

Leap Therapeutics and BeiGene Announce Exclusive Option and License Agreement for DKN-01 and Leap Announces \$27 Million Equity Financing

January 3, 2020

- **BeiGene granted option to develop and commercialize Leap's DKN-01 in the Asia Pacific Region, excluding Japan**
- **Initiation of combination studies of Leap's DKN-01 with BeiGene's anti-PD-1 antibody tislelizumab planned**

CAMBRIDGE, Mass. and BEIJING, Jan. 3, 2020 /PRNewswire/ -- Leap Therapeutics, Inc. (Nasdaq: LPTX), a biotechnology company developing targeted immuno-oncology therapeutics, and BeiGene, Ltd. (Nasdaq: BGNE; HKEX: 06160), a commercial-stage biopharmaceutical company focused on developing and commercializing innovative molecularly-targeted and immuno-oncology drugs for the treatment of cancer, today announced an exclusive option and license agreement for the clinical development and commercialization of DKN-01, Leap's anti-Dickkopf-1 (DKK1) antibody, in Asia (excluding Japan), Australia, and New Zealand. Leap will retain exclusive rights for the development, manufacturing, and commercialization of DKN-01 for the rest of the world. In addition, Leap announced entering into an agreement for a \$27 million equity financing with BeiGene and two institutional investors.

BeiGene Strategic Collaboration

"We are excited about the potential to combine our anti-PD-1 inhibitor tislelizumab with DKN-01 as there have been promising signals in a biomarker-defined population of gastric cancer patients in combination with checkpoint blockade," commented Yong (Ben) Ben, M.D., Chief Medical Officer, Immuno-Oncology at BeiGene. "This collaboration with Leap is another example of our commitment to developing novel treatments to address unmet medical needs in Asia and around the world."

Under the terms of the agreement, Leap will receive an upfront cash payment of \$3 million from BeiGene in exchange for granting BeiGene an option to an exclusive license to develop and commercialize DKN-01 in Asia (excluding Japan), Australia, and New Zealand, and will be eligible to receive an additional payment from BeiGene upon BeiGene's exercise of the option following initial proof-of-concept studies. Additionally, Leap is eligible to receive payments from BeiGene based upon the achievement of certain development, regulatory, and sales milestones for a total deal value of up to \$132 million, together with tiered royalties on any product sales of DKN-01 in the licensed territory. BeiGene will also make a \$5 million equity investment in Leap as part of the contemporaneous \$27 million equity financing.

"Securing a collaboration to further develop DKN-01 has been our top strategic priority, and we are excited to begin working with BeiGene, a global leader in oncology," said Christopher K. Mirabelli, Ph.D., President and Chief Executive Officer of Leap Therapeutics. "BeiGene is the ideal partner for Leap given its extensive experience in the development of oncology drugs throughout Asia Pacific, where its expertise and product breadth can help us in our efforts to address serious unmet medical needs in esophagogastric, gynecologic, and other cancers."

During the option period, Leap has agreed to study the combination of DKN-01 and tislelizumab. Leap plans to evaluate DKN-01 with tislelizumab in approximately 40 patients with second-line gastric cancer (GC) / gastroesophageal junction cancer (GEJ) whose tumors express high levels of DKK1 to build upon the the positive clinical experience of DKN-01 in combination with PD-1 inhibitors in these patients. In addition, Leap plans to evaluate the combination of DKN-01 with tislelizumab and chemotherapy in approximately 20 patients with first-line GC/GEJ. Leap expects to initiate these clinical trials in the first half of 2020.

