

REPOS THERAPEUTICS INC.  
Form S-1/A  
February 02, 2011

As filed with the Securities and Exchange Commission on February 1, 2011

Registration Statement File No. 333-171196

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

Amendment No. 1  
to  
FORM S-1

REGISTRATION STATEMENT UNDER THE SECURITIES ACT OF 1933

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REPOS THERAPEUTICS INC.  
(Exact name of registrant as specified in its charter)

Delaware  
(State or other jurisdiction of  
incorporation or organization)

2834  
(Primary Standard Industrial  
Classification Code Number)

76-0233274  
(I.R.S. Employer Identification  
Number)

2408 Timberloch Place, Suite B-7  
The Woodlands, Texas 77380  
(281) 719-3400  
(Address, including zip code, and telephone number, including area code,  
of registrant's principal executive offices)

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Joseph S. Podolski  
President and Chief Executive Officer  
Repos Therapeutics Inc.  
2408 Timberloch Place, Suite B-7  
The Woodlands, Texas 77380  
(281) 719-3400  
(Name, address, including zip code, and telephone number,  
including area code, of agent for service)

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APPROXIMATE DATE OF COMMENCEMENT OF PROPOSED SALE TO THE PUBLIC: As soon as practicable after this Registration Statement becomes effective.

If any of the securities being registered on this Form are to be offered on a delayed or continuous basis pursuant to Rule 415 under the Securities Act of 1933, check the following box.

If this Form is filed to register additional securities for an offering pursuant to Rule 462(b) under the Securities Act, please check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering.

If this Form is a post-effective amendment filed pursuant to Rule 462(c) under the Securities Act, check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering.

If this Form is a post-effective amendment filed pursuant to Rule 462(d) under the Securities Act, check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering.

Indicate by check mark whether the registrant is a large accelerated filer, an accelerated filer, a non-accelerated filer, or a smaller reporting company. See definitions of "large accelerated filer," "accelerated filer," and "smaller reporting company" in Rule 12b-2 of the Exchange Act.

|                         |                          |                           |                                     |
|-------------------------|--------------------------|---------------------------|-------------------------------------|
| Large accelerated filer | <input type="checkbox"/> | Accelerated filer         | <input type="checkbox"/>            |
| Non-accelerated filer   | <input type="checkbox"/> | Smaller reporting company | <input checked="" type="checkbox"/> |

CALCULATION OF REGISTRATION FEE

| Title of Each Class of Securities to be Registered  | Amount to be Registered | Proposed Maximum Offering Price Per Security(1) | Proposed Maximum Aggregate Offering Price(1) | Amount of Registration Fee |
|---|-------------------------|---|--|----------------------------|
| Units, each unit consisting of four shares of Common Stock, par value \$.001 per share, three Series A Warrants to purchase Common Stock and 2.45 Series B Warrants to purchase Common Stock(2) | 690,000                 | \$ 18.41  | \$ 12,702,900                                | \$ 1,475                   |
| Common Stock, par value \$.001 per share, included in Units   | 2,760,000               | —   | —  | (3)                        |
| Series A Warrants to purchase Common Stock, included in Units   | 2,070,000               | —   | —  | (3)                        |
| Series B Warrants to purchase Common Stock, included in Units   | 1,690,500               | —   | —  | (3)                        |
| Common Stock issuable upon exercise of Series A Warrants included in Units(4)   | 2,070,000               | \$ 3.29   | \$ 6,810,300                                 | \$ 791                     |
| Common Stock issuable upon exercise of Series B Warrants included in Units(4)   | 1,690,500               | \$ 3.29   | \$ 5,561,745                                 | \$ 646                     |
| <b>Total</b>  | <b>—</b>                | <b>—</b>  | <b>\$ 25,074,945</b>                         | <b>\$ 2,912(5)</b>         |

(1) Estimated solely for the purpose of calculating the registration fee pursuant to Rule 457(o) under the Securities Act of 1933, as amended (the "Securities Act").

- (2) Includes 90,000 additional units that may be issued upon exercise of a 45-day option granted to the underwriters to cover over-allotments, if any.
- (3) No fee is required pursuant to Rule 457(g) under the Securities Act.
- (4) Pursuant to Rule 416 under the Securities Act, the shares of common stock registered hereby also include an indeterminate number of additional shares of common stock as may from time to time become issuable by reason of stock splits, stock dividends, recapitalizations or other similar transactions.
- (5) \$656 of this fee was previously paid in connection with the initial filing of this Registration Statement on Form S-1 (File No. 333-171196), which was filed by the registrant on December 15, 2010.

The Registrant hereby amends this Registration Statement on such date or dates as may be necessary to delay its effective date until the Registrant shall file a further amendment which specifically states that this Registration Statement shall thereafter become effective in accordance with Section 8(a) of the Securities Act of 1933, as amended, or until the Registration Statement shall become effective on such date as the Commission, acting pursuant to said Section 8(a), may determine.

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

Subject to Completion, Dated February 1, 2011

PROSPECTUS

600,000 UNITS, CONSISTING OF  
2,400,000 SHARES OF COMMON STOCK,  
SERIES A WARRANTS TO PURCHASE 1,800,000 SHARES OF COMMON STOCK AND  
SERIES B WARRANTS TO PURCHASE 1,470,000 SHARES OF COMMON STOCK

This prospectus relates to the offer and sale of 600,000 units, consisting of 2,400,000 shares of common stock, par value \$.001 per share, of Repros Therapeutics Inc. (the “Company” or “Repos” or “we,” “us” or “our”), Series A Warrants (“Series A Warrants”) to purchase 1,800,000 shares of common stock of the Company and Series B Warrants (“Series B Warrants”) to purchase 1,470,000 shares of common stock of the Company. Each unit will consist of four shares of common stock, Series A Warrants exercisable for three shares of our common stock at an exercise price of \$ per share and Series B Warrants exercisable for 2.45 shares of our common stock at an exercise price of \$ per share. Each unit will be sold at a price of \$ per unit. Units will not be issued or certificated. The shares of common stock and warrants are immediately separable and will be issued separately. Each of the Series A Warrants and Series B Warrants is exercisable immediately upon issuance and expires five years from the date of issuance. For a more detailed description of our common stock and warrants, see the section titled “Description of Securities” beginning on page 43 of this prospectus.

Our common stock is quoted on the Nasdaq Capital Market under the trading symbol “RPRX.” On January 28, 2011, the last reported sale price of our common stock on the Nasdaq Capital Market was \$2.63 per share. Upon the closing of this offering, the Series A Warrants and Series B Warrants will be listed on the Nasdaq Capital Market under the symbols “RPRXW” and “RPRXZ,” respectively. We do not intend to list the units on any securities exchange.

|  | Per Unit(1) | Total |
|--|-------------|-------|
| Price to the public                                    | \$          | \$    |
| Underwriting discounts and commissions(2)              | \$          | \$    |
| Proceeds, before expenses, to Repros Therapeutics Inc. | \$          | \$    |

(1) The underwriter also may purchase up to an additional 90,000 units from us at the public offering price, less the underwriting discount, within 45 days after the date of this prospectus to cover over-allotments.

(2) In addition to the underwriting discount, we have agreed to pay up to \$50,000 of the fees and expenses of the underwriter in connection with this offering. See “Underwriting.”

INVESTING IN OUR COMMON STOCK AND WARRANTS INVOLVES SUBSTANTIAL RISKS. SEE THE SECTION TITLED "RISK FACTORS" BEGINNING ON PAGE 5 OF THIS PROSPECTUS TO READ ABOUT FACTORS YOU SHOULD CONSIDER BEFORE BUYING SHARES OF OUR COMMON STOCK AND WARRANTS.

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

The underwriter expects to deliver the securities to purchasers on \_\_\_\_\_, 2011

Ladenburg Thalmann & Co. Inc.

The date of this prospectus is \_\_\_\_\_, 2011

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You should rely only on the information contained in this prospectus or any related prospectus supplement, including the content of all documents incorporated by reference into the registration statement of which this prospectus forms a part. We have not authorized anyone to provide you with different information. If anyone provides you with different or inconsistent information, you should not rely on it. The information contained in this prospectus or incorporated by reference herein is accurate only on the date of this prospectus. Our business, financial condition, results of operations and prospects may have changed since such date. Other than as required under the federal securities laws, we undertake no obligation to publicly update or revise such information, whether as a result of new information, future events or any other reason.

Until \_\_\_\_\_, 2011, all dealers that effect transactions in these securities, whether or not participating in this offering, may be required to deliver a prospectus. This is in addition to the dealers' obligation to deliver a prospectus when acting as underwriters and with respect to their unsold allotments or subscriptions.

## PROSPECTUS SUMMARY

This summary highlights information contained elsewhere in this prospectus. This summary does not contain all of the information that you should consider before making an investment decision with respect to our securities. You should read this entire prospectus, including all documents incorporated by reference, carefully, especially the "Risk Factors" section beginning on page 5 of this prospectus and our financial statements and related notes contained in this prospectus before making an investment decision with respect to our securities. Please see the section titled, "Where You Can Find More Information," beginning on page 48 of this prospectus. Unless the context indicates otherwise, references to "the Company" or "Repos" or "we," "us" or "our" refers to Repos Therapeutics Inc.

### About Repos Therapeutics Inc.

Repos Therapeutics Inc. ("the Company" or "Repos" or "we," "us" or "our") was organized on August 20, 1987. We are a development stage biopharmaceutical company focused on the development of new drugs to treat hormonal and reproductive system disorders.

We are developing Androxal®, an oral therapy that normalizes testicular function, for the treatment of low testosterone due to secondary hypogonadism. Secondary hypogonadism is the leading cause of low testosterone in men and is commonly associated with aging. It is estimated that 13 million men in the U.S. experience low levels of testosterone, and the condition is becoming recognized with more frequency. In 2009, for the first time, sales of testosterone preparations for the treatment of low testosterone exceeded \$1 billion worldwide and first tier pharmaceutical companies entered the low testosterone marketplace as evidenced by the acquisition of Solvay Pharmaceuticals and the subsequent active marketing of its AndroGel® product by Abbott Laboratories. Eli Lilly and Company also recently entered into a licensing agreement with a third party for a late stage topical testosterone treatment.

