2022 Annual Report

UNITED STATES
SECURITIES AND EXCHANGE COMMISSION

Washington, D.C. 20549

FORM 10-K

(Mark One)

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

For the fiscal year ended December 31, 2022

Or

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

For the transition period from to

Commission file number 001-37990

LEAP THERAPEUTICS, INC.

(Exact name of registrant as specified in its charter)

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

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

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

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

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

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

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

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

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

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

Large accelerated filer ☐	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 13(a) of the Exchange Act. ☐

Indicate by check mark whether the registrant has filed a report on and attestation to its management's assessment of the effectiveness of its internal control over financial reporting under Section 404(b) of the Sarbanes-Oxley Act (15 U.S.C. 7262(b)) by the registered public accounting firm that prepared or issued its audit report. ☐

If securities are registered pursuant to Section 12(b) of the Act, indicate by check mark whether the financial statements of the registrant included in the filing reflect the correction of an error to previously issued financial statements. ☐

Indicate by check mark whether any of those error corrections are restatements that required a recovery analysis of incentive-based compensation received by any of the registrant's executive officers during the relevant recovery period pursuant to §240.10D-1(b). ☐

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

The aggregate market value of the voting and non-voting common stock held by non-affiliates of the registrant, computed based on the closing price for such stock as reported on the Nasdaq Global Market on June 30, 2022, the last business day of the registrant's most recently completed second quarter, was approximately: $93.8 million.

As of March 20, 2023, there were 118,750,386 outstanding shares of the registrant's common stock, par value $0.001 per share, which is the only outstanding class of common stock of the registrant.

DOCUMENTS INCORPORATED BY REFERENCE:

Portions of the registrant's definitive proxy statement for its 2023 Annual Meeting of Stockholders, which is expected to be filed with the Securities and Exchange Commission not later than 120 days after the end of the registrant's fiscal year ended December 31, 2022, are incorporated by reference into Part III, Items 10-14 of this Annual Report on Form 10-K. With the exception of the portions of the registrant's definitive proxy statement for its 2023 Annual Meeting of Stockholders that are expressly incorporated by reference into this Annual Report on Form 10-K, such proxy statement shall not be deemed filed as part of this Annual Report on Form 10-K.

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SPECIAL NOTE REGARDING FORWARD-LOOKING STATEMENTS AND INDUSTRY DATA

This Annual Report on Form 10-K (the "Annual Report") contains forward-looking statements within the meaning of Section 27A of the Securities Act of 1933, as amended, and Section 21E of the Securities Exchange Act of 1934, as amended, which reflect our current views with respect to, among other things, our operations and financial performance. Such statements are based upon our current plans, estimates and expectations that are subject to various risks and uncertainties that could cause actual results to differ materially from such statements. The inclusion of forward-looking statements should not be regarded as a representation that such plans, estimates and expectations will be achieved. Words such as "anticipate," "expect," "project," "intend," "believe," "may," "will," "should," "plan," "could," "continue," "target," "contemplate," "estimate," "forecast," "guidance," "predict," "possible," "potential," "pursue," "likely," and words and terms of similar substance used in connection with any discussion of future plans, actions or events identify forward-looking statements. All statements, other than historical facts, including statements regarding estimations of projected cash runway; our future product development plans; the potential, safety, efficacy, and regulatory and clinical progress of the our product candidates, including the anticipated timing for initiation of clinical trials and release of clinical trial data and the expectations surrounding potential regulatory submissions, approvals and timing thereof; and any assumptions underlying any of the foregoing, are forward-looking statements. Important factors that could cause actual results to differ materially from our plans, estimates or expectations could include, but are not limited to: (i) our ability and plan to develop and commercialize DKN-01, FL-301 and our preclinical programs; (ii) status, timing and results of our preclinical studies and clinical trials; (iii) the potential benefits of DKN-01, FL-301 and our preclinical programs; (iv) the timing of our development programs and seeking regulatory approval of DKN-01, FL-301 and our preclinical programs; (v) our ability to obtain and maintain regulatory approval; (vi) our estimates of expenses and future revenues and profitability; (vii) our estimates regarding our capital requirements and our needs for additional financing; (viii) our estimates of the size of the potential markets for DKN-01, FL-301 and our preclinical programs; (ix) the benefits to be derived from any collaborations, license agreements, or other acquisition efforts, including the acquisition of Flame Biosciences; and the ongoing collaboration with BeiGene (x) sources of revenues and anticipated revenues, including contributions from any collaborations or license agreements for the development and commercialization of products; (xi) the rate and degree of market acceptance of DKN-01, FL-301 or our preclinical products; (xii) the success of other competing therapies that may become available; (xiii) the manufacturing capacity for our products; (xiv) our intellectual property position; (xv) our ability to maintain and protect our intellectual property rights; (xvi) our results of operations, financial condition, liquidity, prospects, and growth and strategies; (xvii) the industry in which we operate; (xviii) the trends that may affect the industry or us; (xix) our ability to successfully integrate the Flame operations and realize the anticipated benefits of the acquisition of Flame; (xx) whether our stockholders approve the conversion of the Series X Non-Voting Convertible Preferred Stock; (xxi) exposure to inflation, currency rate and interest rate fluctuations, as well as fluctuations in the market price of our traded securities; (xxii) that the initiation, conduct, and completion of clinical trials, laboratory operations, manufacturing campaigns, and other studies may be delayed, adversely affected, or impacted by ongoing COVID-19 related issues, global conflict or supply chain related issues; and (xxiii) our ability to comply with the continued listing requirements of the Nasdaq Global Market.

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

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

DKN-01 and FL-301 are investigational drugs undergoing clinical development and have not been approved by the U.S. Food and Drug Administration (the "FDA"), nor been submitted to the FDA for approval. DKN-01 and FL-301 have not been, and may never be, approved by any regulatory agency or marketed anywhere in the world. Statements contained in this Annual Report should not be deemed to be promotional.

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

INTRODUCTORY COMMENT

References to Leap

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

PART I

Item 1. BUSINESS

Corporate Information

We were incorporated in the state of Delaware on January 3, 2011. During 2015, HealthCare Pharmaceuticals Pty Ltd. ("HCP Australia") was formed and is our wholly owned subsidiary.

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

On August 29, 2016, we entered into a merger agreement with Macrocure Ltd. ("Macrocure"), a publicly held, clinical-stage biotechnology company based in Petach Tikva, Israel. In connection with the merger, we applied to be listed on the Nasdaq Global Market. Nasdaq approved the listing, and trading in our common stock commenced on January 24, 2017, under the trading symbol "LPTX." On February 1, 2017, Macrocure's name was changed to Leap Therapeutics Ltd. In 2020, Leap Therapeutics Ltd. was dissolved.

On December 15, 2021, Leap Securities Corp. was formed and is our wholly owned subsidiary.

On January 17, 2023, we entered into a merger agreement with Flame Biosciences, Inc., a privately held, biotechnology corporation ("Flame"), whereby Flame became a wholly owned subsidiary under the name Flame Biosciences, LLC.

Overview

We are a biopharmaceutical company developing novel biomarker-targeted antibody therapies designed to treat patients with cancer by inhibiting fundamental tumor-promoting pathways, targeting cancer-specific cell surface molecules, and 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 lead clinical stage program is DKN-01, a monoclonal antibody that inhibits Dickkopf-related protein 1 ("DKK1"). We are currently studying DKN-01 in multiple ongoing clinical trials in patients with esophagogastric cancer, gynecologic cancers, or colorectal cancer. Our second clinical stage program is FL-301, a monoclonal antibody that targets cells that express Claudin18.2 on their cell surface. We also have two preclinical antibody programs, FL-302 and FL-501. We intend to apply our extensive experience identifying and developing transformational products to build a pipeline of programs that have the potential to change the practice of cancer medicine.

Market

Cancer is the general name for a group of more than 100 diseases in which cells grow and divide out of control. Over 16 million people in the United States have cancer. The National Cancer Institute (NCI), estimated that approximately 1.9 million people developed cancer and that nearly 610,000 people died of cancer in 2022. While progress has been made from the War on Cancer to the Human Genome Project, and despite advances in early detection and new cancer cell targeted treatments, cancer generally remains an incurable disease.

Esophagogastric Cancer (EGC)

Esophageal cancer ("EC"), and gastric cancer ("GC"), are malignancies of the digestive tract. According to the GLOBOCAN database in 2020, there were about 18,300 new patients diagnosed in the United States with EC and 26,300 new patients with GC each year. GLOBOCAN estimates that there were over 604,000 EC patients and 1,090,000 GC patients diagnosed worldwide in 2020, with a majority of the prevalence in Eastern Asia. EC patients have difficulty swallowing and often have pain while swallowing. Substantial weight loss can result from reduced appetite, poor nutrition and having an active cancer. Pain may be severe, occur almost daily, and be worsened by swallowing any form of food. The disruption of normal swallowing can lead to aspiration of food content, nausea, vomiting and an increased risk of pneumonia. The tumor itself may be irritable and bleed, which can either cause spitting up with blood or blood in the bowels. Compression of local structures in the esophagus occurs in advanced disease, leading to problems such as upper airway obstruction. Many people diagnosed with EGC have late-stage disease, because people usually do not have significant symptoms until the tumor is fairly large. In advanced stages, the cancer frequently spreads into the liver or lungs.

In 2021, the anti-PD-1 antibody nivolumab in combination with fluoropyrimidine- and platinum-containing chemotherapy was approved by the US FDA in first-line EGC with a 47% overall response rate ("ORR"), 7.7 month median progression free survival ("PFS"), and 13.8 month overall survival ("OS"). In addition, nivolumab in combination with chemotherapy was approved in Europe for patients with PD-L1 expression designated by a combined positive score ("CPS"), greater than or equal to 5. In the Rationale-305 study, tislelizumab, an anti-PD-1 antibody being developed by BeiGene and Novartis, in combination with chemotherapy demonstrated statistically significant benefit over chemotherapy alone in patients with CPS greater than or equal to 5, with a 50.4% ORR, 7.2 months PFS, and 17.2 months OS. Despite this progress, overall survival expectations for newly diagnosed advanced gastric cancer patients is poor at less than 2 years, and better outcomes are particularly needed for patients with low PD-L1 expression.

Endometrial Cancers

Endometrial cancer is a malignancy arising in the inner lining of the uterus. In 2023, according to the American Cancer Society, 66,200 new cases of endometrial cancer will be diagnosed and 13,030 women will die from the disease. There are currently very few treatment options for these patients, typically consisting of chemotherapy, local radiation therapy, and hormonal agents, and poor treatment outcomes. Patients with endometrioid cancers have a high frequency of mutations in a protein known as beta-catenin, with alterations estimated at approximately 30% of cases according to The Cancer Genome Atlas. These β-catenin mutations are often driver mutations leading to rapid disease progression and poor outcomes. Recently, the anti-programmed cell death-1 ("PD-1"), antibody dostarlimab-gxly was granted accelerated approval by the FDA for endometrial cancer patients with microsatellite instability high ("MSI-H"), or mismatch repair deficient, ("dMMR"), disease who had progression on or after a chemotherapy regimen. In addition, the combination of lenvatinib and pembrolizumab was approved in second line non-MSI-H or mismatch repair proficient endometrial carcinoma patients with a 30% response rate, 6.6 month median PFS, and 17.4 month median OS. However, this combination has been associated with significant toxicity with an 89% rate of grade 3 or higher treatment-emergent adverse events, including a 6% rate of fatal adverse events.

Colorectal Cancer

Colorectal cancer ("CRC"), is the third most frequent cancer globally and the second leading cause of death. According to the WHO, there were nearly 2 million new cases of CRC in 2020, with nearly 1 million deaths. CRC includes colon cancer (57.5%), rectal cancers (35%), and anal cancer (2.5%). When the symptoms of CRC appear, such as rectal bleeding, anemia, or abdominal pain, most patients are already in the advanced stage where cancers are aggressive, malignant, and metastatic. Mutations in the pathways modulated by DKK1, such as APC, and activation of the Wnt pathway are highly prevalent in CRC patients. For patients who have non-MSI-H colorectal cancer, and who do not have a specific mutation that can be targeted with approved therapies, outcomes are extremely poor for patients who have progressed on first-line therapy. A clinical trial of the antibody bevacizumab in combination with chemotherapy generated a response rate of approximately 5% and PFS of 5.7 months.

Our Approach

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

- altering cell signaling pathways that promote tumor growth and spreading;
- stimulating the immune cells that could attack the tumor;
- inhibiting immune suppression that would prevent an attack on the tumor; and
- targeting cancer-specific cell surface markers to facilitate direct cancer cell killing.

Altering cell signaling. An important set of signaling pathways in cancer cells are known as the canonical and non-canonical Wnt pathways and the PI3 kinase—AKT pathway. DKK1 serves as one of the inhibitors of the canonical Wnt signaling pathway, modulates the non-canonical Wnt signaling pathways, and directly activates the PI3 kinase—AKT pathway. Changes in these pathways can lead to the expression of several cancer-causing genes and factors associated with cell growth, angiogenesis, and metastasis. We believe that a monoclonal antibody that reduces free DKK1 could shift signaling to healthier levels, thereby resulting in an anti-tumor effect as well as a local anti-angiogenic effect in the diseased tissue. These mechanisms could enhance or complement the anti-tumor mechanisms used by chemotherapies or other therapies targeted at different cell signaling pathways.

Enhancing anti-tumor immune cells. A potential way to enhance an immune response against a tumor is by activating tumor-attacking immune cells, such as natural killer cells ("NK cells") or T lymphocytes ("T cells"). This strategy is expected to overcome mechanisms that would prevent these immune cells from attacking a tumor. Preclinical data has shown that DKK1 suppresses the activity of NK cells in the tumor microenvironment and that inhibition of DKK1 can enhance NK cell activity. Our preclinical antibody, FL-302, is a bi-specific antibody designed to activate T cells in the tumor microenvironment to enhance their anti-tumor activity. Antibodies that enhance the immune system have the potential to be combined with chemotherapy or checkpoint inhibitors to generate a more robust anti-tumor immune response.

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

Targeting cancer-specific cell surface molecules. Certain types of cancer cells have cell surface markers that are distinct from those found on normal, non-cancerous cells. These cell surface markers can be the targets for therapies that will selectively kill the cells bearing those markers while sparing cells that do not bear those markers. The expression of Claudin18.2 is very limited in normal tissue, as it is typically buried in the tight junction complex of gastric mucosal cells. In the development of cancer, however, cells lose their polarity and structure. As a result, Claudin18.2 may be exposed and accessible as a target for cancer therapy and is highly expressed on gastric cancer and pancreatic cancer cells. Our antibodies FL-301 and FL-302 work to selectively target and kill those cancer cells which bear Claudin18.2 while sparing normal cells.

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

Our Product and Clinical Studies

DKN-01

DKK1 is a cell secreted protein that research has found plays a crucial role in embryonic development. DKK1 binds to specific cell surface receptors and affects the signaling of key cellular pathways, known as the canonical and non-canonical Wnt signaling pathways. DKK1 serves as one of the inhibitors of the canonical Wnt signaling pathway and modulates the non-canonical Wnt signaling pathways. DKK1 is also a modulator of CKAP4/PI3K/AKT signaling. Changes in these pathways can lead to the expression of several cancer causing genes and factors associated with cell growth, angiogenesis, and metastasis. DKK1 also has a role in suppressing the immune system from effectively targeting and clearing the cancer.

Published data, including from TCGA and real world evidence from our collaboration with Tempus, indicate that DKK1 expression levels are significantly higher or have an important high DKK1 population in many cancers, including EGC, non-small cell lung cancer ("NSCLC"), endometrial cancer, CRC, and prostate cancer. In addition, elevated DKK1 expression is associated with worse overall survival or time to treatment discontinuation for patients with EGC, NSCLC, endometrial cancer, CRC, prostate cancer, and other cancers. Researchers have shown that when the DKK1 protein is added in certain animal models, the cancer grows larger.

Publications have also demonstrated a role for DKK1 in maintaining an environment around a tumor that suppresses the immune system's ability to clear the tumor and to prevent metastasis. DKK1 has been shown to activate the suppressive effects of myeloid-derived suppressor cells ("MDSC"), a type of white blood cell that can potently block other immune system cells. Other published data has shown that metastatic tumor cells with stem cell-like features avoid the immune system by overexpressing DKK1 and secreting it out of the cell. Secreted DKK1 can then down-regulate certain molecules on tumor cells known as natural killer cell activating ligands ("NK cell ligands"), that would activate the immune system, causing these cancer cells to remain invisible to NK cells and evade the immune system. We have also identified DKK1 as being involved with the activity of T regulatory cells that can suppress anti-tumor T cells. Through these multiple activities, research has shown that DKK1 helps protect the cancer cells from being targeted by the immune system.

Preclinical studies that we and others have conducted demonstrated that using an anti-DKK1 antibody can lead to clinical benefits in xenograft cancer models. The anti-DKK1 antibody is believed to shift cell signaling in multiple cell types, thereby resulting in an

anti-tumor immune effect. In these models, researchers demonstrated that an anti-DKK1 antibody allowed the immune system to recognize and attack the cancer cells. We believe that the more selective and local the activity is to the tumor, the more likely a drug will be safe and well tolerated and a potential combination partner to other anti-cancer drugs. Further, our preclinical and clinical data suggests that DKN-01 upregulates PD-L1, suggestive of synergy in combination with an anti-PD-1/PD-L1 therapy.

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

The FDA granted orphan drug designation to DKN-01 for the treatment of gastric and gastroesophageal junction cancer. In addition, on September 24, 2020, the FDA granted Fast Track designation to DKN-01 in combination with BeiGene's tislelizumab for the treatment of patients with gastric and gastroesophageal junction adenocarcinoma whose tumors express high DKK1, following disease progression on or after prior fluoropyrimidine- and platinum- containing chemotherapy and if appropriate, human epidermal receptor growth factor (HER2)/neu-targeted therapy.

We are developing DKN-01 in clinical trials in three different indications: gastric cancer, endometrial cancer, and colorectal cancer.

Gastric Cancer

DisTinGuish Study

In collaboration with BeiGene, we are conducting P205, the DisTinGuish study, a three-part Phase 2 study of DKN-01 in combination with tislelizumab in patients with inoperable, locally advanced, gastric and gastroesophageal junction adenocarcinoma ("GEA"). Part A enrolled 25 patients with first-line, HER2-negative gastric cancer who received DKN-01 in combination with tislelizumab and oxaliplatin and chemotherapy, also known as standard of care ("SOC") chemotherapy. Part B enrolled 52 patients with second-line, DKK1-high gastric cancer who received DKN-01 in combination with tislelizumab. Part C is enrolling approximately 160 first-line, HER2-negative patients. Patients will be randomized 1:1 to evaluate DKN-01 in combination with tislelizumab and SOC chemotherapy, compared to tislelizumab and SOC chemotherapy. The primary objective is progression-free survival ("PFS") in DKK1-high patients. Secondary objectives of Part C include PFS in all patients regardless of DKK1 expression, as well as overall survival and objective response rate as measured by RECIST v1.1 in DKK1-high and all patients.

Part A — First Line Combination with tislelizumab, capecitabine and oxaliplatin

Twenty-five first-line GEA patients were treated with DKN-01 in combination with tislelizumab, capecitabine and oxaliplatin (CAPOX) in Part A. DKN-01 and tislelizumab plus CAPOX was well tolerated in first-line treatment for advanced GEA patients, with a safety profile consistent with previous reports for each of the therapies. The most common DKN-01-related adverse events (AEs), were low grade (Grade 1 or 2): fatigue, nausea, diarrhea, neutrophil count decrease, and platelet count decrease.

As of July 31, 2022, the data cut-off date for our presentation at the European Society for Medical Oncology (ESMO) 2022 Annual Congress, the ORR among the 22 patients who received a full cycle of DKN-01 therapy was 68%, including 1 complete response ("CR"), and 14 partial responses ("PRs"). The DKK1-high patient subgroup had a 90% response rate, with 9 PRs and 1 patient non-evaluable, while the DKK1-low subgroup had a 56% response rate, with 1 CR, 4 PRs and 4 patients with a best response of stable disease ("SD"). The median PFS was 11.3 months for the overall population, with the DKK1-high subgroup experiencing 11.3 months PFS and the DKK1-low subgroup experiencing 12.0 months PFS. The median duration of response ("DoR") in DKK1-high patients was 10.7 months and 7.9 months in DKK1-low patients. OS was not yet mature.

Patients with low PD-L1 expression, defined as having a vCPS (visually-estimated Combined Positive Score, also known as Tumor Area Positivity (TAP) score - Ventana Medical Systems) of less than 5, had a response rate of 79% while patients with high PD-L1 expression, defined as having a vCPS of greater than or equal to 5, had a response rate of 67%. All 6 of the patients who were DKK1-high and PD-L1-low had responses. The median PFS was 11.6 months for the PD-L1-high subgroup and the PD-L1-low subgroup experiencing 10.7 months PFS. OS was not yet mature.

Part B — Second Line DKK1-high patients tislelizumab combination

Fifty-two second-line, DKK1-high GEA patients were treated with DKN-01 in combination with tislelizumab in Part B. The combination of DKN-01 and tislelizumab has been well tolerated with manageable toxicity across both the 300 mg and 600 mg doses

of DKN-01. The higher DKN-01 dose at 600mg was not associated with higher frequency of AEs. The most common DKN-01-related AEs were low grade (Grade 1 and 2): fatigue and nausea. There were no Grade 5 treatment-emergent AEs (TEAE) and no TEAEs leading to study drug discontinuation or dose reduction.

