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As filed with the Securities and Exchange Commission on July 31, 2019.

Registration No. 333-

**UNITED STATES
SECURITIES AND EXCHANGE COMMISSION**

Washington, D.C. 20549

FORM S-1
REGISTRATION STATEMENT
Under
The Securities Act of 1933

Leap Therapeutics, Inc.

(Exact name of Registrant as specified in its charter)

Delaware (State or Other Jurisdiction of Incorporation or Organization)	2834 (Primary Standard Industrial Classification Code Number)	27-4412575 (I.R.S. Employer Identification Number)
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**47 Thorndike Street
Suite B1-1
Cambridge, MA 02141
(617) 714-0360**

(Address, including zip code, and telephone number, including area code, of Registrant's principal executive offices)

Christopher K. Mirabelli, Ph.D.
Chairman, President and Chief Executive Officer
Leap Therapeutics, Inc.
47 Thorndike Street
Suite B1-1
Cambridge, MA 02141
(617) 714-0360

(Name, address, including zip code, and telephone number, including area code, of agent for service)

Please send copies of all communications to:

Julio E. Vega, Esq.
Morgan, Lewis & Bockius LLP
One Federal Street
Boston, MA 02110
(617) 951-8000

Approximate date of commencement of the proposed sale to the public:
As soon as practicable after the effective date of this Registration Statement.

If any of the securities being registered on this Form are to be offered on a delayed or continuous basis pursuant to Rule 415 under the Securities Act, check the following box.

If this Form is filed to register additional securities for an offering pursuant to Rule 462(b) under the Securities Act, check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering.

If this Form is a post-effective amendment filed pursuant to Rule 462(c) under the Securities Act, check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering.

If this Form is a post-effective amendment filed pursuant to Rule 462(d) under the Securities Act, check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering.

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 the definitions of "large accelerated filer," "accelerated filer," "smaller reporting company" and "emerging growth company" in Rule 12b-2 of the Exchange Act. (Check one):

Large accelerated filer

Accelerated filer

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 7(a)(2)(B) of the Securities Act.

CALCULATION OF REGISTRATION FEE

Title of Each Class of Securities to be Registered	Amount to be Registered(1)	Proposed Maximum Offering Price Per Share(2)	Proposed Maximum Aggregate Offering Price	Amount of Registration Fee
Common Stock, \$0.001 par value per share	5,587,461	\$2.285	\$12,767,348.40	\$1,547.40

- (1) Represents 5,257,461 shares of common stock that are issued or issuable pursuant to a purchase agreement with the selling stockholder named herein and 330,000 shares of common stock previously issued to the selling stockholder named herein pursuant to such purchase agreement. Pursuant to Rule 416(a) of the Securities Act of 1933, as amended, this Registration Statement also covers any additional shares of common stock which may become issuable to prevent dilution from stock splits, stock dividends and similar events.
- (2) Pursuant to Rule 457(c) of the Securities Act of 1933, as amended, calculated on the basis of the high and low prices per share of the registrant's common stock as reported by the Nasdaq Global Market on July 30, 2019.
-

The Registrant hereby amends this Registration Statement on such date or dates as may be necessary to delay its effective date until the Registrant shall file a further amendment that specifically states that this Registration Statement shall thereafter become effective in accordance with Section 8(a) of the Securities Act of 1933, as amended, or until the Registration Statement shall become effective on such date as the Securities and Exchange Commission, acting pursuant to said Section 8(a), may determine.

The information in this prospectus is not complete and may be changed. The selling stockholder may not sell these securities until the registration statement filed with the Securities and Exchange Commission is effective. This prospectus is not an offer to sell these securities, and the selling stockholder is not soliciting offers to buy these securities in any state or other jurisdiction where the offer or sale of these securities is not permitted.

SUBJECT TO COMPLETION, DATED July 31, 2019

PROSPECTUS



5,587,461 Shares

Common Stock

This prospectus relates to the sale of up to 5,587,461 shares of our common stock by Lincoln Park Capital Fund, LLC, or Lincoln Park. Lincoln Park is also referred to in this prospectus as the selling stockholder.

We will not receive proceeds from the sale of the shares by the selling stockholder. However, we may receive proceeds of up to \$20.0 million from the sale of our common stock to the selling stockholder, pursuant to a purchase agreement entered into with the selling stockholder on July 10, 2019, once the registration statement of which this prospectus is a part is declared effective.

The selling stockholder is an "underwriter" within the meaning of the Securities Act of 1933, as amended. Lincoln Park may sell the shares of common stock described in this prospectus in a number of different ways and at varying prices. See "Plan of Distribution" for more information about how the selling stockholder may sell the shares of common stock being registered pursuant to this prospectus.

We will pay the expenses of registering these shares, but all selling and other expenses incurred by the selling stockholder will be paid by the selling stockholder. See "Plan of Distribution".

Our common stock is listed on the Nasdaq Global Market under the symbol "LPTX." On July 30, 2019, the last reported sale price per share of our common stock on the Nasdaq Global Market was \$2.36 per share.

We are an "emerging growth company" under applicable federal securities laws and, as such, have elected to comply with certain reduced public company reporting requirements for this prospectus supplement and future filings.

You should read this prospectus, together with additional information described under the headings "Incorporation of Certain Information by Reference" and "Where You Can Find More Information", carefully before you invest in any of our securities.

Investing in our securities involves a high degree of risk. See "Risk Factors" on page 7 of this prospectus.

Neither the Securities and Exchange Commission nor any state securities commission has approved or disapproved of these securities or determined if this prospectus is truthful or complete. Any representation to the contrary is a criminal offense.

The date of this prospectus is _____, 2019.

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We incorporate by reference important information into this prospectus. You may obtain the information incorporated by reference without charge by following the instructions under the section of this prospectus entitled "Where You Can Find More Information". You should carefully read this prospectus as well as additional information described under the section of this prospectus entitled "Incorporation of Certain Information by Reference," before deciding to invest in our common shares.

Unless the context otherwise requires, the terms "Leap," "we," "us" and "our" in this prospectus refer to Leap Therapeutics, Inc., and "this offering" refers to the offering contemplated in this prospectus.

Neither we nor the selling stockholder authorized anyone to provide any information or to make any representations other than those contained in this prospectus or in any free writing prospectus prepared by or on behalf of us or to which we have referred you. We take no responsibility for, and can provide no assurance as to the reliability of, any other information that others may give you. This prospectus is an offer to sell only the shares offered hereby, but only under the circumstances and in the jurisdictions where it is lawful to do so. The information contained in this prospectus or in any applicable free writing prospectus is current only as of its date, regardless of its time of delivery or any sale of shares of our common stock. Our business, financial condition, results of operations and prospects may have changed since that date. We are not, and the selling stockholder is not, making an offer of these securities in any jurisdiction where such offer is not permitted.

SPECIAL NOTE REGARDING FORWARD-LOOKING STATEMENTS

This prospectus and the information incorporated by reference herein contain forward-looking statements within the meaning of Section 27A of the Securities Act of 1933, as amended, or the Securities Act, and Section 21E of the Securities Exchange Act of 1934, as amended, or the Exchange Act, that involve a number of risks and uncertainties and that are intended to be covered by the "safe harbor" created by those sections. Although our forward-looking statements reflect the good faith judgment of our management, these statements can only be based on facts and factors currently known by us. Consequently, these forward-looking statements are inherently subject to known and unknown risks, uncertainties and other factors that may cause actual results and outcomes to differ materially from results and outcomes discussed in the forward-looking statements.

Forward-looking statements can generally be identified by the use of forward-looking terms such as "believe," "hope," "expect," "may," "will," "should," "could," "would," "seek," "intend," "plan," "estimate," "anticipate" and "continue," or other comparable terms (including their use in the negative), or by discussions of future matters. All statements other than statements of historical facts included in this prospectus and the documents incorporated by reference herein are forward-looking statements. These statements include but are not limited to statements under the captions "Prospectus Summary—The Company," "Risk Factors," "Use of Proceeds" and "The Lincoln Park Transaction" and in other sections included in this prospectus or incorporated by reference from our [Annual Report on Form 10-K](#) and [Quarterly Reports on Form 10-Q](#), as applicable, as well as our other filings with the SEC. You should be aware that the occurrence of any of the events discussed under the heading "Risk Factors" in this prospectus and any documents incorporated by reference herein could substantially harm our business, operating results and financial condition and that if any of these events occurs, it could adversely affect the value of an investment in our securities.

The cautionary statements made in this prospectus supplement are intended to be applicable to all related forward-looking statements wherever they may appear in this prospectus or any documents incorporated by reference herein. We urge you not to place undue reliance on these forward-looking statements, which speak only as of the date they are made. Except as required by law, we assume no obligation to update our forward-looking statements, even if new information becomes available in the future.

PROSPECTUS SUMMARY

This summary highlights selected information that is presented in greater detail elsewhere in this prospectus. Because it is only a summary, it does not contain all of the information you should consider before investing in our common stock and it is qualified in its entirety by, and should be read in conjunction with, the more detailed information included elsewhere in this prospectus. Before you decide whether to purchase shares of our common stock, you should read this entire prospectus carefully, including the risks of investing in our securities discussed under the section of this prospectus entitled "Risk Factors" and similar headings in the other documents that are incorporated by reference into this prospectus. You should also carefully read the information incorporated by reference into this prospectus, including our financial statements, and the exhibits to the registration statement of which this prospectus is a part.

The Company

Leap Therapeutics, Inc. is a biopharmaceutical company focused on 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 modulates the Wnt signaling pathways and enables tumor cells to proliferate 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, and prostate cancer.
- **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 decreases 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 are conducting clinical trials of TRX518 in patients with advanced solid tumors, in combination with chemotherapy and with cancer immunotherapies.

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 commenced business operations in 2011. Our operations to date have been limited to organizing and staffing our company, business planning, raising capital, undertaking preclinical studies and clinical trials of DKN-01 and TRX518, protecting our intellectual property and providing general and administrative support for these operations. To date, we have not generated any revenue, have incurred significant losses from operations and have primarily financed our operations through public offerings and private placements of our equity securities, business development activities, convertible note financings, and our merger with MacroCure Ltd., or MacroCure, which was completed in January 2017. We expect to continue to incur operating losses for the foreseeable future as we develop our product candidates.

For a complete description of our business, financial condition, results of operations and other important information, we refer you to our filings with the SEC that are incorporated by reference in

this prospectus, including our [Annual Report on Form 10-K for the year ended December 31, 2018](#) and our [Quarterly Report on Form 10-Q for the period ended March 31, 2019](#). For instructions on how to find copies of these documents, see the section of this prospectus entitled "Where You Can Find More Information".

Corporate Information

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

Our principal executive office is located at 47 Thorndike Street, Suite B1-1, Cambridge, MA 02141. Our telephone number is 617-714-0360, and our website address is www.leaptx.com (the information contained therein or linked thereto shall not be considered incorporated by reference in this prospectus).

Status as an Emerging Growth Company

We are an "emerging growth company", or EGC, as defined in the Jumpstart Our Business Startups Act of 2012, or 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 have elected 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 allows 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 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 United States. As of June 30, 2019, we remain an EGC.

