

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

**FORM S-3
REGISTRATION STATEMENT
UNDER
THE SECURITIES ACT OF 1933**

Lexicon Pharmaceuticals, Inc.

(Exact name of Registrant as specified in its charter)

Delaware
(State or other jurisdiction of
incorporation or organization)

76-0474169
(I.R.S. Employer
Identification Number)

**2445 Technology Forest Blvd., 11th Floor
The Woodlands, Texas 77381-1160
(281) 863-3000**

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

**Lonnel Coats
Chief Executive Officer
2445 Technology Forest Blvd., 11th Floor
The Woodlands, Texas 77381
(281) 863-3000**

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

Copies to:

**David P. Oelman
Jackson A. O'Maley
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845 Texas Avenue, Suite 4700
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(713) 758-2222**

**Brian T. Crum
Senior Vice President and General Counsel
Lexicon Pharmaceuticals, Inc.
2445 Technology Forest Blvd., 11th Floor
The Woodlands, Texas 77381
(281) 863-3000**

**Approximate date of commencement of proposed sale to the public:
From time to time after the effective date of this registration statement.**

- If the only securities being registered on this Form are being offered pursuant to dividend or interest reinvestment plans, please check the following box.
 - If any of the securities being registered on this Form are to be offered on a delayed or continuous basis pursuant to Rule 415 under the Securities Act of 1933, other than securities offered only in connection with dividend or interest reinvestment plans, check the following box.
 - If this Form is filed to register additional securities for an offering pursuant to Rule 462(b) under the Securities Act, please check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering.
 - If this Form is a post-effective amendment filed pursuant to Rule 462(c) under the Securities Act, check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering.
 - If this Form is a registration statement pursuant to General Instruction I.D. or a post-effective amendment thereto that shall become effective on filing with the Commission pursuant to Rule 462(e) under the Securities Act, check the following box.
 - If this Form is a post-effective amendment to a registration statement filed pursuant to General Instruction I.D. filed to register additional securities or additional classes of securities pursuant to Rule 413(b) under the Securities Act, check the following box.
- Indicate by check mark whether the registrant is a large accelerated filer, an accelerated filer, a non-accelerated filer, smaller reporting company, or an emerging growth company. See the definitions of "large accelerated filer," "accelerated filer," "smaller reporting company," and "emerging growth company" in Rule 12b-2 of the Exchange Act.
- | | | | |
|-------------------------|-------------------------------------|---------------------------|-------------------------------------|
| Large accelerated filer | <input type="checkbox"/> | Accelerated filer | <input type="checkbox"/> |
| Non-accelerated filer | <input checked="" type="checkbox"/> | Smaller reporting company | <input checked="" type="checkbox"/> |
| | | Emerging growth company | <input type="checkbox"/> |
- If an emerging growth company, indicate by check mark if the registrant has elected not to use the extended transition period for complying with any new or revised financial accounting standards provided pursuant to Section 7(a)(2)(B) of Securities Act.

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

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The information in this prospectus is not complete and may be changed. These securities may not be sold until the registration statement filed with the Securities and Exchange Commission is effective. This prospectus is not an offer to sell nor does it seek an offer to buy these securities in any jurisdiction where the offer or sale is not permitted.

Subject to Completion, Dated March 25, 2024

PROSPECTUS



57,661,250 Shares of Common Stock

This prospectus relates to the proposed offer and resale by selling stockholders of shares of our common stock to be issued by us directly to the selling stockholders identified in this prospectus under “Selling Stockholders,” of up to 57,661,250 shares of our common stock, \$0.001 par value per share, issuable upon conversion of outstanding shares of our Series A Convertible Preferred Stock, \$0.01 par value per share.

We will not receive any proceeds from the sale of the shares offered by the selling stockholders.

The selling stockholders may offer and sell the shares from time to time through public or private transactions at prevailing market prices, at prices related to prevailing market prices or at privately negotiated prices.

Our common stock is listed on The Nasdaq Global Select Market under the symbol “LXRX”. The last reported sale price on March 22, 2024 was \$2.26 per share.

Investing in our common stock involves risks. See “[Risk Factors](#)” beginning on page 5.

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

The date of this prospectus is _____, 2024.

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You should rely only on the information contained in this prospectus and documents incorporated into this prospectus by reference. We have not authorized anyone to provide you with information different from that contained in this prospectus or the documents incorporated by reference herein. This prospectus may only be used where it is legal to sell these securities. The information contained in this prospectus, the documents incorporated by reference herein and any supplements to this prospectus are accurate only as of the dates of their respective covers or earlier dates as specified therein, regardless of the time of delivery of this prospectus or any supplement to this prospectus or of any sale of these securities.

In this prospectus, “Lexicon,” “Lexicon Pharmaceuticals,” “we,” “us” and “our” refer to Lexicon Pharmaceuticals, Inc. and its subsidiaries. We own or have rights to trademarks or trade names that we use in connection with the operation of our business. The Lexicon name and logo and INPEFA® are registered trademarks and INPEFA Together™ is a trademark of Lexicon Pharmaceuticals, Inc.

ABOUT THIS PROSPECTUS

This prospectus is part of a “shelf” registration statement that we filed with the Securities and Exchange Commission, or the SEC. Under this shelf registration process, the selling stockholders identified in this prospectus may use this prospectus to sell up to an aggregate 57,661,250 shares of our common stock, referred to herein as our “**common stock**,” issuable upon conversion of outstanding shares of our Series A Convertible Preferred Stock, \$0.01 par value per share, referred to herein as our “**Series A preferred stock**.” Each time that the selling stockholders offer and sell shares of our common stock, a prospectus supplement containing specific information about the terms of that offering will be provided, including the specific amounts, prices and terms of the common stock offered and the manner in which they will be offered. The prospectus supplement and any other offering material may also add to, update or change information contained in this prospectus or in documents we have incorporated by reference into this prospectus. We urge you to read both this prospectus and any prospectus supplement and any other offering material (including any free writing prospectus) prepared by or on behalf of us for a specific offering of the shares covered hereby, together with the additional information described under the heading “Where You Can Find More Information” on page 28 of this prospectus.

In this prospectus, “Lexicon,” “Lexicon Pharmaceuticals,” “we,” “us” and “our” refer to Lexicon Pharmaceuticals, Inc. and its subsidiaries. We own or have rights to trademarks or trade names that we use in connection with the operation of our business. The Lexicon name and logo are registered trademarks of Lexicon Pharmaceuticals, Inc.

You should rely only on the information contained in this prospectus, any prospectus supplement or any free writing prospectus prepared by or on behalf of us and any documents incorporated into this prospectus by reference. We and the selling stockholders have not authorized anyone to provide you with information different from that contained in this prospectus or the documents incorporated by reference herein. We and the selling stockholders take no responsibility for, and can provide no assurance as to the reliability of, any other information that others may give you. The selling stockholders are not making an offer to sell or soliciting an offer to purchase the shares covered hereby in any jurisdiction where the offer or sale is not permitted. This prospectus may only be used where it is legal to sell the shares covered hereby. The information contained in this prospectus, the documents incorporated by reference herein and any supplements to this prospectus are accurate only as of the dates of their respective covers or earlier dates as specified therein, regardless of the time of delivery of this prospectus or any supplement to this prospectus or of any sale of the shares covered hereby.

LEXICON PHARMACEUTICALS, INC.

Lexicon Pharmaceuticals is a biopharmaceutical company with a mission of pioneering medicines that transform patients' lives. We are devoting most of our resources to the commercialization of our approved drug, INPEFA® (sotagliflozin), for heart failure and the research and development of our most advanced drug candidates:

- We are commercializing INPEFA, an orally-delivered small molecule drug, in the United States to reduce the risk of cardiovascular death, hospitalization for heart failure, and urgent heart failure visit in adults with heart failure or type 2 diabetes mellitus, chronic kidney disease, or CKD, and other cardiovascular risk factors.

We are separately pursuing regulatory approval of sotagliflozin as a treatment for type 1 diabetes. The U.S. Food and Drug Administration, or FDA, issued a complete response letter regarding our New Drug Application, or NDA, for sotagliflozin in type 1 diabetes in March 2019, which we appealed. Following recent discussions with the FDA, we are now preparing to resubmit our NDA for patients with type 1 diabetes and CKD. We have reported positive results from three Phase 3 clinical trials of sotagliflozin in type 1 diabetes.

We are also developing sotagliflozin as a treatment for hypertrophic cardiomyopathy, or HCM, and are preparing to initiate a Phase 3 clinical trial of sotagliflozin in HCM.

- We are developing LX9211, an orally-delivered small molecule drug candidate, as a treatment for neuropathic pain. We are conducting a Phase 2b clinical trial of LX9211 in diabetic peripheral neuropathic pain, or DPNP, and have received Fast Track designation from the FDA for development of LX9211 in that indication. We have reported positive results from a Phase 2 clinical trial of LX9211 in DPNP and top-line results from a separate Phase 2 clinical trial of LX9211 in post-herpetic neuralgia which also demonstrated evidence of effect.
- We are conducting preclinical development of LX9851, an orally-delivered small molecule drug candidate, as a treatment for obesity and tool for weight management.
- We are conducting preclinical research and development and preparing to conduct clinical development of compounds from a number of additional drug programs originating from our internal drug discovery efforts.

