

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

**POST-EFFECTIVE AMENDMENT NO. 2
TO
FORM S-3**

**REGISTRATION STATEMENT
UNDER
THE SECURITIES ACT OF 1933**

RIGEL PHARMACEUTICALS, INC.
(Exact name of Registrant as specified in its charter)

Delaware
(State or other jurisdiction of incorporation or
organization)

94-3248524
(I.R.S. Employer Identification Number)

1180 Veterans Boulevard
South San Francisco, California
(Address, including zip code, and telephone number, including area code, of Registrant's principal executive offices)

Raul R. Rodriguez
President and Chief Executive Officer
Rigel Pharmaceuticals, Inc.
1180 Veterans Boulevard
South San Francisco, California 94080
(650) 624-1100
(Name, address, including zip code, and telephone number, including area code, of agent for service)

Copies to:
Carlton Fleming
Sidley Austin LLP
555 California Street
Suite 2000
San Francisco, California 94104
Telephone: (415) 772-1200
Fax: (415) 772-7400

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 upon 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, a smaller reporting company or an emerging growth company. See the definitions of "large accelerated filer," "accelerated filer," "smaller reporting company" and "emerging growth company" in Rule 12b-2 of the Exchange Act.

Large accelerated filer	<input checked="" type="checkbox"/>	Accelerated filer	<input type="checkbox"/>
Non-accelerated filer	<input type="checkbox"/>	Smaller reporting company	<input 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 the 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 that specifically states that this registration statement shall thereafter become effective in accordance with Section 8(a) of the Securities Act of 1933, as amended, or until this registration statement shall become effective on such date as the Securities and Exchange Commission, acting pursuant to said Section 8(a), may determine.

Explanatory Note

This Post-Effective Amendment No. 2 to the Registration Statement on Form S-3 (Commission File No. 333-258426) (the “Automatic Shelf Registration Statement”) of Rigel Pharmaceuticals, Inc. (the “Registrant”) is being filed for the purpose of amending the Automatic Shelf Registration Statement to reflect that the Registrant is no longer a well-known seasoned issuer (as such term is defined in Rule 405 of the Securities Act).

This registration statement contains:

- a base prospectus, which covers the offering, issuance and sale by us of up to \$250,000,000 in the aggregate of the securities identified above from time to time in one or more offerings; and
- a sales agreement prospectus, which covers the offering, issuance and sale by us of up to a maximum aggregate offering price of \$100,000,000 of our common stock that may be issued and sold from time to time under a sales agreement with Jefferies LLC.

The base prospectus immediately follows this explanatory note. The specific terms of any other securities to be offered pursuant to the base prospectus will be specified in one or more prospectus supplements to the base prospectus. The sales agreement prospectus immediately follows the base prospectus. The specific terms of the securities to be issued and sold under the sales agreement are specified in the sales agreement prospectus that immediately follows the base prospectus. The \$100,000,000 of our common stock that may be offered, issued and sold under the sales agreement prospectus is included in the \$250,000,000 of securities that may be offered, issued and sold by us under the base prospectus. As of March 1, 2022, the Registrant has not sold any common stock under the sales agreement prospectus pursuant to the Automatic Shelf Registration Statement.

The information in this preliminary 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 preliminary 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 1, 2022

PROSPECTUS



RIGEL PHARMACEUTICALS, INC.

\$250,000,000

**Common Stock
Preferred Stock
Debt Securities
Warrants**

We may offer and sell, from time to time, in one or more series or issuances, and on terms that we will determine at the time of the offering, up to \$250,000,000 of any combination of the securities described in this prospectus.

This prospectus provides a general description of the securities we may offer. We will provide specific terms of any offering in a prospectus supplement to this prospectus. Any prospectus supplement and any related free writing prospectus may also add, update, or change information contained in this prospectus. You should carefully read this prospectus and the applicable prospectus supplement and any related free writing prospectus relating to a particular offering as well as the documents incorporated or deemed to be incorporated by reference before you purchase any of the securities offered hereby.

We may offer and sell these securities in the same offering or in separate offerings; to or through underwriters, dealers, and agents; or directly to purchasers. The names of any underwriters, dealers, or agents involved in the sale of our securities, their compensation and any options to purchase additional securities held by them will be described in the applicable prospectus supplement. None of our securities may be sold without delivery of the applicable prospectus supplement describing the method and terms of the offering of those securities. See “Plan of Distribution.”

Our common stock is listed on the Nasdaq Global Select Market, or Nasdaq, under the symbol “RIGL.” On February 28, 2022, the last reported sale price of our common stock was \$2.51 per share.

This prospectus may not be used to consummate a sale of any securities unless accompanied by a prospectus supplement.

INVESTING IN OUR SECURITIES INVOLVES SIGNIFICANT RISKS. SEE “[RISK FACTORS](#)” BEGINNING ON PAGE 2 OF THIS PROSPECTUS AND IN THE APPLICABLE PROSPECTUS SUPPLEMENT, AS WELL AS THE RISK FACTORS THAT ARE INCORPORATED BY REFERENCE INTO THIS PROSPECTUS AND ANY PROSPECTUS SUPPLEMENT FROM OUR FILINGS WITH THE SECURITIES AND EXCHANGE COMMISSION, BEFORE INVESTING IN ANY OF OUR SECURITIES.

NEITHER THE SECURITIES AND EXCHANGE COMMISSION NOR ANY STATE SECURITIES COMMISSION HAS APPROVED OR DISAPPROVED OF THESE SECURITIES OR PASSED UPON THE ADEQUACY OR ACCURACY OF THIS PROSPECTUS. ANY REPRESENTATION TO THE CONTRARY IS A CRIMINAL OFFENSE.

The date of this prospectus is _____, 2022

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ABOUT THIS PROSPECTUS

This prospectus is part of a registration statement on Form S-3 that we filed with the United States Securities and Exchange Commission, or the SEC, using a “shelf” registration process. Under this shelf registration process, we may, from time to time, offer and sell any combination of the securities described in this prospectus in one or more offerings for an aggregate offering amount of up to \$250,000,000.

This prospectus provides you with a general description of the securities we may offer. Each time we sell securities, we will provide a prospectus supplement that will contain specific information about the terms of that offering. We may also authorize one or more free writing prospectuses to be provided to you that may contain material information relating to these offerings. The prospectus supplement and any related free writing prospectus that we may authorize to be provided to you may also add, update or change any of the information contained in this prospectus or in the documents that we have incorporated by reference into this prospectus. You should carefully read this prospectus, any applicable prospectus supplement and any free writing prospectuses we have authorized for use in connection with a specific offering, together with the information incorporated herein by reference as described under the section titled “Information Incorporated by Reference,” before buying any of the securities in this offering.

This prospectus may not be used to consummate a sale of securities unless it is accompanied by a prospectus supplement.

You should only rely on the information contained or incorporated by reference in this prospectus and any prospectus supplement or related free writing prospectus relating to a particular offering. No person has been authorized to give any information or make any representations in connection with this offering other than those contained or incorporated by reference in this prospectus, any accompanying prospectus supplement and any related free writing prospectus in connection with the offering described herein and therein, and, if given or made, such information or representations must not be relied upon as having been authorized by us. Neither this prospectus nor any prospectus supplement nor any related free writing prospectus shall constitute an offer to sell or a solicitation of an offer to buy offered securities in any jurisdiction in which it is unlawful for such person to make such an offering or solicitation. This prospectus does not contain all of the information included in the registration statement. For a more complete understanding of the offering of the securities, you should refer to the registration statement, including its exhibits.

You should read the entire prospectus and any accompanying prospectus supplement and any related free writing prospectus, as well as the documents incorporated by reference before making an investment decision. Neither the delivery of this prospectus or any prospectus supplement or any related free writing prospectus nor any sale made hereunder shall under any circumstances imply that the information contained or incorporated by reference herein or in any prospectus supplement or related free writing prospectus is correct as of any date subsequent to the date hereof or of such prospectus supplement or related free writing prospectus, as applicable. You should assume that the information appearing in this prospectus, any prospectus supplement or any document incorporated by reference is accurate only as of the date of the applicable documents,

regardless of the time of delivery of this prospectus or any sale of securities. Our business, financial condition, results of operations and prospects may have changed since that date.

Copies of some of the documents referred to herein have been filed, will be filed or will be incorporated by reference as exhibits to the registration statement of which this prospectus is a part, and you may obtain copies of those documents as described below under the section titled “Where You Can Find More Information.”

THE COMPANY

This summary description about us and our business highlights selected information contained elsewhere in this prospectus or incorporated in this prospectus by reference. This summary does not contain all of the information you should consider before buying securities in this offering. You should carefully read this entire prospectus and any applicable prospectus supplement, including each of the documents incorporated herein or therein by reference, before making an investment decision. As used in this prospectus, “Rigel,” “the Company,” “we,” “us,” and “our” refer to Rigel Pharmaceuticals, Inc., a Delaware corporation.

Overview

We are a biotechnology company dedicated to discovering, developing and providing novel small molecule drugs that significantly improve the lives of patients with hematologic disorders, cancer and rare immune diseases. Our pioneering research focuses on signaling pathways that are critical to disease mechanisms. Our first product approved by the U.S. Food and Drug Administration is TAVALISSE® (fostamatinib disodium hexahydrate) tablets, the only approved oral spleen tyrosine kinase (SYK) inhibitor, for the treatment of adult patients with chronic immune thrombocytopenia (ITP) who have had an insufficient response to a previous treatment. The product is also commercially available in Europe, the United Kingdom (TAVLESSE) and Canada (TAVALISSE) for the treatment of chronic ITP in adult patients.

Fostamatinib is currently being studied in a Phase 3 trial for the treatment of warm autoimmune hemolytic anemia (wAIHA); a Phase 3 clinical trial for the treatment of hospitalized high-risk patients with COVID-19; a National Institute of Health (NIH)/National Heart, Lung, and Blood Institute (NHLBI) sponsored Phase 3 trial (ACTIV-4 Host Tissue Trial) for the treatment of COVID-19 in hospitalized patients; and a Phase 2 trial for the treatment of COVID-19 being conducted by Imperial College London.

Our other clinical programs include our interleukin receptor-associated kinase inhibitor program and a receptor-interacting serine/threonine-protein kinase inhibitor program in clinical development with partner Eli Lilly and Company. In addition, we have product candidates in clinical development with partners BerGenBio ASA and Daiichi Sankyo.

Corporate Information

We were incorporated in Delaware in June 1996. Our principal executive office is located at 1180 Veterans Boulevard, South San Francisco, California 94080. Our telephone number is (650) 624-1100. Our website address is www.rigel.com. The information in, or that can be accessed through, our website is not part of, and is not incorporated into, this prospectus and you should not consider it part of this prospectus or part of any prospectus supplement.

Trademarks

Rigel and our logo are some of our tradenames used in this prospectus and in the documents incorporated by reference in this prospectus. This prospectus also includes trademarks, tradenames, and service marks that are the property of other organizations. Solely for convenience, our trademarks and tradenames referred to in this prospectus or in the documents incorporated by reference in this prospectus appear without the ™ or ® symbol, but those references are not intended to indicate, in any way, that we will not assert, to the fullest extent under applicable law, our rights, or the right of the applicable licensor to these trademarks and tradenames.

RISK FACTORS

An investment in our securities involves significant risks. The prospectus supplement applicable to each offering of our securities will contain a discussion of the risks applicable to an investment in our securities. Prior to making a decision about investing in our securities, you should carefully consider the specific factors discussed under the heading “Risk Factors” in the applicable prospectus supplement, together with all of the other information contained or incorporated by reference. You should also consider the risks, uncertainties and assumptions discussed under Item 1A, “Risk Factors,” in our most recent Annual Report on Form 10-K, which is incorporated herein by reference, and may be amended, supplemented or superseded from time to time by other subsequent reports we file with the SEC in the future and by information contained in any prospectus supplement related to a particular offering. The risks and uncertainties we have described are not the only ones we face. Additional risks and uncertainties not presently known to us or that we currently deem immaterial may also affect our business, result of operations and financial conditions and could result in a partial or complete loss of your investment. Please also carefully read the section titled “Forward-Looking Statements.”

FORWARD-LOOKING STATEMENTS

This prospectus, each prospectus supplement and the information incorporated by reference in this prospectus and each prospectus supplement contains and may contain certain statements that constitute “forward-looking statements” within the meaning of Section 27A of the Securities Act of 1933 and Section 21E of the Securities Exchange Act of 1934. The words “aim,” “anticipate,” “assume,” “believe,” “contemplate,” “continue,” “could,” “due,” “estimate,” “expect,” “goal,” “intend,” “may,” “objective,” “plan,” “predict,” “potential,” “seek,” “should,” “target,” “will,” “would” and similar expressions and variations thereof are intended to identify forward-looking statements, but are not the exclusive means of identifying such statements. Those statements appear in this prospectus, any accompanying prospectus supplement and the documents incorporated herein and therein by reference, particularly in the sections entitled “The Company,” “Risk Factors,” “Management’s Discussion and Analysis of Financial Condition and Results of Operations” and “Business,” and include statements regarding the intent, belief or current expectations of the Company and management that are subject to known and unknown risks, uncertainties and assumptions.

This prospectus, any prospectus supplement and the information incorporated by reference in this prospectus and any prospectus supplement also contain statements that are based on the current expectations of the Company and management. You are cautioned that any such forward-looking statements are not guarantees of future performance and involve risks and uncertainties, and that actual results may differ materially from those projected in the forward-looking statements as a result of various factors.

Because forward-looking statements are inherently subject to risks and uncertainties, some of which cannot be predicted or quantified, you should not rely upon forward-looking statements as predictions of future events. The events and circumstances reflected in the forward-looking statements may not be achieved or occur and actual results could differ materially from those projected in the forward-looking statements. The risks, uncertainties and assumptions that could cause actual results to differ materially from those anticipated or implied in our forward-looking statements include, but are not limited to, those set forth above under the section entitled “Risk Factors” and in the applicable prospectus supplement, together with all of the other information contained in or incorporated by reference into the prospectus supplement or appearing or incorporated by reference into this prospectus.

Except as required by applicable law, including the securities laws of the United States and the rules and regulations of the SEC, we do not plan to publicly update or revise any forward-looking statements contained herein after we distribute this prospectus, whether as a result of any new information, future events or otherwise.

USE OF PROCEEDS

Unless otherwise indicated in the prospectus supplement or any free writing prospectuses we have authorized for use in connection with such offering, we will use the net proceeds from the sale of securities offered by this prospectus for general corporate purposes, which may include, among other purposes, working capital, capital expenditures, other corporate expenses and acquisitions of assets, licenses, products, technologies or businesses. The timing and amount of our actual expenditures will be based on many factors, including the anticipated growth of our business. As a result, unless otherwise indicated in the prospectus supplement or any free writing prospectuses, our management will have broad discretion to allocate the net proceeds of the offerings. Pending their ultimate use, we intend to invest the net proceeds in short-term, investment-grade, interest-bearing instruments.

DESCRIPTION OF CAPITAL STOCK

The following description is a general summary of the terms of the shares of common stock or shares of preferred stock that we may issue. The description below and in any prospectus supplement does not include all of the terms of the shares of common stock or shares of preferred stock and should be read together with our Amended and Restated Certificate of Incorporation (the “Certificate of Incorporation”) and Amended and Restated Bylaws (the “Bylaws”). This description also summarizes relevant provisions of the General Corporation Law of the State of Delaware, or “DGCL.” Therefore, please carefully consider the actual provisions of the Certificate of Incorporation and Bylaws, which have been filed with the SEC as exhibits to the registration statement of which this prospectus forms a part, and the DGCL.

General

Our authorized capital stock consists of 400,000,000 shares of common stock, \$0.001 par value per share, and 10,000,000 shares of preferred stock, par value \$0.001 per share.

Common Stock

Dividend rights. Subject to preferences that may apply to shares of preferred stock outstanding at the time, the holders of outstanding shares of our common stock are entitled to receive dividends out of funds legally available if our board of directors, in its discretion, determines to declare dividends and then only at the times and in the amounts that our board of directors may determine.

