

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

FORM 10-Q

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

FOR THE QUARTERLY PERIOD ENDED SEPTEMBER 30, 2007
OR

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

FOR THE TRANSITION PERIOD FROM TO

Commission File Number 0-29889

Rigel Pharmaceuticals, Inc.

(Exact name of registrant as specified in its charter)

Delaware
(State or other jurisdiction of incorporation or
organization)

94-3248524
(I.R.S. Employer Identification No.)

1180 Veterans Blvd.
South San Francisco, CA
(Address of principal executive offices)

94080
(Zip Code)

(650) 624-1100
(Registrant's telephone number, including area code)

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

Indicate by check mark whether the registrant is a large accelerated filer, an accelerated filer or a non-accelerated filer. See definition of "accelerated filer and large accelerated filer" in Rule 12b-2 of the Exchange Act) (Check one):

Large accelerated filer Accelerated filer Non-accelerated filer

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

As of November 1, 2007, there were 31,043,111 shares of the registrant's common stock outstanding.

RIGEL PHARMACEUTICALS, INC.
QUARTERLY REPORT ON FORM 10-Q
FOR THE QUARTERLY PERIOD ENDED SEPTEMBER 30, 2007

INDEX

| | <u>Page</u> |
|---|-------------|
| <u>PART I</u> | |
| <u>Item 1.</u> | |
| <u>FINANCIAL INFORMATION</u> | 3 |
| <u>Condensed Financial Statements</u> | 3 |
| <u>Condensed Balance Sheets — September 30, 2007 (Unaudited) and December 31, 2006</u> | 3 |
| <u>Condensed Statements of Operations (Unaudited) — three and nine months ended September 30, 2007 and 2006</u> | 4 |
| <u>Condensed Statements of Cash Flows (Unaudited) — nine months ended September 30, 2007 and 2006</u> | 5 |
| <u>Notes to Condensed Financial Statements (Unaudited)</u> | 6 |
| <u>Report of Independent Registered Public Accounting Firm</u> | 11 |
| <u>Management's Discussion and Analysis of Financial Condition and Results of Operations</u> | 12 |
| <u>Quantitative and Qualitative Disclosures About Market Risk</u> | 19 |
| <u>Controls and Procedures</u> | 19 |
| <u>PART II</u> | |
| <u>OTHER INFORMATION</u> | 19 |
| <u>Risk Factors</u> | 19 |
| <u>Exhibits</u> | 29 |
| <u>Item 2.</u> | |
| <u>Item 3.</u> | |
| <u>Item 4.</u> | |
| <u>Item 5.</u> | |
| <u>Item 6.</u> | |
| <u>Signatures</u> | 30 |

Item 1. Condensed Financial Statements

RIGEL PHARMACEUTICALS, INC.
CONDENSED BALANCE SHEETS
(in thousands, except share and per share amounts)

| | September 30, 2007 (unaudited) | December 31, 2006(1) |
|---|--------------------------------------|-------------------------|
| Assets | | |
| Current assets: | | |
| Cash and cash equivalents | \$ 38,769 | \$ 47,727 |
| Available-for-sale securities | 73,731 | 56,744 |
| Accounts receivable | — | 1,104 |
| Other receivables | 294 | 286 |
| Prepaid expenses and other current assets | 1,923 | 2,153 |
| Total current assets | <u>114,717</u> | <u>108,014</u> |
| Property and equipment, net | 2,830 | 2,975 |
| Other assets | 2,137 | 2,251 |
| | <u>\$ 119,684</u> | <u>\$ 113,240</u> |
| Liabilities and stockholders' equity | | |
| Current liabilities: | | |
| Accounts payable | \$ 2,512 | \$ 1,957 |
| Accrued compensation | 2,805 | 3,060 |
| Other accrued liabilities | 1,791 | 1,886 |
| Deferred revenue | — | 3,066 |
| Capital lease obligations | 1,019 | 1,269 |
| Total current liabilities | <u>8,127</u> | <u>11,238</u> |
| Long-term portion of capital lease obligations | 818 | 1,082 |
| Long-term portion of deferred rent | 16,183 | 13,328 |
| Other long-term liabilities | 237 | 363 |
| Commitments | | |
| Stockholders' equity: | | |
| Common stock, \$0.001 par value; 100,000,000 shares authorized; 31,038,431 and 25,180,687 shares issued and outstanding on September 30, 2007 and December 31, 2006, respectively | 31 | 25 |
| Additional paid-in capital | 444,602 | 382,350 |
| Accumulated other comprehensive income | 115 | 13 |
| Accumulated deficit | (350,429) | (295,159) |
| Total stockholders' equity | <u>94,319</u> | <u>87,229</u> |
| | <u>\$ 119,684</u> | <u>\$ 113,240</u> |

(1) The balance sheet at December 31, 2006 has been derived from the audited financial statements at that date included in Rigel's Annual Report on Form 10-K for the year ended December 31, 2006.

See accompanying notes.

3

RIGEL PHARMACEUTICALS, INC.
CONDENSED STATEMENTS OF OPERATIONS
(in thousands, except per share amounts)
(unaudited)

| | Three Months Ended September 30, | | Nine Months Ended September 30, | |
|--|----------------------------------|--------------------|---------------------------------|--------------------|
| | 2007 | 2006 | 2007 | 2006 |
| Contract revenues | \$ — | \$ 6,127 | \$ 4,600 | \$ 30,345 |
| Costs and expenses: | | | | |
| Research and development | 15,372 | 14,050 | 48,404 | 41,966 |
| General and administrative | 5,054 | 4,804 | 15,466 | 14,532 |
| | <u>20,426</u> | <u>18,854</u> | <u>63,870</u> | <u>56,498</u> |
| Loss from operations | (20,426) | (12,727) | (59,270) | (26,153) |
| Interest income | 1,538 | 1,447 | 4,172 | 4,287 |
| Interest expense | (56) | (102) | (172) | (315) |
| Net loss | <u>\$ (18,944)</u> | <u>\$ (11,382)</u> | <u>\$ (55,270)</u> | <u>\$ (22,181)</u> |
| Net loss per share, basic and diluted | <u>\$ (0.61)</u> | <u>\$ (0.46)</u> | <u>\$ (1.96)</u> | <u>\$ (0.89)</u> |
| Weighted average shares used in computing net loss per common share, basic and diluted | <u>31,030</u> | <u>24,987</u> | <u>28,211</u> | <u>24,882</u> |

See accompanying notes.

4

RIGEL PHARMACEUTICALS, INC.
CONDENSED STATEMENTS OF CASH FLOWS
(in thousands)
(unaudited)

| | Nine Months Ended September 30, | |
|---|------------------------------------|------------------|
| | 2007 | 2006 |
| Operating activities | | |
| Net loss | \$ (55,270) | \$ (22,181) |
| Adjustments to reconcile net loss to net cash used in operating activities: | | |
| Depreciation and amortization | 1,009 | 989 |
| Stock-based compensation expense | 9,121 | 10,137 |
| Changes in assets and liabilities: | | |
| Accounts receivable | 1,104 | — |
| Other receivables | (8) | 75 |
| Prepaid expenses and other current assets | 230 | 415 |
| Other assets | 114 | 127 |
| Accounts payable | 555 | (1,045) |
| Accrued compensation | (255) | (417) |
| Other accrued liabilities | (95) | (1,233) |
| Deferred revenue | (3,066) | (13,195) |
| Deferred rent and other long-term liabilities | 2,729 | 1,379 |
| Net cash used in operating activities | <u>(43,832)</u> | <u>(24,949)</u> |
| Investing activities | | |
| Purchases of available-for-sale securities | (100,125) | (53,526) |
| Maturities of available-for-sale securities | 83,240 | 55,448 |
| Capital expenditures | (864) | (695) |
| Net cash provided by (used in) investing activities | <u>(17,749)</u> | <u>1,227</u> |
| Financing activities | | |
| Proceeds from capital lease financings | 640 | 1,350 |
| Payments on capital lease obligations | (1,154) | (976) |
| Net proceeds from issuances of common stock | 53,137 | 1,990 |
| Net cash provided by financing activities | <u>52,623</u> | <u>2,364</u> |
| Net decrease in cash and cash equivalents | <u>(8,958)</u> | <u>(21,358)</u> |
| Cash and cash equivalents at beginning of period | 47,727 | 76,779 |
| Cash and cash equivalents at end of period | <u>\$ 38,769</u> | <u>\$ 55,421</u> |

See accompanying notes.

Rigel Pharmaceuticals, Inc.
Notes to Condensed Financial Statements
(unaudited)

In this report, “Rigel,” “we,” “us” and “our” refer to Rigel Pharmaceuticals, Inc.

1. Nature of Operations

We were incorporated in the state of Delaware on June 14, 1996. We are engaged in the discovery and development of novel, small-molecule drugs for the treatment of inflammatory/autoimmune diseases, cancer and viral diseases.

2. Basis of Presentation

Our accompanying unaudited condensed financial statements have been prepared in accordance with U.S. generally accepted accounting principles for interim financial information and pursuant to the instructions to Form 10-Q and Article 10 of Regulation S-X. Accordingly, they do not include all of the information and notes required by generally accepted accounting principles for complete financial statements. These unaudited condensed financial statements include all normal and recurring adjustments that we believe are necessary to fairly state our financial position and the results of our operations and cash flows. Interim-period results are not necessarily indicative of results of operations or cash flows for a full-year. The balance sheet at December 31, 2006 has been derived from audited financial statements at that date, but does not include all disclosures required by U.S. generally accepted accounting principles for complete financial statements. Because all of the disclosure required by U.S. generally accepted accounting principles for complete financial statements are not included herein, these unaudited interim condensed financial statements and the notes accompanying them should be read in conjunction with our audited financial statements and the notes thereto included in our Annual Report on Form 10-K for the year ended December 31, 2006.

The preparation of financial statements in conformity with U.S. generally accepted accounting principles requires management to make estimates and assumptions that affect the amounts reported in the financial statements and accompanying notes. We base our estimates on historical experience and on various other assumptions that we believe to be reasonable under the circumstances. Actual results could differ from these estimates.

Comprehensive net loss did not differ materially from the net loss as reported.

3. Recent Accounting Pronouncements

On June 27, 2007, the Financial Accounting Standards Board (“FASB”) ratified the consensus reached by the Emerging Issues Task Force (“EITF”) on EITF Issue No. 07-3, “Accounting for Advance Payments for Goods or Services to Be Used in Future Research and Development Activities” (“EITF 07-3”). EITF 07-3 requires companies to defer and capitalize prepaid, nonrefundable research and development payments to third parties, and amortize them over the period that the research and development activities are performed or the services are provided, subject to an assessment of recoverability. EITF 07-3 is effective for new contracts entered into during fiscal years beginning after December 15, 2007, including interim periods within those fiscal years. We are currently evaluating the impact of adopting EITF 07-3 on our financial statements and can not estimate the impact of adoption at this time.

4. Basic and Diluted Net Loss Per Share

Basic and diluted net loss per share were computed by dividing the net loss for the period by the weighted average number of shares of common stock outstanding during the period. The calculation of diluted net loss per share excluded shares of potential common stock, consisting of stock options and warrants, because their effect would have been anti-dilutive.

5. Stock Award Plans

Total stock-based compensation expense related to all of our share-based awards that we recognized for the three and nine months ended September 30, 2007 and 2006 is comprised as follows (in thousands):

| | Three Months Ended September 30, | | Nine Months Ended September 30, | |
|--|-------------------------------------|----------|------------------------------------|-----------|
| | 2007 | 2006 | 2007 | 2006 |
| Research and development | \$ 1,294 | \$ 1,734 | \$ 4,212 | \$ 5,198 |
| General and administrative | 1,500 | 1,715 | 4,909 | 4,939 |
| Total stock-based compensation expense | \$ 2,794 | \$ 3,449 | \$ 9,121 | \$ 10,137 |

6

Under SFAS 123(R), the fair value of each option award is estimated on the date of grant using the Black-Scholes option pricing model. We have segregated option awards into three homogenous groups, officers and directors, all other employees, and consultants, for purposes of determining fair values of options.

We determined weighted-average valuation assumptions separately for each of these groups as follows:

- **Volatility** - We estimated volatility using the historical share price performance over the expected life of the option up to the point where we have historical market data. As our options are not actively traded, implied volatility was not representative of our current volatility. We also considered other factors, such as our current clinical trials and other company activities, that may affect the volatility of our stock in the future, but determined that at this time historical volatility is more indicative of our expected future stock performance.
- **Expected term** - We worked with various historical data to determine the most applicable expected term for each option group. These data included: (1) for options exercised, term of the options from option grant date to exercise date; (2) for options cancelled, term of the options from grant date to cancellation date, excluding unvested option forfeitures; and (3) for options which remained outstanding at the balance sheet date, term of the options from grant date to the end of the reporting period, and the estimated remaining term of the options. The consideration and calculation of the above data gave us reasonable estimates of the expected term for each option group. We also considered the vesting schedules of the options granted and factors surrounding exercise behavior of our groups, our current market price and company activity that may affect our market price. In addition, we also considered the vesting schedules of the options, the optionee type (i.e., officers and directors, all other employees and consultants) and other factors that may affect the expected term of the option. For options granted to consultants, we use the contractual term of the option, which is generally ten years, for the initial valuation of the option and the remaining contractual term of the option for the succeeding years.
- **Risk-free interest rate** - The risk-free interest rate is based on U.S. Treasury constant maturity rates with similar terms to the expected term of the options for each option group.
- **Forfeiture rate** - We estimated the forfeiture rate using our historical experience with pre-vesting options. Our weighted-average forfeiture rate was approximately 7.2% as of September 30, 2007, as compared to 7.7% as of September 30, 2006. We review our forfeiture rates each quarter and make changes as factors affecting our forfeiture rate calculations and assumptions change.
- **Dividend yield** - The expected dividend yield is 0% as we have not paid and do not expect to pay dividends.

The following table summarizes the weighted-average assumptions relating to our employee options for the three and nine months ended September 30, 2007 and 2006:

| | Stock Option Plans Three Months Ended September 30, | | Stock Option Plans Nine Months Ended September 30, | |
|--------------------------|---|-------|--|-------|
| | 2007 | 2006 | 2007 | 2006 |
| Risk-free interest rate | 4.5% | 4.8% | 4.7% | 4.7% |
| Expected life (in years) | 4.0 | 4.0 | 4.1 | 4.4 |
| Dividend yield | 0.0% | 0.0% | 0.0% | 0.0% |
| Expected volatility | 71.1% | 90.0% | 79.2% | 95.7% |

Option exercise prices are set at not less than the closing price of our common stock on the trading day immediately preceding the date of grant, become exercisable at varying dates and generally expire ten years from the date of grant. At September 30, 2007, options to purchase 2,519,714 shares of common stock were available for future grant under our stock option plans.