INPEFA, LX9851 and compounds from a number of additional drug programs originated from our own internal drug discovery efforts, and LX9211 originated from our collaborative neuroscience drug discovery efforts with Bristol-Myers Squibb. Our efforts were driven by a systematic, target biology-driven approach in which we used gene knockout technologies and an integrated platform of advanced medical technologies to systematically study the physiological and behavioral functions of almost 5,000 genes in mice and assessed the utility of the proteins encoded by the corresponding human genes as potential drug targets. We have identified and validated in living animals, or in vivo, more than 100 targets with promising profiles for drug discovery.

We have worked both independently and through collaborations and strategic alliances with third parties to capitalize on our drug target discoveries and research and development programs. We seek to retain exclusive or co-exclusive rights to the benefits of certain research and development programs by developing and commercializing drug candidates from those programs internally, particularly in the United States for indications treated by specialist physicians. We seek to collaborate with other pharmaceutical and biotechnology companies with respect to the research, development and commercialization of certain of our drug candidates, particularly with respect to commercialization in territories outside the United States or commercialization in the United States for indications treated by primary care physicians, or when the collaboration may otherwise provide us with access to expertise and resources that we do not possess internally or are complementary to our own.

Lexicon Pharmaceuticals was incorporated in Delaware in July 1995 and commenced operations in September 1995. Our corporate headquarters are located at 2445 Technology Forest Blvd., 11th Floor, The

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Woodlands, Texas 77381, and our telephone number is (281) 863-3000. Our common stock is listed on The Nasdaq Global Select Market under the symbol "LXRX."

Our annual report on Form 10-K, quarterly reports on Form 10-Q, current reports on Form 8-K, and amendments to those reports filed or furnished pursuant to Section 13(a) or 15(d) of the Securities Exchange Act of 1934 are made available free of charge on our corporate website located at www.lexpharma.com as soon as reasonably practicable after the filing of those reports with the Securities and Exchange Commission. Information found on or through our website is not incorporated herein by reference and should not be considered part of this prospectus.

THE OFFERING

Common Stock Offered by the Selling Stockholders	Up to 57,661,250 shares of our common stock issuable upon conversion of outstanding shares of our Series A preferred stock.
Terms of this Offering	The selling stockholders may sell the shares of our common stock offered by this prospectus from time to time on terms to be determined at the time of sale through ordinary brokerage transactions or through any other means described in this prospectus. Such shares may be sold at fixed prices, at market prices prevailing at the time of sale, at prices related to prevailing market price or at negotiated prices. See “Plan of Distribution” beginning on page 15.
Common stock and Series A preferred Stock outstanding prior to and immediately after the conversion of the Series A preferred stock	We currently have 246,236,753 shares of common stock outstanding and 2,304,147 shares of Series A preferred stock outstanding. Following the conversion of the Series A preferred stock, we will have 361,444,103 shares of common stock outstanding and no shares of Series A preferred stock outstanding.
Use of Proceeds	We are not selling any securities under this prospectus, and we will not receive any proceeds from the sale of shares of our common stock by the selling stockholders under this prospectus. All proceeds from the sale of shares of our common stock offered by this prospectus will be for the account of the selling stockholders.
Registration Rights	We have filed the registration statement on Form S-3, of which this prospectus forms a part, to satisfy registration rights we granted to the selling stockholders in the Private Placement.
Nasdaq Capital Market Symbol	LXXR
Risk Factors	Investing in our securities involves a high degree of risk and purchasers of our common stock may lose their entire investment. See the information contained in or incorporated by reference under “Risk Factors” beginning on page 5 of this prospectus, and in the documents incorporated by reference into this prospectus, before deciding to invest in our securities.

RISK FACTORS

An investment in our common stock involves risk. You should carefully consider the risk factors set forth in “Item 1A. Risk Factors” of our most recent Annual Report on Form 10-K and our subsequently filed Quarterly Reports on Form 10-Q, together with all of the other information included in, or incorporated by reference into, this prospectus when evaluating an investment in our common stock. If any of these risks were to occur, our business, financial condition or results of operations could be materially adversely affected. In that case, the trading price of our common stock could decline and you could lose all or part of your investment.

The conversion of the Series A preferred stock to common stock is subject to certain conditions.

According to the terms and subject to the conditions set forth in the Certificate of Designations relating to the Series A preferred stock, each share of Series A preferred stock will automatically convert into 50 shares (subject to adjustments) of Common Stock immediately following the satisfaction of all of the following conditions: (i) the approval of the Sixth Amended and Restated Certificate of Incorporation of the Corporation (the “**New Charter**”) by our stockholders (the “**Stockholder Approval**”), which shall increase the total authorized shares of common stock to 450,000,000, (ii) the adoption of the New Charter by our board of directors and (iii) the filing and acceptance of the New Charter with and by the Secretary of State of the State of Delaware, which shall be filed the same day as the date of Stockholder Approval.

The number of shares being registered for offer and resale is significant in relation to the number of our outstanding shares of common stock.

We have filed a registration statement of which this prospectus is a part to register the offer and resale of the shares offered hereunder for sale into the public market by the selling stockholders. Following the conversion of the Series A preferred stock to common stock, the shares being offered hereunder will represent approximately 16% of our common stock, and if sold in the market all at once or at about the same time, could affect the market price of our common stock during the period the registration statement remains effective and could also affect our ability to raise equity capital.

SPECIAL NOTE REGARDING FORWARD-LOOKING STATEMENTS

This prospectus and the documents incorporated by reference into this prospectus contain certain information regarding our financial projections, plans and strategies that are forward-looking statements within the meaning of Section 27A of the Securities Act of 1933 and 21E of the Securities Exchange Act of 1934. We have attempted to identify forward-looking statements by terminology including “anticipate,” “believe,” “can,” “continue,” “could,” “estimate,” “expect,” “intend,” “may,” “plan,” “potential,” “predict,” “should” or “will” or the negative of these terms or other comparable terminology. These statements, which are only predictions and involve known and unknown risks, uncertainties and other important factors may include, among other things, statements which address our strategy and operating performance, events or developments that we expect or anticipate will occur in the future, such as projections of our future results of operations or of our financial condition, the status of any collaborative agreements or clinical trials, the expected timing of the completion of our ongoing and future clinical trials and the results of such trials, including top-line data, expected timing of initiation of our planned clinical trials, expected enrollment in our ongoing and future clinical trials, and our research and development efforts and anticipated trends in our business.

We have based these forward-looking statements on our current expectations and projections about future events. However, there may be events in the future that we are not able to predict accurately or which we do not fully control that could cause actual results to differ materially from those expressed or implied in our forward-looking statements. Many important factors could cause actual results to differ materially from those expressed or implied by these forward-looking statements, including those discussed under “Risk Factors” in this prospectus and any prospectus supplement and other sections of the documents incorporated by reference into this prospectus. Except as required by applicable law, we undertake no obligation to publicly release any revisions to the forward-looking statements or reflect events or circumstances after the date of this prospectus.

USE OF PROCEEDS

All of the shares offered by this prospectus are being offered and sold by the selling stockholders. We will not receive any proceeds from the sale of the shares of common stock offered by the selling stockholders.

We will pay all expenses for the registration of the selling stockholders' offer and sale of the shares of common stock covered by this prospectus, including registration fees, the costs and expenses of our counsel and independent public accountants. The selling stockholders will pay any underwriting discounts and commissions which they incur in selling shares of our common stock.

SELLING STOCKHOLDERS

On March 11, 2024, we entered into a Preferred Stock Purchase Agreement with certain accredited investors, including the selling stockholders listed below (the “**Purchase Agreement**”), pursuant to which we agreed to sell 2,304,147 shares of Series A preferred stock of the Company, par value \$0.01 per share, at a price of \$108.50 per share in a private placement (the “**Private Placement**”). Each share of Series A preferred stock is convertible into 50 shares of our common stock, par value \$0.001 per share. We are registering the offering and resale by the selling stockholders of the shares of common stock covered by this prospectus pursuant to the registration rights provisions of the Purchase Agreement.

The selling stockholders may offer and sell the shares of common stock covered by this prospectus from time to time. Our registration of the selling stockholders’ offer and sale of such shares does not necessarily mean that the selling stockholders will sell any or all of their shares. We do not know when or in what amounts the selling stockholders may offer shares for sale. Because the selling stockholders may offer and sell all or some of the shares pursuant to this offering, and because there are currently no agreements, arrangements or understandings with respect to the sale of any of the shares, we cannot estimate the number of the shares that will be held by the selling stockholders after completion of the offering.