Voting rights. Each holder of common stock is entitled to one vote for each share of common stock held on all matters submitted to a vote of stockholders. Our Certificate of Incorporation does not provide for the right of stockholders to cumulate votes for the election of directors. Our Certificate of Incorporation establishes a classified board of directors, divided into three classes with staggered three-year terms. Only one class of directors is elected at each annual meeting of our stockholders, with the other classes continuing for the remainder of their respective three-year terms. These provisions in our Certificate of Incorporation could discourage potential takeover attempts. See “Anti-Takeover Effects of Provisions of our Certificate of Incorporation, our Bylaws and Delaware Law” below.

No preemptive or similar rights. Our common stock is not entitled to preemptive rights and is not subject to conversion, redemption or sinking fund provisions. The rights, preferences and privileges of the holders of our common stock are subject to, and may be adversely affected by, the rights of the holders of any series of our preferred stock that we may designate and issue in the future.

Right to receive liquidation distributions. Upon our dissolution, liquidation or winding-up, the assets legally available for distribution to our stockholders are distributable ratably among the holders of our common stock, subject to prior satisfaction of all outstanding debt and liabilities and the preferential rights and payment of liquidation preferences, if any, on any outstanding shares of our preferred stock.

The rights of the holders of our common stock are subject to, and may be adversely affected by, the rights of holders of shares of any preferred stock that we may designate and issue in the future.

Preferred Stock

The following description of preferred stock and the description of the terms of any particular series of preferred stock that we choose to issue hereunder and that will be set forth in the related prospectus supplement are not complete. These descriptions are qualified in their entirety by reference to our Certificate of Incorporation and the certificate of designation relating to any series. The rights, preferences, privileges and restrictions of the preferred stock of each series will be fixed by the certificate of designation relating to that series. The prospectus supplement also will contain a description of certain United States federal income tax consequences relating to the purchase and ownership of the series of preferred stock that is described in the prospectus supplement.

Our board of directors is authorized, subject to limitations prescribed by Delaware law, to issue preferred stock in one or more series, to establish from time to time the number of shares to be included in each series and to fix the designation, powers, preferences and rights of the shares of each series and any of its qualifications, limitations or restrictions. Our board of directors can also increase or decrease the number of shares of any series, but not below the number of shares of that series then outstanding, without

any further vote or action by our stockholders. Our board of directors may authorize the issuance of preferred stock with voting or conversion rights that could adversely affect the voting power or other rights of the holders of the common stock. The issuance of preferred stock, while providing flexibility in connection with financings, possible acquisitions and other corporate purposes, could, among other things, have the effect of delaying, deferring, discouraging or preventing a change in control of our Company, may adversely affect the market price of our common stock and the voting and other rights of the holders of common stock, and may reduce the likelihood that common stockholders will receive dividend payments and payments upon liquidation.

The prospectus supplement for a series of preferred stock will specify, among other things:

- the maximum number of shares;
- the designation of the shares;
- the annual dividend rate, if any, whether the dividend rate is fixed or variable, the date or dates on which dividends will accrue, the dividend payment dates, and whether dividends will be cumulative;
- the price and the terms and conditions for redemption, if any, including redemption at our option or at the option of the holders, including the time period for redemption, and any accumulated dividends or premiums;
- the liquidation preference, if any, and any accumulated dividends upon the liquidation, dissolution or winding up of our affairs;
- any sinking fund or similar provision, and, if so, the terms and provisions relating to the purpose and operation of the fund;
- the terms and conditions, if any, for conversion or exchange of shares of any other class or classes of our capital stock or any series of any other class or classes, or of any other series of the same class, or any other securities or assets, including the price or the rate of conversion or exchange and the method, if any, of adjustment;
- the voting rights; and
- any or all other preferences and relative, participating, optional or other special rights, privileges or qualifications, limitations or restrictions.

Stock Options

As of December 31, 2021, we had outstanding options to purchase 30,008,665 shares of our common stock, with a weighted-average exercise price of \$3.13 per share under our stock option plans.

Anti-Takeover Effects of Provisions of our Certificate of Incorporation, our Bylaws and Delaware Law

Certificate of Incorporation and Bylaws

Our Certificate of Incorporation provides that our board of directors is divided into three classes with staggered three-year terms. Only one class of directors is elected at each annual meeting of our stockholders, with the other classes continuing for the remainder of their respective three-year terms.

Because holders of our common stock do not have cumulative voting rights in the election of directors, stockholders holding a majority of the shares of common stock outstanding are able to elect all of our directors. Our board of directors is able to elect a director to fill a vacancy created by the expansion of the board of directors or due to the resignation or departure of an existing board member. Our Certificate of Incorporation and Bylaws also provide that all stockholder actions must be effected at a duly called meeting of stockholders and not by written consent. Further, our Bylaws provide that a special meeting of stockholders may only be called by the Chairman of our board of directors, our Chief Executive Officer, or the board of directors pursuant to a resolution adopted by a majority of the total number of authorized directors.

In addition, our Bylaws include a requirement for the advance notice of nominations for election to the board of directors or for proposing matters that can be acted upon at a stockholders' meeting. Our Certificate of Incorporation provides for the ability of the board of directors to issue, without stockholder approval, up to 10,000,000 shares of preferred stock with terms set by the board of directors, which rights could be senior to those of our common stock. Our Certificate of Incorporation and Bylaws also provide that approval of at least 66-2/3% of the shares entitled to vote at an election of directors will be required to adopt, amend or repeal our Bylaws, or repeal the provisions of our Certificate of Incorporation, including provisions regarding amending or repealing our Certificate of Incorporation or Bylaws, the election of directors and the inability of stockholders to take action by written consent in lieu of a meeting. Our Bylaws also provide that our board of directors may unilaterally alter, amend, repeal our Bylaws or adopt new bylaws.

The foregoing provisions make it difficult for holders of our common stock to replace our board of directors. In addition, the authorization of undesignated preferred stock makes it possible for our board of directors to issue preferred stock with voting or other rights or preferences that could impede the success of any attempt to change control of our Company.

Section 203 of the DGCL

In general, Section 203 prohibits, with some exceptions, a publicly held Delaware corporation such as us from engaging in a "business combination" with an "interested stockholder" for a period of three years following the time that the stockholder became an interested stockholder, unless:

- prior to the time the stockholder became an interested stockholder, the board of directors of the corporation approved either the business combination or the transaction which resulted in the stockholder becoming an interested stockholder;
- upon consummation of the transaction which resulted in the stockholder becoming an interested stockholder, the interested stockholder owned at least 85% of the voting stock of the corporation outstanding at the time the transaction commenced, excluding for purposes of determining the number of shares outstanding (but not the outstanding voting stock owned by the interested stockholder) those shares owned by (a) persons who are directors and also officers and (b) employee stock plans in which employee participants do not have the right to determine confidentially whether shares held subject to the plan will be tendered in a tender or exchange offer; or
- at or subsequent to such time that the stockholder became an interested stockholder, the business combination is approved by the board of directors and authorized at an annual or special meeting of stockholders by at least 66-2/3% of the outstanding voting stock which is not owned by the interested stockholder.

Section 203 of the DGCL generally defines a "business combination" to include any of the following:

- any merger or consolidation involving the corporation and the interested stockholder;

· any sale, lease, exchange, mortgage, transfer, pledge or other disposition involving the interested stockholder (in one transaction or a series of transactions) of assets of the corporation having an aggregate market value equal to 10% or more of the aggregate market value of either all of the assets of the corporation or its outstanding stock;

- subject to exceptions, any transaction that results in the issuance or transfer by the corporation of any stock of the corporation to the interested stockholder;
- subject to exceptions, any transaction involving the corporation that has the effect, directly or indirectly, of increasing the proportionate share of the stock or any class or series of the corporation beneficially owned by the interested stockholder; and
- the receipt by the interested stockholder of the benefit, directly or indirectly (except proportionately as a stockholder of such corporation), of any loans, advances, guarantees, pledges or other financial benefits, other than certain benefits set forth in Section 203, provided by or through the corporation.

In general, Section 203 defines an “interested stockholder” as an entity or person who, together with the person’s affiliates and associates, beneficially owns, or within three years prior to the time of determination of interested stockholder status did own, 15% or more of the outstanding voting stock of the corporation.

A Delaware corporation may “opt out” of these provisions with an express provision in its original certificate of incorporation or an express provision in its certificate of incorporation or bylaws resulting from a stockholders’ amendment approved by at least a majority of the outstanding voting shares. We do not plan to “opt out” of these provisions. The statute could prohibit or delay mergers or other takeover or change in control attempts and, accordingly, may discourage attempts to acquire us.

Undesignated Preferred Stock

The ability to authorize undesignated preferred stock makes it possible for our board of directors to issue preferred stock with voting or other rights or preferences that could impede the success of any attempt to change control of us. These and other provisions may have the effect of deterring hostile takeovers or delaying changes in control or management of our Company.

Special Stockholder Meetings

Our Bylaws provide that a special meeting of stockholders may be called only by our chairman of the board of directors, the Chief Executive Officer or our board of directors pursuant to a resolution adopted by a majority of the total number of authorized directors.

Requirements for Advance Notification of Stockholder Nominations and Proposals

Our Bylaws establish advance notice procedures with respect to stockholder proposals and the nomination of candidates for election as directors, other than nominations made by or at the direction of the board of directors or a committee of the board of directors.

Elimination of Stockholder Action by Written Consent

Our Certificate of Incorporation and our Bylaws eliminate the right of stockholders to act by written consent without a meeting.

Amendment of Charter Provisions

The amendment of any of the above provisions, except for the provision making it possible for our board of directors to issue preferred stock, would require approval by holders of at least 66 2/3% of the voting power of our then outstanding voting stock.

The provisions of the DGCL, our Certificate of Incorporation and our Bylaws could have the effect of discouraging others from attempting hostile takeovers and, as a consequence, they may also inhibit temporary fluctuations in the market price of our common stock that often result from actual or rumored hostile takeover attempts. These provisions may also have the possible that these provisions could make it more difficult to accomplish transactions that stockholders may otherwise deem to be in their best interests.

The Nasdaq Global Select Market Listing

Our common stock is listed on Nasdaq under the symbol “RIGL.”

Transfer Agent and Registrar

The transfer agent for our common stock is EQ Shareowner Services, 1110 Centre Pointe Curve, Suite 101, Mendota Heights, MN 55120, equiniti.com/us.

DESCRIPTION OF DEBT SECURITIES

We may issue debt securities in one or more distinct series. This section summarizes the material terms of the debt securities that are expected to be common to all series. Most of the financial terms and other specific material terms, as well as any material U.S. federal income tax consequences, of any series of debt securities that we offer will be described in a prospectus supplement. Since the terms of specific debt securities may differ from the general information provided below, you should read both this prospectus and the relevant prospectus supplement and rely on information in the prospectus supplement that supersedes any contrary or inconsistent information below.

As required by federal law for all bonds and notes of companies that are publicly offered, the debt securities will be governed by a document called an “indenture.” An indenture is a contract between us and a financial institution acting as trustee on your behalf. The trustee has two main roles. First, the trustee can enforce your rights against us if we default. There are some limitations on the extent to which the trustee acts on your behalf. Second, the trustee performs certain administrative duties for us.

Senior or subordinated debt securities will be issued by us under an indenture or indentures, as may be supplemented from time to time, between us, as issuer, and the trustee.

The indenture will be subject to and governed by the Trust Indenture Act of 1939, as amended (the “TIA”). The terms “we,” “our” and “us,” when used to refer to an issuer of securities, mean Rigel Pharmaceuticals, Inc.

Because this section is a summary, it does not describe every aspect of the debt securities and the indenture. We urge you to read the indenture because it, and not this description, defines your rights as a holder of debt securities. For example, in this section, we use capitalized words to signify terms that are specifically defined in the indenture. Some of the definitions are repeated in this prospectus, or in the relevant prospectus supplement, but for the rest you will need to read the indenture. See “Where You Can Find More Information” for information on how to locate the indenture and any supplemental indentures that may be filed.

To the extent our term loan remains outstanding, we will need to obtain the consent of our lender in order to issue any debt securities.

General Provisions of the Indenture

Unless otherwise specified in a prospectus supplement for a particular series, the debt securities covered by this prospectus will be direct, unsecured obligations of Rigel. Any senior securities will be unsecured and will rank equally with all other unsecured and unsubordinated indebtedness of Rigel. Any subordinated securities will be unsecured and will be subordinated in right of payment to the prior payment in full of the senior indebtedness of Rigel, as more fully described in a prospectus supplement.

The indenture provides that any debt securities proposed to be sold under this prospectus and an attached prospectus supplement (“offered debt securities”) and any debt securities issuable upon the exercise of debt warrants or upon conversion or exchange of other offered securities (“underlying debt securities”), as well as other debt securities, may be issued under the indenture in one or more series. Any secured indebtedness of ours will rank ahead of the debt securities to the extent of the value of the assets securing such indebtedness.

You should read the prospectus supplement for the material terms of the offered debt securities and any underlying debt securities, including the following:

- the title of the debt securities and whether the debt securities will be senior securities or subordinated securities of Rigel;
 - the total principal amount of the debt securities of the series and any limit on such total principal amount;
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- if not the principal amount of the debt securities, the portion of the principal amount payable upon acceleration of the maturity of the debt securities or how this portion will be determined;
 - the date or dates, or how the date or dates will be determined or may be extended, when the principal of the debt securities will be payable;
 - the interest rate or rates, which may be fixed or variable, that the debt securities will bear, if any, or how the rate or rates will be determined, the date or dates from which any interest will accrue or how the date or dates will be determined, the interest payment dates, any record dates for these payments, whether payments of interest will be made in cash or in kind and the basis upon which interest will be calculated if other than that of a 360-day year of twelve 30-day months;
 - any optional redemption provisions;
 - any sinking fund or other provisions that would obligate us to repurchase or otherwise redeem the debt securities;
 - the form in which we will issue the debt securities and whether we will have the option of issuing debt securities in “certificated” form;
 - if other than U.S. dollars, the currency or currencies in which the debt securities are denominated and/or payable;
 - whether the amount of payments of principal, premium or interest, if any, on the debt securities will be determined with reference to an index, formula or other method (which index, formula or method may be based, without limitation, on one or more currencies, commodities, equity indices or other indices), and how these amounts will be determined;
 - the place or places, if any, other than or in addition to The City of New York, of payment, transfer, conversion and/or exchange of the debt securities;
 - if other than minimum denominations of \$2,000 or any integral multiple of \$1,000 above the minimum denomination in the case of registered securities issued in certificated form, the denominations in which the offered debt securities will be issued;
 - if the provisions of Article Fourteen of the indenture described under “defeasance” are not applicable and any provisions in modification of, in addition to or in lieu of any of these provisions;
 - whether and under what circumstances we will pay additional amounts, in respect of any tax, assessment or governmental charge and, if so, whether we will have the option to redeem the debt securities rather than pay the additional amounts (and the terms of this option);
 - whether the debt securities are subordinated and the terms of such subordination;
 - any provisions granting special rights to the holders of the debt securities upon the occurrence of specified events;
 - any changes or additions to the Events of Default or covenants contained in the applicable indenture;
 - whether the debt securities will be convertible into or exchangeable for any other securities and the applicable terms and conditions;
 - whether the debt securities are guaranteed; and
 - any other material terms of the debt securities.

For purposes of this prospectus, any reference to the payment of principal of or premium or interest, if any, on the debt securities will include additional amounts if required by the terms of the debt securities.

The indenture does not limit the amount of debt securities that may be issued thereunder from time to time. Debt securities issued under the indenture when a single trustee is acting for all debt securities issued under the indenture are called the “indenture securities.” The indenture also provides that there may be more than one trustee thereunder, each with respect to one or more different series of indenture securities. See “—Resignation of Trustee” below. At a time when two or more trustees are acting under the indenture, each with respect to only certain series, the term “indenture securities” means the one or more series of debt securities with respect to which each respective trustee is acting. In the event that there is more than one trustee under the indenture, the powers and trust obligations of each trustee described in this prospectus will extend only to the one or more series of indenture securities for which it is trustee. If two or more trustees are acting under the indenture, then the indenture securities for which each trustee is acting would be treated as if issued under separate indentures.

The indenture does not contain any provisions that give you protection in the event we issue a large amount of debt, we repurchase a significant amount of equity or effect a recapitalization, or we are acquired by another entity.

