
SECURITIES AND EXCHANGE COMMISSION

Washington, D.C. 20549

SCHEDULE TO (Amendment No. 1)

Tender Offer Statement under Section 14(d)(1) or 13(e)(1)
of the Securities Exchange Act of 1934

RIGEL PHARMACEUTICALS, INC.

(Name of Subject Company—Issuer and Filing Person—Offeror)

**OPTIONS TO PURCHASE COMMON STOCK,
par value \$0.001 per share**
(Title of Class of Securities)

766559 60 3
(CUSIP Number of Class of Securities)

James M. Gower
Chairman of the Board and Chief Executive Officer
RIGEL PHARMACEUTICALS, INC.
1180 Veterans Boulevard
South San Francisco, California 94080
Telephone: (650) 624-1100

(Name, address and telephone number of person authorized to receive
notices and communications on behalf of Filing Person)

Copy to:
Suzanne Sawochka Hooper
COOLEY GODWARD LLP
Five Palo Alto Square, 3000 El Camino Real
Palo Alto, California 94306-2155
Telephone: (650) 843-5000

CALCULATION OF FILING FEE

Transaction Valuation*	Amount of Filing Fee**
\$1,005,662	\$81.36

* Calculated solely for purposes of determining the filing fee. This amount assumes that options to purchase 367,961 shares of Common Stock of Rigel Pharmaceuticals, Inc. having an aggregate value of \$1,005,662 will be exchanged pursuant to this offer. The aggregate value of such options was calculated based on the Black-Scholes option pricing model as of June 26, 2003.

** \$80.90 per \$1,000,000 of the aggregate offering amount (or .00008090 of the aggregate transaction valuation), pursuant to Rule 0-11 of the Securities Exchange Act of 1934, as amended by Fee Advisory #11, effective February 21, 2003. The filing fee was previously paid with the Schedule TO filing made with the Securities and Exchange Commission on June 27, 2003.

Check box if any part of the fee is offset as provided by Rule 0-11(a)(2) and identify the filing with which the offsetting fee was previously paid Identify the previous filing by registration statement number, or the Form or Schedule and the date of its filing.

Amount Previously Paid:	Not applicable.	Filing Party:	Not applicable.
Form or Registration No.:	Not applicable.	Date Filed:	Not applicable.

Check the box if the filing relates solely to preliminary communications made before the commencement of a tender offer.

Check the appropriate boxes below to designate any transactions to which the statement relates

Third-party tender offer subject to Rule 14d-1.

Issuer tender offer subject to Rule 13e-4.

Going-private transaction subject to Rule 13e-3.

Amendment to Schedule 13D under Rule 13d-2.

Check the following box if the filing is a final amendment reporting the results of the tender offer:

INTRODUCTORY STATEMENT

This Amendment No. 1 to Schedule TO amends and supplements the following items of Tender Offer Statement on Schedule TO filed with the Securities and Exchange Commission on June 27, 2003, in connection with Rigel Pharmaceuticals, Inc.'s ("Rigel") offer to exchange options with exercise prices equal to or greater than \$9.00 per share currently outstanding under Rigel's 2000 Equity Incentive Plan (the "2000 Plan"), 2001 Non-Officer Equity Incentive Plan (the "2001 Plan") and 2000 Non-Employee Directors' Stock Option Plan (the "Directors' Plan") (collectively the 2000 Plan, the 2001 Plan and the Directors' Plan are referred to as the "Option Plans"), to purchase shares of Rigel's Common Stock, par value \$0.001 per share (the "Common Stock") held by optionholders as of June 27, 2003 (the "Eligible Options") for replacement options (the "Replacement Options") to purchase shares of Rigel's Common Stock to be granted under the 2000 Plan, upon the terms and subject to the conditions set forth in the Offer to Exchange.

ITEM 6. PURPOSES OF THE TRANSACTION AND PLANS OR PROPOSALS.

- (a) Purposes. The information set forth in the Offer to Exchange under Section 2 ("Purpose of the Offer") is incorporated herein by reference.
- (b) Use of Securities Acquired. The information set forth in the Offer to Exchange under Section 5 ("Acceptance of Options for Exchange and Cancellation and Issuance of Replacement Options") and Section 10 ("Status of Options Acquired in the Offer; Accounting Consequences of the Offer") is incorporated herein by reference.
- (c) Plans. At present, Rigel's board of directors is composed of eight (8) members and one (1) vacancy. Rigel from time to time evaluates strategic acquisitions and will continue to do so in the future. Rigel may issue its stock or pay cash in connection with such acquisitions. Rigel may obtain cash for such acquisitions through a variety of means, including, without limitation, through the issuance of additional stock. The information set forth in the Offer to Exchange under Section 9 ("Interests of Directors and Officers; Transactions and Arrangements Involving the Options") is incorporated herein by reference.
 - (1) No plans, proposals or negotiations.
 - (2) No plans, proposals or negotiations.
 - (3) No plans, proposals or negotiations.
 - (4) Rigel plans to fill the vacancy on its board of directors and is currently conducting a search for qualified candidates. Rigel is currently conducting a search to fill the position of Vice President of Drug Discovery.
 - (5) No plans, proposals or negotiations.
 - (6) No plans, proposals or negotiations.
 - (7) No plans, proposals or negotiations.
 - (8) No plans, proposals or negotiations.
 - (9) There are currently outstanding non-transferable rights to purchase up to an aggregate of 1,736,111 shares of newly issued Common Stock at a purchase price of \$5.76 per share offered to our stockholders of record as of April 29, 2003, other than certain stockholders affiliated with the investors in the private placement completed on June 26, 2003.
 - (10) No plans, proposals or negotiations.

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ITEM 8. INTEREST IN THE SECURITIES OF THE SUBJECT COMPANY.

- (a) Securities Ownership. The information set forth in the Offer to Exchange under Section 9 ("Interests of Directors and Officers; Transactions and Arrangements Involving the Options") is incorporated herein by reference.
- (b) Securities Transactions. The information set forth in the Offer to Exchange under Section 9 ("Interests of Directors and Officers; Transactions and Arrangements Involving the Options") is incorporated herein by reference.

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ITEM 12. EXHIBITS.

Exhibit Number	Description
99.(a)(1)(A)	Offer to Exchange, dated June 27, 2003, as amended on July 11, 2003.

99.(a)(1)(B)*	Form of Letter of Transmittal — Memorandum to holders of Eligible Options.
99.(a)(1)(C)*	Form of Summary of Terms.
99.(a)(1)(D)*	Form of Election Form.
99.(a)(1)(E)*	Form of Notice of Change in Election from Accept to Reject.
99.(a)(1)(F)*	Form of Notice of Change in Election from Reject to Accept.
99.(a)(1)(G)*	Form of Confirmation of Participation in the Offer to Exchange.
99.(a)(1)(H)*	Form of Electronic Reminder to holders of Eligible Options.
99.(a)(1)(I)	Rigel Pharmaceuticals, Inc.'s Annual Report on Form 10-K, for its fiscal year ended December 31, 2002, filed with the Securities and Exchange Commission on March 31, 2002, as amended on May 8, 2003, and incorporated herein by reference.
99.(a)(1)(J)	Rigel Pharmaceuticals, Inc.'s Quarterly Report on Form 10-Q, for its first fiscal quarter ended March 31, 2003, filed with the Securities and Exchange Commission on May 15, 2003, and incorporated herein by reference.
99.(b)	Not applicable.
99.(d)(1)(A)	Rigel Pharmaceuticals, Inc.'s 2000 Equity Incentive Plan, as amended and restated, filed with the Securities and Exchange Commission on June 26, 2003 and incorporated herein by reference to the indicated exhibit in its Registration Statement on Form S-8 (No. 333-106532).
99.(d)(1)(B)	Rigel Pharmaceuticals, Inc.'s 2001 Non-Officer Equity Incentive Plan filed with the Securities and Exchange Commission on October 30, 2001 and incorporated herein by reference to the indicated exhibit in its Registration Statement on Form S-8 (No. 333-72492).
99.(d)(1)(C)	Rigel Pharmaceuticals, Inc.'s 2000 Non-Employee Directors' Plan, as amended and restated, filed with the Securities and Exchange Commission on June 26, 2003 and incorporated herein by reference to the indicated exhibit in its Registration Statement on Form S-8 (No. 333-106532).
99.(g)	Not applicable.
99.(h)	Not applicable.

* Previously filed as an exhibit to the Schedule TO filed with the Securities and Exchange Commission on June 27, 2003.

[Remainder of this page intentionally left blank.]

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SIGNATURE

After due inquiry and to the best of my knowledge and belief, I certify that the information set forth in this statement is true, complete and correct.

Dated: July 11, 2003

RIGEL PHARMACEUTICALS, INC.

By: /s/ JAMES H. WELCH

Name: James H. Welch
Title: Vice President, Chief Financial Officer and Secretary

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RIGEL PHARMACEUTICALS, INC.
1180 VETERANS BLVD.
SOUTH SAN FRANCISCO, CA 94080
(650) 624-1100

OFFER TO EXCHANGE
OUTSTANDING OPTIONS
TO PURCHASE COMMON STOCK
JUNE 27, 2003
AMENDED ON JULY 11, 2003

RIGEL PHARMACEUTICALS, INC.

OFFER TO EXCHANGE OUTSTANDING OPTIONS

**THE OFFER EXPIRES AT 11:59 P.M., PACIFIC DAYLIGHT TIME,
ON FRIDAY, JULY 25, 2003, UNLESS THE OFFER IS EXTENDED**

Rigel Pharmaceuticals, Inc. is offering officers, employees, consultants and non-employee members of our board of directors the opportunity to exchange all outstanding stock options with exercise prices equal to or greater than \$9.00 per share granted to you under the Rigel 2000 Equity Incentive Plan, the Rigel 2001 Non-Officer Equity Incentive Plan and the Rigel 2000 Non-Employee Directors' Stock Option Plan for a replacement option to purchase shares of our common stock. There are outstanding options to purchase three hundred sixty-seven thousand nine hundred sixty-one (367,961) shares of our common stock eligible for this offer. Eligible participants include all officers, employees (except employees on certain leaves of absence), consultants and non-employee members of Rigel's board of directors.