The below table sets forth certain information with respect to each selling stockholder, including (a) the shares of our common stock beneficially owned by such selling stockholder prior to this offering, (b) the number of shares of our common stock that may be offered by such selling stockholder pursuant to this prospectus and (c) such selling stockholder’s beneficial ownership of our common stock after completion of this offering, assuming that all of the shares of common stock covered by this prospectus (but none of the other shares, if any, held by the selling stockholders) are sold to third parties in this offering.

The table below sets forth the beneficial ownership of all common stock held by each selling stockholder as of March 22, 2024 and the number of shares of common stock offered by this prospectus. Percentage of ownership is based on 361,444,103 shares of common stock, which includes (i) 246,236,753 shares of common stock outstanding on March 22, 2024 and (ii) 115,207,350 shares of common stock issuable upon conversion of the Series A preferred stock.

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We prepared this table based on information supplied to us by the selling stockholders, and we have not sought to independently verify such information.

Name of Selling Stockholders	Beneficially Owned Before Offering		Shares of Common Stock That May Be Offered Under this Prospectus	Beneficially Owned After Offering ⁽¹⁾	
	Number	Percentage		Number	Percentage
Point72 Associates, LLC ⁽²⁾	9,701,240	2.7%	9,216,600	484,640	*
Fidelity Growth Company Commingled Pool ⁽³⁾	14,123,437	3.9%	8,760,800	5,362,637	1.5%
Braidwell Partners Master Fund LP ⁽⁴⁾	8,294,950	2.3%	8,294,950	—	—
Fidelity Mt. Vernon Street Trust: Fidelity Growth Company Fund ⁽³⁾	10,072,650	2.8%	6,020,850	4,051,800	1.1%
Fidelity Select Portfolios: Biotechnology Portfolio ⁽³⁾	6,751,610	1.9%	4,608,300	2,143,310	*
Entities affiliated with Great Point Partners ⁽⁵⁾	4,608,250	1.3%	4,608,250	—	—
Entities affiliated with OrbiMed ⁽⁶⁾	5,846,100	1.6%	2,304,100	3,542,000	*
Fidelity Mt. Vernon Street Trust: Fidelity Growth Company K6 Fund ⁽³⁾	3,365,151	*	2,108,250	1,256,901	*
Citadel CEMF Investments LTD. ⁽⁷⁾	2,073,750	*	2,073,750	—	—
CVI Investments, Inc. ⁽⁸⁾	1,670,500	*	1,670,500	—	—
Fidelity Mt. Vernon Street Trust: Fidelity Series Growth Company Fund ⁽³⁾	2,617,450	*	1,543,250	1,074,200	*
Altium Growth Fund, LP ⁽⁹⁾	1,382,500	*	1,382,500	—	—
Woodline Master Fund LP ⁽¹⁰⁾	1,382,500	*	1,382,500	—	—
Schonfeld Global Master Fund L.P. ⁽¹¹⁾	1,882,500	*	1,382,500	500,000	*
BLACKWELL PARTNERS LLC – SERIES A ⁽¹²⁾	1,138,350	*	1,138,350	—	—
Pinehurst Partners, L.P. ⁽¹³⁾	460,850	*	460,850	—	—
NANTAHALA CAPITAL PARTNERS LIMITED PARTNERSHIP ⁽¹³⁾	381,000	*	381,000	—	—
NCP RFM LP ⁽¹³⁾	323,950	*	323,950	—	—

* Represents less than one percent of outstanding shares of common stock.

- (1) Assumes that all of the shares of common stock being registered for offer and resale by this prospectus are resold by the selling stockholders to third parties.
- (2) Point72 Asset Management, L.P. maintains investment and voting power with respect to the securities held by certain investment funds it manages, including Point72 Associates, LLC. Point72 Capital Advisors, Inc. is the general partner of Point72 Asset Management, L.P. Mr. Steven A. Cohen controls each of Point72 Asset Management, L.P. and Point72 Capital Advisors, Inc.
- (3) These funds and accounts are managed by direct or indirect subsidiaries of FMR LLC. Abigail P. Johnson is a Director, the Chairman and the Chief Executive Officer of FMR LLC. Members of the Johnson family, including Abigail P. Johnson, are the predominant owners, directly or through trusts, of Series B voting common shares of FMR LLC, representing 49% of the voting power of FMR LLC. The Johnson family group and all other Series B shareholders have entered into a shareholders' voting agreement under which all Series B voting common shares will be voted in accordance with the majority vote of Series B voting common shares. Accordingly, through their ownership of voting common shares and the execution of the shareholders' voting agreement, members of the Johnson family may be deemed, under the Investment Company Act of 1940, to form a controlling group with respect to FMR LLC. The address of these funds and accounts is 245 Summer Street, Boston, MA 02210.
- (4) Represents shares of common stock issuable upon the conversion of Series A preferred stock held directly by Braidwell Partners Master Fund LP ("Master Fund"). The general partner of Master Fund is Braidwell

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GP LLC (the “Master Fund GP”), and the investment manager of Master Fund is Braidwell LP. The general partner of each of Braidwell LP and the Master Fund GP is Braidwell Management LLC (“Braidwell Management”). Alex Karnal and Brian Kreiter are the managing members of Braidwell Management and may be deemed to share voting and investment power with respect to the shares held directly by Master Fund. Mr. Karnal and Mr. Kreiter disclaim beneficial ownership of the shares held by Master Fund except to the extent of their pecuniary interests in such shares, if any. The address of each of these entities and individuals is c/o Braidwell GP LLC, One Harbor Point, 2200 Atlantic Street, Stamford, CT 06902.

- (5) Consists of (i) 2,543,750 shares of Common Stock issuable upon the conversion of Series A preferred stock held by Biomedical Value Fund, L.P. (“BVF”), (ii) 1,751,150 shares of Common Stock issuable upon the conversion of Series A Preferred Stock held by Biomedical Offshore Value Fund, LTD. (“BOVF”) and (iii) 313,350 shares of Common Stock issuable upon the conversion of Series A Preferred Stock held by Cheyne Select Master Fund ICAV – Cheyne Global Equity Fund (“CGEF” and, together with BVF and BOVF, the “GPP Entities”). Great Point Partners, LLC (“GPP LLC”) is the investment manager of BVF and BOVF and the sub-advisor to CGEF, and by virtue of such status may be deemed to be the beneficial owner of the shares held by the GPP Entities. Each of Dr. Jeffrey R. Jay, M.D., as Senior Managing Member of GPP LLC, and Mr. Ortav Yehudai, as Managing Director of GPP LLC, has voting and investment power with respect to shares held by the GPP Entities, and therefore may be deemed to be the beneficial owner of the shares held by the GPP Entities. Notwithstanding the above, GPP LLC, Dr. Jay and Mr. Yehudai disclaim beneficial ownership of the shares held by the GPP Entities except to the extent of their respective pecuniary interests. The principal business address of the GPP Entities is 165 Mason Street, 3rd Floor, Greenwich, CT 06830.
- (6) Consists of (i) 951,000 shares of Common Stock held by The Biotech Growth Trust PLC (“BIOG”), (ii) 1,050,000 shares of Common Stock held by OrbiMed Genesis Master Fund, L.P. (“Genesis Master Fund”), (iii) 1,541,000 shares of Common Stock held by OrbiMed Partners Master Fund Limited (“OPM”), (iv) 1,152,050 shares of Common Stock issuable upon the conversion of Series A preferred stock held by BIOG, and (v) 1,152,050 shares of Common Stock issuable upon the conversion of Series A preferred stock held by Genesis Master Fund. OrbiMed Capital LLC (“OrbiMed Capital”) is the portfolio manager to BIOG. OrbiMed Capital is the investment advisor to OPM. OrbiMed Genesis GP LLC (“Genesis GP”) is the general partner of Genesis Master Fund. OrbiMed Advisors LLC (“OrbiMed Advisors”) is the managing member of Genesis GP. OrbiMed Capital is a relying advisor of OrbiMed Advisors. OrbiMed Advisors and OrbiMed Capital exercise voting and investment power through a management committee comprised of Carl L. Gordon, Sven H. Borho, and W. Carter Neild, each of whom disclaims beneficial ownership of the shares held by BIOG, Genesis Master Fund, and OPM. The business address of the OrbiMed entities is c/o OrbiMed Advisors LLC, 601 Lexington Avenue, 54th Floor, New York, NY 10022.
- (7) The shares reported under “Beneficially Owned before Offering” represent 2,073,750 shares of Common Stock issuable upon conversion of the 41,475 shares of Series A preferred stock, par value \$0.01 per share purchased in the Private Placement. Citadel Advisors LLC is the portfolio manager of Citadel CEMF Investments Ltd. Citadel Advisors Holdings LP is the sole member of Citadel Advisors LLC. Citadel GP LLC is the General Partner of Citadel Advisors Holdings LP. Kenneth Griffin owns a controlling interest in Citadel GP LLC. Mr. Griffin, as the owner of a controlling interest in Citadel GP LLC, may be deemed to have shared power to vote and/or shared power to dispose of the securities held by Citadel CEMF Investments Ltd. This disclosure shall not be construed as an admission that Mr. Griffin or any of the Citadel related entities listed above is the beneficial owner of any securities of the Company other than the securities actually owned by such person (if any). The address of Citadel CEMF Investments Ltd. is c/o Citadel Enterprise Americas LLC, Southeast Financial Center, 200 S. Biscayne Blvd., Suite 3300, Miami, FL 33131.
- (8) Heights Capital Management, Inc., the authorized agent of CVI Investments, Inc. (“CVI”), has discretionary authority to vote and dispose of the shares held by CVI and may be deemed to be the beneficial owner of these shares. Martin Kobinger, in his capacity as Investment Manager of Heights Capital Management, Inc., may also be deemed to have investment discretion and voting power over the shares held by CVI. Mr. Kobinger disclaims any such beneficial ownership of the shares. CVI Investments, Inc. is affiliated with

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one or more FINRA member, none of whom are currently expected to participate in the sale pursuant to the prospectus contained in the Registration Statement of Shares purchased by the Investor in this Offering.

