

RIGEL PHARMACEUTICALS INC
Form 10-Q
November 07, 2006

**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, 2006.

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 2, 2006, there were 25,091,811 shares of the registrant's common stock outstanding.

RIGEL PHARMACEUTICALS, INC.
QUARTERLY REPORT ON FORM 10-Q
FOR THE QUARTERLY PERIOD ENDED SEPTEMBER 30, 2006

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PART I FINANCIAL INFORMATION

Item 1. Financial Statements

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

| | September 30, 2006 (unaudited) | December 31, 2005(1) |
|---|--------------------------------------|-------------------------|
| Assets | | |
| Current assets: | | |
| Cash and cash equivalents | \$ 55,421 | \$ 76,779 |
| Available-for-sale securities | 59,591 | 61,417 |
| Accounts receivable | 1,050 | 1,050 |
| Other receivables | 702 | 777 |
| Prepaid expenses and other current assets | 2,158 | 2,573 |
| Total current assets | 118,922 | 142,596 |
| Property and equipment, net | 3,163 | 3,457 |
| Other assets | 2,289 | 1,615 |
| | \$ 124,374 | \$ 147,668 |
| Liabilities and stockholders' equity | | |
| Current liabilities: | | |
| Accounts payable | \$ 1,452 | \$ 2,497 |
| Accrued compensation | 1,772 | 2,189 |
| Other accrued liabilities | 1,091 | 2,324 |
| Deferred revenue | 5,143 | 15,567 |
| Capital lease obligations | 1,278 | 1,070 |
| Total current liabilities | 10,736 | 23,647 |
| Long-term portion of capital lease obligations | 1,298 | 1,132 |
| Long-term portion of deferred revenue | | 2,771 |
| Long-term portion of deferred rent | 12,535 | 11,121 |
| Other long-term liabilities | 374 | 409 |
| Commitments | | |
| Stockholders' equity: | | |
| Common stock, \$0.001 par value; 100,000,000 shares authorized; 25,073,387 and 24,814,671 shares issued and outstanding on September 30, 2006 and December 31, 2005, respectively | 25 | 25 |
| Additional paid-in capital | 379,105 | 366,203 |
| Deferred stock compensation | | (26) |
| Accumulated other comprehensive gain (loss) | 4 | (92) |
| Accumulated deficit | (279,703) | (257,522) |
| Total stockholders' equity | 99,431 | 108,588 |
| | \$ 124,374 | \$ 147,668 |

Note (1) The balance sheet at December 31, 2005 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, 2005.

See accompanying notes.

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

| | Three Months Ended September 30, | | Nine Months Ended September 30, | |
|--|-------------------------------------|--------------|------------------------------------|--------------|
| | 2006 | 2005 | 2006 | 2005 |
| Contract revenues from collaborations | \$ 6,127 | \$ 3,282 | \$ 30,345 | \$ 10,506 |
| Costs and expenses: | | | | |
| Research and development | 14,050 | 13,676 | 41,966 | 38,656 |
| General and administrative | 4,804 | 3,383 | 14,532 | 9,686 |
| | 18,854 | 17,059 | 56,498 | 48,342 |
| Loss from operations | (12,727) | (13,777) | (26,153) | (37,836) |
| Interest income | 1,447 | 935 | 4,287 | 1,667 |
| Interest expense | (102) | (64) | (315) | (194) |
| Net loss | \$ (11,382) | \$ (12,906) | \$ (22,181) | \$ (36,363) |
| Net loss per common share, basic and diluted | \$ (0.46) | \$ (0.56) | \$ (0.89) | \$ (1.74) |
| Weighted average shares used in computing net loss per common share, basic and diluted | 24,987 | 23,235 | 24,882 | 20,958 |

See accompanying notes.

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

| | Nine Months Ended September 30, | |
|---|------------------------------------|--------------|
| | 2006 | 2005 |
| Operating activities | | |
| Net loss | \$ (22,181) | \$ (36,363) |
| Adjustments to reconcile net loss to net cash used in operating activities: | | |
| Depreciation and amortization | 989 | 683 |
| Non-cash stock compensation expense | 10,137 | 138 |
| Changes in assets and liabilities: | | |
| Accounts receivable | | (1,050) |
| Other receivables | 75 | 129 |
| Prepaid expenses and other current assets | 415 | (43) |
| Other assets | 127 | 113 |
| Accounts payable | (1,045) | 793 |
| Accrued compensation | (417) | (44) |
| Other accrued liabilities | (1,233) | 191 |
| Deferred revenue | (13,195) | 4,900 |
| Deferred rent and other long-term liabilities | 1,379 | (122) |
| Net cash used in operating activities | (24,949) | (30,675) |
| Investing activities | | |
| Purchases of available-for-sale securities | (53,526) | (45,984) |
| Maturities of available-for-sale securities | 55,448 | 70,894 |
| Capital expenditures | (695) | (533) |
| Net cash provided by investing activities | 1,227 | 24,377 |
| Financing activities | | |
| Proceeds from capital lease financings | 1,350 | 1,191 |
| Payments on capital lease obligations | (976) | (1,291) |
| Net proceeds from issuances of common stock | 1,990 | 87,659 |
| Net cash provided by financing activities | 2,364 | 87,559 |
| Net (decrease) increase in cash and cash equivalents | (21,358) | 81,261 |
| Cash and cash equivalents at beginning of period | 76,779 | 10,495 |
| Cash and cash equivalents at end of period | \$ 55,421 | \$ 91,756 |

See accompanying notes.

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

In this Quarterly 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 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 period. The balance sheet at December 31, 2005 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, 2005.

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. Actual results could differ from these estimates.

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

3. Basic and Diluted Net Loss Per Share

Basic net loss per share was computed by dividing the net loss for the period by the number of weighted average 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.

4. Stock Award Plans

We have two stock option plans, the 2000 Equity Incentive Plan and 2000 Non-Employee Directors Stock Option Plan, that provide for granting to our officers, directors and all other employees and consultants options to purchase shares of our common stock. Under the plans, we may issue non-qualified options or incentive stock options. We also have an employee stock purchase plan, or 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 benefits provided under these plans are share-based payments subject to the provisions of Statement of Financial Accounting Standards, or SFAS, No. 123(R), Share-Based Payment (Revised 2004), or SFAS 123(R), and guidance under the Securities and Exchange Commission's Staff Accounting Bulletin 107, or SAB No. 107.

