional shares of common stock, each share issued with a warrant to purchase one share of common stock. Each warrant has an exercise price of $1.95 per share with an exercise period expiring seven years from the date of issuance. The aggregate net proceeds received by the Company from the 2019 Public Offering were approximately $12,122, net of underwriting discounts and commissions and estimated offering expenses payable by the Company.

Issuance of Common Stock under Distribution Agreement—2019

On September 7, 2018, the Company filed a Prospectus Supplement to register the offer and sale of shares of common stock having an aggregate offering price of up to $30,000 pursuant to the terms of a distribution agreement, or the Distribution Agreement, with Raymond James & Associates, Inc. During the year ended December 31, 2019, the Company issued 1,033,147 shares under the Distribution Agreement, for net proceeds of $1,923.

Lincoln Park Purchase Agreements—July 2019

On July 10, 2019, the Company entered into a Commitment Purchase Agreement and a Registration Rights Agreement with Lincoln Park, pursuant to which the Company has the right to sell to Lincoln Park up to $20,000 in shares of its Common Stock, $0.001 par value per share, subject to certain limitations and conditions set forth in the Commitment Purchase Agreement. As consideration for Lincoln Park's commitment to purchase shares of Common Stock pursuant to the Commitment Purchase Agreement, the Company issued to Lincoln Park 330,000 shares of Common Stock. The Company did not receive any cash proceeds from the issuance of such shares.

On July 11, 2019, the Company entered into a Registered Offering Purchase Agreement and together with the Commitment Purchase Agreement, under which the Company agreed to sell to Lincoln Park, and Lincoln Park agreed to purchase 571,429 shares of its Common Stock, at a price of $1.75 per share for an aggregate purchase price of $1,000.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

8. Stock-Based Compensation

Equity Incentive Plans

In September 2012, the Company adopted the 2012 Equity Incentive Plan, as amended (the "Plan"), which provides designated employees of the Company and its affiliates, certain consultants and advisors who perform services for the Company and its affiliates, and nonemployee members of the Board of Directors of the Company and its affiliates with the opportunity to receive grants of incentive stock options, nonqualified stock options and stock awards.

On January 20, 2017, the Company's stockholders approved the 2016 Equity Incentive Plan (the "2016 Plan"). Beginning on January 1, 2018, the number of shares of common stock authorized for issuance pursuant to the 2016 Plan was increased each January 1 by an amount equal to four percent (4%) of the Company's outstanding common stock as of the end of the immediately preceding calendar year or such other amount as determined by the compensation committee of the Company's Board of Directors. During the year ended December 31, 2019, the compensation committee of the board of directors authorized an additional 3,000,000 shares of Common Stock to be added to the shares authorized for issuance under the 2016 Plan.

In connection with the merger with Macrocure in January 2017, the Company assumed the Macrocure 2013 Share Incentive Plan (the "2013 Plan"), the Macrocure 2008 Stock Option Plan (the "2008 Plan") and all stock options outstanding under each of the 2013 Plan and the 2008 Plan immediately prior to the consummation of the merger.

As of December 31, 2019, there were 2,459,373 shares available for grant under the Company's Equity Incentive Plans.

A summary of activity under the Company's Equity Incentive Plans is as follows:

	Options	Weighted Average Exercise Price Per Share	Weighted Average Remaining Life in Years	Aggregate Intrinsic Value
Outstanding at December 31, 2017	2,257,621	$ 12.38	8.66	$ 68
Granted	599,050	$ 6.68		
Exercised	(2,478)	$ 5.56		
Forfeited	(92,281)	$ 7.89		
Outstanding at December 31, 2018	2,761,912	$ 11.30	8.01	$ —
Granted	1,481,975	$ 1.48		
Forfeited	(219,321)	$ 15.14		
Outstanding at December 31, 2019	4,024,566	$ 7.48	7.98	$ 2
Options exercisable at December 31, 2019	2,398,685	$ 10.48	7.25	$ —
Options vested and expected to vest at December 31, 2019	4,024,566	$ 7.48	7.98	$ 2

During the years ended December 31, 2019 and 2018 the Company recognized $3,732 and $3,469 respectively, of stock-based compensation expense.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

8. Stock-Based Compensation (Continued)

The grant date fair value of the options granted during the years ended December 31, 2019 and 2018, was estimated at the date of grant using the Black-Scholes option valuation model. The expected life was estimated using the "simplified" method. The expected volatility was based on the historical volatility of comparable public companies from a representative peer group selected based on industry and market capitalization data. The risk-free interest rate was based on the continuous rates provided by the U.S. Treasury with a term approximating the expected life of the option. The expected dividend yield was 0% because the Company does not expect to pay any dividends for the foreseeable future. The Company elected the straight-line attribution method in recognizing the grant date fair value of options issued over the requisite service periods of the awards, which are generally the vesting periods.

The assumptions that the Company used to determine the grant-date fair value of stock options granted to employees and directors were as follows, presented on a weighted average basis:

	Year Ended December 31, 2019	Year Ended December 31, 2018
Expected volatility	66.94%	66.94%
Weighted average risk-free interest rate	2.07%	2.80%
Expected dividend yield	0.00%	0.00%
Expected term (in years)	6.77	6.95

Stock options generally vest over a three or four year period, as determined by the Compensation Committee of the Board of Directors at the time of grant. The options expire ten years from the grant date. As of December 31, 2019, there was approximately $3,059 of unrecognized compensation cost related to non-vested stock options, which is expected to be recognized over a remaining weighted-average period of approximately 2.19 years.

Stock-based compensation expense was classified in the consolidated statements of operations as follows:

	Year Ended December 31,	
	2019	2018
Research and development	$ 714	$ 625
General and administrative	3,018	2,844
Total	$ 3,732	$ 3,469

Restricted Stock Units

During the year ended December 31, 2019, the Company issued 181,000 restricted stock units ("RSUs") to employees under the 2016 Plan. Upon vesting of the RSUs, the Company has the option to settle the award by either issuing shares of the Company's common stock or paying an amount of cash equal to the fair value of the Company's common stock on the settlement date. In October 2019, the Company cash settled 90,500 RSUs. As of December 31, 2019, the Company recorded a restricted stock liability on its consolidated balance sheet of $159.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

8. Stock-Based Compensation (Continued)

The following table presents a summary of outstanding RSU's under the 2016 Plan as of December 31, 2019:

	Number of Shares	Weighted Average Fair Value
Outstanding at December 31, 2018	—	$ —
Awarded	181,000	$ 1.74
Settled in cash	(90,500)	$ 1.17
Outstanding at December 31, 2019	90,500	$ 1.76

As of December 31, 2019, there were 90,500 shares outstanding covered by RSUs which were fully vested. The weighted average grant date fair value of these shares of restricted stock was $1.74 per share and the fair value of these shares of restricted stock was approximately $159 as of December 31, 2019.