We refer you to the applicable prospectus supplement for information with respect to any deletions from, modifications of or additions to the Events of Default or our covenants that are described below, including any addition of a covenant or other provision providing event risk or similar protection.

We have the ability to issue indenture securities with terms different from those of indenture securities previously issued and, without the consent of the holders thereof, to reopen a previous issue of a series of indenture securities and issue additional indenture securities of that series unless the reopening was restricted when that series was created. Any additional indenture securities, together with all other outstanding indenture securities of that series, will constitute a single series of indenture securities under the indenture.

Unless otherwise specified in the applicable prospectus supplement, the debt securities will be denominated in U.S. dollars and all payments on the debt securities will be made in U.S. dollars.

Payment of the purchase price of the debt securities must be made in immediately available funds.

The authorized denominations of debt securities denominated in U.S. dollars will be a minimum denomination of \$2,000 and integral multiples of \$1,000 above the minimum denomination. The authorized denominations of foreign currency notes will be set forth in the applicable prospectus supplement.

Interest and Interest Rates

Each debt security will begin to accrue interest from the date it is originally issued. The related prospectus supplement will describe the method of determining the interest rate.

Payment and Paying Agents

We will pay interest to the person listed in the trustee’s records as the owner of the debt security at the close of business on a particular day in advance of each regularly scheduled date for interest, even if that person no longer owns the debt security on the interest due date. That day, typically set at a date approximately two weeks prior to the interest due date, is called the “record date.” Because we will pay all the interest for an interest period to the holders on the record date, holders buying and selling debt securities must work out between themselves the appropriate purchase price. The most common manner is to adjust the sales price of the debt securities to prorate interest fairly between buyer and seller based on their respective ownership periods within the particular interest period. This prorated interest amount is called “accrued interest.”

Payments on Global Securities

We will make payments on a global security in accordance with the applicable policies of the depository as in effect from time to time. Under those policies, we will make payments directly to the depository, or its nominee, and not to any indirect holders who own beneficial interests in the global security. An indirect holder’s right to those payments will be governed by the rules and practices of the depository and its participants.

Payments on Certificated Debt Securities

We will make payments on a certificated debt security as follows. We will pay interest that is due on an interest payment date by check mailed on the interest payment date to the holder at his or her address shown on the trustee’s records as of the close of business on the regular record date. We will make payments of principal and premium, if any, duly and punctually to the office of the trustee.

Alternatively, if the holder asks us to do so, we may pay any amount that becomes due on the debt security by wire transfer of immediately available funds to an account at a bank in New York City, on the due date. To request payment by wire, the holder must give the trustee or other paying agent appropriate transfer instructions at least 15 calendar days before the requested wire payment is due. In the case of any interest payment due on an interest payment date, the instructions must be given by the person who is the holder on the relevant regular record date. Any wire instructions, once properly given, will remain in effect unless and until new instructions are given in the manner described above. In addition, see the description under “—Interest and Interest Rates.”

Material Covenants

The prospectus supplement relating to a particular series of debt securities that we offer will describe the material covenants included in the indenture and will be subject to negotiation between the holder and us. Such material covenants may include covenants with respect to payments of principal, premium (if any) and interest and limitations or restrictions with respect to a consolidation, merger sale or conveyance of us, restrictions on liens, or other covenants, limitations or restrictions on us.

Events of Default

An event of default with respect to the debt securities of any series in the indenture includes:

- (a) default for 30 days in payment of any interest on the debt securities of such series when it becomes due and payable;
- (b) default in payment of principal of or any premium on the debt securities of such series at maturity or upon redemption or repayment when the same becomes due and payable;
- (c) default by us in the performance of any other covenant contained in the applicable indenture for the benefit of the debt securities of such series that has not been remedied by the end of a specified period of time after notice is given as specified in the indenture; and

- (d) certain events of bankruptcy, insolvency and reorganization of Rigel.

The prospectus supplement relating to a particular series of debt securities that we offer will describe the events of default included in the indenture and will be subject to negotiation between the holder and us.

Modification of the Indenture

We and the trustee may, without the consent of the holders of the debt securities issued under such indenture, enter into supplemental indentures for, among others, one or more of the following purposes:

- to evidence the succession of another corporation to us and the assumption by such successor of its obligations under the indenture and the debt securities;
- to add covenants of Rigel or surrender any of its rights, or add any rights for the benefit of the holders of debt securities;
- to cure any ambiguity, omission, defect or inconsistency in such indenture;
- to establish the form or terms of any other series of debt securities, including any subordinated securities;
- to comply with requirements of the SEC in order to maintain the qualification of the indenture under the TIA;
- to evidence and provide the acceptance of any successor trustee with respect to the debt securities or one or more other series of debt securities under the indenture or to facilitate the administration of the trusts thereunder by one or more trustees in accordance with the indenture;
- to provide any additional events of default;
- to add to, change or eliminate any of the provisions of the indentures in respect of one or more series of debt securities, provided that any such addition, change or elimination, shall become effective only when there is no security outstanding of any series created prior to the adoption of such addition, change or elimination;
- to make any provisions with respect to the optional conversion rights of holders, including providing for the conversion of the debt securities into any other security or securities of ours, provided that such provisions are not adverse to the interests of the holders of any debt securities then outstanding;
- to add any guarantee of one or more series of the debt securities; or
- to supplement any of the provisions of the indenture to such extent as shall be necessary to permit or facilitate the defeasance and discharge of any series of debt securities pursuant to the indenture; provided that any such action shall not adversely affect the interests of the holders of debt securities of such series and any related coupons or any other series of securities in any material respect.

With certain exceptions, the indenture or the rights of the holders of the debt securities may be modified by us and the trustee with the consent of the holders of a majority in aggregate principal amount of the debt securities then outstanding affected thereby, but no such modification may be made without the consent of the holder of each outstanding note affected thereby that would:

- change the maturity of the principal of, or any premium on, or any installment of principal of or interest on any debt securities, or reduce the principal amount or any premium or the rate or manner of calculating interest or any premium payable upon redemption or repayment of any debt securities, or change the dates or periods for any redemption or repayment or change any place of payment where, or the coin or currency in which, any principal, premium or interest is payable, or impair the right to institute suit for the enforcement of any such payment on or after the maturity thereof (or, in the case of redemption or repayment, on or after the redemption or repayment date);
- reduce the percentage in principal amount of the outstanding debt securities, the consent of whose holders is required for any such modification, or the consent of whose holders is required for any waiver of compliance with certain provisions of the indenture or certain defaults thereunder and their consequences provided for in the indenture; or
- modify any of the provisions of certain sections of the indenture, including the provisions summarized in this paragraph, except to increase any such percentage or to provide that certain other provisions of the indenture cannot be modified or waived without the consent of the holder of each of the outstanding debt securities affected thereby.

Defeasance

The following provisions will be applicable to each series of debt securities unless we state in the applicable prospectus supplement that the provisions of covenant defeasance and full defeasance will not be applicable to that series.

Covenant Defeasance

Under current United States federal tax law, we can make the deposit described below and be released from some of the restrictive covenants in the indenture under which the particular series was issued. This is called "covenant defeasance." In that event, you would lose the protection of those restrictive covenants but would gain the protection of having money and government securities set aside in trust to repay your debt securities. In order to achieve covenant defeasance, we must do the following:

- deposit in trust for the benefit of all holders of such debt securities a combination of money and government or government agency debt securities or bonds in the relevant currency that will generate enough cash to make interest, principal and any other payments on the debt securities of such series in the relevant currency on their various due dates; and
- deliver to the trustee a legal opinion of our counsel confirming that, under current United States federal income tax law, we may make the above deposit without causing you to be taxed on the debt securities of such series any differently than if we did not make the deposit and just repaid such debt securities ourselves at maturity.

If we accomplish covenant defeasance, you can still look to us for repayment of the debt securities if there were a shortfall in the trust deposit or the trustee is prevented from making payment. In fact, if one of the remaining Events of Default occurred (such as our bankruptcy) and the debt securities became immediately due and payable, there might be a shortfall. Depending on the event causing the default, you may not be able to obtain payment of the shortfall.

Full Defeasance

If there is a change in United States federal tax law, as described below, we can legally release ourselves from all payment and other obligations on the debt securities of a particular series (called “full defeasance”) if we put in place the following other arrangements for you to be repaid:

- we must deposit in trust for the benefit of all holders of the debt securities of such series a combination of money and government or government agency debt securities or bonds in the relevant currency that will generate enough cash to make interest, principal and any other payments on the debt securities of such series in the relevant currency on their various due dates; and
- we must deliver to the trustee a legal opinion confirming that there has been a change in current United States federal tax law or an Internal Revenue Service ruling that allows us to make the above deposit without causing you to be taxed on the debt securities of such series any differently than if we did not make the deposit and just repaid such debt securities ourselves at maturity. Under current United States federal tax law, the deposit and our legal release from the debt securities of such series would be treated as though we paid you your share of the cash and debt securities or bonds at the time the cash and debt securities or bonds were deposited in trust in exchange for your debt securities and you would recognize gain or loss on your debt securities at the time of the deposit.

If we ever did accomplish full defeasance, as described above, you would have to rely solely on the trust deposit for repayment of the debt securities of such series. You could not look to us for repayment in the unlikely event of any shortfall. Conversely, the trust deposit would most likely be protected from claims of our lenders and other creditors if we ever became bankrupt or insolvent.

Covenant defeasance and full defeasance are both subject to certain conditions, such as no default or event of default occurring and continuing, and no breach of any material agreement.

Discharge of the Indenture

We may satisfy and discharge our obligations under the indenture by delivering to the trustee for cancellation all outstanding debt securities or by depositing with the trustee or the paying agent after the debt securities have become due and payable, whether at stated maturity, or any redemption or repayment date, or otherwise, cash sufficient to pay all of the outstanding debt securities and paying all other sums payable under the indenture.

No Personal Liability of Directors, Officers, Employees and Stockholders

No recourse for the payment of the principal or premium, if any, or interest on any debt security or any coupons appertaining thereto, or for any claim based thereon or otherwise in respect thereof, and no recourse under or upon any obligation, covenant or agreement of ours in the indenture or in any supplemental indenture, or in any debt security or any coupons appertaining thereto, or because of the creation of any indebtedness represented thereby, shall be had against any director, officer, employee, or stockholder as such, past, present or future, of ours or any of our affiliates or any successor person, either directly or through us or any of our affiliates or any successor person, whether by virtue of any constitution, statute or rule of law, or by the enforcement of any assessment or penalty or otherwise; it being expressly understood that all such liability is hereby expressly waived and released as a condition of, and as a consideration for, the execution of the indenture and the issue of the debt securities.

Governing Law

New York law will govern the indenture and the debt securities.

Form, Exchange and Transfer of Certificated Debt Securities

If registered debt securities cease to be issued in book-entry form, they will be issued:

- only in fully registered certificated form,
- without interest coupons, and
- unless we indicate otherwise in the prospectus supplement, in a minimum denomination of \$2,000 and amounts above the minimum denomination that are integral multiples of \$1,000.

Holders may exchange their certificated debt securities of smaller denominations or combined into fewer debt securities of larger denominations, as long as the total principal amount is not changed.

Holders may exchange or transfer their certificated debt securities at the office of the trustee. We have appointed the trustee to act as our agent for registering debt securities in the names of holders transferring debt securities. We may appoint another entity to perform these functions or perform them ourselves.

Holders will not be required to pay a service charge to transfer or exchange their certificated securities, but they may be required to pay any tax or other governmental charge associated with the transfer or exchange. The transfer or exchange will be made only if our transfer agent is satisfied with the holder’s proof of legal ownership.

If we have designated additional transfer agents for your debt security, they will be named in the applicable prospectus supplement. We may appoint additional transfer agents or cancel the appointment of any particular transfer agent. We may also approve a change in the office through which any transfer agent acts.

If any certificated debt securities of a particular series are redeemable and we redeem less than all the debt securities of that series, we may block the transfer or exchange of those debt securities during the period beginning 15 days before the day we mail the notice of redemption and ending on the day of that mailing, in order to freeze the list of holders to prepare the mailing. We may also refuse to register transfers or exchanges of any certificated debt securities selected for redemption, except that we will continue to permit transfers and exchanges of the unredeemed portion of any debt security that will be partially redeemed.

If a registered debt security is issued in book-entry form, only the depository will be entitled to transfer and exchange the debt security as described in this subsection, since it will be the sole holder of the debt security.

Resignation of Trustee

The trustee may resign or be removed at any time with respect to one or more series of indenture securities provided that a successor trustee is appointed to act with respect to these series. In the event that two or more persons are acting as trustee with respect to different series of indenture securities under the indenture, each of the trustees will be a trustee of a trust separate and apart from the trust administered by any other trustee.

The Trustee under the Indenture

The trustee may be one of a number of banks with which we maintain ordinary banking relationships and from which we may obtain credit facilities and lines of credit in the future. The trustee may also serve as trustee under other indentures under which we are the obligor in the future.

DESCRIPTION OF WARRANTS

We may issue warrants for the purchase of our preferred stock, common stock, debt securities or any combination thereof. Warrants may be issued independently or together with our preferred stock, common stock or debt securities and may be attached to or separate from any offered securities. Each series of warrants will be issued under a separate warrant agreement to be entered into between us and a bank or trust company, as warrant agent. The warrant agent will act solely as our agent in connection with the warrants. The warrant agent will not have any obligation or relationship of agency or trust for or with any holders or beneficial owners of warrants. This summary of certain provisions of the warrants is not complete. For the terms of a particular series of warrants, you should refer to the prospectus supplement for that series of warrants and the warrant agreement for that particular series.

The prospectus supplement relating to a particular series of warrants to purchase such securities will describe the terms of the warrants, including the following:

- the title of the warrants;
- the offering price for the warrants, if any;
- the aggregate number of warrants;
- the designation and terms of the securities that may be purchased upon exercise of the warrants;
- if applicable, the designation and terms of the securities with which the warrants are issued and the number of warrants issued with each security;
- if applicable, the date from and after which the warrants and any securities issued with the warrants will be separately transferable;
- whether the warrants will be issued in registered form or bearer form;
- information with respect to book entry procedures, if any;
- the number and basic terms of the securities that may be purchased upon exercise of a warrant and the exercise price for the warrants;
- the dates on which the right to exercise the warrants shall commence and expire;
- if applicable, the minimum or maximum amount of the warrants that may be exercised at any one time;
- the currency or currency units in which the offering price, if any, and the exercise price are payable;
- if applicable, a discussion of material U.S. federal income tax considerations;
- the antidilution provisions of the warrants, if any;
- the redemption or call provisions, if any, applicable to the warrants;
- any provisions with respect to the holder's right to require us to repurchase the warrants upon a change in control or similar event; and
- any additional terms of the warrants, including procedures, and limitations relating to the exchange, exercise and settlement of the warrants.

Holders of equity warrants will not be entitled:

- to vote, consent or receive dividends;
- receive notice as stockholders with respect to any meeting of stockholders for the election of our directors or any other matter; or
- exercise any rights as stockholders of us.

Holders of warrants to purchase debt securities will not be entitled to payments of principal of, or any premium or interest on, the debt securities purchasable on exercise, or to exercise any of the rights of the holders of such debt securities until such warrant is exercised.

Exercise of Warrants

Each warrant will entitle the holder to purchase the securities that we specify in the applicable prospectus supplement at the exercise price that we describe in the applicable prospectus supplement. Unless we otherwise specify in the applicable prospectus supplement, holders of the warrants may exercise the warrants at any time up to the specified time on the expiration date that we set forth in the applicable prospectus supplement. After the close of business on the expiration date, unexercised warrants will become void.

Holders of the warrants may exercise the warrants by delivering the warrant certificate representing the warrants to be exercised together with specified information, and paying the required amount to the warrant agent in immediately available funds, as provided in the applicable prospectus supplement. We will set forth on the reverse side of the warrant certificate and in the applicable prospectus supplement the information that the holder of the warrant will be required to deliver to the warrant agent.

Upon receipt of the required payment and the warrant certificate properly completed and duly executed at the corporate trust office of the warrant agent or any other office indicated in the applicable prospectus supplement, we will issue and deliver the securities purchasable upon such exercise. If fewer than all of the warrants represented by the warrant certificate are exercised, then we will issue a new warrant certificate for the remaining amount of warrants. If we so indicate in the applicable prospectus supplement, holders of the warrants may surrender securities as all or part of the exercise price for warrants.