Effective January 1, 2006, we adopted the provisions of SFAS 123(R) using the modified prospective application transition method. Under this method, the share-based compensation cost recognized beginning January 1, 2006 includes compensation cost for (i) all share-based payments granted prior to, but not vested as of January 1, 2006, based on the grant date fair value originally estimated in accordance with the provisions of SFAS No. 123, Accounting for Stock-Based Compensation, or SFAS 123, and calculated for pro forma disclosures under SFAS No. 148, Accounting for Stock-Based Compensation Transition and Disclosure, or SFAS 148, and (ii) all share-based

payments granted subsequent to January 1, 2006, based on the grant date fair value estimated in accordance with the provisions of SFAS 123(R). Compensation cost under SFAS 123(R) for awards granted prior to January 1, 2006 is recognized using an accelerated method pursuant to the Financial Accounting Standards Board, or FASB, Interpretation No. 28, Accounting for Stock Appreciation Rights and Other Variable Stock Option or Award Plans, or FIN 28. For awards granted after January 1, 2006, we have adopted the use of the straight-line attribution method over the requisite service period for the entire award. Results of prior periods do not reflect any restated amounts, and we had no cumulative effect adjustment upon adoption of SFAS No. 123(R) under the modified prospective method.

Impact of the Adoption of SFAS 123(R)

Total stock-based compensation expense related to all of the Company's share-based awards that we recognized for the three and nine months ended September 30, 2006 and 2005 was comprised as follows (in thousands, except per share amounts):

| | Three Months Ended September 30, | | Nine Months Ended September 30, | |
|---|-------------------------------------|---------|------------------------------------|---------|
| | 2006 | 2005 | 2006 | 2005 |
| Research and development | \$ 1,734 | \$ 570 | \$ 5,198 | \$ 109 |
| General and administrative | 1,715 | 214 | 4,939 | 29 |
| Stock-based compensation expense | \$ 3,449 | \$ 784 | \$ 10,137 | \$ 138 |
| Stock-based compensation expense per share | | | | |
| Basic and diluted | \$ 0.14 | \$ 0.03 | \$ 0.41 | \$ 0.01 |

We recorded approximately \$3.4 million in stock-based compensation expense for the three months ended September 30, 2006, consisting of approximately \$3.4 million in stock-based awards granted to officers, directors and all other employees from our stock option plans and ESPP and approximately \$11,000 from options granted to consultants. We recorded approximately \$10.1 million in stock-based compensation expense for the nine months ended September 30, 2006, consisting of approximately \$9.9 million in stock-based awards granted to officers, directors and all other employees from our stock option plans and ESPP and approximately \$195,000 from options granted to consultants. Pursuant to SFAS 123(R), we are required to estimate the amount of expected forfeitures when calculating compensation costs, instead of accounting for forfeitures as incurred, which was our previous method. Our annual weighted average forfeiture rate was 7.7% as of September 30, 2006, as compared to 7.6% as of June 30, 2006. The slight increase was due to employees who terminated employment in the third quarter of 2006. We will record actual forfeitures as they occur, and we will review our forfeiture rates each quarter and make changes to our estimate.

For the three and nine months ended September 30, 2006, we recorded stock-based compensation expense of approximately \$11,000 and \$195,000, respectively, associated with options granted to consultants, reflecting the fair value and periodic fair value remeasurement of outstanding consultant options under Emerging Issues Task Force No. 96-18, Accounting for Equity Instruments That are Issued to Other Than Employees for Acquiring, or in Conjunction with Selling Goods or Services, or EITF 96-18. The valuation is based upon the current market value of our common stock and other assumptions, including the expected future volatility of our stock price, risk-free interest rate and expected term. For consultant options granted in 2006, we amortized stock-based compensation using a straight-line attribution method consistent with the method used for employees and with the attribution election we made upon adoption of SFAS 123(R). For options granted prior to January 1, 2006, we used the accelerated method for expensing stock-based compensation, which was the method we used prior to adoption. We recorded stock-based compensation expense of approximately \$139,000 and \$24,000 for the three and nine months ended September 30, 2005, respectively, relating to consultant options. We expect to see continued fluctuations in the future as a portion of these options are remeasured based on the changes in the current market price of our common stock.

In 2005, we recorded charges associated with the stock options that were eligible for re-pricing under a tender offer initiated in June 2003. All replacement options, as well as the eligible options that were not surrendered under the original offer to exchange, were treated for financial reporting purposes as variable awards. Therefore, for

the period prior to adoption of SFAS 123(R), we recorded a non-cash charge, generally for the intrinsic value of the options as they vested in accordance with Accounting Principles Board Opinion No. 25, Accounting for Stock Issued to Employees, or APB 25, utilizing the accelerated vesting method, reflecting increases and decreases (down to, but not below, the exercise price) in the price of our common stock as compensation expense (recovery) in connection with the replacement options and the eligible options that were not exchanged. For the three and nine months ended September 30, 2005, we recorded stock-based compensation expense of approximately \$633,000 and \$82,000, respectively, related to all employee options eligible for replacement options. The expense resulted from the increase in the market price of our common stock during the three and nine-month period ended September 30, 2006. For periods after the adoption of SFAS 123(R), we continue to account for the vested portion of the options repriced prior to the adoption of SFAS 123(R) in accordance with provisions of SFAS 123. In August 2006, our board of directors granted new options to officers, directors and all other employees and consultants who held these repriced options that had expired in August 2006. We granted approximately 179,000 options with an exercise price of \$9.56 per share. The options vested 50% at the date of the grant and the remaining 50% will vest monthly over two years. We recorded stock-based compensation expense of approximately \$616,000 relating to these new options for the three and nine months ended September 30 2006.

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 (i.e., Officers and Directors, All Other Employees and Consultants) for purposes of determining fair values of options.