9. Income Taxes

The Company had federal, state and foreign net operating loss carryforwards of approximately $135,890, $117,579 and $83,950, respectively, as of December 31, 2019. The U.S. tax losses begin to expire in 2030. The foreign losses are primarily from Israel and have an indefinite carryforward. The Company's federal net operating losses include $54,581 which can also be carried forward indefinitely.

The Company may be able to utilize its net operating loss carryforwards to reduce future federal and State income tax liabilities. However, these net operating losses are subject to various limitations under Internal Revenue Code section 382, which limit the use of net operating loss carryforwards to the extent there has been in ownership change of more than 50 percentage points. In addition, the net operating loss carryforwards are subject to examination by the taxing authorities and could be adjusted or disallowed due to such exams. Although the Company has not undergone an IRC section 382 analysis, it is possible that the utilization of the Company's net operating loss carryforwards may be limited.

In addition, the Company has federal and state research and development tax credits of approximately $3,770 and $645, respectively, that begin expiring in 2030 for federal and state tax purposes and whose future usage may also be limited to the extent there has been an ownership change of more than 50 percentage points.

There is no provision for income taxes in the United States or Israel, because the Company has historically incurred operating losses and maintains a full valuation allowance against its deferred tax assets in these jurisdictions. The deferred tax asset recorded in the consolidated balance sheets relates to the Company's Australian operations.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

9. Income Taxes (Continued)

Income (loss) before income taxes consisted of the following:

	Year Ended December 31,	
	2019	2018
U.S.	$ (32,875)	$ (21,378)
Foreign	(28)	(1,740)
Loss before income taxes	$ (32,903)	$ (23,118)

A summary of the Company's current and deferred expense for income tax is as follows:

	Year Ended December 31,	
	2019	2018
Current expense (benefit):		
Federal	$ —	$ —
State	—	—
Foreign	—	—
Total current expense (benefit):	$ —	$ —
Deferred expense (benefit):		
Federal	$ —	$ —
State	—	—
Foreign	(3)	20
Total deferred expense (benefit):	$ (3)	$ 20
Total income tax expense (benefit):	$ (3)	$ 20

A reconciliation of the Company's statutory income tax rate to the Company's effective income tax rate is as follows:

	Year Ended December 31,	
	2019	2018
Federal statutory income tax rate	21.00%	21.00%
State taxes, net of federal benefit	6.79%	7.76%
Permanent differences	(0.33)%	2.38%
Tax credits	3.30%	6.94%
Foreign rate differential	0.07%	0.68%
Valuation allowance	(40.18)%	(38.26)%
Other	9.35%	(0.59)%
	0.01%	(0.09)%

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

9. Income Taxes (Continued)

The significant components of the Company's deferred tax assets as of December 31, 2019 and 2018 were as follows:

	Year Ended December 30,	
	2019	2018
Federal net operating loss carryforwards	$ 47,845	$ 38,531
State net operating loss carryforwards	7,431	5,553
Stock Options	2,584	1,632
Federal research tax credits	3,770	2,811
State research tax credits	510	382
License fees	1,332	1,495
Accrued expenses	277	88
Other	115	149
Total deferred tax assets	$ 63,864	$ 50,641
Valuation allowance	(63,737)	(50,517)
Net deferred tax asset (liability)	$ 127	$ 124

As of December 31, 2019 and 2018, the Company had provided a full valuation allowance against its net deferred tax assets, except for its Australian deferred tax assets, because realization of any future tax benefit cannot be reasonably assured. The valuation allowance increased during the years ended December 31, 2019 and 2018, by $13,220 and $8,181, respectively.

The Company follows the authoritative guidance on accounting for and disclosure of uncertainty in tax positions, which requires the Company to determine whether a tax position of the Company is more likely than not to be sustained upon examination, including resolution of any related appeals of litigation processes, based on the technical merits of the position. For tax positions meeting the more likely than not threshold, the tax amount recognized in the financial statements is reduced by the largest benefit that has a greater than 50% likelihood of being realized upon the ultimate settlement with the relevant taxing authority.

The Company files tax returns as prescribed by the tax laws of the jurisdictions in which it operates. In the normal course of business the Company is subject to examination by federal and state jurisdictions, where applicable. There are currently no pending tax examinations. The earliest tax years that may be subject to examination by jurisdiction are 2016 for both federal and state purposes. In addition, the NOL carryforwards are subject to examination by the taxing authority and could be adjusted or disallowed due to such exams. The Company's policy is to record interest and penalties related to income taxes as part of the tax provision. There were no interest and penalties pertaining to uncertain tax positions for the years ended December 31, 2019 or 2018.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

10. Net Loss Per Share

Basic and diluted net loss per share for the years ended December 31, 2019 and 2018 was calculated as follows:

	Year Ended December 31, 2019	Year Ended December 31, 2018
Numerator:		
Net loss	$ (32,900)	$ (23,138)
Dividend attributable to down round feature of warrants	(359)	—
Net loss attributable to common stockholders for basic loss per share	$ (33,259)	$ (23,138)
Less change in fair value of warrant liability	—	7,284
Net loss attributable to common stockholders for diluted loss per share	$ (33,259)	$ (30,422)
Denominator:		
Weighted average number of common shares outstanding—basic	22,582,687	14,144,287
Assumed conversion of dilutive securities:		
Private Placement Warrants	—	268,408
Denominator for diluted loss per share—adjusted weighted average shares	22,582,687	14,412,695
Net loss per share attributable to common stockholders—basic	$ (1.47)	$ (1.64)
Net loss per share attributable to common stockholders—diluted	$ (1.47)	$ (2.11)