As of August 31, 2022, the data cut-off date for our presentation at the Society for Immunotherapy in Cancer ("SITC"), Annual Meeting, the ORR for evaluable anti-PD-1/PD-L1 antibody naïve patients was 27%, median PFS was 1.4 months, and median OS was 7.7 months. In the dual biomarker-high (DKK1-high/PD-L1 high with vCPS > 10) patients, the ORR was 55% ORR (n=12: 6 PR, 2 SD, 3 PD, 1 NE), median PFS was 7.7 months, with median OS having not been reached. In DKK1-high/PD-L1 negative patients, the ORR was 27% (n=11: 3 PR, 1 SD, 7 PD), median PFS was 1.4 months, and median OS was 3.9 months. In DKK1-high/PD-L1 medium patients with vCPS between 1 and 10, the ORR was 8% (n=18: 1 PR, 3 SD, 9 PD (irPR), 5 NE), median PFS was 1.4 months PFS, and median OS was 5.2 months.

Part C — First Line Randomized Controlled Trial combination with tislelizumab and SOC chemotherapy

Part C of the DisTinGuish study will enroll approximately 160 first-line, HER2-negative GEA patients. Patients will be randomized to receive either DKN-01 in combination with tislelizumab and SOC chemotherapy or to receive tislelizumab and SOC chemotherapy. The primary objective is to determine the effect of adding DKN-01 on the endpoint of median PFS in DKK1-high patients. Secondary objectives of Part C include PFS in all patients regardless of DKK1 expression, as well as OS and ORR as measured by RECIST v1.1 in DKK1-high and all patients.

Enrollment began in October 2022. We currently anticipate completion of enrollment of the 160 patient study late this year, with initial response rate data being available year end 2023/early 2024 and PFS data in 2024.

WAKING Study – Investigator-Sponsored Trial in Second and Third Line Patients Combination with Tecentriq

The Royal Marsden Hospital in the United Kingdom is conducting the WAKING study that is evaluating DKN-01 in combination with Roche's Tecentriq® (atezolizumab) in patients with microsatellite stable esophagogastric cancer. Roche is providing atezolizumab drug supply and funding the study as part of its imCORE network.

In a presentation at the ESMO 2022 Annual Congress, DKN-01 at 300 mg or 600mg every 2 weeks in combination with atezolizumab was considered safe. No dose-limiting toxicity was observed, and no formal maximum tolerated dose was reached. No treatment-related deaths occurred, and no dose reductions were required.

As of August 16, 2022, the time of the data cut off, 18 patients were enrolled in the study, and 12 patients that were treated in the initial phase were presented. Ten patients were response evaluable at the time of data cut-off, and 1 patient had a PR and a DKK1 expression of 81% tumor-percentage score, which is a very high level of DKK1 expression. The ORR was 10%, with an additional 4 patients (40%) having SD. In the preliminary analysis, elevated baseline DKK1 expression (TPS $\geq$ 20%) may be associated with clinical response, as the 4 DKK1-high patients had an ORR of 25% (1 PR, 1 SD, 1 PD, 1 NE). Translational analyses and assessment of PD-L1 status are ongoing.

Endometrial Cancer

We conducted study P204, a Phase 2 basket study of DKN-01 as a monotherapy and in combination with paclitaxel in patients with advanced epithelial endometrioid cancer ("EEC"), epithelial ovarian cancer ("EOC"), and carcinosarcoma. The study consisted of 6 dosing groups and enrolled 111 patients. The primary objective in each independent study group was to determine the ORR. Secondary objectives were to determine additional measurements of efficacy, such as OS and PFS, and to evaluate the safety of the study treatment regimen. The study was designed to enroll at least 50% of patients whose tumors have predefined activating mutations or signaling alterations in the Wnt pathway.

Twenty-nine EEC patients, who had previously received 1 to 10 lines of therapy, enrolled in DKN-01 monotherapy. Tumoral DKK1 expression data was available for 23 patients. In the group of 8 patients with DKK1-high tumors, one patient (12.5%) has had a CR for over 4.5 years, 1 patient (12.5%) had a PR, 3 patients (37.5%) had SD, and 3 patients (37.5%) had PD, representing an ORR of 25.0% and a Disease Control Rate ("DCR"), of 62.5%. In the group of 15 patients with DKK1-low tumors, 1 patient (6.7%) had SD, 11 patients (93.3%) had PD, and 3 patients were non-evaluable. The DKK1-high patients experienced PFS of 4.3 months, compared to the DKK1-low patients who experienced PFS of 1.8 months.

In the group of 24 EEC patients treated with DKN-01 plus paclitaxel, 72% of whom had received 3 or more prior systemic therapies, DKK1-high patients had improved median PFS (5.4 months vs. 1.8 months [HR 0.34; 95% CI: 0.12, 0.97]) compared to DKK1-low patients.

One patient with carcinosarcoma treated with DKN-01 and paclitaxel experienced a CR approximately two years on therapy, while another DKK1-high patient with carcinosarcoma treated with DKN-01 and paclitaxel experienced a PR.

Investigator-Sponsored Trial in Second Line Patients Combination with Keytruda (pembrolizumab)

An investigator-initiated trial of DKN-01 in combination with pembrolizumab is being conducted at M.D. Anderson Cancer Center and the University of Alabama, Birmingham Cancer Center. The study is an open-label, Bayesian design, Phase 2 trial and will initially enroll 15 patients each into DKK1-high and DKK1-low cohorts. If the efficacy criteria is met in either or both of the 15 patient cohort(s), then the cohort(s) will be expanded by an additional 15 patients. The primary objective of the study is ORR. Secondary objectives include clinical benefit rate, PFS, OS, and DOR. Merck is providing pembrolizumab for the study.

Colorectal Cancer

We have evaluated DKN-01 in multiple preclinical CRC models as a monotherapy, in combination with chemotherapy, and in combination with an anti-PD-1 antibody. DKN-01 showed additive activity with 5-fluorouracil (5-FU) chemotherapy, which is commonly used in CRC patients, and in two CRC models that were resistant to 5-FU therapy. Treatment with DKN-01 can result in tumor regressions as a monotherapy and can overcome 5-FU-resistance to have further activity in combination with 5-FU chemotherapy. We believe that these 5-FU-resistant models are reflective of the second-line CRC population currently being recruited in the DeFianCe clinical study. In addition, DKN-01 as monotherapy or in combination with an anti-PD-1 antibody has generated tumor regressions in a CT26 syngeneic CRC model. In this model, DKN-01 treatment increased PD-L1 expression, promoted substantial tumor necrosis, which was associated with a robust immune cell infiltrate, and generated a tumor immune infiltrate that contained a substantial number of CD3+ and CD8+ cells, implying the presence of an adaptive immune response to tumor antigen.

DeFianCe Study –Second Patients Combination with bevacizumab and chemotherapy

The DeFianCe study is a Phase 2, randomized, open-label, multicenter study of DKN-01 in combination with standard of care bevacizumab and chemotherapy in patients with advanced CRC who have received one prior systemic therapy. The study is designed with an initial 20 patient cohort and is expected to expand into a 130-patient randomized controlled trial against bevacizumab and standard of care chemotherapy. The primary objective is PFS. Secondary objectives include ORR, DoR and OS.

We expect to complete enrollment in Part A of the DeFianCe study in the coming weeks and have initial data from Part A in the middle of 2023.

FL-301

The cell surface molecule Claudin18.2 regulates barrier properties and contributes to cell-to-cell adhesion. It is a key component of the tight junction for cell polarity and sealing the spaces between adjacent cells. In normal tissue, expression of Claudin18.2 is very limited and largely inaccessible, as it is typically buried in the tight junction complex of gastric mucosal cells. In the development of cancer, however, cells lose their polarity and structure. As a result, Claudin18.2 may become exposed during tumorigenesis and accessible as a target for cancer therapy. Claudin18.2 is highly expressed on gastric cancer and pancreatic cancer cells and can also be present in esophageal, lung, and ovarian cancers. The expression pattern makes Claudin18.2 a highly selective biomarker for targeted cancer therapies.

Claudin18.2 is a validated target for cancer therapy, as randomized clinical trials from Astellas of their chimeric anti-Claudin18.2 antibody zolbetuximab have shown a survival benefit in combination with chemotherapy in first-line gastric cancer patients whose tumors express high (75% or greater) and intense levels of Claudin18.2. However, since Claudin18.2 expression in tumors is heterogenous, expansion to patients with lower expression and improved efficacy in patients with higher expression would benefit from an antibody with higher affinity and improved killing activity.

FL-301 is a fully human monoclonal antibody that binds to and blocks Claudin18.2. In nonclinical models presented at the American Association for Cancer Research (“AACR”) 2020 Annual Meeting, FL-301 was shown to have 10-20x higher affinity to Claudin18.2 than zolbetuximab and specificity to both gastric and pancreatic tumors. Through Fc engineering, FL-301 has been

designed with enhanced antibody dependent cellular cytotoxicity, complement dependent cytotoxicity, and antibody dependent cellular phagocytosis, which are three mechanisms that can lead to improved cancer cell killing and greater potency relative to zolbetuximab in nonclinical models.

The U.S. Food and Drug Administration has granted orphan drug designation to FL-301 for the treatment of gastric and gastroesophageal junction cancer and for the treatment of pancreatic cancer.

FL-301 is being developed through an exclusive license from NovaRock Biotherapeutics for territories excluding China and is currently in a Phase 1 clinical trial in cancer patients in China. We expect to have initial clinical data to present later this year or early next year and intend to use this data to initiate clinically relevant combination studies in biomarker-selected cancer patients at appropriate dose levels.

FL-302

FL-302 is a Claudin18.2/CD137 (also known as 4-1BB) bispecific antibody that is in preclinical development. A bispecific antibody contains binding sites directed to two different targets or two different locations on one target. CD137 (4-1BB) is an activating receptor found on T cells. FL-302 is able to bind simultaneously both Claudin18.2 on tumor cells and CD137 on T cells and enhance the anti-tumor activity of T cells in the tumor microenvironment. We believe that there is an opportunity to improve the activity of Claudin18.2 targeting antibodies through bispecific binding to T cell activation markers and generate additional synergy when used in combination with other immunotherapies, including immune checkpoint inhibitors and potentially DKN-01.

FL-302 is being developed through an exclusive license from NovaRock Biotherapeutics for territories excluding China.

FL-501

FL-501 is a monoclonal antibody in preclinical development that targets growth and differentiation factor 15 (GDF15), which is a cytokine that is produced at elevated levels in response to various stresses, including chronic inflammation, obesity, cardiovascular diseases, cancers, and chemotherapy treatment. High GDF15 expression is associated with cachexia including loss of appetite, nausea and weight loss, and is also a validated target with a successful randomized clinical trial from Pfizer. We are particularly interested in the role of GDF15 in promoting an immunosuppressive tumor micro-environment, much like DKK1, and the broad range of cancers including gastric, colorectal, pancreatic and prostate, where elevated GDF15 also correlates with poor prognosis.

FL-501 is being developed through the collaboration agreement with Adimab.

Intellectual Property

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

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

Our ability to prevent third parties from making, using, selling, offering to sell or importing competing products to ours, including a competitor to DKN-01 or FL-301, depends on the validity, enforceability and/or scope of our patents. We have several patents and patent applications relating to DKN-01 and its therapeutic uses, and possess substantial know- how relating to the development and commercialization of DKN-01. We are the licensee of patents and patent applications relating to DKN-01 and FL-301. We cannot be sure that any of our pending patent applications or future patent filings will lead to the issuance of new patents, nor can we be sure that any of our existing patents or any patents that may be granted to us in the future will be adequate to protect our market.

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

Patents

We exclusively license from Eli Lilly and Company ("Lilly"), rights under 25 issued patents and 2 pending patent applications, all of which belong to the same patent family. The patents and applications in this patent family are directed to the composition of matter and use of DKN-01, and include (i) one issued U.S. Patent, (ii) issued patents in the following jurisdictions: Argentina, Australia, Canada, China, Eurasia, Europe, Gulf Cooperation Council, India, Israel, Japan, Lebanon, Macao, Mexico, New Zealand, Pakistan, Singapore, South Africa, Taiwan, Ukraine, Hong Kong and South Korea and (iii) pending applications in the following jurisdictions: Venezuela and Thailand. The base 20-year term for patents in this family would expire in 2030. The U.S. patent will expire 87 days after the base term due to patent term adjustment. Patent term extensions for delays in marketing approval may also extend the terms of patents in this family.

We own one issued U.S. Patent and pending applications directed to the use of a biomarker in patients receiving DKN-01 therapy in the following jurisdictions: Australia, Brazil, Canada, China, Europe, Hong Kong, India, Israel, Japan, Korea, Mexico, New Zealand, Russia, Singapore and the United States. The issued U.S. Patent and any additional patents that may issue in the United States based on the pending U.S. Application will expire in 2037, absent any terminal disclaimer, patent term adjustment due to administrative delays by the United States Patent and Trade Office ("USPTO") or patent term extension under the Drug Price Competition and Patent Term Restoration Act of 1984, referred to as the Hatch-Waxman Act or Hatch-Waxman Amendment, and provided that all required maintenance fee payments are timely paid. Any patents that may issue in foreign jurisdictions will likewise expire in 2037, provided that all required annuities are timely paid.

We also own two additional patent families both directed to the treatment of cancer using DKN-01 in specific subpopulations of patients. In the first patent family, the patient subpopulation is defined by its DKK-1 expression level. Applications are pending in the following jurisdictions: the United States of America, China, Japan, South Korea, Australia, New Zealand, Canada, Hong Kong, Mexico, Brazil, Israel, India, Europe and Singapore. In the second patent family, the patient subpopulation is defined as harboring a specific genetic mutation. Applications are pending in the following jurisdictions: the United States of America, China, Japan, South Korea, Australia, New Zealand, Canada, Mexico, Brazil, Israel, Europe and Singapore.

Any patents that may issue in the United States based on the applications in these two patent families will expire in 2040, absent any terminal disclaimers, patent term adjustment due to administrative delays at the USPTO or patent term extension under the Hatch-Waxman Act, and provided that all required maintenance fee payments are timely paid. Any patents that may issue in foreign jurisdictions will likewise expire in 2040, provided that all required annuities are timely paid.

We also own one U.S. Provisional patent application directed to treatment of colorectal cancer using combination therapy comprising DKN-01 and additional therapeutic agents. If non-Provisional patent applications claiming the benefit of the pending U.S. Provisional patent application referenced above are filed in 2023, any patent that may issue from such applications will expire no earlier than 2043 absent any terminal disclaimer. Any patents issued in foreign jurisdictions will likewise expire in 2043.

We jointly own with BeiGene a pending international application filed under the PCT directed to the combination of DKN-01 and tislelizumab. Any patents that may be issued in the United States based on the pending application will expire in 2042, absent any terminal disclaimers, patent term adjustment due to administrative delays at the USPTO or patent term extension under the Hatch-Waxman Act, and provided that all required maintenance fee payments are timely paid. Any patents that may issue in foreign jurisdictions will likewise expire in 2042, provided that all required annuities are timely paid.

In addition, we exclusively license from NovaRock Biotherapeutics, Ltd. ("NovaRock"), the rights under one issued patent and pending patent applications, all of which belong to the same patent family. The patents and applications in this patent family are directed to the composition of matter and use of FL-301, and include (i) one issued U.S. Patent, and (ii) pending applications in the following jurisdictions: :Australia, Canada, Eurasia, Europe, Japan, South Korea, Singapore, and the United States of America . The granted US patent and any additional patents that may issue in the United States based on the pending U.S. Application will expire in 2040, absent any terminal disclaimer, patent term adjustment due to administrative delays by the USPTO or patent term extension under the Drug Price Competition and Patent Term Restoration Act of 1984, referred to as the Hatch-Waxman Act or Hatch-Waxman Amendment, and provided that all required maintenance fee payments are timely paid. Any patents that may issue in foreign jurisdictions will likewise expire in 2040, provided that all required annuities are timely paid.

Patent Term

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

The term of a U.S. patent may be eligible for patent term extension under the Hatch-Waxman Act, to account for at least some of the time a product is under development and regulatory review after the patent is granted. With regard to a product for which FDA approval is the first permitted marketing of the active ingredient, the Hatch-Waxman Act allows for extension of protection of one U.S. patent that includes at least one claim covering the composition of matter of an FDA-approved product, an FDA-approved method of treatment using the product, and/or a method of manufacturing the FDA-approved product. The extended protection cannot exceed the shorter of five years beyond the non-extended expiration of the patent or fourteen years from the date of the FDA approval of the product. Some foreign jurisdictions, including Europe, have patent extension provisions (e.g., supplementary protection certificates), which allow for extension of the protection of a patent that covers a drug approved by the applicable foreign regulatory agency. In the future, if and when DKN-01 or any of our other products receives FDA approval, we expect to apply for patent term extension to extend the protection of one of our U.S. patents covering the product, its use, or a method of manufacturing this product. We also may pursue extensions in foreign jurisdictions where applicable.

Lilly License Agreement

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

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

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

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

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

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

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

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

Lonza License Agreement

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

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

NovaRock License Agreement

On August 13, 2021, we entered into a strategic partnership and license agreement with NovaRock Biopharmaceuticals, Inc. (the "NovaRock Agreement"), pursuant to which NovaRock granted us a world-wide, excluding the People's Republic of China, Hong Kong, Macau, and Taiwan, exclusive license for certain intellectual property rights relating to FL-301 and FL-302. Such license includes a right to sublicense. Pursuant to the NovaRock Agreement, we agreed to pay NovaRock milestones upon the completion of development, regulatory and sales milestones for up to three different products (FL-301, FL-302 and potentially one additional target), along with a royalty in the mid-single digits of net sales of each product in the territory during the applicable royalty term, with certain adjustments to be made to the royalty rate in connection with the lack of coverage by a valid claim in the NovaRock patents, sales of biosimilar products, and third party intellectual property licenses. We have not yet paid any royalties to NovaRock pursuant to this agreement.

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

The term of the NovaRock Agreement began on August 13, 2021, and, unless earlier terminated pursuant to the termination provisions described below, will continue on a product-by-product and country-by-country basis until we have no remaining royalty or other payment obligations in a specific country. Upon expiration in a given country, the licenses granted with respect to such country shall become fully paid up, perpetual and irrevocable.

We may terminate the NovaRock Agreement on a product-by-product basis (i) at any time without cause upon ninety (90) days written notice to NovaRock or (ii) upon material breach of the NovaRock Agreement by NovaRock upon sixty (60) days written

notice to NovaRock, unless NovaRock cures such breach or violation during such sixty (60) day period, which shall be shortened to a thirty (30) day cure period for breaches of payment obligations. NovaRock may terminate the agreement on a product-by-product basis upon our material breach of the NovaRock Agreement upon sixty (60) days written notice to us, unless we cure such breach or violation during such sixty-day period, which shall be shortened to a thirty (30) day cure period for breaches of payment obligations. Either party may terminate the NovaRock Agreement with immediate effect if the other party enters into bankruptcy or takes similar action.

In the event of termination of the NovaRock by either party, all rights under the licensed intellectual property rights will terminate and immediately and automatically revert to NovaRock.

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

Adimab Collaboration Agreement

On August 10, 2020, we entered into a collaboration agreement with Adimab, LLC (the "Adimab Agreement"), pursuant to which Adimab will conduct research programs to develop monoclonal antibodies to certain targets identified by us and provide us with an option to acquire exclusive rights to such antibodies. Upon payment of an option fee, on a product-by-product basis, Adimab will grant us a world-wide, exclusive license for, or assign ownership to us of, certain intellectual property rights and grant us a non-exclusive license with respect to the Adimab platform technology. Each such license includes a right to sublicense. Pursuant to the Adimab Agreement, after exercising an option and making the option payment, we agreed to pay Adimab milestones upon the completion of clinical development and regulatory milestones, along with a royalty in the low-single digits of net sales of each product during the applicable royalty term, with certain adjustments to be made to the royalty rate in connection with third person intellectual property or a challenge to the royalty term. FL-501 was discovered under the Adimab Agreement and is in the evaluation phase. We have not yet paid any option payments or royalties to Adimab pursuant to this agreement.

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

The term of the Adimab Agreement began on August 10, 2020, and, shall, unless earlier terminated pursuant to the termination provisions described below, expire (a) in the event that no option payment is made by us on any program under the Adimab Agreement, the conclusion of the last-to-expire evaluation term or (b) in the event that an option is exercised, on a country-by-country basis until we have no remaining royalty payment obligations in a specific country. Upon expiration in a given country, the licenses granted with respect to such country shall become fully paid up, perpetual and irrevocable.

Either we or Adimab may terminate the Adimab Agreement for the material breach of this Agreement by the other Party, if such breach remains uncured ninety (90) days following notice. If the Adimab Agreement expires or terminates (other than following an option exercise after all applicable royalties have been paid), we shall not research, develop or commercialize any Adimab-related product except as if it were part of the Adimab Agreement, and we shall not grant any right or options to any third party regarding any Adimab-related product. If we have entered into any sublicense and the Adimab Agreement is terminated, then such sublicenses will survive the termination of the Adimab Agreement and become direct licenses with Adimab.

If Adimab terminates the Adimab Agreement for our uncured material breach, then we shall assign to Adimab all right, title and interest in and to the intellectual property and all data with respect to Adimab-related products, transfer cell lines and manufacturing information to Adimab, transfer all filings with regulatory authorities, and Adimab shall pay us a royalty in low single digits.