We believe Androxal® is highly differentiated from currently marketed testosterone treatments or those treatments in late stage development because it treats the cause of low testosterone in men with secondary hypogonadism, which is inadequate pituitary hormones. Androxal® is an oral therapy and also has the potential to maintain fertility and potentially improve overall metabolic profiles, which we believe may improve the condition of men suffering from type 2 diabetes, a condition present in about 20% of men with secondary hypogonadism. Retrospective analysis of completed Androxal® studies showed that Androxal® improved fasting plasma glucose levels in hypogonadal men with Type 2 diabetes, an improvement not seen in similar subjects using a topical testosterone or placebo. The Company is currently conducting a Phase 2 study under an Investigational New Drug Application ("IND") filed with the Division of Metabolic and Endocrine Products at the Food and Drug Administration ("FDA") for the use of Androxal® in the treatment of Type 2 diabetes in hypogonadal men.

The Company held a Type B meeting with the FDA on November 8, 2010 to discuss protocols for Phase 3 studies for Androxal® in the treatment of secondary hypogonadal men wishing to preserve their testicular function (reproductive status). Though the FDA noted that the Company may proceed to Phase 3 in the meeting, the FDA recommended that a Phase 2B study in men with secondary hypogonadism, but naïve to testosterone treatment, be conducted if the Company desired the FDA to review the Phase 3 protocols under a Special Protocol Assessment. On January 3, 2011, we announced that we have received Institutional Review Board ("IRB") approval to commence the Phase 2B study of Androxal® in men with secondary hypogonadism, and we have begun enrolling patients. Depending on the rate of subject enrollment, we hope to have the study completed before the end of 2011.

We are also developing Proellex®, an orally administered selective blocker of the progesterone receptor in women, for the treatment of uterine fibroids and endometriosis. Uterine fibroids and endometriosis affect millions of women of reproductive age. We believe an effective treatment for these underserved conditions could result in sales of a safe and

effective drug easily exceeding \$1 billion in sales in the U.S. Proellex® had shown significant success in Phase 2 studies for both endometriosis and uterine fibroids. The Company has commenced a Phase 2B study with doses from 1 to 12 mg under a partial clinical hold by the FDA, which is intended to determine both signals of efficacy and safety for low oral doses of the drug. A full clinical hold was previously imposed as a result of certain serious adverse events relating to liver toxicity observed in patients receiving the 50 mg dose of Proellex® in our prior studies in uterine fibroids; however, the FDA has reduced such hold to a partial clinical hold to allow us to proceed with the current low dose Phase 2B study. In addition to the low dose study, the Company has commenced two related preclinical programs: vaginal delivery of Proellex® to avoid first pass liver effects and second generation molecules that do not possess the structures Repros believes resulted in the liver toxicity observed.

Both of our product candidates have exhibited strong efficacy results in every study completed to date, and Repros believes the studies presently underway or scheduled to start shortly will place both programs on a clear late stage clinical development path and a solid position for licensing.

As of September 30, 2010, we had accumulated losses of \$178.1 million, approximately \$4.2 million in cash and cash equivalents, and our accounts payable and accrued expenses were approximately \$1.4 million. The amount of cash on hand is not sufficient to fund each of the current clinical trials for our two drug candidates, Proellex® and Androxal®. Assuming successful completion of this offering, we will have sufficient funding to complete all of the Phase 2 and 2B clinical trials currently planned or underway; however, significant additional capital will be required for us to complete development of either of our product candidates. We continue to explore potential additional financing alternatives (including corporate partnering opportunities) that would provide sufficient funds to enable us to continue to develop our two product candidates through completion of the outlined clinical trials; however, there can be no assurance that we will be successful in raising any such additional funds on a timely basis or at all. The foregoing and other matters raise substantial doubt about our ability to continue as a going concern.



## Our Research and Development Program

Our product development pipeline is summarized in the table below:

| Product Candidate (Indication)              | Status      | Next Expected Milestone(s)   |
|---|-------------|--|
| Androxal®<br>Secondary Hypogonadism         | Phase 2B    | Commence Phase 2B study (Q1 2011)<br>Report top line Phase 2B results (Q1 2012)<br>(pending enrollment timing) |
| Type 2 diabetes                             | Phase 2     | Report interim results (Q2 2011) (pending enrollment timing)   |
| Proellex®<br>Uterine Fibroids/Endometriosis | Phase 2     | Complete low dose study (late 2011)<br>Commence Phase 3 studies (2012)   |
| Vaginal Administration                      | Preclinical | Open new IND (mid 2011) (pending outcome of animal studies)<br>Commence Phase 3 studies (late 2012)            |
| Second Generation Compounds                 | Preclinical | Complete preclinical screen (Q3 2011)  |

## Recent Developments

On October 14, 2010, the Company effected a 1-for-4 reverse split of its common stock. The split-adjusted shares of the Company's common stock began trading on the Nasdaq Capital Market on October 15, 2010. The 1-for-4 reverse stock split converted all shares of the Company's common stock issued and outstanding, plus all outstanding stock options and the number of shares of common stock available for issuance under the Company's approved stock plans. The number of authorized shares of common stock was not affected by the reverse split. The reverse split enabled the Company to meet the continued listing rules of the Nasdaq Capital Market. All share and per share amounts described in this prospectus are presented on a post-reverse stock split basis, except with respect to materials incorporated by reference herein which were filed by us prior to the effective date of the reverse stock split.

## Corporate Information

We were organized as a Delaware corporation in August 1987. Our principal executive offices are located at 2408 Timberloch Place, Suite B-7, The Woodlands, Texas 77380, and our telephone number is (281) 719-3400. We maintain an Internet website at [www.reprosrx.com](http://www.reprosrx.com). The information on our website or any other website is not incorporated by reference into this prospectus and does not constitute a part of this prospectus.

The Offering

|                                   |  |
|-----------------------------------|--|
| Securities offered by the Company | Up to 600,000 units. Each unit will consist of four shares of common stock, three Series A Warrants and 2.45 Series B Warrants. The common stock and warrants comprising the units will be issued separately.  |
| Offering price                    | \$ per unit.   |
| Description of Series A Warrants  | <p>Each Series A Warrant will be exercisable for one share of our common stock at an exercise price of \$ per share. The Series A Warrants are exercisable immediately upon issuance and expire five years from the date of issuance.</p> <p>The number of shares of common stock issuable to a holder upon any exercise of Series A Warrants shall be limited to the extent necessary to ensure that, following such exercise, the total number of shares of common stock then-beneficially owned by such holder does not exceed 9.999% of the total number of outstanding shares our common stock. This restriction may be waived by such holder upon not less than 61 days' prior notice to us, except to the extent such waiver would cause such holder to beneficially own 20% or more of our common stock.</p>   |
| Description of Series B Warrants  | <p>Each Series B Warrant will be exercisable for one share of our common stock at an exercise price of \$ per share; however, issuances resulting in fractional warrants will be rounded down. The Series B Warrants are exercisable immediately upon issuance and expire five years from the date of issuance.</p> <p>The number of shares of common stock issuable to a holder upon any exercise of Series B Warrants shall be limited to the extent necessary to ensure that, following such exercise, the total number of shares of common stock then-beneficially owned by such holder does not exceed 9.999% of the total number of outstanding shares our common stock. This restriction may be waived by such holder upon not less than 61 days' prior notice to us. In no event, however, may a holder exercise warrants if, following such exercise, such holder would beneficially own 20% or more of our outstanding</p> |

common stock.

We may require the exercise of all of the Series B Warrants if our common stock trades at or above \$ \_\_\_\_\_ per share for a period of at least 20 trading days of 30 consecutive trading days, subject to certain limitations. See the section titled “Description of Securities” beginning on page 43 of this prospectus.

Common stock outstanding prior to this offering

8,930,022 shares.

Common stock to be outstanding after this offering

11,330,022 shares.

Over-allotment option

Up to an additional 90,000 units.

Use of proceeds

We intend to use the net proceeds from this offering for general corporate purposes, including continuing our clinical trials for Androxal® and Proellex®. See “Use of Proceeds” for additional information.

Nasdaq Capital Market symbols:

Common Stock

“RPRX”

Series A Warrants

“RPRXW ”

Series B Warrants

“RPRXZ”

The number of shares of common stock outstanding immediately prior to and to be outstanding immediately after this offering is based on the number of shares outstanding as of September 30, 2010, and does not include:

- 538,582 shares of common stock issuable upon the exercise of outstanding options at a weighted average exercise price of \$14.10 per share;
  - 288,421 shares of common stock available for future issuance under our stock option plans;
- 3,270,000 shares of common stock issuable upon exercise of warrants included in the units in this offering;
- shares of common stock and warrants issuable upon exercise of the underwriter’s over-allotment option; and
  - 286,187 shares of common stock sold by us since September 30, 2010.

## Selected Financial Data

The following tables summarize our financial data for the periods presented. The summary statements of operations data for the years ended December 31, 2009, 2008 and 2007, and the balance sheet data as of December 31, 2009 and 2008, have been derived from our audited financial statements, which are incorporated by reference into this prospectus. The summary statements of operations data for the years ended December 31, 2006 and 2005, and the balance sheet data as of December 31, 2007, 2006 and 2005, have been derived from our audited financial statements, which are not incorporated by reference into this prospectus. The summary statements of operations data for the nine months ended September 30, 2010 and 2009, and the balance sheet data as of September 30, 2010, have been derived from our unaudited financial statements, which are included elsewhere in this prospectus. The historical results are not necessarily indicative of the results to be expected for any future periods. You should read this data together with the financial statements and related notes incorporated by reference into this prospectus or included elsewhere in this prospectus, as well as "Management's Discussion and Analysis of Financial Condition and Results of Operations" and the other financial information incorporated by reference into this prospectus.