7

Employee Stock Purchase Plan (ESPP)

The fair value of awards granted under our ESPP is estimated on the date of grant using the Black-Scholes option pricing model, which uses the weighted-average assumptions set forth in the table below. Our ESPP provides for a twenty-four month offering period comprised of four six-month purchase periods with a look-back option. A look-back option is a provision in our ESPP where eligible employees can purchase shares of our common stock at a price per share equal to the lesser of 85% of the fair market value on the first day of the offering period or 85% of the fair market value on the purchase date. The plan also includes a feature that provides for a new offering period to begin when the fair market value of our common stock on any purchase date during an offering period falls below the fair market value of our common stock on the first day of such offering period. Participants are automatically enrolled in the new offering. Expected volatilities are based on historical volatility of our stock. Expected term represents the purchase periods within our offering period. The risk-free rate for periods within the expected life is based on U.S. Treasury constant maturity rates. Stock-based compensation expense relating to our ESPP is recognized according to the FASB Technical Bulletin No. 97-1, "Accounting under Statement 123 for Certain Employee Stock Purchase Plans with a Look-back Option," or FTB 97-1. As of September 30, 2007, there were approximately 6,600 shares in reserve for future issuance under the ESPP. The following table summarizes the weighted-average assumptions relating to our ESPP for the nine months ended September 30, 2007 and 2006:

Employee Stock Purchase Plan

Nine Months Ended

September 30,

| | 2007 | 2006 |
|--------------------------|-------|--------|
| Risk-free interest rate | 4.7% | 4.6% |
| Expected life (in years) | 0.6 | 1.2 |
| Dividend yield | 0.0% | 0.0% |
| Expected volatility | 45.5% | 109.9% |

6. Revenue Recognition

We recognize revenue from our contract arrangements. Our revenue arrangements with multiple elements are evaluated under EITF No. 00-21, "Revenue Arrangements with Multiple Deliverables," and are divided into separate units of accounting if certain criteria are met, including whether the delivered element has stand-alone value to the customer and whether there is objective and reliable evidence of the fair value of the undelivered items. The consideration we receive is allocated among the separate units based on their respective fair values, and the applicable revenue recognition criteria is applied to each of the separate units. Advance payments received in excess of amounts earned are classified as deferred revenue until earned.

Non-refundable, up-front payments received in connection with research and development collaboration agreements, including technology access fees, are deferred and recognized on a straight-line basis over the relevant periods of continuing involvement, generally the research term. When a research term is not specified, we estimate the time it will take us to complete our deliverables under the contract and recognize the upfront fee using the straight-line method over that time period. We review our estimates every quarter for reasonableness.

Revenue related to collaborative research with our corporate collaborators is recognized as research services are performed over the related development funding periods for each contract. Under these agreements, we are required to perform research and development activities as specified in the applicable agreement. The payments received are not refundable and are generally based on a contractual cost per full-time equivalent employee working on the project. Research and development expenses under the collaborative research agreements, except for the Merck collaboration signed in November 2004 related to ubiquitin ligases, approximate or exceed the revenue recognized under such agreements over the term of the respective agreements. For the Merck collaboration, we recognized a pro-rata portion of the invoiced amounts for funding of our research scientists based on the headcount dedicated to the project for the quarter. When the research portion of the collaboration ended in May 2007, we recognized the full amount of the deferred revenue related to the contract as we had no further obligations. It is our policy to recognize revenue based on our level of effort expended, and that revenue recognized will not exceed amounts billable under the arrangement.

Revenue associated with at-risk milestones pursuant to collaborative agreements is recognized based upon the achievement of the milestones as set forth in the applicable agreement.

Royalties will be recognized as earned in accordance with the contract terms when the third party results are reliably measurable and collectibility is reasonably assured.

7. Cash, Cash Equivalents and Available-For-Sale Securities

Cash, cash equivalents and available-for-sale securities consist of the following (in thousands):

| | September 30, 2007 | December 31, 2006 |
|-------------------------------|-----------------------|----------------------|
| Checking account | \$ 1,083 | \$ 332 |
| Money market funds | 9,616 | 4,441 |
| Federal agency securities | 14,730 | 13,463 |
| Corporate bonds and notes | 87,071 | 86,235 |
| | <u>\$ 112,500</u> | <u>\$ 104,471</u> |
| Reported as: | | |
| Cash and cash equivalents | \$ 38,769 | \$ 47,727 |
| Available-for-sale securities | 73,731 | 56,744 |
| | <u>\$ 112,500</u> | <u>\$ 104,471</u> |

Available-for-sale securities consist of the following (in thousands):

| | Amortized Cost | Gross Unrealized Gains | Gross Unrealized Losses | Fair Value |
|---------------------------|-------------------|------------------------------|-------------------------------|------------------|
| September 30, 2007 | | | | |
| Federal agency securities | \$ 14,717 | \$ 13 | \$ — | \$ 14,730 |
| Corporate bonds and notes | 58,900 | 114 | (13) | 59,001 |
| Total | <u>\$ 73,617</u> | <u>\$ 127</u> | <u>\$ (13)</u> | <u>\$ 73,731</u> |
| December 31, 2006 | | | | |
| Federal agency securities | \$ 9,497 | \$ — | \$ (8) | \$ 9,489 |
| Corporate bonds and notes | 47,232 | 21 | — | 47,253 |
| Total | <u>\$ 56,729</u> | <u>\$ 21</u> | <u>\$ (8)</u> | <u>\$ 56,742</u> |

At September 30, 2007, the above available-for-sale securities had a weighted average maturity of approximately 131 days. Two securities with a combined fair market value of approximately \$4.2 million had maturities greater than 360 days.

The following table shows the fair values and gross unrealized losses of our investments in individual securities that are in an unrealized loss position, aggregated by investment category (in thousands):

| Fair Value | Unrealized Losses |
|------------|----------------------|
|------------|----------------------|

| | | |
|---------------------------|-------------------|--------------------------|
| September 30, 2007 | | |
| Federal agency securities | \$ — | \$ — |
| Corporate bonds and notes | 11,624 | (13) |
| Total | \$ 11,624 | \$ (13) |
| | <u>Fair Value</u> | <u>Unrealized Losses</u> |
| December 31, 2006 | | |
| Federal agency securities | \$ 9,474 | \$ (8) |
| Corporate bonds and notes | — | — |
| Total | \$ 9,474 | \$ (8) |

At September 30, 2007 and December 31, 2006, we had no investment that had been in a continuous unrealized loss position for more than twelve months.

As of September 30, 2007, a total of four individual securities were in an unrealized loss position for twelve months or less and deemed to be temporary. As of December 31, 2006, five individual securities were in an unrealized loss position for less than twelve months and deemed to be temporary.

Investment Grade Debt Securities. Our investments in investment grade debt securities consist primarily of investments in federal agency securities and corporate bonds and notes. The unrealized losses on our investments in investment grade debt securities were caused by interest rate increases. Due to the fact that the decline in market value is attributable to changes in interest rates and not credit quality, and because the severity and duration of the unrealized losses were not significant, we considered these unrealized losses to be temporary at September 30, 2007.

8. Income Taxes

We adopted SFAS Interpretation 48, *Accounting for Uncertainty in Income Taxes* ("FIN 48"), on January 1, 2007. We did not recognize any adjustment to the liability for uncertain tax positions nor did we have any unrecognized tax benefits and therefore did not record any adjustment to the beginning balance of retained earnings on the balance sheet.

We file income tax returns in the U.S. federal jurisdiction and in California, and the tax returns filed for the years 2002 through 2006 have not been examined and have not expired by the statute of limitations. Because of net operating loss and research credit carryovers, substantially all of the Company's tax years remain open to examination.

Our policy is that we recognize interest and penalties accrued on any unrecognized tax benefits as a component of income tax expense. As of the date of adoption of FIN 48, we did not have any accrued interest or penalties associated with any unrecognized tax benefits.

9. Equipment Lease Line

In June 2006, we obtained a new borrowing limit of \$1.5 million under our equipment lease line. This equipment lease line was originally scheduled to terminate in June 2007, however, the termination date was extended to December 2007 in June 2007. We have the ability to draw down on this line through the termination date and the repayment period will be for three years beginning on the date of each draw down, with the interest rate on the line fixed at each draw down. Each line has a bargain purchase buyout provision of \$101. During the nine months ended September 30, 2007, we drew down approximately \$640,000, which is included in our capital lease obligation on our balance sheet. Approximately \$446,000 remained available under the equipment lease line as of September 30, 2007.

10. Equity Financings

On May 8, 2007, we completed a public offering in which we sold 5,000,000 shares of common stock at a price of \$9.75 per share. We received net proceeds of approximately \$45.5 million after deducting commissions, underwriting discounts and offering costs. On June 1, 2007, we issued an additional 750,000 shares of our common stock at \$9.75 per share pursuant to the full exercise of the underwriters' overallotment option. We received net proceeds of approximately \$6.8 million after deducting commissions as a result of the exercise of the over-allotment option.

Report of Independent Registered Public Accounting Firm

The Board of Directors
Rigel Pharmaceuticals, Inc.

We have reviewed the accompanying condensed balance sheet of Rigel Pharmaceuticals, Inc. as of September 30, 2007, the related condensed statements of operations for the three-month and nine-month periods ended September 30, 2007 and 2006, and the condensed statements of cash flows for the nine-month periods ended September 30, 2007 and 2006. These interim financial statements are the responsibility of the Company's management.

We conducted our review in accordance with the standards of the Public Company Accounting Oversight Board (United States). A review of interim financial information consists principally of applying analytical procedures and making inquiries of persons responsible for financial and accounting matters. It is substantially less in scope than an audit conducted in accordance with the standards of the Public Company Accounting Oversight Board, the objective of which is the expression of an opinion regarding the financial statements taken as a whole. Accordingly, we do not express such an opinion.

Based on our review, we are not aware of any material modifications that should be made to the condensed interim financial statements referred to above for them to be in conformity with U.S. generally accepted accounting principles.

We have previously audited, in accordance with the standards of the Public Company Accounting Oversight Board (United States), the balance sheet of Rigel Pharmaceuticals, Inc. as of December 31, 2006, and the related statements of operations, stockholders' equity, and cash flows for the year then ended (not presented herein), and in our report dated March 6, 2007, we expressed an unqualified opinion on those financial statements and included an explanatory paragraph referencing Rigel Pharmaceuticals, Inc.'s change in method of accounting for stock-based compensation in 2006 and Note 1 to the financial statements. In our opinion, the information set forth in the accompanying balance sheet as of December 31, 2006, is fairly stated, in all material respects, in relation to the balance sheet from which it has been derived.

Item 2. Management's Discussion and Analysis of Financial Condition and Results of Operations

This discussion and analysis should be read in conjunction with our financial statements and accompanying notes included in this report and the audited financial statements and accompanying notes included in our Annual Report on Form 10-K for the year ended December 31, 2006. Operating results for the three and nine months ended September 30, 2007 are not necessarily indicative of results that may occur in future periods.

This report contains statements that involve expectations, plans or intentions. These statements are forward-looking and are subject to risks and uncertainties, so actual results may vary materially. We usually use words such as "may," "will," "should," "could," "expect," "plan," "anticipate," "believe," "estimate," "predict," "intend," or the negative of these terms or similar expressions to identify these forward-looking statements. These statements appear throughout this quarterly report on Form 10-Q and are statements regarding our current expectation, belief or intent, primarily with respect to our operations and related industry developments. Examples of these statements include, but are not limited to, statements regarding the following: our business and scientific strategies; the progress of our product development programs, including clinical testing; our corporate collaborations, including revenues that may be received from these collaborations; our drug discovery technologies; our research and development expenses; protection of our intellectual property; sufficiency of our cash resources; and our operations and legal risks. You should not place undue reliance on these forward-looking statements. Our actual results could differ materially from those anticipated in these forward-looking statements for many reasons, including as a result of the risks and uncertainties discussed in the "Risk Factors" in Item 1A of Part II of this quarterly report on Form 10-Q. Any forward-looking statement speaks only as of the date on which it is made, and we undertake no obligation to update any forward-looking statement to reflect events or circumstances after the date on which the statement is made or to reflect the occurrence of unanticipated events. New factors emerge from time to time, and it is not possible for us to predict which factors will arise. In addition, we cannot assess the impact of each factor on our business or the extent to which any factor, or combination of factors, may cause actual results to differ materially from those contained in any forward-looking statements.

Overview

We are a clinical-stage drug development company that discovers and develops novel, small-molecule drugs for the treatment of inflammatory/autoimmune diseases and cancer, as well as viral and metabolic diseases. Our goal is to file one new investigative new drug, or IND, application in a significant indication each year. We have achieved this goal each year beginning in 2002. Our pioneering research focuses on intracellular signaling pathways and related targets that are critical to disease mechanisms. Our productivity has resulted in strategic collaborations with large pharmaceutical partners to develop and market our product candidates. We have internal product development programs in inflammatory/autoimmune diseases, such as rheumatoid arthritis and thrombocytopenia, and cancer, as well as partnered product development programs relating to asthma and cancer.

Rigel has the following product candidates in development:

- *R788—Product Candidate for Rheumatoid Arthritis (RA).*R788 is our lead product candidate. It has a novel mechanism of action-blocking IgG receptor signaling in macrophages and B-cells. Previously, we studied R788 in a Phase 1 single center, double-blind, randomized, placebo-controlled clinical trial evaluating the safety and pharmacokinetics of escalating single and multiple doses of R788. We also completed a clinical trial of R788 to evaluate its safety and pharmacokinetics in combination with methotrexate, a commonly prescribed treatment for RA. Results of this clinical trial suggested that there is not an adverse interaction between R788 and methotrexate. In September 2006, we initiated a 90-day Phase 2, multicenter, ascending dose, randomized, double-blind, placebo-controlled, dose ranging clinical trial to evaluate up to three doses of R788 in RA patients who were taking methotrexate. We have completed enrollment and dosing in all three groups and expect to receive initial results by the end of 2007.
- *R788—Product Candidate for Immune Thrombocytopenic Purpura (ITP).*Platelet destruction from ITP is mediated by IgG signaling, and R788 is a potent inhibitor of IgG signaling. In preclinical studies, R788 was shown to improve thrombocytopenia in an ITP mouse model. We initiated an exploratory Phase 2 clinical trial of R788 in January 2007 to evaluate its safety and efficacy in chronic ITP patients. In this clinical trial, R788 was orally administered in varying doses for 30 or more days. We have observed encouraging preliminary drug activity in raising platelet counts in a number of the patients studied to date. Based on these initial results, we submitted an amended protocol to the Food and Drug Administration, or FDA, and expanded this trial to allow for a greater range of dose regimens and to continue to treat those patients that are responding beyond 90 days. We expect to receive initial results from this clinical trial by the end of 2007.