The Company's potentially dilutive securities include stock options and warrants. These securities were excluded from the computations of diluted net loss per share for the years ended December 31, 2019 and 2018, as the effect would be to reduce the net loss per share. During the year ended December 31, 2018, the outstanding warrants issued with the Private Placement is reflected in the diluted net loss per share computation by applying the treasury stock method. The following table includes the potential common shares, presented based on amounts outstanding at each period end, that were excluded from the computation of diluted net loss per share attributable to common stockholders for the periods indicated because including them would have had an anti-dilutive effect:

	Year Ended December 31,	
	2019	2018
Options to purchase common stock	4,024,566	2,761,912
Warrants to purchase common stock	10,369,752	54,516
Restricted stock units	90,500	—
	14,394,318	2,816,428

11. Commitments and Contingencies

Manufacturing Agreements—The Company is party to manufacturing agreements with vendors to manufacture TRX518 and DKN-01, our lead product candidates, for use in clinical trials. As of December 31, 2019, noncancelable commitments under these agreements totaled $207.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

11. Commitments and Contingencies (Continued)

License and Service Agreements—On January 3, 2011, the Company entered into a license agreement with Eli Lilly and Company ("Lilly") to grant a license to the Company for certain intellectual property rights relating to pharmaceutically active compounds that may be useful in the treatment of bone healing, cancer and, potentially, other medical conditions. The Company issued 9,000,000 shares of Series A Stock to Lilly in consideration for the grant of the license. As defined in the license agreement, the Company would be required to pay royalties to Lilly based upon a percentage in the low single digits of net sales of developed products, if and when achieved. However, there can be no assurance that clinical or commercialization success of developed products will occur, and no royalties have been paid or accrued through December 31, 2019.

License Agreement—On May 28, 2015, the Company entered into a license agreement with Lonza Sales AG ("Lonza"), pursuant to which Lonza granted the Company a world-wide, non-exclusive license for certain intellectual property relating to a gene expression system for manufacturing DKN-01. As defined in the license agreement, the Company would be required to pay royalties to Lonza based on a percentage in the low single digits of net sales of DKN-01, if and when achieved. However, there can be no assurance that clinical or commercialization success will occur, and no royalties have been paid or accrued through December 31, 2019.

Legal Proceedings—At each reporting date, the Company evaluates whether or not a potential loss amount or a potential range of loss is probable and reasonably estimable under the provisions of the authoritative guidance that addresses accounting for contingencies. The Company expenses as incurred the costs related to its legal proceedings.

A patent covering the TRX518 antibody and its uses in methods of inducing or enhancing an immune response in a subject was granted in 2013 to the Company by the European Patent Office (EPO). Three notices of opposition to this patent were filed: two by major pharmaceutical companies and a third by an individual, possibly on behalf of a major pharmaceutical company. At the conclusion of the opposition proceedings before the Opposition Division of the EPO, the Opposition Division issued a decision indicating that the Company's patent was maintained with narrowed claims that differ from the claims as originally granted. These narrowed claims cover the TRX518 antibody and uses of the TRX518 antibody in methods of inducing or enhancing an immune response in a subject. The Company has filed an appeal of the decision of the Opposition Division seeking to obtain broader claims that more closely reflect the claims as granted in the patent. The EPO Board of Appeal has issued a Summons to Oral Proceedings setting a date of March 31, 2020 for the appeal hearing.

In 2016, a patent covering the use of the TRX518 antibody in combination with a chemotherapeutic agent for treating cancer was granted to the Company by the EPO. In March 2017, notices of opposition to this patent were filed at the EPO by ten different entities, including several major pharmaceutical companies. Oral proceedings at the EPO took place on December 4 and 5, 2018. At the conclusion of the oral proceedings, the Opposition Division decided that the patent should be revoked in its entirety on the ground that the claims as granted contained added matter. Subsequently, the Opposition Division issued an interlocutory decision restating its conclusion that the claims as granted contain added matter and revoking the patent. The Company has filed an appeal of the decision of the Opposition Division seeking to obtain a reversal of the Opposition Division's decision

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

11. Commitments and Contingencies (Continued)

on added matter. A statement of our grounds of appeal was filed on May 31, 2019. The EPO Board of Appeal has not yet scheduled a date for the appeal hearing.

Indemnification Agreements—In the ordinary course of business, the Company may provide indemnification of varying scope and terms to vendors, lessors, business partners and other parties with respect to certain matters including, but not limited to, losses arising out of breach of such agreements or from intellectual property infringement claims made by third parties. In addition, the Company has entered into indemnification agreements with members of its board of directors that will require the Company, among other things, to indemnify them against certain liabilities that may arise by reason of their status or service as directors or officers. The maximum potential amount of future payments the Company could be required to make under these indemnification agreements is, in many cases, unlimited. To date, the Company has not incurred any material costs as a result of such indemnifications. The Company is not aware of any claims under indemnification arrangements, and it has not accrued any liabilities related to such obligations in its consolidated financial statements as of December 31, 2019 and 2018.

12. Defined Contribution Plan

The Company has a 401(k) defined contribution plan (the "401(k) Plan") for substantially all of its employees. Eligible employees may make pretax contributions to the 401(k) Plan up to statutory limits.

The Company makes matching employee contributions in cash to the 401(k) Plan at a rate of 100% of the first 3% of earnings contributed and 50% of the next 2% of earnings contributed. Employees participating in the 401(k) Plan are fully vested in the Company matching contributions, and investments are directed by participants. The Company made matching contributions of $170 and $148 for the years ended December 31, 2019 and 2018, respectively.

13. Related Party Transactions

The Company has a license agreement with a stockholder (See Note 10).

On February 5, 2019, the Company completed the 2019 Public Offering pursuant to which the Company issued 7,557,142 shares of its common stock at a price of $1.75 per share, which included 985,714 shares issued pursuant to the underwriters' exercise of their option to purchase additional shares of common stock, each share issued with a warrant to purchase one share of common stock. Each warrant has an exercise price of $1.95 per share with an exercise period expiring seven years from the date of issuance. The aggregate net proceeds received by the Company from the offering were approximately $12,122, net of underwriting discounts and commissions and estimated offering expenses payable by the Company. HealthCare Ventures IX, L.P. purchased common stock and warrants in the 2019 Public Offering on the same terms and conditions as the other Purchasers. Three of the Company's directors and executive officers are affiliated with HealthCare Ventures IX, L.P. and its affiliates.