THE OFFERING

Common Stock Being Offered by the Selling Stockholder	5,587,461 shares of common stock
Common Stock Outstanding Before the Offering	24,038,799 shares (as of July 25, 2019)
Common Stock Outstanding After the Offering	29,296,260 shares (assuming the issuance after the date of this prospectus by us to the selling stockholder pursuant to the purchase agreement described below of all of the shares that are being offered by this prospectus)
Use of proceeds	The selling stockholder will receive all of the proceeds from the sale of the shares offered for sale by it under this prospectus. We will not receive proceeds from the sale of the shares by the selling stockholder. However, we may receive proceeds of up to \$20.0 million from the sale of our common stock to the selling stockholder under the purchase agreement described below. Any proceeds from the selling stockholder that we receive under the purchase agreement are expected to be used for general corporate purposes, which may include, without limitation, funding clinical trials of DKN-01 and TRX518 and the continuation of ongoing studies, capital expenditures, working capital and general and administrative expenses.
Risk factors	Investing in our securities involves a high degree of risk. See "Risk Factors" beginning on page 7 and the other information included in this prospectus for a discussion of factors you should carefully consider before deciding to invest in our common stock.
Nasdaq Global Market Symbol	"LPTX"

On July 10, 2019, we entered into a purchase agreement, or the Purchase Agreement, and a registration rights agreement, or the Registration Rights Agreement, with Lincoln Park. Under the Purchase Agreement, we have the right to sell to Lincoln Park up to \$20.0 million in shares of common stock, subject to certain limitations and conditions set forth in the Purchase Agreement. As consideration for Lincoln Park's commitment to purchase shares of common stock pursuant to the Purchase Agreement, we issued to Lincoln Park 330,000 shares of common stock, or the Commitment Shares. We did not receive any cash proceeds from the issuance of such shares.

As of July 25, 2019, there were 24,038,799 shares of our common stock outstanding (16,931,700 shares held by non-affiliates), which includes the 330,000 Commitment Shares, but excludes the 5,257,461 shares of common stock that we may issue to Lincoln Park pursuant to the Purchase Agreement after the registration statement of which this prospectus is a part is declared effective under the Securities Act. If all of such 5,257,461 shares of our common stock offered hereby were issued and outstanding as of July 25, 2019, such shares would represent 17.95% of the total outstanding common stock. If all such 5,587,461 shares of our common stock offered hereby were issued and outstanding as of July 25, 2019, such shares would represent 25.18% of the outstanding shares of common stock held by non-affiliates as of July 25, 2019. The number of shares of our common stock ultimately offered for

sale by Lincoln Park is dependent upon the number of shares purchased by Lincoln Park under the Purchase Agreement. The number of shares of our common stock outstanding as of July 25, 2019 does not include:

- 4,058,962 shares of Common Stock issuable upon exercise of outstanding stock options as of July 25, 2019 under our Amended and Restated 2012 Equity Incentive Plan, our 2016 Equity Incentive Plan and the assumed Macrocore 2013 Plan and 2008 Plan, with a weighted average exercise price of \$7.50 per share;
- 2,334,477 shares of Common Stock available for future issuance as of July 25, 2019 under our Amended and Restated 2012 Equity Incentive Plan and our 2016 Equity Incentive Plan;
- 10,369,752 shares of Common Stock issuable upon exercise of outstanding warrants as of July 25, 2019, with a weighted average exercise price of \$1.89 per share; and
- 181,000 shares of Common Stock issuable upon vesting of outstanding restricted stock units as of July 25, 2019, with a weighted average grant date fair value of \$1.74.

Unless otherwise indicated, all information in this prospectus assumes no exercise of our outstanding stock options or warrants and assumes no issuance of shares of our common stock pursuant to any of our outstanding restricted stock units.

Upon the satisfaction of the conditions in the Purchase Agreement, including that a registration statement that we agreed to file with the SEC pursuant to the Registration Rights Agreement is declared effective by the SEC and a final prospectus in connection therewith is filed with the SEC, or the Commencement, we will have the right, from time to time at our sole discretion over the 24-month period from and after the Commencement, to direct Lincoln Park to purchase up to 50,000 shares of common stock on any business day (subject to certain limitations contained in the Purchase Agreement), with such amounts increasing based on certain threshold prices set forth in the Purchase Agreement; however, not to exceed \$1,500,000 in total purchase proceeds per purchase date. The purchase price of shares of common stock that we elect to sell to Lincoln Park pursuant to the Purchase Agreement will be based on the market prices of the common stock at the time of such purchases as set forth in the Purchase Agreement. We have filed the registration statement of which this prospectus is a part in accordance with our obligations under the Registration Rights Agreement.

In addition to regular purchases, as described above, we may also direct Lincoln Park to purchase additional amounts as accelerated purchases or as additional accelerated purchases if the closing sale price of the common stock is not below certain threshold prices, as set forth in the Purchase Agreement and as more specifically described in the section of this prospectus entitled "The Lincoln Park Transaction". In all instances, we may not sell shares of our common stock to Lincoln Park under the Purchase Agreement if it would result in Lincoln Park beneficially owning more than 9.99% of the common stock, or the Beneficial Ownership Cap.

There are no restrictions on future financings, rights of first refusal, participation rights, penalties or liquidated damages in the Purchase Agreement or Registration Rights Agreement other than a prohibition on entering into a "Variable Rate Transaction," as defined in the Purchase Agreement, and as more specifically described in the section of this prospectus entitled "The Lincoln Park Transaction".

Lincoln Park has agreed not to cause, or engage in any manner whatsoever, any direct or indirect short selling or hedging of the common stock. There is no upper limit on the price per share that Lincoln Park could be obligated to pay for the common stock under the Purchase Agreement. The purchase price per share will be equitably adjusted for any reorganization, recapitalization, non-cash dividend, stock split, or other similar transaction occurring during the business days used to compute such price.

Under the applicable rules of the Nasdaq Global Market, in no event may we issue more than 4,609,169 shares of our common stock, including the 330,000 Commitment Shares and the 571,429 shares of common stock we issued to Lincoln Park pursuant to a purchase agreement, dated July 11, 2019, which represents 19.99% of the shares of our common stock outstanding immediately prior to the execution of the Purchase Agreement, or the Exchange Cap, unless (i) we obtain stockholder approval to issue shares of our common stock in excess of the Exchange Cap or (ii) the average price of all applicable sales of our common stock to Lincoln Park under the Purchase Agreement equals or exceeds the average of the closing price of our common stock on the Nasdaq Global Market for the five business days immediately preceding the signing of the Purchase Agreement plus an incremental amount, such that the transactions contemplated by the Purchase Agreement are exempt from the Exchange Cap limitation under applicable Nasdaq rules. In any event, the Purchase Agreement specifically provides that we may not issue or sell any shares of our common stock under the Purchase Agreement if such issuance or sale would breach any applicable rules or regulations of the Nasdaq Global Market.

We have the right to terminate the Purchase Agreement at any time at no cost or penalty. Actual sales of shares of common stock to Lincoln Park under the Purchase Agreement will depend on a variety of factors to be determined by us from time to time, including, among others, market conditions, the trading price of the common stock and determinations by us as to the appropriate sources of funding for our company and our operations.

We do not know what the purchase price for our common stock will be and therefore cannot be certain as to the number of shares we might issue to Lincoln Park under the Purchase Agreement after the date of this prospectus.

RISK FACTORS

Before you make a decision to invest in our securities, you should consider carefully the risks described below, together with other information in this prospectus and the information incorporated by reference herein, including those risks identified under "Item 1A. Risk Factors" in our [Annual Report on Form 10-K for the year ended December 31, 2018, as filed with the SEC on April 1, 2019](#), and our [Quarterly Report on Form 10-Q for the period ended March 31, 2019, as filed with the SEC on May 15, 2019](#), which are incorporated by reference in this prospectus and which may be amended, supplemented or superseded by other reports that we subsequently file with the SEC. If any of the following events actually occur, our business, operating results, prospects or financial condition could be materially and adversely affected. This could cause the trading price of our common stock to decline and you may lose all or part of your investment. The risks described below are not the only ones that we face. Additional risks not presently known to us or that we currently deem immaterial may also significantly impair our business operations and could result in a complete loss of your investment. Please also read carefully the section entitled "Special Note Regarding Forward-Looking Statements."

Risks Related to This Offering

The sale or issuance of our common stock to Lincoln Park may cause dilution and the sale of the shares of common stock acquired by Lincoln Park, or the perception that such sales may occur, could cause the price of our common stock to fall.

On July 10, 2019, we entered into the Purchase Agreement with Lincoln Park, pursuant to which Lincoln Park has committed to purchase up to \$20.0 million of our common stock, subject to certain limitations. Upon the execution of the Purchase Agreement, we issued 330,000 Commitment Shares to Lincoln Park in consideration for its commitment to purchase shares of our common stock under the Purchase Agreement. The remaining shares of our common stock that may be issued under the Purchase Agreement may be sold by us to Lincoln Park at our discretion from time to time over a 24-month period commencing after the satisfaction of certain conditions set forth in the Purchase Agreement, including that the SEC has declared effective the registration statement of which this prospectus is a part and that such registration statement remains effective. The purchase price for the shares that we may sell to Lincoln Park under the Purchase Agreement will fluctuate based on the price of our common stock. Depending on market liquidity at the time, sales of such shares may cause the trading price of our common stock to fall.

We generally have the right to control the timing and amount of any future sales of our shares to Lincoln Park. Additional sales of our common stock, if any, to Lincoln Park will depend upon market conditions and other factors to be determined by us. We may ultimately decide to sell to Lincoln Park all, some, or none of the additional shares of our common stock that may be available for us to sell pursuant to the Purchase Agreement. If and when we do sell shares to Lincoln Park, after Lincoln Park has acquired the shares, Lincoln Park may resell all or some of those shares at any time or from time to time in its discretion. Therefore, sales to Lincoln Park by us could result in substantial dilution to the interests of other holders of our common stock. Additionally, the sale of a substantial number of shares of our common stock to Lincoln Park, or the anticipation of such sales, could make it more difficult for us to sell equity or equity-related securities in the future at a time and at a price that we might otherwise wish to effect sales.

We may not be able to access the full amounts available under the Purchase Agreement, which could prevent us from accessing the capital we need to continue our operations, which could have an adverse effect on our business.

Our ability to direct Lincoln Park to purchase up to \$20.0 million of shares of our common stock over a 24-month period is subject to the satisfaction of certain conditions, including that the registration statement of which this prospectus is a part is declared effective by the SEC.

Thereafter, on any trading day selected by us, we will have the right to direct Lincoln Park to purchase up to 50,000 shares of our common stock (subject to certain limitations contained in the Commitment Purchase Agreement), with such amounts increasing based on certain threshold prices set forth in the Purchase Agreement. The purchase price of shares of common stock that we elect to sell to Lincoln Park pursuant to the Purchase Agreement will be based on the market prices of our common stock at the time of such purchases as set forth in the Purchase Agreement. Although there are no upper limits on the per share price Lincoln Park may pay to purchase our common stock, we may not sell more than \$1.5 million in shares of our common stock to Lincoln Park per any individual regular purchase. In addition to regular purchases, as described above, we may also direct Lincoln Park to purchase additional amounts as accelerated purchases or as additional accelerated purchases if the closing sale price of the our common stock is not below certain threshold prices, as set forth in the Purchase Agreement. See "The Lincoln Park Transaction—Purchase of Sales Under the Purchase Agreement" on page 9 of this prospectus for a more detailed description of the regular purchases, accelerated purchased and additional accelerated purchases permitted under the Purchase Agreement.

