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As filed with the Securities and Exchange Commission on March 8, 2012

Registration No. 333-

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

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

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**RIGEL PHARMACEUTICALS, INC.**

(Exact name of registrant as specified in its charter)

**Delaware** **94-3248524**  
(State or Other Jurisdiction of Incorporation or Organization) (I.R.S. Employer Identification No.)

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**1180 Veterans Boulevard  
South San Francisco, CA 94080  
(650) 624-1100**  
(Address, including zip code, and telephone number,  
including area code, of Registrant's principal executive offices)

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**James M. Gower**  
**Chairman of the Board and Chief Executive Officer**  
**Rigel Pharmaceuticals, Inc.**  
**1180 Veterans Boulevard**  
**South San Francisco, CA 94080**  
**(650) 624-1100**  
(Name, address, including zip code, and telephone number,  
including area code, of agent for service)

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Copies to:  
**David G. Peinsipp**  
**Cooley LLP**  
**101 California Street, 5th Floor**  
**San Francisco, CA 94111-5800**  
**(415) 693-2000**

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

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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, or smaller reporting company. See definitions of "large accelerated filer," "accelerated filer" and "smaller reporting company" in Rule 12b-2 of the Securities Exchange Act of 1934, or the Exchange Act. (Check one):

## CALCULATION OF REGISTRATION FEE

Title of Each Class of Securities To Be Registered	Amount To Be Registered	Proposed Maximum Offering Price Per Unit	Proposed Maximum Aggregate Offering Price	Amount Of Registration Fee(1)
Common Stock, \$0.001 par value per share	(2)	(3)	(3)	—
Warrants	(2)	(3)	(3)	—
Total	(2)		\$200,000,000	\$22,920

- (1) Calculated pursuant to Rule 457(o) under the Securities Act of 1933, or the Securities Act.
- (2) There are being registered hereunder such indeterminate number of shares of common stock and such indeterminate number of warrants to purchase common stock, which together shall have an aggregate initial offering price not to exceed \$200,000,000. Any securities registered hereunder may be sold separately or as units with the other securities registered hereunder. The proposed maximum offering price per unit will be determined, from time to time, by the registrant in connection with the issuance by the registrant of the securities registered hereunder. The securities registered hereunder also include such indeterminate number of shares of common stock as may be issued upon exercise of warrants or pursuant to the antidilution provisions of any of such securities. In addition, pursuant to Rule 416 under the Securities Act, the shares being registered hereunder include such indeterminate number of shares of common stock as may be issuable with respect to the shares being registered hereunder as a result of stock splits, stock dividends or similar transactions.
- (3) The proposed maximum aggregate offering price per class of security will be determined from time to time by the registrant in connection with the issuance by the registrant of the securities registered hereunder and is not specified as to each class of security pursuant to General Instruction II.D. of Form S-3 under 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 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.

**The information in this prospectus is not complete and may be changed. We may not sell these securities until the registration statement filed with the Securities and Exchange Commission is effective. This prospectus is not an offer to sell these securities and it is not soliciting an offer to buy these securities in any state where the offer or sale is not permitted.**

**Subject to Completion, Dated March 8, 2012**

**PROSPECTUS**



**\$200,000,000  
COMMON STOCK  
WARRANTS**

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From time to time, we may offer to sell common stock or warrants, or any combination of these securities, in amounts, at prices and on terms described in one or more supplements to this prospectus. We may also offer common stock upon the exercise of warrants.

We will provide the specific terms of these offerings and securities in one or more supplements to this prospectus. We may also authorize one or more free writing prospectuses to be provided to you in connection with these offerings. The 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, any applicable prospectus supplement, and any related free writing prospectus, as well as any documents incorporated by reference, before buying any of the securities being offered.

Our common stock is traded on The NASDAQ Global Market under the symbol "RIGL." On March 5, 2012, the reported closing price of our common stock was \$9.71 per share. The applicable prospectus supplement will contain information, where applicable, as to other listings, if any, on The NASDAQ Global Market or any securities market or other securities exchange of the securities covered by the applicable prospectus supplement.

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

The securities may be sold directly by us to investors, through agents designated from time to time or to or through underwriters or dealers, on a continuous or delayed basis. For additional information on the methods of sale, you should refer to the section entitled "Plan of Distribution" in this prospectus. If any agents or underwriters are involved in the sale of any securities with respect to which this prospectus is being delivered, the names of such agents or underwriters and any applicable fees, commissions, discounts and over-allotment options will be set forth in a prospectus supplement. The price to the public of such securities and the net proceeds that we expect to receive from such sale will also be set forth in a prospectus supplement.

**Investing in our securities involves a high degree of risk. You should review carefully the risks and uncertainties described under the heading "Risk Factors" in this prospectus, in any applicable prospectus supplement and any related free writing prospectus, and under similar headings in the other documents that are 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 determined if this prospectus is truthful or complete. Any representation to the contrary is a criminal offense.**

The date of this prospectus is \_\_\_\_\_, 2012 .

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**ABOUT THIS PROSPECTUS**

This prospectus is part of a registration statement on Form S-3 that we filed with the Securities and Exchange Commission, or the SEC, utilizing a "shelf" registration process. By using a shelf registration statement, we may offer and sell from time to time in one or more offerings the common stock or warrants or any combination of these securities described in this prospectus. We may offer and sell shares of our common stock and/or warrants to purchase common stock in one or more offerings, up to a total dollar amount of \$200,000,000.

You should rely only on the information contained in, or incorporated by reference into, this prospectus or any applicable prospectus supplement, along with the information contained in any related free writing prospectus that we have authorized for use in connection with a specific offering. We have not authorized anyone to provide you with different information. No dealer, salesperson or other person is authorized to give any information or to represent anything not contained in this prospectus, any applicable prospectus supplement or any related free writing prospectus that we may authorize to be provided to you. You must not rely on any unauthorized information or representation. This prospectus is an offer to sell only the securities offered hereby, but only under circumstances and in jurisdictions where it is lawful to do so. The information in this prospectus, any applicable prospectus supplement or any related free writing prospectus is accurate only as of the date on the front of the document, and any information we have incorporated by reference is accurate only as of the date of the document incorporated by reference, regardless of the time of delivery of this prospectus, any applicable prospectus supplement or any related free writing prospectus, or any sale of a security. Our business, financial condition, results of operations and prospects may have changed since those dates.

This prospectus and the information incorporated herein by reference includes trademarks, service marks and trade names owned by us or other companies. All trademarks, service marks and trade names included or incorporated by reference into this prospectus or any applicable prospectus supplement are the property of their respective owners.

We urge you to read carefully both this prospectus and any applicable prospectus supplement, together with the information incorporated herein by reference as described under the heading "Where You Can Find Additional Information," before deciding whether to invest in any of the securities being offered.

References in this prospectus to "Rigel," "we," "us," "our" or the "company" refer to Rigel Pharmaceuticals, Inc., a Delaware corporation.

## PROSPECTUS SUMMARY

*This summary highlights information contained elsewhere or incorporated by reference into this prospectus. Because it is a summary, it does not contain all of the information that you should consider before investing in our securities. You should read this entire prospectus carefully, including the section entitled "Risk Factors" and the documents that we incorporate by reference into this prospectus, before making an investment decision.*

### Rigel Pharmaceuticals, Inc.

#### Overview

We are a clinical-stage drug development company that discovers and develops novel, small-molecule drugs for the treatment of inflammatory and autoimmune diseases, as well as muscle disorders. Our pioneering research focuses on intracellular signaling pathways and related targets that are critical to disease mechanisms. Our productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market our product candidates. Current product development programs include fostamatinib, an oral spleen tyrosine kinase (SYK) inhibitor that is in Phase 3 clinical trials for rheumatoid arthritis (RA) (partnered with AstraZeneca AB (AZ)), R343, an inhaled SYK inhibitor that has completed Phase 1 clinical trials for asthma, R548, an oral janus kinase 3 (JAK3) inhibitor in Phase 1 clinical trials for the treatment of transplant rejection and other immune disorders, and R333, a topical JAK/SYK inhibitor in Phase 1 clinical trials for the treatment of discoid lupus (lupus of the skin).

During 2011 and the beginning of 2012, we:

- Announced in January 2012 that AZ has indicated that the Phase 3 studies in RA are continuing as planned. The first of the OSKIRA (Oral SYK Inhibition in Rheumatoid Arthritis) studies, OSKIRA-1, completed full enrollment in the fourth quarter of 2011. AZ expects to file a New Drug Application (NDA) for fostamatinib in the United States and a European equivalent in the second half of 2013.
- Entered into Phase 1 clinical trials with two of our lead product candidates during the fourth quarter of 2011. We are evaluating R548, an oral JAK3 inhibitor, as a potential therapeutic for transplant rejection and other systemic immune disorders, and R333, a topical JAK/SYK inhibitor aimed at treating various phases of discoid lupus (lupus of the skin).
- Announced in August 2011 that AZ had commenced a Phase 2b clinical trial (OSKIRA-4) that explores fostamatinib as a monotherapy in RA in the first quarter of 2011.
- Completed a public offering of 18,745,000 shares of our common stock in June 2011, which resulted in net proceeds of approximately \$140.5 million, after deducting underwriting discounts and commissions and offering expenses.
- Assumed development of R343 from Pfizer Inc. in May 2011 as a result of Pfizer's decision to exit research and development in the allergy and respiratory therapeutic area. We expect to initiate a Phase 2 clinical trial of R343 for the treatment of allergic asthma in the summer of 2012.

#### 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](http://www.rigel.com). The information contained in, or accessible through, our website does not constitute a part of this prospectus or any prospectus supplement.

### The Securities We May Offer

We may offer shares of our common stock and/or warrants to purchase our common stock with a total value of up to \$200,000,000 from time to time under this prospectus, together with any applicable prospectus supplement and any related free writing prospectus, at prices and on terms to be determined by market conditions at the time of any offering. This prospectus provides you with a general description of the securities we may offer. Each time we offer a type or series of securities under this prospectus, we will provide a prospectus supplement that will describe the specific amounts, prices and other important terms of the securities, including but not limited to, to the extent applicable:

- aggregate principal amount or aggregate offering price;
- exercise prices and/or maturity date, as applicable;
- voting or other rights, if any; and
- material or special U.S. federal income tax considerations, if any.

Any applicable 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 we have incorporated by reference. However, no prospectus supplement or free writing prospectus will offer a security that is not registered and described in this prospectus at the time of the effectiveness of the registration statement of which this prospectus is a part.

#### **THIS PROSPECTUS MAY NOT BE USED TO CONSUMMATE A SALE OF SECURITIES UNLESS IT IS ACCOMPANIED BY A PROSPECTUS SUPPLEMENT.**

We may sell the securities directly to investors or to or through agents, underwriters or dealers. We, and our agents or underwriters, reserve the right to accept or reject all or part of any proposed purchase of securities. If we do offer securities to or through agents or underwriters, we will include in the applicable prospectus supplement:

- the names of those agents or underwriters;
- applicable fees, discounts and commissions to be paid to them;
- details regarding over-allotment options, if any; and
- the net proceeds to us.

*Common Stock.* We may issue shares of our common stock from time to time. The holders of our common stock are entitled to one vote for each share held of record on all matters submitted to a vote of stockholders. Subject to preferences that may be applicable to any outstanding shares of preferred stock, the holders of our common stock are entitled to receive ratably such dividends as may be declared by our board of directors out of legally available funds. Upon our liquidation, dissolution or winding up, holders of our common stock are entitled to share ratably in all assets remaining after payment of liabilities and the liquidation preferences of any outstanding shares of preferred stock. Holders of common stock have no preemptive rights and no right to convert their common stock into any other securities. There are no redemption or sinking fund provisions applicable to our common stock. In this prospectus, we have summarized certain general features of the common stock under "Description of Capital Stock—Common Stock." We urge you, however, to read any applicable prospectus supplement (and any related free writing prospectus that we may authorize to be provided to you) related to any common stock being offered.

*Warrants.* We may issue warrants for the purchase of common stock. We may issue warrants independently or together with common stock and the warrants may be attached to or separate from

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these securities. In this prospectus, we have summarized certain general features of the warrants under "Description of Warrants." We urge you, however, to read any applicable prospectus supplement (and any related free writing prospectus that we may authorize to be provided to you) related to the particular series of warrants being offered, as well as the complete warrant agreements and warrant certificates, as applicable, that contain the terms of the warrants. We have filed the forms of the warrant agreements and forms of warrant certificates containing the terms of the warrants that we may offer as exhibits to the registration statement of which this prospectus is a part. We will file as exhibits to the registration statement of which this prospectus is a part, or will incorporate by reference from reports that we file with the SEC, the supplemental forms of warrant agreements and warrant certificates, as applicable, that describe the terms of the particular series of warrants we are offering, and any supplemental agreements, before the issuance of such warrants.