- (9) Consists of 1,382,500 Shares directly held by Altium Growth Fund, LP. Altium Capital Management, LP, the investment manager of Altium Growth Fund, LP, has voting and investment power over these securities. Jacob Gottlieb is the managing member of Altium Capital Growth GP, LLC, which is the general partner of Altium Growth Fund, LP. Each of Altium Growth Fund, LP and Jacob Gottlieb disclaims beneficial ownership over these shares. The principal address of Altium Capital Management, LP is 152 West 57th Street, 20th Floor, New York, NY 10019.
- (10) Woodline Partners LP serves as the investment manager of Woodline Master Fund LP and may be deemed to be the beneficial owner of the shares. Woodline Partners LP disclaims any beneficial ownership of these shares. The address of the Fund is 4 Embarcadero Center, Suite 3450, San Francisco, CA 94111.
- (11) These securities are directly held by Schonfeld Global Master Fund LP (“Schonfeld Master”). Schonfeld Strategic Advisors LLC is a Registered Investment Adviser and has been delegated the legal power to vote and/or direct the disposition of such securities on behalf of Schonfeld Master as a general partner or investment manager and would be considered the beneficial owner of such securities. The above shall not be deemed to be an admission by the record owners or Schonfeld Master that they are themselves beneficial owners of these securities for purposes of Section 13(d) of the Securities Exchange Act of 1934, as amended, or any other purpose. The address of Schonfeld Master is 590 Madison Ave, Floor 23, New York, NY 10022.
- (12) Nantahala Capital Management, LLC is a Registered Investment Adviser and has been delegated the legal power to vote and/or direct the disposition of such securities on behalf of these selling stockholders as a General Partner, Investment Manager, or Sub-Advisor and would be considered the beneficial owner of such securities. The above shall not be deemed to be an admission by the record owners or the selling stockholder that they are themselves beneficial owners of these securities for purposes of Section 13(d) of the Securities Exchange Act of 1934, as amended, or the Exchange Act, or any other purpose. Wilmot Harkey and Daniel Mack are managing members of Nantahala Capital Management, LLC and may be deemed to have voting and dispositive power over the shares held by the selling stockholder.

DESCRIPTION OF CAPITAL STOCK

Our authorized capital stock consists of 300 million shares of common stock, \$0.001 par value, and five million shares of preferred stock, \$0.01 par value. As of March 22, 2024, there were 246,236,753 shares of our common stock issued and outstanding, 1,528,008 shares of our common stock issued and held in treasury and 2,304,147 shares of Series A preferred stock outstanding.

The following summary description of our capital stock is based on the provisions of our fifth amended and restated certificate of incorporation, our second amended and restated bylaws and the applicable provisions of the Delaware General Corporation Law. This information may not be complete in all respects and is qualified entirely by reference to the provisions of our fifth amended and restated certificate of incorporation, second amended and restated bylaws and the Delaware General Corporation Law. For information on how to obtain copies of our fifth amended and restated certificate of incorporation and second amended and restated bylaws, see “Where You Can Find More Information” on page 22 of this prospectus.

Common Stock

The holders of common stock are entitled to one vote for each share held of record on all matters submitted to a vote of the stockholders and do not have cumulative voting rights. Accordingly, holders of a majority of the shares of common stock entitled to vote in any election of directors may elect all of the directors standing for election. Subject to preferences that may be applicable to any outstanding shares of preferred stock, the holders of common stock are entitled to receive ratably such dividends as may be declared by the board of directors out of funds legally available therefor. Upon the liquidation, dissolution or winding up of Lexicon, holders of our common stock are entitled to share ratably in all assets remaining after payment of liabilities and the liquidation preferences of any outstanding shares of preferred stock. Holders of 20% or more of our issued and outstanding common stock have (a) customary preemptive rights related to the issuance of certain of our securities and (b) consent rights prior us taking any of the following actions: (i) creating or issuing any new class or series of shares of capital stock (or securities convertible into or exercisable for shares of capital stock) having rights, preferences or privileges senior to or on parity with the common stock, (ii) subject to certain exceptions, repurchasing, retiring, redeeming or otherwise acquiring any of our equity securities (or securities convertible into or exchangeable for equity securities) or any subsidiary and (iii) adopting, or proposing to adopt, or maintaining any shareholders’ rights plan, “poison pill” or other similar plan or agreement, unless such stockholder is exempt from such plan or agreement. There are no redemption or sinking fund provisions applicable to our common stock. All outstanding shares of our common stock are, and all shares of common stock that may be issued under this prospectus will be, fully paid and non-assessable.

Preferred Stock

Pursuant to our fifth amended and restated certificate of incorporation, our board of directors has the authority, without further action by the stockholders, to issue up to five million shares of preferred stock, in one or more series. Our board of directors is authorized to fix or alter from time to time the designation, powers, preferences and rights of the shares of each series of preferred stock, including dividend rights, conversion rights, voting rights, terms of redemption, liquidation preferences and sinking fund terms. Our board of directors may also establish from time to time the number of shares constituting any series of preferred stock, and to increase or decrease the number of shares of any series subsequent to the issuance of shares of that series, but not below the number of shares of any series then outstanding.

We will fix the rights, preferences, privileges and restrictions of the preferred stock of each series in the certificate of designation relating to that series. We will incorporate by reference as an exhibit to the registration statement that includes this prospectus or as an exhibit to a report filed under the Exchange Act, the form of any

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certificate of designation that describes the terms of the series of preferred stock we are offering before the issuance of the related series of preferred stock. This description will include:

- the title and stated value;
- the number of shares we are offering;
- the liquidation preference per share;
- the purchase price;
- the dividend rate, period and payment date and method of calculation for dividends;
- whether dividends will be cumulative or non-cumulative and, if cumulative, the date from which dividends will accumulate;
- the provisions for a sinking fund, if any;
- the provisions for redemption or repurchase, if applicable, and any restrictions on our ability to exercise those redemption and repurchase rights;
- whether the preferred stock will be convertible into our common stock, and, if applicable, the conversion price, or how it will be calculated, and the conversion period;
- whether the preferred stock will be exchangeable into debt securities, and, if applicable, the exchange price, or how it will be calculated, and the exchange period;
- voting rights, if any, of the preferred stock;
- preemption rights, if any;
- restrictions on transfer, sale or other assignment, if any;
- the relative ranking and preferences of the preferred stock as to dividend rights and rights if we liquidate, dissolve or wind up our affairs;
- any limitations on issuance of any class or series of preferred stock ranking senior to or on a parity with the series of preferred stock as to dividend rights and rights if we liquidate, dissolve or wind up our affairs; and
- any other specific terms, preferences, rights or limitations of, or restrictions on, the preferred stock.

If we issue shares of preferred stock under this prospectus, the shares will be fully paid and non-assessable and will not have, or be subject to, any preemptive or similar rights.

The Delaware General Corporation Law provides that the holders of preferred stock will have the right to vote separately as a class on any proposal involving fundamental changes in the rights of holders of that preferred stock. This right is in addition to any voting rights that may be provided for in the applicable certificate of designation.

The issuance of preferred stock could adversely affect the voting power, conversion or other rights of holders of common stock. Preferred stock could be issued quickly with terms designed to delay or prevent a change in control of our company or make removal of management more difficult. Additionally, the issuance of preferred stock may have the effect of decreasing the market price of our common stock.

Series A Convertible Preferred Stock

On March 12, 2024, we filed with the Secretary of State of the State of Delaware to be effective upon filing a Certificate of Designations of Series A Convertible Preferred Stock (the “**Certificate of Designations**”), in the form approved and adopted by our board of directors, which sets forth the terms of the Series A preferred stock.