Equity Financing

In connection with the licensing agreement with BeiGene, Leap has also entered into a securities purchase agreement to issue and sell in a private placement 1,421,801 shares of newly designated Series A mandatorily convertible preferred stock to a lead institutional investor and 1,137,442 shares of newly designated Series B mandatorily convertible preferred stock to BeiGene and Perceptive Advisors, each at a price of \$10.55 per share, upon the closing of the equity financing. The preferred stock price reflects a common stock equivalent price of \$1.055, the closing price for Leap's common stock on the Nasdaq Global Market on the day of pricing, January 2, 2020. At the closing, the holder of Series A mandatorily convertible preferred stock will also receive a special voting preferred share that will entitle it to elect one member of Leap's Board of Directors. Upon approval by the stockholders of Leap, the Series A mandatorily convertible preferred stock will be automatically converted into pre-funded warrants to purchase 14,218,010 shares of common stock and the Series B mandatorily convertible preferred stock will be automatically converted into 11,374,420 shares of common stock, plus additional shares representing payment of an 8% per annum accruing dividend. Upon stockholder approval and conversion of the preferred stock, the investors will also receive warrants to purchase up to an equal number of shares of common stock at an exercise price of \$2.11 per share. The aggregate gross proceeds to Leap from this offering are approximately \$27 million, before deducting placement agent fees and estimated offering expenses payable by Leap, and not including proceeds from the exercise of any warrants. Subject to customary closing conditions, the equity financing is scheduled to close on or before January 8, 2020.

Leap plans to hold a special meeting of stockholders to approve an increase in the number of authorized shares of common stock, the conversion of the convertible preferred stock into shares of common stock, the issuance of the warrants, and related matters. In connection with such stockholder meeting, Leap entered into a voting agreement with entities affiliated with HealthCare Ventures holding an aggregate of 29.3% of the company's outstanding common stock to vote all of their beneficially owned shares in favor of the matters to be proposed at the special meeting of stockholders.

Raymond James & Associates, Inc. was the placement agent for the equity financing.

The securities being sold in the private placement have not been registered under the Securities Act of 1933, as amended, or state securities laws and may not be offered or sold in the United States absent registration with the Securities and Exchange Commission (SEC) or an applicable exemption from such registration requirements. Leap has agreed to file a registration statement with the SEC covering the resale of the shares of common stock issuable upon conversion of the preferred stock and exercise of the warrants issued in the private placement.

This press release does not constitute an offer to sell or the solicitation of an offer to buy the securities, nor shall there be any sale of the securities in any state in which such offer, solicitation or sale would be unlawful prior to the registration or qualification under the securities laws of such state.

About DKN-01

DKN-01 is a humanized monoclonal antibody that binds to and blocks the activity of the Dickkopf-1 (DKK1) protein, a modulator of Wnt/Beta-catenin signaling, a signaling pathway frequently implicated in tumorigenesis and suppressing the immune system. DKK1 has an important role in tumor cell signaling and in mediating an immuno-suppressive tumor microenvironment through enhancing the activity of myeloid-derived suppressor cells and downregulating NK ligands on tumor cells.

About gastroesophageal junction (GEJ) /gastric adenocarcinoma

The gastroesophageal junction (GEJ) is the area where the esophagus and stomach join together. Given its anatomic location, GEJ adenocarcinomas have often been grouped together with either esophageal or gastric cancers in large. Gastric adenocarcinoma (gastric cancer) remains one of the most common and deadly cancers worldwide, especially among older malesⁱ. Based on GLOBOCAN 2018 data, stomach cancer is the 5th most common neoplasm and the 3rd most deadly cancer, with an estimated 783,000 deaths in 2018ⁱ. Gastric cancer incidence and mortality are highly variable by region and highly dependent on diet and *Helicobacter pylori* infectionⁱ.

About Leap Therapeutics

Leap Therapeutics (Nasdaq:LPTX) is focused on developing targeted and immuno-oncology therapeutics. Leap's most advanced clinical candidate, DKN-01, is a humanized monoclonal antibody targeting the Dickkopf-1 (DKK1) protein, a Wnt pathway modulator. DKN-01 is in clinical trials in patients with esophagogastric, hepatobiliary, gynecologic, and prostate cancers. For more information about Leap Therapeutics, visit <http://www.leaptx.com> or our public filings with the SEC that are available via EDGAR at <http://www.sec.gov> or via <https://investors.leaptx.com/>.