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

Notes To Consolidated Financial Statements (Continued)

(Amounts in thousands, except share and per share amounts)

14. Subsequent Events

BeiGene Exclusive Option and License Agreement

On January 3, 2020, the Company entered into a Exclusive Option and License Agreement with BeiGene for the clinical development and commercialization of DKN-01, the Company's anti-Dickkopf-1 (DKK1) antibody, in Asia (excluding Japan), Australia, and New Zealand. The Company will retain exclusive rights for the development, manufacturing, and commercialization of DKN-01 for the rest of the world.

Pursuant to the Exclusive Option and License Agreement, the Company received an upfront cash payment of $3,000 from BeiGene in exchange for granting BeiGene an option to an exclusive license to develop and commercialize DKN-01 in Asia (excluding Japan), Australia, and New Zealand, and will be eligible to receive an additional payment upon BeiGene's exercise of the option following initial proof-of-concept studies. Additionally, the Company is eligible to receive additional payments based upon the achievement of certain development, regulatory, and sales milestones as well as tiered royalties on any product sales of DKN-01 in the licensed territory.

Private Placement—January 2020

On January 3, 2020, the Company entered into a Securities Purchase Agreement with the Purchasers, providing for a private placement transaction exempt from the Securities Act, pursuant to which the Company issued and sold 1,421,801 shares of its Series A Preferred Stock, at a purchase price of $10.54 per share, and 1,137,442 shares of its Series B Preferred Stock at a purchase price of $10.55 per share, and one (1) share of the Company's Special Voting Stock entitling the Purchaser of Series A Preferred Stock to elect one member of the Company's Board of Directors for aggregate net proceeds to the Company of approximately $25,300. On March 5, 2020, the Company's stockholders approved the conversion of the Series A preferred stock into a pre-funded warrant to purchase 14,413,902 shares of common stock and the conversion of the Series B preferred stock into 11,531,133 shares of common stock. Each investor also received a warrant to purchase an equal number of shares at an exercise price of $2.11 per share.

Warrants

Subsequent to December 31, 2019, there were 65,700 warrants exercised for cash resulting in gross proceeds to the Company of $128.

Stock option grants

On March 2, 2020, the Company made a stock option grant to its directors and employees to purchase 765,000 shares of common stock, pursuant to the Company's 2016 Plan, at an exercise price of $2.94 per share. Each option grant to directors will vest quarterly over a one year period and each option grant to employees will vest in equal monthly installments over a three year period.

Exhibit 4.6

DESCRIPTION OF THE REGISTRANT'S SECURITIES

REGISTERED PURSUANT TO SECTION 12 OF THE SECURITIES EXCHANGE ACT OF 1934

As of December 31, 2019, Leap Therapeutics, Inc. ("we," "our," "us," or the "Company") had two classes of securities registered under Section 12 of the Securities Exchange Act of 1934, as amended: common stock, par value $0.001 per share ("Common Stock"), and warrants to purchase Common Stock.

The following description of our capital stock is a summary, does not purport to be complete and is subject to, and qualified in its entirety by reference to, our third amended and restated certificate of incorporation, or Charter, and amended and restated bylaws, or Bylaws, copies of which are incorporated by reference as exhibits to our Annual Report on Form 10-K of which this Exhibit 4.6 is a part, and the terms and provisions of the Delaware General Corporation Law, or DGCL. For more complete information, you should carefully review our third amended and restated certificate of incorporation, amended and restated bylaws and the DGCL.

DESCRIPTION OF CAPITAL STOCK

Authorized Capital Stock

Our authorized capital stock consists of:

- one hundred million (100,000,000) shares of common stock, par value $0.001 per share; and
- ten million (10,000,000) shares of preferred stock, par value $0.001 per share, the rights and preferences of which may be established from time to time by Leap's board of directors of which (i) 1,421,801 shares are designated Series A Mandatorily Convertible Cumulative Non-Voting Perpetual Preferred Stock (the "Series A Preferred Stock"); (ii) 1,137,442 shares are designated Series B Mandatorily Convertible Cumulative Non-Voting Perpetual Preferred Stock (the "Series B Preferred Stock"); and (iii) 1 share is designated Special Voting Stock (the "Special Voting Stock").

Common Stock

Holders of shares of our common stock are entitled to one vote on all matters on which shareholders of the Company generally are entitled to vote. However, holders of Leap common stock are not entitled to vote on any amendment to the Company's charter that relates solely to the terms of one or more outstanding classes or series of preferred stock if the holders of such affected classes or series are entitled, either separately or together with the holders of one or more other such class or series, to vote thereon pursuant to the Company's Charter or the DGCL.

Generally, the Company's bylaws provide that, subject to applicable law or the Company's Charter and/or the Bylaws, all corporate actions to be taken by vote of the shareholders are authorized by a majority of the votes cast by the shareholders entitled to vote thereon who are present in person or represented by proxy, and where a separate vote by class or series is required, a majority of the votes cast by the shareholders of such class or series who are present in person or represented by proxy are be the act of such class or series. Directors are elected by a majority of the votes cast at a meeting of Leap's shareholders for the election of directors at which a quorum is present, except that directors are elected by a plurality of votes cast at a meeting at which a quorum is present if as of the expiration of the period of time during which shareholders are entitled to nominate persons for election as a director, the number of nominees for director exceeds the number of directors to be elected.

Subject to the rights of holders of any then outstanding class or series of preferred stock, holders of Leap common stock are entitled to receive dividends and other distributions in cash, stock or property of Leap as the board of directors may declare thereon from time to time and share equally on a per share basis in all such dividends and other distributions. In the event of the Company's dissolution, whether voluntary or involuntary, after the payment in full of the amounts required to be paid to the holders of any outstanding class or series of preferred stock, the remaining assets and funds of the Company available for distribution will be distributed pro rata to the

holders of Leap common stock in proportion to the number of shares held by them and to the holders of any class or series of preferred stock entitled to a distribution. Holders of Leap common stock do not have preemptive rights to purchase shares of Leap common stock. The shares of Leap common stock are not subject to any conversion or redemption provisions or entitled to the benefit of a sinking fund. All outstanding shares of Leap common stock will be fully paid and no assessable. The rights, preferences and privileges of holders of Leap common stock are subject to those of the holders of any outstanding class or series of Leap preferred stock that Leap may issue in the future.