We are conducting the exchange of eligible options on a one-for-one basis. If you choose to participate in the offer, we will exchange each of your stock options to purchase one (1) share of Rigel's common stock with exercise prices equal to or greater than \$9.00 per share for a replacement option to purchase one (1) share of Rigel's common stock, provided that you are employed with, providing services to, or serving as a non-employee member of the board of directors of Rigel on Friday, July 25, 2003 (or a later date if Rigel extends the offer). The terms of your replacement option will differ substantially from the terms of your eligible options. The replacement option will:

- have an exercise price equal to the closing price of Rigel's common stock as reported on the Nasdaq National Market on the last trading day before its date of grant (which will occur promptly after the date of the option exchange);
- subject to the continuation of your employment, service as a consultant or service as a non-employee member of the board of directors, vest as follows:
 - one-fifth (1/5th) of the shares covered by the replacement option will vest on the six-month anniversary of the option exchange;
 - one-fifth (1/5th) of the shares covered by the replacement option will vest on the twelve-month anniversary of the option exchange; and
 - three-fifths (3/5ths) of the shares covered by the replacement option will vest in twenty-four (24) equal monthly installments over the following two (2) years; and
- expire, at the latest, on the day three (3) years and five (5) business days after the date of the option exchange (if it has not expired earlier due to your termination of employment, services as a consultant or service as a non-employee member of the board of directors).

As an example, assume that you hold two stock option grants: one option grant to purchase 1,000 shares of our common stock at an exercise price of \$36.00 per share, and a second option grant to purchase 600 shares of our common stock at an exercise price of \$45.00 per share. Assume that the replacement options are granted on the date immediately after the date on which the offer ends and the closing price of our common stock on the previous date was \$10.00. If you choose to participate in the offer, in exchange for the cancellation of both of your stock option grants, you will receive a replacement option for 1,600 shares (1,000 shares plus 600 shares) at an exercise price of \$10.00 per share. One-fifth (1/5th) (or 320) of the shares subject to this replacement option will vest on the six-month anniversary of the date of exchange, one-fifth (1/5th) (or 320) of the shares subject to the replacement option will on the twelve-month anniversary of the date of exchange, and the remaining three-fifths (3/5ths) (or 960) of the shares subject to this replacement option will vest in twenty-four (24) equal installments of forty (40) shares monthly over the following two (2) years, so that all 1,600

shares subject to this replacement option will be fully vested three (3) years after the date of exchange. The replacement option will expire if you do not exercise it before its expiration date, which is the date three (3) years and five (5) business days after the option exchange.

If you wish to accept this offer, you are not obligated to tender each eligible option granted to you; you are free to elect to tender as many or as few of your eligible options as you wish. Please note that you must tender all available shares (that is, shares subject to the option that have not yet been exercised, whether vested or unvested) under each particular eligible option you wish to tender.

Only officers, employees not on certain leaves of absence, consultants and non-employee members of the board of directors of Rigel as of Friday, June 27, 2003 who continue to be employees, consultants or members of the board of directors through the offer termination date of Friday, July 25, 2003 (or a later date if Rigel extends the offer period), are eligible to participate in the offer. If you are an employee on active status on the date the offer expires, you are eligible to participate in the offer. In addition, if you are an employee currently on medical, maternity, worker's compensation, military or other statutorily protected leave of absence, you are also eligible to participate in the offer, whether or not you return to active status before the date the offer expires. However, if you are an employee on a leave of absence for any other reason, or if your employment with us, your service as a consultant or your service as a non-employee member of the board of directors terminates for any reason at any time before the offer expires, you are not eligible to participate in the offer.

We are making this offer upon the terms and subject to the conditions described in this Offer to Exchange Outstanding Options. This offer is subject to conditions that we describe in Section 6 of the offer document. We are not making the offer to officers, employees, consultants or non-employee members of the board of directors in any jurisdiction in which the offer or the acceptance of any tender of eligible options would not comply with the laws of such jurisdiction, and we will not accept any tender of eligible options from or on behalf of officers, employees, consultants or non-employee members of the board of directors in any such jurisdiction. However, we may, at our discretion, take any actions necessary for us to extend the offer to eligible officers, employees, consultants and non-employee members of the board of directors in any jurisdiction.

Although our board of directors has approved this offer, neither we nor our board of directors makes any recommendation as to whether or not you should choose to participate in the offer by tendering your eligible options for exchange. You must make your own decision whether to participate in the offer. You should carefully review this offer in its entirety before deciding whether to choose to exchange your eligible options.

On June 24, 2003, we effected a 1-for-9 reverse stock split of our outstanding common stock. Shares of our common stock will be quoted on the Nasdaq National Market under the symbol "RIGLD" through July 23, 2003. Beginning on July 24, 2003, shares of our common stock will be quoted on the Nasdaq National Market under the symbol "RIGL". On June 26, 2003, the closing price of our common stock as reported on the Nasdaq National Market was \$8.59 per share. We recommend that you obtain current market quotations for our common stock before deciding whether to choose to exchange your options.

You should direct questions about this offer, requests for assistance in completing the related documentation and requests for additional copies of the offer document or related documents to Jim Welch via e-mail at jhwelch@rigel.com or via telephone at (650) 624-1176.

This transaction has not been approved or disapproved by the Securities and Exchange Commission, or SEC, nor has the SEC passed upon the fairness or merits of such transaction or upon the accuracy or adequacy of the information contained in this document. Any representation to the contrary is a criminal offense. In the event of any conflict between this documentation and the rules of the Rigel 2000 Equity Incentive Plan, the Rigel 2001 Non-Officer Equity Incentive Plan, the Rigel 2000 Non-Employee Directors' Stock Option Plan or any applicable legislation, the rules or legislation (as the case may be) will take precedence. All references to taxation consequences are for guidance only, and you should consult with your personal tax advisor.

IMPORTANT

To accept this offer, *you must complete and sign the Election Form and deliver the Election Form to Nina Borja at Rigel Pharmaceuticals before 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003* (or a later expiration date if Rigel extends the offer period). We ask you to return your Election Form, even if you choose not to participate in the offer. The Election Form is the only document you need to return to indicate that you are choosing to participate in the offer. You do not need to return the stock option agreements for your eligible options to effectively choose to accept the offer; such stock option agreements will be cancelled automatically if Rigel accepts your eligible options for exchange. However, you will be required to return such stock option agreements upon Rigel's request.

We cannot guarantee that the replacement option will have a lower exercise price than the eligible options that you exchange. The decision to accept or reject the offer is an individual one that should be based on a variety of factors, and you should consult your personal advisors if you have questions about your financial or tax situation. The only information Rigel is providing about the offer is contained in this offer document and the tender offer statement filed with the Securities and Exchange Commission, or SEC, on Friday, June 27, 2003.

We have not authorized any person to make any recommendation on Rigel's behalf as to whether or not you should choose to participate in this offer. We have not authorized anyone to give you any information or to make any representation in connection with this offer other than the information and representations contained in this offer document and the tender offer statement filed with the SEC on Friday, June 27, 2003. If anyone makes any recommendation or representation to you or gives you any such information, it has not been authorized by Rigel, and you must not rely upon that recommendation, representation or information as having been authorized by us.

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SUMMARY OF TERMS

The following is a summary of terms about the offer to exchange outstanding options, presented in a question and answer format. We urge you to read carefully the remainder of the offer document and the accompanying documents because the information in this Summary is not complete. We have included references to the sections of the

offer document where you can find a more complete description of the topics in this summary.

Q10. WHAT SECURITIES ARE WE OFFERING TO EXCHANGE AND WHO CAN PARTICIPATE IN THE OFFER?

Rigel Pharmaceuticals, Inc. is offering to exchange all stock options with exercises equal to or greater than \$9.00 per share currently outstanding under our 2000 Equity Incentive Plan, our 2001 Non-Officer Equity Incentive Plan and our 2000 Non-Employee Directors' Stock Option Plan held by eligible participants. There are outstanding options to purchase three hundred sixty-seven thousand nine hundred sixty-one (367,961) shares of our common stock eligible for this offer. Eligible participants are officers, employees (not including employees on certain leaves of absence), consultants and non-employee members of our board of directors as of Friday, June 27, 2003, who continue to be employed by Rigel, providing service to Rigel or serving as a non-employee member of the board of directors of Rigel through the expiration date of the offer. Employees of Rigel who are on active status on the date the offer expires are eligible participants. In addition, employees of Rigel who are currently on medical, maternity, worker's compensation, military or other statutorily protected leave of absence are also eligible participants, even if they do not return to active status before the date the offer expires. However, an employee who is on a leave of absence for any other reason and does not return to active status before the offer expires, an officer or other employee whose employment with Rigel terminates for any reason at any time before the offer expires, a consultant whose service to Rigel terminates for any reason at any time before the offer expires or a non-employee member of the board of directors whose service as a non-employee director terminates for any reason at any time before the offer expires, is not an eligible participant. (See Section 1 of the offer document.)

Q2. WHY ARE WE MAKING THE OFFER?

Rigel's compensation philosophy is to retain and motivate our officers, employees, consultants and directors through appropriate levels of cash and equity compensation. Many of our outstanding options have exercise prices that are significantly higher than the current market price of our common stock. For that reason, we believe that these options are unlikely to be exercised in the near future and are not providing proper incentives for our officers, employees, consultants and directors. By making this offer, we intend to maximize stockholder value by creating better performance incentives for our officers, employees, consultants and directors and to improve employee morale and provide a proper incentive to our officers, employees, consultants and directors by realigning our compensation programs to more closely reflect current market and economic conditions. (See Section 2 of the offer document.)

Q3. ARE THERE CONDITIONS TO THE OFFER?

The offer is subject to a number of general conditions described in Section 6 of the offer document, which may lead Rigel to terminate or amend the offer. However, if you are an eligible participant with eligible options, the only condition specific to your participation (assuming that the offer is not terminated or amended) is that you submit your Election Form before the deadline. You should note that the offer is not conditioned on a minimum number of optionholders accepting the offer or a minimum number of options being exchanged.

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Q4. HOW MANY OPTION SHARES WILL I RECEIVE IN EXCHANGE FOR THE OPTION SHARES EXCHANGED?

If you choose to participate in the offer under the terms described in the offer document, your eligible options will be exchanged for a replacement option on a one-for-one (1:1) basis promptly on or after July 28, 2003 (or a later date if Rigel extends the offer), provided that you remain an eligible participant on that date. For example, if you have eligible options for 1,600 shares and choose to participate in the offer, you will receive a replacement option for 1,600 shares in exchange for the cancellation of your eligible options.

Replacement options will be issued under our 2000 Equity Incentive Plan. (See Section 8 of the offer document.)

Q5. WHEN WILL I RECEIVE MY REPLACEMENT OPTION?

Replacement options will be granted promptly on or after July 28, 2003 (or a later date if Rigel extends the offer). We expect to distribute your replacement option stock option agreement promptly following the date of grant.

Q6. WHAT WILL BE THE TERMS AND CONDITIONS OF THE REPLACEMENT OPTIONS?