## STATEMENTS OF OPERATIONS DATA:

|  | Year Ended December 31,               |                    |                    |                    |                   | Nine Months Ended |                    |
|--|---------------------------------------|--------------------|--------------------|--------------------|-------------------|-------------------|--------------------|
|  | 2009                                  | 2008               | 2007               | 2006               | 2005              | 2010              | 2009               |
|  | (In thousands, except per share data) |                    |                    |                    |                   |                   |                    |
| <b>Revenues and Other Income</b>                     |                                       |                    |                    |                    |                   |                   |                    |
| Interest income                                      | \$ 4                                  | \$ 433             | \$ 1,508           | \$ 596             | \$ 630            | \$ —              | \$ 4               |
| <b>Research and development grants</b>               |                                       |                    |                    |                    |                   |                   |                    |
|  | —                                     | —                  | —                  | —                  | 4                 | —                 | —                  |
| Other income   | 547                                   | —                  | —                  | —                  | —                 | 138               | —                  |
| <b>Total revenues</b>                                | <b>551</b>                            | <b>433</b>         | <b>1,508</b>       | <b>596</b>         | <b>634</b>        | <b>138</b>        | <b>4</b>           |
| <b>Expenses:</b>                                     |                                       |                    |                    |                    |                   |                   |                    |
| Research and development                             | 23,062                                | 22,575             | 12,420             | 11,912             | 6,101             | 1,950             | 21,765             |
| General and administrative                           | 4,723                                 | 3,060              | 2,788              | 2,879              | 1,924             | 1,772             | 4,126              |
| <b>Total expenses</b>                                | <b>27,785</b>                         | <b>25,635</b>      | <b>15,208</b>      | <b>14,791</b>      | <b>8,025</b>      | <b>3,722</b>      | <b>25,891</b>      |
| <b>Net loss</b>                                      | <b>\$ (27,234)</b>                    | <b>\$ (25,202)</b> | <b>\$ (13,700)</b> | <b>\$ (14,195)</b> | <b>\$ (7,391)</b> | <b>\$ (3,584)</b> | <b>\$ (25,887)</b> |
| <b>Net loss per share – basic and diluted (1)(2)</b> |                                       |                    |                    |                    |                   |                   |                    |
|  | \$ (6.28)                             | \$ (7.54)          | \$ (4.38)          | \$ (5.60)          | \$ (3.06)         | \$ (0.46)         | \$ (6.77)          |
| <b>Shares used in loss per share calculation(2)</b>  |                                       |                    |                    |                    |                   |                   |                    |
|  | 4,336                                 | 3,343              | 3,131              | 2,537              | 2,412             | 7,763             | 3,821              |

(1) See "Note 2. Summary of Significant Accounting Policies" of Notes to our Consolidated Financial Statements incorporated by reference into this prospectus for a description of the computation of loss per share.

(2) The basic and diluted net loss per share and shares used in loss per share calculation have been adjusted to reflect the one-for-four reverse stock split that was effected on October 14, 2010.

## BALANCE SHEET DATA:

|  | 2009      | 2008      | As of December 31, |           | 2005      | As of         |
|--|-----------|-----------|--------------------|-----------|-----------|---------------|
|  |           |           | 2007               | 2006      |           | September 30, |
|  |           |           |                    |           |           | 2010          |
| Cash, cash equivalents<br>and marketable<br>securities | \$ 1,886  | \$ 19,470 | \$ 25,903          | \$ 6,736  | \$ 16,832 | \$ 4,216      |
| Total assets   | 2,960     | 22,603    | 27,599             | 7,849     | 17,682    | 5,567         |
| Deficit accumulated<br>during the development<br>stage | (174,476) | (147,242) | (122,040)          | (108,340) | (94,145)  | (178,060)     |
| Total stockholders'<br>equity                          | \$ 562    | \$ 15,614 | \$ 24,060          | \$ 3,790  | \$ 16,955 | \$ 4,213      |

## RISK FACTORS

An investment in our securities involves a high degree of risk. Before you decide to invest in our securities, you should consider carefully all of the information in this prospectus, including the risks described below. Any of these risks could have a material adverse effect on our business, prospects, financial condition and results of operations. In any such case, the trading price of our common stock or warrants could decline and you could lose all or part of your investment. You should also refer to the other information contained in this prospectus, or incorporated herein by reference, including our financial statements and the notes to those statements, and the information set forth under the caption "Forward Looking Statements." The risks described below and contained in our other periodic reports are not the only ones that we face. Additional risks not presently known to us or that we currently deem immaterial may also adversely affect our business operations.

### Risks Relating to Our Business

Assuming completion of this offering, our ability to continue as a going concern may require that we raise additional funds by the end of the second quarter of 2012, without which we may need to cease our business operations and begin liquidation proceedings.

Assuming completion of this offering, our ability to continue as a going concern is dependent upon our ability to obtain additional financing by the end of the second quarter of 2012 based upon our current expense and revenue assumptions. If our expenses are greater than expected or our revenues are less than expected, we may be required to raise additional funds prior to that time. We will continue to explore various financing alternatives to address our liquidity needs. No assurance can be given that we will be successful in obtaining additional financing after this offering on acceptable terms or at all. We anticipate that if we are able to secure additional financing, that such financing will result in significant dilution of the ownership interests of our stockholders and may provide certain rights to the new investors senior to the rights of purchasers of securities in this offering, including but not limited to, voting rights and rights to proceeds in the event of a sale or liquidation of the Company. The current FDA partial clinical hold of our clinical trials for Proellex® will make it more difficult for us to obtain additional financing. In addition, the class action lawsuits filed against us will make our ability to raise funds even more difficult. We expect to continue to incur significant losses for the foreseeable future, and we may never achieve or sustain profitability. In the event that we are unable to obtain adequate financing to conduct operations, we may need to cease our business operations and begin liquidation proceedings. If we need to liquidate our assets, we would likely realize significantly less from them than the values at which they are carried on our financial statements. The funds resulting from the liquidation of our assets would be used first to pay off the debt owed to any secured and unsecured creditors before any funds would be available to pay our stockholders, and any shortfall in the proceeds would directly reduce the amounts available for distribution, if any, to our creditors and to our stockholders. In the event we were required to liquidate, it is highly unlikely that stockholders would receive any value for their shares.

The Company and certain of its officers and directors were named as a party in several class action lawsuits which could result in a material adverse affect on our business and financial condition.

The Company and certain of its officers were named as parties in several shareholder class action lawsuits alleging, among other things, that the Company and such officers violated certain provisions of the Exchange Act by issuing materially false and misleading press releases regarding the results of clinical trials for its drug Proellex®. Our bylaws require us to indemnify our officers in certain proceedings, subject to certain limited exceptions. In addition, each of our directors has an indemnification agreement with the Company providing for certain additional indemnification benefits for such persons in the event of a lawsuit. As a result of the class action lawsuits, we are obligated to pay for certain costs and expenses of our officers and directors and may be liable for substantial damages, costs and expenses if such class action is successful. Such litigation could also divert the attention of our management

and our resources in general from day-to-day operations. Further, it is possible that additional claims beyond those that have already been filed will be brought by the current plaintiffs or by others in an effort to seek monetary relief from us.

Additionally, such class action lawsuits are covered by the Company's director and officer insurance policy. In the event there are adverse judgments against the Company in such lawsuits, the Company's insurance coverage may not be adequate to cover such judgments and the Company's cash position may not be sufficient to satisfy such judgment. Such adverse judgments could have a material and adverse affect on the Company.

If we fail to obtain the capital necessary to fund our operations, we may have to delay, reduce or eliminate our research and development programs or commercialization efforts, dispose of assets or liquidate.

We expect to make additional capital outlays and to increase operating expenditures over the next several years to support our preclinical development and clinical trial activities, particularly with respect to clinical trials for Androxal® and Proellex®. Assuming completion of this offering and based on our current and planned clinical programs, we expect to need to raise additional capital by the end of the second quarter of 2012 or earlier if our expenses are greater than anticipated. We will continue to seek additional funding through public or private financings, including equity or debt financings, and/or through other means, including collaborations and license agreements. 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. If adequate funds are not available to us, we may be required to:

- delay, reduce the scope of or eliminate one or more of our development programs;
- relinquish, license or otherwise dispose of rights to technologies, product candidate or products that we would otherwise seek to develop or commercialize ourselves at an earlier stage or on terms that are less favorable than might otherwise be available; or
- liquidate and dissolve our company.

Our future capital requirements will depend upon a number of factors, including:

- the size, complexity, results and timing of our clinical programs;
- the cost to obtain sufficient supply of the compounds necessary for our product candidates at a reasonable cost;
- the time and cost involved in obtaining regulatory approvals;
- the costs involved in preparing, filing, prosecuting, maintaining, defending and enforcing patent claims; and
- competing technological and market developments.

These factors could result in variations from our currently projected operating and liquidity requirements.

Because the data from our preclinical studies and early clinical trials for our product candidates are not necessarily predictive of future results, we can provide no assurances that any of them will have favorable results in clinical trials or receive regulatory approval.

Before we can obtain regulatory approval for the commercial sale of any product candidate that we develop, we are required to complete preclinical development and extensive clinical trials in humans to demonstrate its safety and efficacy. To date, long-term safety and efficacy have not been demonstrated in clinical trials for any of our product candidates and in fact, our product candidate Proellex® is currently on partial clinical hold with the FDA due to safety issues experienced in our Phase 2 and Phase 3 clinical trials for endometriosis and uterine fibroids, respectively.

In addition, previous clinical trials for Androxal® have been conducted only in limited numbers of patients that may not fully represent the diversity present in larger populations. In addition, these studies have not been subjected to the exacting design requirements typically required by FDA for pivotal trials. Thus the limited data we have obtained may not predict results from studies in larger numbers of patients drawn from more diverse populations, and may not predict the ability of Androxal® to treat type 2 diabetes. Furthermore, the only data that we obtained to date relating to Androxal® is to treat testosterone deficiency. We will be required to demonstrate through larger-scale clinical trials that these product candidates are safe and effective for use in a diverse population before we can seek regulatory approvals for their commercial sale.