12

- *R788—Product Candidate for B-Cell Lymphoma.*Research has shown that overactivity of the signaling enzyme spleen tyrosine kinase, or Syk, is an essential mechanism in several types of B-cell lymphoma survival and that R788 inhibits the growth of B-cell lymphoma driven by Syk overactivity. We filed an IND for this indication in December 2006. In April 2007, we began enrolling patients in a multicenter, open label Phase 1/2 clinical trial to evaluate the safety and efficacy of R788 for the treatment of patients with B-cell lymphoma. The clinical trial is expected to enroll a total of approximately 60 patients at 10 major treatment centers in the United States and will focus on certain types of B-cell lymphomas. We expect to receive initial results from this clinical trial in 2008.
- *R763—Product Candidate for Oncology.* We have identified R763 as a lead compound in our aurora kinase inhibition program targeting cancer cell proliferation. R763 is a potent, highly-selective, small-molecule inhibitor of aurora kinase. In October 2005, we signed a licensing agreement with Merck Serono that granted to Merck Serono an exclusive license to develop and commercialize inhibitors in our aurora kinase program, including R763. Under the agreement, we were responsible for filing an IND for R763, which we filed with the FDA in December 2005, and were allowed to proceed under the IND in January 2006. In October 2007, Merck Serono elected to secure Japanese rights for R763, which will result in a \$3.0 million milestone payment to Rigel. Merck Serono is responsible for the further development and commercialization of R763. In September 2006, Merck Serono initiated a Phase 1 multicenter clinical trial to evaluate R763 for the treatment of patients with refractory solid tumors. In February 2007, Merck Serono began an additional Phase 1 clinical trial evaluating R763 on patients with hematological malignancies. In July 2007, Merck Serono began a Phase 1 clinical trial evaluating R763 in combination with a standard of care therapy in patients with advanced malignancies. We expect initial results from these clinical trials in 2008.

In the first quarter of 2005, we announced that we entered into a collaborative research and license agreement with Pfizer for the development of inhaled products for the treatment of allergic asthma and other respiratory diseases, such as chronic obstructive pulmonary disease, or COPD. The collaboration is focused on our preclinical small molecule compounds, which inhibit IgE receptor signaling in respiratory tract mast cells by blocking the signaling enzyme Syk, a novel drug target for respiratory diseases. Mast cells play important roles in both early and late phase allergic reactions, and Syk inhibitors could prevent both phases. In May 2006, Pfizer nominated R343 to commence advanced preclinical development in allergic asthma. We expect R343 to be delivered using Pfizer's dry powder inhaler and to enter into a Phase 1 clinical trial by the end of 2007.

In October 2006, we announced that we selected R348, an orally-available, potent and selective inhibitor of Janus Kinase 3, or JAK3, to enter preclinical studies to support an IND application. We plan to initiate a Phase 1 clinical trial of R348 by the end of 2007. We are also studying Axl and JAK2 inhibition in oncology. In addition to the aforementioned product candidates, we have ongoing research programs involving back-up candidates for the product candidates set forth above and drug discovery

efforts in our immunology/inflammation, virology, oncology, and metabolism programs.

Corporate Collaborations

We conduct research and development programs in connection with our corporate collaborations. As of September 30, 2007, we had collaborations with the following six major pharmaceutical/biotech companies: one with Janssen Pharmaceutica N.V., a division of Johnson & Johnson, relating to oncology therapeutics and diagnostics; two with Pfizer Inc., one initiated in 1999 in immunology and the other in 2005, relating to intrapulmonary asthma and allergy therapeutics; one with Novartis Pharma AG with respect to four different programs relating to immunology, oncology and chronic bronchitis; one with Daiichi Pharmaceuticals Co., Ltd. relating to oncology; one with Merck, also relating to oncology; and one with Merck Serono relating to oncology. All of these collaborations, other than the recent Pfizer and Merck Serono collaborations, had a research phase during which we received funding based on the level of headcount allocated to the program. None of these programs currently provides regular research reimbursement. The research phase of the Merck collaboration ended in May 2007. In all of these collaborations, if certain conditions are met, we are entitled to receive future milestone payments and royalties. We cannot guarantee that these conditions will be met or that research and development efforts will be successful. As a result, we may not receive any further milestone payments or royalties under these agreements.

We are exploring new opportunities with existing and potential collaborators. Our earliest partnerships focused on the early stages of drug discovery, specifically on target discovery and validation. Our collaborations with Daiichi and Merck are both later stage, focusing on drug discovery and development. Our 2005 collaboration with Pfizer covers a compound that Pfizer is expected to take into the clinic by the end of 2007, while our 2005 collaboration with Merck Serono covers a compound that began clinical trials in September 2006. We currently anticipate that in order to support our current research programs, we will need to self-fund our own research programs, which involves an increased rate of spending on later stages

of development prior to partnering with collaborative partners. Therefore, it is expected that future collaborations may have an expanded focus and could include high throughput screening, combinatorial and medicinal chemistry, preclinical evaluations and/or clinical development of compounds we have discovered. In addition, we believe these future collaborations could be structured to consist of upfront payments, the purchase of our common stock, milestone payments upon meeting certain conditions, research or development reimbursement payments and/or royalties upon commercialization of products resulting from the collaboration.

Equity Financings

On May 8, 2007, we completed a public offering in which we sold 5,000,000 shares of common stock at a price of \$9.75 per share. We received net proceeds of approximately \$45.5 million after deducting commissions, underwriting discounts and offering costs. On June 1, 2007, we issued an additional 750,000 shares of our common stock at \$9.75 per share pursuant to the full exercise of the underwriters' overallotment option. We received net proceeds of approximately \$6.8 million after deducting commissions as a result of the exercise of the over-allotment option.

Critical Accounting Policies and the Use of Estimates

Our discussion and analysis of our financial condition and results of operations is based upon our financial statements, which have been prepared in accordance with U.S. generally accepted accounting principles. The preparation of these financial statements requires us to make estimates and judgments that affect the reported amounts of assets, liabilities, revenues and expenses, and related disclosure of contingent assets and liabilities. We evaluate our estimates, including those related to terms of the research collaborations (i.e., amortization of upfront fees and certain milestone payments), investments, stock compensation, impairment issues, the estimated useful life of assets and contingencies, on an on-going basis. Our estimates are based on historical experience and on various other assumptions that we believe to be reasonable under the circumstances, the results of which form the basis for making judgments about the carrying values of assets and liabilities that are not readily apparent from other sources. Actual results may differ from these estimates under different assumptions or conditions. There have been no significant changes in our critical accounting policies during the period ended September 30, 2007 as compared to those previously disclosed in our Annual Report on Form 10-K for the year ended December 31, 2006.

Recent Accounting Pronouncements

On June 27, 2007, the Financial Accounting Standards Board ("FASB") ratified the consensus reached by the Emerging Issues Task Force ("EITF") on EITF Issue No. 07-3, "*Accounting for Advance Payments for Goods or Services to Be Used in Future Research and Development Activities*" ("EITF 07-3"). EITF 07-3 requires companies to defer and capitalize prepaid, nonrefundable research and development payments to third parties, and amortize them over the period that the research and development activities are performed or the services are provided, subject to an assessment of recoverability. EITF 07-3 is effective for new contracts entered into during fiscal years beginning after December 15, 2007, including interim periods within those fiscal years. We are currently evaluating the impact of adopting EITF 07-3 on our financial statements and can not estimate the impact of adoption at this time.

Revenue Recognition

Our revenue from contractual arrangements with multiple elements are evaluated under EITF No. 00-21, "*Revenue Arrangements with Multiple Deliverables*," and are divided into separate units of accounting if certain criteria are met, including whether the delivered element has stand-alone value to the customer and whether there is objective and reliable evidence of the fair value of the undelivered items. The consideration we receive is allocated among the separate units based on their respective fair values, and the applicable revenue recognition criteria are applied to each of the separate units. Advance payments received in excess of amounts earned are classified as deferred revenue until earned.

Non-refundable, up-front payments received in connection with research and development collaboration agreements, including technology access fees, are deferred and recognized on a straight-line basis over the relevant periods of continuing involvement, generally the research term. When a research term is not specified, we estimate the time it will take us to complete our deliverables under the contract and recognize the upfront fee using the straight-line method over that time period. We review our estimates every quarter for reasonableness.

Revenue related to collaborative research with our corporate collaborators is recognized as research services are performed over the related development funding periods for each contract. Under these agreements, we are required to perform research and development activities as specified in the applicable agreement. The payments received are not refundable and are generally based on a contractual cost per full-time equivalent employee working on the project. Research and development expenses under the collaborative research agreements, except for the Merck collaboration signed in November 2004 related to ubiquitin ligases, approximate or exceed the revenue recognized under such agreements over the term of the respective agreements. For the Merck collaboration, we recognized a pro-rata portion of the invoiced amounts for funding of our research scientists based on the headcount dedicated to the project for the quarter. When the research portion of the collaboration ended in May 2007, we recognized the full amount of the deferred revenue related to the contract as we had no further obligations. It is our policy to recognize revenue based on our level of effort expended and that revenue recognized will not exceed amounts billable under the arrangement.

Revenue associated with at-risk milestones pursuant to collaborative agreements is recognized based upon the achievement of the milestones as set forth in the applicable agreement.

Royalties will be recognized as earned in accordance with the contract terms when the third party results are reliably measurable and collectibility is reasonably assured.

Stock-based Compensation

The determination of the fair value of share-based payment awards on the date of grant using the Black-Scholes option-pricing model is affected by our stock price as well as assumptions regarding a number of complex and subjective variables. These variables include, but are not limited to, volatility, expected term, risk-free interest rate and dividends. We estimate volatility using our historical share price performance over the expected life of the option up to the point where we have historical market data. For expected term, among other things, we take into consideration our historical data of options exercised, cancelled and expired. The risk-free rate is based on the U.S. Treasury constant maturity rate. We have not paid and do not expect to pay dividends. In order to calculate share-based expense, we also estimate the forfeiture rate using our historical experience with options that cancel before they vest.

If these factors change and we employ different assumptions in the application of SFAS 123(R) in future periods, the compensation expense that we record under SFAS 123(R) may differ significantly from what we have recorded in the current period. Therefore, we believe it is important to be aware of the high degree of subjectivity involved when using option pricing models to estimate share-based compensation under SFAS 123(R).

Results of Operations

Three and Nine Months Ended September 30, 2007 and 2006

Revenues

| | Three months ended September 30, | | | Aggregate Change | Nine months ended September 30, | | | Aggregate Change |
|--------------------------|-------------------------------------|----------|------------|------------------|------------------------------------|-------------|--|------------------|
| | 2007 | 2006 | | | 2007 | 2006 | | |
| | (in thousands) | | | | | | | |
| <i>Contract revenues</i> | \$ — | \$ 6,127 | \$ (6,127) | \$ 4,600 | \$ 30,345 | \$ (25,745) | | |

Contract revenues by collaborator were:

| | Three months ended September 30, | | | Aggregate Change | Nine months ended September 30, | | | Aggregate Change |
|---------------------|-------------------------------------|----------|------------|------------------|------------------------------------|-------------|--|------------------|
| | 2007 | 2006 | | | 2007 | 2006 | | |
| | (in thousands) | | | | | | | |
| <i>Merck Serono</i> | \$ — | \$ 3,000 | \$ (3,000) | \$ — | \$ 15,527 | \$ (15,527) | | |
| <i>Merck</i> | — | 1,877 | (1,877) | 3,841 | 6,068 | (2,227) | | |
| <i>Pfizer</i> | — | 1,250 | (1,250) | 759 | 8,750 | (7,991) | | |
| <i>Total</i> | \$ — | \$ 6,127 | \$ (6,127) | \$ 4,600 | \$ 30,345 | \$ (25,745) | | |

There were no contract revenues reported during the three months ended September 30, 2007. Contract revenues from collaborations for the three months ended September 2006 and for the nine months ended September 30, 2007 and 2006 consisted primarily of research support and amortization of upfront fees and milestone payments from our collaborations.

Contract revenues for the three months ended September 30, 2006 included a \$3.0 million milestone payment from Merck Serono upon the initiation of a Phase 1 study for R763. Contract revenues for the nine months ended September 30, 2007 included the recognition of approximately \$1.0 million of deferred revenue from the Merck collaboration due to the ending of the research phase of the collaboration in May 2007. Contract revenues for the nine months ended September 30, 2006 included a \$5.0 million milestone payment and \$3.8 million amortization of license payments from Pfizer, as well as \$7.5 million related to the amortization of upfront payments and \$8.0 million milestone payment from Merck Serono. We have no deferred revenue as of September 30, 2007. Our potential revenues for the remainder of 2007 may include certain milestone payments from Pfizer and Merck Serono.

Research and Development Expenses

| | Three months ended September 30, | | | Aggregate Change | Nine months ended September 30, | | | Aggregate Change |
|---|-------------------------------------|-----------|----------|------------------|------------------------------------|----------|--|------------------|
| | 2007 | 2006 | | | 2007 | 2006 | | |
| | (in thousands) | | | | | | | |
| <i>Research and development expenses</i> | \$ 15,372 | \$ 14,050 | \$ 1,322 | \$ 48,404 | \$ 41,966 | \$ 6,438 | | |
| <i>Stock-based compensation expense included in research and development expenses</i> | \$ 1,294 | \$ 1,734 | \$ (440) | \$ 4,212 | \$ 5,198 | \$ (986) | | |

The increase in research and development expenses for the three and nine months ended September 30, 2007, as compared to the same periods in 2006, was primarily due to an increase in preclinical and clinical costs attributable to running our ongoing Phase 2 clinical trials of R788 in the three different indications. We expect that our research and development expenses will continue at this level for the remainder of 2007 as we continue our Phase 2 clinical trials of R788 for RA, ITP and lymphoma and initiate clinical trials for our Jak3 inhibitor, R348.

The scope and magnitude of future research and development expenses are difficult to predict given the number of studies that we will need to conduct for any of our potential products, as well as our limited capital resources. In general, biopharmaceutical development involves a series of steps, beginning with identification of a potential target and including, among others, proof of concept in animals and Phase 1, 2 and 3 clinical studies in humans. Each of these steps is typically more expensive than the previous step. Success in development, therefore, results in increasing expenditures. Our research and development expenditures currently include costs for scientific personnel, supplies, equipment, consultants, sponsored research, allocated facility costs, costs related to preclinical and clinical trials, and stock-based compensation.

General and Administrative Expenses

| | Three months ended September 30, | | | Aggregate Change | Nine months ended September 30, | | | Aggregate Change |
|--|-------------------------------------|----------|--------|------------------|------------------------------------|--------|--|------------------|
| | 2007 | 2006 | | | 2007 | 2006 | | |
| | (in thousands) | | | | | | | |
| <i>General and administrative expenses</i> | \$ 5,054 | \$ 4,804 | \$ 250 | \$ 15,466 | \$ 14,532 | \$ 934 | | |

Stock-based compensation expense included in general and administrative expenses

| | | | | | | | | | | | |
|----|-------|----|-------|----|-------|----|-------|----|-------|----|------|
| \$ | 1,500 | \$ | 1,715 | \$ | (215) | \$ | 4,909 | \$ | 4,939 | \$ | (30) |
|----|-------|----|-------|----|-------|----|-------|----|-------|----|------|

The increase in general and administrative expenses for the three and nine months ended September 30, 2007, as compared to the same periods in 2006, is primarily attributable to increased legal costs associated with the expansion of our patent estate.