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According to the terms and subject to the conditions set forth in the Certificate of Designations, each share of Series A preferred stock will automatically convert into 50 shares (subject to adjustments) of our common stock, immediately following the satisfaction of all of the following conditions: (i) the approval of the New Charter, which would increase the total authorized shares of common stock under the New Charter from 300,000,000 to 450,000,000, by our stockholders at the upcoming 2024 annual meeting of our stockholders, (ii) the adoption of the New Charter by our board of directors and (iii) the filing and acceptance of the New Charter with and by the Secretary of State of the State of Delaware. The holders of the Series A preferred stock are entitled to vote on an as-converted basis on all matters and not as a separate class vote, except as required by Delaware law.

Arrangements with Invus

In June 2007, we entered into a securities purchase agreement with Invus, L.P., under which Invus, L.P. made an initial investment in our common stock in August 2007. Invus, L.P. and its affiliates, which we collectively refer to as Invus, have subsequently made additional investments in our common stock and currently own approximately 50.0% of our outstanding common stock.

Board of Directors. Concurrently with the execution of the securities purchase agreement, we entered into a stockholders' agreement with Invus, L.P. under which Invus has the right to designate a number of directors equal to the percentage of all the outstanding shares of our common stock owned by Invus, rounded up to the nearest whole number of directors. Invus has designated three of the nine current members of our board of directors. While Invus has not presently exercised its director designation rights in full, it may exercise them at any time in the future in its sole discretion. To facilitate the exercise of such rights, we have agreed, upon written request from Invus, to take all necessary actions in accordance with our obligations under the stockholders' agreement to (a) increase the number of directors to the number specified by Invus (which number shall be no greater than reasonably necessary for the exercise of Invus' director designation rights under the stockholders' agreement) and (b) cause the appointment to the newly created directorships of directors so designated by Invus pursuant to its rights under the stockholders' agreement.

Invus also has the right to require proportionate representation of Invus-appointed directors on the audit, compensation and corporate governance committees of our board of directors, subject to certain restrictions. Invus-designated directors currently serve as one of the three members of each of the compensation committee and the corporate governance committee of our board of directors. No Invus-designated directors currently serve on the audit committee of our board of directors.

The provisions of the stockholders' agreement relating to Invus' rights to designate members of our board of directors and its audit, compensation and corporate governance committees will terminate if the percentage of all the outstanding shares of our common stock owned by Invus and its affiliates falls below 10%. Invus also has the right to terminate these provisions at any time in its discretion.

Registration Rights. Concurrently with the execution of the securities purchase agreement, we also entered into a registration rights agreement with Invus, L.P., pursuant to which Invus has certain demand and piggyback registration rights with respect to shares of our common stock held by them. Invus has waived these registration rights with respect to any offerings of our securities pursuant to this prospectus.

Preemptive and Consent Rights. Our Fifth Amended and Restated Certificate of Incorporation grants holders of 20% or more of our issued and outstanding common stock (a) customary preemptive rights related to the issuance of certain of our securities and (b) consent rights prior to us taking any of the following actions: (i) creating or issuing any new class or series of shares of capital stock (or securities convertible into or exercisable for shares of capital stock) having rights, preferences or privileges senior to or on parity with the common stock, (ii) subject to certain exceptions, repurchasing, retiring, redeeming or otherwise acquiring any of our equity securities (or securities convertible into or exchangeable for equity securities) or any subsidiary and (iii) adopting, or proposing to adopt, or maintaining any shareholders' rights plan, "poison pill" or other similar

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plan or agreement, unless such stockholder is exempt from such plan or agreement. Invus possesses such preemptive and consent rights based on its current ownership interest in our common stock.

2023 Purchase Agreement. In May 2023, we entered into a purchase agreement with Invus pursuant to which, among other things, we issued to Invus shares of our common stock at a price and on the terms as offered to the public in a concurrent underwritten offering. The purchase agreement contains customary representations and warranties and covenants through incorporation by reference to those made in the underwriting agreement relating to the concurrent underwritten offering. The purchase agreement also contains customary obligations of the parties and termination provisions and served to waive certain preemptive rights held by Invus with respect to the concurrent underwritten offering. Following the transactions contemplated by the purchase agreement and the underwriting agreement relating to the concurrent underwritten offering, Invus held approximately the same percentage of our common stock following such transactions as it did before such transactions.

2024 Purchase Agreement. In March 2024, we entered into the Purchase Agreement described herein with certain purchasers, including Invus. Following the conversion of the Series A preferred stock issued in the Private Placement, Invus will hold approximately the same percentage of our common stock as it did before the Private Placement.

Invus Letter Agreements. In connection with the Private Placement, we entered into letter agreements with Invus whereby Invus agreed, among other things, to vote all of the voting securities of our company then held by Invus and entitled to vote thereon in favor of the approval of the New Charter.

Anti-Takeover Effects of Provisions of Delaware Law and Our Charter Documents

Delaware Takeover Statute. We are subject to the provisions of Section 203 of the Delaware General Corporation Law. In general, the statute prohibits a publicly-held Delaware corporation such as Lexicon from engaging in a business combination with an interested stockholder for a period of three years after the date of the transaction in which the person became an interested stockholder, unless the business combination is approved in a prescribed manner. For purposes of Section 203, a business combination includes a merger, asset sale or other transaction resulting in a financial benefit to the interested stockholder. An interested stockholder is a person who, together with affiliates and associates, owns (or within three years prior, did own) 15% or more of our voting stock.

Charter Documents. Our fifth amended and restated certificate of incorporation requires that any action required or permitted to be taken by our stockholders must be effected at a duly called annual or special meeting of stockholders and may not be effected by a consent in writing. Additionally, our fifth amended and restated certificate of incorporation:

- does not provide for the use of cumulative voting in the election of directors;
- provides for a board of directors, classified into three classes of directors;
- provides that the authorized number of directors may be changed only by resolution of our board of directors; and
- provides for the authority of our board of directors to issue up to five million shares of “blank check” preferred stock and to determine the price, powers, preferences and rights of these shares, without stockholder approval.

Our second amended and restated bylaws provide that candidates for director may be nominated only by our board of directors or by a stockholder who gives written notice to us not less than 120 days nor more than 150 days in advance of the first anniversary of the date of our proxy statement relating to the previous year’s annual meeting of stockholders. The authorized number of directors is fixed in accordance with our fifth amended and restated certificate of incorporation. Our board of directors currently consists of eight members, divided into

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three classes. As a result, a portion of the board of directors will be elected each year. The board of directors may appoint new directors to fill vacancies or newly created directorships. Our second amended and restated bylaws also limit who may call a special meeting of stockholders.

Delaware law and these charter provisions may have the effect of deterring hostile takeovers or delaying changes in control of our management, which could depress the market price of our common stock.

Transfer Agent and Registrar

The transfer agent and registrar for our common stock is Computershare Inc. The transfer agent for any series of preferred stock will be named and described in the prospectus supplement for that series.

PLAN OF DISTRIBUTION

We are registering the resale of the shares of common stock covered by this prospectus on behalf of the selling stockholders. All costs, expenses and fees connected with the registration of such shares of common stock will be borne by us. Any brokerage commissions and similar expenses connected with selling such shares of common stock will be borne by the selling stockholders. The selling stockholders may offer and sell such shares of common stock from time to time in one or more transactions. As used in this prospectus, the term “selling stockholders” includes pledgees, donees, transferees and other successors-in-interest who may acquire such shares of common stock through a pledge, gift, partnership distribution or other non-sale related transfer from the selling stockholders. The selling stockholders will act independently of the Company in making decisions with respect to the timing, manner and size of each sale. These transactions include:

- in “at the market offerings” within the meaning of Rule 415(a)(4) under the Securities Act, to or through a market maker or into an existing trading market, on an exchange or otherwise;
- directly to a limited number of purchasers or to a single purchaser;
- through agents;
- by delayed delivery contracts or by remarketing firms;
- ordinary brokerage transactions and transactions in which the broker solicits purchasers;
- purchases by a broker-dealer as principal and resale by the broker-dealer for its own account pursuant to this prospectus;
- exchange or over-the-counter distributions in accordance with the rules of the exchange or other market;
- settlement of short sales entered into after the effective date of the registration statement of which this prospectus is a part;
- block trades in which the broker-dealer attempts to sell the shares of common stock covered by this prospectus as agent but may position and resell a portion of the block as principal to facilitate the transaction, or in crosses, in which the same broker acts as agent on both sides of the trade;
- transactions in options, swaps or other derivatives that may or may not be listed on an exchange;
- through distributions by a selling stockholder or its successors in interest to its members, general or limited partners or stockholders (or their respective members, general or limited partners or stockholders);
- a combination of any such method of sale; or
- any other method permitted pursuant to applicable law.

In connection with distributions of the shares of common stock covered by this prospectus or otherwise, the selling stockholders may:

- sell such shares of common stock:
 - in one or more transactions at a fixed price or prices, which may be changed from time to time;
 - at market prices prevailing at the times of sale;
 - at prices related to such prevailing market prices; or
 - at negotiated prices;
- sell such shares of common stock:
 - on a national securities exchange;

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- in the over-the-counter market; or
- in transactions otherwise than on an exchange or in the over-the-counter market, or in combination;
- enter into option or other transactions with broker-dealers or other financial institutions which require the delivery to them of the shares of common stock covered by this prospectus, which they may in turn resell; and
- pledge the shares of common stock covered by this prospectus to broker-dealers or other financial institutions, which, upon a default, they may in turn resell.