Favorable results in our early studies or trials may not be repeated in later studies or trials, including continuing preclinical studies and large-scale clinical trials analyzed with more rigorous statistical methods, and our drug candidates in later-stage trials may fail to show desired safety and efficacy despite having progressed through earlier-stage trials. Unfavorable results from ongoing preclinical studies or clinical trials could result in delays, modifications or abandonment of ongoing or future clinical trials. Clinical results are frequently susceptible to varying interpretations that may delay, limit or prevent regulatory approvals. Negative or inconclusive results or adverse medical events during a clinical trial could cause a clinical trial to be delayed, repeated or terminated. In addition, we may report top-line data from time to time, which is based on a preliminary analysis of key efficacy and safety data;



such data may be subject to change following a more comprehensive review of the data related to the applicable clinical trial. If Androxal®, Proellex®, or any other potential future product candidate fails to demonstrate sufficient safety and efficacy in any clinical trial, we would experience potentially significant delays in, or be required to abandon, development of that product candidate. If we delay or abandon our development efforts related to Androxal® or Proellex®, we may not be able to generate sufficient revenues to continue operations or become profitable.

We have a history of operating losses, and we expect to incur increasing net losses and may not achieve or maintain profitability for some time or at all.

We have experienced significant operating losses in each fiscal year since our inception. As of September 30, 2010, we had accumulated losses of \$178.1 million, approximately \$4.2 million in cash and cash equivalents, and our accounts payable and accrued expenses were approximately \$1.4 million. We expect to continue incurring net losses and we may not achieve or maintain profitability for some time if at all. As we increase expenditures for the clinical development of our products, we expect our total operating losses to increase for at least the next few years. Our ability to achieve profitability will depend on, among other things, successfully completing the development of our products, obtaining regulatory approvals, establishing marketing, sales and manufacturing capabilities or collaborative arrangements with others that possess such capabilities, and raising sufficient funds to finance our activities. There can be no assurance that we will be able to achieve profitability or that profitability, if achieved, can be sustained. The uncertainties relating to the foregoing matters raise substantial doubt about our ability to continue as a going concern.

Raising additional funds by issuing securities or through collaboration and licensing arrangements may cause dilution to our stockholders, restrict our operations or require us to relinquish proprietary rights.

We may raise additional funds through public or private equity offerings, debt financings or potential corporate collaborations and licensing arrangements. We cannot be certain that additional funding will be available on acceptable terms, or at all. To the extent that we raise additional capital by issuing equity securities, our stockholders' ownership will be diluted. Any debt financing we enter into may involve covenants that restrict our operations. These restrictive covenants may include limitations on borrowing and specific restrictions on the use of our assets, as well as prohibitions on our ability to create liens, pay dividends, redeem capital stock or make investments. In addition, if we raise additional funds through collaboration and licensing arrangements, it may be necessary to relinquish potentially valuable rights to our potential products or proprietary technologies, or grant licenses on terms that are not favorable to us. For example, we might be forced to relinquish all or a portion of our sales and marketing rights with respect to Androxal®, Proellex®, or other potential products or license intellectual property that enables licensees to develop competing products.

Our stock price could decline significantly based on the results and timing of clinical trials of, and decisions affecting, our product candidates.

Results of clinical trials and preclinical studies of our current and potential product candidates may not be viewed favorably by us or third parties, including the FDA or other regulatory authorities, investors, analysts and potential collaborators. The same may be true of how we design the clinical trials of our product candidates and regulatory decisions affecting those clinical trials. Biopharmaceutical company stock prices have declined significantly when such results and decisions were unfavorable or perceived negatively or when a product candidate did not otherwise meet expectations. The final results from our clinical development programs may be negative, may not meet expectations or may be perceived negatively. The designs of our clinical trials (which may change significantly and be more expensive than currently anticipated depending on our clinical results and regulatory decisions) may also be viewed negatively by third parties. We may not be successful in completing these clinical trials on our projected timetable, if at all.

Failure to initiate additional clinical trials or delays in existing clinical trials of Androxal® and Proellex® and failure of the FDA to lift the partial clinical hold on Proellex® or any of our other current or future product candidates, or unfavorable results or decisions or negative perceptions regarding any of such clinical trials, could cause our stock price to decline significantly.

We are thinly staffed and highly dependent on a limited number of management persons and key personnel, and if we lose these members of our team or are unable to attract and retain additional qualified personnel, our future growth and ability to compete would suffer.

The competition for qualified personnel in the biopharmaceutical field is intense, and our future success depends upon our ability to attract, retain and motivate highly skilled scientific, technical and managerial employees. We have only 6 full-time employees at the present time, including Joseph S. Podolski. We are highly dependent on our professional staff for the management of our company and the development of our technologies. Mr. Podolski has an employment agreement with us. There can be no assurance that any of these employees will remain with us through development of our current product candidates. The loss of the services of any of our employees could delay or curtail our research and product development efforts.

Our plan to use collaborations to leverage our capabilities may not be successful.

As part of our business strategy, we intend to enter into collaboration arrangements with strategic partners to develop and commercialize our product candidates. For our collaboration efforts to be successful, we must identify partners whose competencies complement ours. We must also successfully enter into collaboration agreements with them on terms attractive to us and integrate and coordinate their resources and capabilities with our own. We may be unsuccessful in entering into collaboration agreements with acceptable partners or negotiating favorable terms in these agreements. In addition, we may face a disadvantage in seeking to enter into or negotiating collaborations with potential partners because other potential collaborators may have greater management and financial resources than we do. Also, we may be unsuccessful in integrating the resources or capabilities of these collaborators. In addition, our collaborators may prove difficult to work with or less skilled than we originally expected. If we are unsuccessful in our collaborative efforts, our ability to develop and market product candidates could be severely limited.

Our rights agreement and certain provisions in our charter documents and Delaware law could delay or prevent a change in management or a takeover attempt that you may consider to be in your best interest.

We have adopted certain anti-takeover provisions, including a rights agreement. The rights agreement will cause substantial dilution to any person who attempts to acquire us in a manner or on terms not approved by our board of directors.

The rights agreement and certain provisions in our certificate of incorporation and bylaws and under Delaware law could delay or prevent the removal of directors and other management and could make more difficult a merger, tender offer or proxy contest involving us that you may consider to be in your best interest. For example, these provisions:

- allow our board of directors to issue preferred stock without stockholder approval;
- limit who can call a special meeting of stockholders; and
- establish advance notice requirements for nomination for election to the board of directors or for proposing matters to be acted upon at stockholder meetings.

#### Risks Relating to Our Product Development Efforts

Delays in the commencement of preclinical studies and clinical trials testing of our current and potential product candidates could result in increased costs to us and delay our ability to generate revenues.

Our product candidates will require continued preclinical studies and extensive clinical trials prior to the submission of a regulatory application for commercial sales. Because of the nature of clinical trials and our lack of sufficient capital, we do not know whether future planned clinical trials will begin on time, if at all. Delays in the commencement of preclinical studies and clinical trials could significantly increase our product development costs and delay any product commercialization. In addition, many of the factors that may cause, or lead to, a delay in the commencement of clinical trials may also ultimately lead to denial of regulatory approval of a product candidate.

The commencement of clinical trials can be delayed for a variety of reasons, including delays in:

- demonstrating sufficient safety and efficacy in past clinical trials to obtain regulatory approval to commence a further clinical trial;
  - convincing the FDA that we have selected valid endpoints for use in proposed clinical trials;
- reaching agreements on acceptable terms with prospective contract manufacturers for manufacturing sufficient quantities of a product candidate; and
  - obtaining institutional review board approval to conduct a clinical trial at a prospective site.

In addition, the commencement of clinical trials may be delayed due to insufficient patient enrollment, which is a function of many factors, including the size of the patient population, the nature of the protocol, the proximity of patients to clinical sites, the availability of effective treatments for the relevant disease, and the eligibility criteria for the clinical trial.

Delays in the completion of, or the termination of, clinical testing of our current and potential product candidates could result in increased costs to us, and could delay or prevent us from generating revenues.

Once a clinical trial has begun, it may be delayed, suspended or terminated by us or the FDA or other regulatory authorities due to a number of factors, including:

- lack of adequate funding to continue clinical trials;
- lack of effectiveness of any product candidate during clinical trials;

- side effects experienced by trial participants or other safety issues;
- slower than expected rates of patient recruitment and enrollment or lower than expected patient retention rates;
  - delays or inability to manufacture or obtain sufficient quantities of materials for use in clinical trials;
    - inadequacy of or changes in our manufacturing process or compound formulation;
- delays in obtaining regulatory approvals to commence a trial, or “clinical holds” or delays requiring suspension or termination of a trial by a regulatory agency, such as the FDA, after a trial is commenced;
  - changes in applicable regulatory policies and regulations;
- delays in identifying and reaching agreement on acceptable terms with prospective clinical trial sites;

- uncertainty regarding proper dosing;
- unfavorable results from on-going clinical trials and preclinical studies;
- failure of our clinical research organizations to comply with all regulatory and contractual requirements or otherwise fail to perform their services in a timely or acceptable manner;
- scheduling conflicts with participating clinicians and clinical institutions;
- failure to construct appropriate clinical trial protocols;
- insufficient data to support regulatory approval;
- inability or unwillingness of medical investigators to follow our clinical protocols;
- difficulty in maintaining contact with subjects during or after treatment, which may result in incomplete data;
- ongoing discussions with the FDA or other regulatory authorities regarding the scope or design of our clinical trials; and
- acceptability to the FDA of data obtained from clinical studies conducted in Europe or other non-United States jurisdictions.

Many of these factors that may lead to a delay, suspension or termination of clinical testing of a current or potential product candidate may also ultimately lead to denial of regulatory approval of a current or potential product candidate.

If we experience delays in the completion of, or termination of, clinical testing of any product candidates in the future, our financial results and the commercial prospects for our product candidates will be harmed, and our ability to generate product revenues will be delayed.

Even if we successfully complete clinical trials for Androxal® and Proellex®, there are no assurances that we will be able to submit, or obtain FDA approval of, a new drug application.

There can be no assurance that, if our clinical trials for Androxal® and Proellex® are successfully completed, we will be able to submit a new drug application, or NDA, to the FDA or that any NDA we submit will be approved by the FDA in a timely manner, if at all. After completing clinical trials for a product candidate in humans, a drug dossier is prepared and submitted to the FDA as an NDA, and includes all preclinical studies and clinical trial data relevant to the safety and effectiveness of the product at the suggested dose and duration of use for the proposed indication, in order to allow the FDA to review such drug dossier and to consider a product candidate for approval for commercialization in the United States. If we are unable to submit an NDA with respect to Androxal® or Proellex®, or if any NDA we submit is not approved by the FDA, we will be unable to commercialize that product. The FDA can and does reject NDAs and requires additional clinical trials, even when drug candidates achieve favorable results in large-scale Phase 3 clinical trials. If we fail to commercialize Androxal® or Proellex®, we may be unable to generate sufficient revenues to continue operations or attain profitability and our reputation in the industry and in the investment community would likely be damaged.