Depending on the prevailing market price of our common stock, we may not be able to sell shares to Lincoln Park for the maximum \$20.0 million over the term of the Purchase Agreement.

For example, under the applicable rules of the Nasdaq Global Market, in no event may we issue more than the Exchange Cap, unless (i) we obtain stockholder approval to issue shares of our common stock in excess of the Exchange Cap or (ii) the average price of all applicable sales of our common stock to Lincoln Park under the Purchase Agreement equals or exceeds the average of the closing price of our common stock on the Nasdaq Global Market for the five business days immediately preceding the signing of the Purchase Agreement plus an incremental amount, such that the transactions contemplated by the Purchase Agreement are exempt from the Exchange Cap limitation under applicable Nasdaq rules. We are also not required or permitted to issue or sell any shares of our common stock under the Purchase Agreement if such issuance or sale would breach any applicable rules or regulations of the Nasdaq Global Market. In addition, Lincoln Park will not be required to purchase any shares of our common stock if such sale would result in Lincoln Park's beneficial ownership exceeding the Beneficial Ownership Cap.

Our inability to access a portion or the full amount available under the Purchase Agreement, in the absence of any other financing sources, could have a material adverse effect on our business.

USE OF PROCEEDS

The 330,000 Commitment Shares currently outstanding that are being offered for resale by Lincoln Park, the selling stockholder, will be sold for the account of Lincoln Park. As a result, all proceeds from the sales of the 330,000 shares of common stock currently outstanding and offered for resale hereby will go to Lincoln Park and we will not receive any proceeds from the resale of those shares of common stock by Lincoln Park.

We may receive up to \$20.0 million in gross proceeds if we issue to Lincoln Park all of the additional shares issuable pursuant to the Purchase Agreement. All such proceeds are currently expected to be used for general corporate purposes, which may include, without limitation, funding new clinical trials of DKN-01 and TRX518 and the continuation of ongoing studies, capital expenditures, working capital and general and administrative expenses. As we are unable to predict the timing or amount of potential issuances of all of the additional shares issuable pursuant to the Purchase Agreement, we cannot specify with certainty all of the particular uses for the net proceeds that we will have from the sale of such additional shares. Accordingly, our management will have broad discretion in the application of the net proceeds. We may use the proceeds for purposes that are not contemplated at the time of this offering. It is possible that no additional shares will be issued under the Purchase Agreement.

After the issuance of any of the shares issuable under the Purchase Agreement, we would not receive any proceeds from the resale of those shares by Lincoln Park because those shares will be sold for the account of Lincoln Park.

We will incur all costs associated with this prospectus and the registration statement of which it is a part.

THE LINCOLN PARK TRANSACTION

General

On July 10, 2019, we entered into the Purchase Agreement and the Registration Rights Agreement with Lincoln Park. Pursuant to the terms of the Purchase Agreement, Lincoln Park has agreed to purchase from us up to \$20,000,000 of our common stock (subject to certain limitations) from time to time during the term of the Purchase Agreement. Pursuant to the terms of the Registration Rights Agreement, we have filed with the SEC the registration statement of which this prospectus is a part to register for resale under the Securities Act the shares that have been or may be issued to Lincoln Park under the Purchase Agreement. The registration statement of which this prospectus is a part may not register all of the shares issuable pursuant to the Purchase Agreement. To sell additional shares to Lincoln Park under the Purchase Agreement, we may have to file one or more additional registration statements for those shares. Pursuant to the terms of the Purchase Agreement, we issued 330,000 Commitment Shares to Lincoln Park on July 10, 2019 as consideration for its commitment to purchase shares of our common stock under the Purchase Agreement.

We may, from time to time and at our sole discretion, direct Lincoln Park to purchase shares of our common stock upon the satisfaction of certain conditions set forth in the Purchase Agreement at a purchase price per share based on the market price of our common stock at the time of sale as computed under the Purchase Agreement. Lincoln Park may not assign or transfer its rights and obligations under the Purchase Agreement.

Under applicable rules of the Nasdaq Global Market, in no event may we issue or sell to Lincoln Park under the Purchase Agreement shares of our common stock in excess of the Exchange Cap, unless (i) we obtain stockholder approval to issue shares of our common stock in excess of the Exchange Cap or (ii) the average price of all applicable sales of our common stock to Lincoln Park under the Purchase Agreement equals or exceeds the average of the closing price of our common stock on the Nasdaq Global Market for the five business days immediately preceding the signing of the Purchase Agreement plus an incremental amount, such that the transactions contemplated by the Purchase Agreement are exempt from the Exchange Cap limitation under applicable Nasdaq rules. In any event, the Purchase Agreement specifically provides that we may not issue or sell any shares of our common stock under the Purchase Agreement if such issuance or sale would breach any applicable rules or regulations of the Nasdaq Global Market.

The Purchase Agreement also prohibits us from directing Lincoln Park to purchase any shares of our common stock if those shares, when aggregated with all other shares of our common stock then beneficially owned by Lincoln Park, would result in Lincoln Park and its affiliates exceeding the Beneficial Ownership Cap.

Purchase of Shares under the Purchase Agreement

Regular Purchases

Under the Purchase Agreement, on any business day selected by us, we may direct Lincoln Park to purchase up to 50,000 shares of our common stock on such business day (or the purchase date), which we refer to as a Regular Purchase, provided, however, that (i) the Regular Purchase may be increased to up to 100,000 shares, provided that the closing sale price is not below \$1.00 on the applicable purchase date, (ii) the Regular Purchase may be increased to up to 150,000 shares, provided that the closing sale price is not below \$2.00 on the applicable purchase date, (iii) the Regular Purchase may be increased to up to 200,000 shares, provided that the closing sale price is not below \$3.00 on the applicable purchase date, and (iv) the Regular Purchase may be increased to up to 250,000 shares, provided that the closing sale price is not below \$4.00 on the applicable purchase date (in each case, such dollar and share amounts subject to adjustment for any reorganization, recapitalization, non-cash dividend, stock

split or other similar transaction). In each case, the maximum amount of any single Regular Purchase may not exceed \$1,500,000 per purchase. We may direct Lincoln Park to purchase shares in a Regular Purchase as often as every business day, so long as we have delivered all purchased shares for all prior Regular Purchases, accelerated purchases or additional accelerated purchases and all such shares have been received by Lincoln Park in accordance with the terms of the Purchase Agreement.

The purchase price per share for each such Regular Purchase will be equal to the lesser of:

- the lowest sale price for our common stock on the purchase date of such shares; and
- the average of the three lowest closing sale prices for our common stock during the 10 consecutive business days prior to the purchase date of such shares.

Accelerated Purchases

We may also direct Lincoln Park, on any business day on which we have properly submitted a Regular Purchase notice, to purchase an additional amount of our common stock, which we refer to as an Accelerated Purchase, of up to the lesser of:

- three times the number of shares purchased pursuant to the corresponding Regular Purchase; and
- 30% of the aggregate shares of our common stock traded during all, or, if certain trading volume or market price thresholds specified in the Purchase Agreement are crossed on the applicable Accelerated Purchase date, the portion, of the normal trading hours on the applicable Accelerated Purchase date prior to such time that any one of such thresholds is crossed, which period of time on the applicable Accelerated Purchase date we refer to as the "Accelerated Purchase Measurement Period".

The purchase price per share for each such Accelerated Purchase will be equal to the lesser of:

- 95% of the volume-weighted average price of our common stock during the applicable Accelerated Purchase Measurement Period on the applicable Accelerated Purchase date; and
- the closing sale price of our common stock on the applicable Accelerated Purchase date.

Additional Accelerated Purchases

We may also direct Lincoln Park on any business day on which an Accelerated Purchase has been completed and all of the shares to be purchased thereunder have been properly delivered to Lincoln Park in accordance with the Purchase Agreement, to purchase an additional amount of our common stock, which we refer to as an Additional Accelerated Purchase, of up to the lesser of:

- three times the number of shares purchased pursuant to the corresponding Regular Purchase; and
- 30% of the aggregate shares of our common stock traded during a certain portion of the normal trading hours on the applicable Additional Accelerated Purchase date as determined in accordance with the Purchase Agreement, which period of time on the applicable Additional Accelerated Purchase date we refer to as the Additional Accelerated Purchase Measurement Period.

The purchase price per share for each such Additional Accelerated Purchase will be equal to the lower of:

- 95% of the volume weighted average price of our common stock during the applicable Additional Accelerated Purchase Measurement Period on the applicable Additional Accelerated Purchase date; and

- the closing sale price of our common stock on the applicable Additional Accelerated Purchase date.

We may, in our sole discretion, submit multiple Regular, Accelerated or Additional Accelerated Purchase notices to Lincoln Park on a single Accelerated Purchase date, provided that all prior Regular Purchases, Accelerated Purchases and Additional Accelerated Purchases (including those that have occurred earlier on the same day) have been completed and all of the shares to be purchased thereunder have been properly delivered to Lincoln Park in accordance with the Purchase Agreement.

In the case of the Regular Purchases, Accelerated Purchases and Additional Accelerated Purchases, the purchase price per share will be equitably adjusted for any reorganization, recapitalization, non-cash dividend, stock split, reverse stock split or other similar transaction occurring during the business days used to compute the purchase price.

Other than as described above, there are no trading volume requirements or restrictions under the Purchase Agreement, and we will control the timing and amount of any sales of our common stock to Lincoln Park.

Events of Default

Events of default under the Purchase Agreement include the following:

- the effectiveness of the registration statement of which this prospectus is a part lapses for any reason (including, without limitation, the issuance of a stop order), or the registration statement of which this prospectus is a part is unavailable to Lincoln Park for the resale of our common stock offered hereby, and such lapse or unavailability continues for a period of 10 consecutive business days or for more than an aggregate of 30 business days in any 365-day period, but excluding a lapse or unavailability where (i) we terminate a registration statement after Lincoln Park has confirmed in writing that all of the shares of our common stock covered thereby have been resold or (ii) we supersede one registration statement with another registration statement, including (without limitation) by terminating a prior registration statement when it is effectively replaced with a new registration statement covering the shares of our common stock covered by the Purchase Agreement (provided in the case of this clause (ii) that all of the shares of our common stock covered by the superseded (or terminated) registration statement that have not theretofore been resold are included in the superseding (or new) registration statement);
- suspension by our principal market of our common stock from trading for a period of one business day;
- the de-listing of our common stock from the Nasdaq Global Market, our principal market, provided our common stock is not immediately thereafter trading on the New York Stock Exchange, the Nasdaq Capital Market, the Nasdaq Global Select Market, the NYSE American, the NYSE Arca, the OTC Bulletin Board, the OTCQX operated by the OTC Markets Group, Inc., or the OTC Markets Group, Inc. (or any other comparable market);
- if at any time the Exchange Cap is reached and our stockholders have not approved the transactions contemplated by the Purchase Agreement in accordance with the applicable rules and regulations of the Nasdaq Stock Market, to the extent applicable;
- the failure for any reason by our transfer agent to issue purchase shares of our common stock to Lincoln Park within three business days after the Regular Purchase date, Accelerated Purchase date or Additional Accelerated Purchase date, as applicable, on which Lincoln Park is entitled to receive such shares;
- any breach of the representations, warranties, covenants or other terms or conditions contained in the Purchase Agreement or Registration Rights Agreement that has or could have a Material

Adverse Effect (as defined in the Purchase Agreement) and, in the case of a breach of a covenant that is reasonably curable, that is not cured within a period of at least five business days;

- our common stock ceases to be DTC authorized and ceases to participate in the DWAC/FAST systems; and
- any voluntary or involuntary participation or threatened participation in insolvency or bankruptcy proceedings by or against us.