The exercise price, expiration date and vesting schedule of the replacement options will be different than the eligible options. The tax treatment of the replacement options may be different than the eligible options. In all other aspects, the terms and conditions of the replacement options will be substantially the same as the eligible options that are exchanged. See below for a discussion of the exercise price, expiration date, tax treatment and vesting schedule of the replacement options.

Q7. WHAT WILL BE THE EXERCISE PRICE OF THE REPLACEMENT OPTIONS?

The replacement options will have an exercise price equal to the closing price of our common stock as reported on the Nasdaq National Market on the last market trading day before the date of grant. We cannot guarantee that the exercise price for your Replacement Option will be lower than the exercise price for the eligible options that you exchange. We recommend that you obtain current market quotations for our common stock before deciding whether to exchange your eligible options. (See Section 7 of the offer document.)

Q8. WHEN WILL MY REPLACEMENT OPTION EXPIRE?

Your replacement option will expire at 11:59 p.m., Pacific Time, on the fifth (5th) business day following the three-year anniversary of the grant date of the replacement option (so long as you remain employed by or continue to provide service to Rigel throughout this time). If your employment terminates before then, your replacement option will expire on the earlier of the fifth (5th) business day following the three-year anniversary of the grant date or the three-month anniversary of the date your employment terminated.

Q9. WHEN WILL THE REPLACEMENT OPTIONS VEST AND WHAT WILL BE THE VESTING SCHEDULE OF THE REPLACEMENT OPTIONS?

Each replacement option will vest one-fifth (1/5th) on the six-month anniversary of the grant date, an additional one-fifth (1/5th) on the twelve-month anniversary of the grant date, and the remaining three-fifths (3/5ths) will vest in twenty-four (24) equal monthly installments over the following two (2) years. Each replacement option will be fully vested on the three-year anniversary of the date of grant. Vesting will cease if the employment or service relationship is discontinued for any reason, including an involuntary termination.

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Q10. IF MY ELIGIBLE OPTIONS INCLUDE INCENTIVE STOCK OPTIONS, WILL MY REPLACEMENT OPTION ALSO BE AN INCENTIVE STOCK OPTION, OR WILL IT BE A NONQUALIFIED STOCK OPTION?

If you are an eligible participant that is an employee on the grant date and you exchange an incentive stock option, Rigel will grant you an incentive stock option as a replacement option. (See Section 12 of the offer document for a discussion of the U.S. Federal Income Tax consequences of incentive stock options and nonqualified stock options.)

Q11. IF I HAVE INCENTIVE STOCK OPTIONS THAT ARE ELIGIBLE OPTIONS, WHAT HAPPENS IF I CHOOSE NOT TO EXCHANGE THEM IN THE OFFER?

Choosing not to exchange your eligible options will not subject you to U.S. Federal Income Tax. We do not believe that this offer will change any of the terms of your eligible options if you do not accept the offer. However, the Internal Revenue Service, or IRS, may characterize the offer to you as a "modification" of those eligible options that are incentive stock options, even if you decline the offer. A successful assertion by the IRS that such options have been modified could extend the options' holding period to qualify for favorable tax treatment and could cause a portion of such options to be treated as nonqualified stock options.

If you choose not to exchange your eligible options and they include incentive stock options, we recommend that you consult with your tax advisor to determine the tax consequences if you later exercise those options and sell the common stock that you receive upon exercise.

Q12. HOW LONG WILL I HAVE TO WAIT TO PURCHASE COMMON STOCK UNDER MY REPLACEMENT OPTION?

One-fifth (1/5th) of the shares subject to your replacement option will vest on the six-month anniversary of the grant date, an additional one-fifth (1/5th) will vest on the twelve-month anniversary of the grant date, and the remaining three-fifths (3/5ths) will vest in twenty-four (24) equal monthly installments over the following two (2) years. Each replacement option will be fully vested on the three-year anniversary of the date of grant. You will be able to exercise and sell shares subject to your replacement option as they vest. For example, after the six-month anniversary of the grant date, you will be able to exercise and sell one-fifth (1/5th) of the shares subject to your replacement option. You will not be able to exercise and sell any shares subject to your replacement option that have not vested.

Q13. IF I CHOOSE TO EXCHANGE MY ELIGIBLE OPTIONS, WILL THAT DECISION AFFECT OTHER COMPONENTS OF MY COMPENSATION?

No. Whether or not you accept or reject the offer will not affect your compensation in the future. Your acceptance or rejection of the offer will not result in your becoming more or less likely to receive stock option grants in the future, other than the replacement option.

Q14. IF I CHOOSE TO EXCHANGE ELIGIBLE OPTIONS, DO I HAVE TO EXCHANGE ALL OF MY ELIGIBLE OPTIONS OR CAN I EXCHANGE JUST SOME OF THEM?

You are not obligated to tender each eligible option granted to you. You are free to elect to tender as many or as few of your eligible options as you wish. Please note that you must tender all available shares under each particular eligible option that you wish to tender.

Q15. IF I HAVE STOCK OPTIONS WITH EXERCISE PRICES BELOW \$9.00 PER SHARE, WHAT HAPPENS TO THOSE OPTIONS IF I EXCHANGE ELIGIBLE OPTIONS?

Nothing. Regardless of whether or not you choose to exchange your eligible options under this offer, there will be no change to your current options with exercise prices below \$9.00 per share. Such options will remain subject to the same terms and conditions under the applicable plan and your applicable stock option agreement as existed before the offer.

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Q16. WILL I HAVE TO PAY TAXES IF I EXCHANGE MY OPTIONS IN THE OFFER?

If you accept the offer, you will not recognize income for U.S. Federal Income Tax purposes at the time of the exchange or at the time we grant a replacement option to you. We recommend that you consult with your tax advisor to determine the tax consequences of accepting the offer. (See Section 12 of the offer document.)

Q17. WHEN DOES THE OFFER EXPIRE? CAN THE OFFER BE EXTENDED, AND IF SO, HOW WILL I KNOW IF IT IS EXTENDED?

The offer expires at 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003, unless Rigel extends it.

Although we do not currently intend to do so, we may, in our discretion, extend the offer at any time. If we extend the offer, we will announce the extension no later than 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003. (See Section 13 of the offer document.)

Q18. WHAT DO I NEED TO DO?

To accept this offer, you must complete and sign the Election Form and deliver the Election Form to Nina Borja at Rigel before 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003 (or a later expiration date if Rigel extends the offer). Even if you choose not to participate in the offer, Rigel asks all eligible participants to return the Election Form. The Election Form may be sent via mail, courier, hand delivery or facsimile. Nina Borja is located at Rigel's corporate headquarters at 1180 Veterans Blvd., South San Francisco, California, 94080. Nina Borja's direct facsimile number is (650) 624-1101. Election Forms must be received before 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003 (or a later expiration date if Rigel extends the offer), not just mailed (or otherwise sent) by the expiration time. If Election Forms are sent by facsimile, originals should be sent by mail, courier or hand delivery as soon as possible.

You should direct questions about this offer, requests for assistance in completing the related documentation and requests for additional copies of the offer document or related documents to Jim Welch via e-mail to jhwelch@rigel.com or via telephone at (650) 624-1176. You should review the offer document, the Election Form and all of their attachments before making your election.

If we extend the offer beyond 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003, then you must sign and deliver the Election Form before the extended expiration date. We may reject any eligible options to the extent that we determine the Election Form is not properly completed or to the extent that we determine it would be unlawful to accept the options. Although we may later extend, terminate or amend the offer, we currently expect to accept all properly exchanged options promptly following the deadline of 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003 (or a later expiration deadline if Rigel extends the offer). If you do not sign and deliver the Election

Form before the offer expires, it will have the same effect as if you rejected the offer. (See Section 3 of the offer document.)

Q19. DURING WHAT PERIOD OF TIME MAY I CHANGE MY PREVIOUS CHOICE TO ACCEPT OR REJECT THE OFFER?

You may change your previous choice to accept or reject the offer at any time before 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003. If we extend the offer beyond that time, you may change your previous choice at any time until the extended expiration deadline. To change your choice, you must deliver a Notice of Change in Election Form to Nina Borja before the offer expires. If you change your choice from "reject" to "accept," you will also be required to fill out and deliver a new Election Form. You may change your choice as many times as you want. (See Section 4 of the offer document.)

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Q20. WHAT HAPPENS TO MY OPTIONS IF I DO NOT ACCEPT THE OFFER?

Nothing. If you do not accept the offer, you will keep all of your current options and you will not receive a replacement option. No changes will be made to your current options. (See Section 12 of the offer document for a discussion of any possible "modification" to your current incentive stock options in the event that you choose not to exchange your eligible options.)

Q21. UNDER WHAT CIRCUMSTANCES WOULD THE COMPANY NOT ACCEPT MY OPTIONS?

We currently expect we will accept all eligible options that are properly submitted for exchange and for which the choice has not been validly withdrawn. We may, however, reject any or all Election Forms, Notice of Change in Election Forms or exchanged options if we determine they were not properly executed or delivered, if we determine it is unlawful to accept the exchanged options or if certain conditions exist which in our reasonable judgment make it inadvisable to proceed with the offer. (See Section 3 of the offer document.)

Q22. AFTER I AM GRANTED A REPLACEMENT OPTION, WHAT HAPPENS IF THAT REPLACEMENT OPTION ENDS UP UNDERWATER?

This offer is a one-time offer and is not expected to be repeated in the future. Please note that unlike prior stock options granted under the Plans which generally are valid for up to ten (10) years from the date of initial grant (subject to continued service with Rigel), your replacement option will expire three (3) years and five (5) business days after the date it is granted. WE CAN PROVIDE NO ASSURANCE AS TO THE PRICE OF OUR COMMON STOCK AT ANY TIME IN THE FUTURE, AND NOTHING CONTAINED IN THIS DOCUMENT OR THE OTHER DOCUMENTS YOU RECEIVE RELATING TO THIS OFFER SHOULD BE INTERPRETED IN ANY WAY AS A CLAIM RELATING TO THE FUTURE PROSPECTS OF THE PRICE OF OUR COMMON STOCK, NOR SHOULD ANY INFERENCE ABOUT SUCH FUTURE PROSPECTS BE DRAWN FROM ANYTHING CONTAINED HEREIN OR THEREIN.

Q23. WHOM MAY I CONTACT IF I HAVE QUESTIONS ABOUT THE OFFER?

For additional information or assistance, you should contact Jim Welch via e-mail at jhwelch@rigel.com or via telephone at (650) 624-1176. In addition, there will be a company-wide meeting to present and discuss information about the offer.