We rely on third parties to conduct clinical trials for our product candidates, and their failure to timely and properly perform their obligations may result in costs and delays that prevent us from obtaining regulatory approval or successfully commercializing our product candidates.

We rely on independent contractors, including researchers at clinical research organizations, or CROs, and universities, in certain areas that are particularly relevant to our research and product development plans, such as the conduct of clinical trials. The competition for these relationships is intense, and we may not be able to maintain our relationships with them on acceptable terms. Independent contractors generally may terminate their engagements at any time, subject to notice. As a result, we can control their activities only within certain limits, and they will devote only a certain amount of their time conducting research on and trials of our product candidates and assisting in developing them. If they do not successfully carry out their duties under their agreements with us, fail to inform us if these trials fail to comply with clinical trial protocols, or fail to meet expected deadlines, our clinical trials may need to be extended, delayed or terminated. We may not be able to enter into replacement arrangements without undue delays or excessive expenditures. If there are delays in testing or regulatory approvals as a result of the failure to perform by our independent contractors or other outside parties, our drug development costs will increase and we may not be able to attain regulatory approval for or successfully commercialize our product candidates.

In addition, we have no control over the financial health of our independent contractors. Several of our independent contractors are in possession of valuable and sensitive information relating to the safety and efficacy of our product candidates, and several others provide services to a significant percentage of the patients enrolled in the respective clinical trials in which such independent contractors participate. Should one or more of these independent contractors become insolvent, or otherwise are not able to continue to provide services to us, as a result of the current economic downturn or otherwise, the clinical trial in which such contractor participates could become significantly delayed and we may be adversely affected as a result of the delays and additional expenses associated with such event.

#### Risks Relating to Manufacturing Our Products

We currently rely on third-party manufacturers and other third parties for production of our product candidates, and our dependence on these manufacturers may impair the development of our product candidates.

Currently, we do not have the ability internally to manufacture the product candidates that we need to conduct our clinical trials. We terminated our supply agreement with Gedeon Richter for the manufacturing of Proellex® due to the clinical hold imposed by the FDA in August 2009; however, we have a large supply of Proellex® currently available for our current and planned clinical trial efforts. In the event we require an additional supply of Proellex®, we believe that we have maintained a good relationship with Gedeon Richter and that an agreement could be reached with Gedeon Richter to provide such supply when and if needed, but we cannot assure you this will be the case.

We have a five year supply agreement with Diagnostic Chemical Limited, doing business as BioVectra, for the supply of the bulk active pharmaceutical ingredient used in Androxal®. This agreement runs through July of 2012, subject to automatic one year renewals and the ability of either party to terminate upon 12 months prior notice. We have obtained all of our supply of Androxal® to date from BioVectra. We have not faced any material problems with BioVectra in supplying us with our necessary quantities of Androxal® for our clinical trials and anticipate utilizing them for commercial production if Androxal® is approved. The Company believes that should an issue with BioVectra arise an alternative supplier could be identified, but we cannot assure you this will be the case.

For the foreseeable future, we expect to continue to rely on third-party manufacturers and other third parties to produce, package and store sufficient quantities of Androxal®, Proellex®, and any future product candidates for use in our clinical trials. These product candidates are complicated and expensive to manufacture. If our third-party manufacturers fail to deliver our product candidates for clinical use on a timely basis, with sufficient quality, and at commercially reasonable prices, we may be required to delay or suspend clinical trials or otherwise discontinue development and production of our product candidates. While we may be able to identify replacement third-party manufacturers or develop our own manufacturing capabilities for these product candidates, this process would likely cause a delay in the availability of our product candidates and an increase in costs. In addition, third-party manufacturers may have a limited number of facilities in which our product candidates can be produced, and any interruption of the operation of those facilities due to events such as equipment malfunction or failure or damage to the facility by natural disasters could result in the cancellation of shipments, loss of product in the manufacturing process or a shortfall in available product candidates.

Our product candidates have only been manufactured in small quantities to date, and we may face delays or complications in manufacturing quantities of our product candidates in sufficient quantities to meet the demands of late stage clinical trials and marketing.

We cannot assure that we will be able to successfully increase the manufacturing capacity or scale-up manufacturing volume per batch, whether on our own or in reliance on third-party manufacturers, for any of our product candidates in a timely or economical manner, or at all. To date our product candidates have been manufactured exclusively by third parties in small quantities for preclinical studies and clinical trials. Future clinical trials of our product



candidates, if any, will require increased quantities for future commercial sale in the event that such product candidates are approved by the FDA or foreign regulatory bodies. Significant scale-up of manufacturing requires certain additional developmental work, which the FDA must review and approve to assure product comparability. If we or our third-party manufacturers are unable to successfully increase the manufacturing capacity for a product candidate, the regulatory approval or commercial launch of that product candidate may be delayed or there may be a shortage in supply of that product candidate.

Our product candidates require precise, high-quality manufacturing which may not be available at acceptable costs.

Androxal® and Proellex® are novel compounds that have never been produced in large scale. As in the development of any new compound, there are underlying risks associated with their manufacture. These risks include, but are not limited to, cost, process scale-up, process reproducibility, construction of a suitable process plant, timely availability of raw materials, as well as regulatory issues associated with the manufacture of an active pharmaceutical agent. Any of these risks may prevent us from successfully developing Androxal® or Proellex®. Our failure, or the failure of our third-party manufacturers to achieve and maintain these high manufacturing standards, including the incidence of manufacturing errors and reliable product packaging for diverse environmental conditions, could result in patient injury or death, product recalls or withdrawals, delays or failures in product testing or delivery, cost overruns or other problems that could seriously hurt our business.

We may experience delays in the development of our product candidates if the third-party manufacturers of our product candidates cannot meet FDA requirements relating to Good Manufacturing Practices.

Our third-party manufacturers are required to produce our product candidates under FDA current Good Manufacturing Practices in order to meet acceptable standards for our clinical trials. If such standards change, the ability of third-party manufacturers to produce our product candidates on the schedule we require for our clinical trials may be affected. In addition, third-party manufacturers may not perform their obligations under their agreements with us or may discontinue their business before the time required by us to gain approval for or commercialize our product candidates. Any difficulties or delays in the manufacturing and supply of our product candidates could increase our costs or cause us to lose revenue or postpone or cancel clinical trials.

The FDA also requires that we demonstrate structural and functional comparability between the same drug product produced by different third-party manufacturers. Because we may use multiple sources to manufacture Androxal® and Proellex®, we may need to conduct comparability studies to assess whether manufacturing changes have affected the product safety, identity, purity or potency of any commercial product candidate compared to the product candidate used in clinical trials. If we are unable to demonstrate comparability, the FDA could require us to conduct additional clinical trials, which would be expensive and significantly delay commercialization of our product candidates.

#### Risks Relating to Product Commercialization

If commercialized, our product candidates may not be approved for sufficient governmental or third-party reimbursements, which would adversely affect our ability to market our product candidates.

In the United States and elsewhere, sales of pharmaceutical products depend in significant part on the availability of reimbursement to the consumer from third-party payers, such as government and private insurance plans. Third-party payers are increasingly challenging the prices charged for medical products and services. It will be time consuming and expensive for us to go through the process of seeking reimbursement from Medicaid, Medicare and private payers for Proellex® and Androxal®. Our products may not be considered cost effective, and coverage and reimbursement may not be available or sufficient to allow us to sell our products on a competitive and profitable basis. The passage of the Medicare Prescription Drug and Modernization Act of 2003 imposes requirements for the distribution and pricing of prescription drugs which may negatively affect the marketing of our potential products.

If we successfully develop products but those products do not achieve and maintain market acceptance, our business will not be profitable.

Even if our product candidates are approved for commercial sale by the FDA or other regulatory authorities, the degree of market acceptance of any approved product by physicians, healthcare professionals and third-party payers and our profitability and growth will depend on a number of factors, including:

- § relative convenience and ease of administration;
- § the prevalence and severity of any adverse side effects;
- § availability, effectiveness and cost of alternative treatments;
- § pricing and cost effectiveness of our drugs;
- § effectiveness of our or collaborators' sales and marketing strategies; and

§ our ability to obtain sufficient third-party insurance coverage or reimbursement.

If Androxal® does not provide a treatment regime that is more beneficial than AndroGel®, the current standard of care for the treatment of testosterone deficiency, or otherwise provide patient benefit, it likely will not be accepted favorably by the market. If any products we may develop do not achieve market acceptance, then we will not generate sufficient revenue to achieve or maintain profitability.

In addition, even if our products achieve market acceptance, we may not be able to maintain that market acceptance over time if:

§ new products or technologies are introduced that are more favorably received than our products, are more cost effective or render our products obsolete;

§ unforeseen complications arise with respect to use of our products; or

§ sufficient third-party insurance coverage or reimbursement does not remain available.

Our liability insurance may neither provide adequate coverage nor may it always be available on favorable terms or at all.

Neither Androxal® nor Proellex® has been approved for commercial sale. However, the current and future use of our product candidates by us and potential corporate collaborators in clinical trials, and the sale of any approved products in the future, may expose us to liability claims. These claims might be made directly by consumers or healthcare providers or indirectly by pharmaceutical companies, potential corporate collaborators or others selling such products. We may experience financial losses in the future due to product liability claims. We have obtained limited general commercial liability insurance coverage for our clinical trials. We intend to expand our insurance coverage to include the sale of commercial products if we obtain marketing approval for any of our product candidates. However, we may not be able to maintain insurance coverage at a reasonable cost or in sufficient amounts to protect us against losses. If a successful product liability claim or series of claims is brought against us for uninsured liabilities or for liabilities in excess of our insurance limits, our assets may not be sufficient to cover such claims and our business operations could be impaired.