Lincoln Park does not have the right to terminate the Purchase Agreement upon any of the events of default set forth above, however, the Purchase Agreement will automatically terminate upon initiation of insolvency or bankruptcy proceedings by or against us. During an event of default, all of which are outside of Lincoln Park's control, we may not direct Lincoln Park to purchase any shares of our common stock under the Purchase Agreement.

Our Termination Rights

We have the unconditional right, at any time, for any reason and without any payment or liability to us, to give notice to Lincoln Park to terminate the Purchase Agreement.

No Short-Selling or Hedging by Lincoln Park

Lincoln Park has agreed that neither it nor any of its affiliates shall engage in any direct or indirect short-selling or hedging of our common stock during any time prior to the termination of the Purchase Agreement.

Prohibitions on Variable Rate Transactions

Subject to specified exceptions included in the Purchase Agreement, we are limited in our ability to enter into specified variable rate transactions during the term of the Purchase Agreement. Such transactions include, among others, the issuance of convertible securities with a conversion or exercise price that is based upon or varies with the trading price of our common stock after the date of issuance or entry into any new "equity line of credit" or "at the market offering."

Effect of Performance of the Purchase Agreement on our Stockholders

All shares registered in this offering that have been or may be issued or sold by us to Lincoln Park under the Purchase Agreement are expected to be freely tradable. Shares registered in this offering may be sold by us to Lincoln Park over a period of up to 24 months commencing on the date of this registration statement of which this prospectus is a part becomes effective. The resale by Lincoln Park of a significant amount of shares registered in this offering at any given time, or the perception that these sales may occur, could cause the market price of our common stock to decline and to be highly volatile. Sales of our common stock to Lincoln Park, if any, will depend upon market conditions and other factors to be determined by us. We may ultimately decide to sell to Lincoln Park all, some or none of the additional shares of our common stock that may be available for us to sell pursuant to the Purchase Agreement. If and when we do sell shares to Lincoln Park, after Lincoln Park has acquired the shares, Lincoln Park may resell all, some or none of those shares at any time or from time to time in its discretion. Therefore, sales to Lincoln Park by us under the Purchase Agreement may result in substantial dilution to the interests of other holders of our common stock. In addition, if we sell a substantial number of shares to Lincoln Park under the Purchase Agreement, or if investors expect that we will do so, the actual sales of shares or the mere existence of our arrangement with Lincoln Park may make it more difficult for us to sell equity or equity-related securities in the future at a time and at a price that we might otherwise wish to effect such sales. However, we have the right to control the

timing and amount of any additional sales of our shares to Lincoln Park and the Purchase Agreement may be terminated by us at any time at our discretion without any cost to us.

Pursuant to the terms of the Purchase Agreement, we have the right, but not the obligation, to direct Lincoln Park to purchase up to \$20,000,000 of our common stock, subject to certain limitations and exclusive of the 330,000 Commitment Shares issued to Lincoln Park on the date of the Purchase Agreement. We have registered only a portion of the shares issuable under the Purchase Agreement and, therefore, we may seek to issue and sell to Lincoln Park under the Purchase Agreement more shares of our common stock than are offered under this prospectus. If we choose to do so, we must first register for resale under the Securities Act any such additional shares, which could cause additional substantial dilution to our stockholders. The number of shares ultimately offered for resale under this prospectus is dependent upon the number of shares we direct Lincoln Park to purchase under the Purchase Agreement.

The following table sets forth the amount of gross proceeds we would receive from Lincoln Park from our sale of shares to Lincoln Park under the Purchase Agreement at varying purchase prices:

Assumed Average Purchase Price Per Share	Number of Registered Shares to be Issued if Full Purchase(1)	Percentage of Outstanding Shares After Giving Effect to the Issuance to Lincoln Park(2)	Gross Proceeds from the Sale of Shares to Lincoln Park Under the Purchase Agreement
\$ 0.75	3,707,404	13.36%	\$ 2,780,805
\$ 1.00	3,707,404	13.36%	\$ 3,707,740
\$ 2.00	3,707,404	13.36%	\$ 7,415,480
\$ 2.36(3)	5,257,461	17.95%	\$ 12,407,608
\$ 3.00	5,257,461	17.95%	\$ 15,772,383
\$ 4.00	5,000,000	17.22%	\$ 20,000,000
\$ 5.00	4,000,000	14.27%	\$ 20,000,000

- (1) Although the Purchase Agreement provides that we may sell up to \$20.0 million of our common stock to Lincoln Park, we are only registering 5,587,461 shares (inclusive of the 330,000 Commitment Shares issued to Lincoln Park) under this prospectus, which may or may not cover all of the shares we ultimately sell to Lincoln Park under the Purchase Agreement. The number of registered shares to be issued as set forth in this column (i) excludes the 330,000 Commitment Shares previously issued and registered because no proceeds will be attributable to such shares, (ii) gives effect to the Exchange Cap and (iii) is without regard for the Beneficial Ownership Cap.
- (2) The denominator is based on 24,038,799 shares outstanding as of July 25, 2019, and the number of shares set forth in the adjacent column that we would have sold to Lincoln Park, assuming the average purchase price in the first column. The numerator is based on the number of shares issuable under the Purchase Agreement (that are the subject of this offering) at the corresponding assumed average purchase price set forth in the first column.
- (3) The closing sale price of our common stock on July 30, 2019.

SELLING STOCKHOLDER

The selling stockholder may from time to time offer and sell any or all of the shares of our common stock set forth below pursuant to this prospectus. When we refer to the "selling stockholder" in this prospectus, we mean Lincoln Park Capital Fund, LLC, and its respective pledgees, donees, permitted transferees, assignees, successors and others who later come to hold any of the selling stockholder's interests in shares of our common stock other than through a public sale.

The following table sets forth, as of the date of this prospectus, the name of the selling stockholder for whom we are registering shares for sale to the public, the number of shares of common stock beneficially owned by the selling stockholder prior to this offering, the total number of shares of common stock that the selling stockholder may offer pursuant to this prospectus and the number of shares of common stock that the selling stockholder will beneficially own after this offering. The percentages in the table below reflect the shares beneficially owned by the selling stockholder as a percentage of the 24,038,799 shares of common stock outstanding as of July 25, 2019, adjusted as required by rules promulgated by the SEC. These rules attribute beneficial ownership of shares of common stock issuable upon conversion of convertible securities or upon exercise of warrants that are convertible or exercisable, as applicable, either immediately or on or before the date that is 60 days after July 25, 2019. These shares are deemed to be outstanding and beneficially owned by the person holding such convertible securities or warrants for the purpose of computing the percentage ownership of that person, but they are not treated as outstanding for the purpose of computing the percentage ownership of any other person. Except as noted below, the selling stockholder does not have, or within the past three years has not had, any material relationship with us or any of our predecessors or affiliates and the selling stockholder is not or was not affiliated with registered broker-dealers.

Based on the information provided to us by the selling stockholder, assuming that the selling stockholder sells all of the shares of our common stock beneficially owned by it that have been registered by us and does not acquire any additional shares during the offering, the selling stockholder will not own any shares other than those appearing in the column entitled "Beneficial Ownership After This Offering." We cannot advise you as to whether the selling stockholder will in fact sell any or all of such shares of common stock. In addition, the selling stockholder may have sold, transferred or otherwise disposed of, or may sell, transfer or otherwise dispose of, at any time and from time to time, the shares of our common stock in transactions exempt from the registration requirements of the Securities Act after the date on which it provided the information set forth in the table below.

<u>Name</u>	<u>Beneficial Ownership Prior to this Offering</u>		<u>Shares of Common Stock Being Offered</u>	<u>Beneficial Ownership After this Offering(1)</u>	
	<u>Number of Shares Beneficially Owned Before this Offering</u>	<u>%</u>		<u>Number of Shares</u>	<u>%</u>
Lincoln Park Capital Fund, LLC	1,199,536(2)	4.99	5,587,461	1,021,429	3.21

- (1) Assumes the sale of all shares of common stock registered pursuant to this prospectus, although the selling stockholder is under no obligation known to us to sell any shares of common stock at this time.
- (2) As of the date of this prospectus, 330,000 shares of our common stock have been acquired by Lincoln Park under the Purchase Agreement, consisting of shares we issued to Lincoln Park as a commitment fee and 571,429 shares of common stock Lincoln Park has acquired in other purchases. Lincoln Park also holds warrants to purchase 450,000 shares of common stock that are currently exercisable, which warrants are subject to a blocker provision that restricts the exercise of the warrants if, as a result of such exercise, the warrant holder, together with its affiliates and any

other person whose beneficial ownership of common stock would be aggregated with the warrant holder's for purposes of Section 13(d) of the Exchange Act, would beneficially own in excess of 4.99% of our then issued and outstanding shares of common stock (including the shares of common stock issuable upon such exercise). We may elect in our sole discretion to sell to Lincoln Park up to an additional 5,257,461 shares under the Purchase Agreement (subject to the Exchange Cap), but Lincoln Park does not presently beneficially own those shares as determined in accordance with the rules of the SEC.

PLAN OF DISTRIBUTION

An aggregate of up to 5,587,461 shares of our common stock may be offered by this prospectus by Lincoln Park pursuant to the Purchase Agreement. The common stock may be sold or distributed from time to time by Lincoln Park directly to one or more purchasers or through brokers, dealers, or underwriters who may act solely as agents at market prices prevailing at the time of sale, at prices related to the prevailing market prices, at negotiated prices, or at fixed prices, which may be changed. The sale of the common stock offered by this prospectus could be effected in one or more of the following methods:

- ordinary brokers' transactions;
- transactions involving cross or block trades;
- through brokers, dealers, or underwriters who may act solely as agents;
- "at the market" into an existing market for the common stock;
- in other ways not involving market makers or established business markets, including direct sales to purchasers or sales effected through agents;
- in privately negotiated transactions; or
- any combination of the foregoing.

In order to comply with the securities laws of certain states, if applicable, the shares may be sold only through registered or licensed brokers or dealers. In addition, in certain states, the shares may not be sold unless they have been registered or qualified for sale in the state or an exemption from the state's registration or qualification requirement is available and complied with.

Lincoln Park is an "underwriter" within the meaning of Section 2(a)(11) of the Securities Act.