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THE OFFER

1. NUMBER OF OPTIONS; EXPIRATION DATE.

We are offering to grant replacement options to purchase our common stock in exchange for all Eligible Options held by Eligible Participants (the "Offer"). "Eligible Options" are those options granted under our 2000 Equity Incentive Plan (the "2000 Plan"), our 2001 Non-Officer Equity Incentive Plan (the "2001 Plan") and our 2000 Non-Employee Directors' Stock Option Plan (the "Directors' Plan" and collectively, the "Plans"), with exercise prices equal to or greater than \$9.00 per share. "Eligible Participants" are the officers, employees (not including employees on certain leaves of absence), consultants and non-employee members of the board of directors of Rigel Pharmaceuticals, Inc. (the "Company" or "Rigel") as of Friday, June 27, 2003, who continue to be employed by Rigel, consultants to Rigel and non-employee members of the board of directors of Rigel through the expiration date of the Offer. If you are an employee on active status on the date the Offer expires, you are an Eligible Participant under the terms of this Offer. In addition, if you are an employee currently on medical, maternity, worker's compensation, military or other statutorily protected leave of absence, you are also an Eligible Participant under the terms of the Offer, even if you do not return to active status before the date the Offer expires. However, if you are an employee on a leave of absence for any other reason, your employment with Rigel terminates for any reason, your service as a consultant terminate for any reason or your service as a non-employee member of the board of directors terminates for any reason at any time before the Offer expires, you are you are not an Eligible Participant and cannot participate in the Offer.

The Company is conducting the exchange with respect to Eligible Options on a one-for-one (1:1) basis and will grant Eligible Participants a replacement option covering one hundred percent (100%) of the total number of option shares subject to all Eligible Options that were cancelled (the "Replacement Option"). If you wish to accept this Offer, you are not obligated to tender each Eligible Option granted to you. You are free to elect to tender as many or as few of your Eligible Options as you wish. Please note that you must tender all available shares under each particular Eligible Option that you wish to tender. If you have stock options with exercise prices below \$9.00 per share, accepting this Offer will not affect the terms and conditions of those stock options. See Section 12 of the Offer Document for a discussion of any possible "modification" to your current incentive stock options in the event that you choose not to exchange your Eligible Options.

Our Offer is subject to the terms and conditions described in this Offer Document. We will only accept options that are properly submitted for exchange and not validly withdrawn before the expiration date of the Offer, in accordance with Section 5 of this Offer Document.

If you exchange Eligible Options, you will receive in exchange a Replacement Option covering one hundred percent (100%) of the total number of option shares subject to the Eligible Options you exchanged.

As an example, assume that you hold two stock option grants: one option grant to purchase 1,000 shares of our common stock at an exercise price of \$36.00 per share, and a second option grant to purchase 600 shares of our common stock at an exercise price of \$45.00 per share. Both are Eligible Options. Assume that the closing price of our common stock on the last day of trading before the date of the option exchange is \$10.00. If you choose to participate in the Offer, in exchange for the cancellation of both of your stock option grants, you will receive a Replacement Option for 1,600 shares (1,000 shares plus 600 shares) at an exercise price of \$10.00 per share. One-fifth (1/5th) (or 320) of the shares subject to the Replacement Option will vest on the six-month anniversary of the date of exchange, one-fifth (1/5th) (or 320) of the shares subject to the Replacement Option will vest on the twelve-month anniversary of the date of exchange, and the remaining three-fifths (3/5ths) (or 960) of the shares subject to the Replacement Option will vest in twenty-four (24) equal monthly installments over the following two (2) years, so that all 1,600 shares will be fully vested three (3) years after the

date of exchange. The Replacement Option will expire if you do not exercise it before its expiration date, which is the date three (3) years and five (5) business days after the option exchange.

Replacement Options will be granted under the 2000 Plan.

The term "expiration date" of this Offer means 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003, unless and until we, in our discretion, extend the period of time during which the Offer will remain open. If we extend the period of time during which the Offer will remain open, the term "expiration date" will refer to the latest time and date at which the Offer expires. See Section 13 of this Offer Document for a description of our rights to extend, delay, terminate and/or amend the Offer.

We will notify you via letter or e-mail if we decide to take any of the following actions:

- change the number of shares subject to the Replacement Option that you will receive in exchange for your Eligible Options;
- change the number of Eligible Options that you can exchange in the Offer;
- waive a material condition of the Offer; or
- extend or terminate the Offer.

If the Offer is scheduled to expire within ten (10) business days from the date on which we notify you of such an increase or decrease, we also intend to extend the Offer until ten (10) business days after the date the notice is published.

A "business day" means any day other than a Saturday, Sunday or federal holiday and consists of the time period from 12:00 midnight through 11:59 p.m.

2. PURPOSE OF THE OFFER.

Rigel's compensation philosophy is to motivate our officers, employees, consultants and non-employee members of the board of directors through appropriate levels of cash and equity compensation. We granted the Eligible Options for the following purposes:

- to motivate, retain and reward our officers, employees, consultants and non-employee members of the board of directors by providing competitive compensation packages and
- to strengthen the alignment of interests between our officers, employees, consultants and non-employee members of the board of directors and stockholders.

Since many of our outstanding options have exercise prices that are significantly higher than the current market price of our common stock, we believe that these options are unlikely to be exercised in the near future and are not providing proper incentives for our officers, employees, consultants and non-employee members of the board of directors. By making this Offer, we intend to maximize stockholder value by creating better performance incentives for our officers, employees, consultants and non-employee members of the board of directors and to improve employee morale and provide proper incentive to our officers, employees, consultants and non-employee members of the board of directors by realigning our compensation programs to more closely reflect the current market and economic conditions.

The board of directors has approved this Offer. *We cannot guarantee that the Replacement Option will have a lower exercise price than those of the Eligible Options.* The decision to accept or reject the Offer is an individual one that should be based on a variety of factors, and you should consult with your personal advisors if you have questions about your financial or tax situation or the advisability of accepting this Offer.

3. PROCEDURES.

Making Your Election. To accept this Offer, you must complete and sign the Election Form and deliver the Election Form to Nina Borja at Rigel before 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003 (or a later expiration date if Rigel extends the Offer). Even if you choose not to participate in the Offer, Rigel asks all Eligible Participants to return your Election Form. The Election Form may be sent via mail, courier, hand delivery or facsimile. Nina Borja is located at Rigel's corporate headquarters, located at 1180 Veterans Blvd., South San Francisco, California, 94080; her direct facsimile number is (650) 624-1101. Election Forms must be *received* before 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003 (or a later expiration date if Rigel extends the Offer), not just placed in the mail or other delivery system by the expiration time. Election Forms received by facsimile will be valid if received by the expiration time even though the originals are not yet received, but we request originals be sent by mail, courier or hand delivery as soon as possible. You do not need to return your stock option agreements for your Eligible Options to accept the Offer, as they will be automatically cancelled if Rigel accepts your Eligible Options for exchange. However, you will be required to return your stock option agreements upon Rigel's request.

Determination of Validity; Rejection of Options; Waiver of Defects; No Obligation to Give Notice of Defects. We will determine, in our discretion, all questions as to the number of shares subject to Eligible Options and the validity, form, eligibility (including time of receipt) and acceptance of Election Forms and Notice of Change in Election Forms. Our determinations regarding these matters will be final and binding on all parties. We may reject any or all Election Forms, Notice of Change in Election Forms or exchanged options if we determine they were not properly executed or delivered or if we determine it is unlawful to accept the exchanged options. We may waive any or all of the conditions of the Offer for all Eligible Participants. We may waive any defect or irregularity in any Election Form or Notice of Change in Election Form with respect to any particular option or any particular optionholder. No Eligible Options will be accepted for exchange until all defects or irregularities have been cured by the optionholder exchanging the Eligible Options prior to the expiration date of the Offer or waived by us. Neither we nor any other person is obligated to notify you of any defects or irregularities involved in the election to exchange any options, and no one will be liable for failing to give you notice of any such defects or irregularities.

Our Acceptance Constitutes an Agreement. If you choose to exchange your options according to the procedures described above, you will have accepted the Offer. Our acceptance of Eligible Options that are properly submitted for exchange will form a binding agreement between us and you on the terms and subject to the conditions of this Offer.

Subject to our rights to extend, terminate and/or amend the Offer, we currently expect that promptly after the expiration date of the Offer we will accept all properly and timely made elections to exchange options that have not been validly withdrawn.

4. CHANGE IN ELECTION.

You may only change your choice to accept or reject the Offer by following the procedures described in this Section 4.

You may change your choice to accept or reject the Offer at any time before 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003. If we extend the Offer beyond that time, you may change your choice at any time until the extended expiration of the Offer.

Please note you have been supplied with two different Notice of Change in Election Forms, one of which is to be used if you wish to reject the Offer after having accepted it and the other of which is to be used if you wish to accept the Offer after having rejected it. If you intend to change your choice, it is important you follow the procedures outlined below.

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To change your choice to accept or reject the Offer, you **must deliver** a Notice of Change in Election Form to Nina Borja at Rigel before the Offer expires. The Notice of Change in Election Form must be signed by you, have your name on it and clearly indicate whether you choose to accept or reject the Offer. Please note that if you previously chose to reject the Offer and wish to change your choice and accept the Offer, you must also fill out and deliver a new Election Form along with the Notice of Change in Election. The Notice of Change in Election Form and new Election Form (if applicable) may be sent via mail, courier, hand delivery or facsimile. Nina Borja is located at Rigel's corporate headquarters, located at 1180 Veterans Blvd., South San Francisco, California, 94080; her direct facsimile number is (650) 624-1101. Notice of Change in Election Forms received by facsimile will be valid if received by the expiration date even though the originals are not yet received, but we request that the originals be sent by mail, courier or hand delivery as soon as possible.

Neither we nor any other person is obligated to give you notice of any defects or irregularities in any Notice of Change in Election Form, and no one will be liable for failing to give you notice of any defects or irregularities. We will determine, in our discretion, all questions as to the form and validity, including time of receipt, of Notice of Change in Election Forms. Our determinations regarding these matters will be final and binding.

5. ACCEPTANCE OF OPTIONS FOR EXCHANGE AND CANCELLATION AND ISSUANCE OF REPLACEMENT OPTIONS.

On the terms and subject to the conditions of this Offer and as promptly as practicable following the expiration date, we will accept the Eligible Options for exchange and cancel all Eligible Options properly elected for exchange and not validly withdrawn before the expiration date. The Replacement Option will be granted promptly on or after July 28, 2003 (or a later date if Rigel extends the Offer).