We face significant competition from many companies with substantially greater resources than we have and other possible advantages.

We are engaged in biopharmaceutical product development, an industry that is characterized by extensive research efforts and rapid technological progress. The biopharmaceutical industry is also highly competitive. Our success will depend on our ability to acquire, develop and commercialize products and our ability to establish and maintain markets for any products for which we receive marketing approval. Potential competitors in North America, Europe and elsewhere include major pharmaceutical companies, specialty pharmaceutical companies and biotechnology firms, universities and other research institutions and government agencies. Many of our competitors have substantially greater research and development and regulatory capabilities and experience, and substantially greater management, manufacturing, distribution, marketing and financial resources, than we do. Accordingly, our competitors may:

§ develop or license products or other novel technologies that are more effective, safer or less costly than the product candidates that we are developing;

§ obtain regulatory approval for products before we do; or

§ commit more resources than we can to developing, marketing and selling competing products.

Our main competitors for the treatment of testosterone deficiency are the testosterone replacement therapies currently being marketed. The current standard of care is AndroGel®, a topical gel for the replacement of testosterone developed by Solvay Pharmaceuticals (which was acquired by Abbott Laboratories). Abbott is a much larger company than we are, with greater resources and marketing ability. Androxal® would also compete with other forms of testosterone replacement therapies such as oral treatments, patches, injectables and a tablet applied to the upper gum. There is another topical gel currently marketed by Auxilium Pharmaceuticals called Testim®, and a transdermal patch marketed by Watson Pharmaceuticals called AndroDerm®. There can be no assurance that our product candidates will be more successful than competitive products. In addition, other potential competitors may be developing testosterone therapies similar to ours.

The main therapeutic products competitive with Proellex® for the treatment of uterine fibroids and endometriosis are GnRH agonists, including Lupron® and the use of approved progestin-based contraceptives for the treatment of endometriosis. In addition, surgical treatment of both uterine fibroids and endometriosis would compete with Proellex®, if approved, by removing uterine fibroids and by removing misplaced tissue in women with

endometriosis. Furthermore, Abbott has recently licensed a Phase 3-ready molecule from Neurocrine Biosciences Inc. for the treatment of endometriosis.

#### Risks Relating to Our Intellectual Property

There is a third party individual patent holder that claims priority over our patent application for Androxal®.

A third party individual holds two issued patents related to the use of an anti-estrogen such as clomiphene citrate and others for use in the treatment of androgen deficiency and disorders related thereto. In our prior filings with the SEC, we have described our request to the U.S. Patent and Trademark Office, or PTO, for re-examination of one of these patents based on prior art. The third party amended the claims in the re-examination proceedings, which led the PTO to determine that the amended claims are patentable in view of those publications under consideration and a re-examination certificate was issued. However, we believe that the amended claims are invalid based on additional prior art publications, and we filed a second request for re-examination by the PTO in light of a number of these additional publications and other publications cited by the PTO. The request was granted and all of the claims were finally rejected by the PTO in the re-examination. The patent holder appealed the rejections to the PTO Board of Patent Appeals and Interferences (“the Board”) which affirmed the rejection of all of the claims. The patent holder subsequently filed a request for rehearing, which led the Board to reverse the rejections of several dependent claims in view of those publications under consideration. The patent holder has filed a Notice of Appeal to the United States Court of Appeals for the Federal Circuit contesting the rejections maintained by the Board. We also believe that the second of these two patents is invalid in view of published prior art not considered by the PTO. Nevertheless, there is no assurance that either patent will ultimately be found invalid over the prior art. If such patents are not invalidated by the PTO we may be required to obtain a license from the holder of such patents in order to develop Androxal® further or attempts may be made to undertake further legal action to invalidate such patents. If such licenses were not available on acceptable terms, or at all, we may not be able to successfully commercialize or out-license Androxal®.

We licensed our rights to Proellex® from NIH and our inability to fulfill our commitments and obligations under such license may result in forfeiture of our rights.

Our rights to Proellex® are licensed exclusively to us from NIH under a license agreement. This license agreement contains numerous detailed performance obligations, with time sensitive dates for compliance, relating to clinical development and commercialization activities required by us or our designated third-party providers, as well as additional financial milestones and royalties. Failure to achieve the benchmarks specified in the commercial development plan attached to the license agreement or meet payment obligations could result in termination of the license agreement and the loss of our rights to develop and commercialize Proellex®. We periodically update the commercial development plan as such plans evolve. There can be no assurance that we will be able to meet any or all of the performance objectives in the future on a timely basis or at all, or that, if we fail to meet any of such objectives, NIH will agree to revised objectives. NIH has the ability to terminate the agreement for an uncured material breach of the agreement, if we made a false statement or willful omission in our license application, if we do not keep Proellex® reasonably available to the public after commercial launch, if we cannot reasonably satisfy unmet health and safety needs, or if we cannot reasonably justify a failure to comply with the domestic production requirement unless such requirement has been waived.

We cannot assure that our manufacture, use or sale of our product candidates will not infringe on the patent rights of others.

There can be no assurance that the manufacture, use or sale of any of our product candidates will not infringe the patent rights of others. We may be unable to avoid infringement of the patent rights of others and may be required to seek a license, defend an infringement action or challenge the validity of the patents in court. There can be no assurance that a license to the allegedly infringed patents will be available to us on terms and conditions acceptable to us, if at all, or that we will prevail in any patent litigation. Patent litigation is extremely costly and time-consuming, and there can be no assurance that we will have sufficient resources to defend any possible litigation related to such infringement. If we do not obtain a license on acceptable terms under such patents, or are found liable for infringement, or are not able to have such patents declared invalid, we may be liable for significant money damages, may encounter significant delays in bringing our product candidates to market, or may be precluded from participating in the manufacture, use or sale of any such product candidates, any of which would materially and adversely affect our business.

A dispute regarding the infringement or misappropriation of our proprietary rights or the proprietary rights of others could be costly and result in delays in our research and development activities.

Our commercial success depends upon our ability to develop and manufacture our product candidates and market and sell drugs, if any, and conduct our research and development activities without infringing or misappropriating the proprietary rights of others. We may be exposed to future litigation by others based on claims that our product candidates, technologies or activities infringe the intellectual property rights of others. Numerous United States and foreign issued patents and pending patent applications owned by others also exist in the therapeutic areas in, and for the therapeutic targets for, which we are developing drugs. These could materially affect our ability to develop our product candidates or sell drugs, and our activities, or those of our licensor or future collaborators, could be determined to infringe these patents. Because patent applications can take many years to issue, there may be currently pending applications, unknown to us, which may later result in issued patents that our drug candidates or technologies may infringe. There also may be existing patents, of which we are not aware, that our product candidates or technologies may infringe. Further, there may be issued patents and pending patent applications in fields relevant to our business, of which we are or may become aware, that we believe we do not infringe or that we believe are invalid or relate to immaterial portions of our overall drug discovery and development efforts. We cannot assure you that others holding any of these patents or patent applications will not assert infringement claims against us for damages or

seeking to enjoin our activities. We also cannot assure you that, in the event of litigation, we will be able to successfully assert any belief we may have as to non-infringement, invalidity or immateriality, or that any infringement claims will be resolved in our favor.

In addition, others may infringe or misappropriate our proprietary rights, and we may have to institute costly legal action to protect our intellectual property rights. We may not be able to afford the costs of enforcing or defending our intellectual property rights against others. There could also be significant litigation and other administrative proceedings in our industry that affect us regarding patent and other intellectual property rights. Any legal action or administrative action against us, or our collaborators, claiming damages or seeking to enjoin commercial activities relating to our drug discovery and development programs could:

§ require us, or potential collaborators, to obtain a license to continue to use, manufacture or market the affected drugs, methods or processes, which may not be available on commercially reasonable terms, if at all;

§ prevent us from importing, making, using, selling or offering to sell the subject matter claimed in patents held by others and subject to potential liability for damages; or

§ consume a substantial portion of our managerial, scientific and financial resources; or be costly, regardless of the outcome.

Furthermore, because of the substantial amount of pre-trial documents and witness discovery required in connection with intellectual property litigation, there is risk that some of our confidential information could be compromised by disclosure during this type of litigation. In addition, during the course of this kind of litigation, there could be public announcements of the results of hearings, motions or other interim proceedings or developments. If securities analysts or investors perceive these results to be negative, it could have a substantial adverse effect on the trading price of our common stock or warrants.

We face substantial uncertainty in our ability to protect our patents and proprietary technology.

Our ability to commercialize our products will depend, in part, on our or our licensor's ability to obtain patents, to enforce those patents and preserve trade secrets, and to operate without infringing on the proprietary rights of others. The patent positions of biopharmaceutical companies are highly uncertain and involve complex legal and factual questions. There can be no assurance that:

§ Patent applications for and relating to our products candidates, Androxal® and Proellex®, will result in issued patents;

§ Patent protection will be secured for any particular technology;

§ Any patents that have been or may be issued to us, such as our issued patents and/or pending patent applications relating to Proellex® or Androxal®, or any patents that have been or may be issued to our licensor, such as the patent(s) and application(s) underlying our Proellex® compound, when issued, will be valid and enforceable;

§ any patents will provide meaningful protection to us;

§ others will not be able to design around the patents; or

§ our patents will provide a competitive advantage or have commercial application.

The failure to obtain and maintain adequate patent protection would have a material adverse effect on us and may adversely affect our ability to enter into, or affect the terms of, any arrangement for the marketing of any product.

We cannot assure that our patents will not be challenged by others.

There can be no assurance that patents owned by or licensed to us will not be challenged by others. We could incur substantial costs in proceedings, including interference proceedings before the PTO and comparable proceedings before similar agencies in other countries in connection with any claims that may arise in the future. These proceedings could result in adverse decisions about the patentability of our or our licensor's inventions and products, as well as about the enforceability, validity or scope of protection afforded by the patents. Any adverse decisions about the patentability of our product candidates could cause us to either lose rights to develop and commercialize our product candidates or to license such rights at substantial cost to us. In addition, even if we were successful in such proceedings, the cost and delay of such proceedings would most likely have a material adverse effect on our business.