Lincoln Park has informed us that it intends to use an unaffiliated broker-dealer to effectuate all sales, if any, of the common stock that it may purchase from us pursuant to the Purchase Agreement. Such sales will be made at prices and at terms then prevailing or at prices related to the then current market price. Each such unaffiliated broker-dealer will be an underwriter within the meaning of Section 2(a)(11) of the Securities Act. Lincoln Park has informed us that each such broker-dealer will receive commissions from Lincoln Park that will not exceed customary brokerage commissions.

Brokers, dealers, underwriters or agents participating in the distribution of the shares as agents may receive compensation in the form of commissions, discounts, or concessions from Lincoln Park and/or purchasers of the common stock for whom the broker-dealers may act as agent. The compensation paid to a particular broker-dealer may be less than or in excess of customary commissions. Neither we nor Lincoln Park can presently estimate the amount of compensation that any agent will receive. We know of no existing arrangements between Lincoln Park or any other stockholder, broker, dealer, underwriter or agent relating to the sale or distribution of the shares offered by this prospectus. At the time a particular offer of shares is made, a prospectus supplement, if required, will be distributed that will set forth the names of any agents, underwriters or dealers and any compensation from Lincoln Park, and any other required information.

We will pay the expenses incident to the registration, offering, and sale of the shares to Lincoln Park. We have agreed to indemnify Lincoln Park and certain other persons against certain liabilities in connection with the offering of shares of common stock offered hereby, including liabilities arising under the Securities Act or, if such indemnity is unavailable, to contribute amounts required to be paid in respect of such liabilities. Lincoln Park has agreed to indemnify us against liabilities under the Securities Act that may arise from certain written information furnished to us by Lincoln Park

specifically for use in this prospectus or, if such indemnity is unavailable, to contribute amounts required to be paid in respect of such liabilities.

Lincoln Park has represented to us that at no time prior to the Purchase Agreement has it or its agents, representatives or affiliates engaged in or effected, in any manner whatsoever, directly or indirectly, any short sale (as such term is defined in Rule 200 of Regulation SHO of the Exchange Act) of our common stock or any hedging transaction, which establishes a net short position with respect to our common stock. Lincoln Park has agreed that during the term of the Purchase Agreement, it, its agents, representatives or affiliates will not enter into or effect, directly or indirectly, any of the foregoing transactions.

We have advised Lincoln Park that it is required to comply with Regulation M promulgated under the Exchange Act. With certain exceptions, Regulation M precludes Lincoln Park, any affiliated purchasers, and any broker-dealer or other person who participates in the distribution from bidding for or purchasing, or attempting to induce any person to bid for or purchase any security which is the subject of the distribution until the entire distribution is complete. Regulation M also prohibits any bids or purchases made in order to stabilize the price of a security in connection with the distribution of that security. All of the foregoing may affect the marketability of the securities offered by this prospectus.

This offering will terminate on the date that all shares offered by this prospectus have been sold by Lincoln Park.

DESCRIPTION OF CAPITAL STOCK

The description below of our capital stock and provisions of our amended and restated certificate of incorporation and amended and restated bylaws are summaries and are qualified by reference to the amended and restated certificate of incorporation, the amended and restated bylaws, and the applicable provisions of Delaware law.

General

Our amended and restated certificate of incorporation authorizes us to issue up to 100,000,000 shares of common stock, \$0.001 par value per share, and 10,000,000 shares of undesignated preferred stock, \$0.001 par value per share, the rights and preferences of which may be established from time to time by our board of directors.

As of July 25, 2019, there were 24,038,799 shares of common stock outstanding, no shares of preferred stock outstanding, and warrants for the purchase of up to 10,369,752 shares of our common stock outstanding.

Common Stock

Voting rights. Each holder of our common stock is entitled to one vote for each share on all matters submitted to a vote of the stockholders, including the election of directors. Our stockholders do not have cumulative voting rights in the election of directors. An election of directors by our stockholders shall be determined by a plurality of votes cast by the stockholders entitled to vote on the election.

Dividends. Subject to preferences that may be applicable to any then outstanding preferred stock, holders of our common stock are entitled to receive dividends, if any, as may be declared from time to time by our board of directors out of legally available funds.

Liquidation. In the event of our liquidation, dissolution or winding up, holders of our common stock will be entitled to share ratably in proportion to the number of shares held by them in the net assets legally available for distribution to stockholders after the payment of all of our debts and other liabilities and the satisfaction of any liquidation preference granted to the holders of any then outstanding shares of preferred stock.

Rights and preferences. Holders of common stock have no preemptive, conversion or subscription rights and there are no redemption or sinking fund provisions applicable to the common stock. The rights, preferences and privileges of the holders of common stock are subject to, and may be adversely affected by, the rights of the holders of shares of any series of preferred stock that we may designate in the future.

Fully paid and nonassessable. All of our outstanding shares of common stock are, and the shares of common stock to be issued in this offering, if any, will be, fully paid and nonassessable.

Preferred Stock

Under our amended and restated certificate of incorporation, our board of directors has the authority, without further action by the stockholders (unless such stockholder action is required by applicable law or the rules of any stock exchange or market on which our securities are then traded), to designate and issue up to 10,000,000 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. We will fix the designations, voting powers, preferences and

rights of the preferred stock of each series, as well as the qualifications, limitations or restrictions thereof, in a certificate of designation relating to that series.

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.

Warrants

As of July 25, 2019, we had 10,369,752 outstanding warrants to purchase shares of our capital stock.

On November 14, 2017, the Company entered into purchase agreements, or the 2017 Purchase Agreements, with certain purchasers, or the 2017 Purchasers. Each 2017 Purchase Agreement was on terms and conditions substantially similar to each other 2017 Purchase Agreement and pursuant to such 2017 Purchase Agreements, the Company, in a private placement, agreed to issue and sell to the 2017 Purchasers an aggregate of 2,958,094 shares of unregistered common stock, at a price per share of \$6.085, each share issued with a warrant to purchase one share of common stock at an exercise price of \$6.085, or the 2017 Warrants. HealthCare Ventures IX, L.P. and Eli Lilly and Company, each a more than 5% direct holder of the Company's common stock, purchased common stock and warrants in the private placement. Each of HealthCare Ventures IX, L.P. and Eli Lilly and Company agreed to purchase the common stock and warrants on the same terms and conditions as the other 2017 Purchasers. Three of our directors and executive officers are affiliated with HealthCare Ventures IX, L.P. and its affiliates. Our stockholders approved the inclusion of a full ratchet anti-dilution feature as a term of the 2017 Warrants. As a result, if we issue common stock, options or common stock equivalents at a price less than the exercise price of the 2017 Warrants, subject to certain customary exceptions or amend the terms of any outstanding security of the Company, the exercise price of the 2017 Warrants will be reduced to that lower price. Such a decrease in exercise price may cause holders to exercise the 2017 Warrants which could result in dilution to our existing stockholders at an accelerated rate. As a result of the consummation of our public offering in February 2019 described below, the exercise price of the 2017 Warrants automatically was adjusted pursuant to, and in accordance with, their terms to \$1.75 per share.

On February 5, 2019, the Company completed a public offering whereby the Company issued 7,557,142 shares of its common stock at a price of \$1.75 per share, 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, or on February 5, 2026. HealthCare Ventures IX, L.P. purchased common stock and warrants in the February 2019 public offering on the same terms and conditions as the other purchasers.

Registration Rights

We agreed to provide certain registration rights to certain holders of our common stock pursuant to the terms of the agreements filed as Exhibits 4.2, 4.3, 4.6 and 10.21 to this registration statement.

Anti-Takeover Effects of Provisions of Delaware Law and Our Amended and Restated Certificate of Incorporation and Amended and Restated Bylaws

Our amended and restated certificate of incorporation and amended and restated bylaws contain certain provisions that are intended to enhance the likelihood of continuity and stability in the

composition of the board of directors and which may have the effect of delaying, deferring or preventing a future takeover or change in control of the Company unless such takeover or change in control is approved by the board of directors. These provisions include:

No Cumulative Voting. Under Delaware law, the right to vote cumulatively does not exist unless the certificate of incorporation specifically authorizes cumulative voting. Our amended and restated certificate of incorporation does not grant shareholders the right to vote cumulatively.

Blank Check Preferred Stock. We believe that the availability of the preferred stock under the amended and restated certificate of incorporation provides the board of directors with flexibility in addressing corporate issues that may arise. Having these authorized shares available for issuance allows the Company to issue shares of preferred stock without the expense and delay of a special shareholders' meeting. The authorized shares of preferred 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 has 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.

Our amended and restated 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.

Our amended and restated 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's 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 us. 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's 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's 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 our amended and restated bylaws.

Staggered Board. Our amended and restated certificate of incorporation 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 will be 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 will be elected each year. The initial term of office of the directors of Class I expires at the annual meeting of Leap's stockholders in 2021; the initial term of office of the directors of Class II expires at the annual meeting of Leap's stockholders to be held in 2022; and the initial term of office of the directors of Class III expires at the annual meeting of Leap's stockholders to be held in 2020.

- Our Class I directors are Monica Bertagnolli, James Cavanaugh and John Littlechild;
- Our Class II directors are Thomas Dietz and William Li; and
- Our Class III directors are Joseph Loscalzo, Nissim Mashiach, and Christopher Mirabelli.

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 amended and restated certificate of incorporation 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 amended and restated certificate of incorporation and amended and restated bylaws also provides 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 permitted to call a special meeting or to require the board of directors to call a special meeting.

Removal of Directors. Our amended and restated certificate of incorporation provides that 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.

Exclusive Forum. Our amended and restated certificate of incorporation provides that, subject to limited exceptions, the state or federal courts located in the State of Delaware will be the sole and exclusive forum for (i) any derivative action or proceeding brought on our behalf, (ii) any action asserting a claim of breach of a fiduciary duty owed by any of our directors, officers or other employees to us or our stockholders, (iii) any action asserting a claim against us arising pursuant to any provision of the Delaware General Corporation Law, our amended and restated certificate of incorporation or our amended and restated bylaws, or (iv) any other action asserting a claim against us that is governed by the internal affairs doctrine. Any person or entity purchasing or otherwise acquiring any interest in shares of our capital stock shall be deemed to have notice of and to have consented to the provisions of our amended and restated certificate of incorporation described above. Although we believe these provisions benefit us by providing increased consistency in the application of Delaware law for the specified types of actions and proceedings, the provisions may have the effect of discouraging lawsuits against our directors and officers. The enforceability of similar choice of forum provisions in other companies' certificates of incorporation has been challenged in legal proceedings, and it is possible that, in connection with one or more actions or proceedings described above, a court could find the choice of forum provisions contained in our amended and restated certificate of incorporation to be inapplicable or unenforceable.