The selling stockholders may also resell all or a portion of the shares of common stock covered by this prospectus in open market transactions in reliance upon Rule 144 under the Securities Act, as permitted by that rule, Section 4(a)(1) under the Securities Act, if available, or any other exemption from the registration requirements that become available, rather than under this prospectus.

If underwriters are used in the sale of any shares of common stock covered by this prospectus, such shares of common stock will be acquired by the underwriters for their own account and may be resold from time to time in one or more transactions described above. Shares of common stock covered by this prospectus may be either offered to the public through underwriting syndicates represented by managing underwriters or directly by underwriters. We may use underwriters with whom we have a material relationship. As applicable, we will describe in each accompanying prospectus supplement the name of the underwriter(s) and the nature of any such relationship(s).

The shares of common stock covered by this prospectus may be sold directly or through agents designated from time to time. We will name any agent involved in the offering and sale of such shares and we will describe any commissions paid to the agent in the prospectus supplement. Unless the prospectus supplement states otherwise, the agent will act on a best-efforts basis for the period of its appointment.

Agents may be entitled to indemnification by us against certain civil liabilities, including liabilities under the Securities Act, or to contribution with respect to payments made by the agents, under agreements between us and the agents.

Agents may receive compensation in the form of discounts, concessions or commissions from us or our purchasers, as their agents in connection with the sale of securities. These agents may be considered to be underwriters under the Securities Act. As a result, discounts, commissions or profits on resale received by the agents may be treated as underwriting discounts and commissions. Each accompanying prospectus supplement will identify any such agent and describe any compensation received by them from us.

In connection with sales of shares of common stock covered by this prospectus, the selling stockholders may enter into hedging transactions with broker-dealers or other financial institutions, which may in turn engage in short sales of such shares of common stock in the course of hedging in positions they assume. The selling stockholders may also sell the shares of common stock covered by this prospectus short and the selling stockholders may deliver shares of common stock to close out short positions and to return borrowed shares of common stock in connection with such short sales. The selling stockholders may also loan or pledge shares of common stock covered by this prospectus to broker-dealers that in turn may sell such shares of common stock, to the extent permitted by applicable law. The selling stockholders may also enter into option or other transactions with broker-dealers or other financial institutions or the creation of one or more derivative securities which require the delivery to such broker-dealer or other financial institution of shares of common stock covered by this prospectus, which shares of common stock such broker-dealer or other financial institution may resell pursuant to this prospectus (as supplemented or amended to reflect such transaction).

The selling stockholders may, from time to time, pledge or grant a security interest in some or all of the shares of common stock covered by this prospectus owned by them and, if they default in the performance of their secured

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obligations, the pledgees or secured parties may offer and sell such shares of common stock from time to time pursuant to this prospectus or any amendment to this prospectus under Rule 424(b)(3) or other applicable provision of the Securities Act, amending, if necessary, the list of selling stockholders to include the pledgee, transferee or other successors in interest as selling stockholders under this prospectus. The selling stockholders may also transfer and donate shares of common stock covered by this prospectus in other circumstances in which case the transferees, donees, pledgees or other successors in interest will be the selling beneficial owners for purposes of this prospectus.

A selling stockholder that is an entity may elect to make an in-kind distribution of shares of common stock covered by this prospectus to its members, general or limited partners or stockholders pursuant to the registration statement on Form S-3, of which this prospectus forms a part, by delivering a prospectus. To the extent that such members, general or limited partners or stockholders are not affiliates of ours, such members, partners or stockholders would thereby receive freely tradable shares of common stock pursuant to the distribution through a registration statement. Additionally, to the extent that entities, members, partners or stockholders are affiliates of ours received shares in any such distribution, such affiliates will also be selling stockholders and will be entitled to sell such shares pursuant to this prospectus.

Agents who may become involved in the sale of shares of common stock covered by this prospectus may engage in transactions with, and perform other services for, us in the ordinary course of their business for which they receive compensation.

In effecting sales, the selling stockholders may engage broker-dealers or agents, who may in turn arrange for other broker-dealers to participate. Broker-dealers or agents may receive commissions, discounts or concessions from the selling stockholders and/or from the purchasers of shares of common stock covered by this prospectus for whom the broker-dealers may act as agents or to whom they sell as principal, or both. The compensation to a particular broker-dealer may be in excess of customary commissions. To our knowledge, there is currently no plan, arrangement or understanding between any selling stockholders and any broker-dealer or agent regarding the sale of any shares of common stock by the selling stockholders.

The selling stockholders, any broker-dealers or agents and any participating broker-dealers that act in connection with the sale of the shares of common stock covered by this prospectus may be “underwriters” under the Securities Act with respect to those shares of common stock and, in such a case, will be subject to the prospectus delivery requirements of the Securities Act (it being understood that the selling stockholders shall not be deemed to be underwriters solely as a result of their participation in this offering). Any profit that the selling stockholders realize, and any compensation that any broker-dealer or agent may receive in connection with any sale, including any profit realized on resale of such shares of common stock acquired as principal, may constitute underwriting discounts and commissions. If the selling stockholders are deemed to be underwriters, the selling stockholders may be subject to certain liabilities under statutes including, but not limited to, Section 11, 12 and 17 of the Securities Act and Section 10(b) and Rule 10b-5 under the Exchange Act.

The securities laws of some states may require the selling stockholders to sell the shares of common stock covered by this prospectus in those states only through registered or licensed brokers or dealers. These laws may also require that we register or qualify such shares of common stock for sale in those states unless an exemption from registration and qualification is available and the selling stockholders and we comply with that exemption. In addition, the anti-manipulation rules of Regulation M under the Exchange Act may apply to sales of shares of common stock in the market and to the activities of the selling stockholders and their affiliates. Regulation M may restrict the ability of any person engaged in the distribution of shares of common stock to engage in market-making activities with respect to such shares of common stock. All of the foregoing may affect the marketability of the shares of common stock covered by this prospectus and the ability of any person to engage in market-making activities with respect to such shares.

If any selling stockholder notifies us that he has entered into any material arrangement with a broker-dealer for the sale of shares of common stock covered by this prospectus through a block trade, special offering, exchange

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distribution, over-the-counter distribution or secondary distribution, or a purchase by a broker or dealer, we will file any necessary supplement to this prospectus to disclose:

- the number of shares of common stock involved in the arrangement;
- the terms of the arrangement, including the names of any underwriters, dealers or agents who purchase such shares of common stock, as required;
- the proposed selling price to the public;
- any discount, commission or other underwriting compensation;
- the place and time of delivery for the shares of common stock being sold;
- any discount, commission or concession allowed, reallocated or paid to any dealers; and
- any other material terms of the distribution of the shares of common stock.

In addition, if the selling stockholder notifies us that a donee, pledgee, transferee or other successor-in-interest of the selling stockholder intends to sell any shares of common stock covered by this prospectus, we will file an amendment to the registration statement on Form S-3, of which this prospectus forms a part, or a supplement to this prospectus, if required.

LEGAL MATTERS

The validity of the issuance of the securities offered by this prospectus has been passed upon for us by Vinson & Elkins L.L.P., Houston, Texas.

EXPERTS

Ernst & Young LLP, independent registered public accounting firm, has audited our consolidated financial statements included in our annual report on Form 10-K for the year ended December 31, 2023, as set forth in their report, which is incorporated by reference in this prospectus and elsewhere in the registration statement. Our financial statements are incorporated by reference in reliance on Ernst & Young LLP's report, given on their authority as experts in accounting and auditing.

WHERE YOU CAN FIND MORE INFORMATION

We file annual, quarterly and current reports, proxy statements and other information with the SEC. You may read and copy the reports, proxy statements and other information that we file with the SEC at 100 F Street, NE, Washington, D.C. 20549. Please call the SEC at 1-800-SEC-0330 for information and for its prescribed rates to obtain copies of such material. The SEC also maintains a website that contains reports, proxy and information statements and other information regarding registrants, like us, that file electronically with the SEC. The address of the SEC's Internet site is www.sec.gov.

Our annual reports on Form 10-K, quarterly reports on Form 10-Q, current reports on Form 8-K and other filings with the SEC are available, free of charge, through our website, as soon as reasonably practicable after those reports or filings are electronically filed with or furnished to the SEC. Information on our website or any other website is not incorporated by reference into this prospectus or any prospectus supplement and does not constitute a part of this prospectus or any prospectus supplement.

This prospectus is part of a registration statement we filed with the SEC relating to the securities we may offer. As permitted by SEC rules, this prospectus does not contain all of the information we have included in the registration statement and the accompanying exhibits and schedules we filed with the SEC. You should refer to the registration statement, exhibits and schedules for more information about us and the securities. The registration statements, exhibits and schedules are available at the SEC or through its website.