Confidentiality agreements with employees and others may not adequately prevent disclosure of trade secrets and other proprietary information, may not adequately protect our intellectual property, and will not prevent third parties from independently discovering technology similar to or in competition with our intellectual property.

We rely on trade secrets and other unpatented proprietary information in our product development activities. To the extent we rely on trade secrets and unpatented know-how to maintain our competitive technological position, there



can be no assurance that others may not independently develop the same or similar technologies. We seek to protect trade secrets and proprietary knowledge, in part, through confidentiality agreements with our employees, consultants, advisors, collaborators and contractors. Nevertheless, these agreements may not effectively prevent disclosure of our confidential information and may not provide us with an adequate remedy in the event of unauthorized disclosure of such information. If our employees, scientific consultants, advisors, collaborators or contractors develop inventions or processes independently that may be applicable to our technologies, product candidates or products, disputes may arise about ownership of proprietary rights to those inventions and processes. Such inventions and processes will not necessarily become our property, but may remain the property of those persons or their employers. Protracted and costly litigation could be necessary to enforce and determine the scope of our proprietary rights. If we fail to obtain or maintain trade secret protection for any reason, the competition we face could increase, reducing our potential revenues and adversely affecting our ability to attain or maintain profitability.

We cannot protect our intellectual property rights throughout the world.

Filing, prosecuting, and defending patents on all of our drug discovery technologies and all of our potential drug candidates throughout the world would be prohibitively expensive. Competitors may use our technologies to develop their own drugs in jurisdictions where we have not obtained patent protection. These drugs may compete with our drugs, if any, and may not be covered by any of our patent claims or other intellectual property rights. The laws of some foreign countries do not protect intellectual property rights to the same extent as the laws of the United States, and many companies have encountered significant problems in protecting and defending such rights in foreign jurisdictions. Many countries, including certain countries in Europe, have compulsory licensing laws under which a patent owner may be compelled to grant licenses to third parties (for example, the patent owner has failed to “work” the invention in that country or the third party has patented improvements). In addition, many countries limit the enforceability of patents against government agencies or government contractors. In these countries, the patent owner may have limited remedies, which could materially diminish the value of the patent. Compulsory licensing of life-saving drugs is also becoming increasingly popular in developing countries either through direct legislation or international initiatives. Such compulsory licenses could be extended to include some of our drug candidates, which could limit our potential revenue opportunities. Moreover, the legal systems of certain countries, particularly certain developing countries, do not favor the aggressive enforcement of patents and other intellectual property protection, particularly those relating to biotechnology and/or pharmaceuticals, which makes it difficult for us to stop the infringement of our patents. Proceedings to enforce our patent rights in foreign jurisdictions could result in substantial cost and divert our efforts and attention from other aspects of our business.

## Risks Related to this Offering and our Common Stock and Warrants

We will have broad discretion as to the use of the proceeds from this offering, and we may not use the proceeds effectively.

We will have broad discretion in the application of the net proceeds from this offering and could allocate the net proceeds in ways that do not improve our results of operations or enhance the value of our common stock or warrants. Our failure to apply these funds effectively could have a material adverse effect on our business, delay the development of our product candidates and cause the price of our common stock or warrants to decline.

Purchasers in this offering will experience immediate and substantial dilution.

As of September 30, 2010, we had a net tangible book value of \$3.1 million which yields a net tangible book value of approximately \$0.35 per share of common stock, assuming no exercise of any warrants or options. The net tangible book value per share is less than the current market price per share. If you pay more than the net tangible book value per share for common stock in this offering, you will experience immediate dilution. See the section titled "Dilution" on page 21 of this prospectus. The exercise of outstanding options and the warrants issued in connection with this offering will result in further dilution in your investment. In addition, if we issue additional equity securities in the future, the newly issued securities may further dilute your ownership interest.

The trading price of our common stock has been volatile and is likely to be volatile in the future.

The trading price of our common stock has been highly volatile. Since January 1, 2008 through January 28, 2011, the sale price of our stock price has fluctuated from a low of \$1.11 to a high of \$55.76. The market price for our common stock and warrants will be affected by a number of factors, including:

§ the denial or delay of regulatory clearances or approvals of our drug candidates or receipt of regulatory approval of competing products;

§ our ability to accomplish clinical, regulatory and other product development milestones;

§ the ability of our product candidates, if they receive regulatory approval, to achieve market success;

§ the performance of third-party manufacturers and suppliers;

§ actual or anticipated variations in our results of operations or those of our competitors;

§ developments with respect to patents and other intellectual property rights;

§ sales of common stock or other securities by us or our stockholders in the future;

§ additions or departures of key scientific or management personnel;

§ disputes or other developments relating to proprietary rights, including patents, litigation matters and our ability to obtain patent protection for our products;

§ trading volume of our common stock and warrants;

§ investor perceptions about us and our industry;

§ public reaction to our press releases, other public announcements and SEC and other filings;

§ the failure of analysts to cover our common stock, or changes in analysts' estimates or recommendations;

§ the failure by us or our competitors to meet analysts' projections or guidance;

§ general market conditions and other factors unrelated to our operating performance or the operating performance of our competitors; and

§ the other factors described elsewhere in these "Risk Factors."

The stock prices of many companies in the biotechnology industry have experienced wide fluctuations that have often been unrelated to the operating performance of these companies. Following periods of volatility in the market price of a company's securities, securities class action litigation often has been initiated against a company. If any additional class action litigation is initiated against us, we may incur substantial costs and our management's attention may be diverted from our operations, which could significantly harm our business.

Our inability to comply with the listing requirements of the Nasdaq Capital Market could result in our common stock and/or warrants being delisted, which could affect their market price and liquidity and reduce our ability to raise capital.

We are required to meet certain qualitative and financial tests (including a minimum closing bid price of \$1.00 per share for our common stock) to maintain the listing of our common stock and/or warrants on the Nasdaq Capital Market. If we do not maintain compliance with the continued listing requirements for the Nasdaq Capital Market within specified periods and subject to permitted extensions, our common stock and/or warrants may be recommended for delisting (subject to any appeal we would file). If our common stock or warrants were delisted, it could be more difficult to buy or sell our common stock or warrants and to obtain accurate quotations, and the price of our common stock or warrants could suffer a material decline. Delisting would also impair our ability to raise capital.

The market price of our common stock may fall below the exercise price of the warrants issued in connection with this offering.

The warrants being issued in connection with this offering will be exercisable immediately upon issuance and will expire five years from the date of issuance. The market price of our common stock may fall below the exercise price for the warrants prior to their expiration. Any warrants not exercised by their date of expiration will expire worthless and we will be under no further obligation to the holders of such warrants.

## FORWARD-LOOKING STATEMENTS

Some of the statements contained (i) in this prospectus and any accompanying prospectus supplement or (ii) incorporated by reference into this prospectus are forward-looking statements within the meaning of Section 27A of the Securities Act of 1933, as amended (the “Securities Act”), and Section 21E of the Securities Exchange Act of 1934, as amended (the “Exchange Act”), and are subject to the safe harbor created by the Securities Litigation Reform Act of 1995. Examples of these forward-looking statements include, but are not limited to:

§ our ability to continue as a going concern and to raise additional capital, as necessary, on acceptable terms or at all;

§ having available funding for the continued development of Proellex® and Androxal®;

§ our ability to successfully defend the class action lawsuits;

§ the removal of the current partial clinical hold on further clinical trials for Proellex® by the FDA and the reestablishment of safe dosing in clinical trials for Proellex®;

§ uncertainty related to our ability to obtain approval of our products by the FDA and regulatory bodies in other jurisdictions;

§ uncertainty relating to our patent portfolio;

§ market acceptance of our products and the estimated potential size of these markets;

§ dependence on third parties for clinical development and manufacturing;

§ dependence on a limited number of key employees;

§ competition and risk of competitive new products;

§ volatility in the value of our common stock;

§ volatility in the financial markets generally; and

§ any other risks and uncertainties described under “Risk Factors” or elsewhere in this prospectus.

While these forward-looking statements made by us are based on our current intent, beliefs and judgments, they are subject to risks and uncertainties that could cause actual results to vary from the projections in the forward-looking statements. You should consider the risks above carefully in addition to other information contained in this prospectus before engaging in any transaction involving our securities. If any of these risks occur, they could seriously harm our business, financial condition or results of operations. In such case, the trading price of our common stock could decline, and you may lose all or part of your investment.

In addition, in this prospectus, any prospectus supplement and the documents incorporated by reference into this prospectus, the words “believe,” “should,” “predict,” “future,” “may,” “will,” “estimate,” “continue,” “anticipate,” “intend,” “potential,” “continue,” or “opportunity,” or other words and terms of similar meaning, as they relate to us, our business, future financial or operating performance or our management, are intended to identify forward-looking statements. Any forward-looking statement speaks only as of the date on which it is made, and we undertake no obligation to update or revise 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. Past financial or operating performance is not necessarily a reliable indicator of future performance and you should not use our historical performance to anticipate results or future period trends.

## USE OF PROCEEDS

We expect to receive approximately \$      million in net proceeds from the sale of the 600,000 units offered by us in this offering based on the offering price of \$      per unit, or approximately \$      if the underwriter exercises its over-allotment in full based on the offering price of \$      per unit. “Net proceeds” is what we expect to receive after paying the expenses of this offering, including the underwriting discounts and commissions as described in “Underwriting” and other estimated offering expenses payable by us, which include legal, accounting and printing fees; however, it does not include proceeds that we may receive upon exercise of warrants.

We intend to use the net proceeds from this offering for general corporate purposes, including continuing our clinical trials for Androxal® and Proellex®. We have not yet determined with certainty the manner in which we will allocate the net proceeds; however, we currently anticipate using:

- §      approximately \$1.6 million to conduct our Phase 2B secondary hypogonadism trial for Androxal®;
- §      approximately \$1.6 million to complete our current Phase 2 type 2 diabetes trial for Androxal®; and
- §      approximately \$1.0 million to complete our current escalating low dose study for Proellex®.

The amounts described above are only an estimate of the expenses we currently anticipate will be necessary to complete each trial. Our management will have broad discretion in the application of the net proceeds, and investors will be relying on the judgment of our management regarding the application of the proceeds of this offering.