We will evidence each series of warrants by warrant certificates or agreements that we will issue. Warrants may be issued under an applicable warrant agreement that we enter into with a warrant agent. We will indicate the name and address of the warrant agent, if any, in any applicable prospectus supplement relating to a particular series of warrants.

### **RISK FACTORS**

An investment in our securities involves a high degree of risk. Before you make a decision to invest in our securities, you should consider carefully the risks described in the section entitled "Risk Factors" contained in our annual report for the year ended December 31, 2011, as filed with the SEC on March 6, 2012, which is incorporated herein by reference in its entirety, as well as any amendment or update thereto reflected in our subsequent filings with the SEC, and in any applicable prospectus supplement and any related free writing prospectus. If any of these risks actually occur, our business, operating results, prospects or financial condition could be materially and adversely affected. This could cause the trading price of our common stock, or, if applicable, other securities, to decline and you may lose part or all of your investment. Moreover, the risks described are not the only ones that we face. Additional risks not presently known to us or that we currently deem immaterial may also affect our business, operating results, prospects or financial condition.

### **DISCLOSURE REGARDING FORWARD-LOOKING STATEMENTS**

This prospectus and the documents incorporated by reference into this prospectus contain certain "forward-looking statements" within the meaning of the "safe harbor" provisions of the Private Securities Litigation Reform Act of 1995 with respect to our financial condition, results of operations and business. Words such as "anticipates," "expects," "intends," "plans," "predicts," "believes," "seeks," "estimates," "could," "would," "will," "may," "can," "continue," "potential," "should," and the negative of these terms or other comparable terminology often identify forward-looking statements. Statements in this prospectus and the other documents incorporated by reference that are not historical facts are hereby identified as "forward-looking statements" for the purpose of the safe harbor provided by Section 21E of the Securities Exchange Act of 1934, as amended, and Section 27A of the Securities Act. These forward-looking statements are not guarantees of future performance and are subject to risks and uncertainties that could cause actual results to differ materially from the results contemplated by the forward-looking statements, including the risks discussed under "Risk Factors" contained in this prospectus, and in our most recent annual report on Form 10-K and in our most recent quarterly report on Form 10-Q, as well as any amendments thereto reflected in subsequent filings with the SEC. These forward-looking statements include, but are not limited to, statements about:

- our business and scientific strategies;
- the progress of our product development programs, including clinical testing, and the timing of commencement and results thereof;

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- our corporate collaborations, and revenues that may be received from collaborations and the timing of those potential payments;
- our drug discovery technologies;
- our research and development expenses;
- protection of our intellectual property;
- the sufficiency of our cash resources and need for additional capital; and
- our operations and legal risks.

Many of the important factors that will determine these results are beyond our ability to control or predict. You are cautioned not to put undue reliance on any forward-looking statements, which speak only as of the date such forward-looking statements are made. You should carefully read this prospectus, any applicable prospectus supplement and any related free writing prospectus, together with the information incorporated herein by reference as described under the heading "Where You Can Find Additional Information," completely and with the understanding that our actual future results may be materially different from what we expect. Except as otherwise required by law, we do not assume any obligation to publicly update or release any revisions to these forward-looking statements to reflect events or circumstances after the date of this prospectus or to reflect the occurrence of unanticipated events.

### **USE OF PROCEEDS**

Except as described in any applicable prospectus supplement or in any related free writing prospectus we have authorized for use in connection with a specific offering, we currently intend to use the net proceeds from the sale of the securities offered hereby for research and development and other general corporate purposes. We may also use a portion of the net proceeds to acquire or invest in businesses, products and technologies that we believe are complementary to our own, although we are not currently planning or negotiating any such transactions. Pending these uses, we intend to invest the net proceeds in investment-grade, interest-bearing securities.

### **DESCRIPTION OF CAPITAL STOCK**

#### **General**

As of the date of this prospectus, our authorized capital stock consists of 100,000,000 shares of common stock, \$0.001 par value per share, and 10,000,000 shares of preferred stock, \$0.001 par value per share. As of February 29, 2012, there were 71,441,820 shares of our common stock outstanding. No shares of preferred stock were outstanding as of February 29, 2012.

The following summary description of our capital stock is based on the provisions of our amended and restated certificate of incorporation and amended and restated bylaws, and the applicable provisions of the Delaware General Corporation Law. This information may not be complete in all respects and is qualified entirely by reference to the applicable provisions of our amended and restated certificate of incorporation, amended and restated bylaws, and the Delaware General Corporation Law. For information on how to obtain copies of our amended and restated certificate of incorporation and amended and restated bylaws, which are exhibits to the registration statement of which this prospectus is a part, see "Where You Can Find Additional Information."

We may issue shares of our common stock from time to time, in one or more offerings. We will set forth in the applicable prospectus supplement a description of the terms of the offering of common stock, including the offering price, the net proceeds to us and other offering material relating to such offering.



## **Common Stock**

The holders of our common stock are entitled to one vote for each share held of record on all matters submitted to a vote of stockholders. The holders of our common stock do not have cumulative voting rights. Additionally, holders of a majority of the shares of our common stock entitled to vote in any election of directors may elect all of the directors standing for election. Subject to preferences that may be applicable to any outstanding shares of preferred stock, the holders of common stock are entitled to receive ratably such dividends as may be declared by our board of directors out of legally available funds. Upon our liquidation, dissolution or winding up, holders of our common stock are entitled to share ratably in all assets remaining available for distribution to our stockholders after payment of liabilities and the liquidation preferences of any outstanding shares of preferred stock. Holders of common stock have no preemptive rights and no right to convert their common stock into any other securities. There are no redemption or sinking fund provisions applicable to our common stock. Additional shares of authorized common stock may be issued, as authorized by our board of directors from time to time, without stockholder approval, except as may be required by applicable stock exchange requirements.

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**

Pursuant to our amended and restated certificate of incorporation, our board of directors has the authority, without further action by the stockholders (unless such stockholder action is required by applicable law or NASDAQ rules), to designate and issue up to 10,000,000 shares of preferred stock in one or more series, to establish from time to time the number of shares to be included in each such series, to fix the designations, voting powers, preferences and rights of the shares of each wholly unissued series, and any qualifications, limitations or restrictions thereof, and to increase or decrease the number of shares of any such series, but not below the number of shares of such series then outstanding. We are not offering any shares of preferred stock pursuant to this prospectus.

The General Corporation Law of the State of Delaware, the state of our incorporation, provides that the holders of preferred stock will have the right to vote separately as a class (or, in some cases, as a series) on an amendment to our certificate of incorporation if the amendment would change the par value or, unless the certificate of incorporation provides otherwise, the number of authorized shares of the class or change the powers, preferences or special rights of the class or series so as to adversely affect the class or series, as the case may be. This right is in addition to any voting rights that may be provided for in the applicable certificate of designation.

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

## **Stock Options and Warrants**

As of February 29, 2012, there were 11,762,382 shares of our common stock reserved for issuance under our 2000 Equity Incentive Plan and 3,499,751 shares available for issuance or future grant under our 2011 Equity Incentive Plan. In addition, as of such date, an entity held a warrant to purchase 200,000 shares of our common stock.

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

*Delaware Takeover Statute.* We are subject to Section 203 of the Delaware General Corporation Law. Section 203 generally prohibits a public Delaware corporation such as us from engaging in a "business combination" with an "interested stockholder" for a period of three years after the date of the transaction in which the person became an interested stockholder, unless:

- prior to the date of the transaction, 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 interest 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 (a) shares owned by 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
- on or subsequent to the date of the transaction, the business combination is approved by the board and authorized at an annual or special meeting of stockholders, and not by written consent, by the affirmative vote of at least 66 2/3% of the outstanding voting stock which is not owned by the interested stockholder.

Section 203 defines a business combination to include:

- any merger or consolidation involving the corporation and the interested stockholder;
- any sale, lease, exchange, mortgage, pledge, transfer or other disposition (in one transaction or a series of transactions) involving the interested stockholder of 10% or more of the assets of the corporation (or its majority-owned subsidiary);
- subject to certain 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 any entity or person beneficially owning 15% or more of the outstanding voting stock of the corporation and any entity or person that is an affiliate or associate of such entity or person.

*Charter Documents.* Provisions of our amended and restated certificate of incorporation and amended and restated bylaws may delay or discourage transactions involving an actual or potential change in our control or change in our management, including transactions in which stockholders might otherwise receive a premium for their shares, or transactions that our stockholders might otherwise deem to be in their best interests. Therefore, these provisions could adversely affect the price of our common stock. Our amended and restated certificate of incorporation requires that any action required or permitted to be taken by our stockholders must be effected at a duly called annual or special

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meeting of stockholders and may not be effected by a consent in writing. Additionally, our amended and restated certificate of incorporation:

- does not provide for the use of cumulative voting in the election of directors;
- provides for a board of directors, classified into three classes of directors;
- provides that the authorized number of directors may be changed only by resolution of our board of directors; and
- provides for the authority of our board of directors to issue up to 10,000,000 shares of "blank check" preferred stock and to determine the price, powers, preferences and rights of these shares, without stockholder approval.

Our amended and restated bylaws provide that candidates for director may be nominated only by our board of directors or by a stockholder who gives written notice to us no later than 90 days prior nor earlier than 120 days prior to the first anniversary of the last annual meeting of stockholders, subject to certain exceptions. The authorized number of directors is fixed in accordance with our amended and restated certificate of incorporation. Our board of directors may appoint new directors to fill vacancies or newly created directorships. Our amended and restated bylaws also limit who may call a special meeting of stockholders.

**Transfer Agent and Registrar**

The transfer agent and registrar for our common stock is Wells Fargo Bank, N.A. Its address is 161 North Concord Exchange, South St. Paul, MN 55075-1139 and its telephone number is (800) 468-9716. The transfer agent for any series of preferred stock that we may offer under this prospectus will be named and described in the prospectus supplement for that series.

**NASDAQ Global Market Listing**

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

## DESCRIPTION OF WARRANTS

The following description, together with the additional information we may include in the applicable prospectus supplements and free writing prospectuses, summarizes the material terms and provisions of the warrants that we may offer under this prospectus. Warrants may be offered independently or together with common stock and may be attached to or separate from those securities. The following description of warrants will apply to the warrants offered by this prospectus unless we provide otherwise in an applicable prospectus supplement. The applicable prospectus supplement for a particular series of warrants may specify different or additional terms.

We have filed forms of the warrant agreements and forms of warrant certificates containing the terms of the warrants that may be offered as exhibits to the registration statement of which this prospectus is a part. We will file as exhibits to the registration statement of which this prospectus is a part, or will incorporate by reference from reports that we file with the SEC, the form of warrant agreement and warrant certificate, as applicable, that describe the terms of the particular series of warrants we are offering, and any supplemental agreements, before the issuance of such warrants. The following summaries of material terms and provisions of the warrants are subject to, and qualified in their entirety by reference to, all the provisions of the warrant agreement and warrant certificate, as applicable, and any supplemental agreements applicable to a particular series of warrants that we may offer under this prospectus. We urge you to read the applicable prospectus supplement related to the particular series of warrants that we may offer under this prospectus, as well as any related free writing prospectuses, and the complete warrant agreement and warrant certificate, as applicable, and any supplemental agreements, that contain the terms of the warrants.

### General

We will describe in the applicable prospectus supplement the terms of the series of warrants being offered, including:

- the offering price and aggregate number of warrants offered;
- the currency for which the warrants may be purchased;
- if applicable, the designation and terms of the securities with which the warrants are issued and the number of warrants issued with each such security or each principal amount of such security;
- if applicable, the date on and after which the warrants and the related securities will be separately transferable;
- in the case of warrants to purchase common stock, the number of shares of common stock purchasable upon the exercise of one warrant and the price at which these shares may be purchased upon such exercise;
- the effect of any merger, consolidation, sale or other disposition of our business on the warrant agreements and the warrants;
- the terms of any rights to redeem or call the warrants;
- any provisions for changes to or adjustments in the exercise price or number of securities issuable upon exercise of the warrants;
- the dates on which the right to exercise the warrants will commence and expire;
- the manner in which the warrant agreements and warrants may be modified;
- a discussion of material or special U.S. federal income tax considerations, if any, of holding or exercising the warrants;

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- the terms of the securities issuable upon exercise of the warrants; and
- any other specific terms, preferences, rights or limitations of or restrictions on the warrants.