Stock-Based Compensation

| | Three months ended September 30, | | | Nine months ended September 30, | | |
|---|-------------------------------------|-----------------|------------------|------------------------------------|------------------|-------------------|
| | 2007 | 2006 | Aggregate Change | 2007 | 2006 | Aggregate Change |
| | (in thousands) | | | | | |
| Stock-based compensation expense from: | | | | | | |
| <i>Officer, director and employee options</i> | \$ 2,739 | \$ 3,438 | \$ (699) | \$ 9,011 | \$ 9,942 | \$ (931) |
| <i>Consultant options</i> | 55 | 11 | 44 | 110 | 195 | (85) |
| <i>Other employee options</i> | — | — | — | — | — | — |
| Total | \$ 2,794 | \$ 3,449 | \$ (655) | \$ 9,121 | \$ 10,137 | \$ (1,016) |

The decrease in stock-based compensation expense for the three months ended September 30, 2007, as compared to the same period in 2006, is due to fewer unvested options granted prior to 2006 for which the expense is recognized using the accelerated method. The decrease in stock-based compensation expense for the nine months ended September 30, 2007, as compared to the same period in 2006, is due to the same reason, partially offset by the recording of a \$924,000 adjustment to our stock compensation expense in the second quarter of 2007. The \$924,000 adjustment corrected the misapplication of our estimated forfeiture rate to stock-based compensation expense in 2006. In 2006, our quarterly reported amounts of stock compensation expense were inadvertently reduced by the effect of the expected forfeitures which had already been taken into account in the preceding quarters. The impact of this adjustment was not material to 2006 and prior reporting periods.

Interest Income

| | Three months ended September 30, | | | Nine months ended September 30, | | |
|------------------------|-------------------------------------|----------|------------------|------------------------------------|----------|------------------|
| | 2007 | 2006 | Aggregate Change | 2007 | 2006 | Aggregate Change |
| | (in thousands) | | | | | |
| <i>Interest income</i> | \$ 1,538 | \$ 1,447 | \$ 91 | \$ 4,172 | \$ 4,287 | \$ (115) |

Interest income results from our interest-bearing cash and investment balances. The amounts were relatively flat for the periods presented.

Interest Expense

| | Three months ended September 30, | | | Nine months ended September 30, | | |
|-------------------------|-------------------------------------|--------|------------------|------------------------------------|--------|------------------|
| | 2007 | 2006 | Aggregate Change | 2007 | 2006 | Aggregate Change |
| | (in thousands) | | | | | |
| <i>Interest expense</i> | \$ 56 | \$ 102 | \$ (46) | \$ 172 | \$ 315 | \$ (143) |

Interest expense is the result of our capital lease obligations associated with fixed asset acquisitions. Interest expense decreased for the three and nine months ended September 30, 2007, as compared to the same periods in 2006, due to the decrease in capital lease obligations outstanding during those periods.

Liquidity and Capital Resources

Cash Requirements

We have financed our operations from inception primarily through sales of equity securities, contract payments under our collaboration agreements and equipment financing arrangements. We have consumed substantial amounts of capital to date, and our operating expenditures are expected to increase over the next several years.

We believe that our existing capital resources and anticipated proceeds from current collaborations will be sufficient to support our current operating plan through at least the next 12 months. In the foreseeable future, our operations will require significant additional funding, in large part due to our research and development expenses, future preclinical and clinical testing costs and the absence of any meaningful revenues from product sales. The amount of future funds needed will depend largely on the timing and structure of potential future collaborations. We do not know whether additional financing will be available when needed, or that, if available, we will obtain financing on terms favorable to our stockholders or us.

To the extent we raise additional capital by issuing equity securities, our stockholders could at that time experience substantial dilution. To the extent that we raise additional funds through collaboration and licensing arrangements, we may be required to relinquish some rights to our technologies or product candidates, or grant licenses on terms that are not favorable to us.

Our future funding requirements will depend upon many factors, including, but not limited to:

- the progress and success of clinical trials and preclinical activities (including studies and manufacture of materials) of our product candidates conducted by us or our collaborative partners or licensees;
- our ability to establish new collaborations and to maintain our existing collaboration relationships;

- the progress of research programs carried out by us;
- any changes in the breadth of our research and development programs;
- our ability to meet the milestones identified in our collaborative agreements that trigger payments;
- the progress of the research and development efforts of our collaborative partners or licensees;
- our ability to acquire or license other technologies or compounds that we seek to pursue;
- our ability to manage our growth;
- competing technological and market developments;
- the costs and timing of obtaining, enforcing and defending our patent and intellectual property rights;
- the costs and timing of regulatory approvals and filings by us and our collaborators; and
- expenses associated with unforeseen litigation.

Insufficient funds may require us to delay, scale back or eliminate some or all of our research or development programs, to lose rights under existing licenses or to relinquish greater or all rights to product candidates at an earlier stage of development or on less favorable terms than we would otherwise choose or may adversely affect our ability to operate as a going concern. As of September 30, 2007, we had approximately \$112.5 million in cash, cash equivalents and available-for-sale securities, as compared to \$104.5 million as of December 31, 2006, an increase of approximately \$8.0 million. The increase was primarily attributable to net proceeds of approximately \$52.3 million from our public offering in the second quarter of 2007, offset by operating spending for the nine months ended September 30, 2007. For the three and nine months ended September 30, 2007 and 2006, we maintained an investment portfolio primarily in money market funds, federal agency securities and corporate bonds and notes. Cash in excess of immediate requirements is invested with regard to liquidity and capital preservation. Wherever possible, we seek to minimize the potential effects of concentration and degrees of risk.

Contractual Obligations

As of September 30, 2007, we had the following contractual commitments (by fiscal year):

| | Total | 2007 | 2008-2010 | 2011-2013 | 2014-2018 |
|------------------------------|----------------|----------|-----------|-----------|-----------|
| | (in thousands) | | | | |
| Capital lease obligations(1) | \$ 2,021 | \$ 256 | \$ 1,765 | \$ — | \$ — |
| Facilities lease | 152,894 | 1,591 | 44,988 | 44,001 | 62,314 |
| Total | \$ 154,915 | \$ 1,847 | \$ 46,753 | \$ 44,001 | \$ 62,314 |

(1) As of September 30, 2007, we had approximately \$2.0 million in capital lease obligations (including the interest portion) associated with our equipment additions. All existing capital lease agreements as of September 30, 2007 are secured by the equipment financed, bearing interest at rates between 9.2% and 12.2% and are due in monthly installments through 2010.

Item 3. Quantitative and Qualitative Disclosures About Market Risk

The primary objective of our investment activities is to preserve principal while at the same time maximizing the income we receive from our investments without significantly increasing risk. Some of the securities in which we invest may have market risk. This means that a change in prevailing interest rates may cause the fair value amount of the investment to fluctuate. For example, if we hold a security that was issued with a fixed interest rate at the then-prevailing rate and the prevailing interest rate later rises, the market value amount of our investment will decline. To minimize this risk in the future, we intend to maintain our portfolio of cash equivalents and available-for-sale securities in a variety of securities, including money market funds and government and non-government debt securities. For the three and nine months ended September 30, 2007 and 2006, we maintained an investment portfolio primarily in money market funds, federal agency securities and corporate bonds and notes. Due to the short-term nature of the majority of these investments, we believe we do not have a material exposure to interest rate risk arising from our investments. Therefore, no quantitative tabular disclosure is provided.

We operate primarily in the United States, and all funding activities with our collaborators to date have been made in U.S. dollars. Accordingly, we have had minimal exposure to foreign currency rate fluctuations.

Item 4. Controls and Procedures

Evaluation of Disclosure Controls and Procedures. Based on our management's evaluation (with the participation of our chief executive officer and chief financial officer), our chief executive officer and chief financial officer have concluded that our disclosure controls and procedures (as defined in Rules 13a-15(e) and 15d-15(e) under the Securities Exchange Act of 1934, as amended), were effective as of September 30, 2007.

Changes in Internal Controls. There were no changes in our internal controls over financial reporting during the quarter ended September 30, 2007 that have materially affected, or are reasonably likely to materially affect, our internal control over financial reporting.

Limitations on the Effectiveness of Controls. A control system, no matter how well conceived and operated, can provide only reasonable, not absolute, assurance that the objectives of the controls are met. Because of the inherent limitations in all control systems, no evaluation of controls can provide absolute assurance that all control issues, if any, within a company have been detected. Accordingly, our disclosure controls and procedures are designed to provide reasonable, not absolute, assurance that the objectives of our disclosure control system are met and, as set forth above, our chief executive officer and chief financial officer have concluded, based on their evaluation as of the end of the period covered by this quarterly report on Form 10-Q, that our disclosure controls and procedures were sufficiently effective to provide reasonable assurance that the objectives of our disclosure control system were met.

PART II. OTHER INFORMATION

Item 1A. Risk Factors

In evaluating our business, you should carefully consider the following risks, as well as the other information contained in our Quarterly Report on Form 10-Q. If any of the following risks actually occurs, our business could be harmed. The risks and uncertainties described below are not the only ones facing us. Additional risks and uncertainties not presently known to us, or that we currently see as immaterial, may also harm our business.

We have marked with an asterisk () those risk factors below that reflect material changes from the risk factors included in our Annual Report on Form 10-K filed with the Securities and Exchange Commission on March 8, 2007.*

We will need additional capital in the future to sufficiently fund our operations and research.*

We have consumed substantial amounts of capital to date, and operating expenditures are expected to increase over the next several years as we expand our research and development activities. We believe that our existing capital resources and anticipated proceeds from current collaborations will be sufficient to support our current operating plan through at least the next 12 months. In the foreseeable future, our operations will require significant additional funding in large part due to our research and development expenses, future preclinical and clinical-testing costs, and the absence of any meaningful revenues. The amount of future funds needed will depend largely on the timing and structure of potential future collaborations. We do not know whether additional financing will be available when needed, or that, if available, we will obtain financing on terms favorable to our stockholders or us. As of September 30, 2007 and December 31, 2006, our cash, cash equivalents and available-for-sale securities were \$112.5 million and \$104.5 million, respectively.

19

To the extent we raise additional capital by issuing equity securities, our stockholders could at that time experience substantial dilution. To the extent that we raise additional funds through collaboration and licensing arrangements, we may be required to relinquish some rights to our technologies or product candidates, or grant licenses on terms that are not favorable to us.

Our future funding requirements will depend on many uncertain factors.

Our future funding requirements will depend upon many factors, including, but not limited to:

- the progress and success of clinical trials and preclinical activities (including studies and manufacture of materials) of our product candidates conducted by us or our collaborative partners or licensees;
- our ability to establish new collaborations and to maintain our existing collaboration partnerships;
- the progress of research programs carried out by us;
- any changes in the breadth of our research and development programs;
- our ability to meet the milestones identified in our collaborative agreements that trigger payments;
- the progress of the research and development efforts of our collaborative partners;
- our ability to acquire or license other technologies or compounds that we seek to pursue;
- our ability to manage our growth;
- competing technological and market developments;
- the costs and timing of obtaining, enforcing and defending our patent and intellectual property rights;
- the costs and timing of regulatory approvals and filings by us and our collaborators; and
- expenses associated with unforeseen litigation.

Insufficient funds may require us to delay, scale back or eliminate some or all of our research or development programs, to lose rights under existing licenses or to relinquish greater or all rights to product candidates at an earlier stage of development or on less favorable terms than we would otherwise choose or may adversely affect our ability to operate as a going concern.

Our success as a company is uncertain due to our history of operating losses and the uncertainty of future profitability.*

Due in large part to the significant research and development expenditures required to identify and validate new product candidates and pursue our development efforts, we have not been profitable and have incurred operating losses since we were incorporated in June 1996. The extent of our future losses and the timing of potential profitability are highly uncertain, and we may never achieve profitable operations. We incurred net losses of approximately \$18.9 million and \$55.3 million for the three and nine months ended September 30, 2007, \$37.6 million for the year ended December 31, 2006 and \$45.3 million for the year ended December 31, 2005. Currently, our revenues are generated solely from payments pursuant to our collaboration agreements and licenses and are insufficient to generate profitable operations. As of September 30, 2007, we had an accumulated deficit of approximately \$350.4 million. We expect to incur losses for at least the next several years and expect that these losses could increase as we expand our research and development activities and incur significant clinical and testing costs.

20

There is a high risk that drug discovery and development efforts might not successfully generate good product candidates. *

At the present time, the majority of our operations are in various stages of drug identification and development. We currently have two product compounds in the clinical testing stage: one with indications for RA, ITP and B-Cell lymphoma, which is proprietary to our company, and the other with three indications for oncology, which is subject to a collaboration agreement with Merck Serono. In our industry, it is statistically unlikely that the limited number of compounds that we have identified as potential product candidates will actually lead to successful product development efforts, and we do not expect any drugs resulting from our research to be commercially available for

several years, if at all. Our compounds in clinical trials and our future leads for potential drug compounds are subject to the risks and failures inherent in the development of pharmaceutical products. These risks include, but are not limited to, the inherent difficulty in selecting the right drug and drug target and avoiding unwanted side effects as well as unanticipated problems relating to product development, testing, regulatory compliance, manufacturing, competition and costs and expenses that may exceed current estimates. The results of preliminary studies do not necessarily predict clinical or commercial success, and larger later-stage clinical trials may fail to confirm the results observed in the preliminary studies. With respect to our own compounds in development, we have established anticipated timelines with respect to the initiation or completion of clinical studies based on existing knowledge of the compound. However, we cannot provide assurance that we will meet any of these timelines for clinical development.

Because of the uncertainty of whether the accumulated preclinical evidence (pharmacokinetic, pharmacodynamic, safety and/or other factors) or early clinical results will be observed in later clinical trials, we can make no assurances regarding the likely results from our future clinical trials or the impact of those results on our business.

We might not be able to commercialize our product candidates successfully if problems arise in the clinical testing and approval process.

Commercialization of our product candidates depends upon successful completion of preclinical studies and clinical trials. Preclinical testing and clinical development are long, expensive and uncertain processes. We do not know whether we, or any of our collaborative partners, will be permitted to undertake clinical trials of potential products beyond the trials already concluded and the trials currently in process. It will take us or our collaborative partners several years to complete any such testing, and failure can occur at any stage of testing. Interim results of trials do not necessarily predict final results, and acceptable results in early trials may not be repeated in later trials. A number of companies in the pharmaceutical industry, including biotechnology companies, have suffered significant setbacks in advanced clinical trials, even after achieving promising results in earlier trials. Moreover, we or our collaborative partners or regulators may decide to discontinue development of any or all of these projects at any time for commercial, scientific or other reasons.