We determined weighted-average valuation assumptions separately for the 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 publicly listed 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 volatility of our stock in the future but determined that at this time, the historical volatility was more indicative of our expected future stock performance.
- **Expected term** - We worked with various data points to determine the most applicable expected term for each option group. The data points included: (1) expected term of the options from option grant date to exercise date; (2) cancellation term of options from grant date to cancellation date and excluding unvested option forfeitures from the determination; and (3) term of options that remain outstanding from grant date to the end of the reporting period. The analysis of the above data points gave us a range of expected terms to consider; however, 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 annual weighted-average forfeiture rate was approximately 7.7% as of September 30, 2006, as compared to 7.6% as of June 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, 2006 and 2005, as permitted under SFAS 123(R) for 2006 and SFAS 123 for 2005:

| | Stock Option Plans Three Months Ended September 30, | | | | Stock Option Plans Nine Months Ended September 30, | | | |
|--------------------------|---|---|------|---|--|---|------|---|
| | 2006 | | 2005 | | 2006 | | 2005 | |
| Risk-free interest rate | 4.8 | % | 4.1 | % | 4.7 | % | 4.1 | % |
| Expected term (in years) | 4.0 | | 3.3 | | 4.4 | | 2.9 | |
| Dividend yield | 0.0 | % | 0.0 | % | 0.0 | % | 0.0 | % |
| Expected volatility | 90.0 | % | 75.0 | % | 95.7 | % | 75.0 | % |

Option prices are not less than the market price of our common stock on the date of grant, become exercisable at varying dates and generally expire ten years from the date of grant. At September 30, 2006, options to purchase 1,435,173 shares of common stock were available for grant under our stock option plans.

Employee Stock Purchase Plan

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 whereby a new offering period begins when the fair market value of our common stock on a purchase date during an offering 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 period. 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 contractual life of the option 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, 2006, there were approximately 56,000 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, 2006 and 2005:

| | Employee Stock Purchase Plan Nine Months Ended September 30, | | | |
|--------------------------|--|---|------|---|
| | 2006 | | 2005 | |
| Risk-free interest rate | 4.6 | % | 4.1 | % |
| Expected term (in years) | 1.2 | | 0.5 | |
| Dividend yield | 0.0 | % | 0.0 | % |
| Expected volatility | 109.9 | % | 88.3 | % |

Stock-based Compensation Award Activity

The following table summarizes activity under our equity incentive and stock option plans as of September 30, 2006 (in thousands, except per share amounts):

| | Shares | Weighted-Average Exercise Price | Weighted-Average Remaining Contractual Term (Years) | Aggregate Intrinsic Value |
|---|-----------|---------------------------------|---|---------------------------|
| Outstanding at December 31, 2005 | 3,893,219 | \$ 15.98 | | |
| Granted | 1,221,592 | \$ 8.78 | | |
| Exercised | (176,586) |) \$ 7.93 | | |
| Forfeited/Expired/Cancelled | (461,931) |) \$ 14.49 | | |
| Outstanding at September 30, 2006 | 4,476,294 | \$ 14.49 | 8.11 | \$ 4,934,064 |
| Vested and expected to vest at September 30, 2006 | 4,323,810 | \$ 14.25 | | |
| Exercisable at September 30, 2006 | 2,488,237 | \$ 12.90 | 7.60 | \$ 3,516,754 |

The aggregate intrinsic value is calculated as the difference between the exercise price of the underlying awards and the quoted price of our common stock for the options to acquire 2.3 million shares that were in-the-money at September 30, 2006. During the nine months ended September 30, 2006 and 2005, the aggregate intrinsic value of options exercised under our stock option plans were approximately \$366,000 and \$911,000, respectively, determined as of the date of option exercise. As of September 30, 2006, there was approximately \$12.1 million of total unrecognized compensation cost, net of forfeitures, related to unvested share-based compensation arrangements granted under our stock option plans and \$618,000 of total unamortized compensation cost related to our ESPP. These costs are expected to be recognized over a weighted-average period of 1.63 years. We also had approximately 2.0 million of unvested stock options at September 30, 2006. Future option grants and their valuation will increase our compensation cost in the future as the options are granted, valued and expensed ratably according to their vesting periods. The weighted average grant-date fair values of options granted in the nine months ended September 30, 2006 and 2005 were \$6.23 and \$10.88, respectively.

Pro Forma Information under SFAS 123 for Periods Prior to Fiscal 2006

Prior to adopting SFAS 123(R) on January 1, 2006, we accounted for equity-based employee compensation costs under the recognition and measurement principles of APB 25. Under APB 25, the intrinsic value method of accounting, no compensation expense is recognized, because the exercise price of our employee stock options equals the market price of the underlying stock on the date of grant. Pro forma information regarding net loss and net loss per share was determined as if we had accounted for issuances under our stock option plans and ESPP under the fair value method prescribed by SFAS 123, as amended by SFAS No. 148. The fair value for these options was estimated at the date of grant using the Black-Scholes option pricing model. See the weighted-average assumptions discussed above.

For purposes of pro forma disclosures, the estimated fair value of the options was amortized to expense over the vesting period of the options prior to adopting SFAS 123(R). Our pro forma information is as follows (in thousands, except per share amounts):

| | Three Months Ended September 30, 2005 | Nine Months Ended September 30, 2005 |
|--|---------------------------------------|--------------------------------------|
| Net loss as reported: | \$ (12,906) |) \$ (36,363) |
| Add: Total stock-based employee compensation expense determined under APB 25 | 645 | 114 |
| Deduct: Total stock-based employee compensation expense determined under the fair value based method of all awards | (1,962) |) (5,824) |
| Pro forma net loss | \$ (14,223) |) \$ (42,073) |
| Basic and diluted net loss per common share: | | |
| As reported | \$ (0.56) |) \$ (1.74) |
| Pro forma | \$ (0.61) |) \$ (2.01) |

5. 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 are recognizing a pro-rata portion of the invoiced amounts for funding of our research scientists based on the headcount dedicated to the project. 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.