Section 203 of the Delaware General Corporation Law

We are subject to Section 203 of Delaware Law, or Section 203. In general, Section 203 prohibits a publicly-held Delaware corporation from engaging in a "business combination" with an "interested stockholder" for a three-year period following the time that this stockholder becomes an interested stockholder, unless the business combination is approved in a prescribed manner. A "business combination" includes, among other things, a merger, asset or stock sale or other transaction resulting in a financial benefit to the interested stockholder. An "interested stockholder" is a person who, together with affiliates and associates, owns, or did own within three years prior to the determination of interested stockholder status, 15% or more of the corporation's voting stock. Under Section 203, a business combination between a corporation and an interested stockholder is prohibited unless it satisfies one of the following conditions: before the stockholder became interested, the board of directors approved either the business combination or the transaction which resulted in the stockholder becoming an interested stockholder; upon consummation of the transaction which 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 for purposes of determining the voting stock outstanding, shares owned by persons who are directors and also officers, and employee stock plans, in some instances; or at or after the time the stockholder became interested, the business combination was approved by the board of directors of the corporation and authorized at an annual or special meeting of the stockholders by the affirmative vote of at least two-thirds of the outstanding voting stock which is not owned by the interested stockholder. A Delaware corporation may "opt out" of these provisions with an express provision in its original certificate of incorporation or an express provision in its certificate of incorporation or bylaws resulting from a stockholders' amendment approved by at least a majority of the outstanding voting shares. We have not opted out of these provisions. As a result, mergers or other takeover or change in control attempts of us may be discouraged or prevented.

Transfer Agent and Registrar

The transfer agent and registrar for our common stock is Continental Stock Transfer & Trust Company. Its address is 1 State Street, 30th Floor, New York, NY 10004-1561. The transfer agent for any series of preferred stock, debt securities or warrants that we may offer under this prospectus will be named and described in the prospectus supplement for that series.

Listing

Our common stock is listed on The NASDAQ Global Market under the symbol "LPTX."

LEGAL MATTERS

The validity of the shares of common stock offered hereby will be passed upon for us by Morgan, Lewis & Bockius LLP.

EXPERTS

The consolidated balance sheets of Leap Therapeutics, Inc. and subsidiaries as of December 31, 2018 and 2017, and the related consolidated statements of operations, comprehensive loss, stockholders' equity (deficiency), and cash flows for each of the years then ended have been audited by EisnerAmper LLP, independent registered public accounting firm, as stated in their report which is incorporated herein by reference. Such financial statements have been incorporated herein by reference in reliance on the report of such firm given upon their authority as experts in accounting and auditing.

WHERE YOU CAN FIND MORE INFORMATION

We have filed with the SEC a registration statement on Form S-1 (including exhibits, schedules, and amendments) under the Securities Act with respect to the shares of common stock offered by this prospectus. This prospectus does not contain all the information set forth in the registration statement. For further information about us and the shares of common offered by this prospectus, you should refer to the registration statement. Statements contained in this prospectus relating to the contents of any contract, agreement or other document are not necessarily complete and are qualified in all respects by the complete text of the applicable contract, agreement or other document, a copy of which has been filed as an exhibit to the registration statement. Whenever this prospectus refers to any contract, agreement, or other document, you should refer to the exhibits that are a part of the registration statement for a copy of the contract, agreement, or document.

We are subject to the reporting and information requirements of the Exchange Act and, as a result, file, or will file, periodic reports, proxy statements and other information with the SEC. These periodic reports and other information are available for inspection and copying at the SEC's public reference room and the website of the SEC, in each case, referred to below. We also maintain a website at <http://www.leaptx.com> and make available free of charge through this website our annual report on Form 10-K, quarterly reports on Form 10-Q, current reports on Form 8-K and amendments to those reports filed or furnished pursuant to Sections 13(a) and 15(d) of the Exchange Act. We make these reports available through our website as soon as reasonably practicable after we electronically file such reports with, or furnish such reports to, the SEC. The information contained on, or that can be accessed through, our website is not a part of this prospectus. The reference to our web address does not constitute incorporation by reference of the information contained in, or that can be accessed through, our website.

You may read and copy this information at the SEC's Public Reference Room at 100 F Street, N.E., Washington D.C. 20549, on official business days during the hours of 10:00 am to 3:00 pm. You may obtain information regarding the operation of the Public Reference Room by calling the SEC at 1-800-SEC-0330. The SEC also maintains a website (<http://www.sec.gov>) that contains reports, proxy and information statements and other information regarding issuers that file electronically with the SEC.

DISCLOSURE OF COMMISSION POSITION ON INDEMNIFICATION FOR SECURITIES LIABILITIES

Insofar as indemnification for liabilities arising under the Securities Act may be permitted to our directors, officers, and persons controlling us pursuant to the provisions described in Item 14 of the registration statement of which this prospectus is a part or otherwise, we have been advised that in the opinion of the SEC such indemnification is against public policy as expressed in the Securities Act and

is therefore unenforceable. In the event that a claim for indemnification against such liabilities (other than our payment of expenses incurred or paid by our directors, officers, or controlling persons in the successful defense of any action, suit, or proceeding) is asserted by our directors, officers, or controlling persons in connection with the common stock being registered, we will, unless in the opinion of our counsel the matter has been settled by controlling precedent, submit to a court of appropriate jurisdiction the question whether such indemnification by us is against public policy as expressed in the Securities Act and will be governed by the final adjudication of the issue.

INCORPORATION OF CERTAIN INFORMATION BY REFERENCE

The SEC permits us to "incorporate by reference" the information contained in documents we file with the SEC, which means that we can disclose important information to you by referring you to those documents rather than by including them in this prospectus. Information that is incorporated by reference is considered to be part of this prospectus and you should read it with the same care that you read this prospectus. Information that we file later with the SEC will automatically update and supersede the information that is either contained, or incorporated by reference, in this prospectus, and will be considered to be a part of this prospectus from the date those documents are filed.

We incorporate by reference the documents listed below, all filings filed by us pursuant to the Exchange Act after the date of the registration statement of which this prospectus supplement forms a part, and any future filings we make with the SEC under Sections 13(a), 13(c), 14 or 15(d) of the Exchange Act prior to the time that all securities covered by this prospectus supplement have been sold; provided, however, that we are not incorporating any documents or information deemed to have been furnished and not filed in accordance with SEC rules:

- [our Annual Report on Form 10-K for the fiscal year ended December 31, 2018, filed with the SEC on April 1, 2019;](#)
- [our Quarterly Report on Form 10-Q for the quarter ended March 31, 2018, filed with the SEC on May 15, 2019;](#)
- our Current Reports on Form 8-K filed on [May 20, 2019](#), [June 17, 2019](#) and [July 11, 2019](#); and
- [the description of our Common Stock contained in our Registration Statement on Form 8-A, filed on January 20, 2017, including any amendments thereto or reports filed for the purposes of updating this description.](#)

In addition, all documents subsequently filed by us pursuant to Section 13(a), 13(c), 14 or 15(d) of the Exchange Act before the date our offering is terminated or completed are deemed to be incorporated by reference into, and to be a part of, this prospectus.

Any statement contained in this prospectus or in a document incorporated or deemed to be incorporated by reference into this prospectus will be deemed to be modified or superseded for purposes of this prospectus to the extent that a statement contained in this prospectus or any other subsequently filed document that is deemed to be incorporated by reference into this prospectus modifies or supersedes the statement. Any statement so modified or superseded will not be deemed, except as so modified or superseded, to constitute a part of this prospectus.

We will provide to each person, including any beneficial holder, to whom a prospectus is delivered, at no cost, upon written or oral request, a copy of any or all of the information that has been incorporated by reference in the prospectus but not delivered with the prospectus. You should direct any requests for copies to us at Attention: Secretary, 47 Thorndike Street, Suite B1-1, Cambridge, Massachusetts 02141 or you may call us at (617) 714-0360. Exhibits to the filings will not be sent, however, unless those exhibits have specifically been incorporated by reference into this prospectus.

You should rely only on information contained in, or incorporated by reference into, this prospectus. We have not authorized anyone to provide you with information different from that contained in this prospectus, or incorporated by reference in this prospectus and in any free writing prospectus that we have authorized for use in connection with this offering. We are not making offers to sell the securities in any jurisdiction in which such an offer or solicitation is not authorized or in which the person making such offer or solicitation is not qualified to do so or to anyone to whom it is unlawful to make such offer or solicitation.

5,587,461 Shares



Common Stock

PROSPECTUS

, 2019

PART II**INFORMATION NOT REQUIRED IN PROSPECTUS****Item 13. Other Expenses of Issuance and Distribution.**

The following table sets forth the fees and expenses in connection with the issuance and distribution of the securities being registered (excluding the underwriting discount). Except for the Securities and Exchange Commission registration fee, all amounts are estimates.

	<u>Amount Paid or to be Paid</u>
SEC registration fee	\$ 1,547.40
Legal fees and expenses	\$ 175,000.00
Accounting fees and expenses	15,000.00
Miscellaneous fees and expenses	15,000.00
Total	<u>\$ 206,547.40</u>

Item 14. Indemnification of Directors and Officers.

We are incorporated under the laws of the State of Delaware. Section 145 of the Delaware General Corporation Law provides that a Delaware corporation may indemnify any persons who are, or are threatened to be made, parties to any threatened, pending or completed action, suit or proceeding, whether civil, criminal, administrative or investigative (other than an action by or in the right of such corporation), by reason of the fact that such person was an officer, director, employee or agent of such corporation, or is or was serving at the request of such person as an officer, director, employee or agent of another corporation or enterprise. The indemnity may include expenses (including attorneys' fees), judgments, fines and amounts paid in settlement actually and reasonably incurred by such person in connection with such action, suit or proceeding, provided that such person acted in good faith and in a manner he or she reasonably believed to be in or not opposed to the corporation's best interests and, with respect to any criminal action or proceeding, had no reasonable cause to believe that his or her conduct was illegal. A Delaware corporation may indemnify any persons who are, or are threatened to be made, a party to any threatened, pending or completed action or suit by or in the right of the corporation by reason of the fact that such person was a director, officer, employee or agent of such corporation, or is or was serving at the request of such corporation as a director, officer, employee or agent of another corporation or enterprise. The indemnity may include expenses (including attorneys' fees) actually and reasonably incurred by such person in connection with the defense or settlement of such action or suit provided that such person acted in good faith and in a manner he or she reasonably believed to be in or not opposed to the corporation's best interests except that no indemnification is permitted without judicial approval if the officer or director is adjudged to be liable to the corporation. Where an officer or director is successful on the merits or otherwise in the defense of any action referred to above, the corporation must indemnify him or her against the expenses which such officer or director has actually and reasonably incurred. Our amended and restated certificate of incorporation and amended and restated bylaws provide for the indemnification of our directors and officers to the fullest extent permitted under the Delaware General Corporation Law.

Section 102(b)(7) of the Delaware General Corporation Law permits a corporation to provide in its certificate of incorporation that a director of the corporation shall not be personally liable to the corporation or its stockholders for monetary damages for breach of fiduciary duties as a director, except for liability for any:

- transaction from which the director derives an improper personal benefit;
 - act or omission not in good faith or that involves intentional misconduct or a knowing violation of law;
 - unlawful payment of dividends or redemption of shares; or
-

- breach of a director's duty of loyalty to the corporation or its stockholders.

Our amended and restated certificate of incorporation includes such a provision. Expenses incurred by any officer or director in defending any such action, suit or proceeding in advance of its final disposition shall be paid by us upon delivery to us of an undertaking, by or on behalf of such director or officer, to repay all amounts so advanced if it shall ultimately be determined that such director or officer is not entitled to be indemnified by us.