Before exercising their warrants, holders of warrants will not have any of the rights of holders of the securities purchasable upon such exercise, including the right to receive dividends, if any, or payments upon our liquidation, dissolution or winding up or to exercise voting rights, if any.

### **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. The warrants may be exercised as set forth in the prospectus supplement relating to the warrants offered. Unless we otherwise specify in the applicable prospectus supplement, warrants may be exercised at any time up to the close of business on the expiration date set forth in the prospectus supplement relating to the warrants offered thereby. After the close of business on the expiration date, unexercised warrants will become void.

Upon receipt of payment and the warrant certificate or agreement, as applicable, properly completed and duly executed at the corporate trust office of the warrant agent, if any, or any other office, including ours, indicated in the prospectus supplement, we will, as soon as practicable, issue and deliver the securities purchasable upon such exercise. If less than all of the warrants represented by such warrant certificate or agreement are exercised, a new warrant certificate or agreement will be issued for the remaining warrants.

### **Governing Law**

Unless we provide otherwise in the applicable prospectus supplement, the warrants and warrant agreements will be governed by and construed in accordance with the laws of the State of New York.

### **Enforceability of Rights by Holders of Warrants**

Each warrant agent, if any, 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.

### **Outstanding Warrants**

As of February 29, 2012, there was one outstanding warrant to purchase 200,000 shares of our common stock at an exercise price of \$6.61 per share. The outstanding warrant may be exercised for cash or on a cashless basis, in which case we will deliver, upon exercise, the number of shares with respect to which the warrant is being exercised reduced by a number of shares having a value (as determined in accordance with the terms of the warrant) equal to the aggregate exercise price of the shares with respect to which the warrant is being exercised.

## PLAN OF DISTRIBUTION

We may sell the securities from time to time pursuant to underwritten public offerings, negotiated transactions, block trades or a combination of these methods. We may sell the securities to or through underwriters or dealers, through agents, or directly to one or more purchasers. We may distribute securities from time to time in one or more transactions:

- at a fixed price or prices, which may be changed;
- at market prices prevailing at the time of sale;
- at prices related to such prevailing market prices; or
- at negotiated prices.

A prospectus supplement or supplements (and any related free writing prospectus that we may authorize to be provided to you) will describe the terms of the offering of the securities, including, to the extent applicable:

- the name or names of the underwriters, if any;
- the purchase price of the securities or other consideration therefor, and the proceeds, if any, we will receive from the sale;
- any over-allotment options under which underwriters may purchase additional securities from us;
- any agency fees or underwriting discounts and other items constituting agents' or underwriters' compensation;
- any public offering price;
- any discounts or concessions allowed or reallocated or paid to dealers; and
- any securities exchange or market on which the securities may be listed.

Only underwriters named in the prospectus supplement will be underwriters of the securities offered by the prospectus supplement. If underwriters are used in the sale, they will acquire the securities for their own account and may resell the securities from time to time in one or more transactions at a fixed public offering price or at varying prices determined at the time of sale. The obligations of the underwriters to purchase the securities will be subject to the conditions set forth in the applicable underwriting agreement. We may offer the securities to the public through underwriting syndicates represented by managing underwriters or by underwriters without a syndicate. Subject to certain conditions, the underwriters will be obligated to purchase all of the securities offered by the prospectus supplement, other than securities covered by any over-allotment option. Any public offering price and any discounts or concessions allowed or reallocated or paid to dealers may change from time to time. We may use underwriters with whom we have a material relationship. We will describe in the prospectus supplement, naming the underwriter, the nature of any such relationship.

We may sell securities directly or through agents we designate from time to time. We will name any agent involved in the offering and sale of securities and we will describe any commissions we will pay the agent in the prospectus supplement. Unless the prospectus supplement states otherwise, our agent will act on a best-efforts basis for the period of its appointment.

We may authorize agents or underwriters to solicit offers by certain types of institutional investors to purchase securities from us at the public offering price set forth in the prospectus supplement pursuant to delayed delivery contracts providing for payment and delivery on a specified date in the future. We will describe the conditions to these contracts and the commissions we must pay for solicitation of these contracts in the prospectus supplement.

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We may provide agents and underwriters with indemnification against civil liabilities, including liabilities under the Securities Act, or contribution with respect to payments that the agents or underwriters may make with respect to these liabilities. Agents and underwriters may engage in transactions with, or perform services for, us in the ordinary course of business.

All securities we may offer, other than common stock, will be new issues of securities with no established trading market. Any underwriters may make a market in these securities, but will not be obligated to do so and may discontinue any market making at any time without notice. We cannot guarantee the liquidity of the trading markets for any securities.

Any underwriter may engage in over-allotment, stabilizing transactions, short-covering transactions and penalty bids in accordance with Regulation M under the Exchange Act. Over-allotment involves sales in excess of the offering size, which create a short position. Stabilizing transactions permit bids to purchase the underlying security so long as the stabilizing bids do not exceed a specified maximum price. Syndicate-covering or other short-covering transactions involve purchases of the securities, either through exercise of the over-allotment option or in the open market after the distribution is completed, to cover short positions. Penalty bids permit the underwriters to reclaim a selling concession from a dealer when the securities originally sold by the dealer are purchased in a stabilizing or covering transaction to cover short positions. Those activities may cause the price of the securities to be higher than it would otherwise be. If commenced, the underwriters may discontinue any of the activities at any time.

Any underwriters that are qualified market makers on The NASDAQ Global Market may engage in passive market making transactions in the common stock on The NASDAQ Global Market in accordance with Regulation M under the Exchange Act, during the business day prior to the pricing of the offering, before the commencement of offers or sales of the common stock. Passive market makers must comply with applicable volume and price limitations and must be identified as passive market makers. In general, a passive market maker must display its bid at a price not in excess of the highest independent bid for such security; if all independent bids are lowered below the passive market maker's bid, however, the passive market maker's bid must then be lowered when certain purchase limits are exceeded. Passive market making may stabilize the market price of the securities at a level above that which might otherwise prevail in the open market and, if commenced, may be discontinued at any time.

In compliance with guidelines of the Financial Industry Regulatory Authority, or FINRA, the maximum consideration or discount to be received by any FINRA member or independent broker dealer may not exceed 8% of the aggregate amount of the securities offered pursuant to this prospectus and any applicable prospectus supplement.

## LEGAL MATTERS

Cooley LLP, San Francisco, California will pass upon the validity of the securities being offered by this prospectus and the applicable prospectus supplements, and counsel named in the applicable prospectus supplement will pass upon legal matters for any underwriters, dealers or agents.

## 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, 2011, and the effectiveness of our internal control over financial reporting as of December 31, 2011, 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

We file annual, quarterly and current reports, proxy statements and other information with the Securities and Exchange Commission. You may read and copy any document filed by us with the Securities and Exchange Commission at the Securities and Exchange Commission's Public Reference Room at 100 F Street NE, Washington, D.C. 20549. You may obtain information on the operation of the Public Reference Room by calling the Securities and Exchange Commission at (800) SEC-0330. The Securities and Exchange Commission maintains a website that contains reports, proxy statements and other information regarding issuers that file electronically with the Securities and Exchange Commission, including Rigel. The address of the Securities and Exchange Commission website is <http://www.sec.gov>. We maintain a website at [www.rigel.com](http://www.rigel.com). Information found on, or accessible through, our website is not a 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.

The SEC allows us to "incorporate by reference" the information we file with it, which means that we can disclose important information to you by referring you to those documents instead of having to repeat the information in this prospectus. The information incorporated by reference is considered to be part of this prospectus, and later information that we file with the SEC will automatically update and supersede this information. The SEC file number for the documents incorporated by reference in this prospectus is 0-29889. The documents incorporated by reference into this prospectus contain important information that you should read about us.

The following documents are incorporated by reference into this document:

- our Annual Report on Form 10-K for the fiscal year ended December 31, 2011, filed with the SEC on March 6, 2012;
- our Current Report on Form 8-K (other than information furnished rather than filed) filed with the SEC on February 8, 2012; and
- the description of our common stock, which is registered under Section 12 of the Exchange Act, in our registration statement on Form 8-A, filed with the SEC on October 3, 2000, including any amendments or reports filed for the purpose of updating such description.

We also incorporate by reference into this prospectus all documents (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) that are filed by us with the Securities and Exchange Commission pursuant to Sections 13(a), 13(c), 14 or 15(d) of the Exchange Act (i) after the date of the filing of the registration statement of which this prospectus is a part and prior to effectiveness of such registration statement, or (ii) from the date of this prospectus but prior to the termination of the offering. These documents



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include periodic reports, such as Annual Reports on Form 10-K, Quarterly Reports on Form 10-Q and Current Reports on Form 8-K, as well as proxy statements.

We will provide to each person, including any beneficial owner, to whom a prospectus is delivered, without charge upon written or oral request, a copy of any or all of the documents that are incorporated by reference into this prospectus but not delivered with the prospectus, including exhibits which are specifically incorporated by reference into such documents. Requests should be directed to: Rigel Pharmaceuticals, Inc., Attn: Corporate Secretary, 1180 Veterans Boulevard, South San Francisco, CA 94080, telephone: (650) 624-1100.

Any statement contained herein or in a document incorporated or deemed to be incorporated by reference into this document will be deemed to be modified or superseded for purposes of the document to the extent that a statement contained in this document or any other subsequently filed document that is deemed to be incorporated by reference into this document modifies or supersedes the statement.

**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. All the amounts shown are estimates.

SEC registration fee	\$ 22,920
Accounting fees and expenses	150,000*
Legal fees and expenses	150,000*
Transfer agent fees and expenses	5,000*
Printing fees	50,000*
Miscellaneous expenses	50,000*
<b>Total</b>	<b>\$ 427,920*</b>

\* Estimated.

**Item 15. Indemnification of Directors and Officers.**

Our amended and restated certificate of incorporation provides that we must indemnify our directors to the fullest extent under applicable law. Pursuant to Delaware law, this includes elimination of liability for monetary damages for breach of the directors' fiduciary duty of care to Rigel and its stockholders. However, our directors may be personally liable for liability:

- for any breach of duty of loyalty to us or to our stockholders;
- for acts or omissions not in good faith or that involve intentional misconduct or a knowing violation of law;
- for unlawful payment of dividends or unlawful stock repurchases or redemptions under Section 174 of the Delaware General Corporation Law; or
- for any transaction from which the director derived an improper personal benefit.

In addition, our amended and restated bylaws provide that:

- we are required to indemnify our directors and executive officers to the fullest extent not prohibited by Delaware law or any other applicable law, subject to limited exceptions;
- we may indemnify our other officers, employees and other agents as set forth in Delaware law or any other applicable law;
- we are required to advance expenses to our directors and executive officers as incurred in connection with legal proceedings against them for which they may be indemnified; and
- the rights conferred in the amended and restated bylaws are not exclusive.

We have entered into indemnification agreements with each of our directors and certain officers. These agreements, among other things, require us to indemnify each director and officer to the fullest extent permitted by Delaware law, including indemnification for expenses such as attorneys' fees, judgments, fines and settlement amounts incurred by the director or officer in any action or proceeding, including any action by or in the right of Rigel, arising out of the person's services as a director or officer of us, any subsidiary of ours or any other company or enterprise to which the person provides services at our request. At present, we are not aware of any pending or threatened litigation

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or proceeding involving any of our directors, officers, employees or agents in which indemnification would be required or permitted. We believe that our charter provisions and indemnification agreements are necessary to attract and retain qualified persons as directors and officers.

The underwriting agreement that we might enter into (Exhibit 1.1) will provide for indemnification by any underwriters of Rigel, our directors, our officers who sign the registration statement and our controlling persons for some liabilities, including liabilities arising under the Securities Act.

**Item 16. Exhibits.**

Exhibit Number	Description of the Document
1.1(1)	Form of Underwriting Agreement.
3.1(2)	Amended and Restated Certificate of Incorporation.
3.2(3)	Amended and Restated Bylaws.
4.1	Reference is made to Exhibits 3.1 and 3.2.
4.2(2)	Specimen Common Stock Certificate.
4.6	Form of Common Stock Warrant Agreement and Warrant Certificate.
5.1	Opinion of Cooley LLP.
23.1	Consent of Independent Registered Public Accounting Firm.
23.2	Consent of Cooley LLP (included in Exhibit 5.1).
24.1	Power of Attorney (included in the signature page).