Preferred Stock

Under the Charter, our board of directors has the authority, without further action by the stockholders, to issue up to 10 million shares of preferred stock in one or more series, to establish from time to time the number of shares to be included in each such series, to fix the rights, preferences and privileges of the shares of each wholly unissued series and any qualifications, limitations or restrictions thereon and to increase or decrease the number of shares of any such series, but not below the number of shares of such series then outstanding.

Our board of directors may authorize the issuance of preferred stock with voting or conversion rights that could adversely affect the voting power or other rights of the holders of the common stock. The issuance of preferred stock, while providing flexibility in connection with possible acquisitions and other corporate purposes, could, among other things, have the effect of delaying, deferring or preventing a change in our control that may otherwise benefit holders of our common stock and may adversely affect the market price of the common stock and the voting and other rights of the holders of common stock.

The rights, preferences and privileges of the Series A Preferred Stock are set forth in the Series A Preferred Stock Certificate of Designation. Upon obtaining the Required Stockholder Approval on March 5, 2020, each share of Series A Preferred Stock automatically converted into (i) a Pre-Funded Warrant to purchase a number of shares of Common Stock equal to (x) the sum of the Liquidation Preference and all accrued and unpaid dividends on all shares of Series A Preferred Stock held by such holder; divided by (y) $1.054 (as such amount may be adjusted from time to time as provided in the Series A Preferred Stock Certificate of Designation) and (ii) a Series A Coverage Warrant to purchase the same number of shares of Common Stock as set forth in the preceding clause (i). The rights of the Series A Preferred Stock will terminate upon the Mandatory Conversion Date (as defined in the Series A Preferred Stock Certificate of Designation). As of March 13, 2020 there are no shares of Series A Preferred Stock outstanding,

The rights, preferences and privileges of the Series B Preferred Stock are set forth in the Series B Preferred Stock Certificate of Designation. Upon obtaining the Required Stockholder Approval on March 5, 2020, each share of Series B Preferred Stock automatically converted into (i) a number of shares of Common Stock equal to (x) the sum of the Liquidation Preference (as defined in the Series B Preferred Stock Certificate of Designation) and all accrued and unpaid dividends on all shares of Series B Preferred Stock held by such holder; divided by (y) $1.055 (as such amount may be adjusted from time to time as provided in the Series B Preferred Stock Certificate of Designation) and (ii) a Series B Coverage Warrant to purchase the same number of shares of Common Stock as set forth in the preceding clause (i). The rights of the Series B Preferred Stock will terminate upon the Mandatory Conversion Date (as defined in the Series B Preferred Stock Certificate of Designation). As of March 13, 2020, there are no shares of Series B Preferred Stock outstanding.

The rights, preferences and privileges of the Special Voting Stock are set forth in the Special Voting Stock Certificate of Designation. At any time when the holder of the Special Voting Stock, together with all of its affiliates and associates holds at least 5% of the then outstanding shares of Common Stock, the holder of the Special Voting Stock shall be entitled to designate one (1) individual as a director on the Board of Directors of the Company. Upon any liquidation, dissolution or winding up of the Company, the holder of the Special Voting Stock shall be entitled to receive, prior and in preference to any distribution to the holders of Common Stock, an amount equal to $1.00. As of March 13, 2020, there is one share of Special Voting Stock outstanding.

The foregoing description of the Series A Preferred Stock Certificate of Designation, Series B Preferred Stock Certificate of Designation and Special Voting Stock Certificate of Designation does not purport to be

complete and is qualified in its entirety by reference to the full text of the Certificate of Designation, each of which is filed as Exhibits 3.1, 3.2 and 3.3, respectively to the Company's Current Report on Form 8-K as filed with the SEC on January 7, 2020, and incorporated herein by reference.

Blank Check Preferred Stock

Leap's board of directors may, from time to time, authorize the issuance of one or more classes or series of preferred stock without shareholder approval. The Charter permits Leap to issue up to 10,000,000 shares of preferred stock. Subject to the provisions of the Charter and limitations prescribed by law, Leap's board of directors are expressly authorized, by resolution or resolutions, to provide, out of the unissued shares of preferred stock, for classes and series of preferred stock. The board of directors may fix the number of shares constituting such class or series and the designation of such class or series and the powers (including voting, if any), preferences and relative, participating, optional or other special rights, if any, and any qualifications, limitations or restrictions thereof, of the shares of such class or series. Each class or series will be appropriately designated by a distinguishing designation prior to the issuance of any shares thereof. The powers (including voting, if any), preferences and relative, participating, optional and other special rights of each series of preferred stock, and the qualifications, limitations or restrictions thereof, if any, may differ from those of any and all other classes and series of preferred stock at any time outstanding.

The issuance of preferred stock may adversely affect the rights of the Company's common shareholders by, among other things:

- restricting dividends on the common stock;
- diluting the voting power of the common stock;
- impairing the liquidation rights of the common stock; or
- delaying or preventing a change in control without further action by the shareholders.

As a result of these or other factors, the issuance of preferred stock could have an adverse impact on the market price of the common stock of Leap.

Warrants

The following summary of certain terms and provisions of warrants to purchase Common Stock that were offered pursuant to a Registration Statement on Form S-3 as filed with the SEC on February 1, 2019, is not complete and is subject to, and qualified in its entirety by, the provisions of the warrant.

Exercise: The warrants are exercisable upon issuance and expire on the seven-year anniversary of issuance. The warrants are exercisable, at the option of each holder, in whole or in part by delivering to us a duly executed exercise notice accompanied by payment in full for the number of shares of our common stock purchased upon such exercise (except in the case of a cashless exercise as discussed below). A holder (together with its affiliates) may not exercise any portion of the warrant to the extent that the holder would own more than 4.99% (or 9.99%, as applicable) of the outstanding common stock immediately after exercise, except that upon at least 61 days' prior notice from the holder to us, the holder may increase the amount of ownership of outstanding stock after exercising the holder's warrants.

Exercise Price: The exercise price per whole share of common stock purchasable upon exercise of the warrants is $1.95. The exercise price is subject to adjustment in the event of certain stock dividends and distributions, stock splits, stock combinations, reclassifications or similar events affecting our common stock and also upon any distribution of assets, including cash, stock or other property, to our stockholders.