Delays in clinical testing could result in increased costs to us.

Significant delays in clinical testing could materially impact our product development costs and timing. We do not know whether planned clinical trials will begin on time, will need to be halted or revamped or will be completed on schedule, or at all. Clinical trials can be delayed for a variety of reasons, including delays in obtaining regulatory approval to commence a study, delays from scale up, delays in reaching agreement on acceptable clinical study agreement terms with prospective clinical sites, delays in obtaining institutional review board approval to conduct a study at a prospective clinical site or delays in recruiting subjects to participate in a study.

In addition, we typically rely on third-party clinical investigators to conduct our clinical trials and other third-party organizations to oversee the operations of such trials and to perform data collection and analysis. As a result, we may face additional delaying factors outside our control if these parties do not perform their obligations in a timely fashion. While we have not yet experienced delays that have materially impacted our clinical trials or product development costs, delays of this sort could occur for the reasons identified above or other reasons. If we have delays in testing or approvals, our product development costs will increase. For example, we may need to make additional payments to third-party investigators and organizations to retain their services or we may need to pay recruitment incentives. If the delays are significant, our financial results and the commercial prospects for our product candidates will be harmed, and our ability to become profitable will be delayed.

We lack the capability to manufacture compounds for development and rely on third parties to manufacture our product candidates, and we may be unable to obtain required material in a timely manner, at an acceptable cost or at a quality level required to receive regulatory approval.*

We currently do not have manufacturing capabilities or experience necessary to produce our product candidate R788. We rely on a single manufacturer for the R788 product for clinical trials. We will rely on manufacturers to deliver materials on a timely basis and to comply with applicable regulatory requirements, including the FDA's current Good Manufacturing Practices, or GMP. These outsourcing efforts with respect to manufacturing preclinical and clinical supplies will result in a dependence on our suppliers to timely manufacture and deliver sufficient quantities of materials produced under GMP conditions to enable us to conduct planned preclinical studies, clinical trials and, if possible, to bring products to market in a timely manner.

Our current and anticipated future dependence upon these third-party manufacturers may adversely affect our ability to develop and commercialize product candidates on a timely and competitive basis. These manufacturers may not be able to produce material on a timely basis or manufacture material at the quality level or in the quantity required to meet our development timelines and applicable regulatory requirements. We may not be able to maintain or renew our existing third-party manufacturing arrangements, or enter into new arrangements, on acceptable terms, or at all. Our third-party manufacturers could terminate or decline to renew our manufacturing arrangements based on their own business priorities, at a time that is costly or inconvenient for us. If we are unable to contract for the production of materials in sufficient quantity and of sufficient quality on acceptable terms, our planned clinical trials may be significantly delayed. Manufacturing delays could postpone the filing of our IND applications and/or the initiation of clinical trials that we have currently planned.

Our third-party manufacturers may not be able to comply with the GMP regulations, other applicable FDA regulatory requirements or similar regulations applicable outside of the United States. Additionally, if we are required to enter into new supply arrangements, we may not be able to obtain approval from the FDA of any alternate supplier in a timely manner, or at all, which could delay or prevent the clinical development and commercialization of any related product candidates. Failure of our third-party manufacturers or us to obtain approval from the FDA or to comply with applicable regulations could result in sanctions being imposed on us, including fines, civil penalties, delays in or failure to grant marketing approval of our product candidates, injunctions, delays, suspension or withdrawal of approvals, license revocation, seizures or recalls of products and compounds, operating restrictions and criminal prosecutions, any of which could significantly and adversely affect our business.

Because most of our expected future revenues are contingent upon collaborative and license agreements, we might not meet our strategic objectives.

Our ability to generate revenue in the near term depends on our ability to enter into additional collaborative agreements with third parties and to maintain the agreements we currently have in place. Our ability to enter into new collaborations and the revenue, if any, that may be recognized under these collaborations is highly uncertain. If we are unable to enter into new collaborations, our business prospects could be harmed, which could have an immediate adverse effect on the trading price of our stock.

To date, most of our revenues have been related to the research phase of each of our collaborative agreements. Such revenues are for specified periods, and the impact of such revenues on our results of operations is partially offset by corresponding research costs. Following the completion of the research phase of each collaborative agreement, additional revenues may come only from milestone payments and royalties, which may not be paid, if at all, until some time well into the future. The risk is heightened due to the fact that unsuccessful research efforts may preclude us from receiving any milestone payments under these agreements. Our receipt of revenues from collaborative arrangements is also significantly affected by the timing of efforts expended by us and our collaborators and the timing of lead compound identification. In late 2001, we recorded the first revenue from achievement of milestones in both the Pfizer and Johnson & Johnson collaborations. In addition, we have subsequently received milestone payments from Novartis, Daiichi, Merck, Merck Serono and Pfizer. Under many agreements, however, milestone payments may not be earned until the collaborator has advanced product candidates into clinical testing, which may never occur or may not occur until some time well into the future. If we are not able to generate revenue under our collaborations when and in accordance with our expectations or the expectations of industry analysts, this failure could harm our business and have an immediate adverse effect on the trading price of our stock.

Our business requires us to generate meaningful revenue from royalties and licensing agreements. To date, we have not received any revenue from royalties for the commercial sale of drugs, and we do not know when we will receive any such revenue, if at all. Likewise, we have not licensed any lead compounds or drug development candidates to third parties, and we do not know whether any such license will be entered into on acceptable terms in the future, if at all.

Our strategy depends upon the formation and sustainability of multiple collaborative arrangements and license agreements with third parties in the future. We rely on these arrangements for not only financial resources, but also for expertise that we expect to need in the future relating to clinical trials, manufacturing, sales and marketing, and for licenses to technology rights. To date, we have entered into several such arrangements with corporate collaborators; however, we do not know if such third parties will dedicate sufficient resources or if any development or commercialization efforts by third parties will be successful. Should a collaborative partner fail to develop or commercialize a compound or product to which it has rights from us for any reason including corporate restructuring, such failure might delay ongoing research and development efforts at Rigel, because we might not receive any future milestone payments, and we would not receive any royalties associated with such compound or product. In addition, the continuation of some of our partnered drug discovery and development programs may be dependent on the periodic renewal of our corporate collaborations.

The research phase of our collaboration with Johnson & Johnson ended in 2003, and the research phases conducted at our facilities under our broad collaboration with Novartis ended in 2004. The research phase of our corporate collaboration agreement with Daiichi ended in 2005. In 2004, we signed a new corporate collaboration with Merck, and the research phase of this collaboration ended in May 2007. In 2005, we signed additional collaborations with Pfizer and Merck Serono. Each of our collaborations could be terminated by the other party at any time, and we may not be able to renew these collaborations on acceptable terms, if at all, or negotiate additional corporate collaborations on acceptable terms, if at all. If these collaborations terminate or are not renewed, any resultant loss of revenues from these collaborations or loss of the expertise of our collaborative partners could adversely affect our business.

Conflicts also might arise with collaborative partners concerning proprietary rights to particular compounds. While our existing collaborative agreements typically provide that we retain milestone payments and royalty rights with respect to drugs developed from certain derivative compounds, any such payments or royalty rights may be at reduced rates, and disputes may arise over the application of derivative payment provisions to such drugs, and we may not be successful in such disputes.

We are also a party to various license agreements that give us rights to use specified technologies in our research and development processes. The agreements pursuant to which we have in-licensed technology permit our licensors to terminate the agreements under certain circumstances. If we are not able to continue to license these and future technologies on commercially reasonable terms, our product development and research may be delayed.

If conflicts arise between our collaborators or advisors and us, any of them may act in their self-interest, which may be adverse to our stockholders' interests.

If conflicts arise between us and our corporate collaborators or scientific advisors, the other party may act in its self-interest and not in the interest of our stockholders. Some of our corporate collaborators are conducting multiple product development efforts within each disease area that is the subject of the collaboration with us or may be acquired or merged with a company having a competing program. In some of our collaborations, we have agreed not to conduct, independently or with any third party, any research that is competitive with the research conducted under our collaborations. Our collaborators, however, may develop, either alone or with others, products in related fields that are competitive with the products or potential products that are the subject of these collaborations. Competing products, either developed by our collaborators or to which our collaborators have rights, may result in their withdrawal of support for our product candidates.

If any of our corporate collaborators were to breach or terminate its agreement with us or otherwise fail to conduct the collaborative activities successfully and in a timely manner, the preclinical or clinical development or commercialization of the affected product candidates or research programs could be delayed or terminated. We generally do not control the amount and timing of resources that our corporate collaborators devote to our programs or potential products. We do not know whether current or future collaborative partners, if any, might pursue alternative technologies or develop alternative products either on their own or in collaboration with others, including our competitors, as a means for developing treatments for the diseases targeted by collaborative arrangements with us.

Our success is dependent on intellectual property rights held by us and third parties, and our interest in such rights is complex and uncertain.

Our success will depend to a large part on our own, our licensees' and our licensors' ability to obtain and defend patents for each party's respective technologies and the compounds and other products, if any, resulting from the application of such technologies. We have over 160 pending patent applications and over 90 issued patents in the United States that are owned or exclusively licensed in our field as well as pending corresponding foreign patent applications. In the future, our patent position might be highly uncertain and involve complex legal and factual questions. For example, we may be involved

in interferences before the United States Patent and Trademark Office. Interferences are complex and expensive legal proceedings and there is no assurance we will be successful in such proceedings. An interference could result in our losing our patent rights and/or our freedom to operate and/or require us to pay significant royalties. Additional uncertainty may result because no consistent policy regarding the breadth of legal claims allowed in biotechnology patents has emerged to date. Accordingly, we cannot predict the breadth of claims allowed in our or other companies' patents.

Because the degree of future protection for our proprietary rights is uncertain, we cannot ensure that:

- we were the first to make the inventions covered by each of our pending patent applications;
- we were the first to file patent applications for these inventions;
- others will not independently develop similar or alternative technologies or duplicate any of our technologies;
- any of our pending patent applications will result in issued patents;
- any patents issued to us or our collaborators will provide a basis for commercially-viable products or will provide us with any competitive advantages or will not be challenged by third parties;
- we will develop additional proprietary technologies that are patentable; or
- the patents of others will not have a negative effect on our ability to do business.

We rely on trade secrets to protect technology where we believe patent protection is not appropriate or obtainable; however, trade secrets are difficult to protect. While we require employees, collaborators and consultants to enter into confidentiality agreements, we may not be able to adequately protect our trade secrets or other proprietary information in the event of any unauthorized use or disclosure or the lawful development by others of such information.

We are a party to certain in-license agreements that are important to our business, and we generally do not control the prosecution of in-licensed technology. Accordingly, we are unable to exercise the same degree of control over this intellectual property as we exercise over our internally-developed technology. Moreover, some of our academic institution licensors, research collaborators and scientific advisors have rights to publish data and information in which we have rights. If we cannot maintain the confidentiality of our technology and other confidential information in connection with our collaborations, then our ability to receive patent protection or protect our proprietary information will be impaired. In addition, some of the technology we have licensed relies on patented inventions developed using U.S. government resources. The U.S. government retains certain rights, as defined by law, in such patents, and may choose to exercise such rights. Certain of our in-licenses may be terminated if we fail to meet specified obligations. If we fail to meet such obligations and any of our licensors exercise their termination rights, we could lose our rights under those agreements. If we lose any of our rights, it may adversely affect the way we conduct our business. In addition, because certain of our licenses are sublicenses, the actions of our licensors may affect our rights under those licenses.

If a dispute arises regarding the infringement or misappropriation of the proprietary rights of others, such dispute could be costly and result in delays in our research and development activities and partnering.

Our success will also depend, in part, on our ability to operate without infringing or misappropriating the proprietary rights of others. There are many issued patents and patent applications filed by third parties relating to products or processes that are similar or identical to ours or our licensors, and others may be filed in the future. There can be no assurance that our activities, or those of our licensors, will not infringe patents owned by others. We believe that there may be significant litigation in the industry regarding patent and other intellectual property rights, and we do not know if we or our collaborators would be successful in any such litigation. Any legal action against our collaborators or us claiming damages or seeking to enjoin commercial activities relating to the affected products, our methods or processes could:

- require our collaborators or us to obtain a license to continue to use, manufacture or market the affected products, methods or processes, which may not be available on commercially reasonable terms, if at all;
- prevent us from using the subject matter claimed in the patents held by others;
- subject us to potential liability for damages;

24

- consume a substantial portion of our managerial and financial resources; and
- result in litigation or administrative proceedings that may be costly, whether we win or lose.

If we are unable to obtain regulatory approval to market products in the United States and foreign jurisdictions, we might not be permitted to commercialize products from our research and development.

Due, in part, to the early stage of our product candidate research and development process, we cannot predict whether regulatory clearance will be obtained for any product that we, or our collaborative partners, hope to develop. Satisfaction of regulatory requirements typically takes many years, is dependent upon the type complexity and novelty of the product and requires the expenditure of substantial resources. Of particular significance to us are the requirements relating to research and development and testing.

Before commencing clinical trials in humans in the United States, we, or our collaborative partners, will need to submit and receive approval from the FDA of an IND. Clinical trials are subject to oversight by institutional review boards and the FDA and:

- must be conducted in conformance with the FDA's good clinical practices and other applicable regulations;
- must meet requirements for institutional review board oversight;
- must meet requirements for informed consent;
- are subject to continuing FDA oversight;
- may require large numbers of test subjects; and
- may be suspended by us, our collaborators or the FDA at any time if it is believed that the subjects participating in these trials are being exposed to unacceptable health risks or if the FDA finds deficiencies in the IND or the conduct of these trials.

While we have stated that we intend to file additional INDs, this is only a statement of intent, and we may not be able to do so because we may not be able to identify potential product candidates. In addition, the FDA may not approve any IND in a timely manner, or at all.

Before receiving FDA approval to market a product, we must demonstrate that the product is safe and effective in the patient population and the indication that will be treated. Data obtained from preclinical and clinical activities are susceptible to varying interpretations that could delay, limit or prevent regulatory approvals. In addition, delays or rejections may be encountered based upon additional government regulation from future legislation or administrative action or changes in FDA policy during the period of product development, clinical trials and FDA regulatory review. Failure to comply with applicable FDA or other applicable regulatory requirements may result in criminal prosecution, civil penalties, recall or seizure of products, total or partial suspension of production or injunction, as well as other regulatory action against our potential products or us. Additionally, we have limited experience in conducting and managing the clinical trials necessary to obtain regulatory approval.

If regulatory approval of a product is granted, this approval will be limited to those indications or disease states and conditions for which the product is demonstrated through clinical trials to be safe and efficacious. We cannot ensure that any compound developed by us, alone or with others, will prove to be safe and efficacious in clinical trials and will meet all of the applicable regulatory requirements needed to receive marketing approval.

Outside the United States, our ability, or that of our collaborative partners, to market a product is contingent upon receiving a marketing authorization from the appropriate regulatory authorities. This foreign regulatory approval process typically includes all of the risks associated with FDA approval described above and may also include additional risks.