- (1) To be filed by amendment or by a report filed under the Exchange Act, and incorporated herein by reference, if applicable.
- (2) Filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) dated June 24, 2003, and incorporated herein by reference.
- (3) Filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889), dated February 2, 2007, and incorporated herein by reference.

**Item 17. Undertakings.**

The undersigned registrant hereby undertakes:

- (1) To file, during any period in which offers or sales are being made, a post-effective amendment to this registration statement:
  - (i) To include any prospectus required by Section 10(a)(3) of the Securities Act of 1933;
  - (ii) To reflect in the prospectus any facts or events arising after the effective date of the registration statement (or the most recent post-effective amendment thereof) which, individually or in the aggregate, represent a fundamental change in the information set forth in the registration statement. Notwithstanding the foregoing, any increase or decrease in the 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 Securities and Exchange Commission pursuant to Rule 424(b) if, in the aggregate, the changes in volume and price represent no more than 20% change in the maximum aggregate offering price set forth in the "Calculation of Registration Fee" table in the effective registration statement; and

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- (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 (1)(i), (1)(ii) and (1)(iii) above 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 and 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.
- (4) 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.
- (5) 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;

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- (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.
- (6) That, for purposes of determining any liability under the Securities Act of 1933, each filing of the registrant's annual report pursuant to Section 13(a) or 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 the securities at that time shall be deemed to be the initial *bona fide* offering thereof.
- (7) 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 Exchange Act and will be governed by the final adjudication of such issue.

**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 registration statement to be signed on its behalf by the undersigned, thereunto duly authorized, in the City of South San Francisco, State of California, on March 6, 2012.

**Rigel Pharmaceuticals, Inc.**

By: /s/ JAMES M. GOWER

James M. Gower  
*Chairman of the Board and  
Chief Executive Officer*

**POWER OF ATTORNEY**

**Know All Persons By These Presents**, that each person whose signature appears below constitutes and appoints James M. Gower and Ryan D. Maynard, and each of them, as true and lawful attorneys-in-fact and agents, with full powers of substitution and resubstitution, for them and in their name, place and stead, in any and all capacities, to sign any and all amendments (including pre-effective and post-effective amendments and registration statements filed pursuant to Rule 462) to this registration statement, and to file the same, with all exhibits thereto, and other documents in connection therewith, with the SEC, and generally to do all such things in their names and behalf in their capacities as officers and directors to enable Rigel to comply with the provisions of the Securities Act of 1933 and all requirements of the SEC, granting unto said attorneys-in-fact and agents, and each of them, full power and authority to do and perform each and every act and thing requisite and necessary to be done in connection therewith, as fully to all intents and purposes as he or she might or could do in person, ratifying and confirming all that said attorneys-in-fact and agents, or any of them, or their or his or her substitutes or substitute, may lawfully do or cause to be done by virtue hereof.

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

<u>Signatures</u>	<u>Title</u>	<u>Date</u>
<u>/s/ JAMES M. GOWER</u> James M. Gower	Chairman of the Board, Chief Executive Officer and Director ( <i>Principal Executive Officer</i> )	March 6, 2012
<u>/s/ RYAN D. MAYNARD</u> Ryan D. Maynard	Executive Vice President and Chief Financial Officer ( <i>Principal Financial and Accounting Officer</i> )	March 6, 2012
<u>/s/ DONALD G. PAYAN</u> Donald G. Payan	Executive Vice President, President of Discovery and Research, and Director	March 6, 2012

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<u>Signatures</u>	<u>Title</u>	<u>Date</u>
<u>/s/ BRADFORD S. GOODWIN</u> Bradford S. Goodwin	Director	March 6, 2012
<u>/s/ GARY A. LYONS</u> Gary A. Lyons	Director	March 6, 2012
<u>/s/ WALTER H. MOOS</u> Walter H. Moos	Director	March 6, 2012
<u>/s/ HOLLINGS C. RENTON</u> Hollings C. Renton	Director	March 6, 2012
<u>/s/ PETER S. RINGROSE</u> Peter S. Ringrose	Director	March 6, 2012
<u>/s/ STEPHEN A. SHERWIN</u> Stephen A. Sherwin	Director	March 6, 2012

**EXHIBIT INDEX**

<b>Exhibit Number</b>	<b>Description of the Document</b>
1.1(1)	Form of Underwriting Agreement.
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4.6	Form of Common Stock Warrant Agreement and Warrant Certificate.
5.1	Opinion of Cooley LLP.
23.1	Consent of Independent Registered Public Accounting Firm.
23.2	Consent of Cooley LLP (included in Exhibit 5.1).
24.1	Power of Attorney (included in the signature page).

- 
- (1) To be filed by amendment or by a report filed under the Securities Exchange Act of 1934, as amended, and incorporated herein by reference, if applicable.
  - (2) Filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889) dated June 24, 2003, and incorporated herein by reference.
  - (3) Filed as an exhibit to Rigel's Current Report on Form 8-K (No. 000-29889), dated February 2, 2007, and incorporated herein by reference.





RIGEL PHARMACEUTICALS, INC.

AND

, AS WARRANT AGENT

FORM OF COMMON STOCK  
WARRANT AGREEMENT

DATED AS OF

RIGEL PHARMACEUTICALS, INC.

## FORM OF COMMON STOCK WARRANT AGREEMENT

**COMMON STOCK WARRANT AGREEMENT** (this “*Agreement*”), dated as of \_\_\_\_\_ between Rigel Pharmaceuticals, Inc., a Delaware corporation (the “*Company*”) and \_\_\_\_\_, a [corporation][national banking association] organized and existing under the laws of \_\_\_\_\_ and having a corporate trust office in \_\_\_\_\_, as warrant agent (the “*Warrant Agent*”).

**WHEREAS**, the Company proposes to sell [if Warrants are sold with other securities—[title of such other securities being offered] (the “*Other Securities*”) with] warrant certificates evidencing one or more warrants (the “*Warrants*” or, individually, a “*Warrant*”) representing the right to purchase Common Stock of the Company, par value \$0.001 per share (the “*Warrant Securities*”), such warrant certificates and other warrant certificates issued pursuant to this Agreement being herein called the “*Warrant Certificates*”; and

**WHEREAS**, the Company desires the Warrant Agent to act on behalf of the Company, and the Warrant Agent is willing so to act, in connection with the issuance, registration, transfer, exchange, exercise and replacement of the Warrant Certificates, and in this Agreement wishes to set forth, among other things, the form and provisions of the Warrant Certificates and the terms and conditions on which they may be issued, registered, transferred, exchanged, exercised and replaced.

**NOW THEREFORE**, in consideration of the premises and of the mutual agreements herein contained, the parties hereto agree as follows:

## ARTICLE 1

ISSUANCE OF WARRANTS AND EXECUTION AND  
DELIVERY OF WARRANT CERTIFICATES

**1.1 Issuance Of Warrants.** [If Warrants alone—Upon issuance, each Warrant Certificate shall evidence one or more Warrants.] [If Other Securities and Warrants—Warrant Certificates shall be [initially] issued in connection with the issuance of the Other Securities [but shall be separately transferable on and after (the “*Detachable Date*”)]] [and shall not be separately transferable] and each Warrant Certificate shall evidence one or more Warrants.] Each Warrant evidenced thereby shall represent the right, subject to the provisions contained herein and therein, to purchase one Warrant Security. [If Other Securities and Warrants—Warrant Certificates shall be initially issued in units with the Other Securities and each Warrant Certificate included in such a unit shall evidence \_\_\_\_\_ Warrants for each [\$ \_\_\_\_\_ principal amount] [ \_\_\_\_\_ shares] of Other Securities included in such unit.]

**1.2 Execution And Delivery Of Warrant Certificates.** Each Warrant Certificate, whenever issued, shall be in registered form substantially in the form set forth in **Exhibit A** hereto, shall be dated the date of its countersignature by the Warrant Agent and may have such letters, numbers, or other marks of identification or designation and such legends or

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endorsements printed, lithographed or engraved thereon as the officers of the Company executing the same may approve (execution thereof to be conclusive evidence of such approval) and as are not inconsistent with the provisions of this Agreement, or as may be required to comply with any law or with any rule or regulation made pursuant thereto or with any rule or regulation of any securities exchange on which the Warrants may be listed, or to conform to usage. The Warrant Certificates shall be signed on behalf of the Company by any of its present or future chief executive officers, presidents, senior vice presidents, vice presidents, chief financial officers, chief legal officers, treasurers, assistant treasurers, controllers, assistant controllers, secretaries or assistant secretaries under its corporate seal reproduced thereon. Such signatures may be manual or facsimile signatures of such authorized officers and may be imprinted or otherwise reproduced on the Warrant Certificates. The seal of the Company may be in the form of a facsimile thereof and may be impressed, affixed, imprinted or otherwise reproduced on the Warrant Certificates.

No Warrant Certificate shall be valid for any purpose, and no Warrant evidenced thereby shall be exercisable, until such Warrant Certificate has been countersigned by the manual signature of the Warrant Agent. Such signature by the Warrant Agent upon any Warrant Certificate executed by the Company shall be conclusive evidence that the Warrant Certificate so countersigned has been duly issued hereunder.

In case any officer of the Company who shall have signed any of the Warrant Certificates either manually or by facsimile signature shall cease to be such officer before the Warrant Certificates so signed shall have been countersigned and delivered by the Warrant Agent, such Warrant Certificates may be countersigned and delivered notwithstanding that the person who signed Warrant Certificates ceased to be such officer of the Company; and any Warrant Certificate may be signed on behalf of the Company by such persons as, at the actual date of the execution of such Warrant Certificate, shall be the proper officers of the Company, although at the date of the execution of this Agreement any such person was not such officer.

The term “*holder*” or “*holder of a Warrant Certificate*” as used herein shall mean any person in whose name at the time any Warrant Certificate shall be registered upon the books to be maintained by the Warrant Agent for that purpose [If Other Securities and Warrants are not immediately detachable—] or upon the registration of the Other Securities prior to the Detachable Date. Prior to the Detachable Date, the Company will, or will cause the registrar of the Other Securities to, make available at all times to the Warrant Agent such information as to holders of the Other Securities as may be necessary to keep the Warrant Agent’s records up to date].

**1.3 Issuance Of Warrant Certificates.** Warrant Certificates evidencing the right to purchase Warrant Securities may be executed by the Company and delivered to the Warrant Agent upon the execution of this Warrant Agreement or from time to time thereafter. The Warrant Agent shall, upon receipt of Warrant Certificates duly executed on behalf of the Company, countersign such Warrant Certificates and shall deliver such Warrant Certificates to or upon the order of the Company.

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**ARTICLE 2**
**WARRANT PRICE, DURATION AND EXERCISE OF WARRANTS**

**2.1 Warrant Price.** During the period specified in Section 2.2, each Warrant shall, subject to the terms of this Warrant Agreement and the applicable Warrant Certificate, entitle the holder thereof to purchase the number of Warrant Securities specified in the applicable Warrant Certificate at an exercise price of \$ \_\_\_\_\_ per Warrant Security, subject to adjustment upon the occurrence of certain events, as hereinafter provided. Such purchase price per Warrant Security is referred to in this Agreement as the “*Warrant Price*.”

**2.2 Duration Of Warrants.** Each Warrant may be exercised in whole or in part at any time, as specified herein, on or after [the date thereof] [ \_\_\_\_\_ ] and at or before [ \_\_\_\_\_ ] p.m., [ \_\_\_\_\_ ] time, on [ \_\_\_\_\_ ] or such later date as the Company may designate by notice to the Warrant Agent and the holders of Warrant Certificates mailed to their addresses as set forth in the record books of the Warrant Agent (the “*Expiration Date*”). Each Warrant not exercised at or before [ \_\_\_\_\_ ] p.m., [ \_\_\_\_\_ ] time, on the Expiration Date shall become void, and all rights of the holder of the Warrant Certificate evidencing such Warrant under this Agreement shall cease.