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

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

| | September 30, 2006 | December 31, 2005 |
|-------------------------------|-----------------------|----------------------|
| Checking account | \$ 142 | \$ 742 |
| Money market funds | 14,381 | 3,421 |
| Federal agency securities | 14,723 | 21,067 |
| Corporate bonds and notes | 85,766 | 112,966 |
| | \$ 115,012 | \$ 138,196 |
| Reported as: | | |
| Cash and cash equivalents | \$ 55,421 | \$ 76,779 |
| Available-for-sale securities | 59,591 | 61,417 |
| | \$ 115,012 | \$ 138,196 |

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| September 30, 2006 | Amortized Cost | Gross Unrealized Gains | Gross Unrealized Losses | Fair Value |
|---------------------------|---------------------------|---------------------------------------|--|-------------------|
| Federal agency securities | \$ 14,741 | \$ 2 | \$ (20) | \$ 14,723 |
| Corporate bonds and note | 44,846 | 31 | (9) | 44,868 |
| Total | \$ 59,587 | \$ 33 | \$ (29) | \$ 59,591 |

| December 31, 2005 | Amortized Cost | Gross Unrealized Gains | Gross Unrealized Losses | Fair Value |
|---------------------------|---------------------------|---------------------------------------|--|-------------------|
| Federal agency securities | \$ 17,090 | \$ 1 | \$ (17) | \$ 17,074 |
| Corporate bonds and note | 44,419 | 1 | (77) | 44,343 |
| Total | 61,509 | 2 | (94) | 61,417 |

At September 30, 2006, the above debt securities had a weighted average maturity of approximately 114 days. Two federal agency securities with a fair market value of \$3.0 million had a maturity greater than 360 days.

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

| September 30, 2006 | Fair Value | Unrealized Losses |
|---------------------------|-------------------|------------------------------|
| Federal agency securities | \$ 10,241 | \$ (20) |
| Corporate bonds and notes | 14,155 | (9) |
| Total | \$ 24,396 | \$ (29) |

| December 31, 2005 | Fair Value | Unrealized Losses |
|---------------------------|-------------------|------------------------------|
| Federal agency securities | \$ 12,879 | \$ (17) |
| Corporate bonds and notes | 40,615 | (77) |
| Total | \$ 53,494 | \$ (94) |

At September 30, 2006, we had two investments with a combined fair market value of approximately \$3.5 million that had been in a continuous unrealized loss position for more than twelve months. As of September 30, 2006, this unrealized loss amount was approximately \$2,000. We have not recorded an impairment charge as of September 30, 2006, since we have the ability and intent to hold these investments to maturity at which time no gain or loss would be recognized. Both of these investments will mature by October 31, 2006. As of December 31, 2005, we had an investment in corporate bonds and notes with a fair market value of approximately \$1.0 million that was in a continuous unrealized loss position for more than twelve months. During the periods presented, there were no recorded realized gains or losses on investments.

As of September 30, 2006, a total of 15 individual securities were in an unrealized loss position for twelve months or less and deemed to be temporary. As of December 31, 2005, 34 individual securities were in an unrealized loss position for less than twelve months and deemed to be temporary.

7. Serono Collaboration

In October 2005, we entered into a collaborative research and license agreement with Serono granting it an exclusive license to develop and commercialize product candidates from our Aurora kinase inhibitor program. The collaboration and our efforts under the agreement were focused on R763. We were responsible for all costs

associated with the preparation and filing of an Investigational New Drug application, or IND, for R763, which we filed in December 2005. Serono is responsible for all development of R763 following regulatory acceptance of the IND and will bear all costs thereafter. In connection with this collaboration, Serono paid us an upfront payment of \$10.0 million. In addition, Serono purchased \$15.0 million of our common stock at a premium.

During February 2006, we received a payment of \$5.0 million in connection with the regulatory acceptance of the R763 IND in January 2006. We amortized the payment on a straight-line basis thru April 2006, when our involvement with the amended IND was completed. We will be eligible to receive additional milestone payments, under certain conditions, upon commencement of a Phase I clinical trial for R763, certain other clinical events and marketing approval, as well as royalties on any future product sales.

We were originally amortizing the \$10.0 million upfront payment into revenue over a nine-month period commencing with the initiation of the collaboration in October 2005. This was the period of time that we originally estimated it would take to perform our deliverables under the contract. When we reevaluated this estimate in the second quarter of 2006, we concluded that we had achieved all our deliverables and should therefore recognize all of the remaining unamortized amount. This change in estimate resulted in the recognition of approximately \$860,000 of revenue in the second quarter of 2006 instead of in the third quarter of 2006.

In September 2006, we received a \$3.0 million milestone payment from Serono in connection with the initiation of the Phase I study of R763.

8. Pfizer Collaboration

In January 2005, we signed a collaborative research and license agreement with Pfizer for the development of inhaled products for the treatment of allergic asthma and chronic obstructive pulmonary disease. The agreement gives Pfizer the exclusive right to nominate up to two spleen tyrosine kinase, or Syk, inhibitors, under certain conditions, to commence advanced preclinical development. Pfizer will have the exclusive right to develop any nominated compound, and we will earn milestone payments upon the selection of each of the two compounds and other clinical events as well as royalties on any future product sales. Pfizer is responsible for the manufacture of all preclinical and clinical materials for each compound or product and all costs associated with development and commercialization.

In May 2006, we achieved the first milestone payment when Pfizer nominated R343 to commence advanced preclinical development in allergic asthma. Pfizer paid us \$5.0 million for the exclusive right to R343 and will be responsible for all development related to R343 going forward.

9. Facility Lease Amendment

In July 2006, we amended our facility lease in order to defer certain rent payments to originally occur in 2006 and 2007. The deferred payments are due to be paid to the landlord over the period starting from 2009 to 2012, but we may prepay the deferred amount at any time without penalty. We reevaluated the lease amendment under FAS 13, *Accounting for Leases* and determined that the amended lease still qualified as an operating lease. In conjunction with the lease amendment, a warrant was issued to purchase 100,000 shares of our common stock at \$10.57 per share. The warrant remains exercisable until July 2013 and is exercisable at the option of the holder. The fair value of the warrant using the Black-Scholes valuation method was approximately \$800,000, which we determined using an estimated volatility rate of 99%, risk-free interest rate of approximately 5% and an expected term of 7 years, the term of the warrant. The fair value of the warrant is recorded in *Prepaid expenses and other current assets* on our balance sheet and is being amortized approximately over 12 years, the remaining life of the lease.