If you are not continuously employed by, providing continuous service to or a serving as a non-employee member of the board of directors of Rigel through the expiration date of the Offer, you will not be eligible to receive a Replacement Option. In addition, if you are an employee on a leave of absence other than a medical, maternity, worker's compensation, military or other statutorily protected leave of absence on the expiration date of the offer, you will not be eligible to receive a Replacement Option. We will give you notice of our acceptance for exchange and cancellation of Eligible Options validly elected for exchange and not properly withdrawn as of the expiration date. We will notify you on or before 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003 (or a later expiration date if Rigel extends the Offer), or as soon as possible thereafter, if we reject your election. If you are not notified of a rejection and you receive notice of our acceptance for exchange and cancellation of all Eligible Options validly elected for exchange and not properly withdrawn, you may assume that your properly executed and delivered Election Form has been accepted. Promptly after the expiration of the Offer, we will send each optionholder who accepted the Offer a letter confirming acceptance and the number of shares underlying the Replacement Option that we will grant to the optionholder.

6. CONDITIONS OF THE OFFER.

We will not be required to accept any Eligible Options that you choose to exchange. We may terminate or amend the Offer, or postpone our acceptance and cancellation of any Eligible Options that you choose to exchange, any time before 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003 (or a later expiration date if Rigel extends the Offer), if we determine that any condition described below has occurred that, in our reasonable judgment, makes it inadvisable for us to proceed with the Offer or to accept and cancel Eligible Options that you choose to exchange. The conditions are as follows:

- if any action or proceeding by any government authority or any other person, domestic or foreign, is threatened or pending that challenges the making of the Offer, the acquisition of

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some of the Eligible Options, the issuance of Replacement Options, or otherwise relates to the Offer or that, in our reasonable judgment, could adversely affect our business, condition, income, operations or prospects;

- if any action is threatened, pending or taken, or any approval is withheld, by any authority, which, in our reasonable judgment, might directly or indirectly:
 - (a) make it illegal for us to accept some of the Eligible Options or to issue some of the Replacement Options or otherwise restrict or prohibit completion of the Offer or otherwise relate to the Offer;
 - (b) delay or restrict our ability, or render us unable, to accept the Eligible Options for exchange and cancellation or to issue Replacement Options in exchange for some of the Eligible Options;
 - (c) materially impair our ability to provide employees with compensation by decreasing the value of the Replacement Options or otherwise; or
 - (d) materially and adversely affect our business, condition, income, operations or prospects;
- if there is:
 - (a) any general suspension of trading in, or limitation on prices for, securities on any national securities exchange or in the over-the-counter market; or
 - (b) the declaration of a banking moratorium or any suspension of payments in respect of banks in the United States, whether or not mandatory;

- if another person publicly makes or proposes a tender or exchange offer for some or all of our common stock, or an offer to merge with or acquire us, or we learn that:
 - (a) any person, entity or "group," within the meaning of Section 13(d)(3) of the Securities Exchange Act of 1934 (the "Exchange Act"), has acquired or proposed to acquire beneficial ownership of more than 5% of the outstanding shares of our common stock, or any new group shall have been formed that beneficially owns more than 5% of the outstanding shares of our common stock, other than any such person, entity or group that has filed a Schedule 13D or Schedule 13G with the Securities and Exchange Commission ("SEC") before Friday, June 27, 2003;
 - (b) any such person, entity or group that has filed a Schedule 13D or Schedule 13G with the SEC before Friday, June 27, 2003 has acquired or proposed to acquire beneficial ownership of an additional 2% or more of the outstanding shares of our common stock; or
 - (c) any person, entity or group shall have filed a Notification and Report Form under the Hart-Scott-Rodino Antitrust Improvements Act of 1976 disclosing or made a public announcement that it intends to acquire us or any of our assets or securities;
- if any of the following changes occur in our business, condition, assets, income, operations, prospects or stock ownership that, in our reasonable judgment, is or may be material to us, including the following:
 - (a) litigation or other proceedings instituted against Rigel, or any of our officers or directors in their capacities as such, before or by any Federal, state or local court, commission, regulatory body, administrative agency or other governmental or legislative body, domestic or foreign, in which an unfavorable ruling, decision, action, order, decree or finding resulting from such litigation or proceeding would materially and adversely affect Rigel;

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- (b) a material loss or interference with our business or properties from fire, explosion, earthquake, flood or other casualty, whether or not covered by insurance, or from any labor dispute;
 - (c) the suspension of trading in our common stock by the SEC or by the Nasdaq National Market; or
 - (d) a material change in the prospects for our business in the future resulting from any number of factors, such as announcements of technological innovations or new products, the announcement, commencement, termination or modification of collaborative relationships by Rigel or our competitors, general market conditions, a material adverse change in the financial or securities markets in the United States or in political, financial or economic conditions in the United States or any outbreak or material escalation of foreign or domestic hostilities or other calamity or crisis; or
- if we are required to extend the expiration date of the Offer beyond 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003 as a result of action or determination by the SEC or other regulatory authority.

The conditions to the Offer are for our benefit. We may assert the conditions to the Offer in our discretion before the expiration date. We may also waive any condition to the Offer in accordance with applicable law, at any time before the expiration date, whether or not we waive any other condition to the Offer.

Our failure to exercise any of these rights is not a waiver of any of these rights. The waiver of any of these rights with respect to particular facts and circumstances is not a waiver with respect to any other facts and circumstances. Any determination we make concerning the conditions in this Section 6 will be final and binding upon everyone.

We currently expect that we will accept all Eligible Options that are properly submitted to be exchanged and have not been validly withdrawn.

7. PRICE RANGE OF COMMON STOCK.

There is no established trading market for the Eligible Options. The securities underlying the Eligible Options are shares of our common stock. On June 24, 2003, we effected a 1-for-9 reverse stock split of our outstanding common stock. Shares of our common stock will be quoted on the Nasdaq National Market under the symbol "RIGLD" through July 23, 2003. Beginning on July 24, 2003, shares of our common stock will be quoted on the Nasdaq National Market under the symbol "RIGL". The

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following table shows, for the periods indicated, the high and low closing sale prices per share of our common stock as reported on the Nasdaq National Market.

Quarter ended or ending	High	Low
Fiscal Year ending December 31, 2003:		
June 30, 2003 (through June 26, 2003)	\$ 15.39	\$ 5.31
March 31, 2003	11.79	4.95
Fiscal Year ending December 31, 2002:		
December 31, 2002	17.10	9.45
September 30, 2002	26.73	12.69
June 30, 2002	43.47	19.80
March 31, 2002	45.90	30.60
Fiscal Year ended December 31, 2001:		
December 31, 2001	57.78	36.00
September 30, 2001	78.79	36.00

June 30, 2001	76.50	29.25
March 31, 2001	119.75	30.42
Fiscal Year ended December 31, 2000:		
November 29, 2000 through December 31, 2000	90.00	63.00

As of June 26, 2003, the last reported sale price of our common stock, as reported on the Nasdaq National Market, was \$8.59 per share.

We cannot guarantee that your Replacement Option will have a lower exercise price than those of your Eligible Options. We recommend that you obtain current market quotations for our common stock before deciding whether to exchange your options.

8. SOURCE AND AMOUNT OF CONSIDERATION; TERMS OF REPLACEMENT OPTIONS.

Consideration. The Company is conducting the exchange with respect to Eligible Options on a one-for-one (1:1) basis. Thus, for Eligible Participants who choose to participate in the Offer, promptly on or after July 28, 2003 (or a later date if Rigel extends the Offer) Rigel will grant you a Replacement Option covering one hundred percent (100%) of the total number of shares subject to your Eligible Options that are exchanged (so long as your employment with Rigel continues through that date).

If we receive and accept exchange of all outstanding Eligible Options as of 11:59 p.m., Pacific Daylight Time, on Friday, July 25, 2003, we expect that, based on outstanding options as of June 26, 2003, we will grant Replacement Options to purchase an aggregate total of 367,961 shares of our common stock in exchange for such Eligible Options. The common stock issuable upon exercise of all such Replacement Options would equal approximately 2.8% of the total shares of our common stock outstanding as of Thursday, June 26, 2003.

Merger or Acquisition of Rigel. If Rigel merges with or is acquired by another entity between Friday, July 25, 2003 (or a later expiration date if Rigel extends the Offer) and the date the Replacement Options are granted, then the resulting entity will be bound to grant the Replacement Options under the same terms as provided herein; however, the type of security and the number of shares covered by each Replacement Option would be determined by the acquisition agreement between Rigel and the acquiror based on the same principles applied to the handling of the options to acquire Rigel's common stock that are outstanding at the time of the acquisition. As a result of the ratio in which our common stock may convert into an acquiror's common stock in an acquisition transaction, you may receive options for more or fewer shares of the acquiror's stock than the number of shares subject to the Replacement Options that you would have received if no acquisition had

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occurred. If Rigel acquires another entity, no change will occur with respect to your choice to participate in the Offer. The closing price of Rigel's common stock as reported on the Nasdaq National Market on the last trading day before the date of grant could increase or decrease significantly if Rigel merges with, is acquired by, or acquires another entity, between the expiration of the offer and the date the Replacement Options are granted, resulting in the Replacement Options having a significantly higher or lower exercise price.

Terms of Replacement Options. Replacement Options will be granted under the 2000 Plan. Replacement Option stock option agreements will be executed between each optionholder who accepts the Offer and Rigel. The Replacement Option stock option agreements will not permit the optionholders to exercise any Replacement Options before the time such options vest. The issuance of Replacement Options under this Offer will not create any contractual or other right of the recipients to receive any future grants of stock options or benefits in lieu of stock options.

The following descriptions of the Plans and the Replacement Option stock option agreements are summaries, and are not complete. Replacement Options will be subject to the terms and conditions of the 2000 Plan and the applicable stock option agreement. Additional information about the Plans may be found in the Form S-8 Registration Statements on file with the SEC and the related Prospectuses prepared in connection with the Plans. The Plans are available at www.sec.gov, or you can contact Nina Borja or Jim Welch to request a copy of these documents and the current form of your stock option agreement. Copies will be provided promptly and at our expense. The form of stock option agreement may be changed with the approval of our board of directors or the Compensation Committee thereof before the date the Replacement Options are granted.

General. The **2000 Equity Incentive Plan** was adopted on January 27, 2000 and amended and restated effective as of June 26, 2003. As of Thursday, June 26, 2003, there were 3,047,222 shares of our common stock reserved for issuance pursuant to the 2000 Plan, of which options to purchase 526,419 shares of our common stock were issued and outstanding, including options to purchase 88,849 shares of our common stock were issued and outstanding under the 2000 Plan that were subject to the terms of 2001 Plan as in effect immediately prior to April 24, 2003. The 2000 Plan permits us to grant options intended to qualify as incentive stock options under the Internal Revenue Code or nonqualified stock options. Replacement Options will be incentive stock options or nonqualified stock options issued under the 2000 Plan.