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

Competition

The biotechnology and pharmaceutical industries are characterized by continuing technological advancement and significant competition. While we believe that our product candidates, technology, knowledge, experience and scientific resources provide us with competitive advantages, we face competition from major pharmaceutical and biotechnology companies, academic institutions,

governmental agencies and public and private research institutions, among others. Any product candidates that we successfully develop and commercialize will compete with existing therapies and new therapies that may become available in the future. Key product features that would affect our ability to effectively compete with other therapeutics include the efficacy, safety and convenience of our products and the ease of use and effectiveness of any companion diagnostics. The level of generic competition and the availability of reimbursement from government and other third-party payors will also significantly affect the pricing and competitiveness of our products. Our competitors also may obtain FDA or other regulatory approval for their products more rapidly than we may obtain approval for ours, which could result in our competitors establishing a strong market position before we are able to enter the market.

Many of the companies against which we may compete have significantly greater financial resources and expertise in research and development, manufacturing, preclinical testing, conducting clinical trials, obtaining regulatory approvals and marketing approved products than we do. Smaller or early-stage companies may also prove to be significant competitors, particularly through collaborative arrangements with large and established companies. For example, Novartis, Merck, Amgen, and Pfizer are all currently developing or have previously been developing anti-DKK1 monoclonal antibodies. In addition, Astellas, Zai Labs, Amgen, Transcenta, and Elevation Oncology, among other companies, are all currently developing or have developed antibodies targeting Claudin18.2. These competitors also compete with us in recruiting and retaining qualified scientific and management personnel and establishing clinical trial sites and patient registration for clinical trials, as well as in acquiring technologies complementary to, or necessary for, our programs.

Manufacturing and Distribution

We do not have, and we do not currently plan to acquire or develop, the facilities or capabilities to manufacture clinical trial material for use in human clinical trials or finished drug product for commercialization. We depend on third-party contract manufacturers ("CMOs"), for the production of clinical trial material for our studies. Our DKN-01 bulk drug substance ("DS"), is produced at our CMO, ThermoFisher Scientific, which is required to comply with the FDA's Current Good Manufacturing Practice ("cGMP") regulations. Our finished drug product is produced at a contract fill/finisher provider, which is also required to comply with cGMP regulations. Our FL-301 clinical trial material was manufactured at WuXi Biologics, a global CMO. We have personnel with significant technical, manufacturing, analytical, quality and project management experience to oversee our third-party CMOs and to manage manufacturing and quality data and information for regulatory compliance purposes.

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

We have not yet established a sales, marketing or product distribution infrastructure because our lead candidates are still in clinical development. We eventually may, however, choose to build (or obtain through strategic acquisition) our own sales and marketing team to commercialize some or all of our products if they receive FDA approval and if it is in our long -term interests. We may choose to enter into distribution agreements with strategic partners with their own robust distribution channels for the United States, Europe, Japan, and other territories.

Government Regulation and Product Approval

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

regulations, require the expenditure of substantial time and financial resources. The following is a summary of the primary government regulations applicable to our business.

FDA Regulation

In the United States, the FDA regulates biologics under the Federal Food, Drug, and Cosmetic Act ("FDCA"), the Public Health Services Act ("PHSA"), and their implementing regulations. Any product we may develop must be cleared by the FDA before it is marketed in the United States. The process required by the FDA before product candidates may be marketed in the United States generally involves the following:

- completion of preclinical laboratory tests, animal studies, and formulation studies in compliance with the FDA's Good Laboratory Practice ("GLP"), regulations;
- submission to the FDA of an Investigational New Drug application ("IND"), which must become effective before human clinical trials may begin;
- approval by an Institutional Review Board ("IRB"), for each clinical site, or centrally, before each trial may be initiated;
- adequate and well-controlled human clinical trials to establish the safety and efficacy of the proposed product candidates for its intended use, performed in accordance with GCPs;
- development of manufacturing processes to ensure the product candidate's identity, strength, quality, and purity;
- submission to the FDA of a Biologics License Application ("BLA");
- satisfactory completion of an FDA advisory committee review, if applicable;
- satisfactory completion of an FDA inspection of the manufacturing facility or facilities at which the products are produced to assess compliance with cGMPs, and to assure that the facilities, methods, and controls are adequate to preserve the therapeutic's identity, strength, quality, and purity, as well as satisfactory completion of an FDA inspection of selected clinical sites and selected clinical investigators to determine GCP compliance; and
- FDA review and approval of the BLA to permit commercial marketing for particular indications for use.

Preclinical Studies and IND Submission

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

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

Clinical Trials

Clinical trials involve the administration of the investigational product to human subjects under the supervision of qualified investigators in accordance with federal regulations and GCP requirements, which include the requirements that all research subjects

provide their informed consent in writing for their participation in any clinical trial, as well as review and approval of the study by an IRB. Investigators must also provide certain information to the clinical trial sponsors to allow the sponsors to make certain financial disclosures to the FDA. Clinical trials are conducted under protocols detailing, among other things, the objectives of the trial, the trial procedures, the parameters to be used in monitoring safety, the effectiveness criteria to be evaluated, and a statistical analysis plan. A protocol for each clinical trial, and any subsequent protocol amendments, must be submitted to the FDA as part of the IND. In addition, an IRB at each study site participating in the clinical trial or a central IRB must review and approve the plan for any clinical trial, informed consent forms, and communications to study subjects before a study commences at that site. An IRB considers, among other things, whether the risks to individuals participating in the trials are minimized and are reasonable in relation to anticipated benefits and whether the planned human subject protections are adequate. The IRB must continue to oversee the clinical trial while it is being conducted. Once an IND is in effect, each new clinical protocol and any amendments to the protocol must be submitted to the IND for FDA review, and to the IRB for approval. Progress reports detailing the results of the clinical trials must also be submitted at least annually to the FDA and the IRB and more frequently if serious adverse events or other significant safety information is found.

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

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

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

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

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

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

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

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

The FDA may also require, or companies may conduct, additional clinical trials for the same indication after a product is approved. These so-called Phase 4 studies may be made a condition to be satisfied after approval. The results of Phase 4 studies can confirm the effectiveness of a product candidate and can provide important safety information.

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

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

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

BLA Submission, Review by the FDA, and Marketing Approval

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

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

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

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

subject to review before the FDA accepts it for filing. Once the submission is accepted for filing, the FDA begins an in-depth substantive review.

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

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

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

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

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

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

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

Biosimilars, Orphan Drugs, and Exclusivity

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

A reference biologic is granted 12 years of exclusivity from the time of first licensure of the reference product, and no application for a biosimilar can be submitted for four years from the date of licensure of the reference product. However, certain changes and supplements to an approved BLA, and subsequent applications filed by the same sponsor, manufacturer, licensor, predecessor in interest, or other related entity do not qualify for the twelve-year exclusivity period.

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

Special FDA Expedited Review and Approval Programs

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

To be eligible for a Fast Track designation, the FDA must determine, based on the request of a sponsor, that a product is intended to treat a serious or life-threatening disease or condition and demonstrates the potential to address an unmet medical need. The FDA will determine that a product will fill an unmet medical need if the product will provide a therapy where none exists or provide a therapy that may be potentially superior to existing therapies based on efficacy, safety, or public health factors. If Fast Track designation is obtained, sponsors may be eligible for more frequent development meetings and correspondence with the FDA. In addition, the FDA may initiate reviews of certain sections of an application before the application is complete. This "rolling review" is available if the applicant provides and the FDA approves a schedule for the remaining information. In some cases, a Fast Track product may be eligible for accelerated approval or priority review. On September 24, 2020, the FDA granted Fast Track designation to DKN-01 for the treatment of patients with gastric and gastroesophageal junction adenocarcinoma whose tumors express high DKK1, following disease progression on or after prior fluoropyrimidine- and platinum- containing chemotherapy and if appropriate, human epidermal receptor growth factor (HER2)/neu targeted therapy.

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

Drug or biological products studied for their safety and effectiveness in treating serious or life-threatening illnesses and that provide meaningful therapeutic benefit over existing treatments may receive accelerated approval, which means the FDA may approve the product based upon a surrogate endpoint that is reasonably likely to predict clinical benefit, or on a clinical endpoint that can be measured earlier than irreversible morbidity or mortality, that is reasonably likely to predict an effect on irreversible morbidity or mortality or other clinical benefit, taking into account the severity, rarity, or prevalence of the condition and the availability or lack of alternative treatments. A drug or biologic candidate approved on this basis is subject to rigorous post-marketing compliance requirements, including the completion of Phase 4 or post-approval clinical trials to confirm the effect on the clinical endpoint. Failure to conduct required post-approval studies, or confirm a clinical benefit during post-marketing studies, will allow the FDA to withdraw the drug or biologic from the market on an expedited basis. All promotional materials for drug or biologic candidates approved under accelerated regulations are subject to prior review by the FDA.

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

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

Post-approval Requirements

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

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

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

Moreover, the enacted Drug Quality and Security Act ("DQSA") imposes obligations on manufacturers of biopharmaceutical products related to product tracking and tracing. Among the requirements of this legislation, manufacturers are required to provide certain information regarding the products to individuals and entities to which product ownership is transferred, will be required to label products with a product identifier, and are required to keep certain records regarding the product. The transfer of information to subsequent product owners by manufacturers will eventually be required to be done electronically. Manufacturers must also verify that purchasers of the manufacturers' products are appropriately licensed. Further, under this legislation, manufacturers will have product investigation, quarantine, disposition, and notification responsibilities related to counterfeit, diverted, stolen, and intentionally adulterated products that would result in serious adverse health consequences or death to humans, as well as products that are the

subject of fraudulent transactions or which are otherwise unfit for distribution such that they would be reasonably likely to result in serious health consequences or death. Similar requirements additionally are and will be imposed through this legislation on other companies within the biopharmaceutical product supply chain, such as distributors and dispensers.

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

Other Regulation

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

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

Research and Development Expenses

Our total research and development expenses were $45.0 million and $32.2 million, during the years ended December 31, 2022 and 2021, respectively. See Part II — Item 7 — “Management’s Discussion and Analysis of Financial Condition and Results of Operations” of this Annual Report on Form 10-K for additional details regarding our research and development activities.

Employees

As of December 31, 2022, we had 44 full-time employees, including 33 in research and development and 11 in general and administrative roles. We have 3 employees who have an M.D., 12 employees who have a Ph.D., 1 employee who has a JD, and 11 employees with a master’s degree. None of our employees are represented by a labor union or subject to a collective bargaining agreement. We have not experienced a work stoppage and consider our relations with our employees to be good.

Web Availability

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

Item 1A. Risk Factors.

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

Risks Related to Leap's Financial Position and Capital Needs

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

We are a clinical-stage biopharmaceutical company with a limited operating history on which to base your investment decision. Investment in our biopharmaceutical product development is highly speculative because it entails substantial upfront capital expenditures and significant risk that our lead product candidates, DKN-01 and FL-301, or any other products will fail to gain regulatory approval or become commercially viable. We do not currently have any products approved by regulatory authorities for marketing and have not generated any revenue from product sales. We have incurred significant research, development and other expenses related to our ongoing operations.

As a result, we have not been profitable to date and have incurred losses in every reporting period since our inception in 2011. For the year ended December 31, 2022, we reported a net loss of $54.6 million, and had an accumulated deficit of $318.2 million at December 31, 2022.

We expect to continue to incur significant expenses and operating losses for the foreseeable future. We anticipate these losses to increase as we continue the research and development of, and seek regulatory approvals for DKN-01, FL-301 and our preclinical programs, and as we potentially begin to commercialize DKN-01 and FL-301, if either product receives regulatory approval. We may encounter unforeseen expenses, difficulties, complications, delays and other unknown factors that may adversely affect our business. The size of our future net losses will depend, in part, on the rate of future growth of our expenses and our ability to generate revenues. If DKN-01 or FL-301 fails in clinical trials or does not gain regulatory approval, or if approved, fails to achieve market acceptance, we may never become profitable. Even if we achieve profitability in the future, we may not be able to sustain profitability in subsequent periods.

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

We have not generated any product revenues, and we have no commercial products. Our ability to generate revenue from product sales and achieve profitability will depend upon our ability to successfully gain regulatory approval and commercialize DKN-01, FL-301, our preclinical programs, or other product candidates that we may in-license or acquire in the future. Even if we are able to successfully achieve regulatory approval, we do not know when we will generate revenue from product sales, if at all. Our ability to generate revenue from product sales from any product candidates also depends on a number of additional factors, including but not limited to, our ability to:

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

In addition, because of the numerous risks and uncertainties associated with product development, including that our product candidates may not advance through development or achieve the endpoints of applicable clinical trials, we are unable to predict the timing or amount of increased expenses, or when or if we will be able to achieve or maintain profitability.

We will require additional capital to fund our operations and if we fail to obtain necessary financing, we may be unable to complete the development and potential commercialization of DKN-01 or FL-301 or acquire other products.

Our operations have consumed substantial amounts of cash since inception. We expect to continue to spend substantial amounts to advance the clinical development of DKN-01 and FL-301 and launch and commercialize our product candidates, if we receive regulatory approval. We will require additional capital for further development and potential commercialization. If we are unable to raise capital when needed or on attractive terms, we could be forced to delay, reduce or eliminate our research and development programs or any future commercialization efforts.

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

- receipt of stockholder approval for the conversion of the Series X Non-Voting Convertible Preferred Stock (the "Series X Preferred Stock") into common stock within six months of the date of issuance of the Series X Preferred Stock;
- initiation, progress, timing, costs and results of pre-clinical studies and clinical trials for our product candidates;
- costs and timing of additional clinical trial and commercial manufacturing activities;
- clinical development plans we establish for DKN-01, FL-301 and any other future product candidates;
- preclinical development plans we establish for FL-302, FL-501 and any other future product candidates;
- number and characteristics of any new product candidates that we in-license and develop;
- outcome, timing and cost of regulatory review by the FDA and comparable foreign regulatory authorities, including the potential for the FDA or comparable foreign regulatory authorities to require that we perform more studies than those that we currently expect;
- costs of filing, prosecuting, defending and enforcing any patent claims and maintaining and enforcing other intellectual property rights;
- effect of competing product candidates and market developments; and
- costs and timing of establishing sales, marketing and distribution capabilities for any product candidates for which we may receive regulatory approval.

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

If we fail to obtain the required stockholder approval to convert the Series X Preferred Stock into common stock, we may be required to redeem the shares of Series X Preferred Stock at their as-converted fair market value.

In connection with the merger with Flame and pursuant to the Certificate of Designation of the Series X Preferred Stock, if stockholder approval for the conversion of the Series X Preferred Stock to common stock (the "Stockholder Approval") is not obtained from the holders of our common stock within six months from the date of issuance of the Series X Preferred Stock, the Company will have an obligation to settle all of the then-outstanding shares of Series X Preferred Stock for cash at fair value. There can be no assurance that the Stockholder Approval will be received. Failure to receive the Stockholder Approval within six months from the date of issuance of the Series X Preferred Stock would have a material adverse effect on our financial position, and we could

be forced to seek additional funding, which may not be available on acceptable terms or at all, or reduce or eliminate certain clinical trials, programs and operating expenses, which would adversely affect our business prospects.

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

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

Risks Related to Our Business and Industry

Our recent acquisition of Flame Biosciences may not be successfully integrated into our operations or may not achieve its desired benefits.

On January 17, 2023, we acquired Flame Biosciences, a privately-held biotechnology company, and their clinical stage program FL-301, two preclinical programs FL-302 and FL-501, and cash balance. We have no experience with external acquisitions of companies, and there can be no assurance that the merger will achieve its intended benefits in broadening our development pipeline and extending our cash runway. The combined company may fail to realize the anticipated benefits of the merger for a variety of reasons, including the following:

- failure to successfully manage relationships with strategic partners, including NovaRock and Adimab;
- failure of the FL-301 first-in-human clinical trial in China being managed by NovaRock to demonstrate safety and desired levels of activity;
- failure of manufacturing campaigns for FL-301 and our preclinical programs to supply material for preclinical testing and clinical trials;
- inability to hire additional personnel to staff the new product development programs;
- inexperience with developing bispecific monoclonal antibodies;
- competition with other pharmaceutical and biotechnology companies on similar targets; and
- inflation increasing our expected costs of preclinical and clinical development.

Unstable banking, market and economic conditions may have serious adverse consequences on our business, financial condition and share price.

On March 10, 2023, the Federal Deposit Insurance Corporation ("FDIC") issued a press release stating that Silicon Valley Bank, Santa Clara, California ("SVB") was closed by the California Department of Financial Protection and Innovation, which appointed the FDIC as receiver. We maintained several accounts at SVB including checking accounts, cash deposits accounts, and cash sweep accounts that are invested in money market funds for which another banking institution is the custodian. We also maintain similar accounts at other banks. We may, from time to time, have bank deposits in excess of FDIC insured amounts. If one or more of the banks in which we have accounts were to fail, or if the treatment of our cash sweep accounts were called into question in a bank receivership, it could have a disruptive impact on our business operations and could have a material adverse effect on our overall financial position.

The global economy, including credit and financial markets, has experienced extreme volatility and disruptions, including severely diminished liquidity and credit availability, declines in consumer confidence, declines in economic growth, increases in unemployment rates, increases in inflation rates and uncertainty about economic stability. For example, due to inflation and economic pressures, the costs of our clinical trials and other development activities have increased substantially and may continue to increase. In addition, the ongoing COVID-19 pandemic resulted in widespread unemployment, economic slowdown and extreme volatility in the capital markets. Similarly, the current conflict between Ukraine and Russia has created extreme volatility in the global capital markets and is expected to have further global economic consequences, including disruptions of the global supply chain and energy markets. Any such volatility and disruptions may have adverse consequences on us or the third parties on whom we rely. If the equity and credit markets deteriorate, including as a result of political unrest or war, it may make any necessary debt or equity financing more difficult to obtain in a timely manner or on favorable terms, more costly or more dilutive.

The ongoing outbreak of COVID-19 could have a material adverse impact on our business and operations, including on our development of our lead product candidates, DKN-01 and FL-301.

As a result of the continuing COVID-19 pandemic, we may experience disruptions that could severely affect our business, including our plans to clinically develop our clinical stage product candidates, DKN-01 and FL-301. We are continuing to monitor and assess the real and potential effects of the COVID-19 pandemic on our business, including with respect to our development of DKN-01 and FL-301. However, the ultimate extent to which COVID-19 continues to impact our business will depend upon future developments which are highly uncertain and cannot be accurately predicted at this time.

The failure of BeiGene to perform its obligations to supply tislelizumab for the DisTinGuish trial could negatively impact our business.

In March 2023, BeiGene notified us that they did not intend to exercise their option under the Exclusive Option and License Agreement for DKN-01. BeiGene committed to continue the clinical collaboration testing DKN-01 in combination with tislelizumab in patients with gastric cancer and to provide tislelizumab drug supply for the DisTinGuish trial. If BeiGene were to delay or fail to supply tislelizumab, it could have a material adverse affect on our ability to complete the study as designed and on our business as a whole.

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

The results of preclinical studies, preliminary study results, and early clinical trials of our product candidates may not be predictive of the results of later-stage clinical trials or the ultimately completed trials. For instance, while we have early clinical trial results for our clinical studies of DKN-01 in esophagogastric cancer and gynecologic cancer, additional clinical trials are still ongoing and will be needed for the registration of DKN-01. Moreover, these results may not be representative of the ultimate study population. The ultimate study results of our ongoing or future trials may be different than the ones we have seen to date. Additionally, the clinical trials conducted to date were relatively small, open -label, uncontrolled studies. Preliminary and final results from such studies may not be representative of study results that are found in larger, controlled, blinded, and longer-term studies.

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

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

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

- we may have delays in identifying and adding new investigators or clinical trial sites, we may experience delays in reaching, or fail to reach, agreement on acceptable clinical trial contracts or clinical trial protocols with prospective trial sites and our third-party clinical research organizations ("CROs") or we may experience a withdrawal of clinical trial sites;

- the number of patients required for clinical trials of our product candidates may be larger than we anticipate, enrollment may be slower than we anticipate or participants may drop out at a higher rate than we anticipate;

- clinical trials of our product candidates may produce negative or inconclusive results, or our studies may fail to reach the necessary level of statistical significance, and we may decide to conduct additional clinical trials or abandon product development programs;

- we may not be able to demonstrate that a product candidate provides an advantage over current standards of care or current or future competitive therapies in development;

- the cost of clinical trials of our product candidates may be greater than we anticipate or we may have insufficient funds for a clinical trial;

- the supply or quality of the clinical trial material of our product candidates may be insufficient or inadequate to conduct clinical trials; and

- there may be changes to the therapies which we are administering in combination with our product candidates or changes to standards of care, which require that we change our study design, or otherwise halt, discontinue or delay our clinical studies.

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

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

In addition, approval policies, regulations, or the type and amount of clinical data necessary to gain approval may change during the course of a product candidate's clinical development and may vary among jurisdictions. Any such change may require us to amend our clinical trial protocols, conduct additional studies that require regulatory or IRB approval, or otherwise cause delays in the approval or rejection of an application. We have not obtained regulatory approval for any product candidate and it is possible that none of our existing product candidates or any product candidates we may seek to develop in the future will ever obtain regulatory approval. Moreover, we have only completed single-arm, uncontrolled studies for DKN-01, and FL-301 is in its first-in-human clinical trial. Both DKN-01 and FL-301 will require additional preclinical and clinical development, as well as additional manufacturing development before we will be able to submit a marketing application to the FDA. Moreover, should the FDA determine that a companion diagnostic device is required for use of our product candidates or should we decide to pursue the development of a companion diagnostic device for the use of our product candidates, further development work would be required for such a device, including, possibly the approval of an Investigational Device Exemption for the study of such a device from the FDA, compliance with the FDA's device regulations, and either FDA clearance or approval of the device for commercial use. Such development would require additional time and expense and be subject to the risk of FDA non-approval or clearance of the diagnostic. Any delay in obtaining or failure to obtain required approvals could materially adversely affect our ability or the ability of any of our future collaborators to generate revenue from the particular product candidate, which could result in significant harm to our financial position and adversely impact our stock price.