If our competitors develop technologies that are more effective than ours, our commercial opportunity will be reduced or eliminated.

25

The biotechnology and pharmaceutical industries are intensely competitive and subject to rapid and significant technological change. Many of the drugs that we are attempting to discover will be competing with existing therapies. In addition, a number of companies are pursuing the development of pharmaceuticals that target the same diseases and conditions that we are targeting. We face competition from pharmaceutical and biotechnology companies both in the United States and abroad.

Our competitors may utilize discovery technologies and techniques or partner with collaborators in order to develop products more rapidly or successfully than we, or our collaborators, are able to do. Many of our competitors, particularly large pharmaceutical companies, have substantially greater financial, technical and human resources than we do. In addition, academic institutions, government agencies and other public and private organizations conducting research may seek patent protection with respect to potentially competitive products or technologies and may establish exclusive collaborative or licensing relationships with our competitors.

We believe that our ability to compete is dependent, in part, upon our ability to create, maintain and license scientifically-advanced technology and upon our and our collaborators' ability to develop and commercialize pharmaceutical products based on this technology, as well as our ability to attract and retain qualified personnel, obtain patent protection or otherwise develop proprietary technology or processes and secure sufficient capital resources for the expected substantial time period between technological conception and commercial sales of products based upon our technology. The failure by us or any of our collaborators in any of those areas may prevent the successful commercialization of our potential drug targets.

Our competitors might develop technologies and drugs that are more effective or less costly than any that are being developed by us or that would render our technology and potential drugs obsolete and noncompetitive. In addition, our competitors may succeed in obtaining the approval of the FDA or other regulatory agencies for product candidates more rapidly. Companies that complete clinical trials, obtain required regulatory agency approvals and commence commercial sale of their drugs before their competitors may achieve a significant competitive advantage, including certain patent and FDA marketing exclusivity rights that would delay or prevent our ability to market certain products. Any drugs resulting from our research and development efforts, or from our joint efforts with our existing or future collaborative partners, might not be able to compete successfully with competitors' existing or future products or obtain regulatory approval in the United States or elsewhere.

Our ability to generate revenues will be diminished if our collaborative partners fail to obtain acceptable prices or an adequate level of reimbursement for products from third-party payors or government agencies.

The drugs we hope to develop may be rejected by the marketplace due to many factors, including cost. Our ability to commercially exploit a drug may be limited due to the continuing efforts of government and third-party payors to contain or reduce the costs of health care through various means. For example, in some foreign markets, pricing and profitability of prescription pharmaceuticals are subject to government control. In the United States, we expect that there will continue to be a number of federal and state proposals to implement similar government control. In addition, increasing emphasis on managed care in the United States will likely continue to put pressure on the pricing of pharmaceutical products. Cost control initiatives could decrease the price that any of our collaborators would receive for any products in the future. Further, cost control initiatives could adversely affect our collaborators' ability to commercialize our products and our ability to realize royalties from this commercialization.

Our ability to commercialize pharmaceutical products with collaborators may depend, in part, on the extent to which reimbursement for the products will be available from:

- government and health administration authorities;
- private health insurers; and
- other third-party payors.

Significant uncertainty exists as to the reimbursement status of newly-approved healthcare products. Third-party payors, including Medicare, are challenging the prices charged for medical products and services. Government and other third-party payors increasingly are attempting to contain healthcare costs by limiting both coverage and the level of reimbursement for new drugs and by refusing, in some cases, to provide coverage for uses of approved products for disease indications for which the FDA has not granted labeling approval. Third-party insurance coverage may not be available to patients for any products we discover and develop, alone or with collaborators. If government and other third-party payors do not provide adequate coverage and reimbursement levels for our products, the market acceptance of these products may be reduced.

If product liability lawsuits are successfully brought against us, we may incur substantial liabilities and may be required to limit commercialization of our products.

The testing and marketing of medical products entail an inherent risk of product liability. If we cannot successfully defend ourselves against product liability claims, we may incur substantial liabilities or be required to limit commercialization of our products although we are not currently aware of any specific causes for concern with respect to clinical liability claims. We currently do not have product liability insurance, and our inability to obtain sufficient product liability insurance at an acceptable cost to protect against potential product liability claims could prevent or inhibit the commercialization of pharmaceutical products we develop, alone or with corporate collaborators. We, or our corporate collaborators, might not be able to obtain insurance at a reasonable cost, if at all. While under various circumstances we are entitled to be indemnified against losses by our corporate collaborators, indemnification may not be available or adequate should any claim arise.

Our research and development efforts will be seriously jeopardized, if we are unable to attract and retain key employees and relationships.*

As a small company with only 154 employees as of September 30, 2007, our success depends on the continued contributions of our principal management and scientific personnel and on our ability to develop and maintain important relationships with leading academic institutions, scientists and companies in the face of intense competition for such personnel. In particular, our research programs depend on our ability to attract and retain highly skilled chemists, other scientists, and development, regulatory and clinical personnel. If we lose the services of any of our personnel, our research and development efforts could be seriously and adversely affected. Our employees can terminate their employment with us at any time.

We depend on various scientific consultants and advisors for the success and continuation of our research and development efforts.

We work extensively with various scientific consultants and advisors. The potential success of our drug discovery and development programs depends, in part, on continued collaborations with certain of these consultants and advisors. We, and various members of our management and research staff, rely on certain of these consultants and advisors for expertise in our research, regulatory and clinical efforts. Our scientific advisors are not our employees and may have commitments to, or consulting or advisory contracts with, other entities that may limit their availability to us. We do not know if we will be able to maintain such consulting agreements or that such scientific advisors will not enter into consulting arrangements, exclusive or otherwise, with competing pharmaceutical or biotechnology companies, any of which would have a detrimental impact on our research objectives and could have a material adverse effect on our business, financial condition and results of operations.

If we use biological and hazardous materials in a manner that causes injury or violates laws, we may be liable for damages.

Our research and development activities involve the controlled use of potentially harmful biological materials as well as hazardous materials, chemicals and various radioactive compounds. We cannot completely eliminate the risk of accidental contamination or injury from the use, storage, handling or disposal of these materials. In the

event of contamination or injury, we could be held liable for damages that result, and such liability could exceed our resources. We are also subject to federal, state and local laws and regulations governing the use, storage, handling and disposal of these materials and specified waste products. The cost of compliance with, or any potential violation of, these laws and regulations could be significant.

Our facilities are located near known earthquake fault zones, and the occurrence of an earthquake or other catastrophic disaster could cause damage to our facilities and equipment, which could require us to cease or curtail operations.

Our facilities are located in the San Francisco Bay Area near known earthquake fault zones and are vulnerable to significant damage from earthquakes. We are also vulnerable to damage from other types of disasters, including fires, floods, power loss, communications failures and similar events. If any disaster were to occur, our ability to operate our business at our facilities would be seriously, or potentially completely, impaired, and our research could be lost or destroyed. In addition, the unique nature of our research activities and of much of our equipment could make it difficult for us to recover from a disaster. The insurance we maintain may not be adequate to cover our losses resulting from disasters or other business interruptions.

27

Our stock price may be volatile, and our stockholders' investment in our stock could decline in value.

The market prices for our securities and those of other biotechnology companies have been highly volatile and may continue to be highly volatile in the future. The following factors, in addition to other risk factors described in this section, may have a significant impact on the market price of our common stock:

- the progress and success of clinical trials and preclinical activities (i.e., studies, manufacture of materials) of our product candidates conducted by us or our collaborative partners or licensees;
- the receipt or failure to receive the additional funding necessary to conduct our business;
- selling by large stockholders;
- announcements of technological innovations or new commercial products by our competitors or us;
- developments concerning proprietary rights, including patents;
- developments concerning our collaborations;
- publicity regarding actual or potential medical results relating to products under development by our competitors or us;
- regulatory developments in the United States and foreign countries;
- litigation;
- economic and other external factors or other disaster or crisis; and
- period-to-period fluctuations in financial results.

Anti-takeover provisions in our charter documents and under Delaware law may make an acquisition of us, which may be beneficial to our stockholders, more difficult.

Provisions of our amended and restated certificate of incorporation and bylaws, as well as provisions of Delaware law, could make it more difficult for a third party to acquire us, even if doing so would benefit our stockholders. These provisions:

- establish that members of the board of directors may be removed only for cause upon the affirmative vote of stockholders owning a majority of our capital stock;
- authorize the issuance of "blank check" preferred stock that could be issued by our board of directors to increase the number of outstanding shares and thwart a takeover attempt;
- limit who may call a special meeting of stockholders;
- prohibit stockholder action by written consent, thereby requiring all stockholder actions to be taken at a meeting of our stockholders;
- establish advance notice requirements for nominations for election to the board of directors or for proposing matters that can be acted upon at stockholder meetings;
- provide for a board of directors with staggered terms; and
- provide that the authorized number of directors may be changed only by a resolution of our board of directors.

In addition, Section 203 of the Delaware General Corporation Law, which imposes certain restrictions relating to transactions with major stockholders, may discourage, delay or prevent a third party from acquiring us.

28

Item 6. Exhibits

The exhibits listed on the accompanying index to exhibits are filed or incorporated by reference (as stated therein) as part of this Quarterly Report on Form 10-Q.

-
- 3.1 Amended and Restated Certificate of Incorporation.(1)
- 3.2 Amended and Restated Bylaws.(2)
- 10.34 Rigel Pharmaceuticals, Inc. 2000 Non-Employee Directors' Stock Option Plan, as amended.
- 15.1 Letter re: unaudited interim financial information.
- 31.1 Certification required by Rule 13a-14(a) or Rule 15d-14(a) of the Exchange Act.
- 31.2 Certification required by Rule 13a-14(a) or Rule 15d-14(a) of the Exchange Act.
- 32.1 Certification required by Rule 13a-14(b) or Rule 15d-14(b) of the Exchange Act and Section 1350 of Chapter 63 of Title 18 of the United States Code (18 U.S.C. 1350).

(1) Filed as an exhibit to Rigel's Current Report on Form 8-K filed on June 24, 2003 and incorporated herein by reference.

(2) Filed as an exhibit to Rigel's Current Report on Form 8-K filed on February 2, 2007 and incorporated herein by reference.

29

SIGNATURES

Pursuant to the requirements of the Securities Exchange Act of 1934, as amended, the registrant has duly caused this report to be signed on its behalf by the undersigned, thereunto duly authorized.

RIGEL PHARMACEUTICALS, INC.

By: /s/ JAMES M. GOWER
James M. Gower
Chief Executive Officer

Date: November 6, 2007

By: /s/ RYAN D. MAYNARD
Ryan D. Maynard
Vice President and Chief Financial Officer
(Principal Financial and Accounting Officer)

Date: November 6, 2007

30

INDEX TO EXHIBITS

| <u>Exhibit Number</u> | <u>Description of Document</u> |
|-----------------------|---|
| 3.1 | Amended and Restated Certificate of Incorporation.(1) |
| 3.2 | Amended and Restated Bylaws.(2) |
| 10.34 | Rigel Pharmaceuticals, Inc. 2000 Non-Employee Directors' Stock Option Plan, as amended. |
| 15.1 | Letter re: unaudited interim financial information. |
| 31.1 | Certification required by Rule 13a-14(a) or Rule 15d-14(a) of the Exchange Act. |
| 31.2 | Certification required by Rule 13a-14(a) or Rule 15d-14(a) of the Exchange Act. |
| 32.1 | Certification required by Rule 13a-14(b) or Rule 15d-14(b) of the Exchange Act and Section 1350 of Chapter 63 of Title 18 of the United States Code (18 U.S.C. 1350). |

(1) Filed as an exhibit to Rigel's Current Report on Form 8-K filed on June 24, 2003 and incorporated herein by reference.

(2) Filed as an exhibit to Rigel's Current Report on Form 8-K filed on February 2, 2007 and incorporated herein by reference.

RIGEL PHARMACEUTICALS, INC.

2000 NON-EMPLOYEE DIRECTORS' STOCK OPTION PLAN

ADOPTED AUGUST 18, 2000
 APPROVED BY STOCKHOLDERS SEPTEMBER 11, 2000
 EFFECTIVE DATE: DECEMBER 4, 2000
 AMENDED AND RESTATED APRIL 24, 2003
 AMENDED AND RESTATED JUNE 20, 2003
 APPROVED BY STOCKHOLDERS JUNE 20, 2003
 AMENDED AND RESTATED APRIL 22, 2005
 APPROVED BY STOCKHOLDERS JUNE 2, 2005
 AMENDED AND RESTATED JANUARY 31, 2007
 APPROVED BY STOCKHOLDERS MAY 31, 2007
 AMENDED AND RESTATED SEPTEMBER 18, 2007

1. PURPOSES.

(a) **Eligible Option Recipients.** The persons eligible to receive Options are the Non-Employee Directors of the Company.

(b) **Available Options.** The purpose of the Plan is to provide a means by which Non-Employee Directors may be given an opportunity to benefit from increases in value of the Common Stock through the granting of Nonstatutory Stock Options.

(c) **General Purpose.** The Company, by means of the Plan, seeks to retain the services of its Non-Employee Directors, to secure and retain the services of new Non-Employee Directors and to provide incentives for such persons to exert maximum efforts for the success of the Company and its Affiliates.

2. DEFINITIONS.

(a) **"Affiliate"** means any parent corporation or subsidiary corporation of the Company, whether now or hereafter existing, as those terms are defined in Sections 424(e) and (f), respectively, of the Code.

(b) **"Annual Grant"** means an Option granted annually to all Non-Employee Directors who meet the criteria specified in subsection 6(b) of the Plan.

(c) **"Annual Meeting"** means the annual meeting of the stockholders of the Company.

(d) **"Board"** means the Board of Directors of the Company.

(e) **"Code"** means the Internal Revenue Code of 1986, as amended.

(f) **"Common Stock"** means the common stock of the Company.

(g) **"Company"** means Rigel Pharmaceuticals, Inc., a Delaware corporation.

(h) **"Consultant"** means any person, including an advisor, (i) engaged by the Company or an Affiliate to render consulting or advisory services and who is compensated for such services or (ii) who is a member of the Board of Directors of an Affiliate. However, the term "Consultant" shall not include either Directors of the Company who are not compensated by the Company for their services as Directors or Directors of the Company who are merely paid a director's fee by the Company for their services as Directors.

(i) **"Continuous Service"** means that the Optionholder's service with the Company or an Affiliate, whether as an Employee, Director or Consultant, is not interrupted or terminated. The Optionholder's Continuous Service shall not be deemed to have terminated merely because of a change in the capacity in which the Optionholder renders service to the Company or an Affiliate as an Employee, Consultant or Director or a change in the entity for which the Optionholder renders such service, provided that there is no interruption or termination of the Optionholder's service. For example, a change in status without interruption from a Non-Employee Director of the Company to a Consultant of an Affiliate or an Employee of the Company will not constitute an interruption of Continuous Service. The Board or the chief executive officer of the Company, in that party's sole discretion, may determine whether Continuous Service shall be considered interrupted in the case of any leave of absence approved by that party, including sick leave, military leave or any other personal leave.