Cashless Exercise: In certain circumstances, as more particularly set forth in the warrants, in lieu of making the cash payment otherwise contemplated to be made to us upon such exercise in payment of the aggregate exercise

price, the holder may elect instead to receive upon such exercise (either in whole or in part) the net number of shares of common stock determined according to a formula set forth in the warrants.

Transferability: Subject to applicable laws, a warrant may be transferred at the option of the holder upon surrender of the warrant to us together with the appropriate instruments of transfer.

Fractional Shares: No fractional shares of common stock may be issued upon the exercise of the warrants. Rather, the number of shares of common stock to be issued will be rounded down to the nearest whole number.

Trading Market and Exchange Listing: There is no trading market available for the warrants on any securities exchange or nationally recognized trading system. The Company does not intend to apply for the listing of the warrants on any national securities exchange or other trading market. The common stock issuable upon exercise of the warrants is currently listed on the Nasdaq Global Market.

Fundamental Transactions: In the event of a fundamental transaction, as described in the warrants and generally including any reorganization, recapitalization or reclassification of our common stock, the sale, transfer or other disposition of all or substantially all of our properties or assets, our consolidation or merger with or into another person, the acquisition of more than 50% of our outstanding common stock, or any person or group becoming the beneficial owner of 50% of the voting power represented by our outstanding common stock, the holders of the warrants will be entitled to receive upon exercise of the warrants the kind and amount of securities, cash or other property that the holders would have received had they exercised the warrants immediately prior to such fundamental transaction. In addition, in the event of a fundamental transaction, we or any successor entity will be required to purchase at a holder's option, exercisable at any time concurrently with or within thirty (30) days after the consummation of the fundamental transaction (or, if later, the date of the public announcement of the applicable fundamental transaction), such holder's warrants for cash in an amount equal to the value of the remaining unexercised portion of such holder's warrants, determined in accordance with the Black Scholes option pricing model as more particularly set forth in the warrants.

Rights as a Stockholder: Except as otherwise provided in the warrants or by virtue of such holder's ownership of shares of our common stock, the holder of a warrant does not have the rights or privileges of a holder of our common stock, including any voting rights, until the holder exercises the warrant.

Registration Rights

Certain holders of shares of our common stock are entitled to certain rights with respect to registration of such shares under the Securities Act. These shares are collectively referred to herein as registrable shares.

In connection with the transactions contemplated by the merger agreement, Leap entered into a Registration Rights Agreement with each of its holders of common stock outstanding immediately prior to the effective time of the merger. In addition, to the former holders of Leap's common stock, certain larger holders of Leap's common stock following the merger (who were among the largest holders of Macrocure ordinary shares prior to the merger) became parties to the Registration Right Agreement. Under Leap's Registration Rights Agreement, certain holders of registrable shares can demand that Leap file a registration statement or request that their shares be included on a registration statement that Leap is otherwise filing, in either case, registering the resale of their shares of Leap common stock. These registration rights are subject to conditions and limitations, including the right, in certain circumstances, of the underwriters of an offering to limit the number of shares included in such registration and our right, in certain circumstances, not to effect a requested registration on Form S-3 if such registration is in connection with any underwritten offering or proposed underwritten public offering.

Concurrently with the execution of the Securities Purchase Agreement on January 3, 2020, with institutional investors named therein (collectively, the "Purchasers," and each, a "Purchaser"), providing for a private placement transaction exempt from the registration requirements of the Securities Act of 1933, as amended (the "Securities Act"), the Company entered into two registration rights agreements (the "Registration Rights Agreements") with the Purchasers, pursuant to which the Company agreed, following demand by any Purchaser, to

file with the Securities and Exchange Commission ("SEC") a Registration Statement on Form S-3 covering the resale of the shares of Common Stock issuable upon conversion of the Series A Preferred Stock, Series B Preferred Stock or exercise of the Pre-Funded Warrants, Series A Coverage Warrants and Series B Coverage Warrants (as applicable) by the Purchasers as promptly as reasonably practicable following such demand, and in any event within sixty (60) days after such demand.

Anti-takeover Effects of Certain Provisions of the Charter and the Bylaws

General

The Charter and Bylaws contain provisions that are intended to enhance the likelihood of continuity and stability in the composition of the Company's board of directors and that could make it more difficult to acquire control of the Company by means of a tender offer, open market purchases, a proxy contest or otherwise. A description of these provisions is set forth below.

Delaware Anti-Takeover Law

The Company is subject to Section 203 of the Delaware General Corporation Law. Section 203 generally prohibits a public Delaware corporation from engaging in a "business combination" with an "interested stockholder" for a period of three years after the date of the transaction in which the person became an interested stockholder, unless:

- prior to the date of the transaction, the board of directors of the corporation approved either the business combination or the transaction which resulted in the stockholder becoming an interested stockholder;
- upon consummation of the transaction that resulted in the stockholder becoming an interested stockholder, the interested stockholder owned at least 85% of the voting stock of the corporation outstanding at the time the transaction commenced, excluding specified shares; or
- at or subsequent to the date of the transaction, the business combination is approved by the board of directors and authorized at an annual or special meeting of stockholders, and not by written consent, by the affirmative vote of at least $66^2/3\%$ of the outstanding voting stock which is not owned by the interested stockholder.

Section 203 defines a "business combination" to include:

- any merger or consolidation involving the corporation and the interested stockholder;
- any sale, lease, exchange, mortgage, pledge, transfer or other disposition of 10% or more of the assets of the corporation to or with the interested stockholder;
- subject to exceptions, any transaction that results in the issuance or transfer by the corporation of any stock of the corporation to the interested stockholder;
- subject to exceptions, any transaction involving the corporation that has the effect of increasing the proportionate share of the stock of any class or series of the corporation beneficially owned by the interested stockholder; or
- the receipt by the interested stockholder of the benefit of any loans, advances, guarantees, pledges or other financial benefits provided by or through the corporation.

In general, Section 203 defines an "interested stockholder" as any person that is:

- the owner of 15% or more of the outstanding voting stock of the corporation;
- an affiliate or associate of the corporation who was the owner of 15% or more of the outstanding voting stock of the corporation at any time within three years immediately prior to the relevant date; or
- the affiliates and associates of the above.