DOCUMENTS INCORPORATED BY REFERENCE

The SEC allows us to “incorporate by reference” the information we have filed with it, which means that we can disclose important information to you by referring you to those documents. The information we incorporate by reference is an important part of this prospectus, and later information that we file with the SEC will automatically update and supersede this information. We incorporate by reference the documents listed below that we have previously filed with the SEC and any future documents filed with the SEC under Sections 13(a), 13(c), 14 or 15(d) of the Exchange Act (i) after the date on which the registration statement, of which this prospectus forms a part, was initially filed with the SEC and prior to the effectiveness of the registration statement and (ii) subsequent to the date of this prospectus and prior to the termination of the offering of the securities covered by this prospectus:

- our Annual Report on [Form 10-K](#) for the year ended December 31, 2023, filed with the SEC on March 25, 2024;
- our Current Reports on Form 8-K as filed with the SEC on [March 11](#) and [March 12, 2024](#); and
- the description of our common stock contained in our registration statement on [Form 8-A](#) filed with the SEC on March 27, 2000 pursuant to Section 12 of the Exchange Act, including any amendments and reports filed for the purpose of updating such description.

Any statement contained in a document incorporated or deemed to be incorporated by reference in this prospectus will be deemed to be modified or superseded for purposes of this prospectus to the extent that a statement contained in this prospectus or in any other subsequently filed document which also is or is deemed to be incorporated by reference in this prospectus modifies or supersedes that statement. Any statement that is modified or superseded will not constitute a part of this prospectus, except as so modified or superseded. You may rely on any statement contained in this prospectus or in documents incorporated or deemed to be incorporated in this prospectus, unless that statement has been subsequently modified or superseded as described above prior to the time you make your investment decision.

Upon your written or oral request, we will provide you at no cost a copy of any or all of the documents incorporated by reference in this prospectus, other than the exhibits to those documents, unless the exhibits are specifically incorporated by reference into this prospectus. You may request a copy of these documents by contacting:

Investor Relations
Lexicon Pharmaceuticals, Inc.
8800 Technology Forest Place
The Woodlands, Texas 77381-1160
Telephone: (281) 863-3000

PART II
INFORMATION NOT REQUIRED IN PROSPECTUS

Item 14. Other Expenses of Issuance and Distribution

The estimated expenses payable by the Registrant in connection with the issuance and distribution of the securities being registered (other than underwriting discounts and commissions) are as follows:

SEC Registration Fee	\$ 20,085.49
Accounting Fees and Expenses	*
Legal Fees and Expenses	*
Transfer Agent and Registrar Fees	—
Miscellaneous Expenses	*
Total	<u>\$</u> <u> </u> *

* These fees are calculated based on the number of issuances and, accordingly, cannot be estimated at this time.

The selling stockholders will pay any underwriting discounts and commissions, which discounts and commissions are not included in the foregoing table.

Item 15. Indemnification of Directors and Officers

Section 145 of the Delaware General Corporation Law (“DGCL”) provides that a corporation may indemnify any person who was or is a party or is threatened to be made a party to any threatened, pending or completed action, suit or proceeding whether civil, criminal, administrative or investigative (other than an action by or in the right of the corporation) by reason of the fact that he is or was a director, officer, employee or agent of the corporation, or is or was serving at the request of the corporation as a director, officer, employee or agent of another corporation, partnership, joint venture, trust or other enterprise, against expenses (including attorneys’ fees), judgments, fines and amounts paid in settlement actually and reasonably incurred by him in connection with such action, suit or proceeding if he acted in good faith and in a manner he reasonably believed to be in or not opposed to the best interests of the corporation, and, with respect to any criminal action or proceeding, had no reasonable cause to believe his conduct was unlawful. Section 145 further provides that a corporation similarly may indemnify any such person serving in any such capacity who was or is a party or is threatened to be made a party to any threatened, pending or completed action or suit by or in the right of the corporation to procure a judgment in its favor by reason of the fact that he is or was a director, officer, employee or agent of the corporation or is or was serving at the request of the corporation as a director, officer, employee or agent of another corporation, partnership, joint venture, trust or other enterprise, against expenses (including attorneys’ fees) actually and reasonably incurred in connection with the defense or settlement of such action or suit if he acted in good faith and in a manner he reasonably believed to be in or not opposed to the best interests of the corporation and except that no indemnification shall be made in respect of any claim, issue or matter as to which such person shall have been adjudged to be liable to the corporation unless and only to the extent that the Delaware Court of Chancery or such other court in which such action or suit was brought shall determine upon application that, despite the adjudication of liability but in view of all of the circumstances of the case, such person is fairly and reasonably entitled to indemnity for such expenses which the Delaware Court of Chancery or such other court shall deem proper.

The Company’s fifth amended and restated certificate of incorporation and second amended and restated bylaws provide that indemnification shall be to the fullest extent permitted by the DGCL for all current or former directors or officers. As permitted by the DGCL, the fifth amended and restated certificate of incorporation provides that directors of Lexicon shall have no personal liability to Lexicon or its stockholders for monetary damages for breach of fiduciary duty as a director, except (a) for any breach of the director’s duty of loyalty to

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Lexicon or its stockholders, (b) for acts or omissions not in good faith or which involve intentional misconduct or knowing violation of law, (c) under Section 174 of the DGCL or (d) for any transaction from which a director derived an improper personal benefit.

The Company has entered into indemnification agreements with each of its officers and directors. These agreements, among other things, require Lexicon to indemnify each officer and director for all expenses, including attorneys' fees, liabilities, judgments, fines, penalties, excise taxes and settlement amounts incurred by any such person in any claim, action, suit or proceeding, including any action by or in the right of Lexicon, arising out of the person's services as a director, officer, employee, agent or fiduciary to Lexicon, any subsidiary of Lexicon or to any other company or enterprise for which the person provides services at Lexicon's request.

Item 16. Exhibits

(a) Exhibits

<u>Exhibit Number</u>	<u>Exhibit Description</u>
3.1	<u>Fifth Amended and Restated Certificate of Incorporation (filed as Exhibit 3.1 to the Company's Current Report on Form 8-K dated May 20, 2022 and incorporated by reference herein).</u>
3.2	<u>Certificate of Designations, dated March 12, 2024 (filed as Exhibit 3.1 to the Company's Current Report on Form 8-K dated March 12, 2024 and incorporated by reference herein).</u>
3.3	<u>Second Amended and Restated Bylaws (filed as Exhibit 3.2 to the Company's Current Report on Form 8-K dated April 26, 2012 and incorporated by reference herein).</u>
5.1*	<u>Opinion of Vinson & Elkins L.L.P.</u>
10.1	<u>Preferred Stock Purchase Agreement, dated as of March 11, 2024, among Lexicon Pharmaceuticals, Inc. and the purchasers party thereto (filed as Exhibit 10.1 to the Company's Current Report on Form 8-K dated March 11, 2024 and incorporated by reference herein).</u>
23.1*	<u>Consent of Ernst & Young LLP.</u>
23.2*	<u>Consent of Vinson & Elkins L.L.P. (included in Exhibit 5.1).</u>
24.1*	<u>Power of Attorney</u>
107*	<u>Filing Fee Table</u>

* Filed herewith.

Item 17. Undertakings

The undersigned Registrant hereby undertakes:

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

(i) to include any prospectus required by Section 10(a)(3) of the Securities Act of 1933, as amended (the "Securities Act");

(ii) to reflect in the prospectus any facts or events arising after the effective date of this registration statement (or the most recent post-effective amendment thereof) which, individually or in the aggregate, represent a fundamental change in the information set forth in this registration statement; and

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

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provided, however, that paragraphs (a)(i) and (a)(ii) do not apply if the information required to be included in a post-effective amendment by those paragraphs is contained in periodic reports filed by the Registrant pursuant to Section 13 or Section 15(d) of the Securities Exchange Act of 1934, as amended (the "Exchange Act"), that are incorporated by reference in this registration statement.

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

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

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

Insofar as indemnification for liabilities arising under the Securities Act may be permitted to directors, officers and controlling persons of the Registrant pursuant to the provisions described in Item 15, or otherwise, the Registrant has been advised that in the opinion of the Securities and Exchange Commission such indemnification is against public policy as expressed in the Act and is, therefore, unenforceable. In the event that a claim for indemnification against such liabilities (other than the payment by the Registrant of expenses incurred or paid by a director, officer or controlling person of the Registrant in the successful defense of any action, suit or proceeding) is asserted by such director, officer or controlling person in connection with the securities being registered, the Registrant will, unless in the opinion of its counsel the matter has been settled by controlling precedent, submit to a court of appropriate jurisdiction the question whether such indemnification by it is against public policy as expressed in the Act and will be governed by the final adjudication of such issue.

SIGNATURES

Pursuant to the requirements of the Securities Act of 1933, as amended, the registrant certifies that it has reasonable grounds to believe that it meets all of the requirements for filing on Form S-3 and has duly caused this registration statement to be signed on its behalf by the undersigned, thereunto duly authorized, in City of The Woodlands, in the State of Texas, on March 25, 2024.