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

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

- regulators or IRBs may not authorize us or our investigators to commence a clinical trial or to conduct a clinical trial at a prospective trial site, we may fail to reach an agreement with regulators or IRBs regarding the scope, design, or implementation of our clinical trials or regulators or IRBs may require that we modify or amend our clinical trial protocols;

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

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

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

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

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

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

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

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

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

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

Regulatory authorities have substantial discretion in the approval process and may refuse to accept any application. In addition, varying interpretations of the data obtained from preclinical and clinical testing could delay, limit or prevent marketing approval of a

product candidate. The number and types of preclinical studies and clinical trials that will be required for regulatory approval also varies depending on the product candidate, the disease or condition that the product candidate is designed to address, and the regulations applicable to any particular product candidate.

Approval policies, regulations or the type and amount of clinical data necessary to gain approval may change during the course of a product candidate's clinical development and may vary among jurisdictions, which may cause delays or limitations in the approval of or the decision not to approve an application. It is possible that neither of our clinical stage product candidates, DKN-01 and FL-301, nor any product candidates we may seek to develop in the future will ever obtain the appropriate regulatory approvals necessary for us or any future collaborators to commence product sales.

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

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

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

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

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

- the proximity and availability of clinical trial sites for prospective patients.

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

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

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

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

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

Risks Related to the Development and Commercialization of Our Product Candidates

The therapeutic safety and efficacy of DKN-01 and FL-301 are unproven, and we may not be able to successfully develop and commercialize any of our products.

Both of our clinical stage products, DKN-01 and FL-301, are novel monoclonal antibodies and their potential benefit as a therapeutic cancer drug is unproven. Our ability to generate revenues from product sales, which we do not expect will occur in the short term, if ever, will depend on successful development and commercialization after approval, if achieved, which is subject to many potential risks. DKN-01 and FL-301 may interact with human biological systems in unforeseen, ineffective or harmful ways. If our products are associated with undesirable side effects or have characteristics that are unexpected, we may need to abandon development or limit development to certain uses or subpopulations in which the undesirable side effects or other characteristics are less prevalent, less severe or more acceptable from a risk-benefit perspective. Many compounds that initially showed promise in early stage testing for treating cancer have later been found to be ineffective in later stage studies or cause side effects that prevented further development of the compound. As a result of these and other risks described herein that are inherent in the development of novel therapeutic agents, we may never successfully develop, enter into or maintain third party licensing or collaboration transactions with respect to, or successfully commercialize DKN-01 or FL-301, in which case we will not achieve profitability and the value of our stock may decline.

Our future success is heavily dependent on the success of DKN-01.

We do not have any products that have gained regulatory approval. Currently, our most advanced clinical-stage product candidate is DKN-01, and it is currently in its first randomized, controlled clinical trial. As a result, our business is substantially dependent on our ability to successfully develop, form strategic partnerships for, obtain regulatory approval for, and, if approved, to successfully commercialize DKN-01. We must demonstrate, with substantial evidence gathered in preclinical studies and well-controlled clinical trials, that the product is safe and effective for use for that target indication and that the manufacturing facilities, processes and controls are adequate. Even if DKN-01 were to successfully obtain approval from the FDA and comparable foreign regulatory authorities, any approval might contain significant limitations, such as use restrictions for specified age groups, warnings, precautions or contraindications, or may be subject to burdensome post-approval study or risk management requirements. If we are unable to obtain regulatory approval in one or more jurisdictions, or any approval contains significant limitations, we may not be able to obtain

sufficient funding or generate sufficient revenue to continue the development of any other product candidate that we may discover, in-license, develop or acquire in the future. If we are unable to successfully develop or commercialize our products, we may not be able to earn sufficient revenues or generate sufficient funding to continue our business.

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

The development and commercialization of new drug products is highly competitive, especially in the oncology space in which we operate. We face competition with respect to DKN-01 and FL-301, and will likely face competition with respect to any other product candidates that we may seek to develop in the future, from major pharmaceutical companies and biotechnology companies worldwide. There are several companies that are marketing drugs and testing product candidates in the same cancer indications as we are. Some of these competitive products and therapies are based on scientific mechanisms of action that are the same as or similar to our approaches for DKN-01 and FL-301. For example, Novartis, Merck, Pfizer, and Amgen have previously been developing anti-DKK1 monoclonal antibodies. In addition, Astellas, Zai Labs, Amgen, Transcenta, and Elevation Oncology, among other companies, are all currently developing or have developed antibodies targeting Claudin18.2.

More established companies may have a competitive advantage over us due to their greater size, cash flows, and institutional experience. Compared to us, many of our competitors may have significantly greater financial, technical, and human resources. As a result of these factors, our competitors may obtain regulatory approval of their products before we are able to, which may limit our ability to develop or commercialize DKN-01 and FL-301. Our competitors may also develop drugs that are safer, more effective, more widely used, and/or cheaper than ours, and may also be more successful than us in manufacturing and marketing their products. These advantages could render our product candidates non-competitive before we can recover the expenses of development and commercialization.

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

As part of our business strategy, we intend to pursue acquisitions of assets, including preclinical or clinical stage product candidates, or enter into strategic alliances and collaborations to expand our existing programs and operations, such as we did with the merger with Flame Biosciences. We may not realize the anticipated benefits of any such transaction, any of which could have a detrimental effect on our financial condition, results of operations and cash flows. We may not be able to consistently find suitable acquisition candidates, and we may not be able to integrate these acquisitions successfully into our existing business. Any integration of an acquired company or assets may also disrupt our ongoing operations, expose us to additional liabilities, require the hiring of additional personnel and the implementation of additional internal systems and infrastructure, and require intensive management resources.

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

Risks Related to Our Dependence on Third Parties

We rely on NovaRock to perform its obligations under the NovaRock Agreement and to complete the clinical trial for FL-301 in China

Pursuant to the terms of the NovaRock Agreement, NovaRock retained the right to develop, manufacture and commercialize FL-301 and FL-302 in the People's Republic of China, Hong Kong, Macau, and Taiwan. We expect to rely on NovaRock to manage the manufacturing of FL-301 and FL-302 at their CMO, to execute the ongoing clinical trial of FL-301 in cancer patients in China, and to participate in joint research and development activities for FL-301 and FL-302. We will have limited influence over their performance. The failure of NovaRock to successfully carry out its contractual development responsibilities could substantially harm our development of FL-301 and FL-302 and adversely affect our business.

We rely on BeiGene to supply tislelizumab for the DisTinGuish trial

As part of our collaboration with BeiGene, we rely on BeiGene to supply tislelizumab for the DisTinGuish trial and will have limited influence over their performance. The failure of BeiGene to supply tislelizumab for the DisTinGuish trial could substantially harm our ability to complete the DisTinGuish trial which could delay our DKN-01 development activities and adversely affect our business.

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

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

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

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

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

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

Our product candidates compete with other products and product candidates for access to contract manufacturing facilities. There are a limited number of CMOs that operate under cGMP regulations and that are both capable of manufacturing for us and willing to

do so. If our existing CMOs, or any new third party CMOs that we engage in the future to manufacture our product candidates for our clinical trials, should cease to continue to do so for any reason, we likely would experience delays in obtaining sufficient quantities of our product candidates for us to advance our clinical trials while we identify and qualify replacement suppliers. We may not succeed in our efforts to establish sufficient manufacturing relationships or other alternative arrangements to meet our needs for any of our existing or future product candidates. If for any reason we are unable to obtain adequate supplies of our product candidates, it will be more difficult for us to conduct clinical trials, develop our product candidates and operate our business.

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

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

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

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

While we are ultimately responsible for the manufacture of our product candidates and therapeutic substances, other than through our contractual arrangements, we have little control over our CMOs' compliance with these regulations and standards. If the FDA or a comparable foreign regulatory authority does not approve these facilities for the manufacture of our product candidates or if it withdraws any such approval in the future, we may need to find alternative manufacturing facilities, which could significantly impact our ability to develop, obtain regulatory approval for or market our product candidates, if approved. A failure to comply with these requirements may also result in regulatory enforcement actions against our CMOs or us, including fines and civil and criminal

penalties. If the safety of any quantities supplied is compromised due to our CMOs' failure to adhere to applicable laws or for other reasons, we may not be able to obtain regulatory approval for or successfully commercialize our product candidates.

Any failure or refusal to supply sufficient quantities of our product candidates could delay, prevent or impair our clinical development or commercialization efforts. Any change in our CMOs could be costly because the commercial terms of any new arrangements could be less favorable than our existing arrangements and because the expenses relating to the transfer of necessary technology and processes could be significant, as there are significant regulatory requirements which must be met prior to receiving FDA approval for the transfer of a manufacturing process for a therapeutic antibody product to a new manufacturing facility.

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

Risks Related to Legal and Compliance Matters

We are not in compliance with the Nasdaq continued listing requirements. If we are unable to comply with the continued listing requirements of the Nasdaq Global Market, our common stock could be delisted, which could affect our common stock's market price and liquidity and reduce our ability to raise capital.

On November 2, 2022, we received a letter (the "Notice") from the Nasdaq Stock Market, or Nasdaq, notifying us that, because the closing bid price for our common stock, par value $0.001 per share (the "Common Stock"), has been below $1.00 per share for the past 30 consecutive business days, it no longer complies with the minimum bid price requirement for continued listing on the Nasdaq Global Market. The Notice provides us with a compliance period of 180 calendar days, or until May 1, 2023, to regain compliance. If at any time during this 180-day compliance period the closing bid price of the Common Stock is at least $1.00 per share for a minimum of 10 consecutive business days, then Nasdaq will provide us with written confirmation of compliance and the matter will be closed. We intend to monitor the closing bid price of the Common Stock and may, if appropriate, evaluate various courses of action to regain compliance. There can be no assurance that we will regain compliance or otherwise maintain compliance with the other listing requirements.

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

As a biopharmaceutical company, we are subject to many federal and state healthcare laws in the United States and healthcare and data protection laws around the world. If we or our operations are found to be in violation of any federal or state healthcare law, global general data protection laws, or any other governmental regulations that apply to us, we may be subject to penalties, including civil, criminal, or administrative penalties, damages, fines, disgorgement, debarment from government contracts and/or refusal of orders under existing contracts, exclusion from participation in U.S. federal or state health care programs, corporate integrity agreements, or the curtailment or restructuring of our operations, any of which could materially adversely affect our ability to operate our business and our financial results. If any of the physicians or other healthcare providers or entities with whom we expect to do business, including our collaborators, is found not to be in compliance with applicable laws, they may be subject to criminal, civil or administrative sanctions, including but not limited to, exclusions from participation in government healthcare programs, which could also materially adversely affect our business.

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

Risks Related to Our Intellectual Property

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

Our commercial success will depend in large part on our ability to obtain and maintain patent and other intellectual property protection in the U.S. and other countries with respect to our proprietary technology and products. We rely on patent, trade secret,

copyright and trademark laws, and confidentiality, licensing and other agreements with employees and third parties, all of which offer only limited protection. We have sought and continue to seek to protect our proprietary position by filing and prosecuting patent applications in the U.S. and abroad related to our novel technologies and products that are important to our business.

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

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

Our pending applications cannot be enforced against third parties practicing the technology claimed in such applications unless and until a patent issues from such applications. Because the issuance of a patent is not conclusive as to its inventorship, scope, validity, or enforceability, issued patents that we own or have licensed from third parties may be challenged in the courts, administrative agencies or patent offices in the U.S. and abroad. Such challenges may result in the loss of patent protection, the narrowing of claims in such patents or the invalidity or unenforceability of such patents, which could limit our ability to stop others from using or commercializing similar or identical technology and products, or limit the duration of the patent protection for our technology and products. Protecting against the unauthorized use of our or our licensors' patented technology, trademarks and other intellectual property rights is expensive, difficult and may in some cases not be possible. In some cases, it may be difficult or impossible to detect third-party infringement or misappropriation of our intellectual property rights, even in relation to issued patent claims, and proving any such infringement may be even more difficult.

Risks Related to our Common Stock

Our share price has been low and volatile. If our share price continues to be low and volatile, we could be subject to securities class action litigation and our stockholders could incur substantial losses.

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

- the results of clinical trials or development activities of our programs, or any future programs we may acquire;
- actual or anticipated fluctuations in our financial condition and operating results;
- failure to meet or exceed financial estimates and projections of the investment community or that we provide to the public;
- issuance of new or updated research or reports by securities analysts;

- fluctuations in the valuation of companies perceived by investors to be comparable to us;
- additions or departures of key management or other personnel;
- disputes or other developments related to proprietary rights, including patents, litigation matters, and our ability to obtain patent protection for our technologies;
- announcement or expectation of additional debt or equity financing efforts;
- sales of our common stock by us, our insiders or our other stockholders; and
- general economic and market conditions.

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

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

Prior to January 1, 2023, we were an "emerging growth company," as defined in the JOBS Act, and we took advantage of certain exemptions from various reporting requirements that are applicable to other public companies that are not emerging growth companies including, but not limited to, not being required to comply with the auditor attestation requirements of Section 404 of the Sarbanes-Oxley Act, reduced disclosure obligations regarding executive compensation in our periodic reports and proxy statements, and exemptions from the requirements of holding a nonbinding advisory vote on executive compensation and stockholder approval of any golden parachute payments not previously approved. As of January 1, 2023, we are no longer an emerging growth company, however, we still continue to qualify as a "smaller reporting company" which will allow us to take advantage of scaled disclosure requirements. We cannot predict if investors will find our common stock less attractive because we will rely on these exemptions. If some investors find our common stock less attractive as a result, there may be a less active trading market for our common stock, our stock price may be more volatile and it may be difficult for us to raise additional capital as and when we need it. If we are unable to raise additional capital as and when we need it, our financial condition and results of operations may be materially and adversely affected.

Our business is subject to changing regulations for corporate governance and public disclosure that has increased both our costs and the risk of noncompliance.

Each year we are required to evaluate our internal controls systems in order to allow management to report on our internal controls as required by Section 404 of the Sarbanes-Oxley Act. As a result, we continue to incur additional expenses and expend our management's time to comply with these regulations. We currently do not have an internal audit group, and we will need to hire additional accounting and financial staff with appropriate public company experience and technical accounting knowledge. If we are not able to comply with the requirements of Section 404, or if we or our independent registered public accounting firm identify deficiencies in our internal control over financial reporting that are deemed to be material weaknesses, the market price of our common stock could decline and we could be subject to sanctions or investigations by Nasdaq, the SEC or other regulatory authorities, which would require additional financial and management resources.

Sales of a substantial number of shares of our common stock in the public market by our stockholders, particularly the former Flame shareholders, could cause our stock price to fall.

Sales of a substantial number of shares of our common stock in the public market, or the perception that these sales might occur, could depress the market price of our common stock and could impair our ability to raise capital through the sale of additional equity

securities. In the merger with Flame, we issued approximately 19,794,373 shares of our common stock and 136,833 shares of Series X Non-Voting Convertible Preferred Stock, which are convertible into approximately 136,833,000 shares of common stock upon approval by our shareholders, to the former shareholders of Flame. These were issued as unregistered securities, and we have committed to file a resale registration statement on Form S-3 to permit the resale of these shares. We are unable to predict the effect that sales may have on the prevailing market price of our common stock. Substantial sales of common stock by our stockholders, particularly those who acquired their shares through the merger with Flame, could have a material adverse effect on the trading price of our common stock.

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

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

Item 1B. Unresolved Staff Comments.

Not applicable.

Item 2. Properties.

We have leased our principal offices in Cambridge, Massachusetts covering approximately 7,667 square feet of space. In November 2018, we entered into a lease through April 30, 2022. On May 16, 2022, we entered into a Third Amendment to Lease and extended the lease through July 31, 2024.

Item 3. Legal Proceedings.

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

Item 4. Mine Safety Disclosures.

Not applicable.

PART II

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

Market Information

Our common stock, par value $0.001 per share, has been publicly traded on the Nasdaq Global Market under the symbol "LPTX" since January 24, 2017. Prior to that time, there was no market for our common stock.

Holders of Record

As of March 20, 2023, there were approximately 82 holders of record of our common stock. The actual number of stockholders is greater than this number of record holders, and includes stockholders who are beneficial owners, but whose shares are held in street name by brokers and other nominees. This number of holders of record also does not include stockholders whose shares may be held in trust by other entities.

Dividends

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

Recent Sales of Unregistered Securities

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

January 2020 Private Placement

On January 3, 2020, we entered into a Securities Purchase Agreement with investors, providing for a private placement transaction exempt from the Securities Act, pursuant to which we issued and sold 1,421,801 shares of our Series A Preferred Stock, at a purchase price of $10.54 per share, 1,137,442 shares of our Series B Preferred Stock at a purchase price of $10.55 per share, and one (1) share of our Special Voting Stock entitling the purchaser of Series A Preferred Stock to elect one member to our board of directors (the "January 2020 Private Placement").

On March 5, 2020, our stockholders approved the conversion of the Series A Preferred Stock into a pre-funded warrant to purchase 14,413,902 shares of common stock at an exercise price of $0.001 (the "March 2020 Pre-funded Warrants") and the conversion of the Series B Preferred Stock into 11,531,133 shares of common stock. Each investor also received a warrant to purchase an equal number of shares of common stock at an exercise price of $2.11 per share (the "Coverage Warrants"). The March 2020 Pre-funded Warrants and the Coverage Warrants expire on March 5, 2027 and qualify for equity classification.

The securities issued and sold in connection with the January 2020 Private Placement were offered pursuant to Section 4(a)(2) of the Securities Act and Rule 506(b) of Regulation D as promulgated by the SEC under the Securities Act. Each purchaser was either (i) an "accredited investor" as defined in Rule 501(a)(1), (a)(2), (a)(3), (a)(7) or (a)(8) under the Securities Act or (ii) a "qualified institutional buyer" as defined in Rule 144A(a) under the Securities Act and acquired the securities for investment purposes only and not with a view to, or for sale in connection with, any distribution thereof. The securities were not issued through any general solicitation or advertisement.

Acquisition of Flame Biosciences

On January 17, 2023, we acquired Flame Biosciences, Inc., a Delaware corporation ("Flame"), in accordance with the terms of an Agreement and Plan of Merger. Pursuant to the merger, we issued an aggregate of approximately 19,794,373 shares of Common Stock, and approximately 136,833 shares of Series X Non-Voting Convertible Preferred Stock to the shareholders of Flame. Subject to and upon the requisite approval of our stockholders, each share of Series X Preferred Stock shall convert into 1,000 shares of Common Stock.

The securities issued and sold in connection with the merger are exempt from registration under the Securities Act in reliance on Section 4(a)(2) thereof and Regulation D promulgated thereunder. Each Flame shareholder was either (i) an "accredited investor" as defined in Rule 501(a)(1), (a)(2), (a)(3), (a)(7) or (a)(8) under the Securities Act or (ii) a "qualified institutional buyer" as defined in Rule 144A(a) under the Securities Act and acquired the securities for investment purposes only and not with a view to, or for sale in connection with, any distribution thereof. The securities were not issued through any general solicitation or advertisement.

Purchases of Equity Securities

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

Item 6. Reserved.

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

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

Overview

We are a biopharmaceutical company developing biomarker-targeted antibody therapies designed to treat patients with cancer by inhibiting fundamental tumor-promoting pathways, targeting cancer-specific cell surface molecules, and 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 lead clinical stage program is DKN-01, a monoclonal antibody that inhibits Dickkopf-related protein 1, or DKK1. We are currently studying DKN-01 in multiple ongoing clinical trials in patients with esophagogastric cancer, gynecologic cancers, or colorectal cancer. Our second clinical stage program is FL-301, a monoclonal antibody that targets cells that express Claudin18.2 on their cell surface. We also have two preclinical antibody programs, FL-302 and FL-501.

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

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

We have incurred net losses in each year since our inception in 2011. Our net loss was $54.6 million for the year ended December 31, 2022 and $40.6 million for the year ended December 31, 2021. As of December 31, 2022, we had an accumulated deficit of approximately $318.2 million. Our net losses have resulted primarily from costs incurred in connection with our research and development programs and from general and administrative costs associated with our operations. We expect to continue to incur significant expenses and have operating losses for at least the next several years as we:

- continue the development of our product candidates, DKN-01, FL-301, FL-302 and FL-501;
- seek to obtain regulatory approvals for our product candidates;
- outsource the manufacturing of our product candidates for clinical trials and any indications for which we receive regulatory approval;
- contract with third parties for the sales, marketing and distribution of DKN-01 for any indications for which we receive regulatory approval;
- maintain, expand and protect our intellectual property portfolio;
- continue our research and development efforts;
- add operational, financial and management information systems and personnel, including personnel to support our product development efforts; and
- operate as a public company.

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

As of December 31, 2022, we had cash and cash equivalents of $65.5 million. We believe that our cash and cash equivalents as of December 31, 2022, together with the approximately $50.0 million in cash and cash equivalents acquired in the merger with Flame, will enable us to fund our operating expenses and capital expenditure requirements for at least 12 months from the filing of this Annual Report on Form 10-K. See "—Liquidity and Capital Resources."