**2.3 Exercise Of Warrants.**

(a) During the period specified in Section 2.2, the Warrants may be exercised to purchase a whole number of Warrant Securities in registered form by providing certain information as set forth on the reverse side of the Warrant Certificate and by paying in full, in lawful money of the United States of America, [in cash or by certified check or official bank check in New York Clearing House funds] [by bank wire transfer in immediately available funds] the Warrant Price for each Warrant Security with respect to which a Warrant is being exercised to the Warrant Agent at its corporate trust office, provided that such exercise is subject to receipt within five business days of such payment by the Warrant Agent of the Warrant Certificate with the form of election to purchase Warrant Securities set forth on the reverse side of the Warrant Certificate properly completed and duly executed. The date on which payment in full of the Warrant Price is received by the Warrant Agent shall, subject to receipt of the Warrant Certificate as aforesaid, be deemed to be the date on which the Warrant is exercised; provided, however, that if, at the date of receipt of such Warrant Certificates and payment in full of the Warrant Price, the transfer books for the Warrant Securities purchasable upon the exercise of such Warrants shall be closed, no such receipt of such Warrant Certificates and no such payment of such Warrant Price shall be effective to constitute the person so designated to be named as the holder of record of such Warrant Securities on such date, but shall be effective to constitute such person as the holder of record of such Warrant Securities for all purposes at the opening of business on the next succeeding day on which the transfer books for the Warrant Securities purchasable upon the exercise of such Warrants shall be opened, and the certificates for the Warrant Securities in respect of which such Warrants are then exercised shall be issuable as of the date on such next succeeding day on which the transfer books shall next be opened, and until such date the Company shall be under no duty to deliver any certificate for such Warrant Securities. The Warrant Agent shall deposit all funds received by it in payment of the Warrant Price in an account of the Company maintained with it and shall advise the Company by

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telephone at the end of each day on which a payment for the exercise of Warrants is received of the amount so deposited to its account. The Warrant Agent shall promptly confirm such telephone advice to the Company in writing.

(b) The Warrant Agent shall, from time to time, as promptly as practicable, advise the Company of (i) the number of Warrant Securities with respect to which Warrants were exercised, (ii) the instructions of each holder of the Warrant Certificates evidencing such Warrants with respect to delivery of the Warrant Securities to which such holder is entitled upon such exercise, (iii) delivery of Warrant Certificates evidencing the balance, if any, of the Warrants for the remaining Warrant Securities after such exercise, and (iv) such other information as the Company shall reasonably require.

(c) As soon as practicable after the exercise of any Warrant, the Company shall issue to or upon the order of the holder of the Warrant Certificate evidencing such Warrant the Warrant Securities to which such holder is entitled, in fully registered form, registered in such name or names as may be directed by such holder. If fewer than all of the Warrants evidenced by such Warrant Certificate are exercised, the Company shall execute, and an authorized officer of the Warrant Agent shall manually countersign and deliver, a new Warrant Certificate evidencing Warrants for the number of Warrant Securities remaining unexercised.

(d) The Company shall not be required to pay any stamp or other tax or other governmental charge required to be paid in connection with any transfer involved in the issue of the Warrant Securities, and in the event that any such transfer is involved, the Company shall not be required to issue or deliver any Warrant Security until such tax or other charge shall have been paid or it has been established to the Company’s satisfaction that no such tax or other charge is due.

(e) Prior to the issuance of any Warrants there shall have been reserved, and the Company shall at all times through the Expiration Date keep reserved, out of its authorized but unissued Warrant Securities, a number of shares sufficient to provide for the exercise of the Warrants.

**ARTICLE 3**
**OTHER PROVISIONS RELATING TO RIGHTS OF HOLDERS OF WARRANT CERTIFICATES**

**3.1 No Rights As Warrant Securityholder Conferred By Warrants Or Warrant Certificates** No Warrant Certificate or Warrant evidenced thereby shall entitle the holder thereof to any of the rights of a holder of Warrant Securities, including, without limitation, the right to receive the payment of dividends or distributions, if any, on the Warrant Securities or to exercise any voting rights, except to the extent expressly set forth in this Agreement or the applicable Warrant Certificate.

**3.2 Lost, Stolen, Mutilated Or Destroyed Warrant Certificates** Upon receipt by the Warrant Agent of evidence reasonably satisfactory to it and the Company of the ownership of and the loss, theft, destruction or mutilation of any Warrant Certificate and/or indemnity

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reasonably satisfactory to the Warrant Agent and the Company and, in the case of mutilation, upon surrender of the mutilated Warrant Certificate to the Warrant Agent for cancellation, then, in the absence of notice to the Company or the Warrant Agent that such Warrant Certificate has been acquired by a bona fide purchaser, the Company shall execute, and an authorized officer of the Warrant Agent shall manually countersign and deliver, in exchange for or in lieu of the lost, stolen, destroyed or mutilated Warrant Certificate, a new Warrant Certificate of the same tenor and evidencing Warrants for a like number of Warrant Securities. Upon the issuance of any new Warrant Certificate under this Section 3.2, the Company may require the payment of a sum sufficient to cover any tax or other governmental charge that may be imposed in relation thereto and any other expenses (including the fees and expenses of the Warrant Agent) in connection therewith. Every substitute Warrant Certificate executed and delivered pursuant to this Section 3.2 in lieu of any lost, stolen or destroyed Warrant Certificate shall represent an additional contractual obligation of the Company, whether or not the lost, stolen

or destroyed Warrant Certificate shall be at any time enforceable by anyone, and shall be entitled to the benefits of this Agreement equally and proportionately with any and all other Warrant Certificates duly executed and delivered hereunder. The provisions of this Section 3.2 are exclusive and shall preclude (to the extent lawful) all other rights and remedies with respect to the replacement of mutilated, lost, stolen or destroyed Warrant Certificates.

**3.3 Holder Of Warrant Certificate May Enforce Rights** Notwithstanding any of the provisions of this Agreement, any holder of a Warrant Certificate, without the consent of the Warrant Agent, the holder of any Warrant Securities or the holder of any other Warrant Certificate, may, in such holder's own behalf and for such holder's own benefit, enforce, and may institute and maintain any suit, action or proceeding against the Company suitable to enforce, or otherwise in respect of, such holder's right to exercise the Warrants evidenced by such holder's Warrant Certificate in the manner provided in such holder's Warrant Certificate and in this Agreement.

**3.4 Adjustments.**

(a) In case the Company shall at any time subdivide its outstanding shares of Common Stock into a greater number of shares, the Warrant Price in effect immediately prior to such subdivision shall be proportionately reduced and the number of Warrant Securities purchasable under the Warrants shall be proportionately increased. Conversely, in case the outstanding shares of Common Stock of the Company shall be combined into a smaller number of shares, the Warrant Price in effect immediately prior to such combination shall be proportionately increased and the number of Warrant Securities purchasable under the Warrants shall be proportionately decreased.

(b) If at any time or from time to time the holders of Common Stock (or any shares of stock or other securities at the time receivable upon the exercise of the Warrants) shall have received or become entitled to receive, without payment therefore,

(i) Common Stock or any shares of stock or other securities which are at any time directly or indirectly convertible into or exchangeable for Common Stock, or any rights or options to subscribe for, purchase or otherwise acquire any of the foregoing by way of dividend or other distribution;

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(ii) any cash paid or payable otherwise than as a cash dividend paid or payable out of the Company's current or retained earnings;

(iii) any evidence of the Company's indebtedness or rights to subscribe for or purchase the Company's indebtedness; or

(iv) Common Stock or additional stock or other securities or property (including cash) by way of spinoff, split-up, reclassification, combination of shares or similar corporate rearrangement (other than shares of Common Stock issued as a stock split or adjustments in respect of which shall be covered by the terms of Section 3.4(a) above), then and in each such case, the holder of each Warrant shall, upon the exercise of the Warrant, be entitled to receive, in addition to the number of Warrant Securities receivable thereupon, and without payment of any additional consideration therefore, the amount of stock and other securities and property (including cash and indebtedness or rights to subscribe for or purchase indebtedness) which such holder would hold on the date of such exercise had he been the holder of record of such Warrant Securities as of the date on which holders of Common Stock received or became entitled to receive such shares or all other additional stock and other securities and property.

(c) In case of (i) any reclassification, capital reorganization, or change in the Common Stock of the Company (other than as a result of a subdivision, combination, or stock dividend provided for in Section 3.4(a) or Section 3.4(b) above), (ii) share exchange, merger or similar transaction of the Company with or into another person or entity (other than a share exchange, merger or similar transaction in which the Company is the acquiring or surviving corporation and which does not result in any change in the Common Stock other than the issuance of additional shares of Common Stock) or (iii) the sale, exchange, lease, transfer or other disposition of all or substantially all of the properties and assets of the Company as an entirety (in any such case, a "**Reorganization Event**"), then, as a condition of such Reorganization Event, lawful provisions shall be made, and duly executed documents evidencing the same from the Company or its successor shall be delivered to the holders of the Warrants, so that the holders of the Warrants shall have the right at any time prior to the expiration of the Warrants to purchase, at a total price equal to that payable upon the exercise of the Warrants, the kind and amount of shares of stock and other securities and property receivable in connection with such Reorganization Event by a holder of the same number of Warrant Securities as were purchasable by the holders of the Warrants immediately prior to such Reorganization Event. In any such case appropriate provisions shall be made with respect to the rights and interests of the holders of the Warrants so that the provisions hereof shall thereafter be applicable with respect to any shares of stock or other securities and property deliverable upon exercise the Warrants, and appropriate adjustments shall be made to the Warrant Price payable hereunder provided the aggregate purchase price shall remain the same. In the case of any transaction described in clauses (ii) and (iii) above, the Company shall thereupon be relieved of any further obligation hereunder or under the Warrants, and the Company as the predecessor corporation may thereupon or at any time thereafter be dissolved, wound up or liquidated. Such successor or assuming entity thereupon may cause to be signed, and may issue either in its own name or in the name of the Company, any or all of the Warrants issuable hereunder which heretofore shall not have been signed by the Company, and may execute and deliver securities in its own name, in fulfillment of its obligations to deliver Warrant Securities upon exercise of the Warrants. All the Warrants so issued shall in all respects have the same legal rank and benefit under this

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Agreement as the Warrants theretofore or thereafter issued in accordance with the terms of this Agreement as though all of such Warrants had been issued at the date of the execution hereof. In any case of any such Reorganization Event, such changes in phraseology and form (but not in substance) may be made in the Warrants thereafter to be issued as may be appropriate. The Warrant Agent may receive a written opinion of legal counsel as conclusive evidence that any such Reorganization Event complies with the provisions of this Section 3.4.

(d) The Company may, at its option, at any time until the Expiration Date, reduce the then current Warrant Price to any amount deemed appropriate by the Board of Directors of the Company for any period not exceeding twenty consecutive days (as evidenced in a resolution adopted by such Board of Directors), but only upon giving the notices required by Section 3.5 at least ten days prior to taking such action.

(e) Except as herein otherwise expressly provided, no adjustment in the Warrant Price shall be made by reason of the issuance of shares of Common Stock, or securities convertible into or exchangeable for shares of Common Stock, or securities carrying the right to purchase any of the foregoing or for any other reason whatsoever.

(f) No fractional Warrant Securities shall be issued upon the exercise of Warrants. If more than one Warrant shall be exercised at one time by the same holder, the number of full Warrant Securities which shall be issuable upon such exercise shall be computed on the basis of the aggregate number of Warrant Securities purchased pursuant to the Warrants so exercised. Instead of any fractional Warrant Security which would otherwise be issuable upon exercise of any Warrant, the Company shall pay a cash adjustment in respect of such fraction in an amount equal to the same fraction of the last reported sale price (or bid price if there were no sales) per Warrant Security, in either case as reported on the principal registered national securities exchange on which the Warrant Securities are listed or admitted to trading on the business day that next precedes the day of exercise or, if the Warrant Securities are not then listed or admitted to trading on any registered national securities exchange, the average of the closing high bid and low asked prices as reported on the OTC Bulletin Board Service (the "**OTC Bulletin Board**") operated by the Financial Industry Regulatory Authority, Inc. ("**FINRA**") or, if not available on the OTC Bulletin Board, then the average of the closing high bid and low asked prices as reported on any other U.S. quotation medium or inter-dealer quotation system on such date, or if on any such date the Warrant Securities are not listed or admitted to trading on a registered national securities exchange, are not included in the OTC Bulletin Board, and are not quoted on any other U.S. quotation medium or inter-dealer quotation system, an amount equal to the same fraction of the average of the closing bid and asked prices as furnished by any FINRA member firm selected from time to time by the Company for that purpose at

the close of business on the business day that next precedes the day of exercise.