10. Equipment Lease Line

In June 2006, we obtained approval to extend our equipment lease line to create a new total borrowing limit of \$1.5 million. We have the ability to draw down on this line through June 2007. The repayment period will be for three years beginning on the first draw down with the interest rate on the line fixed at each drawdown. Each line has a bargain purchase buyout provision of \$101. During the three months ended September 30, 2006, we drew down approximately \$93,000, which is included in our capital lease obligation on the balance sheet. Approximately \$1.2 million remained available under the line as of September 30, 2006.

Report of Independent Registered Public Accounting Firm

The Board of Directors

Rigel Pharmaceuticals, Inc.

We have reviewed the accompanying balance sheet of Rigel Pharmaceuticals, Inc. as of September 30, 2006, the related condensed statements of operations for the three and nine-month periods ended September 30, 2006 and 2005, and the condensed statements of cash flows for the nine-month period ended September 30, 2006 and 2005. 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, 2005, and the related statements of operations, shareholders' equity, and cash flows for the year then ended (not presented herein), and in our report dated March 3, 2006, we expressed an unqualified opinion on those financial statements. In our opinion, the information set forth in the accompanying balance sheet as of December 31, 2005, is fairly stated, in all material respects, in relation to the balance sheet from which it has been derived.

/s/ Ernst & Young LLP

Palo Alto, California
October 20, 2006

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 2005 audited financial statements and accompanying notes included in our Annual Report on Form 10-K for the year ended December 31, 2005. Operating results for the three and nine months ended September 30, 2006 are not necessarily indicative of results that may occur in future periods.

We usually use words such as may, will, should, could, expect, plan, anticipate, believe, estimate, predict, future, intend, potential or continue 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 intent, belief or expectation, 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

Rigel is a clinical-stage drug development company that discovers and develops novel, small-molecule drugs for the treatment of inflammatory diseases, cancer and viral diseases. Our goal is to file one new investigative new drug (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 product development programs in inflammatory/autoimmune diseases such as rheumatoid arthritis, thrombocytopenia, asthma and allergy as well as in cancer.

Rigel has multiple product candidates in development as follows:

- *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 I single center, double-blind, randomized, placebo-controlled trial evaluating the safety and pharmacokinetics of escalating single and multiple doses of R788. We completed R788 studies to evaluate its safety and pharmacokinetics in combination with methotrexate, a commonly prescribed treatment for RA. Results of this trial suggest no adverse interaction. In September 2006, we commenced a Phase II, multicenter, ascending dose, randomized, double-blind, placebo-controlled, dose ranging study to evaluate up to three doses of R788 in RA patients failing to respond to methotrexate. We expect to receive results from the study in the second half of 2007.
- *R788 Product Candidate for Immune Thrombocytopenic Purpura (ITP).* Platelet destruction from ITP is mediated by IgG signaling. R788 is a potent inhibitor of IgG signaling. In preclinical studies, R788 was shown to improve thrombocytopenia in an ITP mouse model. We plan to commence Phase II clinical trials of R788 to evaluate its safety and efficacy in refractory ITP patients by the end of 2006.
- *R788 Product Candidate for B-Cell Lymphoma.* Research has shown that Syk overactivity 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 expect to file an IND for this indication by the end of the 2006 and begin clinical trials in the first half of 2007.

- *R763 Product Candidate for Oncology.* R763 is a potent, highly-selective, small-molecule inhibitor of Aurora kinase targeting cancer cell proliferation. In October 2005, we signed a licensing agreement with Serono that grants to 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 Food and Drug Administration, or FDA, in December 2005, and were allowed to proceed under the IND in January 2006. Serono is responsible for the further development and commercialization of R763. During February 2006, we received a payment of \$5.0 million from Serono triggered by the regulatory acceptance. In September 2006, we received a payment of \$3.0 million from Serono triggered by Serono's initiation of a Phase I trial for R763. The Phase I trial is a multicenter study on patients with refractory solid tumors.

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, we achieved the first collaboration milestone when Pfizer nominated R343 to commence advanced preclinical development in allergic asthma. Pfizer paid us \$5.0 million for the exclusive right to R343, which is expected to be delivered using Pfizer's dry powder inhaler and is expected to enter the clinic in 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 planned for 2007. We are also studying Axl inhibition in oncology. In addition to the aforementioned product candidates, we have ongoing research programs involving back-up candidates for the product candidates above and drug discovery efforts in our immunology/inflammation, virology and oncology programs.

Corporate Collaborations

We carry on research and development programs in connection with our corporate collaborations. As of September 30, 2006, we had collaborations with six major pharmaceutical/biotech companies comprised of: 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 and the other in the first quarter of 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. in the area of oncology, one with Merck, in the area of oncology, and one with Serono in the area of oncology. All of these collaborations, excluding the recent Pfizer and the Serono collaborations, have a research phase during which we receive or received funding based on the level of headcount allocated to a program. 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. Only the Merck program currently provides for regular research reimbursement payments.

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 recently with Merck are both later stage, focusing on drug discovery and development. Our 2005 collaboration with Pfizer covers a compound that Pfizer selected for advanced preclinical development in May 2006, while our 2005 collaboration with 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.

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 milestones), investments, stock compensation, impairment issues, the estimated useful life of assets and contingencies, on an on-going basis. In June 2006, we evaluated the period for amortizing the Serono upfront payment and concluded that we had achieved all of our deliverables under the contract. See further discussion in Note 7 to the financial statements included in this report. We base our estimates 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. We believe the following critical accounting policies affect our more significant judgments and estimates used in the preparation of our financial statements.

We believe that there have been no significant changes in our critical accounting policies during the period ended September 30, 2006 as compared to those previously disclosed in our Annual Report on Form 10-K for the year ended December 31, 2005, except for the adoption of Statement of Financial Accounting Standards, or SFAS, No. 123(R), Share-Based Payment (Revised 2004), or SFAS 123(R), for equity-based compensation costs in January 2006.