In connection with the merger with Flame and pursuant to the Certificate of Designation of the Series X non-voting convertible preferred stock ("Series X Preferred Stock"), if stockholder approval for the conversion of the Series X Preferred Stock to common stock (the "Stockholder Approval") is not obtained from the holders of our common stock within six months from the date of issuance of the Series X Preferred Stock, the holders of the Series X Preferred Stock may require us to settle all of the then-outstanding shares of Series X Preferred Stock for cash at fair value. We fully expect the vote to pass and for the Series X Preferred Stock to convert into common stock. However, there can be no assurance that the Stockholder Approval will be received. If we fail to receive the Stockholder Approval within six months from the date of issuance of the Series X Preferred Stock and are required to settle then-outstanding shares of Series X Preferred Stock for cash at fair value, our financial position would be materially adversely affected and we would be forced to seek additional funding, which may not be available on acceptable terms or at all, or reduce or eliminate certain clinical trials, programs and operating expenses, which would adversely affect our business prospects.

Financial Overview

Research and Development Expenses

Our research and development activities have included conducting nonclinical studies and clinical trials, manufacturing development efforts and activities related to regulatory filings for our product candidates, primarily DKN-01. We recognize research and development expenses as they are incurred. Our research and development expenses consist primarily of:

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

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

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

We participate, through our subsidiary in Australia, in the Australian government's R&D Incentive program, such that a percentage of our eligible research and development expenses are reimbursed by the Australian government as a refundable tax offset and such incentives are reflected as other income. This percentage was 43.5% for both the years ended December 31, 2022 and 2021.

The table below summarizes our research and development expenses incurred by development program and the R&D incentive income for the years ended December 31, 2022 and 2021:

	Year Ended December 31,	
	2022	2021
	(in thousands)	
Direct research and development by program:		
DKN-01 program	$ 44,884	$ 32,107
TRX518 program	81	53
Total research and development expenses	$ 44,965	$ 32,160
Australian research and development incentives	$ 2,051	$ 1,226

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

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

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

General and Administrative Expenses

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

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

Interest income

Interest income consists primarily of interest income earned on cash and cash equivalents.

Research and development incentive income

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

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

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

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

Foreign currency translation adjustment

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

Income taxes

Since our inception, we have not recorded any U.S. federal or state income tax benefits for the net losses we have incurred in each year, due to our uncertainty of realizing a benefit from those items. As of December 31, 2022, we had federal, state and foreign net operating loss carryforwards of $210.5 million, $191.5 million and $0.4 million, respectively. The federal and state net operating losses begin to expire in 2030, while the foreign net operating losses carryforward indefinitely. Our federal net operating losses include $129.2 million which can also be carried forward indefinitely. We may be able to utilize our net operating loss carryforwards to reduce future federal and state income tax liabilities. However, these net operating losses are subject to various limitations under Internal Revenue Code ("IRC") Section 382, which limits the use of net operating loss carryforwards to the extent there has been an ownership change of more than 50 percentage points. In addition, the net operating loss carryforwards are subject to examination by the taxing authorities and could be adjusted or disallowed due to such exams. Although we have not undergone an IRC Section 382 Analysis, it is possible that the utilization of our net operating loss carryforwards may be limited.

As of December 31, 2022, we also had federal and state research and development tax credits of $7.9 million and $1.8 million, respectively, which begin to expire in 2030.

There is no provision for income taxes in the United States because we have historically incurred operating losses and maintain a full valuation allowance against our deferred tax assets in these jurisdictions.

Critical Accounting Policies and Significant Judgments and Estimates

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

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

Accrued Research and Development Expenses

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

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

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

Stock-Based Compensation

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

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

JOBS Act

Previously, we were an "emerging growth company", or EGC, as defined in the Jumpstart Our Business Startups Act of 2012 (the "JOBS Act"). The JOBS Act permits an "emerging growth company" 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 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 allowed 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.

We were able to take advantage of these reporting exemptions until we were no longer an emerging growth company. We were an EGC until the last day of our 2022 fiscal year, containing the fifth anniversary of the date on which shares of our common stock became publicly traded in the U.S. As of January 1, 2023, we ceased to be an EGC.

Results of Operations

Comparison of the Years Ended December 31, 2022 and 2021

The following tables summarize our results of operations for the years ended December 31, 2022 and 2021:

	Year Ended December 31,		
	2022	2021	Change
	(in thousands)		
License revenue	$ —	$ 1,500	$ (1,500)
Operating expenses:			
Research and development	44,965	32,160	12,805
General and administrative	11,798	10,766	1,032
Total operating expenses	56,763	42,926	13,837
Loss from operations	(56,763)	(41,426)	(15,337)
Interest income	925	9	916
Interest expense	(54)	(41)	(13)
Australian research and development incentives	2,051	1,226	825
Foreign currency losses	(608)	(379)	(229)
Loss before income taxes	(54,449)	(40,611)	(13,838)
Benefit from (provision for) income taxes	(147)	24	(171)
Net loss	$ (54,596)	$ (40,587)	$ (14,009)

Revenues

License revenue for the year ended December 31, 2021 was $1.5 million, related to the Exclusive Option and License Agreement with BeiGene (the "BeiGene Agreement") for the development and commercialization of DKN- 01 in Asia (excluding Japan), Australia, and New Zealand. The BeiGene Agreement became effective on January 3, 2020. There was no such license revenue recognized during the year ended December 31, 2022 as the upfront payment was recognized in full as of December 31, 2021.

Research and Development Expenses

	Year Ended December 31,		Increase (Decrease)
	2022	2021	
	(in thousands)		
Direct research and development by program:			
DKN-01 program	$ 44,884	$ 32,107	$ 12,777
TRX518 program	81	53	28
Total research and development expenses	$ 44,965	$ 32,160	$ 12,805

Research and development expenses were $45.0 million for the year ended December 31, 2022, compared to $32.2 million for the year ended December 31, 2021. The increase of $12.8 million in research and development expenses was primarily due to an increase of $6.3 million in manufacturing costs related to clinical trial material due to timing of manufacturing campaigns, an increase of $3.6 million in clinical trial costs due to patient enrollment and the duration of patients on study, an increase of $1.8 million in payroll and other related expenses due to an increase in headcount of our research and development full time employees and an increase of $0.9 million in stock based compensation expense due to new stock options granted to employees during the year ended December 31, 2022. There was also an increase of $0.2 million in consulting fees associated with research and development activities.

General and Administrative Expenses

General and administrative expenses were $11.8 million for the year ended December 31, 2022, compared to $10.8 million for the year ended December 31, 2021. The increase of $1.0 million was primarily due to an increase of $0.6 million in stock based compensation expense due to new stock options granted to employees during the year ended December 31, 2022, and a $0.3 million increase in payroll and other related expenses due to an increase in headcount of our general and administrative full time employees. There was also a $0.1 million increase in professional fees during the year ended December 31, 2022 as compared to 2021, primarily due to higher recruiting costs.

Interest Income

We recorded interest income of $0.9 million during the year ended December 31, 2022. During the year ended December 31, 2021, we recorded an immaterial amount of interest income. The increase in interest income is primarily due to higher interest rates during the year ended December 31, 2022 as compared to 2021.

Australian Research and Development Incentives

We recorded R&D incentive income of $2.1 million and $1.2 million for the years ended December 31, 2022 and 2021, respectively, based upon the applicable percentage of eligible research and development activities under the Australian Incentive Program, net of our Australia tax liability, which expenses included the cost of manufacturing of clinical trial material.

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

During the year ended December 31, 2022, we received $1.1 million of research and development tax incentive payments from the Commonwealth of Australia as a result of the 2021 research and development activities. During the year ended December 31, 2021, we received $0.1 million of research and development tax incentive payments from the Commonwealth of Australia as a result of the 2020 research and development activities.

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

Foreign Currency Losses

We recorded foreign currency losses of $0.6 million and $0.4 million, respectively, for the years ended December 31, 2022 and 2021. The change in foreign currency losses is due to the changes in the Australian dollar exchange rate related to activities of the Australian entity.

Interest Expense

We recorded an immaterial amount of interest expense for the years ended December 31, 2022 and 2021.

Liquidity and Capital Resources

Since our inception, we have been engaged in organizational activities, including raising capital, and research and development activities. We do not yet have a product that has been approved by the Food and Drug Administration (the "FDA") and have not yet achieved profitable operations or generated positive cash flows from operations. There is no assurance that profitable operations, if achieved, could be sustained on a continuing basis. Further, our future operations are dependent on the success of efforts to raise additional capital, our research and commercialization efforts, regulatory approval, and, ultimately, the market acceptance of our products.

In accordance with Accounting Standards Codification ("ASC") 205-40, Going Concern, we have evaluated whether there are conditions and events, considered in the aggregate, that raise substantial doubt about our ability to continue as a going concern within one year after the date that the consolidated financial statements are issued. As of December 31, 2022, we had cash and cash equivalents of $65.5 million. Additionally, we had an accumulated deficit of $318.2 million at December 31, 2022, and during the year ended December 31, 2022, we incurred a net loss of $54.6 million. We expect to continue to generate operating losses in the foreseeable future.

We believe that our cash and cash equivalents of $65.5 million as of December 31, 2022, along with approximately $50.0 million in cash and cash equivalents that we acquired through the merger with Flame, will be sufficient to fund our operating expenses for at least the next 12 months from the issuance of this Annual Report on Form 10-K. In connection with the merger with Flame and pursuant to the Certificate of Designation of the Series X non-voting convertible preferred stock (the "Series X Preferred Stock"), if stockholder approval for the conversion of the Series X Preferred Stock to common stock (the "Stockholder Approval") is not obtained from the holders of our common stock within six months from the date of issuance of the Series X Preferred Stock, the holders of Series X Preferred Stock may require us to settle all of the then-outstanding shares of Series X Preferred Stock for cash at fair value. We fully expect the vote to pass and for the Series X Preferred Stock to convert to common stock. However, there can be no assurance that the Stockholder Approval will be received. If we fail to receive the Stockholder Approval within six months from the date of issuance of the Series X Preferred Stock and are required to settle then-outstanding shares of Series X Preferred Stock for cash at fair value, our financial position would be materially adversely affected and we would be forced to seek additional funding, which may not be available on acceptable terms or at all, or reduce or eliminate certain clinical trials, programs and operating expenses, which would adversely affect our business prospects. In addition, to support our future operations, we will seek additional funding through public or private equity financings or government programs and will seek funding or development program cost-sharing through collaboration agreements or licenses with larger pharmaceutical or biotechnology companies. If we do not obtain additional funding or development program cost-sharing, we could be forced to delay, reduce or eliminate certain clinical trials or research and development programs, reduce or eliminate discretionary operating expenses, and delay company and pipeline expansion, which could adversely affect our business prospects. The inability to obtain funding, as and when needed, could have a negative impact on Leap's financial condition and our ability to pursue our business strategies.

Cash Flows

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

	Year Ended December 31,	
	2022	2021
	(in thousands)	
Cash used in operating activities	$ (49,044)	$ (35,157)
Cash provided by (used in) financing activities	(210)	98,035
Effect of exchange rate changes on cash and cash equivalents	(162)	(33)
Net increase(decrease) in cash and cash equivalents	$ (49,416)	$ 62,845

Operating activities.

Net cash used in operating activities for the year ended December 31, 2022 was primarily related to our net loss of $54.6 million and net changes in working capital, including an increase in research and development receivable of $1.0 million, an increase of $0.8 million in other assets and a decrease in lease liabilities of $0.4 million. These changes were partially offset by an increase in accounts payable and accrued expenses of $0.9 million and a decrease in prepaid expenses and other assets of $0.4 million, decrease in deferred tax assets of $0.2 million, noncash stock-based compensation expense of $5.2 million and noncash lease expense of $0.4 million. There was also a noncash change of $0.6 million due to foreign currency losses.

Net cash used in operating activities for the year ended December 31, 2021 was primarily related to our net loss from the operation of our business of $40.6 million and net changes in working capital, including a decrease in deferred revenue of $1.5 million, an increase in research and development receivable of $1.2 million, an increase in prepaid expenses and other assets of $0.6 million, a decrease in lease liabilities of $0.4 million and change in restricted stock liability of $0.2 million. These changes were partially offset by an increase in accounts payable and accrued expenses of $3.9 million, a decrease in other assets of $0.6 million, an increase in deferred offering costs of $0.2 million, noncash stock-based compensation expense of $3.7 million, noncash lease expense of $0.4 million and amortization of contract asset of $0.1 million. There was also a noncash change of $0.4 million due to foreign currency losses.

Investing Activities.

There were no investing activities during the years ended December 31, 2022 and 2021.

Financing Activities.

Net cash used in financing activities for the year ended December 31, 2022 consisted of payments of offering costs of $0.2 million.

Net cash provided by financing activities for the year ended December 31, 2021 consisted of $97.2 million in proceeds from the issuance of common stock in connection with the public offering we completed in September 2021 (the "2021 Public Offering") and $1.0 million in proceeds from the issuance of common stock upon the exercise of stock options and warrants. These increases were partially offset by payments of $0.2 million for offering costs.

Capital Requirements

We expect our expenses to increase substantially in connection with our ongoing activities, particularly as we advance the preclinical activities and clinical trials of our product candidates in development.

Our expenses will also increase as we:

- pursue the clinical development of our most advanced product candidate, DKN-01, and our newly acquired product candidates, FL-301, FL-302 and FL-501;
- maintain, expand and protect our intellectual property portfolio;
- expand our operational, financial and management systems and increase personnel, including personnel to support our clinical development, manufacturing and commercialization efforts and our operations as a public company; and

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

Contractual Obligations and Contingent Liabilities

On May 16, 2022, we entered into a third amendment to the 47 Thorndike Street Lease, the ("Third Amendment"). Under the Third Amendment, we extended the term of the 47 Thorndike Street Lease through July 31, 2024. Under the Third Amendment, we will continue to pay the current monthly base rent amount of $37,000 contemplated by the 47 Thorndike Street Lease through January 31, 2023, with an increase commencing on February 1, 2023 adjusting the monthly base rent amount to approximately $37,696 through January 31, 2024, and then another increase commencing on February 1, 2024 adjusting the monthly base rent amount to $38,335 for the period of February 2024 through July 31, 2024.

We remain committed to $4.7 million of non-cancellable commitments under manufacturing agreements with vendors to manufacture DKN-01 for use in clinical trials.

This description of our contractual obligations does not include potential future milestones or royalties that we may be required to make under license and collaboration agreements due to the uncertainty of events requiring payment under these agreements.

We enter into contracts in the normal course of business with clinical research organizations for clinical and preclinical research studies, external manufacturers for product for use in our clinical trials, and other research supplies and other services as part of our operations. These contracts generally provide for termination on notice, and therefore are cancelable contracts and not included as contractual commitments.

Recently Issued Accounting Pronouncements

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

Item 7A. Quantitative and Qualitative Disclosures about Market Risks

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

Interest Rate Risk

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

Foreign Currency Exchange Risk

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

Item 8. Financial Statements and Supplementary Data.

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

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

None.

Item 9A. Controls and Procedures.

Evaluation of Disclosure Controls and Procedures

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

As of December 31, 2022, our management, with the participation of our Chief Executive Officer, who is also serving as our Chief Financial Officer, evaluated the effectiveness of our disclosure controls and procedures (as defined in Rules 13a-15(e) and 15d-15(e) under the Securities and Exchange Act of 1934, as amended). Our management recognizes that any controls and procedures, no matter how well designed and operated, can provide only reasonable assurance of achieving their objectives, and management necessarily applies its judgment in evaluating the cost-benefit relationship of possible controls and procedures. Our principal executive officer and principal financial and accounting officer has concluded based upon the evaluation described above that, as of December 31, 2022, our disclosure controls and procedures were effective at the reasonable assurance level.

Management's Report on Internal Control Over Financial Reporting

This Company's management is responsible for establishing and maintaining adequate internal control over financial reporting (as defined in Rules 13a-15(f) and 15d-15(f) of the Exchange Act). Internal control over financial reporting is a process designed under the supervision and with the participation of our management, including the individuals serving as our principal executive officer and principal financial officer, to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with accounting principles generally accepted in the United States of America. Management conducted an assessment of the effectiveness of the Company's internal control over financial reporting based on the criteria set forth by the Committee of Sponsoring Organizations of the Treadway Commission in Internal Control—Integrated Framework (2013 Framework). Based on this assessment, our management concluded that, as of December 31, 2022, our internal control over financial reporting was effective based on those criteria.

Attestation Report on Internal Control Over Financial Reporting

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

Changes in Internal Control Over Financial Reporting

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

Item 9B. Other Information.

Not applicable.

Item 9C. Disclosures Regarding Foreign Jurisdictions that Prevent Inspections

Not applicable

PART III

Item 10. Directors, Executive Officers and Corporate Governance.

The information required by this Item is set forth in our Proxy Statement for the 2023 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2022, and is incorporated into this Annual Report on Form 10-K by reference.

Item 11. Executive Compensation.

The information required by this Item is set forth in our Proxy Statement for the 2023 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2022, and is incorporated into this Annual Report on Form 10-K by reference.

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

The information required by this Item is set forth in our Proxy Statement for the 2023 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2022, and is incorporated into this Annual Report on Form 10-K by reference.

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

The information required by this Item is set forth in our Proxy Statement for the 2023 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2022, and is incorporated into this Annual Report on Form 10-K by reference.

Item 14. Principal Accounting Fees and Services.

The information required by this Item is set forth in our Proxy Statement for the 2023 Annual Meeting of Stockholders to be filed with the SEC within 120 days of December 31, 2022, and is incorporated into this Annual Report on Form 10-K by reference.

PART IV

Item 15. Exhibits, Financial Statement Schedules.

(a)(1) Financial Statements

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

(a)(2) Financial Statement Schedules

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

(a)(3) Exhibits

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

EXHIBIT INDEX

Exhibit No.	Description
2.1	Merger Agreement, dated January 17, 2023, by and among Leap Therapeutics, Inc., Fire Merger Sub, Inc., Flame Biosciences LLC, Flame Biosciences, Inc., and the Stockholder Representative named therein (incorporated by reference to Exhibit 2.1 to the Company's Current Report on Form 8-K, filed with the SEC on January 23, 2023).
3.1	Fourth Amended and Restated Certificate of Incorporation of Leap Therapeutics, Inc. (incorporated by reference to Exhibit 3.3 to the Company's Current Report on Form 8-K, as filed on September 10, 2020).
3.2	Amended and Restated By-laws of Leap Therapeutics, Inc. (incorporated by reference to Exhibit 3.4 to the Company's registration statement on Form S-4, as filed on September 26, 2016 and attached as Annex D to the prospectus which forms part of such registration statement).
3.3	Certificate of Designation of Preferences, Rights and Limitations of Series X Non-Voting Convertible Preferred Stock filed with the Secretary of State of the State of Delaware on January 17, 2023 (incorporated by reference to Exhibit 3.1 to the Company's Current Report on Form 8-K, filed with the SEC on January 23, 2023).
4.1	Form of Common Stock Certificate of the Registrant (incorporated by reference to Exhibit 4.1 to Amendment No. 2 to the Company's registration statement on Form S-4, as filed on November 16, 2016).
4.2	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 Company's Current Report on Form 8-K, as filed on January 26, 2017).
4.3	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 Company's Current Report on Form 8-K, as filed on July 11, 2019).
4.4	Registration Rights Agreement dated as of January 3, 2020, by and between the Company and the persons listed on the attached Schedule A thereto (incorporated by reference to Exhibit 10.4 to the Company's Current Report on Form 8-K, as filed on January 7, 2020).
4.5	Registration Rights Agreement dated as of January 3, 2020, by and between the Company and the persons listed on the attached Schedule A thereto (incorporated by reference to Exhibit 10.5 to the Company's Current Report on Form 8-K, as filed on January 7, 2020).
4.6	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 Company's Current Report on Form 8-K, as filed on November 17, 2017).
4.7	Form of Warrant, dated as of February 5, 2019 by and between Leap Therapeutics, Inc. and each of the purchasers in the Registrant's 2019 Public Offering (incorporated by reference to Exhibit 4.1 to the Company's Current Report on Form 8-K, as filed on February 1, 2019).
4.8	Form of Pre-Funded Warrant (incorporated by reference to Exhibit 4.1 to the Company's Current Report on Form 8-K, as filed on January 7, 2020).
4.9	Form of Series A Coverage Warrant (incorporated by reference to Exhibit 4.2 to the Company's Current Report on Form 8-K, as filed on January 7, 2020).
4.10	Form of Series B Coverage Warrant (incorporated by reference to Exhibit 4.3 to the Company's Current Report on Form 8-K, as filed on January 7, 2020).
4.11	Amendment No. 2 to Warrant, by and among Macrocure, the Registrant and certain warrant holders, dated as of January 23, 2017 (incorporated by reference to Exhibit 4.4 to the Company's Annual Report on Form 10-K for the fiscal year ended December 31, 2016, as filed on March 31, 2017).
4.12	Description of the Registrant's Securities registered pursuant to Section 12 of the Securities Exchange Act of 1934 (incorporated by reference to Exhibit 4.6 to the Company's Annual Report on Form 10-K for the fiscal year ended December 31, 2019, as filed on March 16, 2020).
10.1#	Exclusive Option and License Agreement dated as of January 3, 2020, by and between the Company and BeiGene, Ltd. (incorporated by reference to Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the quarter ended March 31, 2020, as filed on May 14, 2020).
10.2**	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 Company's registration statement on Form S-4, as filed on September 26, 2016).
10.3**	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 Company's registration statement on Form S-4, as filed on September 26, 2016).