Enforceability of Rights by Holders of Warrants

Each warrant agent will act solely as our agent under the applicable warrant agreement and will not assume any obligation or relationship of agency or trust with any holder of any warrant. A single bank or trust company may act as warrant agent for more than one issue of warrants. A warrant agent will have no duty or responsibility in case of any default by us under the applicable warrant agreement or warrant, including any duty or responsibility to initiate any proceedings at law or otherwise, or to make any demand upon us. Any holder of a warrant may, without the consent of the related warrant agent or the holder of any other warrant, enforce by appropriate legal action its right to exercise, and receive the securities purchasable upon exercise of, its warrants.

LEGAL OWNERSHIP OF SECURITIES

We can issue securities in registered form or in the form of one or more global securities. We describe global securities in greater detail below. We refer to those persons who have securities registered in their own names on the books that we or any applicable trustee or depository or warrant agent maintain for this purpose as the “holders” of those securities. These persons are the legal holders of the securities. We refer to those persons who, indirectly through others, own beneficial interests in securities that are not registered in their own names, as “indirect holders” of those securities. As we discuss below, indirect holders are not legal holders, and investors in securities issued in book-entry form or in street name will be indirect holders.

Book-Entry Holders

We may issue securities in book-entry form only, as we will specify in the applicable prospectus supplement. This means securities may be represented by one or more global securities registered in the name of a financial institution that holds them as depository on behalf of other financial institutions that participate in the depository’s book-entry system. These participating institutions, which are referred to as participants, in turn, hold beneficial interests in the securities on behalf of themselves or their customers.

Only the person in whose name a security is registered is recognized as the holder of that security. Global securities will be registered in the name of the depository or its participants. Consequently, for global securities, we will recognize only the depository as the holder of the securities, and we will make all payments on the securities to the depository. The depository passes along the payments it receives to its participants, which in turn pass the payments along to their customers who are the beneficial owners. The depository and its participants do so under agreements they have made with one another or with their customers; they are not obligated to do so under the terms of the securities.

As a result, investors in a global security will not own securities directly. Instead, they will own beneficial interests in a global security, through a bank, broker or other financial institution that participates in the depository’s book-entry system or holds an interest through a participant. As long as the securities are issued in global form, investors will be indirect holders, and not legal holders, of the securities.

Street Name Holders

We may terminate a global security or issue securities that are not issued in global form. In these cases, investors may choose to hold their securities in their own names or in “street name.” Securities held by an investor in street name would be registered in the name of a bank, broker or other financial institution that the investor chooses, and the investor would hold only a beneficial interest in those securities through an account he or she maintains at that institution.

For securities held in street name, we or any applicable trustee or depository will recognize only the intermediary banks, brokers and other financial institutions in whose names the securities are registered as the holders of those securities, and we or any such trustee or depository will make all payments on those securities to them. These institutions pass along the payments they receive to their customers who are the beneficial owners, but only because they agree to do so in their customer agreements or because they are legally required to do so. Investors who hold securities in street name will be indirect holders, not legal holders, of those securities.

Legal Holders

Our obligations, as well as the obligations of any applicable trustee or third party employed by us or a trustee, run only to the legal holders of the securities. We do not have obligations to investors who hold beneficial interests in global securities, in street name or by any other indirect means. This will be the case whether an investor chooses to be an indirect holder of a security or has no choice because we are issuing the securities only in global form.

For example, once we make a payment or give a notice to the holder, we have no further responsibility for the payment or notice even if that holder is required, under agreements with its participants or customers or by law, to pass it along to the indirect holders but does not do so.

Special Considerations for Indirect Holders

If you hold securities through a bank, broker or other financial institution, either in book-entry form because the securities are represented by one or more global securities or in street name, you should check with your own institution to find out:

- how it handles securities payments and notices;
- whether it imposes fees or charges;
- how it would handle a request for the holders' consent, if ever required;
- whether and how you can instruct it to send you securities registered in your own name so you can be a legal holder, if that is permitted in the future;
- how it would exercise rights under the securities if there were a default or other event triggering the need for holders to act to protect their interests; and
- if the securities are in book-entry form, how the depository's rules and procedures will affect these matters.

Global Securities

A global security is a security that represents one or any other number of individual securities held by a depository. Generally, all securities represented by the same global securities will have the same terms.

Each security issued in book-entry form will be represented by a global security that we issue to, deposit with and register in the name of a financial institution or its nominee that we select. The financial institution that we select for this purpose is called the depository. Unless we specify otherwise in the applicable prospectus supplement, The Depository Trust Company, New York, New York, known as DTC, will be the depository for all securities issued in book-entry form.

A global security may not be transferred to or registered in the name of anyone other than the depository, its nominee or a successor depository, unless special termination situations arise. We describe those situations below under "—Special Situations When A Global Security Will Be Terminated." As a result of these arrangements, the depository, or its nominee, will be the sole registered owner and legal holder of all securities represented by a global security, and investors will be permitted to own only beneficial interests in a global security. Beneficial interests must be held by means of an account with a broker, bank or other financial institution that in turn has an account with the depository or with another institution that does. Thus, an investor whose security is represented by a global security will not be a legal holder of the security, but only an indirect holder of a beneficial interest in the global security.

If the prospectus supplement for a particular security indicates that the security will be issued as a global security, then the security will be represented by a global security at all times unless and until the global security is terminated. If termination occurs, we may issue the securities through another book-entry clearing system or decide that the securities may no longer be held through any book-entry clearing system.

Special Considerations For Global Securities

As an indirect holder, an investor's rights relating to a global security will be governed by the account rules of the investor's financial institution and of the depository, as well as general laws relating to securities transfers. We do not recognize an indirect holder as a holder of securities and instead deal only with the depository that holds the global security.

If securities are issued only as global securities, an investor should be aware of the following:

- an investor cannot cause the securities to be registered in his or her name, and cannot obtain non-global certificates for his or her interest in the securities, except in the special situations we describe below;
- an investor will be an indirect holder and must look to his or her own bank or broker for payments on the securities and protection of his or her legal rights relating to the securities, as we describe above;
- an investor may not be able to sell interests in the securities to some insurance companies and to other institutions that are required by law to own their securities in non-book-entry form;
- an investor may not be able to pledge his or her interest in the global security in circumstances where certificates representing the securities must be delivered to the lender or other beneficiary of the pledge in order for the pledge to be effective;
- the depository's policies, which may change from time to time, will govern payments, transfers, exchanges and other matters relating to an investor's interest in the global security. We and any applicable trustee have no responsibility for any aspect of the depository's actions or for its records of ownership interests in the global security. We and the trustee also do not supervise the depository in any way;
- the depository may, and we understand that DTC will, require that those who purchase and sell interests in the global security within its book-entry system use immediately available funds, and your broker or bank may require you to do so as well; and
- financial institutions that participate in the depository's book-entry system, and through which an investor holds its interest in the global security, may also have their own policies affecting payments, notices and other matters relating to the securities. There may be more than one financial intermediary in the chain of ownership for an investor. We do not monitor and are not responsible for the actions of any of those intermediaries.

Special Situations When a Global Security Will Be Terminated

In a few special situations described below, a global security will terminate and interests in it will be exchanged for physical certificates representing those interests. After that exchange, the choice of whether to hold securities directly or in street name will be up to the investor. Investors must consult their own banks or brokers to find out how to have their interests in securities transferred to their own names, so that they will be direct holders. We have described the rights of holders and street name investors above.

A global security will terminate when the following special situations occur:

- if the depository notifies us that it is unwilling, unable or no longer qualified to continue as depository for that global security and we do not appoint another institution to act as depository within 90 days;
- if we notify any applicable trustee that we wish to terminate that global security; or

· if an event of default has occurred with regard to securities represented by that global security and has not been cured or waived.

The applicable prospectus supplement may also list additional situations for terminating a global security that would apply only to the particular series of securities covered by the prospectus supplement. When a global security terminates, the depository, and neither we nor any applicable trustee, is responsible for deciding the names of the institutions that will be the initial direct holders.

PLAN OF DISTRIBUTION

We may sell the securities offered through this prospectus in primary offerings (1) to or through underwriters or dealers, (2) directly to purchasers, including our affiliates, (3) through agents, or (4) through a combination of any these methods. The securities may be distributed at a fixed price or prices, which may be changed, market prices prevailing at the time of sale, prices related to the prevailing market prices, or negotiated prices. The prospectus supplement will include the following information:

- the terms of the offering;
- the names of any underwriters or agents;
- the name or names of any managing underwriter or underwriters;
- the purchase price of the securities;
- the net proceeds from the sale of the securities;
- any delayed delivery arrangements;
- any underwriting discounts, commissions and other items constituting underwriters' compensation;
- any initial public offering price;
- any discounts or concessions allowed or reallocated or paid to dealers; and
- any commissions paid to agents.

Sale through Underwriters or Dealers

If underwriters are used in the sale, the underwriters will acquire the securities for their own account, including through underwriting, purchase, security lending or repurchase agreements with us. The underwriters may resell the securities from time to time in one or more transactions, including negotiated transactions. Underwriters may sell the securities in order to facilitate transactions in any of our other securities (described in this prospectus or otherwise), including other public or private transactions and short sales. Underwriters may offer securities to the public either through underwriting syndicates represented by one or more managing underwriters or directly by one or more firms acting as underwriters. Unless otherwise indicated in the prospectus supplement, the obligations of the underwriters to purchase the securities will be subject to certain conditions, and the underwriters will be obligated to purchase all the offered securities if they purchase any of them. The underwriters may change from time to time any initial public offering price and any discounts or concessions allowed or reallocated or paid to dealers. The prospectus supplement will include the names of the principal underwriters, the respective amount of securities underwritten, the nature of the obligation of the underwriters to take the securities and the nature of any material relationship between an underwriter and us.

If dealers are used in the sale of securities offered through this prospectus, we will sell the securities to them as principals. They may then resell those securities to the public at varying prices determined by the dealers at the time of resale. The prospectus supplement will include the names of the dealers and the terms of the transaction.

Direct Sales and Sales through Agents

We may sell the securities offered through this prospectus directly. In this case, no underwriters or agents would be involved. Such securities may also be sold through agents designated from time to time. The prospectus supplement will name any agent involved in the offer or sale of the offered securities and will describe any commissions payable to the agent by us. Unless otherwise indicated in the prospectus supplement, any agent will agree to use its reasonable best efforts to solicit purchases for the period of its appointment.

We may sell the securities directly to institutional investors or others who may be deemed to be underwriters within the meaning of the Securities Act with respect to any sale of those securities. The terms of any such sales will be described in the prospectus supplement.

Delayed Delivery Contracts

If the prospectus supplement indicates, we may authorize agents, underwriters or dealers to solicit offers from certain types of institutions to purchase securities at the public offering price under delayed delivery contracts. These contracts would provide for payment and delivery on a specified date in the future. The contracts would be subject only to those conditions described in the prospectus supplement. The applicable prospectus supplement will describe the commission payable for solicitation of those contracts.

Market Making, Stabilization and Other Transactions

Unless the applicable prospectus supplement states otherwise, each series of offered securities will be a new issue and will have no established trading market. We may elect to list any series of offered securities on an exchange. Any underwriters that we use in the sale of offered securities may make a market in such securities, but may discontinue such market making at any time without notice. Therefore, we cannot assure you that the securities will have a liquid trading market.

Any underwriter may also engage in stabilizing transactions, syndicate covering transactions and penalty bids in accordance with Rule 104 under the Securities Exchange Act of 1934, as amended. Stabilizing transactions involve bids to purchase the underlying security in the open market for the purpose of pegging, fixing or maintaining the price of the securities. Syndicate covering transactions involve purchases of the securities in the open market after the distribution has been completed in order to cover syndicate short positions.

Penalty bids permit the underwriters to reclaim a selling concession from a syndicate member when the securities originally sold by the syndicate member are purchased in a syndicate covering transaction to cover syndicate short positions. Stabilizing transactions, syndicate covering transactions and penalty bids may cause the price of the securities to be higher than it would be in the absence of the transactions. The underwriters may, if they commence these transactions, discontinue them at any time.

Derivative Transactions and Hedging

We, the underwriters or other agents may engage in derivative transactions involving the securities. These derivatives may consist of short sale transactions and other hedging activities. The underwriters or agents may acquire a long or short position in the securities, hold or resell securities acquired and purchase options or futures on the securities and other derivative instruments with returns linked to or related to changes in the price of the securities. In order to facilitate these derivative transactions, we may enter into security lending or repurchase agreements with the underwriters or agents. The underwriters or agents may affect the derivative transactions through sales of the securities to the public, including short sales, or by lending the securities in order to facilitate short sale transactions by others. The underwriters or agents may also use the securities purchased or borrowed from us or others (or, in the case of derivatives, securities received from us in settlement of those derivatives) to directly or indirectly settle sales of the securities or close out any related open borrowings of the securities.

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Electronic Auctions

We may also make sales through the Internet or through other electronic means. Since we may from time to time elect to offer securities directly to the public, with or without the involvement of agents, underwriters or dealers, utilizing the Internet or other forms of electronic bidding or ordering systems for the pricing and allocation of such securities, you should pay particular attention to the description of that system we will provide in a prospectus supplement.

Such electronic system may allow bidders to directly participate, through electronic access to an auction site, by submitting conditional offers to buy that are subject to acceptance by us, and which may directly affect the price or other terms and conditions at which such securities are sold. These bidding or ordering systems may present to each bidder, on a so-called “real-time” basis, relevant information to assist in making a bid, such as the clearing spread at which the offering would be sold, based on the bids submitted, and whether a bidder’s individual bids would be accepted, prorated or rejected.

Upon completion of such an electronic auction process, securities will be allocated based on prices bid, terms of bid or other factors. The final offering price at which securities would be sold and the allocation of securities among bidders would be based in whole or in part on the results of the Internet or other electronic bidding process or auction.

General Information

Agents, underwriters, and dealers may be entitled, under agreements entered into with us, to indemnification by us against certain liabilities, including liabilities under the Securities Act.

LEGAL MATTERS

The validity of the securities being offered by this prospectus, and any supplement thereto, will be passed upon for us by Sidley Austin LLP. Additional legal matters may be passed upon for us or any underwriters, dealers or agents, by counsel that we will name in the applicable prospectus supplement.

EXPERTS

Ernst & Young LLP, independent registered public accounting firm, has audited our financial statements included in our Annual Report on Form 10-K for the year ended December 31, 2021, and the effectiveness of our internal control over financial reporting as of December 31, 2021, as set forth in their reports, which are 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 reports, given on their authority as experts in accounting and auditing.

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WHERE YOU CAN FIND MORE INFORMATION

We file annual, quarterly and other reports, proxy statements and other information with the SEC. Our SEC filings are available to the public over the Internet at the SEC’s website at <http://www.sec.gov>. Our Annual Report on Form 10-K, Quarterly Reports on Form 10-Q, and Current Reports on Form 8-K, including any amendments to those reports, and other information that we file with or furnish to the SEC pursuant to Section 13(a) or 15(d) of the Exchange Act can also be accessed free of charge through the Internet. These filings will be available as soon as reasonably practicable after we electronically file such material with, or furnish it to, the SEC.

We have filed with the SEC a registration statement on Form S-3 under the Securities Act of 1933 relating to the offering of these securities. The registration statement, including the attached exhibits, contains additional relevant information about us and the securities. This prospectus does not contain all of the information set forth in the registration statement. The registration statement and the documents referred to below under “Information Incorporated by Reference” are also available on our Internet website. We have not incorporated by reference into this prospectus the information on our website, and you should not consider it to be a part of this prospectus.