Under specific circumstances, Section 203 makes it more difficult for an "interested stockholder" to effect various business combinations with a corporation for a three-year period, although the stockholders may, by adopting an amendment to the corporation's certificate of incorporation or bylaws, elect not to be governed by this section, effective 12 months after adoption.

Our Charter and Bylaws do not exclude us from the restrictions of Section 203. We anticipate that the provisions of Section 203 might encourage companies interested in acquiring us to negotiate in advance with our board of directors since the stockholder approval requirement would be avoided if a majority of the directors then in office approve either the business combination or the transaction that resulted in the stockholder becoming an interested stockholder.

No Cumulative Voting

Under Delaware law, the right to vote cumulatively does not exist unless the certificate of incorporation specifically authorizes cumulative voting. The Charter does not grant shareholders the right to vote cumulatively.

Blank Check Preferred Stock

Leap believes that the availability of the preferred stock under the Charter provides the Company with flexibility in addressing corporate issues that may arise. Having these authorized shares available for issuance will allow the Company to issue shares of preferred stock without the expense and delay of a special shareholders' meeting. The authorized shares of preferred stock, as well as shares of common stock, will be available for issuance without further action by the Company's shareholders, with the exception of any actions required by applicable law or the rules of any stock exchange on which Leap's securities may be listed. The board of directors will have the power, subject to applicable law, to issue classes or series of preferred stock that could, depending on the terms of the class or series, impede the completion of a merger, tender offer or other takeover attempt.

Advance Notice Procedure

The Bylaws provide an advance notice procedure for shareholders to nominate director candidates for election or to bring business before an annual meeting of shareholders, including proposed nominations of persons for election to the board of directors.

The Bylaws provide that as to the notice of shareholder proposals of business to be brought at the annual meeting of shareholders, notice must be delivered to Leap secretary (i) not less than 90 days nor more than 120 days prior to the first anniversary of the preceding year's annual meeting or (ii) if the date of the annual meeting is advanced by more than 30 days or delayed by more than 60 days from the first anniversary of the preceding year's annual meeting, not more than 120 days nor less than 90 days prior to the date of such annual meeting or, if less than 90 days' notice is given of such annual meeting, the 10th day following the day on which public announcement of the date of such meeting is first made by Leap . In addition, any proposed business other than the nomination of persons for election to the Company's board of directors must constitute a proper matter for shareholder action.

In the case of nominations for election at an annual meeting, notice must be delivered to Leap secretary (i) not less than 90 days nor more than 120 days prior to the first anniversary of the preceding year's annual meeting or (ii) if the date of the annual meeting is advanced by more than 30 days or delayed by more than 60 days from the first anniversary of the preceding year's annual meeting, not more than 120 days nor less than 90 days prior to the date of such annual meeting or, if less than 90 days' notice is given of such annual meeting, the 10th day following the day on which public announcement of the date of such meeting is first made by Leap. In the case of nominations for election at a special meeting of shareholders called for the election of directors, a shareholder may nominate candidates by delivering notice to Leap secretary by not later than the close of business on the seventh day following the date on which notice of such meeting is first given to the shareholders. In addition, each such shareholder's notice must include certain information regarding the shareholder and the director nominee as set forth in the Bylaws.

Staggered Board

Our Charter provides that our board of directors is divided into three classes of directors, with the classes as nearly equal in number as possible. At each annual meeting of the stockholders, a class of directors is elected for a three-year term to succeed the directors of the same class whose terms are then expiring. As a result approximately one-third of our directors is elected each year.

Our amended and restated certificate of incorporation and amended and restated bylaws provide that the number of our directors shall be fixed from time to time by a resolution of the majority of our board of directors. Any additional directorships resulting from an increase in the number of directors will be distributed among the three classes so that, as nearly as possible, each class shall consist of one third of the board of directors.

The division of our board of directors into three classes with staggered three-year terms may delay or prevent stockholder efforts to effect a change of our management or a change in control.

Action by Written Consent; Special Meetings of Stockholders.

Our Charter provides that stockholder action can be taken only at an annual or special meeting of stockholders and cannot be taken by written consent in lieu of a meeting. Our Charter and Bylaws also provide that, except as otherwise required by law, special meetings of the stockholders can be called only by or at the direction of the board of directors pursuant to a resolution adopted by a majority of the total number of directors, by the chairperson of the Board, chief executive officer or president (in the absence of a chief executive officer). Except as provided above, stockholders are not be permitted to call a special meeting or to require the board of directors to call a special meeting.

Removal of Directors.

Our certificate of incorporation provides that our directors may be removed only for cause by the affirmative vote of at least two-thirds of the voting power of our outstanding shares of capital stock, voting together as a single class and entitled to vote in the election of directors. This requirement of a supermajority vote to remove directors could enable a minority of our stockholders to prevent a change in the composition of our board.

Exhibit 21.1

SUBSIDIARIES OF
LEAP THERAPEUTICS, INC.

Subsidiary	Jurisdiction of Incorporation/Organization
GITR, Inc.	Delaware
HealthCare Pharmaceuticals Pty Ltd	Australia
Leap Therapeutics Ltd.	Israel

Exhibit 23.1

CONSENT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

We consent to the incorporation by reference in the Registration Statements of Leap Therapeutics, Inc. on Form S-3 (No. 333-221968 and 333-223419) and Form S-8 (No. 333-215787, 333-223707 and 333-232066) of our report dated March 16, 2020, on our audits of the consolidated financial statements as of December 31, 2019 and 2018 and for each of the years then ended, which report is included in this Annual Report on Form 10-K to be filed on or about March 16, 2020. Our report includes an explanatory paragraph that refers to changes in the method of accounting for leases and warrants.