10.4	Royalty Agreement, between Leap Therapeutics, Inc. and Leap Shareholder Royalty Vehicle, Inc. (incorporated by reference to Exhibit 10.1 to the Company's Current Report on Form 8-K, as filed on January 26, 2017).
10.5	Letter Agreement, between Leap Shareholder Royalty Vehicle, Inc. and certain Leap stockholders (incorporated by reference to Exhibit 10.2 to the Company's Current Report on Form 8-K, as filed on January 26, 2017).
10.6	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 Company's Current Report on Form 8-K, as filed on November 17, 2017).
10.7	Purchase Agreement dated as of July 11, 2019, by and between the Company and Lincoln Park Capital Fund, LLC (filed as Exhibit 10.1 to the Company's Current Report on Form 8-K, as filed on July 11, 2019).
10.8	Purchase Agreement dated as of July 10, 2019, by and between the Company and Lincoln Park Capital Fund, LLC (filed as Exhibit 10.2 to the Company's Current Report on Form 8-K, as filed on July 11, 2019).
10.9	Securities Purchase Agreement, dated January 3, 2020, by and among the Company and the institutional investors named therein (incorporated by reference to Exhibit 10.1 to the Company's Current Report on Form 8-K, as filed on January 7, 2020).
10.10	Form of Indemnification Agreement (filed as Exhibit 10.10 to Amendment No. 1 to the Registrant's registration statement on Form S-4, as filed on November 2, 2016).
10.11^	Macrocure 2013 Share Incentive Plan (filed as Exhibit 10.4 to the Company's registration statement on Form S-8, as filed on January 27, 2017).
10.12^	Amendment No. 1 to Macrocure 2013 Share Incentive Plan (filed as Exhibit 10.5 to the Company's registration statement on Form S-8, as filed on January 27, 2017).
10.13^	Summary Translation of Macrocure 2008 Stock Option Plan stockholders (filed as Exhibit 10.3 to the Registrant's registration statement on Form S-8, as filed on January 27, 2017).
10.14^	Employment Agreement, by and between the Company and Douglas E. Onsi, dated as of April 10, 2020 (incorporated by reference to Exhibit 10.1 to the Company's Current Report on Form 8-K/A, as filed on April 15, 2020).
10.15^	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 the Company's registration statement on Form S-4, as filed on September 26, 2016).
10.16^	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 the Company's registration statement on Form S-4, as filed on September 26, 2016).
10.17^	Employment Agreement, by and between the Company and Christine Granfield, dated as of August 16, 2020 (incorporated by reference to Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the quarter ended September 30, 2020, as filed on November 12, 2020).
10.18^	Employment Agreement, by and between the Company and Cynthia Sirard, dated as of April 10, 2020 (incorporated by reference to Exhibit 10.18 to the Company's Annual Report on Form 10-K for the fiscal year ended December 31, 2020, as filed on March 12, 2021).
10.19^	Employment Agreement, by and between the Company and John Mark O' Mahony, dated as of April 10, 2020 (incorporated by reference to Exhibit 10.19 to the Company's Annual Report on Form 10-K for the fiscal year ended December 31, 2020, as filed on March 12, 2021).
10.20^	Amended and Restated 2012 Equity Incentive Plan of the Registrant (incorporated by reference to Exhibit 10.1 to the Company's registration statement on Form S-8, as filed on January 27, 2017).
10.21^	Form of Stock Option Grant Notice and Stock Option Agreement under the Registrant's Amended and Restated 2012 Equity Incentive Plan, as amended (incorporated by reference to Exhibit 10.2 to the Company's Annual Report on Form 10-K for the fiscal year ended December 31, 2016, as filed on March 31, 2017).
10.22^	2016 Equity Incentive Plan of Leap Therapeutics, Inc. (incorporated by reference to Exhibit 10.2 to the Company's registration statement on Form S-8, as filed on January 27, 2017).
10.23^	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 the Company's registration statement on Form S-4, as filed on November 2, 2016).
10.24^	First Amendment to the 2016 Equity Incentive Plan (incorporated by reference to Exhibit 10.1 to the Company's registration statement on Form S-8, as filed on June 11, 2019).
10.25	Lease, dated November 13, 2018, by and between the Company and Bulfinch Square Limited Partnership (incorporated by reference to Exhibit 1.1 to the Company's Current Report on Form 8-K, as filed on November 19, 2018).
10.26	First Amendment to Lease by and between Bulfinch Square Limited Partnership and Leap Therapeutics, Inc., dated as of August 17, 2021 (incorporated by reference to Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the quarter ended September 30, 2021, as filed on November 12, 2021).

10.27*	Second Amendment to Lease by and between Bulfinch Square Limited Partnership and Leap Therapeutics, Inc. dated as of October 1, 2021.
10.28	Third Amendment to Lease by and between Bulfinch Square Limited Partnership and Leap Therapeutics, Inc. dated as of May 16, 2022 (incorporated by reference to Exhibit 10.1 to the Company Quarterly Report on Form 10-Q for the quarter ended June 30, 2022, as filed on August 12, 2022).
10.29	Support Agreement by and between Leap Therapeutics, Inc. and HealthCare Ventures IX L.P., dated January 17, 2023 (incorporated by reference to Exhibit 10.1 to the Company's Current Report on Form 8-K, filed with the SEC on January 23, 2023).
10.30	Support Agreement by and between Leap Therapeutics, Inc. and HealthCare Ventures VIII Liquidating Trust, dated January 17, 2023 (incorporated by reference to Exhibit 10.2 to the Company's Current Report on Form 8-K, filed with the SEC on January 23, 2023).
10.31	Registration Rights Agreement, dated January 17, 2023, by and among the Company and the Holders (incorporated by reference to Exhibit 10.3 to the Company's Current Report on Form 8-K, filed with the SEC on January 23, 2023).
10.32^	Leap Therapeutics, Inc. 2022 Equity Incentive Plan (incorporated by reference to Exhibit 99.1 to the Company's registration statement on Form S-8, as filed on August 17, 2022).
21.1*	Subsidiaries of Leap Therapeutics, Inc.
23.1*	Consent of EisnerAmper LLP related to Leap Therapeutics, Inc. financial statements.
31.1*	Certification of Principal Executive and Principal Financial Officer Required Under Rule 13a- 14(a) and 15d-14(a) of the Securities Exchange Act of 1934, as amended.
32.1*+	Principal Executive and Principal Financial Officer Certification Pursuant to 18 U.S.C. Section 1350, as Adopted Pursuant to Section 906 of the Sarbanes-Oxley Act of 2002.
101*	The following materials from Leap Therapeutics, Inc.'s Annual Report on Form 10-K for the year ended December 31, 2022, formatted in XBRL (Extensible Business Reporting Language): (i) Consolidated Balance Sheets at December 31, 2022 and 2021, (ii) Consolidated Statements of Operations for the year ended December 31, 2022 and December 31, 2021, (iii) Consolidated Statements of Shareholders' Equity (Deficit) at December 31, 2022 and December 31, 2021 (iv) Consolidated Statements of Cash Flows for the year ended December 31, 2022 and December 31, 2021, and (v) Notes to Condensed Consolidated Financial Statements, tagged as blocks of text.
104	Cover Page Interactive Data File (formatted as Inline XBRL and contained in Exhibit 101)

* Exhibits filed herewith

^ Indicates management contract or compensation plan

Portions of this exhibit have been redacted in compliance with Regulation S-K Item 601(b)(10).

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

SIGNATURES

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

LEAP THERAPEUTICS, INC.

March 24, 2023

By: /s/ DOUGLAS E. ONSI
Name: Douglas E. Onsi
Title: *President, Chief Executive Officer and Chief Financial Officer*

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

NAME	TITLE	DATE
/s/ DOUGLAS E. ONSI Douglas E. Onsi	Chief Executive Officer, President, Chief Financial Officer and Director (Principal Executive Officer and Principal Financial Officer)	March 24, 2023
/s/ CHRISTOPHER K. MIRABELLI, PH.D. Christopher K. Mirabelli, Ph.D.	Chairman of the Board of Directors	March 24, 2023
/s/ JAMES CAVANAUGH, PH.D. James Cavanaugh, Ph.D.	Director	March 24, 2023
/s/ THOMAS DIETZ, PH.D. Thomas Dietz, Ph.D.	Director	March 24, 2023
/s/ WILLIAM LI, M.D. William Li, M.D.	Director	March 24, 2023
/s/ JOSEPH LOSCALZO, M.D., PH.D. Joseph Loscalzo, M.D., Ph.D.	Director	March 24, 2023
/s/ PATRICIA MARTIN Patricia Martin	Director	March 24, 2023
/s/ NISSIM MASHIACH Nissim Mashiach	Director	March 24, 2023
/s/ CHRISTIAN RICHARD Christian Richard	Director	March 24, 2023
/s/ RICHARD L. SCHILSKY, M.D. Richard L Schilsky, M.D	Director	March 24, 2023

INDEX TO CONSOLIDATED FINANCIAL STATEMENTS

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REPORT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

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

Opinion on the Financial Statements

We have audited the accompanying consolidated balance sheets of Leap Therapeutics, Inc. and Subsidiaries (the "Company") as of December 31, 2022 and 2021, and the related consolidated statements of operations, comprehensive loss, stockholders' equity, and cash flows for each of the years then ended, and the related notes (collectively referred to as the "financial statements"). In our opinion, the financial statements present fairly, in all material respects, the financial position of the Company as of December 31, 2022 and 2021, and the results of their operations and their cash flows for each of the years then ended, in conformity with accounting principles generally accepted in the United States of America.

Basis for Opinion

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

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

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

Critical Audit Matter

The critical audit matter communicated below is a matter arising from the current period audit of the financial statements that was communicated or required to be communicated to the audit committee and that: (1) relates to accounts or disclosures that are material to the financial statements and (2) involved our especially challenging, subjective, or complex judgments. The communication of the critical audit matter does not alter in any way our opinion on the financial statements, taken as a whole, and we are not, by communicating the critical audit matter below, providing a separate opinion on the critical audit matter or on the accounts or disclosures to which it relates.

Accruals for Clinical Trial Expenses

As described in Note 2 to the consolidated financial statements, at each balance sheet date, the Company estimates its accrued clinical trial expenses resulting from its obligations under contracts with vendors, clinical research organizations and consultants in connection with performing research and development activities, and in making that estimate, may depend on factors such as successful enrollment of certain numbers of patients, site initiation, and the completion of contract milestones. The Company accounts for research and development expenses based on services that have been performed on the Company's behalf and estimating the level of service performed and the associated cost incurred for the service when an invoice has not been received or the Company has not otherwise been notified of the actual cost. The Company estimates the time period over which services will be performed and the level of effort to be expended in each period. The Company's accrual for clinical trial expenses of $2,093,000 is included in accrued expenses on the December 31, 2022 consolidated balance sheet. The amounts recorded for clinical trial expenses represent the Company's estimate of the unpaid clinical trial expenses based on the information available to the Company at that time.

We identified the accrual for clinical trial expenses as a critical audit matter due to the significant judgment and estimation required by management in determining progress or state of completion of trials or services completed. This in turn led to a high degree of auditor subjectivity and significant audit effort was required in performing our procedures and evaluating audit evidence relating to estimates made by management.

Addressing the matter involved performing procedures and evaluating audit evidence in connection with forming our overall opinion on the financial statements. We obtained an understanding and evaluated the design of controls over the Company's estimation process, including the process of estimating the expenses incurred to date based on the status of the clinical trials. Our procedures also included, among others, reading agreements and contract amendments entered into with vendors in connection with conducting clinical trials, evaluating the significant assumptions described above and the methods used in developing the clinical trial estimates and calculating the amounts that were unpaid at the balance sheet date. We confirmed selected liabilities recorded directly with the third parties involved in performing the research and development services on behalf of the Company. We also made direct inquiries of financial and clinical trial client personnel regarding status and progress towards completion of clinical trials and description of future commitments. We compared the current estimate of expenses incurred to estimates previously made by management and assessed the historical accuracy of management's previous estimates. We also examined invoices issued and payments made to service providers after the consolidated balance sheet date.

/s/ EisnerAmper LLP

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

EISNERAMPER LLP

Iselin, New Jersey
March 23, 2023

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED BALANCE SHEETS

(In thousands, except share and per share amounts)

	December 31, 2022	December 31, 2021
Assets		
Current assets:		
Cash and cash equivalents	$ 65,500	$ 114,916
Research and development incentive receivable	2,099	1,189
Prepaid expenses and other current assets	351	769
Total current assets	67,950	116,874
Property and equipment, net	20	36
Right of use assets, net	669	459
Deferred tax assets, net	—	159
Deferred costs	576	—
Other long term assets	30	90
Deposits	1,108	293
Total assets	$ 70,353	$ 117,911
Liabilities and Stockholders' Equity		
Current liabilities:		
Accounts payable	$ 5,657	$ 4,189
Accrued expenses	5,152	5,366
Lease liability - current portion	416	432
Total current liabilities	11,225	9,987
Non current liabilities:		
Lease liability, net of current portion	262	37
Total liabilities	11,487	10,024
Stockholders' equity:		
Common stock, $0.001 par value; 240,000,000 shares authorized; 99,021,376 and 88,318,454 shares issued and outstanding as of December 31, 2022 and 2021, respectively	99	88
Additional paid-in capital	376,807	371,638
Accumulated other comprehensive income (loss)	128	(267)
Accumulated deficit	(318,168)	(263,572)
Total stockholders' equity	58,866	107,887
Total liabilities and stockholders' equity	$ 70,353	$ 117,911

See notes to consolidated financial statements

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED STATEMENTS OF OPERATIONS

(In thousands, except share and per share amounts)

	Year Ended December 31,	
	2022	2021
License revenue	$ —	$ 1,500
Operating expenses:		
Research and development	44,965	32,160
General and administrative	11,798	10,766
Total operating expenses	56,763	42,926
Loss from operations	(56,763)	(41,426)
Interest income	925	9
Interest expense	(54)	(41)
Australian research and development incentives	2,051	1,226
Foreign currency loss	(608)	(379)
Loss before income taxes	(54,449)	(40,611)
Benefit from (provision for) income taxes	(147)	24
Net loss attributable to common stockholders	$ (54,596)	$ (40,587)
Net loss per share		
Basic & diluted	$ (0.48)	$ (0.47)
Weighted average common shares outstanding		
Basic & diluted	113,239,092	85,825,283

See notes to consolidated financial statements

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED STATEMENTS OF COMPREHENSIVE LOSS

(In thousands)

	Year Ended December 31,	
	2022	2021
Net loss	$ (54,596)	$ (40,587)
Other comprehensive income:		
Foreign currency translation adjustments	395	312
Comprehensive loss	$ (54,201)	$ (40,275)

See notes to consolidated financial statements

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED STATEMENT OF STOCKHOLDERS' EQUITY

FOR THE YEAR ENDED DECEMBER 31, 2021

(In thousands, except share amounts)

	Common Stock		Additional Paid-in Capital	Accumulated Other Comprehensive Loss	Accumulated Deficit	Total Stockholders' Equity
	Shares	Amount				
Balances at December 31, 2020	59,657,742	$ 60	$ 270,155	$ (579)	$ (222,985)	$ 46,651
Issuance of common stock upon exercise of warrants	530,643	—	993	—	—	993
Issuance of common stock upon exercise of prefunded warrants	559,705	1	(1)	—	—	—
Issuance of common stock upon exercise of stock options	2,292	—	4	—	—	4
Issuance of common stock in connection with September 2021 Public Offering, net of issuance costs of $6,733	27,568,072	27	96,801	—	—	96,828
Foreign currency translation adjustment	—	—	—	312	—	312
Stock-based compensation	—	—	3,686	—	—	3,686
Net loss	—	—	—	—	(40,587)	(40,587)
Balances at December 31, 2021	88,318,454	$ 88	$ 371,638	$ (267)	$ (263,572)	$ 107,887

See notes to consolidated financial statements

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED STATEMENT OF STOCKHOLDERS' EQUITY

FOR THE YEAR ENDED DECEMBER 31, 2022

(In thousands, except share amounts)

	Common Stock		Additional Paid-in Capital	Accumulated Other Comprehensive Income (Loss)	Accumulated Deficit	Total Stockholders' Equity
	Shares	Amount				
Balances at December 31, 2021	88,318,454	$ 88	$ 371,638	$ (267)	$ (263,572)	$ 107,887
Foreign currency translation adjustment	—	—	—	395	—	395
Issuance of common stock upon exercise of prefunded warrants	10,702,922	11	(11)			—
Stock-based compensation	—	—	5,180	—	—	5,180
Net loss	—	—	—	—	(54,596)	(54,596)
Balances at December 31, 2022	99,021,376	$ 99	$ 376,807	$ 128	$ (318,168)	$ 58,866

See notes to consolidated financial statements

LEAP THERAPEUTICS, INC. AND SUBSIDIARIES

CONSOLIDATED STATEMENTS OF CASH FLOWS

(In thousands)

	Year Ended December 31,	
	2022	2021
Cash flows from operating activities:		
Net loss	$ (54,596)	$ (40,587)
Adjustments to reconcile net loss to net cash used in operating activities:		
Depreciation expense	16	29
Amortization of contract asset	—	135
Change in right-of-use asset	399	392
Stock-based compensation expense	5,180	3,686
Foreign currency loss	608	379
Change in fair value of restricted stock liability	—	(204)
Changes in operating assets and liabilities:		
Prepaid expenses and other assets	416	(639)
Deferred tax asset	152	11
Research and development incentive receivable	(1,009)	(1,159)
Deferred costs	—	209
Accounts payable and accrued expenses	945	3,900
Deferred revenue	—	(1,500)
Lease liability	(400)	(406)
Other assets	(755)	597
Net cash used in operating activities	(49,044)	(35,157)
Cash flows from financing activities:		
Proceeds from the issuance of common stock and prefunded warrants, net of offering costs - September 2021 Public Offering	—	97,222
Proceeds from the exercise of common stock warrants	—	993
Proceeds from the exercise of stock options	—	4
Payment of deferred costs	(210)	(184)
Net cash provided by (used in) financing activities	(210)	98,035
Effect of exchange rate changes on cash and cash equivalents	(162)	(33)
Net increase (decrease) in cash and cash equivalents	(49,416)	62,845
Cash and cash equivalents at beginning of period	114,916	52,071
Cash and cash equivalents at end of period	$ 65,500	$ 114,916
Supplemental disclosure of non-cash financing activities:		
Deferred costs in accounts payable and accrued expense - January 2023 Flame Merger	$ 576	$ —
Deferred costs in accounts payable and accrued expense - September 2021 Public Offering	$ —	$ 210
Remeasurement of right-of-use asset and lease liability	$ 609	$ 323

See notes to consolidated financial statements

1. Nature of Business, Basis of Presentation and Liquidity

Nature of Business

Leap Therapeutics, Inc. was incorporated in the state of Delaware on January 3, 2011. During 2015, HealthCare Pharmaceuticals Pty Ltd. ("HCP Australia") was formed and is a wholly owned subsidiary of the Company.

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

On August 29, 2016, the Company entered into a merger agreement with Macrocure Ltd. ("Macrocure"), a publicly held, clinical-stage biotechnology company based in Petach Tikva, Israel. In connection with the merger, the Company applied to be listed on the Nasdaq Global Market. Nasdaq approved the listing, and trading in the Company's common stock commenced on January 24, 2017, under the trading symbol "LPTX." On February 1, 2017, Macrocure's name was changed to Leap Therapeutics Ltd. In 2020, Leap Therapeutics Ltd. was dissolved.

On December 15, 2021, Leap Securities Corp. was formed and is a wholly owned subsidiary of the Company.

On January 17, 2023, the Company entered into a merger agreement with Flame Biosciences, Inc., a privately held, biotechnology corporation ("Flame"), whereby Flame became a wholly owned subsidiary of the Company under the name Flame Biosciences, LLC.

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

The Company is a biopharmaceutical company developing novel biomarker-targeted antibody therapies designed to treat patients with cancer by inhibiting fundamental tumor-promoting pathways, targeting cancer-specific cell surface molecules, and harnessing the immune system to attack cancer cells. The Company's 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. The Company's lead clinical stage program is DKN-01, a monoclonal antibody that inhibits Dickkopf-related protein 1, or DKK1. The Company is currently studying DKN-01 in multiple ongoing clinical trials in patients with esophagogastric cancer, gynecologic cancers, or colorectal cancer. It's second clinical stage program is FL-301, a monoclonal antibody that targets cells that express Claudin18.2 on their cell surface. The Company also has two preclinical antibody programs, FL-302 and FL-501.

In January 2020, the Company entered into an Option and License Agreement with BeiGene, Ltd., or BeiGene, which granted BeiGene an option to obtain an exclusive license from the Company that would grant to BeiGene the right to develop and commercialize DKN-01 in Asia (excluding Japan), Australia, and New Zealand. In March 2023, BeiGene notified the Company that it did not intend to exercise its option, and the agreement is continuing as a clinical collaboration.

The Company intends to apply its extensive experience identifying and developing transformational products to build a pipeline of programs that have the potential to change the practice of cancer medicine.

Basis of Presentation

The accompanying consolidated financial statements of the Company include the accounts of its wholly owned subsidiaries and have been prepared in conformity with accounting principles generally accepted in the United States of America ("GAAP").