The **2001 Non-Officer Equity Incentive Plan** was adopted on July 19, 2001 and merged into the 2000 Plan effective as of June 26, 2003. All outstanding stock options issued under the 2001 Plan are still subject to the terms of 2001 Plan as in effect immediately prior to April 24, 2003. As of Thursday, June 26, 2003, options to purchase 88,849 shares of our common stock were issued and outstanding under the 2000 Plan that were subject to the terms of 2001 Plan as in effect immediately prior to April 24, 2003. The 2001 Plan permitted us to grant nonqualified stock options.

The **2000 Non-Employee Directors' Stock Option Plan** was adopted on January 27, 2000 and amended and restated effective as of June 26, 2003. As of Thursday, June 26, 2003, there were 95,298 shares of our common stock reserved for issuance pursuant to the Directors' Plan, of which options to purchase 11,370 shares of our common stock were issued and outstanding. The Directors' Plan permits us to grant nonqualified stock options to non-employee members of our board of directors.

Administration. The board of directors administers the 2000 Plan and has delegated administration of the 2000 Plan to the Compensation Committee of the board of directors. The board of directors has the authority to construe, interpret and amend the 2000 Plan.

Term. The term of each option granted under the 2000 Plan is fixed by the Plan administrator at the time of grant. Replacement Options to be granted under the 2000 Plan will have a term that

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expires at 11:59 p.m., Pacific Time, the day three (3) years and five (5) business days after the grant date of the Replacement Option.

Time of Exercise. Generally, you may exercise the vested portion of a Replacement Option at any time before the expiration of the option. Under the terms of your stock option agreement, if your service with us terminates for any reason other than your death or permanent disability, you will generally have three (3) months after your termination date (provided that the Replacement Option has not expired) in which to exercise your Replacement Option. If your service with us terminates as a result of your permanent disability, you will generally have between twelve (12) months after your termination date in which to exercise your Replacement Option. If your service with us terminates as a result of your death, or you die within the period specified in your stock option agreement after your termination for a reason other than death, generally your

estate or beneficiaries must exercise the vested portion of your Replacement Option within (18) months following your date of death. Notwithstanding any of the foregoing, Replacement Options to be granted under the 2000 Plan will have a term that expires at 11:59 p.m., Pacific Time, the day three (3) years and five (5) business days after the initial grant date of the Replacement Option.

Exercise Price. The Replacement Options will have an exercise price equal to the closing price of Rigel's common stock as reported on the Nasdaq National Market on the last market trading day before the date of grant. **We cannot guarantee that the Replacement Option will have a lower exercise price than those of the Eligible Options.** We recommend that you obtain current market quotations for our common stock before deciding whether to exercise your options. If any change is made in our common stock subject to the Replacement Options without the receipt of consideration by Rigel (through merger, consolidation, reorganization, recapitalization, reincorporation, stock dividend, dividend in property other than cash, stock split, liquidating dividend, combination of shares, exchange of shares, change in corporate structure or other transaction not involving the receipt of consideration by Rigel), the number of shares subject to and the exercise price of the Replacement Options will be appropriately adjusted.

Vesting. One-fifth (1/5th) of the shares subject to each Replacement Option will vest on the six-month anniversary of the grant date, an additional one-fifth (1/5th) of the shares subject to each Replacement Option will vest on the twelve-month anniversary of the grant date, and the remaining three-fifths (3/5ths) of the shares subject to each Replacement Option will vest in twenty-four (24) equal monthly installments over the following two (2) years. Each Replacement Option will be fully vested on the three-year anniversary of the date of grant. Vesting will cease if the employment or services relationship is discontinued for any reason, including an involuntary termination.

Tax Consequences. You should refer to Section 12 of the Offer for a discussion of the U.S. Federal Income Tax consequences of accepting or rejecting the Replacement Options under this Offer Document.

Registration of Option Shares. All shares of common stock issuable upon exercise of options under the Plans, including the shares that will be issuable upon exercise of all Replacement Options, have been registered under the Securities Act of 1933, as amended (the "Securities Act"), on a Registration Statement on Form S-8 filed with the SEC. Unless you are considered a control person or other "affiliate" (as defined by the SEC) of Rigel, upon exercise, you will be able to sell your Replacement Option shares free of any transfer restrictions under applicable securities laws.

9. INTERESTS OF DIRECTORS AND OFFICERS; TRANSACTIONS AND ARRANGEMENTS INVOLVING THE OPTIONS.

The directors and executive officers of Rigel and their positions and offices as of Thursday, June 26, 2003 are set forth in the following table:

Name	Age	Position(s) Held With The Company
James M. Gower	54	Chairman, Chief Executive Officer and Director
James H. Welch	45	Vice President, Chief Financial Officer, Secretary
Donald G. Payan	54	Executive Vice President, Chief Scientific Officer and Director
Raul R. Rodriguez	41	Senior Vice President, Business Development and Commercial Operations
Elliott B. Grossbard	43	Senior Vice President, Medical Development
Dolly Vance	38	General Counsel and Vice President of Intellectual Property
Jean Deleage	63	Director
Alan D. Frazier	51	Director
Dennis J. Henner	52	Director
Walter H. Moos	48	Director
Stephen A. Sherwin	54	Director
Nicholas J. Simon, III	49	Director

The address of each director and executive officer is c/o Rigel Pharmaceuticals, Inc., 1180 Veterans Blvd., South San Francisco, CA 94080, and the telephone number is (650) 624-1100.

The biographies for our executive officers and directors are included in our Annual Report on Form 10-K for the fiscal year ended December 31, 2002, filed with the SEC on March 31, 2003, as amended on May 8, 2003, and incorporated by reference herein. Biographies of current directors not included in our Annual Report follow:

Nicholas J. Simon, III joined us as a director on June 26, 2003. He is a General Partner at MPM Capital. Prior to joining MPM in 2001, Mr. Simon was Chief Executive Officer and Founder of Collabra Pharma, Inc., a pharmaceutical development company. Before joining Collabra in 2000, Mr. Simon held several business development positions in his eleven years at Genentech Inc., including, most recently, Vice President, Business & Corporate Development. He is a member of the board of directors of Sangstat Medical Corporation. Mr. Simon holds a BS in microbiology from the University of Maryland and an MBA in marketing from Loyola College.

Dennis Henner, PhD joined us as a director on June 26, 2003. He is a General Partner at MPM Capital. Prior to joining MPM in 2001, Dr. Henner held several positions in his twenty years at Genentech Inc., including, most recently, Senior Vice President of Research. He holds a BA and a PhD in microbiology from the University of Virginia.

As of Thursday, June 26, 2003, our executive officers and non-employee directors (twelve (12) people) as a group held options outstanding under the Plans to purchase a total of 190,015 shares of our common stock. This represented approximately 35.3% of the shares subject to all options outstanding under the Plans. Executive officers and non-employee directors as a group hold Eligible Options to purchase 115,291 shares of our common stock. As of Thursday, June 26, 2003, no executive officer or non-employee director had indicated his or her intention to tender any Eligible Options.

The following are, to the best of our knowledge, the only transactions that we, our directors, our executive officers or the affiliates of any of our directors or executive officers have engaged in that

involved options to purchase shares of our common stock or involved a purchase of our common stock during the sixty (60) days prior to this Offer:

- On June 26, 2003, Rigel sold an aggregate of 1,302,083 shares of common stock at a price per share of \$5.76 and warrants to purchase an aggregate of 260,417 shares of common stock at an exercise price per share of \$5.76 to entities related to Alta Partners ("Alta"). Jean Deleage, a non-employee member of our board of directors, is a managing general partner of Alta Partners
- On June 26, 2003, Rigel sold an aggregate of 1,302,083 shares of common stock at a price per share of \$5.76 and warrants to purchase an aggregate of 260,416 shares of common stock at an exercise price per share of \$5.76 to entities related to Frazier Healthcare ("Frazier"). Alan Frazier, a non-employee member of our

board of directors, is a managing principal of Frazier Healthcare.

- On June 26, 2003, Rigel sold an aggregate of 868,055 shares of common stock at a price per share of \$5.76 and warrants to purchase an aggregate of 173,611 shares of common stock at an exercise price per share of \$5.76 to HBM BioVentures (Cayman) Ltd. ("HBM").
- On June 26, 2003, Rigel sold an aggregate of 4,513,889 shares of common stock at a price per share of \$5.76 and warrants to purchase an aggregate of 902,777 shares of common stock at an exercise price per share of \$5.76 to entities related to MPM Capital ("MPM"). Dennis J. Henner and Nicholas J. Simon, non-employee members of our board of directors, are General Partners of MPM Capital.
- On June 26, 2003, Rigel granted Jean Deleage, a non-employee member of our board of directors, an option to purchase 1,667 shares of common stock at a price of \$8.49 per share pursuant to the terms of the Directors' Plan.
- On June 26, 2003, Rigel granted Alan D. Frazier, a non-employee member of our board of directors, an option to purchase 1,667 shares of common stock at a price of \$8.49 per share pursuant to the terms of the Directors' Plan.
- On June 26, 2003, Rigel granted Walter H. Moos, a non-employee member of our board of directors, an option to purchase 1,667 shares of common stock at a price of \$8.49 per share pursuant to the terms of the Directors' Plan.
- On June 26, 2003, Rigel granted Stephen A. Sherwin, a non-employee member of our board of directors, an option to purchase 1,667 shares of common stock at a price of \$8.49 per share pursuant to the terms of the Directors' Plan.
- On January 21, 2003, Rigel granted Dolly Vance, our General Counsel and Vice President of Intellectual Property, an option to purchase 11,111 shares of common stock at a price of \$9.09 per share pursuant to the terms of the 2000 Plan.