INFORMATION INCORPORATED BY REFERENCE

The SEC allows us to incorporate by reference into this prospectus certain information we file with it, which means that we can disclose important information by referring you to those documents. The information incorporated by reference is considered to be a part of this prospectus, and information that we file later with the SEC will automatically update and supersede information contained in this prospectus. We incorporate by reference the documents listed below that we have previously filed with the SEC (excluding any portions of any Form 8-K that are not deemed “filed” pursuant to the General Instructions of Form 8-K):

- [our Annual Report on Form 10-K for the year ended December 31, 2021, filed with the SEC on March 1, 2022;](#)
- our Current Reports on Form 8-K filed with the SEC on [January 10, 2022](#) (Item 8.01 only), [January 28, 2022](#) and [February 17, 2022](#); and

the description of our common stock contained in our Registration Statement on Form 8-A as filed with the SEC on [October 3, 2000](#) pursuant to Section 12(b) of the Exchange Act, including any amendments or reports filed for the purpose of updating such description, including [Exhibit 4.1](#) to our Annual Report on Form 10-K for the year ended December 31, 2019, filed with the SEC on February 27, 2020.

We also incorporate by reference into this prospectus additional documents that we may file with the SEC under Sections 13(a), 13(c), 14 or 15(d) of the Exchange Act prior to the completion or termination of the offering, including all such documents we may file with the SEC after the date of the initial registration statement and prior to the effectiveness of the registration statement, but excluding any information deemed furnished and not filed with the SEC. Any statements contained in a previously filed document incorporated by reference into this prospectus is deemed to be modified or superseded for purposes of this prospectus to the extent that a statement contained in this prospectus, or in a subsequently filed document also incorporated by reference herein, modifies or supersedes that statement.

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This prospectus may contain information that updates, modifies or is contrary to information in one or more of the documents incorporated by reference in this prospectus. You should rely only on the information incorporated by reference or provided in this prospectus. Neither we, nor the selling stockholders have authorized anyone else to provide you with different information. You should not assume that the information in this prospectus is accurate as of any date other than the date of this prospectus or the date of the documents incorporated by reference in this prospectus.

We will provide to each person, including any beneficial owner, to whom this prospectus is delivered, upon written or oral request, at no cost to the requester, a copy of any and all of the information that is incorporated by reference in this prospectus. You should direct any requests for documents to Rigel Pharmaceuticals, Inc., 1180 Veterans Boulevard, South San Francisco, CA 94080, Attention: Corporate Secretary, telephone number: (650) 624-1100.

Our reports and documents incorporated by reference herein may also be found in the “Investors” section of our website at [rigel.com](#). Our website and the information contained in it or connected to it shall not be deemed to be incorporated into this prospectus or the registration statement of which it forms a part.

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\$250,000,000



Common Stock
Preferred Stock
Debt Securities
Warrants

PROSPECTUS

, 2022

The information in this preliminary 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 preliminary 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 1, 2022

\$100,000,000



Common Stock

We have entered into an Open Market Sale AgreementSM, or the sales agreement, with Jefferies LLC, or Jefferies, dated August 4, 2020, relating to the sale of shares of our common stock, par value \$0.001 per share, offered by this prospectus. In accordance with the terms of the sales agreement, we may offer and sell shares of our common stock having an aggregate offering price of up to \$100,000,000 from time to time through Jefferies, acting as our sales agent.

Our common stock is listed on the Nasdaq Global Select Market under the symbol "RIGL." On February 28, 2022, the last reported sale price of our common stock on the Nasdaq Global Select Market was \$2.51 per share.

Sales of our common stock, if any, under this prospectus will be made in sales deemed to be "at the market offerings" as defined in Rule 415 promulgated under the Securities Act of 1933, as amended, or the Securities Act. Jefferies is not required to sell any specific amount of securities, but will act as our sales agent using commercially reasonable efforts consistent with its normal trading and sales practices, on mutually agreed terms between Jefferies and us. There is no arrangement for funds to be received in any escrow, trust or similar arrangement.

The compensation to Jefferies for sales of common stock sold pursuant to the sales agreement will be an amount of up to 3.0% of the gross proceeds of any shares of common stock sold under the sales agreement. See "Plan of Distribution" beginning on page 10 of this prospectus for additional information regarding Jefferies' compensation. In connection with the sale of the common stock on our behalf, Jefferies will be deemed to be an "underwriter" within the meaning of the Securities Act and the compensation of Jefferies will be deemed to be underwriting commissions or discounts. We have also agreed to provide indemnification and contribution to Jefferies with respect to certain liabilities, including liabilities under the Securities Act or the Exchange Act of 1934, as amended.

OUR BUSINESS AND AN INVESTMENT IN OUR COMMON STOCK INVOLVE SIGNIFICANT RISKS. THESE RISKS ARE DESCRIBED UNDER THE CAPTION "[RISK FACTORS](#)" BEGINNING ON PAGE 3 OF THIS PROSPECTUS AND IN THE DOCUMENTS INCORPORATED BY REFERENCE INTO THIS PROSPECTUS.

NEITHER THE SECURITIES AND EXCHANGE COMMISSION NOR ANY STATE SECURITIES COMMISSION HAS APPROVED OR DISAPPROVED OF THESE SECURITIES OR PASSED UPON THE ACCURACY OR ADEQUACY OF THIS PROSPECTUS. ANY REPRESENTATION TO THE CONTRARY IS A CRIMINAL OFFENSE.

Jefferies

, 2022

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ABOUT THIS PROSPECTUS

This prospectus relates to the offering of our common stock. Before buying any of the common stock that we are offering, we urge you to carefully read this prospectus, together with the information incorporated by reference in this prospectus, and any free writing prospectus that we have authorized for use in connection with this offering when making your investment decision. You should also read and consider the information in the documents we have referred you to under the headings "Where You Can Find

Additional Information” and “Incorporation of Certain Information by Reference.” These documents contain important information that you should consider when making your investment decision.

This prospectus adds to and updates information contained in the documents incorporated by reference into this prospectus. To the extent there is a conflict between the information contained in this prospectus, on the one hand, and the information contained in any document incorporated by reference into this prospectus that was filed with the Securities and Exchange Commission, or the SEC, before the date of this prospectus, on the other hand, you should rely on the information in this prospectus. If any statement in one of these documents is inconsistent with a statement in another document having a later date (for example, a document incorporated by reference into this prospectus) the statement in the document having the later date modifies or supersedes the earlier statement.

We have not, and the sales agent has not, authorized anyone to provide any information other than that contained or incorporated by reference in this prospectus and any free writing prospectus prepared by or on behalf of us or to which we have referred you. We and the sales agent take no responsibility for, and can provide no assurance as to the reliability of, any other information that others may give you. We are not, and the sales agent is not, making an offer to sell these securities in any jurisdiction where the offer or sale is not permitted. You should assume that the information appearing in this prospectus, the documents incorporated by reference in this prospectus, and any free writing prospectus that we have authorized for use in connection with this offering, is accurate only as of the date of those respective documents. Our business, financial condition, results of operations and prospects may have changed since those dates.

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INDUSTRY AND MARKET DATA

We obtained the industry and market data in this prospectus and the documents incorporated by reference herein from our own research as well as from industry and general publications, surveys and studies conducted by third parties. These data involve a number of assumptions and limitations, and you are cautioned not to give undue weight to such estimates. In addition, projections, assumptions and estimates of our future performance and the future performance of the industry in which we operate is necessarily subject to a high degree of uncertainty and risk due to a variety of factors, including those described in the section titled "Risk Factors" and elsewhere in this prospectus. These and other factors could cause results to differ materially from those expressed in the estimates made by the independent parties and by us.

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PROSPECTUS SUMMARY

This summary highlights selected information contained elsewhere in this prospectus or incorporated by reference in this prospectus, and does not contain all of the information that you need to consider in making your investment decision. You should carefully read the entire prospectus and any related free writing prospectus, including the risks of investing in our securities discussed under the section titled "Risk Factors" contained in this prospectus and any related free writing prospectus, and under similar headings in the documents that are incorporated by reference into this prospectus. You should also carefully read the information incorporated by reference into this prospectus, including our financial statements, and the exhibits to the registration statement of which this prospectus is a part.

Rigel Pharmaceuticals, Inc.

Overview

We are a biotechnology company dedicated to discovering, developing and providing novel small molecule drugs that significantly improve the lives of patients with hematologic disorders, cancer and rare immune diseases. Our pioneering research focuses on signaling pathways that are critical to disease mechanisms. Our first product approved by the U.S. Food and Drug Administration (FDA) is TAVALISSE® (fostamatinib disodium hexahydrate) tablets, the only approved oral spleen tyrosine kinase (SYK) inhibitor, for the treatment of adult patients with chronic immune thrombocytopenia (ITP) who have had an insufficient response to a previous treatment. The product is also commercially available in Europe, the United Kingdom (UK) (TAVLESSE) and Canada (TAVALISSE) for the treatment of chronic ITP in adult patients.

Fostamatinib is currently being studied in a Phase 3 trial for the treatment of warm autoimmune hemolytic anemia (wAIHA); a Phase 3 clinical trial for the treatment of hospitalized high-risk patients with COVID-19; a National Institute of Health (NIH)/National Heart, Lung, and Blood Institute (NHLBI) sponsored Phase 3 trial (ACTIV-4 Host Tissue Trial) for the treatment of COVID-19 in hospitalized patients; and a Phase 2 trial for the treatment of COVID-19 being conducted by Imperial College London.

Our other clinical programs include our interleukin receptor-associated kinase inhibitor program and a receptor-interacting serine/threonine-protein kinase inhibitor program in clinical development with partner Eli Lilly and Company. In addition, we have product candidates in clinical development with partners BerGenBio ASA and Daiichi Sankyo.

Corporate Information

We were incorporated in Delaware in June 1996. Our principal executive office is located at 1180 Veterans Boulevard, South San Francisco, California 94080. Our telephone number is (650) 624-1100. Our website address is www.rigel.com. The information contained in, or that can be accessed through, our website is not part of, and is not incorporated into, this prospectus and should not be considered part of this prospectus.

Unless otherwise indicated or the context requires otherwise, references in this prospectus to "Rigel," "the company," "we," "us" and "our" refer to Rigel Pharmaceuticals, Inc. The name Rigel Pharmaceuticals and our logo are our trademarks. All other trademarks, trade names or service marks included or incorporated by reference in this prospectus are the property of their respective owners.

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THE OFFERING

Common stock offered by us

Shares of our common stock, par value \$0.001 per share, with an aggregate offering price of up to \$100,000,000.

Manner of offering	"At the market" offering that may be made from time to time through Jefferies, as sales agent. See "Plan of Distribution" on page 10 of this prospectus.
Use of proceeds	We intend to use the net proceeds from this offering, if any, for working capital and general corporate purposes, including research and development expenses, and selling, general and administrative expenses. See "Use of Proceeds" on page 5 of this prospectus.
Risk factors	Investment in our common stock involves a high degree of risk. You should read the "Risk Factors," beginning on page 3 of this prospectus and in the documents incorporated by reference into this prospectus for a discussion of factors to consider before deciding to purchase shares of our common stock.
Nasdaq Global Select Market Symbol	"RIGL"

RISK FACTORS

You should consider carefully the risks described below and discussed under the section titled "Risk Factors" contained in our most recent Annual Report on Form 10-K as updated by our subsequent filings under the Securities Exchange Act of 1934, as amended, or the Exchange Act, each of which is incorporated by reference in this prospectus in its entirety, together with other information in this prospectus and the information and documents incorporated by reference in this prospectus, and any free writing prospectus that we have authorized for use in connection with this offering before you make a decision to invest in our common stock. If any of the following events actually occur, our business, financial condition, results of operations or cash flow could be harmed. This could cause the trading price of our common stock to decline and you may lose all or part of your investment. The risks below and incorporated by reference in this prospectus are not the only ones we face. Additional risks not currently known to us or that we currently deem immaterial may also affect our business operations. Please also read carefully the section below titled "Special Note Regarding Forward-Looking Statements."

Additional Risks Relating To The Offering

Our management team may invest or spend the proceeds of this offering in ways with which you may not agree or in ways which may not yield a significant return.

Our management will have broad discretion over the use of proceeds from this offering. The net proceeds from this offering will be used for working capital and general corporate purposes, including research and development expenses, and selling, general and administrative expenses. We may also use a portion of the net proceeds to in-license, acquire or invest in complementary businesses, products or technologies; however, we have no current commitments or obligations to do so.

Our management will have considerable discretion in the application of the net proceeds, and you will not have the opportunity, as part of your investment decision, to assess whether the proceeds are being used appropriately. The net proceeds may be used for corporate purposes that do not increase our operating results or enhance the value of our common stock.

You may experience future dilution as a result of future equity offerings.

In order to raise additional capital, we may in the future offer additional shares of our common stock or other securities convertible into or exchangeable for our common stock at prices that may not be the same as the price per share in this offering. We may sell shares or other securities in any other offering at a price per share that is less than the price per share paid by investors in this offering, and investors purchasing shares or other securities in the future could have rights superior to existing stockholders. The price per share at which we sell additional shares of our common stock, or securities convertible or exchangeable into common stock, in future transactions may be higher or lower than the price per share paid by investors in this offering.

It is not possible to predict the actual number of shares we will sell under the sales agreement, or the gross proceeds resulting from those sales.

Subject to certain limitations in the sales agreement and compliance with applicable law, we have the discretion to deliver instruction to Jefferies to sell shares of our common stock at any time throughout the term of the sales agreement. The number of shares that are sold through Jefferies after our instruction will fluctuate based on a number of factors, including the market price of our common stock during the sales period, the limits we set with Jefferies in any instruction to sell shares, and the demand for our common stock during the sales period. Because the price per share of each share sold will fluctuate during this offering, it is not currently possible to predict the number of shares that will be sold or the gross proceeds to be raised in connection with those sales.

The common stock offered hereby will be sold in "at the market offerings", and investors who buy shares at different times will likely pay different prices.

Investors who purchase shares in this offering at different times will likely pay different prices, and so may experience different levels of dilution and different outcomes in their investment results. We will have discretion, subject to market demand, to vary the timing, prices, and numbers of shares sold in this offering. In addition, subject to the final determination by our board of directors, there is no minimum or maximum sales price for shares to be sold in this offering. Investors may experience a decline in the value of the shares they purchase in this offering as a result of sales made at prices lower than the prices they paid.

SPECIAL NOTE REGARDING FORWARD-LOOKING STATEMENTS

This prospectus and the documents we have filed with the SEC that are incorporated by reference contain "forward-looking statements" within the meaning of Section 27A of the Securities Act of 1933, as amended, or the Securities Act, and Section 21E of the Exchange Act. These statements relate to future events or to our future operating or financial performance and involve known and unknown risks, uncertainties and other factors which may cause our actual results, performance or achievements to be materially different from any future results, performances or achievements expressed or implied by the forward-looking statements. Forward-looking statements may include, but are not limited to, statements about:

- our expectations regarding the impact of the global COVID-19 pandemic;
- our business and scientific strategies;
- risks and uncertainties associated with the commercialization and marketing of TAVALISSE in the United States and in Europe;

- risks that the FDA, European Medicines Agency or other regulatory authorities may make adverse decisions regarding fostamatinib;
- the progress of our and our collaborators' product development programs, including clinical testing, and the timing of results thereof;
- our corporate collaborations and revenues that may be received from our collaborations and the timing of those potential payments;
- our expectations with respect to regulatory submissions and approvals;
- our drug discovery technologies;
- our research and development expenses;
- protection of our intellectual property;
- sufficiency of our cash and capital resources and the need for additional capital; and
- our operations and legal risks.

These risks are not exhaustive. Other sections of this prospectus may include additional factors that could harm our business and financial performance. Moreover, we operate in a very competitive and rapidly changing environment. New risk factors emerge from time to time, and it is not possible for our management to predict all risk factors nor can we assess the impact of all factors on our business or the extent to which any factor, or combination of factors, may cause actual results to differ materially from those contained in, or implied by, any forward-looking statements.

All statements other than statements of historical facts contained in this prospectus, including statements regarding our future financial condition, business strategy and plans, and objectives of management for future operations, are forward-looking statements. In some cases, you can identify forward-looking statements by words such as "anticipate," "believe," "continue," "could," "design," "estimate," "expect," "intend," "may," "plan," "potentially," "predict," "should," "will" or the negative of these terms or other similar expressions. These statements reflect our current views with respect to future events and are based on assumptions and are subject to risks and uncertainties. Given these uncertainties, you should not place undue reliance on these forward-looking statements. We discuss in greater detail many of these risks under the section titled "Risk Factors" contained in this prospectus, in any free writing prospectuses we may authorize for use in connection with a specific offering, and in our most recent Annual Report on Form 10-K, which is incorporated by reference into this prospectus in their entirety. Also, these forward-looking statements represent our estimates and assumptions only as of the date of the document containing the applicable statement. Unless required by law, we undertake no obligation to update or revise any forward-looking statements to reflect new information or future events or developments. You should read this prospectus together with the documents we have filed with the SEC that are incorporated by reference and any free writing prospectus that we may authorize for use in connection with this offering completely and with the understanding that our actual future results may be materially different from what we expect. We qualify all of the forward-looking statements in the foregoing documents by these cautionary statements.