LEXICON PHARMACEUTICALS, INC.

By: /s/ Lonnel Coats

Lonnel Coats

President and Chief Executive Officer

Power of Attorney

Each person whose signature appears below appoints Lonnel Coats and Jeffrey L. Wade, and each of them, any of whom may act without the joinder of the other, as his or her true and lawful attorneys-in-fact and agents with full power of substitution and resubstitution, for him or her and in his or her name, place and stead, in any and all capacities, to sign any and all amendments (including post-effective amendments) to this Registration Statement and any Registration Statement (including any amendment thereto) for this offering that is to be effective upon filing pursuant to Rule 462(b) under the Securities Act of 1933, as amended, and to file the same, with all exhibits thereto, and all other documents in connection therewith, with the Securities and Exchange Commission, granting unto said attorneys-in-fact and agents full power and authority to do and perform each and every act and thing requisite and necessary to be done, as fully to all intents and purposes as he might or would do in person, hereby ratifying and confirming all that said attorneys-in-fact and agents or any of them or their or his substitute and substitutes, may lawfully do or cause to be done by virtue hereof.

Pursuant to the requirements of the Securities Act of 1933, as amended, this registration statement has been signed below by the following persons on behalf of the registrant in the capacities and on the dates indicated.

<u>Signature</u>	<u>Title</u>	<u>Date</u>
<u>/s/ Lonnel Coats</u> Lonnel Coats	Chief Executive Officer and Director (Principal Executive Officer)	March 25, 2024
<u>/s/ Jeffrey L. Wade</u> Jeffrey L. Wade	President and Chief Financial Officer (Principal Financial Officer)	March 25, 2024
<u>/s/ Kristen L. Alexander</u> Kristen L. Alexander	Vice President, Finance and Accounting (Principal Accounting Officer)	March 25, 2024
<u>/s/ Raymond Debbane</u> Raymond Debbane	Chairman of the Board of Directors	March 25, 2024
<u>/s/ Philippe J. Amouval</u> Philippe J. Amouyal	Director	March 25, 2024
<u>/s/ Samuel L. Barker, Ph.D.</u> Samuel L. Barker, Ph.D.	Director	March 25, 2024
<u>/s/ Robert J. Lefkowitz, M.D.</u> Robert J. Lefkowitz, M.D.	Director	March 25, 2024

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<u>Signature</u>	<u>Title</u>	<u>Date</u>
<u>/s/ Christopher J. Sobecki</u> Christopher J. Sobecki	Director	March 25, 2024
<u>/s/ Diane E. Sullivan</u> Diane E. Sullivan	Director	March 25, 2024
<u>/s/ Judith L. Swain, M.D.</u> Judith L. Swain, M.D.	Director	March 25, 2024



March 25, 2024

Lexicon Pharmaceuticals, Inc.
2445 Technology Forest Blvd., 11th Floor
The Woodlands, Texas 77381

Ladies and Gentlemen:

We have acted as counsel for Lexicon Pharmaceuticals, Inc., a Delaware corporation (the “Company”), with respect to certain legal matters in connection with a Registration Statement on Form S-3 (the “Registration Statement”) filed by the Company with the U.S. Securities and Exchange Commission (the “Commission”) under the Securities Act of 1933, as amended (the “Securities Act”). The Registration Statement relates to the offer and sale by certain selling stockholders of up to 57,661,250 shares of the Company’s common stock, par value \$0.001 per share (the “Common Stock”), issuable upon the conversion of outstanding shares of the Company’s Series A Convertible Preferred Stock, par value \$0.01 per share (the “Preferred Stock”) issued to the selling stockholders in a private placement that closed on March 13, 2024.

We have examined originals or copies, certified or otherwise identified to our satisfaction, of (i) the Registration Statement; (ii) the prospectus forming a part of the Registration Statement (the “Prospectus”); (iii) the Fifth Amended and Restated Certificate of Incorporation of the Company and the Second Amended and Restated Bylaws of the Company; (iv) certain resolutions adopted by the Board of Directors of the Company relating to the Prospectus, the Registration Statement and related matters; (v) the Certificate of Designations relating to the Preferred Stock and (vi) such other certificates, statutes and other instruments and documents as we considered appropriate for purposes of the opinions hereafter expressed.

As to any facts material to our opinions contained herein, we have made no independent investigation of such facts and have relied, to the extent that we deem such reliance proper, upon certificates from officers of the Company and other representatives of the Company, and upon certificates of public officials.

In rendering the opinions set forth below, we have assumed that (i) all information contained in all documents reviewed by us is true and correct; (ii) all signatures on all documents examined by us are genuine; (iii) all documents submitted to us as originals are authentic and all documents submitted to us as copies conform to the originals of those documents; (iv) each natural person signing any document reviewed by us had the legal capacity to do so; (v) each person signing in a representative capacity (other than on behalf of the Company) any document reviewed by us had authority to sign in such capacity; (vi) the Registration Statement, and any amendments thereto (including post-effective amendments), is effective and complies with all applicable laws; (vii) the Company has timely filed all necessary reports pursuant to the Securities Exchange Act of 1934; and (viii) the Common Stock will be sold as described in the Registration Statement, the Prospectus and any applicable prospectus supplement. We express no opinion as to the requirements of or compliance with federal or state securities laws or regulations.

Vinson & Elkins LLP Attorneys at Law
Austin Dallas Dubai Houston London Los Angeles
New York Richmond San Francisco Tokyo Washington

845 Texas Avenue, Suite 4700
Houston, TX 77002
Tel +1.713.758.2222 **Fax** +1.713.758.2346 velaw.com

Based upon and subject to the foregoing, it is our opinion that, upon the conversion of the Preferred Stock pursuant to the terms and conditions set forth in the Certificate of Designations relating to the Preferred Stock will be validly issued, fully paid and nonassessable.

The opinions expressed in this letter are limited to the General Corporation Law of the State of Delaware and the federal laws of the United States of America as in effect on the date hereof, and we undertake no duty to update or supplement the foregoing opinions to reflect any facts or circumstances that may hereafter come to our attention or to reflect any changes in any law that may hereafter occur or become effective. We do not express any opinions as to the laws of any other jurisdiction.

We hereby consent to the filing of this opinion as an exhibit to the Registration Statement. We also consent to the reference to this firm under the heading "Legal Matters" in the Prospectus. In giving this consent, we do not admit that we are within the category of persons whose consent is required under Section 7 of the Securities Act.

We disclaim any duty to advise you regarding any changes in, or otherwise communicate with you with respect to, the matters addressed herein.

Very truly yours,

/s/ Vinson & Elkins L.L.P.

Consent of Independent Registered Public Accounting Firm

We consent to the reference to our firm under the caption “Experts” in this Registration Statement (Form S-3) and related Prospectus of Lexicon Pharmaceuticals, Inc. for the registration of 57,661,250 shares of its common stock and to the incorporation by reference therein of our report dated March 25, 2024, with respect to the consolidated financial statements of Lexicon Pharmaceuticals, Inc. included in its Annual Report (Form 10-K) for the year ended December 31, 2023, filed with the Securities and Exchange Commission.

/s/ Ernst & Young LLP

Houston, Texas
March 25, 2024

Calculation of Filing Fee Tables

Form S-3
(Form Type)Lexicon Pharmaceuticals, Inc.
(Exact Name of Registrant as Specified in its Charter)

Table 1: Newly Registered Securities

	Security Type	Security Class Title	Fee Calculation or Carry Forward Rule	Amount Registered (1)(2)	Proposed Maximum Offering Price Per Share (3)	Maximum Aggregate Offering Price	Fee Rate	Amount of Registration Fee
Fees to be Paid	Equity	Common Stock, par value \$0.001 per share	457(c)	57,661,250(2)	\$2.36(3)	\$136,080,550	0.00014760	\$20,085.49
Fees Previously Paid	—	—	—	—	—	—	—	—
	Total Offering Amounts					\$136,080,550		\$20,085.49
	Total Fees Previously Paid					—		—
	Total Fee Offsets					—		—
	Net Fee Due					—		\$20,085.49

- (1) Pursuant to Rule 416 under the Securities Act of 1933, as amended (the “Securities Act”), there is also being registered hereby such indeterminate number of additional shares of common stock of the registrant as may be issued or issuable as a result of stock splits, stock dividends, stock distributions, and similar transactions.
- (2) Represents 57,661,250 shares of common stock, par value \$0.001 per share, of Lexicon Pharmaceuticals, Inc. (the “Registrant”), issuable upon the conversion of 1,153,225 shares of the Registrant’s Series A Convertible Preferred Stock, par value \$0.01 per share, such shares of common stock to be offered and sold by the selling stockholders identified in this registration statement.
- (3) Estimated solely for the purpose of calculating the registration fee pursuant to Rule 457(c) under the Securities Act, based on the average of the high and low prices of the Registrant’s common stock on the Nasdaq Global Select Market on March 22, 2024.