There is no agreement, arrangement or understanding between Rigel (or, to the best of our knowledge, any of our directors or executive officers) and any other person for the purchase or acquisition from Rigel of any of its securities, except for the following:

- outstanding options to purchase an aggregate of 526,419 shares of our common stock (as of June 26, 2003) pursuant to our 2000 Plan, including outstanding options to purchase an aggregate of 88,849 shares of our common stock (as of June 26, 2003) subject to the terms of 2001 Plan as in effect immediately prior to April 24, 2003;
- outstanding options to purchase an aggregate of 11,370 shares of our common stock (as of June 26, 2003) pursuant to our Directors' Stock Option;

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- an aggregate of up to 197,398 shares of our common stock reserved for issuance to our employees under our 2000 Employee Stock Purchase Plan (the "ESPP"), of which an aggregate of 32,573 shares have been issued and an aggregate of 164,825 shares remain available for issuance;
 - a warrant to purchase up to 333 shares of our common stock issuable to L. Shushan & M. Shushan, Trustees, dated June 2, 1998;
 - a warrant to purchase up to 1,333 shares of our common stock issuable to Bristow Investments, LP, dated June 2, 1998;
 - a warrant to purchase up to 15,000 shares of our common stock issuable to Slough Estates USA, Inc., dated June 2, 1998;
 - a warrant to purchase up to 16,667 shares of our common stock issuable to Kwacker Limited, dated May 16, 2001, as amended on October 18, 2002;
 - a warrant to purchase up to 2,646 shares of our common stock issuable to TBCC Funding Trust II, dated January 24, 2002;
 - a warrant to purchase up to 15,432 shares of our common stock issuable to Comerica Bank—California, dated July 12, 2002;
 - a warrant to purchase up to 55,556 shares of our common stock issuable to Kwacker Limited, dated October 18, 2002;
 - warrants to purchase up to an aggregate of 902,777 shares of our common stock issuable to MPM, dated June 26, 2003;
 - warrants to purchase up to an aggregate of 260,417 shares of our common stock issuable to Alta, dated June 26, 2003;
 - warrants to purchase up to an aggregate of 260,416 shares of our common stock issuable to Frazier, dated June 26, 2003;
 - warrants to purchase up to an aggregate of 173,611 shares of our common stock issuable to HBM, dated June 26, 2003; and
 - non-transferable rights to purchase up to an aggregate of 1,736,111 shares of newly issued common stock at a purchase price of \$5.76 per share offered to our stockholders of record as of April 29, 2003, other than certain stockholders affiliated with the investors in the private placement completed on June 26, 2003 (the "Rights Offering").

THE RIGHTS OFFERING HAS BEEN MADE ONLY BY MEANS OF A PROSPECTUS FILED WITH THE SECURITIES AND EXCHANGE COMMISSION AS PART OF A REGISTRATION STATEMENT. THIS DESCRIPTION SHALL NOT CONSTITUTE AN OFFER TO SELL OR A SOLICITATION OF AN OFFER TO BUY, NOR SHALL THERE BE ANY SALE OF THESE SECURITIES IN ANY STATE IN WHICH SUCH OFFER, SOLICITATION OR SALE WOULD BE UNLAWFUL PRIOR TO REGISTRATION OR QUALIFICATION UNDER THE SECURITIES LAWS OF SUCH STATE OR JURISDICTION.

10. STATUS OF OPTIONS ACQUIRED IN THE OFFER; ACCOUNTING CONSEQUENCES OF THE OFFER.

Eligible Options that have been granted under the 2000 Plan and the 2001 Plan and exchanged for Replacement Options under the Offer will be cancelled and the shares of our common stock that may be purchased under those options will be returned to the pool of shares available for grants of new awards or options under the 2000 Plan and Eligible Options that have been granted under the

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Directors' Plan and exchanged for Replacement Options under the Offer will be cancelled and the shares of our common stock that may be purchased under those options will be returned to the pool of shares available for grants of new awards or options under the Directors' Plan without further stockholder action, except as required by applicable law or the rules of the Nasdaq National Market or any other securities quotation system or any stock exchange on which our common stock is then quoted or listed.

We may have to record future compensation expenses as a result of the Offer because:

- we will grant new options within six months and a day of the date we accept and cancel Eligible Options returned to us;
- the exercise price of the Replacement Options may be less than the exercise price of the Eligible Options returned to us on the date we grant the new options; and
- making the Offer will cause any Eligible Options to be treated for financial reporting purposes as a variable award from the start date of the Offer.

As a result of our decision to extend this Offer to our officers, employees, consultants and non-employee members of the board of directors of Rigel, all Replacement Options, as well as any Eligible Options that are not returned under this Offer, will be treated for financial reporting purposes as variable awards. This means that we will be required to record periodic quarterly non-cash accounting charges or credits reflecting increases and decreases (down to but not below the exercise price) in the price of our common stock as compensation expense in connection with the Replacement Options and any Eligible Options that are not exchanged and cancelled. We will have to continue to reflect decreases and increases in the price of our common stock in our statement of operations with respect to these options until they are exercised, forfeited or terminated. The higher the market value of our common stock, the greater the compensation expense.

11. LEGAL MATTERS; REGULATORY APPROVALS.

We are not aware of any license or regulatory permit that appears to be material to our business that might be adversely affected by the Offer, or of any approval or other action by any government or regulatory authority or agency that is required for the acquisition or ownership of the options as described in the Offer. If any other approval or action should be required, we presently intend to seek the approval or take the action. This could require us to delay the acceptance of your Eligible Options for exchange. We cannot assure you that we would be able to obtain any required approval or take any other required action. Our failure to obtain any required approval or take any required action might result in harm to our business. Our obligation under the Offer to accept exchanged Eligible Options and to issue Replacement Options is subject to conditions, including the conditions described in Section 6 of the Offer Document.

12. MATERIAL U.S. FEDERAL INCOME TAX CONSEQUENCES.

The following is a general summary of the material U.S. Federal Income Tax consequences of the exchange of options under the Offer. This discussion is based on the Internal Revenue Code, its legislative history, Treasury Regulations and administrative and judicial interpretations as of the date of the Offer, all of which may change, possibly on a retroactive basis. This summary does not discuss all of the tax consequences that may be relevant to you in light of your particular circumstances, nor is it intended to apply in all respects to all categories of optionholders.

We believe that the exchange of Eligible Options for Replacement Options will be treated as a non-taxable exchange. In other words, you will not be required to recognize income for federal income tax purposes at the time of your exchange of Eligible Options (regardless of whether the Eligible Options are incentive stock options or non-qualified stock options) for a Replacement Option.

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At the date of grant of the Replacement Option, you will not be required to recognize income for federal income tax purposes. In other words, the grant of options (whether incentive stock options or nonqualified stock options) is not recognized as taxable income.

Replacement Options will be incentive stock options or nonqualified stock options. We recommend that you consult your tax advisor with respect to the federal, state and local tax consequences of participating in the Offer.

Certain Federal Income Tax Consequences of Incentive Stock Options. You will not be subject to any current income tax if you choose to exchange your incentive stock options in exchange for Replacement Options.

All Replacement Options granted to consultants and non-employee members of the board of directors will be nonqualified stock options. Unlike incentive stock options, nonqualified stock options are not eligible for favorable tax treatment applicable to incentive stock options.

We do not believe that our Offer to you will change any of the terms of your Eligible Options if you do not accept the Offer. However, if you choose not to accept this Offer, it is possible that the IRS would assert that your right to exchange your incentive stock options under this Offer is a "modification" of your incentive stock options, even if you do not exchange the options. A successful assertion by the IRS that the options are modified could extend the options' holding period to qualify for favorable tax treatment and could cause a portion of your incentive stock options to be treated as nonqualified stock options.

Under current law, you should not realize taxable income when incentive stock options, if applicable, are granted to you. In addition, you generally will not realize taxable income when you exercise an incentive stock option. However, your alternative minimum taxable income will be increased by the amount that the aggregate fair market value of the shares you may purchase under the option (generally determined as of the date you exercise the option) exceeds the aggregate exercise price of the option. This may cause you to be subject to alternative minimum tax or increase the amount of alternative minimum tax you must pay. (The balance of this discussion assumes that you will not be subject to the alternative minimum tax for a year in which you exercise an incentive stock option.) Except in certain circumstances that are described in the 2000 Plan and in your stock option agreement, such as your death or disability, if an option is exercised more than three (3) months after your employment is terminated, the option will not be treated as an incentive stock option and is subject to taxation under the rules applicable to nonqualified stock options that are discussed below.

If you sell common stock that you acquired by exercising an incentive stock option, the tax consequences of the sale depend on whether the disposition is "qualifying" or "disqualifying." (The balance of this discussion, including the discussion regarding nonqualified stock options below, assumes that you exercise your option by paying the exercise price in cash and that the sale is bona fide sale in the market. Please contact your tax advisor if you are considering exercising an option using Company common stock or other consideration or considering a transfer of the stock other than a bona fide sale.) The disposition of the common stock is qualifying if it is made after the later of: (a) more than two (2) years from the date the incentive stock option was granted and (b) more than one (1) year after the date the incentive stock option was exercised.

If the disposition of the common stock you received when you exercised incentive stock options is qualifying, any excess of the sale price over the exercise price of the option will be treated as long-term capital gain taxable to you at the time of the sale. If the disposition is not qualifying, which we refer to as a "disqualifying disposition," the excess of the fair market value of the common stock on the date the option was exercised over the exercise price will be taxable ordinary income to you at the time of the sale. However, if the difference between the sale price and the option exercise price is less than the amount in the preceding sentence, this lesser amount is ordinary income to you. Any amount in excess

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of the ordinary income amount will be long term capital gain or short term capital gain, depending on whether or not the common stock was sold more than one year after the option was exercised.

If you sell common stock you received when you exercised an incentive stock option in a qualifying disposition, Rigel will not be entitled to a deduction equal to the gain you realize when you completed that sale. However, if you sell, in a disqualifying disposition, common stock you received when you exercised an incentive stock option, Rigel will be entitled to a deduction equal to the amount of ordinary income taxable to you.

Certain Federal Income Tax Consequences of Nonqualified Stock Options. Under current law, you will not realize taxable income upon the grant of a nonqualified stock option. However, when you exercise the option, the difference between the exercise price of the option and the fair market value of the shares subject to the option on the date of exercise will be treated as ordinary income to you, and you will be subject to withholding of income and employment taxes at that time. The Company will be entitled to a deduction equal to the amount of ordinary income taxable to you if we comply with applicable withholding and reporting requirements.

The subsequent sale of the shares acquired pursuant to the exercise of a nonqualified stock option generally will give rise to capital gain or loss equal to the difference between the sale price and the sum of the exercise price paid for the shares plus the ordinary income recognized with respect to the shares, and this capital gain or loss will be treated as long term capital gain or loss if you held the shares for more than one year following exercise of the option.

Consult Your Tax Advisor. We recommend that you consult your tax advisor with respect to the federal, state and local tax consequences of participating in the Offer and with respect to certain federal income tax consequences not discussed in this Section 12, such as the exercise of an option using consideration other than cash and the application of the alternative minimum tax.

13. EXTENSION OF OFFER; TERMINATION; AMENDMENT.

We may at any time, and from time to time, extend the period of time during which the Offer is open and delay accepting any options surrendered or exchanged by announcing the extension and giving oral or written notice of the extension to the optionholders.

If any of the conditions specified in Section 6 of the Offer Document occur before the expiration date, we may postpone accepting for cancellation any Eligible Options in order to terminate or amend the Offer. To postpone the accepting for cancellation of any Eligible Option, we must announce the postponement and give oral or written notice of the postponement to the Eligible Participants. Our right to delay accepting and canceling Eligible Options may be limited by Rule 13e-4(f)(5) under the Exchange Act, which requires that we pay the consideration offered or return the surrendered options promptly after we terminate or withdraw the Offer.