Revenue Recognition

We recognize revenue from our contract arrangements. Our revenue arrangements with multiple elements are evaluated under Emerging Issues Task Force 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 are recognizing a pro-rata portion of the invoiced amounts for funding of our research scientists based on the headcount dedicated to the project. 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

| | Three months ended September 30, 2006 (in thousands) | | Aggregate Change 2006 from 2005 | | Nine months ended September 30, 2005 | | Aggregate Change 2006 from 2005 | |
|---|---|--------|------------------------------------|-----------|--|----------|------------------------------------|--|
| Stock-based compensation expense from: | | | | | | | | |
| Officer, director and employee options | \$ 3,438 | \$ 633 | \$ 2,805 | \$ 9,942 | \$ 82 | \$ 9,860 | | |
| Consultant options | 11 | 139 | (128) | 195 | 24 | 171 | | |
| Other employee options | | 12 | (12) | | 32 | (32) | | |
| Total | \$ 3,449 | \$ 784 | \$ 2,665 | \$ 10,137 | \$ 138 | \$ 9,999 | | |

We grant options to purchase our common stock to our officers, directors and all other employees and consultants under our stock option plans. Eligible employees can also 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 under our employee stock purchase plan, or ESPP. The benefits provided under these plans are share-based payments subject to the provisions of SFAS 123(R). Effective January 1, 2006, we adopted the provisions of SFAS 123(R) using the modified prospective application transition method. Under this method, the share-based compensation cost recognized beginning January 1, 2006 includes compensation cost for (i) all share-based payments granted prior to, but not vested as of January 1, 2006, based on the grant date fair value originally estimated in accordance with the provisions of SFAS 123, Accounting for Stock-Based Compensation, or SFAS 123, and calculated for pro forma disclosures under SFAS No. 148, Accounting for Stock-Based Compensation Transition and Disclosure, or SFAS 148, and (ii) all share-based payments granted subsequent to January 1, 2006, based on the grant date fair value estimated in accordance with the provisions of SFAS 123(R) and Staff Accounting Bulletin No. 107, or SAB 107. Compensation cost under SFAS 123(R) for awards granted prior to January 1, 2006 is recognized using an accelerated method pursuant to the FASB Interpretation No. 28, Accounting for Stock Appreciation Rights and Other Variable Stock Option or Award Plans, or FIN 28. For awards granted after January 1, 2006, we have adopted the use of the straight-line attribution method over the requisite service period for the entire award. Results of prior periods do not reflect any restated amounts, and the cumulative effect of a change in accounting principle was insignificant upon adoption of SFAS No. 123(R) under the modified prospective method. In addition pursuant to SFAS 123(R), we are required to estimate the amount of expected forfeitures when calculating compensation costs, instead of accounting for forfeitures as incurred, which was our previous method. We will record actual forfeitures as they occur, and we will review our forfeiture rates each quarter and make any necessary changes our estimates.

Prior to adopting SFAS 123(R) on January 1, 2006, we accounted for equity-based employee compensation costs under the recognition and measurement principles of Accounting Principles Board Opinion No. 25, Accounting for Stock Issued to Employees, or APB 25. Under APB 25, the intrinsic value method of accounting, no compensation expense is recognized, because the exercise price of our employee stock options equals the market price of the underlying stock on the date of grant. Pro forma information regarding net loss and net loss per share was determined as if we had accounted for issuances under our stock option plans and ESPP under the fair value method prescribed by SFAS 123, as amended by SFAS 148. The fair value for these options was estimated at the date of grant using the Black-Scholes option pricing model.

In 2005, we recorded charges associated with the stock options that were eligible for re-pricing under a tender offer initiated in June 2003. All replacement options, as well as the eligible options that were not surrendered under the original offer to exchange, were treated for financial reporting purposes as variable awards. Therefore, for the period prior to adoption of SFAS 123(R), we recorded a non-cash charge, generally for the intrinsic value of the options as they vested, utilizing the accelerated vesting method, reflecting increases and decreases (down to, but not below, the exercise price) in the price of our common stock as compensation expense (recovery) in connection with the replacement options and the eligible options that were not exchanged. For the three and nine months ended September 30, 2005, we recorded non-cash compensation expense of approximately \$633,000 and \$82,000 respectively, related to all employee options eligible for replacement options. The expense resulted from the

increase in the market price of our common stock during the three and nine-month periods ended September 30, 2005. For periods after the adoption of SFAS 123(R), we continue to account for the repriced options prior to the adoption of SFAS 123(R) in accordance with provisions of SFAS 123. In August 2006, our board of directors granted new options to employees, non-employee directors and consultants who held repriced options that had expired in August 2006. We granted approximately 179,000 options with an exercise price of \$9.56 per share. The options vested 50% at the date of the grant and the remaining 50% will vest monthly over two years. We recorded stock-based compensation expense of approximately \$616,000 relating to these new options that vested during the period ended September 30, 2006.

We also record charges associated with options granted to consultants reflecting the fair value valuation and periodic fair value remeasurement of outstanding consultant options under Emerging Issues Task Force No. 96-18, Accounting for Equity Instruments That are Issued to Other Employees for Acquiring, or in Conjunction with Selling Goods or Services, or EITF 96-18. The valuation is based upon the current market value of our common stock and other assumptions, including the expected future volatility of our stock price, risk-free interest rate and expected term. For consultant options granted in 2006, we amortize stock-based compensation using a straight-line attribution method consistent with the method used for employees and with the attribution election we made upon adoption of SFAS 123(R). For options granted prior to January 1, 2006, we used the accelerated method for expensing stock-based compensation, which was the method we used prior to adoption. We expect to see continued fluctuations in the future as a portion of these options are remeasured based on the changes in the current market price of our common stock.

The determination of the fair value of share-based payment awards on the date of grant using an 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, our expected stock price volatility over the term of the awards, actual and projected employee stock option exercise behaviors, risk-free interest rate and expected dividends.

If 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).