In addition, statements that "we believe" and similar statements reflect our beliefs and opinions on the relevant subject. These statements are based upon information available to us as of the date of this prospectus, and while we believe such information forms a reasonable basis for such statements, such information may be limited or incomplete, and our statements should not be read to indicate that we have conducted an exhaustive inquiry into, or review of, all potentially available relevant information. These statements are inherently uncertain and investors are cautioned not to unduly rely upon these statements.

USE OF PROCEEDS

We may issue and sell shares of our common stock having aggregate sales proceeds of up to \$100,000,000 from time to time. The amount of proceeds from this offering will depend upon the number of shares of our common stock sold and the market price at which they are sold. There can be no assurance that we will be able to sell any shares under or fully utilize the sales agreement with Jefferies as a source of financing. We intend to use the net proceeds, if any, from this offering for working capital and general corporate purposes, including research and development expenses, and selling, general and administrative expenses. We may also use a portion of the net proceeds to in-license, acquire or invest in businesses, products and technologies that are complementary to our own. Pending these uses, we intend to invest our net proceeds from this offering primarily in investment grade, interest-bearing instruments. As of the date of this prospectus, we cannot specify with certainty all of the particular uses for the net proceeds we will have upon completion of the offering. Accordingly, we will retain broad discretion over the use of these proceeds.

The amounts and timing of our actual expenditures will depend on numerous factors, including our development and commercialization efforts, as well as the amount of cash used in our operations. We therefore cannot estimate with certainty the amount of net proceeds to be used for the purposes described above. We may find it necessary or advisable to use the net proceeds for other purposes, and we will have broad discretion in the application of the net proceeds.

DESCRIPTION OF CAPITAL STOCK

A description of material terms and provisions of our amended and restated certificate of incorporation (the "Certificate of Incorporation") and amended and restated bylaws (the "Bylaws") affecting the rights of holders of our capital stock is set forth below. The description is intended as a summary, and is qualified in its entirety by reference to our Certificate of Incorporation and our Bylaws.

General

Our authorized capital stock consists of 400,000,000 shares of common stock, \$0.001 par value per share, or common stock, and 10,000,000 shares of preferred stock, par value \$0.001 per share, or preferred stock.

Common Stock

Dividend rights. Subject to preferences that may apply to shares of preferred stock outstanding at the time, the holders of outstanding shares of our common stock are entitled to receive dividends out of funds legally available if our board of directors, in its discretion, determines to declare dividends and then only at the times and in the amounts that our board of directors may determine.

Voting rights. Each holder of common stock is entitled to one vote for each share of common stock held on all matters submitted to a vote of stockholders. Our Certificate of Incorporation does not provide for the right of stockholders to cumulate votes for the election of directors. Our Certificate of Incorporation establishes a classified board of directors, divided into three classes with staggered three-year terms. Only one class of directors is elected at each annual meeting of our stockholders, with the other classes continuing for the remainder of their respective three-year terms. These provisions in our Certificate of Incorporation could discourage potential takeover attempts. See “Anti-Takeover Effects of Provisions of our Certificate of Incorporation, our Bylaws and Delaware Law” below.

No preemptive or similar rights. Our common stock is not entitled to preemptive rights and is not subject to conversion, redemption or sinking fund provisions. The rights, preferences and privileges of the holders of our common stock are subject to, and may be adversely affected by, the rights of the holders of any series of our preferred stock that we may designate and issue in the future.

Right to receive liquidation distributions. Upon our dissolution, liquidation or winding-up, the assets legally available for distribution to our stockholders are distributable ratably among the holders of our common stock, subject to prior satisfaction of all outstanding debt and liabilities and the preferential rights and payment of liquidation preferences, if any, on any outstanding shares of our preferred stock.

The rights of the holders of our common stock are subject to, and may be adversely affected by, the rights of holders of shares of any preferred stock that we may designate and issue in the future.

Preferred Stock

The following description of preferred stock and the description of the terms of any particular series of preferred stock that we choose to issue hereunder and that will be set forth in the related prospectus supplement are not complete. These descriptions are qualified in their entirety by reference to our Certificate of Incorporation and the certificate of designation relating to any series. The rights, preferences, privileges and restrictions of the preferred stock of each series will be fixed by the certificate of designation relating to that series.

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Our board of directors is authorized, subject to limitations prescribed by Delaware law, to issue preferred stock in one or more series, to establish from time to time the number of shares to be included in each series and to fix the designation, powers, preferences and rights of the shares of each series and any of its qualifications, limitations or restrictions. Our board of directors can also increase or decrease the number of shares of any series, but not below the number of shares of that series then outstanding, without any further vote or action by our stockholders. Our board of directors may authorize the issuance of preferred stock with voting or conversion rights that could adversely affect the voting power or other rights of the holders of the common stock. The issuance of preferred stock, while providing flexibility in connection with financings, possible acquisitions and other corporate purposes, could, among other things, have the effect of delaying, deferring, discouraging or preventing a change in control of our company, may adversely affect the market price of our common stock and the voting and other rights of the holders of common stock, and may reduce the likelihood that common stockholders will receive dividend payments and payments upon liquidation.

Anti-Takeover Effects of Provisions of our Certificate of Incorporation, our Bylaws and Delaware Law

Certificate of Incorporation and Bylaws

Our Certificate of Incorporation provides that our board of directors is divided into three classes with staggered three-year terms. Only one class of directors is elected at each annual meeting of our stockholders, with the other classes continuing for the remainder of their respective three-year terms. Because holders of our common stock do not have cumulative voting rights in the election of directors, stockholders holding a majority of the shares of common stock outstanding are able to elect all of our directors. Our board of directors is able to elect a director to fill a vacancy created by the expansion of the board of directors or due to the resignation or departure of an existing board member. Our Certificate of Incorporation and Bylaws also provide that all stockholder actions must be effected at a duly called meeting of stockholders and not by written consent. Further, our Bylaws provide that a special meeting of stockholders may only be called by the Chairman of our board of directors, our Chief Executive Officer, or the board of directors pursuant to a resolution adopted by a majority of the total number of authorized directors.

In addition, our Bylaws include a requirement for the advance notice of nominations for election to the board of directors or for proposing matters that can be acted upon at a stockholders' meeting. Our Certificate of Incorporation provides for the ability of the board of directors to issue, without stockholder approval, up to 10,000,000 shares of preferred stock with terms set by the board of directors, which rights could be senior to those of our common stock. Our Certificate of Incorporation and Bylaws also provide that approval of at least 66-2/3% of the shares entitled to vote at an election of directors will be required to adopt, amend or repeal our Bylaws, or repeal the provisions of our Certificate of Incorporation, including provisions regarding amending or repealing our Certificate of Incorporation or Bylaws, the election of directors and the inability of stockholders to take action by written consent in lieu of a meeting. Our Bylaws also provide that our board of directors may unilaterally alter, amend, repeal our Bylaws or adopt new bylaws.

The foregoing provisions make it difficult for holders of our common stock to replace our board of directors. In addition, the authorization of undesignated preferred stock makes it possible for our board of directors to issue preferred stock with voting or other rights or preferences that could impede the success of any attempt to change control of our company.

Section 203 of the Delaware General Corporation Law (DGCL)

In general, Section 203 prohibits, with some exceptions, a publicly held Delaware corporation such as us from engaging in a “business combination” with an “interested stockholder” for a period of three years following the time that the stockholder became an interested stockholder, unless:

- prior to the time the stockholder became an interested stockholder, the board of directors of the corporation approved either the business combination or the transaction which resulted in the stockholder becoming an interested stockholder;

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- upon consummation of the transaction which resulted in the stockholder becoming an interested stockholder, the interested stockholder owned at least 85% of the voting stock of the corporation outstanding at the time the transaction commenced, excluding for purposes of determining the number of shares outstanding (but not the outstanding voting stock owned by the interested stockholder) those shares owned by (a) persons who are directors and also officers and (b) employee stock plans in which employee
- participants do not have the right to determine confidentially whether shares held subject to the plan will be tendered in a tender or exchange offer; or

- at or subsequent to such time that the stockholder became an interested stockholder, the business combination is approved by the board of directors and authorized at an annual or special meeting of stockholders by at least 66-2/3% of the outstanding voting stock which is not owned by the interested stockholder.

Section 203 of the DGCL generally defines a “business combination” to include any of the following:

- any merger or consolidation involving the corporation and the interested stockholder;
- any sale, lease, exchange, mortgage, transfer, pledge or other disposition involving the interested stockholder (in one transaction or a series of transactions) of assets of the corporation having an aggregate market value equal to 10% or more of the aggregate market value of either all of the assets of the corporation or its outstanding stock;
- subject to exceptions, any transaction that results in the issuance or transfer by the corporation of any stock of the corporation to the interested stockholder;
- subject to exceptions, any transaction involving the corporation that has the effect, directly or indirectly, of increasing the proportionate share of the stock or any class or series of the corporation beneficially owned by the interested stockholder; and
- the receipt by the interested stockholder of the benefit, directly or indirectly (except proportionately as a stockholder of such corporation), of any loans, advances, guarantees, pledges or other financial benefits, other than certain benefits set forth in Section 203, provided by or through the corporation.

In general, Section 203 defines an “interested stockholder” as an entity or person who, together with the person’s affiliates and associates, beneficially owns, or within three years prior to the time of determination of interested stockholder status did own, 15% or more of the outstanding voting stock of the corporation.

A Delaware corporation may "opt out" of these provisions with an express provision in its original certificate of incorporation or an express provision in its certificate of incorporation or bylaws resulting from a stockholders' amendment approved by at least a majority of the outstanding voting shares. We do not plan to "opt out" of these provisions. The statute could prohibit or delay mergers or other takeover or change in control attempts and, accordingly, may discourage attempts to acquire us.

Transfer Agent and Registrar

The transfer agent for our common stock is EQ Shareowner Services, 1110 Centre Pointe Curve, Suite 101, Mendota Heights, MN 55120, equiniti.com/us.

Listing on The Nasdaq Global Select Market

Our common stock is listed on The Nasdaq Global Select Market under the symbol “RIGL”.

DILUTION

If you invest in our common stock, your interest will be diluted to the extent of the difference between the public offering price per share and the as adjusted net tangible book value per share of our common stock after this offering.

Our net tangible book value as of December 31, 2021, was \$30.4 million, or \$0.18 per share. Net tangible book value is total tangible assets less our total liabilities divided by the number of outstanding shares of common stock.

After giving effect to the sale of \$100.0 million of shares common stock in this offering at an assumed public offering price of \$2.53 per share, which was the closing price of our common stock as reported on the Nasdaq Global Select Market on February 25, 2022, and after deducting estimated offering commissions and expenses payable by us, our net tangible book value as of December 31, 2021, would have been \$127.1 million, or \$0.60 per share. This represents an immediate increase in net tangible book value of \$0.42 per share to our existing stockholders and an immediate dilution in net tangible book value of \$1.93 per share to investors participating in this offering. The following table illustrates this dilution per share to investors participating in this offering:

Assumed public offering price per share		\$	2.53
Net tangible book value per share as of December 31, 2021		\$	0.18
Increase in net tangible book value per share attributable to new investors in offering		\$	0.42
As adjusted net tangible book value per share after this offering		\$	0.60
Dilution per share to new investors		\$	1.93

The above discussion and table are based on 171,602,226 shares of our common stock issued and outstanding as of December 31, 2021, and exclude as of that date:

- 30,008,665 shares of common stock issuable upon the exercise of options outstanding at a weighted-average exercise price of \$3.13 per share;
- 226,250 shares of common stock issuable upon the settlement of outstanding restricted stock unit awards; and
- 15,299,796 shares of common stock reserved for future issuance under our 2018 Equity Incentive Plan, our 2000 Employee Stock Purchase Plan and our Inducement Plan as of December 31, 2021.

The above illustration of dilution per share to investors participating in this offering assumes no exercise of outstanding options to purchase our common stock. To the extent that any of these outstanding options are exercised, there will be further dilution to new investors.

PLAN OF DISTRIBUTION

We have entered into a sales agreement with Jefferies, under which we may offer and sell up to \$100,000,000 of our shares of common stock from time to time through Jefferies acting as agent. Sales of our shares of common stock, if any, under this prospectus supplement and the accompanying prospectus will be made by any method that is deemed to be an "at the market offering" as defined in Rule 415(a)(4) under the Securities Act.

Each time we wish to issue and sell our shares of common stock under the sales agreement, we will notify Jefferies of the number of shares to be issued, the dates on which such sales are anticipated to be made, any limitation on the number of shares to be sold in any one day and any minimum price below which sales may not be made. Once we have so instructed Jefferies, unless Jefferies declines to accept the terms of such notice, Jefferies has agreed to use its commercially reasonable efforts consistent with its normal trading and sales practices to sell such shares up to the amount specified on such terms. The obligations of Jefferies under the sales agreement to sell our shares of common stock are subject to a number of conditions that we must meet.

The settlement of sales of shares between us and Jefferies is generally anticipated to occur on the second trading day following the date on which the sale was made. Sales of our shares of common stock as contemplated in this prospectus will be settled through the facilities of The Depository Trust Company or by such other means as we and Jefferies may agree upon. There is no arrangement for funds to be received in an escrow, trust or similar arrangement.

We will pay Jefferies a commission of up to 3.0% of the aggregate gross proceeds we receive from each sale of our shares of common stock. Because there is no minimum offering amount required as a condition to close this offering, the actual total public offering amount, commissions and proceeds to us, if any, are not determinable at this time. In addition, we agreed to reimburse Jefferies for the fees and disbursements of its counsel, payable upon execution of the sales agreement, in an amount not to exceed \$50,000. We estimate that the total expenses for the offering, excluding any commissions or expense reimbursement payable to Jefferies under the terms of the sales agreement, will be approximately \$250,000. The remaining sale proceeds, after deducting any other transaction fees, will equal our net proceeds from the sale of such shares.

Jefferies will provide written confirmation to us before the opening on the Nasdaq Global Select Market on the day following each day on which our shares of common stock are sold under the sales agreement. Each confirmation will include the number of shares sold on that day, the aggregate gross proceeds of such sales and the proceeds to us.

In connection with the sale of our shares of common stock on our behalf, Jefferies will be deemed to be an "underwriter" within the meaning of the Securities Act, and the compensation of Jefferies will be deemed to be underwriting commissions or discounts. We have agreed to indemnify Jefferies against certain civil liabilities, including liabilities under the Securities Act. We have also agreed to contribute to payments Jefferies may be required to make in respect of such liabilities.

The offering of our shares of common stock pursuant to the sales agreement will terminate upon the earlier of (i) the sale of all shares of common stock subject to the sales agreement and (ii) the termination of the sales agreement as permitted therein. We and Jefferies may each terminate the sales agreement at any time upon prior written notice.

This summary of the material provisions of the sales agreement does not purport to be a complete statement of its terms and conditions. A copy of the sales agreement is filed as an exhibit to [our Quarterly Report on Form 10-Q for the quarter ended June 30, 2020, filed with the SEC on August 4, 2020](#) and incorporated by reference in this prospectus.

Jefferies and its affiliates may in the future provide various investment banking, commercial banking, financial advisory and other financial services for us and our affiliates, for which services they may in the future receive customary fees. In the course of its business, Jefferies may actively trade our securities for its own account or for the accounts of customers, and, accordingly, Jefferies may at any time hold long or short positions in such securities.

A prospectus in electronic format may be made available on a website maintained by Jefferies, and Jefferies may distribute the prospectus electronically.

LEGAL MATTERS

Sidley Austin LLP is serving as our counsel for this offering. Jefferies is being represented by Latham & Watkins LLP in connection with this offering.