About BeiGene

BeiGene (Nasdaq:BGNE; HKEX: 06160) is a global, commercial-stage research-based biotechnology company focused on molecularly-targeted and immuno-oncology cancer therapeutics. With a team of over 3,300 employees in the United States, China, Australia, and Europe, BeiGene is advancing a pipeline consisting of novel oral small molecules and monoclonal antibodies for cancer. BeiGene is also working to create combination solutions aimed to have both a meaningful and lasting impact on cancer patients. In the United States, BeiGene markets and distributes BRUKINSA™ (zanubrutinib) and in China, the Company has received approval to market its anti-PD-1 antibody tislelizumab and markets ABRAXANE® (nanoparticle albumin-bound paclitaxel), REVLIMID® (lenalidomide), and VIDAZA® (azacytidine) under a license from Celgene Corporation Logistics Sarl, a Bristol-Myers Squibb companyⁱⁱ, and plans to market XGEVA® (denosumab) under a license from Amgenⁱⁱⁱ.

FORWARD-LOOKING STATEMENTS FOR LEAP THERAPEUTICS

This press release contains forward-looking statements within the meaning of Section 27A of the Securities Act of 1933, Section 21E of the Securities Exchange Act of 1934 and the Private Securities Litigation Reform Act of 1995, which involve risks and uncertainties. These statements include statements regarding expectations with respect to the closing of the equity financing, receiving stockholder approval at the special meeting of stockholders, development and advancement of DKN-01, including the initiation, timing and design of future studies, enrollment in future studies, potential for the receipt of future option exercise, milestones or royalty payments from BeiGene, and other future expectations, plans and prospects. Although Leap believes that the expectations reflected in such forward-looking statements are reasonable as of the date made, forward-looking statements are subject to known and unknown risks, uncertainties and other factors that could cause actual results to differ materially from our expectations. Such risks and uncertainties include, but are not limited to: the accuracy of our estimates regarding expenses, future revenues, capital requirements and needs for financing; the outcome, cost, and timing of our product development activities and clinical trials; the uncertain clinical development process, including the risk that clinical trials may not have an effective design or generate positive results; our ability to obtain and maintain regulatory approval of our drug product candidates; the size and growth potential of the markets for our drug product candidates; our ability to continue obtaining and maintaining intellectual property protection for our drug product candidates; and other risks. Detailed information regarding factors that may cause actual results to differ materially will be included in Leap Therapeutics' periodic filings with the SEC, including Leap's Annual Report on Form 10-K for the fiscal year ended December 31, 2018, as filed with the SEC on April 1, 2019, and Leap's Quarterly Reports on Form 10-Q. Any forward-looking statements contained in this release speak only as of its date. We undertake no obligation to update any forward-looking statements contained in this release to reflect events or circumstances occurring after its date or to reflect the occurrence of unanticipated events.

FORWARD-LOOKING STATEMENTS FOR BEIGENE

This press release contains forward-looking statements within the meaning of the Private Securities Litigation Reform Act of 1995 and other federal securities laws, including statements regarding future development and potential commercialization activities of the specified product candidate under the agreement with Leap, potential payments payable to Leap, and other information that is not historical information. Actual results may differ materially from those indicated in the forward-looking statements as a result of various important factors, including BeiGene's ability to demonstrate the efficacy and safety of its drug candidates; the clinical results for its drug candidates, which may not support further development or marketing approval; actions of regulatory agencies, which may affect the initiation, timing and progress of clinical trials and marketing approval; BeiGene's ability to achieve commercial success for its marketed products and drug candidates, if approved; BeiGene's ability to obtain and maintain protection of intellectual property for its technology and drugs; BeiGene's reliance on third parties to conduct drug development, manufacturing and other services; BeiGene's limited operating history and BeiGene's ability to obtain additional funding for operations and to complete the development and commercialization of its drug candidates, as well as those risks more fully discussed in the section entitled "Risk Factors" in BeiGene's most recent quarterly report on Form 10-Q, as well as discussions of potential risks, uncertainties, and other important factors in BeiGene's subsequent filings with the U.S. Securities and Exchange Commission. All information in this press release is as of the date of this press release, and BeiGene undertakes no duty to update such information unless required by law.

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ⁱ <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6444111/>

ⁱⁱ ABRAXANE[®] is a registered trademark of Abraxis Bioscience LLC, a Bristol-Myers Squibb company; REVLIMID[®] and VIDAZA[®] are registered trademarks of Celgene Corporation, a Bristol-Myers Squibb company

ⁱⁱⁱ XGEVA[®] is a registered trademark of Amgen.



BeiGene

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