We have entered into indemnification agreements with certain of our directors and executive officers that require us to indemnify such persons against expenses, judgments, fines, settlements and other amounts incurred (including expenses of a derivative action) in connection with any proceeding, whether actual or threatened, to which any such person may be made a party by reason of the fact that such person is or was one of our directors or executive officers, provided, among other things, that such person's conduct was not knowingly fraudulent or deliberately dishonest or constituted willful misconduct. The indemnification agreements also set forth certain procedures that will apply in the event of a claim for indemnification thereunder.

At present, there is no pending litigation or proceeding involving any of our directors or executive officers as to which indemnification is being sought nor are we aware of any threatened litigation that may result in claims for indemnification by any executive officer or director.

We maintain an insurance policy covering our officers and directors with respect to certain liabilities, including liabilities arising under the Securities Act or otherwise.

Item 15. Recent Sales of Unregistered Securities

Set forth below is information regarding securities we have issued within the past three years that were not registered under the Securities Act:

1. On November 14, 2017, we entered into the 2017 Purchase Agreements with certain institutional accredited investors pursuant to which, we, in a private placement, agreed to issue and sell to such institutional accredited investors an aggregate of 2,958,094 shares of unregistered common stock, at a price per share of \$6.085, each share issued with a warrant to purchase one share of common stock at an exercise price of \$6.085 with an exercise period expiring seven years after closing, for gross proceeds of approximately \$18.0 million.
2. On July 10, 2019, we entered into the Purchase Agreement with Lincoln Park which provides that upon the terms and subject to the conditions and limitations set forth in the agreement, Lincoln Park is committed to purchase up to an aggregate of \$20.0 million shares of our common stock. We issued 330,000 shares of our common stock to Lincoln Park in consideration for entering into the Purchase Agreement.

No underwriters were involved in the foregoing issuances of unregistered securities.

The offers, sales and issuances of the securities described above were deemed to be exempt from registration under the Securities Act in reliance upon Section 4(a)(2) of the Securities Act as transactions by an issuer not involving any public offering. All recipients of these securities were accredited investors within the meaning of Rule 501 of Regulation D of the Securities Act who were acquiring the applicable securities for investment and not distribution and had represented that they could bear the risks of the investment. Each of the recipients of securities in these transactions had adequate access, through employment, business or other relationships, to information about us.

The purchasers of securities in the transactions described in this Item 15 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 the registration

requirements of the Securities Act. Appropriate legends were affixed to the securities issued in these transactions.

Item 16. Exhibits and Financial Statement Schedules.

(a) Exhibits

The exhibits to the registration statement are listed in the Exhibit Index attached hereto and incorporated by reference herein.

(b) Financial Statement Schedules

All financial statement schedules have been omitted because they are not required or because the required information is given in the financial statements or notes to those statements.

Item 17. Undertakings.

The undersigned registrant hereby undertakes:

(1) To file, during any period in which offers or sales are being made, a post-effective amendment to this registration statement:

(i) To include any prospectus required by Section 10(a)(3) of the Securities Act of 1933;

(ii) To reflect in the prospectus any facts or events arising after the effective date of the registration statement (or the most recent post-effective amendment thereof) which, individually or in the aggregate, represent a fundamental change in the information set forth in the registration statement. Notwithstanding the foregoing, any increase or decrease in volume of securities offered (if the total dollar value of securities offered would not exceed that which was registered) and any deviation from the low or high end of the estimated maximum offering range may be reflected in the form of prospectus filed with the SEC pursuant to Rule 424(b) if, in the aggregate, the changes in volume and price represent no more than a 20% change in the maximum aggregate offering price set forth in the "Calculation of Registration Fee" table in the effective registration statement.

(iii) To include any material information with respect to the plan of distribution not previously disclosed in the registration statement or any material change to such information in the registration statement;

(2) That, for the purpose of determining any liability under the Securities Act of 1933, each such post-effective amendment shall be deemed to be a new registration statement relating to the securities offered therein, and the offering of such securities at that time shall be deemed to be the initial bona fide offering thereof.

(3) To remove from registration by means of a post-effective amendment any of the securities being registered which remain unsold at the termination of the offering.

(4) That, for the purpose of determining liability under the Securities Act of 1933 to any purchaser: each prospectus filed pursuant to Rule 424(b) as part of a registration statement relating to an offering, other than registration statements relying on Rule 430B or other than prospectuses filed in reliance on Rule 430A, shall be deemed to be part of and included in the registration statement as of the date it is first used after effectiveness; provided, however, that no statement made in a registration statement or prospectus that is part of the registration statement or made in a document incorporated or deemed incorporated by reference into the registration statement or prospectus that is part of the registration statement will, as to a purchaser with a time of contract of sale prior to such first use, supersede or modify any statement that was made in the

registration statement or prospectus that was part of the registration statement or made in any such document immediately prior to such date of first use.

(5) That, for purposes of determining any liability under the Securities Act of 1933, each filing of the registrant's annual report pursuant to section 13(a) or section 15(d) of the Securities Exchange Act of 1934 (and, where applicable, each filing of an employee benefit plan's annual report pursuant to section 15(d) of the Securities Exchange Act of 1934) that is incorporated by reference in the registration statement shall be deemed to be a new registration statement relating to the securities offered therein, and the offering of such securities at that time shall be deemed to be the initial bona fide offering thereof.

(6) Insofar as indemnification for liabilities arising under the Securities Act of 1933 may be permitted to directors, officers and controlling persons of the registrant pursuant to the foregoing provisions, or otherwise, the registrant has been advised that in the opinion of the Securities and Exchange Commission such indemnification is against public policy as expressed in the Act and is, therefore, unenforceable. In the event that a claim for indemnification against such liabilities (other than the payment by the registrant of expenses incurred or paid by a director, officer or controlling person of the registrant in the successful defense of any action, suit or proceeding) is asserted by such director, officer or controlling person in connection with the securities being registered, the registrant will, unless in the opinion of its counsel the matter has been settled by controlling precedent, submit to a court of appropriate jurisdiction the question whether such indemnification by it is against public policy as expressed in the Act and will be governed by the final adjudication of such issue.

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 10.3 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 Macrocare, 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	Registration Rights Agreement dated as of July 10, 2019, by and between the Company and Lincoln Park Capital Fund, LLC (incorporated by reference to Exhibit 10.3 to the Current Report on Form 8-K (file No. 001-37990) filed with the Securities Exchange Commission on July 11, 2019).
5.1	Opinion of Morgan, Lewis & Bockius LLP
10.1†	Amended and Restated 2012 Equity Incentive Plan of Leap Therapeutics, Inc. (incorporated by reference to Exhibit 10.1 to the Registrant's registration statement on Form S-8 (File No. 333-215787) as filed on January 27, 2017).
10.2	Form of Stock Option Grant Notice and Stock Option Agreement under Leap's Amended and Restated 2012 Equity Incentive Plan, as amended (incorporated by reference to Exhibit 10.2 to the Registrant's annual report on Form 10-K (File No. 001-37990) as filed on March 31, 2017).
10.3†	2016 Equity Incentive Plan of Leap Therapeutics, Inc. (incorporated by reference to Exhibit 10.2 to the Registrant's registration statement on Form S-8 (File No. 333-215787) as filed on January 27, 2017).
10.4	Form of Stock Option Grant Notice and Stock Option Agreement under Leap's 2016 Equity Incentive Plan, as amended (incorporated by reference to Exhibit 10.3 to Amendment No. 1 to the Registrant's registration statement on Form S-4 (File No. 333-213794) as filed on November 2, 2016).

<u>Exhibit No.</u>	<u>Description</u>
10.5	Summary Translation of Macrocure 2008 Stock Option Plan stockholders (incorporated by reference to Exhibit 10.3 to the Registrant's registration statement on Form S-8 (File No. 333-215787) as filed on January 27, 2017).
10.6	Macrocare 2013 Share Incentive Plan (incorporated by reference to Exhibit 10.4 to the Registrant's registration statement on Form S-8 (File No. 333-215787) as filed on January 27, 2017).
10.7	Amendment No. 1 to Macrocare 2013 Share Incentive Plan (incorporated by reference to Exhibit 10.5 to the Registrant's registration statement on Form S-8 (File No. 333-215787) as filed on January 27, 2017).
10.8 [†]	License Agreement, between Eli Lilly and Company and Dekkun Corporation, effective as of January 3, 2011 (incorporated by reference to Exhibit 10.4 to the Registrant's registration statement on Form S-4 (File No. 333-213794) as filed on September 26, 2016).
10.9 [†]	License Agreement, by and between Lonza Sales AG and Healthcare Pharmaceuticals, Inc., dated as of May 28, 2015 (incorporated by reference to Exhibit 10.5 to the Registrant's registration statement on Form S-4 (File No. 333-213794) as filed on September 26, 2016).
10.10	Royalty Agreement, between Leap Therapeutics, Inc. and Leap Shareholder Royalty Vehicle, Inc. (incorporated by reference to Exhibit 10.1 to the Registrant's current report on Form 8-K (File No. 001-37990) as filed on January 26, 2017).
10.11	Letter Agreement, between Leap Shareholder Royalty Vehicle, Inc. and certain Leap stockholders (incorporated by reference to Exhibit 10.2 to the Registrant's current report on Form 8-K (File No. 001-37990) as filed on January 26, 2017).
10.12 [†]	Executive Employment Agreement and accompanying Employee Proprietary Information, Inventions, Non-Competition and Non-Solicitation Agreement, by and between Leap and Christopher K. Mirabelli, dated as of August 29, 2016 (incorporated by reference to Exhibit 10.7 to our Registration Statement on Form S-4 (File No. 333-213794), as filed on September 26, 2016).
10.13 [†]	Executive Employment Agreement and accompanying Employee Proprietary Information, Inventions, Non-Competition and Non-Solicitation Agreement, by and between Leap and Douglas E. Onsi, dated as of August 29, 2016 (incorporated by reference to Exhibit 10.8 to our Registration Statement on Form S-4 (File No. 333-213794), as filed on September 26, 2016).
10.14 [†]	Executive Employment Agreement and accompanying Employee Proprietary Information, Inventions, Non-Competition and Non-Solicitation Agreement, by and between Leap and Augustine Lawlor, dated as of August 29, 2016 (incorporated by reference to Exhibit 10.9 to our Registration Statement on Form S-4 (File No. 333-213794), as filed on September 26, 2016).
10.15	Form of Indemnification Agreement (filed as Exhibit 10.10 to Amendment No. 1 to the Registrant's registration statement on Form S-4 (File No. 333-213794) as filed on November 2, 2016).
10.16	Subscription Agreement, between Leap and HealthCare Ventures IX, L.P., dated as of January 23, 2017 (incorporated by reference to Exhibit 10.4 to the Registrant's current report on Form 8-K (File No. 001-37990) as filed on January 26, 2017).