(g) Whenever the Warrant Price then in effect is adjusted as herein provided, the Company shall mail to each holder of the Warrants at such holder's address as it shall appear on the books of the Company a statement setting forth the adjusted Warrant Price then and thereafter effective under the provisions hereof, together with the facts, in reasonable detail, upon which such adjustment is based.

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**3.5 Notice To Warranholders.** In case the Company shall (a) effect any dividend or distribution described in Section 3.4(b), (b) effect any Reorganization Event, (c) make any distribution on or in respect of the Common Stock in connection with the dissolution, liquidation or winding up of the Company, or (d) reduce the then current Warrant Price pursuant to Section 3.4(d), then the Company shall mail to each holder of Warrants at such holder's address as it shall appear on the books of the Warrant Agent, at least ten days prior to the applicable date hereinafter specified, a notice stating (x) the record date for such dividend or distribution, or, if a record is not to be taken, the date as of which the holders of record of Common Stock that will be entitled to such dividend or distribution are to be determined, (y) the date on which such Reorganization Event, dissolution, liquidation or winding up is expected to become effective, and the date as of which it is expected that holders of Common Stock of record shall be entitled to exchange their shares of Common Stock for securities or other property deliverable upon such Reorganization Event, dissolution, liquidation or winding up, or (z) the first date on which the then current Warrant Price shall be reduced pursuant to Section 3.4(d). No failure to mail such notice nor any defect therein or in the mailing thereof shall affect any such transaction or any adjustment in the Warrant Price required by Section 3.4.

**3.6 [If The Warrants Are Subject To Acceleration By The Company, Insert—Acceleration Of Warrants By The Company.**

(a) At any time on or after \_\_\_\_\_, the Company shall have the right to accelerate any or all Warrants at any time by causing them to expire at the close of business on the day next preceding a specified date (the "Acceleration Date"), if the Market Price (as hereinafter defined) of the Common Stock equals or exceeds \_\_\_\_\_ percent ( \_\_\_\_\_ %) of the then effective Warrant Price on any twenty Trading Days (as hereinafter defined) within a period of thirty consecutive Trading Days ending no more than five Trading Days prior to the date on which the Company gives notice to the Warrant Agent of its election to accelerate the Warrants.

(b) "Market Price" for each Trading Day shall be, if the Common Stock is listed or admitted to trading on any registered national securities exchange, the last reported sale price, regular way (or, if no such price is reported, the average of the reported closing bid and asked prices, regular way) of Common Stock, in either case as reported on the principal registered national securities exchange on which the Common Stock is listed or admitted to trading or, if not listed or admitted to trading on any registered national securities exchange, the average of the closing high bid and low asked prices as reported on the OTC Bulletin Board operated by FINRA, or if not available on the OTC Bulletin Board, then the average of the closing high bid and low asked prices as reported on any other U.S. quotation medium or inter-dealer quotation system, or if on any such date the shares of Common Stock are not listed or admitted to trading on a registered national securities exchange, are not included in the OTC Bulletin Board, and are not quoted on any other U.S. quotation medium or inter-dealer quotation system, the average of the closing bid and asked prices as furnished by any FINRA member firm selected from time to time by the Company for that purpose. "Trading Day" shall be each Monday through Friday, other than any day on which securities are not traded in the system or on the exchange that is the principal market for the Common Stock, as determined by the Board of Directors of the Company.

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(c) In the event of an acceleration of less than all of the Warrants, the Warrant Agent shall select the Warrants to be accelerated by lot, pro rata or in such other manner as it deems, in its discretion, to be fair and appropriate.

(d) Notice of an acceleration specifying the Acceleration Date shall be sent by mail first class, postage prepaid, to each registered holder of a Warrant Certificate representing a Warrant accelerated at such holder's address appearing on the books of the Warrant Agent not more than sixty days nor less than thirty days before the Acceleration Date. Such notice of an acceleration also shall be given no more than twenty days, and no less than ten days, prior to the mailing of notice to registered holders of Warrants pursuant to this Section 3.6, by publication at least once in a newspaper of general circulation in the City of New York.

(e) Any Warrant accelerated may be exercised until [ \_\_\_\_\_ ] p.m., [ \_\_\_\_\_ ] time, on the business day next preceding the Acceleration Date. The Warrant Price shall be payable as provided in Section 2.]

**ARTICLE 4**

**EXCHANGE AND TRANSFER OF WARRANT CERTIFICATES**

**4.1 Exchange And Transfer Of Warrant Certificates** [If Other Securities with Warrants which are immediately detachable—Upon] [If Other Securities with Warrants which are not immediately detachable—Prior to the Detachable Date, a Warrant Certificate may be exchanged or transferred only together with the Other Security to which the Warrant Certificate was initially attached, and only for the purpose of effecting or in conjunction with an exchange or transfer of such Other Security. Prior to any Detachable Date, each transfer of the Other Security shall operate also to transfer the related Warrant Certificates. After the Detachable Date, upon] surrender at the corporate trust office of the Warrant Agent, Warrant Certificates evidencing Warrants may be exchanged for Warrant Certificates in other denominations evidencing such Warrants or the transfer thereof may be registered in whole or in part; provided that such other Warrant Certificates evidence Warrants for the same aggregate number of Warrant Securities as the Warrant Certificates so surrendered. The Warrant Agent shall keep, at its corporate trust office, books in which, subject to such reasonable regulations as it may prescribe, it shall register Warrant Certificates and exchanges and transfers of outstanding Warrant Certificates, upon surrender of the Warrant Certificates to the Warrant Agent at its corporate trust office for exchange or registration of transfer, properly endorsed or accompanied by appropriate instruments of registration of transfer and written instructions for transfer, all in form satisfactory to the Company and the Warrant Agent. No service charge shall be made for any exchange or registration of transfer of Warrant Certificates, but the Company may require payment of a sum sufficient to cover any stamp or other tax or other governmental charge that may be imposed in connection with any such exchange or registration of transfer. Whenever any Warrant Certificates are so surrendered for exchange or registration of transfer, an authorized officer of the Warrant Agent shall manually countersign and deliver to the person or persons entitled thereto a Warrant Certificate or Warrant Certificates duly authorized and executed by the Company, as so requested. The Warrant Agent shall not be required to effect any exchange or registration of transfer which will result in the issuance of a Warrant Certificate evidencing a Warrant for a fraction of a Warrant Security or a number of Warrants for a whole number of

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Warrant Securities and a fraction of a Warrant Security. All Warrant Certificates issued upon any exchange or registration of transfer of Warrant Certificates shall be the valid obligations of the Company, evidencing the same obligations and entitled to the same benefits under this Agreement as the Warrant Certificate surrendered for such exchange or registration of transfer.

**4.2 Treatment Of Holders Of Warrant Certificates** [If Other Securities and Warrants are not immediately detachable—Prior to the Detachable Date, the Company, the Warrant Agent and all other persons may treat the owner of the Other Security as the owner of the Warrant Certificates initially attached thereto for any purpose and as the person entitled to exercise the rights represented by the Warrants evidenced by such Warrant Certificates, any notice to the contrary notwithstanding. After the Detachable Date and prior to due presentment of a Warrant Certificate for registration of transfer, the] [The] Company, the Warrant Agent and all other persons may treat

the registered holder of a Warrant Certificate as the absolute owner thereof for any purpose and as the person entitled to exercise the rights represented by the Warrants evidenced thereby, any notice to the contrary notwithstanding.

**4.3 Cancellation Of Warrant Certificates.** Any Warrant Certificate surrendered for exchange, registration of transfer or exercise of the Warrants evidenced thereby shall, if surrendered to the Company, be delivered to the Warrant Agent and all Warrant Certificates surrendered or so delivered to the Warrant Agent shall be promptly canceled by the Warrant Agent and shall not be reissued and, except as expressly permitted by this Agreement, no Warrant Certificate shall be issued hereunder in exchange therefor or in lieu thereof.

The Warrant Agent shall deliver to the Company from time to time or otherwise dispose of canceled Warrant Certificates in a manner satisfactory to the Company.

## ARTICLE 5

### CONCERNING THE WARRANT AGENT

**5.1 Warrant Agent.** The Company hereby appoints \_\_\_\_\_ as Warrant Agent of the Company in respect of the Warrants and the Warrant Certificates upon the terms and subject to the conditions herein set forth, and \_\_\_\_\_ hereby accepts such appointment. The Warrant Agent shall have the powers and authority granted to and conferred upon it in the Warrant Certificates and hereby and such further powers and authority to act on behalf of the Company as the Company may hereafter grant to or confer upon it. All of the terms and provisions with respect to such powers and authority contained in the Warrant Certificates are subject to and governed by the terms and provisions hereof.

**5.2 Conditions Of Warrant Agent's Obligations.** The Warrant Agent accepts its obligations herein set forth upon the terms and conditions hereof, including the following to all of which the Company agrees and to all of which the rights hereunder of the holders from time to time of the Warrant Certificates shall be subject:

(a) **Compensation And Indemnification.** The Company agrees promptly to pay the Warrant Agent the compensation to be agreed upon with the Company for all services rendered by the Warrant Agent and to reimburse the Warrant Agent for reasonable out-of-pocket

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expenses (including reasonable counsel fees) incurred without negligence, bad faith or willful misconduct by the Warrant Agent in connection with the services rendered hereunder by the Warrant Agent. The Company also agrees to indemnify the Warrant Agent for, and to hold it harmless against, any loss, liability or expense incurred without negligence, bad faith or willful misconduct on the part of the Warrant Agent, arising out of or in connection with its acting as Warrant Agent hereunder, including the reasonable costs and expenses of defending against any claim of such liability.

(b) **Agent For The Company.** In acting under this Warrant Agreement and in connection with the Warrant Certificates, the Warrant Agent is acting solely as agent of the Company and does not assume any obligations or relationship of agency or trust for or with any of the holders of Warrant Certificates or beneficial owners of Warrants.

(c) **Counsel.** The Warrant Agent may consult with counsel satisfactory to it, which may include counsel for the Company, and the written advice of such counsel shall be full and complete authorization and protection in respect of any action taken, suffered or omitted by it hereunder in good faith and in accordance with the advice of such counsel.

(d) **Documents.** The Warrant Agent shall be protected and shall incur no liability for or in respect of any action taken or omitted by it in reliance upon any Warrant Certificate, notice, direction, consent, certificate, affidavit, statement or other paper or document reasonably believed by it to be genuine and to have been presented or signed by the proper parties.

(e) **Certain Transactions.** The Warrant Agent, and its officers, directors and employees, may become the owner of, or acquire any interest in, Warrants, with the same rights that it or they would have if it were not the Warrant Agent hereunder, and, to the extent permitted by applicable law, it or they may engage or be interested in any financial or other transaction with the Company and may act on, or as depository, trustee or agent for, any committee or body of holders of Warrant Securities or other obligations of the Company as freely as if it were not the Warrant Agent hereunder. Nothing in this Warrant Agreement shall be deemed to prevent the Warrant Agent from acting as trustee under any indenture to which the Company is a party.

(f) **No Liability For Interest.** Unless otherwise agreed with the Company, the Warrant Agent shall have no liability for interest on any monies at any time received by it pursuant to any of the provisions of this Agreement or of the Warrant Certificates.

(g) **No Liability For Invalidity.** The Warrant Agent shall have no liability with respect to any invalidity of this Agreement or any of the Warrant Certificates (except as to the Warrant Agent's countersignature thereon).

(h) **No Responsibility For Representations.** The Warrant Agent shall not be responsible for any of the recitals or representations herein or in the Warrant Certificates (except as to the Warrant Agent's countersignature thereon), all of which are made solely by the Company.

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(i) **No Implied Obligations.** The Warrant Agent shall be obligated to perform only such duties as are herein and in the Warrant Certificates specifically set forth and no implied duties or obligations shall be read into this Agreement or the Warrant Certificates against the Warrant Agent. The Warrant Agent shall not be under any obligation to take any action hereunder which may tend to involve it in any expense or liability, the payment of which within a reasonable time is not, in its reasonable opinion, assured to it. The Warrant Agent shall not be accountable or under any duty or responsibility for the use by the Company of any of the Warrant Certificates authenticated by the Warrant Agent and delivered by it to the Company pursuant to this Agreement or for the application by the Company of the proceeds of the Warrant Certificates. The Warrant Agent shall have no duty or responsibility in case of any default by the Company in the performance of its covenants or agreements contained herein or in the Warrant Certificates or in the case of the receipt of any written demand from a holder of a Warrant Certificate with respect to such default, including, without limiting the generality of the foregoing, any duty or responsibility to initiate or attempt to initiate any proceedings at law or otherwise or, except as provided in Section 6.2 hereof, to make any demand upon the Company.