The guidance in and application of SFAS 123(R) and the Securities and Exchange Commission's Staff Accounting Bulletin No. 107, or SAB 107, may be subject to further interpretation and refinement over time. There are significant differences among valuation models, and there is a possibility that we may adopt different valuation models in the future. This may result in a lack of consistency in future periods and materially affect the fair value estimate of share-based payments. It may also result in a lack of comparability with other companies that use different models, methods and assumptions.

Three and Nine Months Ended September 30, 2006 and 2005

Revenues

| | Three months ended September 30, 2006 (in thousands) | | Aggregate Change 2006 from 2005 | Nine months ended September 30, 2006 (in thousands) | | Aggregate Change 2006 from 2005 |
|--|---|----------|------------------------------------|--|-----------|------------------------------------|
| | 2006 | 2005 | | 2006 | 2005 | |
| <i>Contract revenues from collaborations</i> | \$ 6,127 | \$ 3,282 | \$ 2,845 | \$ 30,345 | \$ 10,506 | \$ 19,839 |

Revenues by collaborator were:

| | Three months ended September 30, 2006 (in thousands) | | Aggregate Change 2006 from 2005 | Nine months ended September 30, 2006 (in thousands) | | Aggregate Change 2006 from 2005 |
|----------------|---|----------|------------------------------------|--|-----------|------------------------------------|
| | 2006 | 2005 | | 2006 | 2005 | |
| <i>Serono</i> | \$ 3,000 | \$ | \$ 3,000 | \$ 15,527 | \$ | \$ 15,527 |
| <i>Merck</i> | 1,877 | 1,812 | 65 | 6,068 | 5,072 | 996 |
| <i>Pfizer</i> | 1,250 | 1,250 | | 8,750 | 2,991 | 5,759 |
| <i>Daiichi</i> | | 220 | (220) | | 2,443 | (2,443) |
| Total | \$ 6,127 | \$ 3,282 | \$ 2,845 | \$ 30,345 | \$ 10,506 | \$ 19,839 |

Contract revenues from collaborations for the three and nine months ended September 30, 2006 and 2005 consisted primarily of research support and amortization of upfront fees and milestone payments from the continuation of our current collaborations. The increase in revenues for the three months ended September 30, 2006 as compared to the similar period in 2005 was primarily due to the recognition of Serono's milestone payment to us of \$3.0 million upon the initiation of a Phase 1 study for R763. The increase in revenues for the nine months ended September 30, 2006 as compared to the similar period in 2005 was primarily due to the recognition of Serono milestone payments totaling \$8.0 million, the amortization of the Serono upfront payment of \$7.5 million and the recognition of the Pfizer milestone payment of \$5.0 million for the nomination of R343, offset by the termination of the Daiichi collaboration in 2005. We have deferred approximately \$958,000 of research reimbursement revenue from Merck in order to account for the headcount effort expended by us for the time period invoiced, which covers the period from the initiation of the collaboration through September 30, 2006. We expect this amount will be recognized as revenue no later than at the end of the research phase of the collaboration, which is scheduled to be in May 2007. We expect contract revenues from collaborations to be a significant component of our total revenues for the foreseeable future.

Research and Development Expenses

| | Three months ended September 30, 2006 (in thousands) | | Aggregate Change 2006 from 2005 | Nine months ended September 30, 2006 (in thousands) | | Aggregate Change 2006 from 2005 |
|---|---|-----------|------------------------------------|--|-----------|------------------------------------|
| | 2006 | 2005 | | 2006 | 2005 | |
| <i>Research and development expenses</i> | \$ 14,050 | \$ 13,676 | \$ 374 | \$ 41,966 | \$ 38,656 | \$ 3,310 |
| <i>Stock-based compensation expense included in research and development expenses</i> | 1,734 | 570 | 1,164 | 5,198 | 109 | 5,089 |

The increase in research and development expenses for the three months ended September 30, 2006, as compared to the similar period in 2005, was primarily attributable to an increase in stock-based compensation expense upon the adoption of SFAS 123(R) as previously discussed under

Critical Accounting Policies and the Use of Estimates - Stock-based Compensation, offset by a decrease in preclinical and clinical costs. The decrease in preclinical and clinical costs in the three months ended September 30, 2006, as compared to the similar period in 2005, was primarily due to the termination of the R112 program in 2005 and the transfer of sponsorship relating to R763 to Serono in 2006. The increase in research and development expenses for the nine months ended September 30, 2006, compared to the similar period in 2005, was primarily due to an increase in stock-based compensation expense upon adoption of SFAS 123(R), offset by a decrease in preclinical and clinical costs. The decrease in preclinical and clinical costs in the nine months ended September 30, 2006, compared to the same period in 2005, was primarily attributable to the decrease in costs relating to termination of the R112 and R803 programs and the transfer of R763 to Serono as discussed above, offset by increased costs relating to our R788 program. We expect that our research and development expenses will increase through the remainder of 2006 as we continue our Phase II trial of R788 for RA, commence our Phase II trial of R788 for ITP and continue to work on programs for other indications.

The scope and magnitude of future research and development expenses are difficult to predict at this time 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 I, II and III clinical studies in humans each of which 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, 2006 (in thousands) | | Aggregate Change 2006 from 2005 | Nine months ended September 30, 2006 (in thousands) | | Aggregate Change 2006 from 2005 |
|---|---|----------|------------------------------------|--|----------|------------------------------------|
| <i>General and administrative expenses</i> | \$ 4,804 | \$ 3,383 | \$ 1,421 | \$ 14,532 | \$ 9,686 | \$ 4,846 |
| <i>Stock-based compensation expense included in general and administrative expenses</i> | 1,715 | 214 | 1,501 | 4,939 | 29 | 4,910 |

The increases in general and administrative expenses for the three and nine months ended September 30, 2006, as compared to similar periods in 2005, is primarily attributable to an increase in stock-based compensation expense upon the adoption of SFAS 123(R) as previously discussed under Critical Accounting Policies and the Use of Estimates - Stock-based Compensation .