As long as we comply with any applicable laws, we may amend the Offer in any way, including decreasing or increasing the consideration offered in the Offer to optionholders or decreasing or increasing the number of Eligible Options to be exchanged or surrendered in the Offer.

We may amend the Offer at any time by announcing the amendment. If we extend the length of time during which the Offer is open, we will issue the amendment no later than 11:59 p.m., Pacific Daylight Time, on the expiration date. Any announcement relating to the Offer will be sent promptly to optionholders in a manner reasonably designed to inform optionholders of the change.

If we materially change the terms of the Offer or the information about the Offer, or if we waive a material condition of the Offer, we may extend the Offer to the extent required by Rules 13e-4(d)(2) and 13e-4(e)(3) under the Exchange Act. Under these rules, the minimum period an Offer must remain open following material changes in the terms of the Offer or information about the Offer, other than a

change in price or a change in percentage of securities sought, will depend on the facts and circumstances. We will notify you via letter or e-mail if we decide to take any of the following actions:

- change the number of shares subject to the Replacement Option that you will receive in exchange for your Eligible Options;
- change the number of Eligible Options that you can exchange in the Offer;
- waive a material condition of the Offer; or
- extend or terminate the Offer.

If the Offer is scheduled to expire within ten (10) business days from the date we notify you of such an increase or decrease, we will extend the Offer until ten (10) business days after the date the notice is sent via letter or e-mail.

14. FEES AND EXPENSES.

We will not pay any fees or commissions to any broker, dealer or other person asking holders of Eligible Options to exchange such options pursuant to this Offer.

15. INFORMATION ABOUT RIGEL.

Our principal executive offices are located at 1180 Veterans Blvd., South San Francisco, California 94080, and our telephone number is (650) 624-1100. Information regarding our directors and executive officers is contained in Section 9 herein. Our web site address is www.rigel.com. The information on our web site is not a part of this Offer.'

Rigel's mission is to become a source of novel, small-molecule drugs to meet large, unmet medical needs. Our business model is to develop a portfolio of drug candidates and to take these through Phase II clinical trials, after which we intend to seek partners for completion of clinical trials, regulatory approval and marketing. We have identified three lead product development programs: mast cell inhibition to treat immunologic diseases such as asthma/allergy and autoimmune disorders, antiviral agents to treat hepatitis C, and ubiquitin ligases, a new class of cancer drug targets. We have begun clinical testing of our first product candidate, for the treatment of allergic rhinitis, and plan to begin clinical trials of two additional drug candidates for the treatment of hepatitis C and rheumatoid arthritis within the next twelve months. Our approach to drug discovery is based on advanced, proprietary functional genomics techniques that allow us to identify targets with a demonstrable role in a disease pathway and to screen efficiently for those targets that are likely to be amenable to drug modulation.

Information concerning our business, including our background, strategy, programs, product development, competition, intellectual property and employees, as well as the financial information, included in our Annual Report on Form 10-K, as amended, for the fiscal year ended December 31, 2002 and our Quarterly Report on Form 10-Q for the fiscal quarter ended March 31, 2003, are incorporated by reference herein and may be inspected at, and copies may be obtained from, the same places and in the same manner

as set forth under Section 17 ("Additional Information").

Financial. Set forth below is a selected summary of our financial information. The selected financial data is derived from our consolidated financial statements, as filed with the SEC. The selected financial data should be read in conjunction with the consolidated financial statements and notes thereto and "Management's Discussion and Analysis of Financial Condition and Results of Operations" included in our Annual Report on Form 10-K for the year ended December 31, 2002, filed with the SEC on March 31, 2003, as amended on May 8, 2003, and our Quarterly Report on Form 10-Q for the

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period ended March 31, 2003, filed with the SEC on May 15, 2003. All amounts are in thousands, except per share data.

Operating Data:	Year Ended		Three Months Ended	
	December 31, 2001	December 31, 2002	March 31, 2002	March 31, 2003
Revenue	\$ 15,303	\$ 15,788	\$ 4,098	\$ 4,497
Operating loss	\$ (24,960)	\$ (37,016)	\$ (8,437)	\$ (7,547)
Net loss	\$ (23,805)	\$ (37,030)	\$ (8,372)	\$ (7,800)
Basic and Diluted net loss per common share(1)	\$ (5.75)	\$ (7.41)	\$ (1.74)	\$ (1.53)
Current assets	\$ 36,533	\$ 36,863	\$ 63,666	\$ 25,681
Total assets	\$ 46,448	\$ 44,432	\$ 74,159	\$ 32,676
Current liabilities	\$ 10,162	\$ 14,370	\$ 15,668	\$ 10,441
Other Long-term liabilities	\$ 7,345	\$ 4,531	\$ 6,266	\$ 4,753
Total liabilities	\$ 17,507	\$ 18,901	\$ 21,934	\$ 15,194
Total stockholders' equity	\$ 28,941	\$ 25,441	\$ 74,159	\$ 15,482
Book value per common share(1)(2)	\$ 6.90	\$ 5.01	\$ 14.73	\$ 3.03

- (1) On June 24, 2003, we effected a 1-for-9 reverse stock split of our outstanding common stock. All per share values give effect to this reverse stock split.
- (2) The historical book value per share is computed by dividing stockholders' equity by the number of shares of common stock outstanding at the end of each period presented.

The financial information included in our Annual Report on Form 10-K for the year ended December 31, 2002, as amended, and our Quarterly Report on Form 10-Q for the fiscal quarter ended March 31, 2003 is incorporated by reference herein and may be inspected at, and copies may be obtained from, the places and in the manner described in Section 17 ("Additional Information").

On June 26, 2003 we sold 7,986,110 shares of our common stock at \$5.76 per share and warrants to purchase an additional 1,597,221 shares of our common stock at \$5.76 per share for aggregate gross proceeds of approximately \$46 million in a private placement led by MPM Capital, L.P. that includes Frazier Healthcare, Alta Partners and HBM BioVentures.

16. RISK FACTORS.

Information concerning risk factors included in our Annual Report on Form 10-K for the fiscal year ended December 31, 2002, as amended, and our Quarterly Report on Form 10-Q for the period ended March 31, 2003 is incorporated by reference herein. Additional risks and uncertainties not presently known to us or that we currently deem immaterial also may impair our business operations. If any of the risks actually occur, our business could be harmed. In that event, the trading price of our common stock could decline.

Our common stock is listed for quotation on the Nasdaq National Market System.

17. ADDITIONAL INFORMATION.

We have filed with the SEC a Tender Offer Statement on Schedule TO, of which this Offer Document is a part, with respect to the Offer. This Offer does not contain all of the information contained in the Schedule TO and the exhibits to the Schedule TO. We recommend that you review

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the Schedule TO, including its exhibits, and the following additional materials that we have filed with the SEC before making a decision on whether to accept the Offer:

1. Our Annual Report on Form 10-K for the fiscal year ended December 31, 2002, filed on March 31, 2003, as amended on May 8, 2003, including all material incorporated by reference therein;
2. Our Definitive Proxy Statement on Schedule 14A, filed on May 19, 2003;
3. Our Quarterly Report on Form 10-Q for the quarterly period ended March 31, 2003, filed on May 15, 2003;
4. Our Current Report on Form 8-K filed on May 2, 2003.
5. Our Current Report on Form 8-K filed on June 24, 2003.
6. All other reports filed by us pursuant to Section 13(a) or 15(d) of the Exchange Act since December 31, 2002, including all materials incorporated by reference therein; and
7. The description of the common stock contained in our Registration Statement on Form 8-A.

The SEC file number for these filings is 0-29889. These filings and our other SEC filings may be examined, and copies may be obtained, at the following SEC public reference rooms:

450 Fifth Street, N.W.
Room 1024
Washington, D.C. 20549

500 West Madison Street
Suite 1400
Chicago, Illinois 60661

You may obtain information on the operation of the public reference rooms by calling the SEC at 1-800-SEC-0330.

Our SEC filings are also available to the public on the SEC's Internet site at <http://www.sec.gov>.

On June 24, 2003, we effected a 1-for-9 reverse stock split of our outstanding common stock. Shares of our common stock will be quoted on the Nasdaq National Market under the symbol "RIGLD" through July 23, 2003. Beginning on July 24, 2003, shares of our common stock will be quoted on the Nasdaq National Market under the symbol "RIGL". Our SEC filings can be read at the following Nasdaq address:

Nasdaq Operations
1735 K Street, N.W.
Washington, D.C. 20006

You can obtain a copy of any or all of the documents to which we have referred you on our web site at www.rigel.com. The information on our web site is not a part of this Offer. We will also provide without charge to each person to whom we deliver a copy of this Offer Document, upon his or her written or oral request, a copy of any or all of the documents to which we have referred you, other than exhibits to these documents (unless the exhibits are specifically incorporated by reference into the documents). Requests should be directed to:

Rigel Pharmaceuticals, Inc.
Attn: James H. Welch
1180 Veterans Blvd.
South San Francisco, CA 94080

or by telephoning us at (650) 624-1100 between the hours of 9:00 a.m. and 5:00 p.m., Pacific Daylight Time.

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As you read the documents listed in this Section 17, you may find some inconsistencies in information from one document to another. Should you find inconsistencies between the documents, or between a document and this Offer Document, you should rely on the statements made in the most recent document.

The information contained in this Offer Document about Rigel should be read together with the information contained in the documents to which we have referred you.

18. FORWARD-LOOKING STATEMENTS; MISCELLANEOUS.

This Offer Document and our SEC reports referred to above include forward-looking statements within the meaning of Section 27A of the Securities Act and Section 21E of the Exchange Act. However, the safe harbors of Section 27A of the Securities Act and 21E of the Exchange Act do not apply to statements made in connection with this Offer. These forward-looking statements involve risks and uncertainties that include, among others, those set forth in Section 16 of this document. More information about factors that potentially could affect our financial results is included in our filings with the SEC, including our Annual Report on Form 10-K for the year ended December 31, 2002, as amended.

If at any time we become aware of any jurisdiction where the making of this Offer violates the law, we will make a good faith effort to comply with the law. If we cannot comply with the law, the Offer will not be made to, nor will exchanges be accepted from or on behalf of, the optionholders residing in that jurisdiction.

Your decision to accept or reject this Offer is an individual one that should be based on a variety of factors. You should consult your personal advisors if you have questions about your financial or tax situation. The information about this Offer from Rigel is limited to this document.

Rigel Pharmaceuticals, Inc.

June 27, 2003

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QuickLinks

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