### 5.3 Resignation, Removal And Appointment Of Successors

(a) The Company agrees, for the benefit of the holders from time to time of the Warrant Certificates, that there shall at all times be a Warrant Agent hereunder until all the Warrants have been exercised or are no longer exercisable.

(b) The Warrant Agent may at any time resign as agent by giving written notice to the Company of such intention on its part, specifying the date on which its desired resignation shall become effective; provided that such date shall not be less than three months after the date on which such notice is given unless the Company otherwise agrees. The Warrant Agent hereunder may be removed at any time by the filing with it of an instrument in writing signed by or on behalf of the

Company and specifying such removal and the intended date when it shall become effective. Such resignation or removal shall take effect upon the appointment by the Company, as hereinafter provided, of a successor Warrant Agent (which shall be a bank or trust company authorized under the laws of the jurisdiction of its organization to exercise corporate trust powers) and the acceptance of such appointment by such successor Warrant Agent. The obligation of the Company under Section 5.2(a) shall continue to the extent set forth therein notwithstanding the resignation or removal of the Warrant Agent.

(c) In case at any time the Warrant Agent shall resign, or shall be removed, or shall become incapable of acting, or shall be adjudged a bankrupt or insolvent, or shall commence a voluntary case under the Federal bankruptcy laws, as now or hereafter constituted, or under any other applicable Federal or state bankruptcy, insolvency or similar law or shall consent to the appointment of or taking possession by a receiver, custodian, liquidator, assignee, trustee, sequestrator (or other similar official) of the Warrant Agent or its property or affairs, or shall make an assignment for the benefit of creditors, or shall admit in writing its inability to pay its debts generally as they become due, or shall take corporate action in furtherance of any such action, or a decree or order for relief by a court having jurisdiction in the premises shall have been entered in respect of the Warrant Agent in an involuntary case under the Federal bankruptcy laws, as now or hereafter constituted, or any other applicable Federal or state bankruptcy, insolvency or similar law, or a decree or order by a court having jurisdiction in the

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premises shall have been entered for the appointment of a receiver, custodian, liquidator, assignee, trustee, sequestrator (or similar official) of the Warrant Agent or of its property or affairs, or any public officer shall take charge or control of the Warrant Agent or of its property or affairs for the purpose of rehabilitation, conservation, winding up or liquidation, a successor Warrant Agent, qualified as aforesaid, shall be appointed by the Company by an instrument in writing, filed with the successor Warrant Agent. Upon the appointment as aforesaid of a successor Warrant Agent and acceptance by the successor Warrant Agent of such appointment, the Warrant Agent shall cease to be Warrant Agent hereunder.

(d) Any successor Warrant Agent appointed hereunder shall execute, acknowledge and deliver to its predecessor and to the Company an instrument accepting such appointment hereunder, and thereupon such successor Warrant Agent, without any further act, deed or conveyance, shall become vested with all the authority, rights, powers, trusts, immunities, duties and obligations of such predecessor with like effect as if originally named as Warrant Agent hereunder, and such predecessor, upon payment of its charges and disbursements then unpaid, shall thereupon become obligated to transfer, deliver and pay over, and such successor Warrant Agent shall be entitled to receive, all monies, securities and other property on deposit with or held by such predecessor, as Warrant Agent hereunder.

(e) Any corporation into which the Warrant Agent hereunder may be merged or converted or any corporation with which the Warrant Agent may be consolidated, or any corporation resulting from any merger, conversion or consolidation to which the Warrant Agent shall be a party, or any corporation to which the Warrant Agent shall sell or otherwise transfer all or substantially all the assets and business of the Warrant Agent, provided that it shall be qualified as aforesaid, shall be the successor Warrant Agent under this Agreement without the execution or filing of any paper or any further act on the part of any of the parties hereto.

## ARTICLE 6

### MISCELLANEOUS

**6.1 Amendment.** This Agreement may be amended by the parties hereto, without the consent of the holder of any Warrant Certificate, for the purpose of curing any ambiguity, or of curing, correcting or supplementing any defective provision contained herein, or making any other provisions with respect to matters or questions arising under this Agreement as the Company and the Warrant Agent may deem necessary or desirable; provided that such action shall not materially adversely affect the interests of the holders of the Warrant Certificates.

**6.2 Notices And Demands To The Company And Warrant Agent** If the Warrant Agent shall receive any notice or demand addressed to the Company by the holder of a Warrant Certificate pursuant to the provisions of the Warrant Certificates, the Warrant Agent shall promptly forward such notice or demand to the Company.

**6.3 Addresses.** Any communication from the Company to the Warrant Agent with respect to this Agreement shall be addressed to  
Attention: \_\_\_\_\_ and any communication from the Warrant Agent to the Company with respect to this Agreement shall be addressed to Rigel  
Pharmaceuticals, Inc., 1180 Veterans

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Boulevard, South San Francisco, CA 94080, Attention: Executive Vice President and Chief Financial Officer (or such other address as shall be specified in writing by the Warrant Agent or by the Company).

**6.4 Governing Law.** This Agreement and each Warrant Certificate issued hereunder shall be governed by and construed in accordance with the laws of the State of New York.

**6.5 Delivery Of Prospectus.** The Company shall furnish to the Warrant Agent sufficient copies of a prospectus meeting the requirements of the Securities Act of 1933, as amended, relating to the Warrant Securities deliverable upon exercise of the Warrants (the "*Prospectus*"), and the Warrant Agent agrees that upon the exercise of any Warrant, the Warrant Agent will deliver to the holder of the Warrant Certificate evidencing such Warrant, prior to or concurrently with the delivery of the Warrant Securities issued upon such exercise, a Prospectus.

The Warrant Agent shall not, by reason of any such delivery, assume any responsibility for the accuracy or adequacy of such Prospectus.

**6.6 Obtaining Of Governmental Approvals.** The Company will from time to time take all action which may be necessary to obtain and keep effective any and all permits, consents and approvals of governmental agencies and authorities and securities act filings under United States Federal and state laws (including without limitation a registration statement in respect of the Warrants and Warrant Securities under the Securities Act of 1933, as amended), which may be or become requisite in connection with the issuance, sale, transfer, and delivery of the Warrant Securities issued upon exercise of the Warrants, the issuance, sale, transfer and delivery of the Warrants or upon the expiration of the period during which the Warrants are exercisable.

**6.7 Persons Having Rights Under Warrant Agreement** Nothing in this Agreement shall give to any person other than the Company, the Warrant Agent and the holders of the Warrant Certificates any right, remedy or claim under or by reason of this Agreement.

**6.8 Headings.** The descriptive headings of the several Articles and Sections of this Agreement are inserted for convenience only and shall not control or affect the meaning or construction of any of the provisions hereof.

**6.9 Counterparts.** This Agreement may be executed in any number of counterparts, each of which as so executed shall be deemed to be an original, but such counterparts shall together constitute but one and the same instrument.

**6.10 Inspection Of Agreement.** A copy of this Agreement shall be available at all reasonable times at the principal corporate trust office of the Warrant Agent for inspection by the holder of any Warrant Certificate. The Warrant Agent may require such holder to submit his Warrant Certificate for inspection by it.

IN WITNESS WHEREOF, the parties hereto have caused this Agreement to be duly executed, all as of the day and year first above written.

Dated: \_\_\_\_\_

**RIGEL PHARMACEUTICALS, INC.**

By: \_\_\_\_\_

Its: \_\_\_\_\_

Attest: \_\_\_\_\_

: \_\_\_\_\_ Countersigned

\_\_\_\_\_  
As Warrant Agent

By: \_\_\_\_\_  
Authorized Signature

[SIGNATURE PAGE TO COMMON STOCK WARRANT AGREEMENT]

**EXHIBIT A**

**FORM OF WARRANT CERTIFICATE  
[FACE OF WARRANT CERTIFICATE]**

[[Form if Warrants are attached to Other Securities and are not immediately detachable.]

[Prior to \_\_\_\_\_, this Warrant Certificate cannot be transferred or exchanged unless attached to a [Title of Other Securities].]

[Form of Legend if Warrants are not immediately exercisable.]

[Prior to \_\_\_\_\_ Warrants evidenced by this Warrant Certificate cannot be exercised.]

EXERCISABLE ONLY IF COUNTERSIGNED BY THE WARRANT AGENT AS PROVIDED HEREIN

VOID AFTER [ ] P.M., [ ] TIME, ON .

**RIGEL PHARMACEUTICALS, INC.  
WARRANT CERTIFICATE REPRESENTING  
WARRANTS TO PURCHASE  
COMMON STOCK, PAR VALUE \$0.001 PER SHARE**

No. \_\_\_\_\_ Warrants

This certifies that \_\_\_\_\_ or registered assigns is the registered owner of the above indicated number of Warrants, each Warrant entitling such owner **[f** **Warrants are attached to Other Securities and are not immediately detachable—**, subject to the registered owner qualifying as a **“Holder”** of this Warrant Certificate, as hereinafter defined),] to purchase, at any time [after [ ] p.m., [ ] time, [on \_\_\_\_\_ and] on or before [ ] p.m., [ ] time, on \_\_\_\_\_, \_\_\_\_\_ shares of Common Stock, par value \$0.001 per share (the **“Warrant Securities”**), of Rigel Pharmaceuticals, Inc. (the **“Company”**) on the following basis: during the period from \_\_\_\_\_, through and including \_\_\_\_\_, the exercise price per Warrant Security will be \$ \_\_\_\_\_, subject to adjustment as provided in the Warrant Agreement (as hereinafter defined) (the **“Warrant Price”**). The Holder may exercise the Warrants evidenced hereby by providing certain information set forth on the back hereof and by paying in full, in lawful money of the United States of America, [in cash or by certified check or official bank check in New York Clearing House funds] [by bank wire transfer in immediately available funds], the Warrant Price for each Warrant Security with respect to which this Warrant is exercised to the Warrant Agent (as hereinafter defined) and by surrendering this Warrant Certificate, with the purchase form on the back hereof duly executed, at the corporate trust office of [name of Warrant Agent], or its successor as warrant agent (the **“Warrant Agent”**), which is, on the date hereof, at the address specified on the reverse hereof, and upon compliance with and subject to the conditions set forth herein and in the Warrant Agreement (as hereinafter defined).

The term **“Holder”** as used herein shall mean [if Warrants are attached to Other Securities and are not immediately detachable—prior to \_\_\_\_\_, \_\_\_\_\_ (the **“Detachable Date”**), the registered owner of the Company’s [title of Other Securities] to which this Warrant Certificate was initially attached, and after such Detachable Date,] the person in whose name at the time this Warrant Certificate shall be registered upon the books to be maintained by the Warrant Agent for that purpose pursuant to Section 4 of the Warrant Agreement.

The Warrants evidenced by this Warrant Certificate may be exercised to purchase a whole number of Warrant Securities in registered form. Upon any exercise of fewer than all of the Warrants evidenced by this Warrant Certificate, there shall be issued to the Holder hereof a new Warrant Certificate evidencing Warrants for the number of Warrant Securities remaining unexercised.

This Warrant Certificate is issued under and in accordance with the Warrant Agreement dated as of \_\_\_\_\_ (the **“Warrant Agreement”**), between the Company and the Warrant Agent and is subject to the terms and provisions contained in the Warrant Agreement, to all of which terms and provisions the Holder of this Warrant Certificate consents by acceptance hereof. Copies of the Warrant Agreement are on file at the above-mentioned office of the Warrant Agent.

**[If Warrants are attached to Other Securities and are not immediately detachable—** Prior to the Detachable Date, this Warrant Certificate may be exchanged or transferred only together with the [Title of Other Securities] (the **“Other Securities”**) to which this Warrant Certificate was initially attached, and only for the purpose of



effecting or in conjunction with, an exchange or transfer of such Other Security. Additionally, on or prior to the Detachable Date, each transfer of such Other Security on the register of the Other Securities shall operate also to transfer this Warrant Certificate. After such date, transfer of this] ***If Warrants are attached to Other Securities and are immediately detachable***— Transfer of this] Warrant Certificate may be registered when this Warrant Certificate is surrendered at the corporate trust office of the Warrant Agent by the registered owner or such owner's assigns, in the manner and subject to the limitations provided in the Warrant Agreement.