Interest Income

| | Three months ended September 30, 2006 (in thousands) | | Aggregate Change 2006 from 2005 | Nine months ended September 30, 2006 (in thousands) | | Aggregate Change 2006 from 2005 |
|------------------------|---|--------|------------------------------------|--|----------|------------------------------------|
| <i>Interest income</i> | \$ 1,447 | \$ 935 | \$ 512 | \$ 4,287 | \$ 1,667 | \$ 2,620 |

Interest income results from our interest-bearing cash and investment balances. The increase in the three and nine months ended September 30, 2006, as compared to similar periods in 2005, is attributable to an increase in our overall investment balances as a result of the public offering we completed in July 2005 in which we raised \$81.6 million in net proceeds, combined with an increase in the rate of interest we earned on the balances.

Interest Expense

| | Three months ended September 30, 2006 (in thousands) | | Aggregate Change 2006 from 2005 | Nine months ended September 30, 2006 (in thousands) | | Aggregate Change 2006 from 2005 |
|-------------------------|---|-------|------------------------------------|--|--------|------------------------------------|
| <i>Interest expense</i> | \$ 102 | \$ 64 | \$ 38 | \$ 315 | \$ 194 | \$ 121 |

Interest expense is the result of our capital lease obligations associated with fixed asset acquisitions. Interest expense increased for the three and nine months ended September 30, 2006 and 2005 due to the increase in debt 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

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payments under our collaboration agreements and equipment financing arrangements. 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 infrastructure and 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. 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 for the foreseeable future. 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 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. As of September 30, 2006, we had approximately \$115.0 million in cash, cash equivalents and available-for-sale securities, as compared to \$138.2 million as of December 31, 2005, a decrease of \$23.2 million. The decrease was attributable to operating spending in the period, offset by the receipts of \$8.0 million from Serono, \$5.0 million from Pfizer and approximately \$4.1 million from Merck. We also received approximately \$2.0 million from the issuance of common stock resulting from option exercises and approximately \$1.4 million under our equipment financing arrangements, which was offset by debt service payments of approximately \$976,000 relating to the equipment financing arrangements. We expect

that our net cash used in operations for the remainder of 2006 will increase as we continue our Phase II clinical trial of R788 for RA, commence our Phase II trial of R788 for ITP and continue to work on programs for other indications. For the three and nine months ended September 30, 2006 and 2005, 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.

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Contractual Obligations

As of September 30, 2006, we had the following contractual commitments (by fiscal year) associated with debt and lease obligations:

| | Total (in thousands) | 2006 | 2007-2009 | 2010-2012 | 2013-2018 |
|--|-------------------------|----------|-----------|-----------|-----------|
| Debt obligations (1) | \$ 2,768 | \$ 267 | \$ 2,501 | \$ | \$ |
| Facilities lease, net of sublease (2)(3) | 162,008 | 1,469 | 39,663 | 44,753 | 76,123 |
| Total | \$ 164,776 | \$ 1,736 | \$ 42,164 | \$ 44,753 | \$ 76,123 |

(1) As of September 30, 2006, we had approximately \$2.6 million in debt obligations associated with our equipment additions. All existing debt agreements as of September 30, 2006 are secured by the equipment financed, bear interest at rates in a range of 8.8% to 12.2% and are due in monthly installments through 2009.

(2) During May 2004, we initiated a sublease of approximately 15,000 square feet of our premises to a tenant for a period of two years. This sublease was amended in September 2005 to extend the term for an additional year. The facilities lease obligations above are reflective of the new sublease income stream of \$496,000.

(3) These payments reflect the terms of the recent amendment to our lease.

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, 2006 and 2005, 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 have operated 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, 2006.

Changes in Internal Controls. There were no changes in our internal controls over financial reporting during the quarter ended September 30, 2006 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

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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 this 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, 2006.*

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 infrastructure and 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. 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 for the foreseeable future. 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 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 \$22.2 million for the first nine months of 2006, \$45.3 million in 2005 and \$56.3 million in 2004. Currently, our revenues are generated solely from research payments pursuant to our collaboration agreements and licenses and are insufficient to generate profitable operations. As of September 30, 2006, we had an accumulated deficit of approximately \$279.7 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.

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 is for both RA and ITP, which is proprietary to our company and the other is for oncology, which is subject to a collaboration agreement with 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 product compounds in clinic 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, marketing, 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. For example, in December 2005, we completed a Phase II clinical trial of R112 for the treatment of allergic rhinitis, which did not achieve the primary endpoint.

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. Environmental conditions may impact the execution of some clinical trials, particularly during the allergy season for our allergic rhinitis program.

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 candidates, including R788 for clinical trials. We also rely on a single manufacturer for R788 product for clinical testing. We will rely on manufacturers to deliver materials on a timely basis and to comply with applicable regulatory requirements, including the U.S. Food and Drug Administration's, or 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, 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.

If our current corporate collaborations or license agreements are unsuccessful, our research and development efforts could be delayed.

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 in 2005, we signed additional collaborations with Pfizer and Serono. These agreements could be terminated by the other party, 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 200 pending patent applications and over 75 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.

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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

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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;
- 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

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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.

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 149 employees as of September 30, 2006, 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.

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.

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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;

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- 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.

Item 2. Unregistered Sales of Equity Securities and Use of Proceeds

In July 2006, we amended our facility lease in order to defer certain rent payments to originally occur in 2006 and 2007. The amended lease agreement also included a warrant to purchase 100,000 shares of our common stock at \$10.57 per share. The warrant was issued to Kwacker Limited and remains exercisable until July 2013. The warrant was issued in reliance upon the exemption from the registration requirements under the Securities Act of 1933, as amended, pursuant to Regulation D thereunder. Rigel relied upon representations and covenants of Kwacker in support of the satisfaction of the conditions contained in Regulation D under the Securities Act.

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.

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 7, 2006

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

Date: November 7, 2006

INDEX TO EXHIBITS

| Exhibit Number | Description of Document |
|-----------------------|---|
| 3.1 | Amended and Restated Certificate of Incorporation.(1) |
| 3.2 | Amended and Restated Bylaws.(2) |
| 4.6 | Warrant issued to Kwacker Limited for the purchase of shares of common stock |
| 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 on June 24, 2003 and incorporated herein by reference.

(2) Filed as an exhibit to Rigel's Registration Statement on Form S-1, as amended, and incorporated herein by reference.

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