<u>Exhibit No.</u>	<u>Description</u>
10.17	<u>Lease by and between Bulfinch Square Limited Partnership and Healthcare Ventures LLC, dated as of March 30, 2012 (filed as Exhibit 10.26 to the Registrant's annual report on Form 10-K (File No. 001-37990) as filed on March 31, 2017).</u>
10.18	<u>Amendment to Lease by and between Bulfinch Square Limited Partnership and Healthcare Ventures LLC, dated as of June 30, 2015 (incorporated by reference to Exhibit 10.27 to the Registrant's annual report on Form 10-K (File No. 001-37990) as filed on March 31, 2017).</u>
10.19	<u>First Amendment to Lease by and between Bulfinch Square Limited Partnership and Healthcare Ventures LLC, dated as of January 4, 2016 (incorporated by reference to Exhibit 10.28 to the Registrant's annual report on Form 10-K (File No. 001-37990) as filed on March 31, 2017).</u>
10.20	<u>Consent to Assignment and Assumption of Lease, by and between Bulfinch Square Limited Partnership, Healthcare Ventures LLC, and Leap Therapeutics, Inc., dated as of December 19, 2016 and Assignment (incorporated by reference to Exhibit 10.29 to the Registrant's annual report on Form 10-K (File No. 001-37990) as filed on March 31, 2017).</u>
10.21	<u>Form of Purchase Agreement, dated as of November 14, 2017, by and among Leap Therapeutics, Inc. and the purchasers identified on the schedule thereto (incorporated by reference to Exhibit 10.1 to the Current Report on Form 8-K (file No. 0001-3799) filed with the Securities and Exchange Commission on November 17, 2017).</u>
10.22	<u>Placement Agency Agreement, dated as of November 14, 2017, by and between Leap Therapeutics, Inc., Raymond James & Associates, Inc. and Ladenburg Thalmann & Co. Inc. (incorporated by reference to Exhibit 10.2 to the Current Report on Form 8-K (file No. 0001-3799) filed with the Securities and Exchange Commission on November 17, 2017).</u>
10.23	<u>Voting Agreement, dated as of November 14, 2017, by and among Leap Therapeutics, Inc., HealthCare Ventures VIII, L.P., HealthCare Ventures IX, L.P. and HealthCare Ventures Strategic Fund, L.P. (incorporated by reference to Exhibit 10.3 to the Current Report on Form 8-K (file No. 0001-3799) filed with the Securities and Exchange Commission on November 17, 2017).</u>
10.24	<u>Form of Underwriting Agreement, dated as of March 23, 2018, by and among Leap Therapeutics, Inc. and 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 Current Report on Form 8-K (file No. 001-37990) filed with the Securities and Exchange Commission on March 23, 2018).</u>
10.25	<u>Form of Distribution Agreement, dated as of September 7, 2018, by and between Leap Therapeutics, Inc. and Raymond James & Associates, Inc. (incorporated by reference to Exhibit 1.1 to the Current Report on Form 8-K (file No. 001-37990) filed with the Securities and Exchange Commission on September 7, 2018).</u>
10.26	<u>Form of Lease, dated as of November 13, 2018, by and between Leap Therapeutics, Inc. and Bulfinch Square Limited Partnership (incorporated by reference to Exhibit 1.1 to the Current Report on Form 8-K (file No. 001-37990) filed with the Securities and Exchange Commission on November 13, 2018).</u>
10.27	<u>Purchase Agreement, dated as of July 10, 2019, by and between Leap Therapeutics, Inc. and Lincoln Park Capital Fund, LLC (incorporated by reference to Exhibit 10.2 to the Current Report on Form 8-K (file No. 001-37990) filed with the Securities Exchange Commission on July 11, 2019).</u>

Exhibit No.	Description
10.28	Purchase Agreement, dated as of July 11, 2019, by and between Leap Therapeutics, Inc. and Lincoln Park Capital Fund, LLC (incorporated by reference to Exhibit 10.1 to the Current Report on Form 8-K (file No. 001-37990) filed with the Securities Exchange Commission on July 11, 2019).
21.1	List of Subsidiaries.
23.1	Consent of EisnerAmper LLP, independent registered public accounting firm.
23.2	Consent of Morgan, Lewis & Bockius LLP (included in Exhibit 5.1)
24.1	Power of Attorney (included on the signature page to this prospectus)

† Indicates management contract or compensation plan.

‡ Indicates confidential treatment has been requested with respect to specific portions of this exhibit. Omitted portions have been filed with the Securities and Exchange Commission pursuant to Rule 406 of the Securities Act of 1933, as amended.

SIGNATURES

Pursuant to the requirements of the Securities Act of 1933, as amended, the Registrant has duly caused this Registration Statement to be signed on its behalf by the undersigned, thereunto duly authorized, in the city of Boston, Commonwealth of Massachusetts on July 31, 2019.

LEAP THERAPEUTICS, INC.

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

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

POWER OF ATTORNEY

KNOW ALL PERSONS BY THESE PRESENTS, that each person whose signature appears below constitutes and appoints Christopher K. Mirabelli and Douglas E. Onsi, and each of them, as his or her true and lawful agent, proxy and attorney-in-fact, each acting alone, with full power of substitution and resubstitution, for him or her and in his or her name, place and stead, in any and all capacities, to (i) act on, sign, and file with the SEC any and all amendments (including post-effective amendments) to this registration statement together with all schedules and exhibits thereto, (ii) act on, sign and file such certificates, instruments, agreements and other documents as may be necessary or appropriate in connection therewith, (iii) act on and file any supplement to any prospectus included in this registration statement or any such amendment or any subsequent registration statement filed pursuant to Rule 462(b) under the Securities Act and (iv) take any and all actions which may be necessary or appropriate to be done, as fully for all intents and purposes as he or she might or could do in person, hereby approving, ratifying and confirming all that such agent, proxy and attorney-in-fact or any of his substitutes may lawfully do or cause to be done by virtue thereof.

<u>NAME</u>	<u>TITLE</u>	<u>DATE</u>
<u> /s/ CHRISTOPHER K. MIRABELLI, PH.D. </u> Christopher K. Mirabelli, Ph.D.	Chief Executive Officer, President and Chairman of the Board (Principal Executive Officer)	July 31, 2019
<u> /s/ DOUGLAS E. ONSI </u> Douglas E. Onsi	Chief Financial Officer, Treasurer and Secretary (Principal Financial and Accounting Officer)	July 31, 2019
<u> /s/ JAMES CAVANAUGH, PH.D. </u> James Cavanaugh, Ph.D.	Director	July 31, 2019
<u> /s/ JOHN LITTLECHILD </u> John Littlechild	Director	July 31, 2019
<u> /s/ THOMAS DIETZ, PH.D. </u> Thomas Dietz, Ph.D.	Director	July 31, 2019

<u>NAME</u>	<u>TITLE</u>	<u>DATE</u>
<u>/s/ JOSEPH LOSCALZO, M.D., PH.D.</u> Joseph Loscalzo, M.D., Ph.D.	Director	July 31, 2019
<u>/s/ NISSIM MASHIACH</u> Nissim Mashiach	Director	July 31, 2019
<u>/s/ WILLIAM LI, M.D.</u> William Li, M.D.	Director	July 31, 2019
<u>/s/ MONICA M. BERTAGNOLLI, M.D.</u> Monica M. Bertagnolli, M.D.	Director	July 31, 2019

Morgan, Lewis & Bockius LLP
One Federal Street
Boston, Massachusetts 02110-1726
Tel. +1.617.341.7700
Fax: +1.617.341.7701
www.morganlewis.com

July 31, 2019

Leap Therapeutics, Inc.
47 Thorndike Street, Suite B1-1
Cambridge, MA

Ladies and Gentlemen:

This opinion is furnished to you in connection with the filing of a Registration Statement on Form S-1, dated July 31, 2019 (the "*Registration Statement*") by Leap Therapeutics, Inc., a Delaware corporation (the "*Company*"), with the Securities and Exchange Commission (the "*Commission*") for purposes of registering under the Securities Act of 1933, as amended (the "*Securities Act*"), the resale of 5,587,461 shares of the Company's common stock, of which: (i) 330,000 shares (the "*Commitment Shares*") have been issued to Lincoln Park Capital Fund, LLC ("*Lincoln Park*") and (ii) 5,257,461 shares (the "*Agreement Shares*" and together with the Commitment Shares, the "*Shares*") are reserved for issuance pursuant to a purchase agreement, dated July 10, 2019 (the "*Purchase Agreement*"), by and between the Company and Lincoln Park.

As counsel to the Company, we have reviewed the corporate proceedings of the Company with respect to the authorization of the sale and issuance of the Shares pursuant to the Purchase Agreement. We have also examined and relied upon originals or copies of such corporate records, instruments, agreements or other documents of the Company, and certificates of public officials, and have made such investigation of law and have discussed with officers and representatives of the Company such questions of fact, as we, in our professional judgment, have deemed necessary or appropriate as a basis for the opinions hereinafter expressed. We have assumed the genuineness of all signatures, the conformity to the originals of all documents reviewed by us as copies, the authenticity and completeness of all original documents reviewed by us in original or copy form and the legal capacity and competence of each individual executing any document.

This opinion is limited solely to the Delaware General Corporation Law without regard to choice of law, to the extent that the same may apply to or govern the transactions contemplated by the Registration Statement. We express no opinion as to the effect of events occurring, circumstances arising, or changes of law becoming effective or occurring, after the date hereof on the matters addressed in this opinion.

Based on such examination and subject to the foregoing, we are of the opinion that: (i) the Commitment Shares are duly and validly issued, fully paid and non-assessable and (ii) the Agreement Shares, when issued and delivered by the Company against payment therefor as contemplated by the Purchase Agreement, will be duly and validly issued, fully paid and non-assessable.

We hereby consent to the filing of this opinion with the Commission as an Exhibit 5.1 to the Registration Statement in accordance with the requirements of Item 601(b)(5) of Regulation S-K promulgated under the Securities Act and to the reference to this firm therein and under the heading "Legal Matters" in the Prospectus Supplement included in the Registration Statement. In giving this consent, we do not admit that we are in the category of persons whose consent is required under Section 7 of the Act, or the rules and regulations of the Commission thereunder. In rendering this opinion, we are opining only as to the specific legal issues expressly set forth herein, and no opinion shall be inferred as to any other matter or matters. This opinion is intended solely for use in

connection with the registration of the Shares for resale under the Registration Statement and is not to be relied upon for any other purpose.

Very truly yours,

/s/ Morgan, Lewis & Bockius LLP

MORGAN, LEWIS & BOCKIUS LLP

QuickLinks

[Exhibit 5.1](#)

**SUBSIDIARIES OF
LEAP THERAPEUTICS, INC.**

<u>Subsidiary</u>	<u>Jurisdiction of Incorporation/Organization</u>
GTR, Inc.	Delaware
HealthCare Pharmaceuticals Pty Ltd	Australia
Leap Therapeutics Ltd.	Israel

QuickLinks

[Exhibit 21.1](#)

[SUBSIDIARIES OF LEAP THERAPEUTICS, INC.](#)

CONSENT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

We consent to the incorporation by reference in this Registration Statement of Leap Therapeutics, Inc. on Form S-1 to be filed on or about July 31, 2019 of our report dated April 1, 2019, on our audits of the consolidated financial statements as of December 31, 2018 and 2017 and for each of the years then ended, which report was included in the Annual Report on Form 10-K filed April 1, 2019.

/s/ EisnerAmper LLP

EISNERAMPER LLP
Philadelphia, Pennsylvania
July 31, 2019

QuickLinks

[Exhibit 23.1](#)

[CONSENT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM](#)