/s/ EisnerAmper LLP

EISNERAMPER LLP
Philadelphia, Pennsylvania
March 16, 2020

Exhibit 31.1

CERTIFICATION PURSUANT TO
SECURITIES EXCHANGE ACT RULES 13a-14(a) AND 15d-14(a)

I, Christopher K. Mirabelli, Ph.D., certify that:

1. I have reviewed this Annual Report on Form 10-K of Leap Therapeutics, Inc.;

2. Based on my knowledge, this report does not contain any untrue statement of a material fact or omit to state a material fact necessary to make the statements made, in light of the circumstances under which such statements were made, not misleading with respect to the period covered by this report;

3. Based on my knowledge, the financial statements, and other financial information included in this report, fairly present in all material respects the financial condition, results of operations and cash flows of the registrant as of, and for, the periods presented in this report;

4. The registrant's other certifying officer and I are responsible for establishing and maintaining disclosure controls and procedures (as defined in Exchange Act Rules 13a-15(e) and 15d-15(e)) and internal control over financial reporting (as defined in Exchange Act Rules 13a-15(f) and 15d-15(f)) for the registrant and have:

a. Designed such disclosure controls and procedures, or caused such disclosure controls and procedures to be designed under our supervision, to ensure that material information relating to the registrant, including its consolidated subsidiaries, is made known to us by others within those entities, particularly during the period in which this report is being prepared;

b. Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with generally accepted accounting principles;

c. Evaluated the effectiveness of the registrant's disclosure controls and procedures and presented in this report our conclusions about the effectiveness of the disclosure controls and procedures, as of the end of the period covered by this report based on such evaluation; and

d. Disclosed in this report any change in the registrant's internal control over financial reporting that occurred during the registrant's most recent fiscal quarter (the registrant's fourth fiscal quarter in the case of an annual report) that has materially affected, or is reasonably likely to materially affect, the registrant's internal control over financial reporting; and

5. The registrant's other certifying officer and I have disclosed, based on our most recent evaluation of internal control over financial reporting, to the registrant's auditors and the audit committee of the registrant's Board of Directors (or persons performing the equivalent functions):

a. All significant deficiencies and material weaknesses in the design or operation of internal control over financial reporting which are reasonably likely to adversely affect the registrant's ability to record, process, summarize and report financial information; and

b. Any fraud, whether or not material, that involves management or other employees who have a significant role in the registrant's internal control over financial reporting.

Date: March 16, 2020

/s/ CHRISTOPHER K. MIRABELLI, PH.D.
Christopher K. Mirabelli, Ph.D.
Chief Executive Officer, President and
Chairman of the Board
(Principal Executive Officer)

Exhibit 31.2

CERTIFICATION PURSUANT TO
SECURITIES EXCHANGE ACT RULES 13a-14(a) AND 15d-14(a)

I, Douglas E. Onsi, certify that:

1. I have reviewed this Annual Report on Form 10-K of Leap Therapeutics, Inc.;

2. Based on my knowledge, this report does not contain any untrue statement of a material fact or omit to state a material fact necessary to make the statements made, in light of the circumstances under which such statements were made, not misleading with respect to the period covered by this report;

3. Based on my knowledge, the financial statements, and other financial information included in this report, fairly present in all material respects the financial condition, results of operations and cash flows of the registrant as of, and for, the periods presented in this report;

4. The registrant's other certifying officer and I are responsible for establishing and maintaining disclosure controls and procedures (as defined in Exchange Act Rules 13a-15(e) and 15d-15(e)) and internal control over financial reporting (as defined in Exchange Act Rules 13a-15(f) and 15d-15(f)) for the registrant and have:

a. Designed such disclosure controls and procedures, or caused such disclosure controls and procedures to be designed under our supervision, to ensure that material information relating to the registrant, including its consolidated subsidiaries, is made known to us by others within those entities, particularly during the period in which this report is being prepared;

b. Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with generally accepted accounting principles;

c. Evaluated the effectiveness of the registrant's disclosure controls and procedures and presented in this report our conclusions about the effectiveness of the disclosure controls and procedures, as of the end of the period covered by this report based on such evaluation; and

d. Disclosed in this report any change in the registrant's internal control over financial reporting that occurred during the registrant's most recent fiscal quarter (the registrant's fourth fiscal quarter in the case of an annual report) that has materially affected, or is reasonably likely to materially affect, the registrant's internal control over financial reporting; and

5. The registrant's other certifying officer and I have disclosed, based on our most recent evaluation of internal control over financial reporting, to the registrant's auditors and the audit committee of the registrant's Board of Directors (or persons performing the equivalent functions):

a. All significant deficiencies and material weaknesses in the design or operation of internal control over financial reporting which are reasonably likely to adversely affect the registrant's ability to record, process, summarize and report financial information; and

b. Any fraud, whether or not material, that involves management or other employees who have a significant role in the registrant's internal control over financial reporting.

Date: March 16, 2020

/s/ DOUGLAS E. ONSI
Douglas E. Onsi
Chief Financial Officer, General Counsel, Treasurer and Secretary
(Principal Financial Officer and Principal Accounting Officer)

Exhibit 32.1

CERTIFICATIONS PURSUANT TO
18 U.S.C. SECTION 1350,
AS ADOPTED PURSUANT TO
SECTION 906 OF THE SARBANES-OXLEY ACT OF 2002

In connection with the Annual Report of Leap Therapeutics, Inc. (the "Corporation") on Form 10-K for the fiscal year ended December 31, 2019, as filed with the Securities and Exchange Commission on the date hereof (the "Report"), Christopher K. Mirabelli, Ph.D., the President and Chief Executive Officer of the Corporation, and Douglas E. Onsi, the Chief Financial Officer, General Counsel, Treasurer and Secretary of the Corporation, hereby certify, pursuant to 18 U.S.C. Section 1350, as adopted pursuant to Section 906 of the Sarbanes-Oxley Act of 2002, that, based upon their knowledge:

(1) The Report fully complies with the requirements of Section 13(a) or 15(d) of the Securities Exchange Act of 1934; and

(2) The information contained in the Report fairly presents, in all material respects, the financial condition and results of operations of the Corporation.

Date: March 16, 2020

By: /s/ CHRISTOPHER K. MIRABELLI, PH.D.
Christopher K. Mirabelli, Ph.D.
Chief Executive Officer, President and Chairman of the Board
(Principal Executive Officer)

Date: March 16, 2020

By: /s/ DOUGLAS E. ONSI
Douglas E. Onsi
Chief Financial Officer, General Counsel, Treasurer and Secretary
(Principal Financial Officer and Principal Accounting Officer)

A signed original of this written statement required by Section 906 has been provided to the Company and will be retained by the Company and furnished to the Securities and Exchange Commission or its staff upon request.