(j) **"Director"** means a member of the Board of Directors of the Company.

(k) **"Disability"** means the permanent and total disability of a person within the meaning of Section 22(e)(3) of the Code.

(l) **"Employee"** means any person employed by the Company or an Affiliate. Mere service as a Director or payment of a director's fee by the Company or an Affiliate shall not be sufficient to constitute "employment" by the Company or an Affiliate.

(m) **"Exchange Act"** means the Securities Exchange Act of 1934, as amended.

(n) **"Fair Market Value"** means, as of any date, the value of the Common Stock determined as follows:

(i) If the Common Stock is listed on any established stock exchange or traded on the Nasdaq National Market or the Nasdaq SmallCap Market, the Fair Market Value of a share of Common Stock shall be the closing sales price for such stock (or the closing bid, if no sales were reported) as quoted on such exchange or market (or the exchange or market with the greatest volume of trading in the Common Stock) on the last market trading day prior to the day of determination, as reported in The Wall Street Journal or such other source as the Board deems reliable.

(ii) In the absence of such markets for the Common Stock, the Fair Market Value shall be determined in good faith by the Board.

(o) **"Initial Grant"** means an Option granted to a Non-Employee Director who meets the criteria specified in subsection 6(a) of the Plan.

(p) **"IPO Date"** means the effective date of the initial public offering of the Common Stock.

(q) **"Non-Employee Director"** means a Director who is not an Employee.

(r) “**Nonstatutory Stock Option**” means an Option not intended to qualify as an incentive stock option within the meaning of Section 422 of the Code and the regulations promulgated thereunder.

(s) “**Officer**” means a person who is an officer of the Company within the meaning of Section 16 of the Exchange Act and the rules and regulations promulgated thereunder.

(t) “**Option**” means a Nonstatutory Stock Option granted pursuant to the Plan.

(u) “**Option Agreement**” means a written agreement between the Company and an Optionholder evidencing the terms and conditions of an individual Option grant. Each Option Agreement shall be subject to the terms and conditions of the Plan.

(v) “**Optionholder**” means a person to whom an Option is granted pursuant to the Plan or, if applicable, such other person who holds an outstanding Option.

(w) “**Plan**” means this Rigel Pharmaceuticals, Inc. 2000 Non-Employee Directors’ Stock Option Plan.

(x) “**Rule 16b-3**” means Rule 16b-3 promulgated under the Exchange Act or any successor to Rule 16b-3, as in effect from time to time.

(y) “**Securities Act**” means the Securities Act of 1933, as amended.

3. ADMINISTRATION.

(a) **Administration by Board.** The Board shall administer the Plan. The Board may not delegate administration of the Plan to a committee.

(b) **Powers of Board.** The Board shall have the power, subject to, and within the limitations of, the express provisions of the Plan:

(i) To determine the provisions of each Option to the extent not specified in the Plan.

(ii) To construe and interpret the Plan and Options granted under it, and to establish, amend and revoke rules and regulations for its administration.

The Board, in the exercise of this power, may correct any defect, omission or inconsistency in the Plan or in any Option Agreement, in a manner and to the extent it shall deem necessary or expedient to make the Plan fully effective.

(iii) To amend the Plan or an Option as provided in Section 12.

(iv) To terminate or suspend the Plan as provided in Section 13.

(v) Generally, to exercise such powers and to perform such acts as the Board deems necessary or expedient to promote the best interests of the

Company that are not in conflict with the provisions of the Plan.

(c) **Effect of Board’s Decision.** All determinations, interpretations and constructions made by the Board in good faith shall not be subject to review by any person and shall be final, binding and conclusive on all persons.

(d) **Cancellation and Re-Grant of Options.** Notwithstanding anything to the contrary in the Plan, neither the Board nor any Committee shall have the authority to: (i) reprice any outstanding Option under the Plan, (ii) cancel and re-grant any outstanding Option under the Plan, or (iii) effect any other action that is treated as a repricing under generally accepted accounting principles unless, in each case, the stockholders of the Company have approved such an action within twelve (12) months prior to such an event.

4. SHARES SUBJECT TO THE PLAN.

(a) **Share Reserve.** Subject to the provisions of Section 11 relating to adjustments upon changes in the Common Stock, the Common Stock that may be issued pursuant to Options shall not exceed in the aggregate 435,000 shares of Common Stock, which number consists of (i) 33,333 shares of Common stock initially reserved for issuance under the Plan plus (ii) 66,667 shares of Common stock approved by the Board in April 2003 and subsequently approved by the Company’s stockholders plus (iii) 225,000 shares of Common Stock approved by the Board in April 2005 and subsequently approved by the Company’s stockholders plus (iv) 110,000 shares of Common Stock approved by the Board in January 2007 and subsequently approved by the Company’s stockholders.

(b) **Reversion of Shares to the Share Reserve.** If any Option shall for any reason expire or otherwise terminate, in whole or in part, without having been exercised in full, the shares of Common Stock not acquired under such Option shall revert to and again become available for issuance under the Plan. If any shares subject to an Option are not delivered to an Optionholder because the Option is exercised through a reduction of shares subject to the Option (*i.e.*, “net exercised”), the number of shares that are not delivered to the Optionholder shall not remain available for issuance under the Plan. If any shares subject to an Option are not delivered to an Optionholder because such shares are withheld in satisfaction of the withholding of taxes incurred in connection with the exercise of an Option, the number of shares that are not delivered to the Optionholder shall not remain available for subsequent issuance under the Plan. If the exercise price of any Option is satisfied by tendering shares of Common Stock held by the Optionholder (either by actual delivery or attestation), then the number of shares so tendered shall not remain available for subsequent issuance under the Plan.

(c) **Source of Shares.** The shares of Common Stock subject to the Plan may be unissued shares or reacquired shares, bought on the market or otherwise.

5. ELIGIBILITY.

The Options as set forth in section 6 automatically shall be granted under the Plan to all Non-Employee Directors.

6. NON-DISCRETIONARY GRANTS.

(a) **Initial Grants.** Without any further action of the Board, each person who is elected or appointed for the first time to be a Non-Employee Director after the IPO Date automatically shall, upon the date of his or her initial election or appointment to be a Non-Employee Director by the Board or stockholders of the Company, be granted an Initial Grant to purchase twenty thousand (20,000) shares of Common Stock on the terms and conditions set forth herein.

(b) **Annual Grants.** Without any further action of the Board, a Non-Employee Director shall be granted an Annual Grant as follows: On the day following each Annual Meeting commencing with the Annual Meeting in 2001, each person who is then a Non-Employee Director automatically shall be granted an Annual Grant to purchase ten thousand (10,000) shares of Common Stock on the terms and

conditions set forth herein; *provided, however*, that if the person has not been serving as a Non-Employee Director for the entire period since the preceding Annual Meeting, then the number of shares subject to the Annual Grant shall be reduced pro rata for each full quarter prior to the date of grant during which such person did not serve as a Non-Employee Director.

7. OPTION PROVISIONS.

Each Option shall be in such form and shall contain such terms and conditions as required by the Plan. Each Option shall contain such additional terms and conditions, not inconsistent with the Plan, as the Board shall deem appropriate. Each Option shall include (through incorporation of provisions hereof by reference in the Option or otherwise) the substance of each of the following provisions:

(a) **Term.** No Option shall be exercisable after the expiration of ten (10) years from the date it was granted.

(b) **Exercise Price.** The exercise price of each Option shall be one hundred percent (100%) of the Fair Market Value of the stock subject to the Option on the date the Option is granted. Notwithstanding the foregoing, an Option may be granted with an exercise price lower than that set forth in the preceding sentence if such Option is granted pursuant to an assumption or substitution for another option in a manner satisfying the provisions of Section 424(a) of the Code.

(c) **Consideration.** The purchase price of stock acquired pursuant to an Option may be paid, to the extent permitted by applicable statutes and regulations, in any combination of the following methods:

(i) By cash or check.

(ii) Provided that at the time of exercise the Common Stock is publicly traded and quoted regularly in *The Wall Street Journal*, by delivery of already-owned shares of Common Stock either that the Optionholder has held for more than six (6) months (or such longer or shorter period of time required to avoid a charge to earnings for financial accounting purposes) or that the Optionholder did not acquire, directly or indirectly from the Company, that are owned free and clear of any liens, claims, encumbrances or security interests, and that are valued at Fair Market Value on the date of exercise. "Delivery" for these purposes shall include delivery to the Company of the Optionholder's attestation of ownership of such shares of Common Stock in a form approved by the Company. Notwithstanding the foregoing, the Optionholder may not exercise the Option by tender to the Company of Common Stock to the extent such tender would violate the provisions of any law, regulation or agreement restricting the redemption of the Company's stock.

(iii) Provided that at the time of exercise the Common Stock is publicly traded and quoted regularly in *The Wall Street Journal*, pursuant to a program developed under Regulation T as promulgated by the Federal Reserve Board that, prior to the issuance of Common Stock, results in either the receipt of cash (or check) by the Company or the receipt of irrevocable instructions to pay the aggregate exercise price to the Company from the sales proceeds.

(iv) By a "net exercise" arrangement pursuant to which the Company will reduce the number of shares of Common Stock issued upon exercise by the largest whole number of shares with a Fair Market Value that does not exceed the aggregate exercise price; *provided, however*, that the Company shall accept a cash or other payment from the Optionholder to the extent of any remaining balance of the aggregate exercise price not satisfied by such holding back of whole shares; *provided, further, however*, that shares of Common Stock will no longer be outstanding under an Option and will

not be exercisable thereafter to the extent that (i) shares are used to pay the exercise price pursuant to the "net exercise," (ii) shares are delivered to the Optionholder as a result of such exercise, and (iii) shares are withheld to satisfy tax withholding obligations.

(d) **Transferability.** An Option is transferable by will or by the laws of descent and distribution. An Option also is transferable (i) by instrument to an inter vivos or testamentary trust, in a form accepted by the Company, in which the Option is to be passed to beneficiaries upon the death of the trustor (settlor) and (ii) by gift, in a form accepted by the Company, to a member of the "immediate family" of the Optionholder as that term is defined in 17 C.F.R. 240.16a-1(e). An Option shall be exercisable during the lifetime of the Optionholder only by the Optionholder and a permitted transferee as provided herein. However, the Optionholder may, by delivering written notice to the Company, in a form satisfactory to the Company, designate a third party who, in the event of the death of the Optionholder, shall thereafter be entitled to exercise the Option.

(e) **Exercise Schedule.** The Option shall be exercisable as the shares of Common Stock subject to the Option vest.

(f) **Vesting Schedule.**

(i) Each Option granted as an initial grant shall vest in accordance with the schedule set forth below that results in a shorter period of full vesting:

(1) 1/36th of the shares of Common Stock subject to the Option shall vest each month after the date of grant over a period of three (3) years;

or

(2) the Option shall vest in equal monthly installments after the date of grant over a period commencing on the date that the Optionholder is appointed for the first time to be a Non-Employee Director by the Board and ending on the date of the Annual Meeting at which the Optionholder is first scheduled to be considered for election to be a Non-Employee Director by the stockholders of the Company.

(ii) Each Option granted as an annual grant before the Annual Meeting in 2008 shall vest such that 1/36th of the shares of Common Stock subject to such Option shall vest each month after the date of grant over a period of three (3) years; and each Option granted as an annual grant on or after the Annual Meeting in 2008 shall vest such that 1/12th of the shares of Common Stock subject to such Option shall vest each month after the date of grant over a period of one (1) year.

(g) **Termination of Continuous Service.** In the event an Optionholder's Continuous Service terminates (other than upon the Optionholder's death or Disability), the Optionholder may exercise his or her Option (to the extent that the Optionholder was entitled to exercise it as of the date of termination) but only within such period of time ending on the earlier of (i) the date three (3) months following the termination of the Optionholder's Continuous Service, or (ii) the expiration of the term of the Option as set forth in the Option Agreement. If, after termination, the Optionholder does not exercise his or her Option within the time specified in the Option Agreement, the Option shall terminate.

(h) **Extension of Termination Date.** If the exercise of the Option following the termination of the Optionholder's Continuous Service (other than upon the Optionholder's death or Disability) would be prohibited at any time solely because the issuance of shares would violate the registration requirements under the Securities Act, then the Option shall terminate on the earlier of (i) the expiration of the term of the Option set forth in subsection 7(a) or (ii) the expiration of a period of three (3) months after the termination of the Optionholder's Continuous Service during which the exercise of the Option would not be in violation of such registration requirements.

(i) **Disability of Optionholder.** In the event an Optionholder's Continuous Service terminates as a result of the Optionholder's Disability, the Optionholder may exercise his or her Option (to the extent that the Optionholder was entitled to exercise it as of the date of termination), but only within such period of time ending on the earlier of (i) the date twelve (12) months following such termination or (ii) the expiration of the term of the Option as set forth in the Option Agreement. If, after termination, the Optionholder does not exercise his or her Option within the time specified herein, the Option shall terminate.

(j) **Death of Optionholder.** In the event (i) an Optionholder's Continuous Service terminates as a result of the Optionholder's death or (ii) the Optionholder dies within the three-month period after the termination of the Optionholder's Continuous Service for a reason other than death, then the Option may be exercised (to the extent the Optionholder was entitled to exercise the Option as of the date of death) by the Optionholder's estate, by a person who acquired the right to exercise the Option by bequest or inheritance or by a person designated to exercise the Option upon the Optionholder's death, but only within the period ending on the earlier of (1) the date eighteen (18) months following the date of death or (2) the expiration of the term of such Option as set forth in the Option Agreement. If, after death, the Option is not exercised within the time specified herein, the Option shall terminate.

8. COVENANTS OF THE COMPANY.

(a) **Availability of Shares.** During the terms of the Options, the Company shall keep available at all times the number of shares of Common Stock required to satisfy such Options.

(b) **Securities Law Compliance.** The Company shall seek to obtain from each regulatory commission or agency having jurisdiction over the Plan such authority as may be required to grant Options and to issue and sell shares of Common Stock upon exercise of the Options; provided, however, that this undertaking shall not require the Company to register under the Securities Act the Plan, any Option or any stock issued or issuable pursuant to any such Option. If, after reasonable efforts, the Company is unable to obtain from any such regulatory commission or agency the authority which counsel for the Company deems necessary for the lawful issuance and sale of stock under the Plan, the Company shall be relieved from any liability for failure to issue and sell stock upon exercise of such Options unless and until such authority is obtained.

9. USE OF PROCEEDS FROM STOCK.

Proceeds from the sale of stock pursuant to Options shall constitute general funds of the Company.

10. MISCELLANEOUS.

(a) **Stockholder Rights.** No Optionholder shall be deemed to be the holder of, or to have any of the rights of a holder with respect to, any shares subject to such Option unless and until such Optionholder has satisfied all requirements for exercise of the Option pursuant to its terms.