EXPERTS

Ernst & Young LLP, independent registered public accounting firm, has audited our financial statements included in our Annual Report on Form 10-K for the year ended December 31, 2021, and the effectiveness of our internal control over financial reporting as of December 31, 2021, as set forth in their reports, which are 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 reports, given on their authority as experts in accounting and auditing.

WHERE YOU CAN FIND ADDITIONAL INFORMATION

This prospectus is part of the registration statement on Form S-3 we filed with the SEC under the Securities Act and does not contain all the information set forth in the registration statement. Whenever a reference is made in this prospectus to any of our contracts, agreements or other documents, the reference may not be complete and you should refer to the exhibits that are a part of the registration statement or the exhibits to the reports or other documents incorporated by reference into this prospectus for a copy of such contract, agreement or other document. Because we are subject to the information and reporting requirements of the Exchange Act, we file annual, quarterly and current reports, proxy statements and other information with the SEC. Our SEC filings are available to the public over the Internet at the SEC's website at <http://www.sec.gov>.

INCORPORATION OF CERTAIN INFORMATION BY REFERENCE

The SEC allows us to "incorporate by reference" information from other documents that we file with it, which means that we can disclose important information to you by referring you to those documents. The information incorporated by reference is considered to be part of this prospectus. Information in this prospectus supersedes information incorporated by reference that we filed with the SEC prior to the date of this prospectus, while information that we file later with the SEC will automatically update and supersede the information in this prospectus. We incorporate by reference into this prospectus and the registration statement of which this prospectus is a part the information or documents listed below that we have filed with the SEC (Commission File No. 001-36644):

- [our Annual Report on Form 10-K for the year ended December 31, 2021, filed with the SEC on March 1, 2022](#);
- our Current Reports on Form 8-K filed with the SEC on [January 10, 2022](#) (Item 8.01 only), [January 28, 2022](#) and [February 17, 2022](#); and
- the description of our common stock contained in our Registration Statement on Form 8-A as filed with the SEC on [October 3, 2000](#) pursuant to Section 12(b) of the Exchange Act, including any amendments or reports filed for the purpose of updating such description, including [Exhibit 4.1](#) to our Annual Report on Form 10-K for the year ended December 31, 2019, filed with the SEC on February 27, 2020.

We also incorporate by reference any future filings (other than current reports furnished under Item 2.02 or Item 7.01 of Form 8-K and exhibits filed on such form that are related to such items unless such Form 8-K expressly provides to the contrary) made with the SEC pursuant to Sections 13(a), 13(c), 14 or 15(d) of the Exchange Act, including those made after the date of the initial filing of the registration statement of which this prospectus is a part, until we file a post-effective amendment that indicates the

termination of the offering of the shares of our common stock made by this prospectus and will become a part of this prospectus from the date that such documents are filed with the SEC. Information in such future filings updates and supplements the information provided in this prospectus. Any statements in any such future filings will automatically be deemed to modify and supersede any information in any document we previously filed with the SEC that is incorporated or deemed to be incorporated herein by reference to the extent that statements in the later filed document modify or replace such earlier statements.

You can request a copy of these filings, at no cost, by writing or telephoning us at the following address or telephone number:

Rigel Pharmaceuticals, Inc.
1180 Veterans Boulevard
South San Francisco, CA 94080
(650) 624-1100
Attn: Corporate Secretary

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\$100,000,000



Common Stock

PROSPECTUS

Jefferies

, 2022

Part II

Information Not Required in the Prospectus

Item 14. Other Expenses of Issuance and Distribution

The following table sets forth the estimated costs and expenses, other than underwriting discounts and commissions, payable by the registrant in connection with the offering of the securities being registered.

Securities and Exchange Commission registration fee	\$	24,815 (1)
Nasdaq Global Select Market listing fee		(2)
Accounting fees and expenses		(2)
Legal fees and expenses		(2)
Printing and engraving		(2)
Transfer agent fees and expenses		(2)

Trustee fees and expenses	(2)
Miscellaneous expenses	(2)
Total	\$ (2)

- (1) The registrant previously paid \$10,910 related to \$100,000,000 of the registrant's common stock that may be issued and sold under a sales agreement with Jefferies LLC, and \$13,905 related to an additional \$150,000,000 of the registrant's securities that may be issued and sold pursuant to the registration statement, as amended.
- (2) These fees and expenses are based on the securities offered and the number of issuances and accordingly cannot be estimated at this time. An estimate of the aggregate expenses in connection with the sale and distribution of securities being offered will be included in the applicable prospectus supplement.

Item 15. Indemnification of Directors and Officers

Section 145 of the Delaware General Corporation Law provides that a corporation may indemnify directors and officers as well as other employees and individuals against expenses (including attorneys' fees), judgments, fines and amounts paid in settlement actually and reasonably incurred by such person in connection with any threatened, pending or completed actions, suits or proceedings in which such person is made a party by reason of such person being or having been a director, officer, employee or agent to the registrant. The Delaware General Corporation Law provides that Section 145 is not exclusive of other rights to which those seeking indemnification may be entitled under any bylaw, agreement, vote of stockholders or disinterested directors or otherwise. The registrant's By-laws provide for indemnification by the registrant of its directors, officers and employees to the fullest extent permitted by the Delaware General Corporation Law. The registrant has entered into indemnification agreements with each of its directors and officers to provide these directors additional contractual assurances regarding the scope of the indemnification set forth in the registrant's Certificate of Incorporation and amended and restated By-laws and to provide additional procedural protections. There is no pending litigation or proceeding involving a director or executive officer of the registrant for which indemnification is sought.

Section 102(b)(7) of the Delaware General Corporation Law permits a corporation to provide in its certificate of incorporation that a director of the corporation shall not be personally liable to the corporation or its stockholders for monetary damages for breach of fiduciary duty as a director, except for liability (i) for any breach of the director's duty of loyalty to the corporation or its stockholders, (ii) for acts or omissions not in good faith or which involve intentional misconduct or a knowing violation of law, (iii) for unlawful payments of dividends or unlawful stock repurchases, redemptions or other distributions, or (iv) for any transaction from which the director derived an improper personal benefit. The registrant's Certificate of Incorporation provides for such limitation of liability.

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The registrant maintains standard policies of insurance under which coverage is provided (a) to its directors and officers against loss arising from claims made by reason of breach of duty or other wrongful act, and (b) to the registrant with respect to payments which may be made by the registrant to such officers and directors pursuant to the above indemnification provision or otherwise as a matter of law.

In any underwriting agreement the Company enters into, the underwriters may agree to indemnify, under certain conditions, the Company, its directors, its officers and persons who control the Company within the meaning of the Securities Act of 1933, as amended, or the Securities Act, against certain liabilities.

Insofar as the foregoing provisions permit indemnification of directors, executive officers, or persons controlling us for liability arising under the Securities Act of 1933, as amended, or the Securities Act, we have been informed that, in the opinion of the Securities and Exchange Commission, such indemnification is against public policy as expressed in the Securities Act and is therefore unenforceable.

Item 16. Exhibits

Exhibit Number	Exhibit Description	Incorporation by Reference				
		Form	File Number	Exhibit/ Appendix Reference	Filing Date	Filed Herewith
1.1*	Form of Underwriting Agreement					
<u>1.2</u>	<u>Open Market Sale AgreementSM, dated August 4, 2020, by and between Rigel Pharmaceuticals, Inc. and Jefferies LLC</u>	<u>10-Q</u>	<u>000-29889</u>	<u>1.1</u>	<u>8/4/2020</u>	
<u>3.1</u>	<u>Amended and Restated Certificate of Incorporation</u>	<u>8-K</u>	<u>00-29889</u>	<u>99.1</u>	<u>5/29/2012</u>	
<u>3.2</u>	<u>Amended and Restated Bylaws</u>	<u>8-K</u>	<u>00-29889</u>	<u>3.2</u>	<u>2/2/2007</u>	
<u>3.3</u>	<u>Certificate of Amendment to the Amended and Restated Certificate of Incorporation</u>	<u>8-K</u>	<u>000-29889</u>	<u>3.1</u>	<u>5/18/2018</u>	
4.1	Reference is made to Exhibits 3.1, 3.2 and 3.3					
<u>4.2</u>	<u>Specimen Common Stock Certificate</u>	<u>8-K</u>	<u>000-29889</u>	<u>4.1</u>	<u>6/24/2003</u>	
<u>4.3</u>	<u>Form of warrant to purchase shares of common stock</u>	<u>S-1</u>	<u>333-45864</u>	<u>4.3</u>	<u>9/15/2000</u>	
<u>4.4</u>	<u>Warrant issued to HCP BTC, LLC for the purchase of shares of common stock</u>	<u>10-Q</u>	<u>000-29889</u>	<u>4.3</u>	<u>5/5/2009</u>	
4.5*	Form of Specimen Preferred Stock Certificate and Form of Certificate of Designation of Preferred Stock					
<u>4.6</u>	<u>Form of Debt Indenture</u>	<u>S-3</u>	<u>333-223564</u>	<u>4.6</u>	<u>3/9/2018</u>	
4.7*	Form of Debt Securities					
<u>4.8</u>	<u>Form of Common Stock Warrant Agreement and Warrant Certificate</u>	<u>S-3</u>	<u>333-223564</u>	<u>4.8</u>	<u>3/9/2018</u>	
<u>4.9</u>	<u>Form of Preferred Stock Warrant Agreement and Warrant Certificate</u>	<u>S-3</u>	<u>333-223564</u>	<u>4.9</u>	<u>3/9/2018</u>	
<u>4.10</u>	<u>Form of Debt Securities Warrant Agreement and Warrant Certificate</u>	<u>S-3</u>	<u>333-223564</u>	<u>4.10</u>	<u>3/9/2018</u>	
<u>5.1</u>	<u>Opinion of Sidley Austin LLP</u>					<u>X</u>
<u>23.1</u>	<u>Consent of Independent Registered Public Accounting Firm</u>					<u>X</u>
<u>23.2</u>	<u>Consent of Sidley Austin LLP (See Exhibit 5.1)</u>					<u>X</u>
<u>24.1</u>	<u>Power of Attorney</u>	<u>S-3</u>	<u>333-258426</u>	<u>24.1</u>	<u>8/3/2021</u>	
25.1**	Statement of Eligibility of Trustee under the Debt Indenture					
<u>107</u>	<u>Calculation of Filing Fee Table</u>	<u>POSASR</u>	<u>333-258426</u>	<u>107</u>	<u>3/1/2022</u>	

* To be filed by amendment or as an exhibit to a report pursuant to Section 13(a) or 15(d) of the Securities Exchange Act of 1934, as amended, and incorporated herein by reference.

** To be filed in accordance with the requirements of Section 305(b)(2) of the Trust Indenture Act of 1939, as amended.

Item 17. Undertakings

- (a) The undersigned registrant hereby undertakes:
- (1) To file, during any period in which offers or sales are being made, a post-effective amendment to this registration statement:
 - (i) To include any prospectus required by Section 10(a)(3) of the Securities Act of 1933;
 - (ii) To reflect in the prospectus any facts or events arising after the effective date of the registration statement (or the most recent post-effective amendment thereof) which, individually or in the aggregate, represent a fundamental change in the information set forth in the registration statement. Notwithstanding the foregoing, any increase or decrease in volume of securities offered (if the total dollar value of securities offered would not exceed that which was registered) and any deviation from the low or high end of the estimated maximum offering range may be reflected in the form of prospectus filed with the Commission pursuant to Rule 424(b) if, in the aggregate, the changes in volume and price represent no more than a 20% change in the maximum aggregate offering price set forth in the "Calculation of Registration Fee" table in the effective registration statement; and
 - (iii) To include any material information with respect to the plan of distribution not previously disclosed in the registration statement or any material change to such information in the registration statement; provided, however, that paragraphs (a)(1)(i), (a)(1)(ii) and (a)(1)(iii) do not apply if the information required to be included in a post-effective amendment by those paragraphs is contained in reports filed with or furnished to the Commission by the registrant pursuant to Section 13 or Section 15(d) of the Securities Exchange Act of 1934 that are incorporated by reference in the registration statement, or is contained in a form of prospectus filed pursuant to Rule 424(b) that is part of the registration statement.
 - (2) That, for the purpose of determining any liability under the Securities Act of 1933, each such post-effective amendment shall be deemed to be a new registration statement relating to the securities offered therein, and the offering of such securities at that time shall be deemed to be the initial bona fide offering thereof.
 - (3) To remove from registration by means of a post-effective amendment any of the securities being registered which remain unsold at the termination of the offering.
 - (5) That, for the purpose of determining liability under the Securities Act of 1933 to any purchaser:
 - (A) Each prospectus filed by the registrant pursuant to Rule 424(b)(3) shall be deemed to be part of the registration statement as of the date the filed prospectus was deemed part of and included in the registration statement; and
 - (B) Each prospectus required to be filed pursuant to Rule 424(b)(2), (b)(5) or (b)(7) as part of a registration statement in reliance on Rule 430B relating to an offering made pursuant to Rule 415(a)(1)(i), (vii) or (x) for the purpose of providing the information required by Section 10(a) of the Securities Act of 1933 shall be deemed to be part of and included in the registration statement as of the earlier of the date such form of prospectus is first used after effectiveness or the date of the first contract of sale of securities in the offering described in the prospectus. As provided in Rule 430B, for liability purposes of the issuer and any person that is at that date an underwriter, such date shall be deemed to be a new effective date of the registration statement relating to the securities in the registration statement to which that prospectus relates, and the offering of such securities at that time shall be deemed to be the initial bona fide offering thereof. Provided, however, that no statement made in a registration statement or prospectus that is part of the registration statement or made in a document incorporated or deemed incorporated by reference into the registration statement or prospectus that is part of the registration statement will, as to a purchaser with a time of contract of sale prior to such effective date, supersede or modify any statement that was made in the registration statement or prospectus that was part of the registration statement or made in any such document immediately prior to such effective date.
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- (6) That, for the purpose of determining liability of the registrant under the Securities Act of 1933 to any purchaser in the initial distribution of the securities, the undersigned registrant undertakes that in a primary offering of securities of the undersigned registrant pursuant to this registration statement, regardless of the underwriting method used to sell the securities to the purchaser, if the securities are offered or sold to such purchaser by means of any of the following communications, the undersigned registrant will be a seller to the purchaser and will be considered to offer or sell such securities to such purchaser:
 - (i) Any preliminary prospectus or prospectus of the undersigned registrant relating to the offering required to be filed pursuant to Rule 424;
 - (ii) Any free writing prospectus relating to the offering prepared by or on behalf of the undersigned registrant or used or referred to by the undersigned registrant;
 - (iii) The portion of any other free writing prospectus relating to the offering containing material information about the undersigned registrant or its securities provided by or on behalf of the undersigned registrant; and
 - (iv) Any other communication that is an offer in the offering made by the undersigned registrant to the purchaser.
 - (b) The undersigned registrant hereby undertakes that for the purposes of determining any liability under the Securities Act of 1933, each filing of the registrant's annual report pursuant to Section 13(a) or Section 15(d) of the Securities Exchange Act of 1934 (and, where applicable, each filing of an employee benefit plan's annual report pursuant to Section 15(d) of the Securities Exchange Act of 1934) that is incorporated by reference in the registration statement shall be deemed to be a new registration statement relating to the securities offered therein, and the offering of such securities at that time shall be deemed to be the initial bona fide offering thereof.
 - (h) Insofar as indemnification for liabilities arising under the Securities Act of 1933 may be permitted to directors, officers and controlling persons of the registrant pursuant to the foregoing provisions, or otherwise, the registrant has been advised that in the opinion of the Securities and Exchange Commission such indemnification is against public policy as expressed in the Securities Act of 1933 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 Securities Act of 1933 and will be governed by the final adjudication of such issue.
 - (j) To file an application for the purpose of determining the eligibility of the trustee to act under subsection (a) of Section 310 of the Trust Indenture Act in accordance with the rules and regulations prescribed by the Commission under Section 305(b)(2) of the Trust Indenture Act.

Signatures

Pursuant to the requirements of the Securities Act of 1933, 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 post-effective amendment no. 2 to the registration statement on Form S-3 to be signed on its behalf by the undersigned, thereunto duly authorized, in South San Francisco, State of California, on March 1, 2022.

RIGEL PHARMACEUTICALS, INC.