***If Other Securities with Warrants which are not immediately detachable***— Except as provided in the immediately preceding paragraph, after] ***If Other Securities with Warrants which are immediately detachable or Warrants alone***— After] countersignature by the Warrant Agent and prior to the expiration of this Warrant Certificate, this Warrant Certificate may be exchanged at the corporate trust office of the Warrant Agent for Warrant Certificates representing Warrants for the same aggregate number of Warrant Securities.

This Warrant Certificate shall not entitle the Holder hereof to any of the rights of a holder of the Warrant Securities, including, without limitation, the right to receive payments of dividends or distributions, if any, on the Warrant Securities (except to the extent set forth in the Warrant Agreement) or to exercise any voting rights.

Reference is hereby made to the further provisions of this Warrant Certificate set forth on the reverse hereof, which further provisions shall for all purposes have the same effect as if set forth at this place.

This Warrant Certificate shall not be valid or obligatory for any purpose until countersigned by the Warrant Agent.

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**IN WITNESS WHEREOF**, the Company has caused this Warrant to be executed in its name and on its behalf by the facsimile signatures of its duly authorized officers.

Dated: \_\_\_\_\_

**RIGEL PHARMACEUTICALS, INC.**

By: \_\_\_\_\_

Its: \_\_\_\_\_

Attest: \_\_\_\_\_

: \_\_\_\_\_  
Countersigned

\_\_\_\_\_  
As Warrant Agent

By: \_\_\_\_\_

\_\_\_\_\_  
Authorized Signature

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**[REVERSE OF WARRANT CERTIFICATE]**

**(INSTRUCTIONS FOR EXERCISE OF WARRANT)**

To exercise any Warrants evidenced hereby for Warrant Securities (as hereinafter defined), the Holder must pay, in lawful money of the United States of America, [in cash or by certified check or official bank check in New York Clearing House funds] [by bank wire transfer in immediately available funds], the Warrant Price in full for Warrants exercised, to [Warrant Agent] [address of Warrant Agent], Attn: \_\_\_\_\_, which payment must specify the name of the Holder and the number of Warrants exercised by such Holder. In addition, the Holder must complete the information required below and present this Warrant Certificate in person or by mail (certified or registered mail is recommended) to the Warrant Agent at the appropriate address set forth above. This Warrant Certificate, completed and duly executed, must be received by the Warrant Agent within five business days of the payment.

(To be executed upon exercise of Warrants)

The undersigned hereby irrevocably elects to exercise \_\_\_\_\_ Warrants, evidenced by this Warrant Certificate, to purchase \_\_\_\_\_ shares of the Common Stock, par value \$0.001 per share (the "Warrant Securities"), of Rigel Pharmaceuticals, Inc. and represents that he has tendered payment for such Warrant Securities, in lawful money of the United States of America, [in cash or by certified check or official bank check in New York Clearing House funds] [by bank wire transfer in immediately available funds], to the order of Rigel Pharmaceuticals, Inc., c/o [insert name and address of Warrant Agent], in the amount of \$ \_\_\_\_\_ in accordance with the terms hereof. The undersigned requests that said Warrant Securities be in fully registered form in the authorized denominations, registered in such names and delivered all as specified in accordance with the instructions set forth below.

If the number of Warrants exercised is less than all of the Warrants evidenced hereby, the undersigned requests that a new Warrant Certificate evidencing the Warrants for the number of Warrant Securities remaining unexercised be issued and delivered to the undersigned unless otherwise specified in the instructions below.

Dated: \_\_\_\_\_ Name: \_\_\_\_\_

Please Print

Address: \_\_\_\_\_

\_\_\_\_\_  
(Insert Social Security or Other Identifying Number of Holder)

Signature Guaranteed: \_\_\_\_\_

\_\_\_\_\_  
Signature

(Signature must conform in all respects to name of holder as specified on the face of this Warrant Certificate and must bear a signature guarantee by a FINRA member firm).

This Warrant may be exercised at the following addresses:

By hand at:

By mail at:

[Instructions as to form and delivery of Warrant Securities and, if applicable, Warrant Certificates evidencing Warrants for the number of Warrant Securities remaining unexercised—complete as appropriate.]

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**ASSIGNMENT**

[FORM OF ASSIGNMENT TO BE EXECUTED IF WARRANT HOLDER DESIRES TO TRANSFER WARRANT]

**FOR VALUE RECEIVED,** \_\_\_\_\_ hereby sells, assigns and transfers unto:

\_\_\_\_\_  
(Please print name and address including zip code)

\_\_\_\_\_  
Please print Social Security or other identifying number

the right represented by the within Warrant to purchase \_\_\_\_\_ shares of [Title of Warrant Securities] of Rigel Pharmaceuticals, Inc. to which the within Warrant relates and appoints \_\_\_\_\_ attorney to transfer such right on the books of the Warrant Agent with full power of substitution in the premises.

Dated: \_\_\_\_\_

\_\_\_\_\_  
Signature

(Signature must conform in all respects to name of holder as specified on the face of the Warrant)

Signature Guaranteed

\_\_\_\_\_

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March 7, 2012

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

Ladies and Gentlemen:

We have acted as counsel to Rigel Pharmaceuticals, Inc., a Delaware corporation (the "**Company**"), in connection with its filing of a registration statement on Form S-3 (the "**Registration Statement**") under the Securities Act of 1933, as amended (the "**Securities Act**"). The Company has provided us with a prospectus (the "**Prospectus**"), which forms part of the Registration Statement. The Prospectus provides that it will be supplemented in the future by one or more prospectus supplements (each, a "**Prospectus Supplement**"). The Registration Statement, including the Prospectus as supplemented from time to time by one or more Prospectus Supplements, will provide for the registration by the Company of:

- shares of common stock, \$0.001 par value per share, of the Company (the "**Common Stock**"); and
- warrants to purchase Common Stock (the "**Warrants**"), which may be issued under warrant agreements, to be dated on or about the date of the first issuance of the applicable Warrants thereunder, by and between a warrant agent to be selected by the Company (the "**Warrant Agent**") and the Company, in the forms filed as Exhibit 4.6 to the Registration Statement (each, a "**Warrant Agreement**").

The Common Stock and the Warrants are collectively referred to herein as the "**Securities**." The Securities are being registered for offering and sale from time to time pursuant to Rule 415 under the Securities Act. The aggregate public offering price of the Securities being registered will be \$200,000,000.

In connection with this opinion, we have examined and relied upon the originals, or copies certified to our satisfaction, of such records, documents, certificates, opinions, memoranda and other instruments as in our judgment are necessary or appropriate to enable us to render the opinion expressed below. As to certain factual matters, we have relied upon certificates of the officers of the Company and have not independently sought to verify such matters.

In rendering this opinion, we have assumed the genuineness and authenticity of all signatures on original documents; the authenticity of all documents submitted to us as originals; the conformity to originals of all documents submitted to us as copies; the accuracy, completeness and authenticity of certificates of public officials; and the due authorization, execution and delivery of all documents where authorization, execution and delivery are prerequisites to the effectiveness of such documents. With respect to our opinion as to the Common Stock, we have assumed that, at the time of issuance and sale, a sufficient number of shares of Common Stock are authorized and available for issuance and that the consideration for the issuance and sale of the Common Stock is in an amount that is not less than the par value of the Common Stock. We have also assumed that any Warrants offered under the Registration Statement, and the related Warrant Agreement, will be executed in the forms filed as exhibits to the Registration Statement or incorporated by reference therein. We have also assumed that with respect to any Securities being issued upon exercise of any Warrants, the applicable Warrants will be valid and legally binding obligations of the Company, enforceable against the Company in accordance with their terms, except as enforcement may be limited by applicable bankruptcy, insolvency, reorganization, arrangement, moratorium or other similar laws affecting creditors' rights, and subject to general equity principles and to limitations on availability of equitable relief, including specific performance.

Our opinion herein is expressed solely with respect to the federal laws of the United States, the Delaware General Corporation Law and, as to the Warrants constituting valid and legally binding

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obligations of the Company, solely with respect to the laws of the State of New York. Our opinion is based on these laws as in effect on the date hereof. We express no opinion as to whether the laws of any jurisdiction are applicable to the subject matter hereof. We are not rendering any opinion as to compliance with any federal or state law, rule or regulation relating to securities, or to the sale or issuance thereof.

On the basis of the foregoing and in reliance thereon, and subject to the qualifications herein stated, we are of the opinion that:

1. With respect to the Common Stock offered under the Registration Statement, provided that (i) the Registration Statement and any required post-effective amendment thereto have all become effective under the Securities Act and the Prospectus and any and all Prospectus Supplement(s) required by applicable laws have been delivered and filed as required by such laws; (ii) the issuance of the Common Stock has been duly authorized by all necessary corporate action on the part of the Company; (iii) the issuance and sale of the Common Stock do not violate any applicable law, are in conformity with the Company's then operative amended and restated certificate of Incorporation (the "*Certificate of Incorporation*") and amended and restated bylaws (the "*Bylaws*"), do not result in a default under or breach of any agreement or instrument binding upon the Company and comply with any applicable requirement or restriction imposed by any court or governmental body having jurisdiction over the Company; and (iv) the certificates for the Common Stock have been duly executed by the Company, countersigned by the transfer agent therefor and duly delivered to the purchasers thereof against payment therefor, then the Common Stock, when issued and sold as contemplated in the Registration Statement, the Prospectus and the related Prospectus Supplement(s) and in accordance with any applicable duly authorized, executed and delivered purchase, underwriting or similar agreement, or upon exercise of any Warrants in accordance with their terms, will be validly issued, fully paid and nonassessable.

2. With respect to the Warrants issued under a Warrant Agreement and offered under the Registration Statement, provided that (i) the Registration Statement and any required post-effective amendment thereto have all become effective under the Securities Act and the Prospectus and any and all Prospectus Supplement(s) required by applicable laws have been delivered and filed as required by such laws; (ii) the Warrant Agreement has been duly authorized by the Company and the Warrant Agent by all necessary corporate action; (iii) the Warrant Agreement has been duly executed and delivered by the Company and the Warrant Agent; (iv) the terms of the Warrants and of their issuance and sale have been duly authorized by the Company by all necessary corporate action; (v) the terms of the Warrants and their issuance and sale have been duly established in conformity with the Warrant Agreement and as described in the Registration Statement, the Prospectus and the related Prospectus Supplement(s), so as not to violate any applicable law or result in a default under or breach of any agreement or instrument binding upon the Company, so as to be in conformity with the Certificate of Incorporation and Bylaws, and so as to comply with any requirement or restriction imposed by any court or governmental body having jurisdiction over the Company; and (vi) the Warrants have been duly executed and delivered by the Company and authenticated by the Warrant Agent pursuant to the Warrant Agreement and delivered against payment therefor, then the Warrants, when issued and sold in accordance with the Warrant Agreement and a duly authorized, executed and delivered purchase, underwriting or similar agreement, will be valid and legally binding obligations of the Company, enforceable against the Company in accordance with their terms, except as enforcement thereof may be limited by applicable bankruptcy, insolvency, reorganization, arrangement, moratorium or other similar laws affecting creditors' rights, and subject to general equity principles and to limitations on availability of equitable relief, including specific performance.

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We hereby consent to the filing of this opinion as an exhibit to the Registration Statement and to the reference to our firm under the caption "Legal Matters" in the Prospectus which forms part of the Registration Statement. This opinion is expressed as of the date hereof, and we disclaim any undertaking to advise you of any subsequent changes in the facts stated or assumed herein or of any subsequent changes in applicable law.

Sincerely,

**Cooley LLP**

By: /s/ DAVID G. PEINSIPP

David G. Peinsipp

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QuickLinks

[EXHIBIT 5.1](#)

[QuickLinks](#) -- Click here to rapidly navigate through this document

**EXHIBIT 23.1**

**CONSENT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM**

We consent to the reference to our firm under the caption "Experts" in this Registration Statement (Form S-3) and related Prospectus of Rigel Pharmaceuticals, Inc. for the registration of up to \$200,000,000 of its common stock and warrants and to the incorporation by reference therein of our reports dated March 6, 2012, 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, 2011, filed with the Securities and Exchange Commission.

/s/ Ernst & Young LLP

Redwood City, California  
March 6, 2012

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QuickLinks

[EXHIBIT 23.1](#)

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