Liquidity

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

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

In accordance with Accounting Standards Codification ("ASC") 205-40, Going Concern, the Company has evaluated whether there are conditions and events, considered in the aggregate, that raise substantial doubt about the Company's ability to continue as a going concern within one year after the date that the consolidated financial statements are issued. As of December 31, 2022, the Company had cash and cash equivalents of $65,500. Additionally, the Company had an accumulated deficit of $318,168 at December 31, 2022, and during the year ended December 31, 2022, the Company incurred a net loss of $54,596. The Company expects to continue to generate operating losses for the foreseeable future. In connection with the merger with Flame and pursuant to the Certificate of Designation of the Series X non-voting convertible preferred stock (the "Series X Preferred Stock"), if stockholder approval for the conversion of the Series X Preferred Stock to common stock (the "Stockholder Approval") is not obtained from the holders of the Company's common stock within six months from the date of issuance of the Series X Preferred Stock, the holders of Series X Preferred Stock may require the Company to settle all of the then-outstanding shares of Series X Preferred Stock for cash at fair value. The Company fully expects the vote to pass and for the Series X Preferred Stock to convert into common stock. However, there can be no assurance that the Stockholder Approval will be received. If the Company fails to receive Shareholder Approval within six months from the date of issuance of the Series X Preferred Stock and the Company is required to settle then-outstanding shares of Series X Preferred Stock for cash at fair value, the Company's financial position would be materially adversely affected and the Company would be forced to seek additional funding, which may not be available on acceptable terms or at all, or reduce or eliminate certain clinical trials, programs and operating expenses, which would adversely affect its business prospects.

The Company believes that its cash and cash equivalents of $65,500 as of December 31, 2022, along with the approximately $50,000 in cash and cash equivalents that it acquired through its merger with Flame, will be sufficient to fund its operating expenses for at least 12 months from the issuance of these financial statements.

In addition, to support its future operations, the Company will likely seek additional funding through public or private equity financings or government programs and will seek funding or development program cost-sharing through collaboration agreements or licenses with larger pharmaceutical or biotechnology companies. If the Company does not obtain additional funding or development program cost-sharing, or exceeds its current spending forecasts or fails to receive the research and development tax incentive payment, the Company has the ability and would be forced to: delay, reduce or eliminate certain clinical trials or research and development programs, reduce or eliminate discretionary operating expenses, and delay company and pipeline expansion, any of which could adversely affect its business prospects. The inability to obtain funding, as and when needed, could have a negative impact on the Company's financial condition and ability to pursue its business strategies.

2. Summary of Significant Accounting Policies

Principles of Consolidation

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

Use of Estimates

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

2. Summary of Significant Accounting Policies (continued)

Cash and Cash Equivalents

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

Research and Development Expense

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

Research and development incentive income and receivable

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

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

Under the program, a percentage of eligible research and development expenses incurred by the Company through its subsidiary in Australia are reimbursed. This percentage was 43.5% for the years ended December 31, 2022 and 2021.

The research and development incentive receivable represents an amount due in connection with the above program. The Company has recorded a research and development incentive receivable of $2,099 and $1,189 as of December 31, 2022 and 2021, respectively, in the consolidated balance sheets and other income from Australian research and development incentives of $2,051 and $1,226, in the consolidated statements of operations for the years ended December 31, 2022 and 2021, respectively, related to refundable research and development incentive program payments in Australia.

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

2. Summary of Significant Accounting Policies (continued)

The following table shows the change in the research and development incentive receivable from January 1, 2021 to December 31, 2022:

Balance at January 1, 2021	$ 73
Australian research and development incentive income, net	1,226
Cash received for 2020 eligible expenses	(111)
Foreign currency translation	1
Balance at December 31, 2021	1,189
Cash received for 2021 eligible expenses	(1,064)
Australian research and development incentive income, net	2,051
Foreign currency translation	(77)
Balance at December 31, 2022	$ 2,099

Concentration of Credit Risk

Financial instruments which potentially subject the Company to credit risk consist principally of cash and cash equivalents. All cash and cash equivalents are held in United States or Australian financial institutions and money market funds. At times, the Company may maintain cash balances in excess of the federally insured amount of $250 per depositor, per insured bank, for each account ownership category. Although the Company currently believes that the financial institutions with whom it does business will be able to fulfill their commitments to the Company, there is no assurance that those institutions will be able to continue to do so. The Company has not experienced any credit losses associated with its balances in such accounts for the years ended December 31, 2022 and 2021.

Income Taxes

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

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

The Company recognizes accrued interest and penalties associated with uncertain tax position as part of the income tax provision. There were no uncertain tax positions or income tax related interest and penalties recorded for the years ended December 31, 2022 and 2021. The income tax returns of the Company for the year ended December 31, 2019 and subsequent years are subject to examination by the Internal Revenue Service and other taxing authorities, generally for three years after the return is filed.

Foreign Currency Translation

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

2. Summary of Significant Accounting Policies (continued)

Property and Equipment

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

Impairment of Long-Lived Assets

Long-lived assets consist of property and equipment. Long-lived assets to be held and used are tested for recoverability whenever events or changes in business circumstances indicate that the carrying amount of the assets may not be fully recoverable. Factors that the Company considers in deciding when to perform an impairment review include significant underperformance of the business in relation to expectations, significant negative industry or economic trends and significant changes or planned changes in the use of the assets. If an impairment review is performed to evaluate a long-lived asset group for recoverability, the Company compares forecasts of undiscounted cash flows expected to result from the use and eventual disposition of the long-lived asset group to its carrying value. An impairment loss would be recognized when estimated undiscounted future cash flows expected to result from the use of an asset group are less than its carrying amount. The impairment loss would be based on the excess of the carrying value of the impaired asset group over its fair value. The Company did not record any impairment losses on long-lived assets during 2022 and 2021.

Deferred Costs

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

As of December 31, 2022 there was $576 of deferred costs. As of December 31, 2021, the Company did not have any deferred costs.

Deposits

Deposits as of December 31, 2022 and 2021 included $1,108 and $293, respectively, of deposits made by the Company with certain service providers that are to be applied to future payments due under the service agreements or returned to the Company if not utilized.

Warrants

The Company will recognize on a prospective basis the value of the effect of the down round feature in the warrants to purchase shares of common stock that were issued in a private placement in November 2017 (the "2017 Warrants") when it is triggered (i.e., when the exercise price is adjusted downward). This value is measured as the difference between (1) the financial instrument's fair value (without the down round feature) using the pre-trigger exercise price and (2) the financial instrument's fair value (with the down round feature) using the reduced exercise price. The value of the effect of the down round feature will be treated as a dividend and a reduction to income available to common stockholders in the basic EPS calculation.

2. Summary of Significant Accounting Policies (continued)

Fair Value of Financial Instruments

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

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

During the years presented, the Company has not changed the manner in which it values assets and liabilities that are measured at fair value using Level 3 inputs. The Company recognizes transfers between levels of the fair value hierarchy as of the end of the reporting period. There were no transfers within the hierarchy during the years ended December 31, 2022 and 2021.

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

	Total	Level 1	Level 2	Level 3
December 31, 2022				
Assets:				
Cash equivalents	$ 62,074	$ 62,074	$ —	$ —
Total assets	$ 62,074	$ 62,074	$ —	$ —
December 31, 2021				
Assets:				
Cash equivalents	$ 112,726	$ 112,726	$ —	$ —
Total assets	$ 112,726	$ 112,726	$ —	$ —

Cash equivalents of $62,074 and $112,726 as of December 31, 2022 and 2021, respectively, consisted of overnight investments and money market funds and are classified within Level 1 of the fair value hierarchy because they are valued using quoted market prices in active markets.

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

2. Summary of Significant Accounting Policies (continued)

Leases

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

In accordance with the guidance in ASC 842 “Leases”, components of a lease should be split into three categories: lease components (e.g. land, building, etc.), non-lease components (e.g. common area maintenance, maintenance, consumables, etc.), and non-components (e.g. property taxes, insurance, etc.). Then the fixed and in-substance fixed contract consideration (including any related to non-components) must be allocated based on fair values to the lease components and non-lease components.

Although separation of lease and non-lease components is required, certain practical expedients are available. Entities may elect the practical expedient to not separate lease and non-lease components. Rather, they would account for each lease component and the related non-lease component together as a single component. The Company has elected to account for the lease and non-lease components of each of its operating leases as a single lease component and allocate all of the contract consideration to the lease component only. The lease component results in an operating right-of-use asset being recorded on the consolidated balance sheets and amortized such that lease expense is recorded on a straight line basis over the term of the lease.

Segment Information

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

Patent Costs

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

Stock-Based Compensation

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

Stock-based compensation is classified in the accompanying consolidated statements of operations based on the function to which the related services are provided.

2. Summary of Significant Accounting Policies (continued)

The fair value of each stock option grant is estimated on the date of grant using the Black-Scholes option-pricing model. The Company historically has been a private company and lacks company-specific historical and implied volatility information. Therefore, it estimates its expected stock volatility based on the historical volatility of a publicly traded set of peer companies and expects to continue to do so until such time as it has adequate historical data regarding the volatility of its own traded stock price. The expected term of the Company's stock options granted to employees has been determined utilizing the "simplified" method for awards that qualify as "plain-vanilla" options. The expected term of stock options granted to nonemployees is equal to the contractual term of the option award. The risk-free interest rate is determined by reference to the U.S. Treasury yield curve in effect at the time of grant of the award for time periods approximately equal to the expected term of the award. Expected dividend yield of zero is based on the fact that the Company has never paid cash dividends on common stock and does not expect to pay any cash dividends in the foreseeable future.

Revenue Recognition

The Company records revenue in accordance with Accounting Standards Codification, or ASC, Topic 606, Revenue From Contracts with Customers. This standard applies to all contracts with customers, except for contracts that are within the scope of other standards, such as leases, insurance, collaboration arrangements and financial instruments. Under Topic 606, an entity recognizes revenue when its customer obtains control of promised goods or services, in an amount that reflects the consideration that the entity expects to receive in exchange for those goods or services. To determine revenue recognition for arrangements that an entity determines are within the scope of Topic 606, the entity performs the following five steps: (i) identify the contract(s) with a customer; (ii) identify the performance obligations in the contract; (iii) determine the transaction price; (iv) allocate the transaction price to the performance obligations in the contract; and (v) recognize revenue when (or as) the entity satisfies a performance obligation. The Company only applies the five step model to contracts when it is probable that the entity will collect the consideration it is entitled to in exchange for the goods or services it transfers to the customer. At contract inception, once the contract is determined to be within the scope of Topic 606, the Company assesses the goods or services promised within each contract and determines those that are performance obligations, and assesses whether each promised good or service is distinct. The Company then recognizes as revenue the amount of the transaction price that is allocated to the respective performance obligation when (or as) the performance obligation is satisfied.

License revenue. The Company's performance obligations under its license agreements may include providing intellectual property licenses, performing technology transfer, performing research and development consulting services and notifying the customer of any enhancements to licensed technology or new technology that it discovers, among others. The Company determined that its performance obligations under its license agreements as evaluated at contract inception were not distinct and represented a single performance obligation. Upfront payments are amortized to revenue on a straight line basis over the performance period. Upfront payment contract liabilities resulting from the Company's license agreements do not represent a financing component as the payment is not financing the transfer of goods or services, and the technology underlying the licenses granted reflects research and development expenses already incurred by the Company. Generally, all amounts received or due other than sales-based milestones and royalties are classified as license revenues. Sales-based milestones and royalties under the Company's license agreements will be recognized as royalty revenue in the period the related sale occurred. The Company generally invoices its licensees upon the completion of the effort or achievement of a milestone, based on the terms of each agreement. Deferred revenue arises from amounts received in advance of the culmination of the earnings process and is recognized as revenue in future periods as performance obligations are satisfied. Deferred revenue expected to be recognized within the next twelve months is classified as a current liability.

Research and Development Services. The promises under the Company's license agreements may include research and development services to be performed by the Company on behalf of the customer. Payments or reimbursements resulting from the Company's research and development efforts are recognized as the services are performed and presented on a gross basis because the Company is the principal for such efforts.

2. Summary of Significant Accounting Policies (continued)

Customer Options. If an arrangement is determined to contain customer options that allow the customer to acquire additional goods or services, the goods and services underlying the customer options that are not determined to be material rights are not considered to be performance obligations at the outset of the arrangement, as they are contingent upon option exercise. The Company evaluates the customer options for material rights, or options to acquire additional goods or services for free or at a discount. If the customer options are determined to represent a material right, the material right is recognized as a separate performance obligation at the outset of the arrangement. The Company allocates the transaction price to material rights based on the relative standalone selling price, which is determined based on the identified discount and the probability that the customer will exercise the option. Amounts allocated to a material right are not recognized as revenue until (1) the option is exercised and the additional goods or services are transferred or (2) the option expires.

Milestone Payments. At the inception of each arrangement that includes research or development milestone payments, the Company evaluates whether the milestones are considered probable of being achieved and estimates the amount to be included in the transaction price using the most likely amount method. If it is probable that a significant revenue reversal would not occur, the associated milestone value is included in the transaction price. Milestone payments that are not within the control of the Company or the licensee, such as regulatory approvals, are not considered probable of being achieved until those approvals are received. The Company evaluates factors such as the scientific, clinical, regulatory, commercial, and other risks that must be overcome to achieve the particular milestone in making this assessment. There is considerable judgment involved in determining whether it is probable that a significant revenue reversal would not occur. At the end of each subsequent reporting period, the Company reevaluates the probability of achievement of all milestones subject to constraint and, if necessary, adjusts its estimate of the overall transaction price. Any such adjustments are recorded on a cumulative catch-up basis, which would affect revenues and earnings in the period of adjustment.

Royalties. For arrangements that include sales-based royalties, including milestone payments upon first commercial sales and milestone payments based on a level of sales, which are the result of a customer-vendor relationship and for which the license is deemed to be the predominant item to which the royalties relate, the Company recognizes revenue at the later of (i) when the related sales occur, or (ii) when the performance obligation to which some or all of the royalty has been allocated has been satisfied or partially satisfied. To date, the Company has not recognized any royalty revenue resulting from any of its licensing arrangements.

Collaborative Arrangements

The Company analyzes its collaboration arrangements to assess whether such arrangements involve joint operating activities performed by parties that are both active participants in the activities and exposed to significant risks and rewards dependent on the commercial success of such activities and therefore within the scope of ASC Topic 808, Collaborative Arrangements (ASC 808). This assessment is performed throughout the life of the arrangement based on changes in the responsibilities of all parties in the arrangement. For collaboration arrangements within the scope of ASC 808 that contain multiple elements, the Company first determines which elements of the collaboration are deemed to be within the scope of ASC 808 and which elements of the collaboration are more reflective of a vendor-customer relationship and therefore within the scope of ASC 606. For elements of collaboration arrangements that are accounted for pursuant to ASC 808, an appropriate recognition method is determined and applied consistently, generally by analogy to ASC 606. Amounts that are owed to collaboration partners are recognized as an offset to collaboration revenues as such amounts are incurred by the collaboration partner. Where amounts owed to a collaboration partner exceed the Company’s collaboration revenues in each quarterly period, such amounts are classified as research and development expense. Reimbursements from and payments to the customer that are the result of a collaborative relationship with a partner, instead of a customer relationship, such as co-development activities, are recorded as a reduction to research and development expense. For those elements of the arrangement that are accounted for pursuant to ASC 606, the Company applies the five-step model described above under ASC 606.

See Note 3 for a complete discussion of the revenue recognition for the Company’s license agreement.

2. Summary of Significant Accounting Policies (continued)

Net Loss per Share

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

Subsequent Events

The Company considers events or transactions that occur after the balance sheet date but prior to the issuance of the financial statements to provide additional evidence for certain estimates or to identify matters that require additional disclosure. Subsequent events have been evaluated as required.

Recent Accounting Pronouncements

From time to time, new accounting pronouncements are issued by the Financial Accounting Standards Board ("FASB") and are early adopted by the Company or adopted as of the specified effective date. Unless otherwise discussed below, the Company does not believe that the adoption of recently issued standards have or may have a material impact on its consolidated financial statements or disclosures.

In December 2019, the FASB issued ASU 2019-12, Income Taxes, or ASC 740, which simplifies the accounting for income taxes. The new standard was effective in the first quarter of fiscal 2021. The Company adopted ASC 740 effective in the first quarter of fiscal 2021 and the Company's adoption of this standard did not have an effect on the Company's consolidated financial statements.

In May 2021, FASB issued ASU 2021-04, Issuer's Accounting for Certain Modifications or Exchanges of Freestanding Equity-Classified Written Call Options. FASB issued this update to clarify the accounting by issuers for modifications or exchanges of equity-classified warrants. The updated guidance is effective for the Company for annual periods beginning after December 15, 2021, including interim periods within those fiscal years. The Company adopted ASU 2021-04 in the first quarter of fiscal 2022 and the Company's adoption of this standard did not have an effect on the Company's financial statements.

In August 2020, FASB issued ASU 2020-06, Debt—Debt with Conversion and Other Options, or ASC 470 and Derivatives and Hedging—Contracts in Entity's Own Equity, or ASC 815. FASB issued this update to simplify the accounting for certain financial instruments with characteristics of liabilities and equity. The updated guidance is effective for the Company for annual periods beginning after December 15, 2021, including interim periods within those fiscal years. The Company adopted ASC 470 in the first quarter of fiscal 2022 and the Company's adoption of this standard did not have an effect on the Company's financial statements.

3. BeiGene Exclusive Option and License Agreement

Terms of Agreement

On January 3, 2020, the Company entered into an exclusive option and license agreement (the "BeiGene Agreement") with BeiGene, Ltd. ("BeiGene") pursuant to which BeiGene was granted an option to obtain an exclusive license from the Company for the clinical development and commercialization of DKN-01, in Asia (excluding Japan), Australia, and New Zealand. The Company retained exclusive rights for the development, manufacturing, and commercialization of DKN-01 for the rest of the world.

Pursuant to the BeiGene Agreement, the Company received an upfront cash payment of $3,000 from BeiGene in exchange for granting BeiGene an option to an exclusive license to develop and commercialize DKN-01 in Asia (excluding Japan), Australia, and New Zealand. In March 2023, BeiGene notified the Company that it did not intend to exercise its option under the BeiGene Agreement.

3. BeiGene Exclusive Option and License Agreement (continued)

Revenue Recognition

The Company evaluated the BeiGene Agreement to determine whether it is a collaborative arrangement for purposes of ASC 808. The Company concluded that because both parties were active participants and were exposed to the risks and rewards of the BeiGene Agreement, that such activities are under the scope of ASC 808. The Company concluded that BeiGene was a customer with regard to the combined license and research and development activities and as such the contract should be evaluated under ASC 606.

In determining the appropriate amount of revenue to be recognized under ASC 606 as the Company fulfills its obligations under the Agreement, the Company performs the following steps: (i) identifies the promised goods or services in the contract; (ii) determines whether the promised goods or services are performance obligations including whether they are distinct in the context of the contract; (iii) measures the transaction price, including any constraints on variable consideration; (iv) allocates the transaction price to the performance obligations; and (v) recognizes revenue when (or as) the Company satisfies each performance obligation.

The Company identified the following material promises under the BeiGene Agreement: (1) option to an exclusive license to develop and commercialize DKN-01 in Asia (excluding Japan), Australia, and New Zealand, (2) participation in a joint development committee, (3) technology transfer services and (4) pre-option research and development services. The Company determined that the option to an exclusive license in the territory does not represent a material right. Additionally, the Company determined that the participation in the joint development committee, research and development services and technology transfer services are not distinct from each other, as each has limited value without the other. As such, for the purposes of ASC 606, the Company determined that these four material promises, described above, should be combined into a single performance obligation.

The Company determined the transaction price is equal to the up-front fee of $3,000. The transaction price was fully allocated to the single performance obligation and is recognized as revenue on a straight-line basis over the performance period of the research and development services. During the year ended December 31, 2021, the Company recognized $1,500 of license revenue related to the up-front fee received from BeiGene. During the year ended December 31, 2022, the Company did not recognize any such revenue as the upfront payment was fully recognized as of December 31, 2021.

Cost of Contract Acquisition

The Company incurred contract acquisition costs of $270 which were capitalized under ASC 340-40 as incremental costs of obtaining the contract with BeiGene. This cost is amortized on a straight-line basis over the performance period of the research and development services. The total amount of amortization expense during the year ended December 31, 2021 was $135. During the year ended December 31, 2022, the Company did not recognize any such amortization expense as the contract acquisition costs were fully amortized as of December 31, 2021.

Royalties

As the license is deemed to be the predominant item to which sales-based royalties relate, the Company will recognize revenue when the related sales occur. No royalty revenue was recognized during the years ended December 31, 2022 and 2021.

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

4. Property and equipment, net

Property and equipment, net consisted of the following:

	December 31, 2022	December 31, 2021
Computer office equipment	$ 51	$ 51
Leasehold improvements	69	69
Lab equipment	76	76
Furnitures and fixtures	30	30
	226	226
Less: accumulated depreciation	(206)	(190)
Property and equipment, net	$ 20	$ 36

Depreciation expense was $16 and $29 for the years ended December 31, 2022 and 2021, respectively.