By: /s/ Raul R. Rodriguez
Name: Raul R. Rodriguez
Title: President and Chief Executive Officer

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 and in the capacities and on the dates indicated.

<u>Signature</u>	<u>Title</u>	<u>Date</u>
<u>/s/ Raul R. Rodriguez</u> Raul R. Rodriguez	President, Chief Executive Officer and Director (Principal Executive Officer)	March 1, 2022
<u>/s/ Dean L. Schorno</u> Dean L. Schorno	Executive Vice President and Chief Financial Officer (Principal Financial Officer and acting Principal Accounting Officer)	March 1, 2022
<u>*</u> Gary A. Lyons	Chairman of the Board of Directors	March 1, 2022
<u>Kamil Ali-Jackson</u>	Director	March 1, 2022
<u>*</u> Bradford S. Goodwin	Director	March 1, 2022
<u>*</u> Alison L. Hannah, M.D.	Director	March 1, 2022
<u>*</u> Keith A. Katkin	Director	March 1, 2022
<u>*</u> Brian L. Kotzin, M.D.	Director	March 1, 2022
<u>*</u> Gregg A. Lapointe	Director	March 1, 2022
<u>*</u> Walter H. Moos, Ph.D.	Director	March 1, 2022
<u>*</u> Jane Wasman	Director	March 1, 2022

*By: /s/ Raul R. Rodriguez
Name: Raul R. Rodriguez
Title: Attorney-in-Fact

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AMERICA · ASIA PACIFIC · EUROPE

March 1, 2022

Rigel Pharmaceuticals, Inc.
1180 Veterans Boulevard
South San Francisco, CA 94080

Re: Registration Statement on Form S-3

Ladies and Gentlemen:

We refer to the Registration Statement on Form S-3 (Commission File No. 333-258426), as amended by the Post-Effective Amendment No. 1 and Post-Effective Amendment No. 2 thereto (the "Registration Statement"), being filed by Rigel Pharmaceuticals, Inc., a Delaware corporation (the "Company"), with the Securities and Exchange Commission (the "SEC") under the Securities Act of 1933, as amended (the "Securities Act"). The Registration Statement includes two prospectuses: (i) a base prospectus (the "Base Prospectus") and (ii) a sales agreement prospectus (the "Sales Agreement Prospectus") covering the issuance and sale from time to time of shares (the "Placement Shares") of the Company's common stock, \$0.001 par value per share (the "Common Stock") having an aggregate offering price of up to \$100,000,000 that may be sold under the Sales Agreement, dated August 4, 2020 (the "Sales Agreement"), between the Company and Jefferies LLC (the "Agent"). The Registration Statement, including the Base Prospectus (as supplemented from time to time by one or more prospectus supplements) and the Sales Agreement Prospectus, will provide for the registration by the Company of the sale of:

- a) shares of Common Stock (the "Base Prospectus Shares");
- b) shares of the Company's preferred stock, \$0.001 par value per share (the "Preferred Stock");
- c) debt securities of the Company (the "Debt Securities");
- d) warrants to purchase Common Stock, Preferred Stock or Debt Securities (the "Warrants"); and
- e) the Placement Shares.

The Base Prospectus Shares, the Preferred Stock, the Debt Securities, the Warrants and the Placement Shares are collectively referred to herein as the "Securities."

Unless otherwise specified in the applicable prospectus supplement:

- (1) the Debt Securities will be issued under an indenture (the "Indenture") to be entered into between the Company and a trustee (the "Trustee"); and
- (2) the Warrants will be issued under a warrant agreement (the "Warrant Agreement") to be entered into between the Company and a warrant agent (the "Warrant Agent");

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Rigel Pharmaceuticals, Inc.
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in each case substantially in the form that has been or will be filed as one or more exhibits to the Registration Statement.

This opinion letter is being delivered in accordance with the requirements of Item 601(b)(5) of Regulation S-K under the Securities Act.

We have examined the Registration Statement, the exhibits thereto, the Sales Agreement, the certificate of incorporation of the Company, as amended to the date hereof (the "Charter"), the bylaws of the Company, as amended to the date hereof (the "Bylaws"), and the resolutions (the "Resolutions") adopted by the board of directors of the Company (the "Board") relating to the Registration Statement, the Sales Agreement and the issuance of the Placement Shares by the Company. We have also examined originals, or copies of originals certified to our satisfaction, of such agreements, documents, certificates and statements of the Company and others, and have examined such questions of law, as we have considered relevant and necessary as a basis for this opinion letter. We have assumed the authenticity of all documents submitted to us as originals, the genuineness of all signatures, the legal capacity of all persons and the conformity with the original documents of any copies thereof submitted to us for examination. As to facts relevant to the opinions expressed herein, we have relied without independent investigation or verification upon, and assumed the accuracy and completeness of, certificates, letters and oral and written statements and representations of public officials and officers and other representatives of the Company.

Based on and subject to the foregoing and the other limitations, qualifications and assumptions set forth herein, we are of the opinion that:

1. With respect to an offering of Base Prospectus Shares covered by the Registration Statement, such Base Prospectus Shares will be validly issued, fully paid and nonassessable, when: (i) the Registration Statement, as finally amended (including any necessary post-effective amendments), shall have become effective under the Securities Act; (ii) a prospectus supplement with respect to the sale of such Base Prospectus Shares, if any shall be required, shall have been filed with the SEC in compliance with the Securities Act and the rules and regulations thereunder; (iii) the Board or a duly authorized committee thereof shall have duly adopted final resolutions in conformity with the Charter, the Bylaws and the Resolutions authorizing the issuance and sale of such Base Prospectus Shares; and (iv) certificates representing such Base Prospectus Shares shall have been duly executed, countersigned and registered and duly delivered in accordance with the applicable definitive purchase, underwriting or similar agreement upon payment of the agreed consideration therefor in an amount not less than the aggregate par value thereof or, if any such Base Prospectus Shares are to be issued in uncertificated form, the Company's books shall reflect the issuance of such Base Prospectus Shares in accordance with the applicable definitive purchase, underwriting or similar agreement upon payment of the agreed consideration therefor in an amount not less than the aggregate par value thereof.
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Rigel Pharmaceuticals, Inc.
March 1, 2022
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2. The issuance and sale of each series of Preferred Stock covered by the Registration Statement will be duly authorized, and each share of such series of Preferred Stock will be validly issued, fully paid and nonassessable, when: (i) the Registration Statement, as finally amended (including any necessary post-effective amendments), shall have become effective under the Securities Act; (ii) a prospectus supplement with respect to the sale of such series of Preferred Stock shall have been filed with the SEC in compliance with the Securities Act and the rules and regulations thereunder; (iii) the Board or a duly authorized committee thereof shall have duly adopted final resolutions in conformity with the Charter, the Bylaws and the Resolutions establishing the designations, preferences, rights, qualifications, limitations or restrictions of such series of Preferred Stock and authorizing the issuance and sale of such series of Preferred Stock; (iv) the Company shall have filed with the Secretary of State of the State of Delaware a Certificate of Designations with respect to such series of Preferred Stock in accordance with the General Corporation Law of the State of Delaware (the "DGCL") and in conformity with the Charter and such final resolutions; and (v) certificates representing such series of Preferred Stock shall have been duly executed, countersigned and registered and duly delivered in accordance with the applicable definitive purchase, underwriting or similar agreement to the purchasers thereof against payment of the agreed consideration therefor in an amount not less than the aggregate par value thereof or, if any shares of such series of Preferred Stock are to be issued in uncertificated form, the Company's books shall reflect the issuance of such shares in accordance with the applicable definitive purchase, underwriting or similar agreement upon payment of the agreed consideration therefor in an amount not less than the aggregate par value thereof.
 3. The Debt Securities of each series covered by the Registration Statement will constitute valid and binding obligations of the Company when: (i) the Registration Statement, as finally amended (including any necessary post-effective amendments), shall have become effective under the Securities Act and the Indenture (including any necessary supplemental indenture) shall have been qualified under the Trust Indenture Act of 1939, as amended; (ii) a prospectus supplement with respect to such series of Debt Securities shall have been filed with the SEC in compliance with the Securities Act and the rules and regulations thereunder; (iii) the Indenture shall have been duly authorized, executed and delivered by the Company and the Trustee; (iv) all necessary corporate action shall have been taken by the Company to authorize the form, terms, execution, delivery, performance, issuance and sale of such series of Debt Securities as contemplated by the Registration Statement, the prospectus supplement relating to such Debt Securities and the Indenture and to authorize the execution, delivery and performance of a supplemental indenture or officers' certificate establishing the form and terms of such series of Debt Securities as contemplated by the Indenture; (v) a supplemental indenture or officers' certificate establishing the form and terms of such series of Debt Securities shall have been duly executed and delivered by the Company and the Trustee (in the case of such a supplemental indenture) or by duly authorized officers of the Company (in the case of such an officers' certificate), in each case in accordance with the provisions of the Charter, the Bylaws, final resolutions of the Board or a duly authorized committee thereof and the Indenture; and (vi) the certificates evidencing the Debt Securities of such series shall have been duly executed and delivered by the Company, authenticated by the Trustee and issued, all in accordance with the Charter, the Bylaws, final resolutions of the Board or a duly authorized committee thereof, the Indenture and the supplemental indenture or officers' certificate, as the case may be, establishing the form and terms of the Debt Securities of such series, and shall have been duly delivered in accordance with the applicable definitive purchase, underwriting or similar agreement to the purchasers thereof against payment of the agreed consideration therefor.
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Rigel Pharmaceuticals, Inc.
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4. Each issue of Warrants covered by the Registration Statement will constitute valid and binding obligations of the Company when: (i) the Registration Statement, as finally amended (including any necessary post-effective amendments), shall have become effective under the Securities Act; (ii) a prospectus supplement with respect to such issue of Warrants and the Base Prospectus Shares, Preferred Stock or Debt Securities issuable upon exercise of such Warrants shall have been filed with the SEC in compliance with the Securities Act and the rules and regulations thereunder; (iii) a Warrant Agreement relating to such issue of Warrants shall have been duly authorized, executed and delivered by the Company and duly executed and delivered by the Warrant Agent named in the Warrant Agreement; (iv) the Board or a duly authorized committee thereof shall have duly adopted final resolutions in conformity with the Charter, the Bylaws and the Resolutions authorizing the execution and delivery of the Warrant Agreement and the execution, delivery, issuance and sale of such issue of Warrants; (v) if such Warrants are exercisable for Common Stock, the actions described in paragraph 1 above shall have been taken; (vi) if such Warrants are exercisable for Preferred Stock, the actions described in paragraph 2 above shall have been taken; (vii) if such Warrants are exercisable for Debt Securities, the actions described in paragraph 3 above shall have been taken and (viii) certificates representing such issue of Warrants shall have been duly executed, countersigned and issued in accordance with such Warrant Agreement and shall have been duly delivered in accordance with the applicable definitive purchase, underwriting or similar agreement to the purchasers thereof against payment of the agreed consideration therefor.
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5. The issuance and sale of the Placement Shares pursuant to the Sales Agreement have been duly authorized by the Company and, when: (i) the Registration Statement, as finally amended (including any necessary post-effective amendments), shall have become effective under the Securities Act, (ii) the number of Placement Shares to be offered, issued and sold by the Company from time to time and the respective purchase prices, the Agent's discounts or commissions, and times and dates of offering, issuance and sale, and the offering, issuance and sale thereof, have been duly authorized and approved by duly authorized officers of the Company, acting together if so required, all as provided in, and in compliance with the parameters, limitations and other terms set forth in resolutions duly adopted by the Company's Board of Directors or any duly authorized committees thereof, and agreed upon by the Company, the Agent and the purchasers thereof, and (iii) such Placement Shares are duly issued and delivered by the Company in accordance with the Sales Agreement against receipt by the Company of the agreed upon purchase price therefor in an amount not less than the aggregate par value therefor, will be validly issued, fully paid and nonassessable.

Our opinions are subject to bankruptcy, insolvency, reorganization, moratorium, fraudulent conveyance, fraudulent transfer and other similar laws relating to or affecting creditors' rights generally and to general equitable principles (regardless of whether considered in a proceeding in equity or at law), including concepts of commercial reasonableness, good faith and fair dealing and the possible unavailability of specific performance or injunctive relief. Our opinion is also subject to (i) provisions of law which may require that a judgment for money damages rendered by a court in the United States of America be expressed only in United States dollars, (ii) requirements that a claim with respect to any Debt Securities or other obligations that are denominated or payable other than in United States dollars (or a judgment denominated or payable other than in United States dollars in respect of such claim) be converted into United States dollars at a rate of exchange prevailing on a date determined pursuant to applicable law and (iii) governmental authority to limit, delay or prohibit the making of payments outside of the United States of America or in a foreign currency

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For the purposes of this letter, we have assumed that, at the time of the issuance, sale and delivery of any of the Securities:

- (i) the Securities being offered will be issued and sold as contemplated in the Registration Statement and the prospectus supplement relating thereto;
- (ii) the execution, delivery and performance by the Company of each Indenture and each Warrant Agreement, as applicable, and the issuance sale and delivery of the Securities will not (A) contravene or violate the Charter or Bylaws, (B) violate any law, rule or regulation applicable to the Company, (C) result in a default under or breach of any agreement or instrument binding upon the Company or any order, judgment or decree of any court or governmental authority applicable to the Company, or (D) require any authorization, approval or other action by, or notice to or filing with, any court or governmental authority (other than such authorizations, approvals, actions, notices or filings which shall have been obtained or made, as the case may be, and which shall be in full force and effect);
- (iii) with respect to the Placement Shares, (a) the Company will comply with all applicable notice requirements regarding uncertificated shares provided in the DGCL and (b) upon the issue of any of the Placement Shares, the total number of shares of Common Stock issued and outstanding will not exceed the total number of shares of Common Stock that the Company is then authorized to issue under its Charter;
- (iv) the authorization thereof by the Company will not have been modified or rescinded, and there will not have occurred any change in law affecting the validity, legally binding character or enforceability thereof; and
- (v) the Charter and the Bylaws, each as currently in effect, will not have been modified or amended and will be in full force and effect.

We have further assumed that each Warrant Agreement each Warrant, each Indenture, each indenture supplement to the Indenture and each Debt Security will be governed by the laws of the State of New York.

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With respect to each instrument or agreement referred to in or otherwise relevant to the opinions set forth herein (each, an "Instrument"), we have assumed, to the extent relevant to the opinions set forth herein, that (i) each party to such Instrument (if not a natural person) was duly organized or formed, as the case may be, and was at all relevant times and is validly existing and in good standing under the laws of its jurisdiction of organization or formation, as the case may be, and had at all relevant times and has full right, power and authority to execute, deliver and perform its obligations under such Instrument; (ii) such Instrument has been duly authorized, executed and delivered by each party thereto; and (iii) such Instrument was at all relevant times and is a valid, binding and enforceable agreement or obligation, as the case may be, of, each party thereto.

This opinion letter is limited to the DGCL and the laws of the State of New York (excluding the securities laws of the State of New York). We express no opinion as to the laws, rules or regulations of any other jurisdiction, including, without limitation, the federal laws of the United States of America or any state securities or blue sky laws.

We hereby consent to the filing of this opinion letter as an Exhibit to the Registration Statement and to all references to our Firm included in or made a part of the Registration Statement. In giving such consent, we do not thereby admit that we are in the category of persons whose consent is required under Section 7 of the Securities Act.

Very truly yours,

/s/ Sidley Austin LLP

Consent of Independent Registered Public Accounting Firm

We consent to the reference to our firm under the caption “Experts” in the Post-Effective Amendment No. 2 to the Registration Statement (Form S-3 No. 333-258426) and related Prospectuses of Rigel Pharmaceuticals, Inc. for the registration of (i) common stock, preferred stock, debt securities, and warrants and (ii) up to \$100,000,000 of common stock that maybe be issued and sold from time to time under the sales agreement with Jefferies, LLC., and to the incorporation by reference therein of our reports dated March 1, 2022, with respect to the financial statements of Rigel Pharmaceuticals, Inc., and the effectiveness of internal control over financial reporting of Rigel Pharmaceuticals, Inc., included in its Annual Report (Form 10-K) for the year ended December 31, 2021, filed with the Securities and Exchange Commission.

/s/ Ernst & Young LLP
San Francisco, California
March 1, 2022