(b) **No Service Rights.** Nothing in the Plan or any instrument executed or Option granted pursuant thereto shall confer upon any Optionholder any right to continue to serve the Company as a Non-Employee Director or shall affect the right of the Company or an Affiliate to terminate (i) the employment of an Employee with or without notice and with or without cause, (ii) the service of a Consultant pursuant to the terms of such Consultant's agreement with the Company or an Affiliate or

(iii) the service of a Director pursuant to the Bylaws of the Company or an Affiliate, and any applicable provisions of the corporate law of the state in which the Company or the Affiliate is incorporated, as the case may be.

(c) **Investment Assurances.** The Company may require an Optionholder, as a condition of exercising or acquiring stock under any Option, (i) to give written assurances satisfactory to the Company as to the Optionholder's knowledge and experience in financial and business matters and/or to employ a purchaser representative reasonably satisfactory to the Company who is knowledgeable and experienced in financial and business matters and that he or she is capable of evaluating, alone or together with the purchaser representative, the merits and risks of exercising the Option; and (ii) to give written assurances satisfactory to the Company stating that the Optionholder is acquiring the stock subject to the Option for the Optionholder's own account and not with any present intention of selling or otherwise distributing the stock. The foregoing requirements, and any assurances given pursuant to such requirements, shall be inoperative if (iii) the issuance of the shares upon the exercise or acquisition of stock under the Option has been registered under a then currently effective registration statement under the Securities Act or (iv) as to any particular requirement, a determination is made by counsel for the Company that such requirement need not be met in the circumstances under the then applicable securities laws. The Company may, upon advice of counsel to the Company, place legends on stock certificates issued under the Plan as such counsel deems necessary or appropriate in order to comply with applicable securities laws, including, but not limited to, legends restricting the transfer of the stock.

(d) **Withholding Obligations.** The Optionholder may satisfy any federal, state or local tax withholding obligation relating to the exercise or acquisition of stock under an Option by any of the following means (in addition to the Company's right to withhold from any compensation paid to the Optionholder by the Company) or by a combination of such means: (i) tendering a cash payment; (ii) authorizing the Company to withhold shares from the shares of the Common Stock otherwise issuable to the Optionholder as a result of the exercise or acquisition of stock under the Option, provided, however, that no shares of Common Stock are withheld with a value exceeding the minimum amount of tax required to be withheld by law; or (iii) delivering to the Company owned and unencumbered shares of the Common Stock.

11. ADJUSTMENTS UPON CHANGES IN STOCK.

(a) **Capitalization Adjustments.** If any change is made in the stock subject to the Plan, or subject to any Option, without the receipt of consideration by the Company (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 the Company), the Plan will be appropriately adjusted in the class(es) and maximum number of securities subject both to the Plan pursuant to subsection 4(a) and to the nondiscretionary Options specified in Section 5, and the outstanding Options will be appropriately adjusted in the class(es) and number of securities and price per share of stock subject to such outstanding Options. The Board shall make such adjustments, and its determination shall be final, binding and conclusive. (The conversion of any convertible securities of the Company shall not be treated as a transaction "without receipt of consideration" by the Company.)

(b) **Dissolution or Liquidation.** In the event of a dissolution or liquidation of the Company, then all outstanding Options shall terminate immediately prior to such event.

(c) **Corporate Transaction.** In the event of (i) a sale, lease or other disposition of all or substantially all of the securities or assets of the Company, (ii) a merger or consolidation in which the Company is not the surviving corporation or (iii) a reverse merger in which the Company is the surviving corporation but the shares of Common Stock outstanding immediately preceding the merger are converted by virtue of the merger into other property, whether in the form of securities, cash or otherwise, then any surviving corporation or acquiring corporation may assume any Options outstanding under the Plan or may substitute similar Options (including an option to acquire the same consideration paid to the stockholders in the transaction described in this subsection 11(c)) for those outstanding under the Plan. In the event no surviving corporation

or acquiring corporation assumes such Options or substitutes similar Options for those outstanding under the Plan, then with respect to Options held by Optionholders whose Continuous Service has not terminated, the vesting of such Options (and the time during which such Options may be exercised) shall be accelerated in full, and the Options shall terminate if not exercised at or prior to such event. With respect to any other Options outstanding under the Plan, such Options shall terminate if not exercised prior to such event.

12. AMENDMENT OF THE PLAN AND OPTIONS.

(a) **Amendment of Plan.** The Board at any time, and from time to time, may amend the Plan. However, except as provided in Section 11 relating to adjustments upon changes in stock, no amendment shall be effective unless approved by the stockholders of the Company to the extent stockholder approval is necessary to satisfy the requirements of Rule 16b-3 or any Nasdaq or securities exchange listing requirements.

(b) **Stockholder Approval.** The Board may, in its sole discretion, submit any other amendment to the Plan for stockholder approval.

(c) **No Impairment of Rights.** Rights under any Option granted before amendment of the Plan shall not be impaired by any amendment of the Plan unless (i) the Company requests the consent of the Optionholder and (ii) the Optionholder consents in writing.

(d) **Amendment of Options.** The Board at any time, and from time to time, may amend the terms of any one or more Options; provided, however, that the rights under any Option shall not be impaired by any such amendment unless (i) the Company requests the consent of the Optionholder and (ii) the Optionholder consents in writing.

13. TERMINATION OR SUSPENSION OF THE PLAN.

(a) **Plan Term.** The Board may suspend or terminate the Plan at any time. No Options may be granted under the Plan while the Plan is suspended or after it is terminated.

(b) **No Impairment of Rights.** Suspension or termination of the Plan shall not impair rights and obligations under any Option granted while the Plan is in effect except with the written consent of the Optionholder.

14. EFFECTIVE DATE OF PLAN.

The Plan shall become effective on the IPO Date, but no Option shall be exercised unless and until the Plan has been approved by the stockholders of the Company, which approval shall be within twelve (12) months before or after the date the Plan is adopted by the Board.

15. CHOICE OF LAW.

All questions concerning the construction, validity and interpretation of this Plan shall be governed by the law of the State of Delaware, without regard to such state's conflict of laws rules.

The Board of Directors,

We are aware of the incorporation by reference in the following Registration Statements:

- (1) Form S-3 No. 333-129650, of Rigel Pharmaceuticals, Inc.
- (2) Form S-3 No. 333-119785, of Rigel Pharmaceuticals, Inc.
- (3) Form S-3 No. 333-112746, of Rigel Pharmaceuticals, Inc.
- (4) Form S-3 No. 333-111777, of Rigel Pharmaceuticals, Inc.
- (5) Form S-3 No. 333-106942, of Rigel Pharmaceuticals, Inc.
- (6) Form S-3 No. 333-105431, of Rigel Pharmaceuticals, Inc.
- (7) Form S-3 No. 333-87276 of Rigel Pharmaceuticals, Inc.
- (8) Form S-3 No. 333-74906 of Rigel Pharmaceuticals, Inc.
- (9) Form S-8 No. 333-139516 pertaining to the 2000 Employee Stock Purchase Plan of Rigel Pharmaceuticals Inc.
- (10) Form S-8 No. 333-134622, pertaining to the 2000 Equity Incentive Plan and 2000 Employee Stock Purchase Plan of Rigel Pharmaceuticals, Inc.
- (11) Form S-8 No. 333-125895, pertaining to the 2000 Equity Incentive Plan, 2000 Employee Stock Purchase Plan and 2000 Non-Employee Directors' Stock Option Plan of Rigel Pharmaceuticals, Inc.
- (12) Form S-8 No. 333-111782, pertaining to the 2000 Equity Incentive Plan of Rigel Pharmaceuticals, Inc.
- (13) Form S-8 No. 333-107062, pertaining to the 2000 Employee Stock Purchase Plan of Rigel Pharmaceuticals, Inc.
- (14) Form S-8 No. 333-106532, pertaining to the 2000 Equity Incentive Plan, 2000 Employee Stock Purchase Plan and 2000 Non-Employee Directors' Stock Option Plan of Rigel Pharmaceuticals, Inc.
- (15) Form S-8 No. 333-72492, pertaining to the 2001 Non-Officer Equity Incentive Plan of Rigel Pharmaceuticals, Inc.
- (16) Form S-8 No. 333-51184 pertaining to the 2000 Equity Incentive Plan, 2000 Employee Stock Purchase Plan and 2000 Non-Employee Directors' Stock Option Plan of Rigel Pharmaceuticals, Inc.

in each case related to the sale of shares of common stock, and in the related prospectuses, as applicable, contained in such Registration Statements of our report dated November 2, 2007 relating to the unaudited interim condensed financial statements of Rigel Pharmaceuticals, Inc. that are included in its Form 10-Q for the quarter ended September 30, 2007.

Pursuant to Rule 436(c) of the Securities Act of 1933, our report is not a part of the registration statements prepared or certified by accountants within the meaning of section 7 or 11 of the Securities Act of 1933, as amended.

/s/ Ernst & Young LLP

Palo Alto, California
November 2, 2007

CERTIFICATIONS

I, James M. Gower, certify that:

1. I have reviewed this quarterly report on Form 10-Q of Rigel Pharmaceuticals, Inc.;
2. Based on my knowledge, this report does not contain any untrue statement of a material fact or omit to state a material fact necessary to make the statements made, in light of the circumstances under which such statements were made, not misleading with respect to the period covered by this report;
3. Based on my knowledge, the financial statements, and other financial information included in this report, fairly present in all material respects the financial condition, results of operations and cash flows of the registrant as of, and for, the periods presented in this report;
4. The registrant's other certifying officer(s) and I are responsible for establishing and maintaining disclosure controls and procedures (as defined in Exchange Act Rules 13a-15(e) and 15d-15(e)) and internal control over financial reporting (as defined in Exchange Act Rules 13a-15(f) and 15d-15(f)) for the registrant and have:
 - a) Designed such disclosure controls and procedures, or caused such disclosure controls and procedures to be designed under our supervision, to ensure that material information relating to the registrant, including its consolidated subsidiaries, is made known to us by others within those entities, particularly during the period in which this report is being prepared;
 - b) Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with generally accepted accounting principles;
 - c) Evaluated the effectiveness of the registrant's disclosure controls and procedures and presented in this report our conclusions about the effectiveness of the disclosure controls and procedures, as of the end of the period covered by this report based on such evaluation; and
 - d) Disclosed in this report any change in the registrant's internal control over financial reporting that occurred during the registrant's most recent fiscal quarter (the registrant's fourth fiscal quarter in the case of an annual report) that has materially affected, or is reasonably likely to materially affect, the registrant's internal control over financial reporting; and
5. The registrant's other certifying officer(s) and I have disclosed, based on our most recent evaluation of internal control over financial reporting, to the registrant's auditors and the audit committee of the registrant's board of directors (or persons performing the equivalent functions):
 - a) All significant deficiencies and material weaknesses in the design or operation of internal control over financial reporting which are reasonably likely to adversely affect the registrant's ability to record, process, summarize and report financial information; and
 - b) Any fraud, whether or not material, that involves management or other employees who have a significant role in the registrant's internal control over financial reporting.

Date: November 6, 2007

/s/ JAMES M. GOWER

James M. Gower

Chief Executive Officer

CERTIFICATIONS

I, Ryan Maynard, certify that:

1. I have reviewed this quarterly report on Form 10-Q of Rigel Pharmaceuticals, Inc.;
2. Based on my knowledge, this report does not contain any untrue statement of a material fact or omit to state a material fact necessary to make the statements made, in light of the circumstances under which such statements were made, not misleading with respect to the period covered by this report;
3. Based on my knowledge, the financial statements, and other financial information included in this report, fairly present in all material respects the financial condition, results of operations and cash flows of the registrant as of, and for, the periods presented in this report;
4. The registrant's other certifying officer(s) and I are responsible for establishing and maintaining disclosure controls and procedures (as defined in Exchange Act Rules 13a-15(e) and 15d-15(e)) and internal control over financial reporting (as defined in Exchange Act Rules 13a-15(f) and 15d-15(f)) for the registrant and have:
 - a) Designed such disclosure controls and procedures, or caused such disclosure controls and procedures to be designed under our supervision, to ensure that material information relating to the registrant, including its consolidated subsidiaries, is made known to us by others within those entities, particularly during the period in which this report is being prepared;
 - b) Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with generally accepted accounting principles;
 - c) Evaluated the effectiveness of the registrant's disclosure controls and procedures and presented in this report our conclusions about the effectiveness of the disclosure controls and procedures, as of the end of the period covered by this report based on such evaluation; and
 - d) Disclosed in this report any change in the registrant's internal control over financial reporting that occurred during the registrant's most recent fiscal quarter (the registrant's fourth fiscal quarter in the case of an annual report) that has materially affected, or is reasonably likely to materially affect, the registrant's internal control over financial reporting; and
5. The registrant's other certifying officer(s) and I have disclosed, based on our most recent evaluation of internal control over financial reporting, to the registrant's auditors and the audit committee of the registrant's board of directors (or persons performing the equivalent functions):
 - a) All significant deficiencies and material weaknesses in the design or operation of internal control over financial reporting which are reasonably likely to adversely affect the registrant's ability to record, process, summarize and report financial information; and
 - b) Any fraud, whether or not material, that involves management or other employees who have a significant role in the registrant's internal control over financial reporting.

Date: November 6, 2007

/s/ RYAN D. MAYNARD

Ryan D. Maynard

Vice President and Chief Financial Officer

CERTIFICATION

Pursuant to the requirement set forth in Rule 13a-14(b) of the Securities Exchange Act of 1934, as amended (the "Exchange Act"), and Section 1350 of Chapter 63 of Title 18 of the United States Code (18 U.S.C. §1350), James M. Gower, Chief Executive Officer of Rigel Pharmaceuticals, Inc. (the "Company"), and Ryan Maynard, Chief Financial Officer of the Company, each hereby certifies that, to the best of his knowledge:

1. The Company's Quarterly Report on Form 10-Q for the period ended September 30, 2007, to which this Certification is attached as Exhibit 32.1 (the "Periodic Report"), fully complies with the requirements of Section 13(a) or Section 15(d) of the Exchange Act, and
2. The information contained in the Periodic Report fairly presents, in all material respects, the financial condition and results of operations of the Company.

In Witness Whereof, the undersigned have set their hands hereto as of November 6, 2007.

/s/ JAMES M. GOWER

James M. Gower
Chief Executive Officer

/s/ RYAN D. MAYNARD

Ryan D. Maynard
Vice President and Chief Financial Officer

This certification accompanies the Form 10-Q to which it relates, is not deemed filed with the Securities and Exchange Commission and is not to be incorporated by reference into any filing of Rigel Pharmaceuticals, Inc. under the Securities Act of 1933, as amended, or the Securities Exchange Act of 1934, as amended (whether made before or after the date of the Form 10-Q), irrespective of any general incorporation language contained in such filing.