5. Accrued Expenses

Accrued expenses consist of the following:

	December 31, 2022	December 31, 2021
Clinical trials	$ 2,093	$ 2,499
Professional fees	533	181
Payroll and related expenses	2,526	2,686
Accrued expenses	$ 5,152	$ 5,366

6. Leases

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

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

In calculating the present value of future lease payments, the Company utilized its incremental borrowing rate based on the remaining lease term at the date of adoption. The Company has elected to account for each lease component and its associated non-lease components as a single lease component and has allocated all of the contract consideration across lease components only. This will potentially result in the initial and subsequent measurement of the balances of the right-of-use asset and lease liability for leases being greater than if the policy election was not applied. The Company has existing net leases in which the non-lease components (e.g. common area maintenance, maintenance, consumables, etc.) are paid separately from rent based on actual costs incurred and therefore are not included in the right-of-use asset and lease liability and are reflected as an expense in the period incurred. During the year ended December 31, 2022, the Company extended the term of its operating lease and recorded an additional right-of-use asset and lease liability of $609. As of December 31, 2022, a right-of-use asset of $669 and lease liability of $678 are reflected on the consolidated balance sheets. The Company recorded rent expense of $451 and $423, respectively, during the years ended December 31, 2022 and 2021

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

6. Leases (continued)

Future lease payments under non-cancelable operating leases as of December 31, 2022 are detailed as follows:

	Future Operating Lease Payments
2023	452
2024	267
Total Lease Payments	**719**
Less: imputed interest	(41)
Total operating lease liabilities	**$ 678**

7. Warrants

As of December 31, 2022, outstanding warrants to purchase common stock, all of which are classified as equity warrants, consisted of the following:

	December 31, 2022		
Description	Number of Shares Issuable	Exercise Price	Expiration Date
January 23 2017 Warrants	54,516	$ 0.01	Upon M&A Event
2017 Warrants	2,502,382	$ 1.055	November 2024
2019 Warrants	7,008,257	$ 1.95	February 2026
March 2020	8,247,170	$ 0.001	No Expiry
March 2020	25,945,035	$ 2.11	Jan - March 2027
September 2021	5,916,030	$ 0.001	No Expiry
	49,673,390		

2017 Warrants

The 2017 Warrants contain full ratchet anti-dilution protection provisions. The Company will recognize on a prospective basis the value of the effect of the down round feature in the warrant when it is triggered (i.e., when the exercise price is adjusted downward). This value is measured as the difference between (1) the financial instrument's fair value (without the down round feature) using the pre-trigger exercise price and (2) the financial instrument's fair value (with the down round feature) using the reduced exercise price. The value of the effect of the down round feature will be treated as a dividend and a reduction to income available to common shareholders in the basic EPS calculation.

During the year ended December 31, 2021, 47,458 of the 2017 Warrants were exercised for cash resulting in gross proceeds to the Company of $50.

2019 Warrants

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

During the year ended December 31, 2021, 483,185 of the 2019 Warrants were exercised for cash resulting in gross proceeds to the Company of $943.

7. Warrants (continued)

March 2020 Warrants

On January 3, 2020, the Company entered into a Securities Purchase Agreement with investors, pursuant to which the Company issued and sold 1,421,801 shares of its Series A Preferred Stock, at a purchase price of $10.54 per share, 1,137,442 shares of its Series B Preferred Stock at a purchase price of $10.55 per share, and one (1) share of the Company's Special Voting Stock entitling the purchaser of Series A Preferred Stock to elect one member of the Company's board of directors.

On March 5, 2020, the Company's stockholders approved the conversion of the Series A Preferred Stock into a pre-funded warrant to purchase 14,413,902 shares of common stock at an exercise price of $0.001 (the "March 2020 Pre-funded Warrants") and the conversion of the Series B Preferred Stock into 11,531,133 shares of common stock. Each investor also received a warrant to purchase an equal number of shares of common stock at an exercise price of $2.11 per share (the "Coverage Warrants"). The March 2020 Pre-funded Warrants and the Coverage Warrants qualify for equity classification.

During the year ended December 31, 2022, there were cashless exercises of 6,166,732 of the March 2020 Pre-funded Warrants, at an exercise price of $0.001 per share, resulting in the issuance of 6,161,000 shares of the Company's common stock.

June 2020 Warrants

On June 22, 2020, the Company completed a Public Offering ("the 2020 Public Offering") whereby the Company issued 20,250,000 shares of its common stock, at $2.00 per share and, in lieu of common stock, offered pre-funded warrants (the "June 2020 Pre-funded Warrants") to purchase up to 2,250,000 shares of its common stock to certain investors. The June 2020 Pre-funded Warrants have an exercise price of $0.001 per share and qualify for equity classification.

During the year ended December 31, 2021, 559,863 of the June 2020 Pre-Funded Warrants were exercised on cashless basis resulting in the issuance of 559,705 shares of common stock.

During the year ended December 31, 2022, there were cashless exercises of 1,690,137 of the June 2020 Pre-funded Warrants, at an exercise price of $0.001 per share, resulting in the issuance of 1,688,571 shares of the Company's common stock. As of December 31, 2022, there were no June 2020 Warrants outstanding.

September 2021 Warrants

On September 24, 2021, the Company completed a public offering (the "2021 Public Offering") whereby the Company issued 27,568,072 shares of its common stock, at $2.85 per share and, in lieu of common stock, offered pre-funded warrants (the "September 2021 Pre-funded Warrants") to purchase up to 8,771,928 shares of its common stock to certain investors. The September 2021 Pre-funded Warrants have an exercise price of $0.001 per share and qualify for equity classification.

During the year ended December 31, 2022, there were cashless exercises of 2,855,898 of the September 2021 Pre-funded Warrants, at an exercise price of $0.001 per share, resulting in the issuance of 2,853,351 shares of the Company's common stock.

8. Common Stock

Each share of common stock entitles the holder to one vote on all matters submitted to a vote of the Company's stockholders. Common stockholders are entitled to receive dividends, as may be declared by the board of directors, if any, subject to the preferential dividend rights of the preferred stockholders. Through December 31, 2022, no dividends have been declared for shares of common stock.

Public Offering of Common Stock - September 2021

On September 24, 2021, the Company completed the 2021 Public Offering, whereby the Company issued 22,828,072 shares of its common stock at $2.85 per share and, in lieu of common stock, issued certain investors 8,771,928 of its September 2021 Pre-funded Warrants. The September 2021 Pre-funded Warrants have an exercise price of $0.001 per share and qualify for equity classification. The underwriters exercised their right to purchase 4,740,000 additional shares of the Company's common stock at the public offering price per share of common stock, less underwriting discounts and commissions. The aggregate net proceeds received by the Company from the 2021 Public Offering were approximately $96,828 net of underwriting discounts and commissions and offering expenses payable by the Company.

9. Stock-Based Compensation

Equity Incentive Plans

In September 2012, the Company adopted the 2012 Equity Incentive Plan (the "2012 Plan"), as amended, which provides designated employees of the Company and its affiliates, certain consultants and advisors who perform services for the Company and its affiliates, and nonemployee members of the Board of Directors of the Company and its affiliates with the opportunity to receive grants of incentive stock options, nonqualified stock options and stock awards. During the year ended December 31, 2022, the 2012 Equity Plan expired.

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

On June 16, 2022, the Company's stockholders approved the 2022 Equity Incentive Plan (the "2022 Plan"), which provides for a total of 7,500,000 new shares of the Company's common stock to be granted.

As of December 31, 2022, there were 5,400,921 shares available for grant under the Company's Equity Incentive Plans, which excludes the 2012 Plan which expired during the year ended December 31, 2022.

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

9. Stock-Based Compensation (continued)

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

	Options	Weighted Average Exercise Price Per Share	Weighted Average Remaining Life in Years	Aggregate Intrinsic Value
Outstanding at December 31, 2020	6,393,853	5.29	7.96	1,961
Granted	2,482,650	2.19		
Exercised	(2,292)	1.55		
Forfeited	(348,593)	3.17		
Outstanding at December 31, 2021	8,525,618	4.48	7.58	7,673
Granted	4,024,900	1.50		
Forfeited	(633,187)	2.17		
Outstanding at December 31, 2022	11,917,331	3.59	7.47	
Options exercisable at December 31, 2022	7,543,973	4.74	6.46	
Options vested and expected to vest at December 31, 2022	11,917,331	3.59	7.47	

The grant date fair value of the options granted during the years ended December 31, 2022 and 2021 was estimated at the date of grant using the Black-Scholes option valuation model. The expected life was estimated using the "simplified" method as defined by the SEC's Staff Accounting Bulletin 107, Share-Based Payment. The expected volatility was based on the historical volatility of comparable public companies from a representative peer group selected based on industry and market capitalization data. The risk-free interest rate was based on the continuous rates provided by the U.S. Treasury with a term approximating the expected life of the option. The expected dividend yield was 0% because the Company does not expect to pay any dividends for the foreseeable future. The Company elected the straight-line attribution method in recognizing the grant date fair value of options issued over the requisite service periods of the awards, which are generally the vesting periods.

The weighted average grant date fair value for the stock options granted during the years ended December 31, 2022 and 2021 was $1.08 and $1.37 per share, respectively.

The assumptions that the Company used to determine the grant-date fair value of stock options granted to employees and directors during the years ended December 31, 2022 and 2021 were as follows, presented on a weighted average basis:

	Year Ended December 31,	
	2022	2021
Expected volatility	82.70 %	67.64 %
Weighted average risk-free interest rate	3.10 %	0.82 %
Expected dividend yield	0.00 %	0.00 %
Expected term (in years)	6.42	6.82

Stock options generally vest over a three or four year period, as determined by the compensation committee of the board of directors at the time of grant. The options expire ten years from the grant date. As of December 31, 2022, there was approximately $4,644 of unrecognized compensation cost related to non-vested stock options, which is expected to be recognized over a remaining weighted-average period of approximately 2.21 years.

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

9. Stock-Based Compensation (continued)

Restricted Stock Units

During the years ended December 31, 2022 and 2021, the Company granted 2,650,000 and 275,000, respectively, RSUs to executive officers that will cliff vest and will be settled after three years of continuous service, or upon a change of control of the Company, whichever is earlier, pursuant to the 2016 Plan. During the years ended December 31, 2022 and 2021, the Company recognized $2,086 and $530, respectively, of stock based compensation expense related to these equity classified RSUs.

The following table presents RSU activity under the 2016 Plan as of December 31, 2022:

	Number of Shares	Weighted Average Grant Date Fair Value
Outstanding at December 31, 2020	753,106	$ 1.52
Awarded	275,000	$ 2.57
Settled in cash	(92,500)	$ 1.97
Outstanding at December 31, 2021	935,606	$ 1.76
Awarded	2,650,000	$ 1.94
Outstanding at December 31, 2022	3,585,606	$ 1.89

As of December 31, 2022, there were 3,585,606 shares outstanding covered by RSUs that were vested and expected to vest with a weighted average grant date fair value of $1.89 per share and an aggregate grant date fair value of $6,777. As of December 31, 2022, there was approximately $3,898 of unrecognized compensation costs related to RSUs granted to employees, which are expected to be recognized as expense over a remaining weighted average period of 2.01 years.

The Company recognized stock-based compensation expense related to the issuance of stock option awards and RSUs to employees and non-employees in the consolidated statements of operations during the years ended December 31, 2022 and 2021 as follows:

Stock Based Compensation Expense

	Year Ended December 31,	
	2022	2021
Research and development	$ 2,540	$ 1,641
General and administrative	2,640	2,045
Total	$ 5,180	$ 3,686

10. Income Taxes

As of December 31, 2022, the Company had federal, state and foreign net operating loss carryforwards of approximately $210,487, $191,524 and $393, respectively, which begin to expire in 2030 for federal and state purposes, while the foreign net operating losses carryforward indefinitely. The Company's federal net operating losses include $129,179 which can be also carried forward indefinitely.

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

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

10. Income Taxes (continued)

In addition, the Company has federal and state research and development tax credits of approximately $7,903 and $1,841, respectively, that begin to expire in 2030 for federal and state tax purposes.

There is no provision for income taxes in the United States because the Company has historically incurred operating losses and maintains a full valuation allowance against its deferred tax assets in these jurisdictions.

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

	Year Ended December 31,	
	2022	2021
U.S.	$ (50,325)	$ (39,155)
Foreign	(4,124)	(1,456)
Loss before income taxes	$ (54,449)	$ (40,611)

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

	Year Ended December 31,	
	2022	2021
Current expense (benefit):		
Federal	$ —	$ —
State	—	—
Foreign	—	(37)
Total current expense (benefit):	$ —	$ (37)
Deferred expense (benefit):		
Federal	$ —	$ —
State	—	—
Foreign	147	13
Total deferred expense (benefit):	$ 147	$ 13
Total income tax expense (benefit):	$ 147	$ (24)

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

	Year Ended December 31,	
	2022	2021
Income at US Statutory Rate	21.0 %	21.0 %
State taxes, net of federal benefit	6.0 %	7.2 %
Permanent differences	0.7 %	0.6 %
Research and development credits	1.0 %	1.5 %
Foreign rate differential	0.3 %	0.2 %
Change in valuation allowance	-27.3%	-30.6%
Other	2.0 %	0.1 %
	0.3 %	0.0 %

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

10. Income Taxes (continued)

The significant components of the Company's deferred tax assets as of December 31, 2022 and 2021 were as follows:

	Year Ended December 31,	
	2022	2021
Federal net operating loss carryforwards	$ 44,202	$ 41,207
State net operating loss carryforwards	12,104	11,246
Foreign net operating loss carryforwards	98	—
Research and development (R&D) tax credits	9,358	7,172
Capitalized R&D expenses	9,312	—
Stock based compensation	4,757	4,671
Accrued expenses	—	729
License fees	840	1,004
Other	297	212
Total Deferred tax assets	80,968	66,241
Valuation Allowance	(80,968)	(66,082)
Net deferred tax assets	$ —	$ 159

As of December 31, 2022, the Company has provided a full valuation allowance against its overall net deferred tax assets, as realization of any associated tax benefit in the future is not more likely than not. As of December 31, 2021, the Company had provided a full valuation allowance against its net US deferred tax assets, but had recorded a deferred tax asset with no offsetting valuation allowance for its foreign subsidiary. Under applicable accounting requirements, as of December 31, 2022, the Company has established a full valuation allowance against its Australia deferred tax assets based on negative evidence associated with a three-year cumulative loss position. The valuation allowance, inclusive of the adjustment for the Australia deferred tax asset, increased during the years ended December 31, 2022 and 2021 by $14,886 and $12,413, respectively.

The Tax Cuts and Jobs Act ("TCJA") resulted in significant changes to the treatment of research and developmental expenditures under Section 174. For tax years beginning after December 31, 2021, taxpayers are required to capitalize and amortize all research and development expenditures that are paid or incurred in connection with their trade or business. Specifically, costs for U.S.-based research and development activities must be amortized over five years and costs for foreign research and development activities must be amortized over 15 years, both using a midyear convention. During the year ended December 31, 2022, the Company capitalized $37,873 million of research and development expenses.

The Company follows the authoritative guidance on accounting for and disclosure of uncertainty in tax positions, which requires the Company to determine whether a tax position of the Company is more likely than not to be sustained upon examination, including resolution of any related appeals of litigation processes, based on the technical merits of the position. For tax positions meeting the more likely than not threshold, the tax amount recognized in the financial statements is reduced by the largest benefit that has a greater than 50% likelihood of being realized upon the ultimate settlement with the relevant taxing authority. As of December 31, 2022 the Company has not recorded any uncertain tax positions.

The Company files tax returns as prescribed by the tax laws of the jurisdictions in which it operates. In the normal course of business the Company is subject to examination by federal and state jurisdictions, where applicable. There are currently no pending tax examinations. The earliest tax years that may be subject to examination by jurisdiction are 2019 for both federal and state purposes. The Company's policy is to record interest and penalties related to income taxes as part of the tax provision. There were no interest and penalties pertaining to uncertain tax positions for the years ended December 31, 2022 or 2021.

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

11. Net Loss Per Share

Basic and diluted net loss per share for the years ended December 31, 2022 and 2021 was calculated as follows:

	Year Ended December 31,	
	2022	2021
Numerator:		
Net loss	$ (54,596)	$ (40,587)
Net loss attributable to common stockholders for basic and diluted loss per share	$ (54,596)	$ (40,587)
Denominator:		
Weighted average number of common shares outstanding - basic and diluted	113,239,092	85,825,283
Net loss per share attributable to common stockholders - basic and diluted	$ (0.48)	$ (0.47)

Included within weighted average common shares outstanding for the years ended December 31, 2022 and 2021, are 14,217,716 and 24,930,483, respectively, common shares issuable upon the exercise of the pre-funded warrants and penny warrants, as the warrants are exercisable at any time for nominal consideration, and as such, the shares are considered outstanding for the purpose of calculating basic and diluted net loss per share attributable to common stockholders.

The Company's potentially dilutive securities include RSUs, stock options and warrants. These securities were excluded from the computations of diluted net loss per share for the years ended December 31, 2022 and 2021, as the effect would be to reduce the net loss per share. The following table includes the potential common shares of common stock, presented based on amounts outstanding at each period end, that were excluded from the computation of diluted net loss per share attributable to common stockholders for the periods indicated because including them would have had an anti-dilutive effect:

	Year Ended December 31,	
	2022	2021
Restricted stock units to purchase common stock	3,585,606	935,606
Options to purchase common stock	11,917,331	8,525,618
Warrants to purchase common stock	35,455,674	35,455,674
	50,958,611	44,916,898

12. Commitments and Contingencies

Manufacturing Agreements—The Company is party to manufacturing agreements with vendors to manufacture DKN-01, its lead product candidate, for use in clinical trials. As of December 31, 2022, noncancelable commitments under these agreements totaled $4,701.

License and Service Agreements—On January 3, 2011, the Company entered into a license agreement with Eli Lilly and Company ("Lilly"), a shareholder, to grant a license to the Company for certain intellectual property rights relating to pharmaceutically active compounds that may be useful in the treatment of bone healing, cancer and, potentially, other medical conditions. As defined in the license agreement, the Company would be required to pay royalties to Lilly based upon a percentage in the low single digits of net sales of developed products, if and when achieved. However, there can be no assurance that clinical or commercialization success of developed products will occur, and no royalties have been paid or accrued through December 31, 2022.

12. Commitments and Contingencies (continued)

License Agreement—On May 28, 2015, the Company entered into a license agreement with Lonza Sales AG ("Lonza"), pursuant to which Lonza granted the Company a world-wide, non-exclusive license for certain intellectual property relating to a gene expression system for manufacturing DKN-01. As defined in the license agreement, the Company would be required to pay royalties to Lonza based on a percentage in the low single digits of net sales of DKN-01, if and when achieved. However, there can be no assurance that clinical or commercialization success will occur, and no royalties have been paid or accrued through December 31, 2022.

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

Indemnification Agreements—In the ordinary course of business, the Company may provide indemnification of varying scope and terms to vendors, lessors, business partners and other parties with respect to certain matters including, but not limited to, losses arising out of breach of such agreements or from intellectual property infringement claims made by third parties. In addition, the Company has entered into indemnification agreements with members of its board of directors that will require the Company, among other things, to indemnify them against certain liabilities that may arise by reason of their status or service as directors or officers. The maximum potential amount of future payments the Company could be required to make under these indemnification agreements is, in many cases, unlimited. To date, the Company has not incurred any material costs as a result of such indemnifications. The Company is not aware of any claims under indemnification arrangements, and it has not accrued any liabilities related to such obligations in its consolidated financial statements as of December 31, 2022 and 2021.

13. Defined Contribution Plan

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

The Company makes matching employee contributions in cash to the 401(k) Plan at a rate of 100% of the first 3% of earnings contributed and 50% of the next 2% of earnings contributed.

Employees participating in the 401(k) Plan are fully vested in the Company matching contributions, and investments are directed by participants. The Company made matching contributions of $333 and $247 for the years ended December 31, 2022 and 2021, respectively.

14. Related Party Transactions

The Company has a license agreement with a stockholder (See Note 12).

15. Subsequent Events

Acquisition of Flame

On January 17, 2023, the Company acquired 100 percent of the outstanding equity of Flame Biosciences, Inc. ("Flame"). Pursuant to the terms of the agreement and plan of merger, the Company issued an aggregate of 19,794,373 shares of its common stock, par value $0.001 per share, and 136,833 shares of Series X non-voting convertible preferred stock, par value $0.001 per share (the "Series X Preferred Stock"). Subject to and upon the requisite approval of the stockholders of Leap, each share of Series X Preferred Stock shall convert into 1,000 shares of common stock. Under the terms of the merger agreement, the Company held back approximately 15,662 shares out of the aggregate number of shares of Series X Preferred Stock that the common stockholders of Flame otherwise would be entitled to receive pursuant to the Merger so that the Company can have recourse to these shares for purposes of satisfying certain claims for indemnification that the Company may have against the sellers in connection with the merger. The Company will account for the transaction as an asset acquisition.

Expiration of BeiGene Option

In March 2023, BeiGene notified the Company that it would not exercise its option under the BeiGene Agreement.

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Managing Director at HealthCare Ventures LLC

Thomas J. Dietz, Ph.D.[1][2]
Chairman and Chief Executive Officer at Waypoint Holdings, LLC

William Li, M.D.[1]
Co-Founder, President and Medical Director at Angiogenesis Foundation

Joseph Loscalzo, M.D., Ph.D.[3]
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(2) Audit Committee
(3) Nominating and Corporate Governance Committee

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Christine Granfield
Vice President, Head of Regulatory Affairs and Quality

Augustine Lawlor
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Mark O'Mahony
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Chief Executive Officer

Cynthia Sirard, M.D.
Chief Medical Officer

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Independent Registered Public Accounting Firm
EisnerAmper LLP
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Iselin, NJ 08830

Number of Holders of Common Stock
As of April 26, 2023, there are 104 stockholders of record of Common Stock.

Dividends
The Company has not paid any cash dividends on its Common Stock since its inception and does not anticipate paying any such cash dividends in the foreseeable future.

Market for Common Stock
NASDAQ Global Market
Symbol: LPTX

SEC Form 10-K and Stockholders' Inquiries
A copy of the Company's Annual Report on Form 10-K, as filed with the Securities and Exchange Commission, is available without charge. Requests for the Annual Report on Form 10-K or other stockholder inquiries should be directed to: Leap Therapeutics, Inc., Attn: Secretary, 47 Thorndike Street, Suite B1-1, Cambridge, Massachusetts 02141 or ir@leaptx.com.

Annual Meeting
The Annual Meeting of Stockholders will take place on Friday, June 16, 2023 at 11:30 a.m. via the internet at www.cstproxy.com/leaptx/2023