Until we use the net proceeds of this offering, we intend to invest the funds in short-term, investment grade, interest-bearing securities.

## CAPITALIZATION

The following table presents a summary of our cash and cash equivalents and capitalization as of September 30, 2010:

§ on an actual basis; and

§ on an as adjusted basis, giving effect to the sale of 600,000 units to be sold in this offering at a public offering price of \$ per unit, after deducting estimated underwriting discounts and commissions and offering expenses, and the application of the net proceeds of this offering as described in "Use of Proceeds."

You should read the following table in conjunction with "Management's Discussion and Analysis of Financial Condition and Results of Operation" and the historical consolidated financial statements and the related notes thereto incorporated by reference into this prospectus.

|  | As of September 30, 2010<br>(in thousands except share and<br>per share amounts) |             |
|--|--|-------------|
|  | Actual   | As Adjusted |
| Cash and cash equivalents  | \$ 4,216   | \$          |
| Stockholders' equity   |  |             |
| Undesignated preferred stock, \$.001 par value: 5,000,000 shares authorized;<br>none issued and outstanding  |  |             |
| Common stock ((i) Actual: 75,000,000 shares authorized, par value \$0.001;<br>9,042,407 shares issued and 8,930,057 shares outstanding and (ii) As<br>Adjusted: 75,000,000 shares authorized, par value \$0.001; shares<br>issued and outstanding) | \$ 9   | \$          |
| Additional paid-in capital/warrants  | 183,644  |             |
| Cost of treasury stock, 112,350 shares   | (1,380)  |             |
| Deficit accumulated during the development stage   | (178,060)  |             |
| Total stockholders' equity   | \$ 4,213   | \$          |
| Total capitalization   | \$ 4,213   | \$          |

The number of shares in the table above excludes as of September 30, 2010:

§ 538,582 shares of common stock issuable upon the exercise of outstanding options at a weighted average exercise price of \$14.10 per share;

§ 288,421 shares of common stock available for future issuance under our stock option plans;

§ 3,270,000 shares of common stock issuable upon exercise of warrants included in the units in this offering;

§ shares of common stock and warrants issuable upon exercise of the underwriter's over-allotment option; and

§ 286,187 shares of common stock sold by us since September 30, 2010.





## MARKET PRICE AND DIVIDEND INFORMATION

Our common stock is quoted on the Nasdaq Capital Market under the symbol “RPRX”. The following table shows the high and low sale prices per share of our common stock as reported by the Nasdaq Stock Market during the periods presented. Prices per share of our common stock have been adjusted to reflect the 1-for-4 reverse split of our common stock that was effected on October 14, 2010.

|  | Price Range |          |
|--|-------------|----------|
|  | High        | Low      |
| <b>2008</b>                                      |             |          |
| First Quarter                                    | \$ 40.80    | \$ 32.44 |
| Second Quarter                                   | 44.36       | 32.84    |
| Third Quarter                                    | 40.00       | 21.24    |
| Fourth Quarter                                   | 45.00       | 22.72    |
| <b>2009</b>                                      |             |          |
| First Quarter                                    | \$ 55.76    | \$ 23.36 |
| Second Quarter                                   | 33.20       | 22.80    |
| Third Quarter                                    | 24.04       | 2.60     |
| Fourth Quarter                                   | 9.92        | 2.56     |
| <b>2010</b>                                      |             |          |
| First Quarter                                    | \$ 4.88     | \$ 2.52  |
| Second Quarter                                   | 4.52        | 1.44     |
| Third Quarter                                    | 2.68        | 1.12     |
| Fourth Quarter                                   | 4.56        | 1.11     |
| <b>2011</b>                                      |             |          |
| First Quarter (January 1st through January 28th) | \$ 3.36     | \$ 2.61  |

All of the foregoing prices reflect interdealer quotations, without retail mark-up, markdowns or commissions and may not necessarily represent actual transactions in the common stock.

On January 28, 2011, the last sale price of our common stock, as reported by the Nasdaq Capital Market, was \$2.63 per share. On December 31, 2010, there were approximately 170 holders of record and approximately 3,525 beneficial holders of our common stock.

## Dividend Policy

## General

We have never declared or paid cash dividends on our capital stock. We currently intend to retain our future earnings, if any, for use in our business and therefore do not anticipate paying cash dividends in the foreseeable future. Payment of future dividends, if any, will be at the discretion of our board of directors after taking into account various factors, including our financial condition, operating results, current and anticipated cash needs.

## Rights Plan

We are party to a rights agreement, as amended, pursuant to which a dividend consisting of one preferred stock purchase right was distributed for each share of our common stock held as of the close of business on September 13, 1999, and to each share of common stock issued thereafter until the earlier of (i) the distribution date which is defined in the rights plan, (ii) the redemption date which is defined in the rights plan or (iii) September 13, 2015. The rights plan is designed to deter coercive takeover tactics and to prevent an acquirer from gaining control of us without offering fair value to our stockholders. The rights will expire on September 13, 2015, subject to earlier redemption or exchange as provided in the rights plan. Each right entitles its holder to purchase from us one one-hundredth of a share of a new series of Series One Junior Participating Preferred Stock at a price of \$20.00 per one one-hundredth of a share, subject to adjustment. The rights are generally exercisable only if a person acquires beneficial ownership of 20% or more of our outstanding common stock.

A complete description of the rights, the rights plan with Computershare Trust Company, N.A., as rights agent, and the Series One Junior Participating Preferred Stock is hereby incorporated by reference from the information appearing under the caption "Item 1. Description of the Registrant's Securities to be Registered" contained in the Registration Statement on Form 8-A filed on September 3, 1999, and as amended by amendments to such Registration Statement on Form 8-A/A filed on September 11, 2002, October 31, 2002, June 30, 2005, January 10, 2008, October 10, 2008 and September 9, 2010.

## DILUTION

Our unaudited net tangible book value as of September 30, 2010 was approximately \$3.1 million, or approximately \$0.35 per share of common stock. Net tangible book value per share represents total assets minus capitalized patent costs and total liabilities, divided by the number of shares of common stock outstanding. Dilution in net tangible book value per share represents the difference between the amount per unit paid by purchasers of common stock in this offering and the net tangible book value per share of our common stock immediately after the offering.

After giving effect to the sale of 600,000 units to be sold in this offering at the offering price of \$ per unit, and after deduction of estimated underwriting discounts and commissions and offering expenses payable by us, our pro forma net tangible book value as of September 30, 2010 would have been approximately \$ million, or \$ per share. The adjustments made to determine pro forma net tangible book value per share are the following:

- § An increase in total assets to reflect the net proceeds of the offering as described under “Use of Proceeds”; and
- § The addition of the number of shares of common stock included in the units offered under this prospectus to the number of shares outstanding.

The following table illustrates the pro forma increase in net tangible book value attributable to existing stockholders of \$ per share and the dilution (the difference between the offering price per share and net tangible book value per share) to new investors:

|  |    |
|--|----|
| Offering price per unit  | \$ |
| Increase in net tangible book value attributable to this offering  |    |
| Pro forma net tangible book value per share as of September 30, 2010, after giving effect to this offering |    |
| Dilution per share to new investors of this offering   | \$ |

The number of shares in the table above excludes as of September 30, 2010:

§ 538,582 shares of common stock issuable upon the exercise of outstanding options at a weighted average exercise price of \$14.10 per share;

§ 288,421 shares of common stock available for future issuance under our stock option plans;

§ 3,270,000 shares of common stock issuable upon exercise of warrants included in the units in this offering;

§ shares of common stock and warrants issuable upon exercise of the underwriter’s over-allotment option; and

§ 286,187 shares of common stock sold by us since September 30, 2010.

## DESCRIPTION OF BUSINESS

### Overview

Repos Therapeutics was organized on August 20, 1987. We are a development stage biopharmaceutical company focused on the development of new drugs to treat hormonal and reproductive system disorders.

We are developing Androxal®, an oral therapy that normalizes testicular function, for the treatment of low testosterone due to secondary hypogonadism. Secondary hypogonadism is associated with aging and we believe it is the most common cause of low testosterone in men. It is estimated that 13 million men in the U.S. experience low levels of testosterone, and the condition is becoming recognized with more frequency. In 2009, for the first time, sales of testosterone preparations for the treatment of low testosterone exceeded \$1 billion worldwide and first tier pharmaceutical companies entered the low testosterone marketplace as evidenced by the acquisition of Solvay Pharmaceuticals and the subsequent active marketing of its AndroGel® product by Abbott Laboratories. Eli Lilly and Company also recently entered into a licensing agreement with a third party for a late stage topical testosterone treatment.

The Company believes Androxal® is highly differentiated from currently marketed testosterone treatments or those treatments in late stage development because it is an oral therapy and it treats the cause of secondary hypogonadism, which is inadequate pituitary hormones. We believe that by treating the cause of secondary hypogonadism it also has the potential to maintain reproductive status and potentially improve overall metabolic profiles, which we believe may improve the condition of men suffering from type 2 diabetes. The Company held a Type B meeting with the FDA on November 8, 2010 to discuss the FDA's willingness to review Phase 3 protocols under a Special Protocol Assessment ("SPA"). Although the FDA advised the Company that it may proceed with Phase 3 studies, the FDA recommended that a Phase 2B study in men with secondary hypogonadism, but naïve to testosterone treatment, be conducted if the Company desired the protocols to be reviewed under an SPA. On January 3, 2011, we announced that we have received IRB approval to commence the Phase 2B study of Androxal® in men with secondary hypogonadism, and we have begun enrolling patients. Depending on the rate of subject enrollment, we hope to have the study completed before the end of 2011.

The Company is also currently conducting a Phase 2 study of the use of Androxal® in the treatment of Type 2 diabetes in hypogonadal men. Retrospective analysis of completed Androxal® studies showed that Androxal® improved fasting plasma glucose levels in men with Type 2 diabetes, an improvement not seen in similar subjects using a topical testosterone or placebo. The Company believes this effect is directly related to Androxal®'s ability to normalize the hypothalamic-pituitary-testes pathway and organ function.

We are also developing Proellex®, an orally administered selective blocker of the progesterone receptor in women, for the treatment of uterine fibroids and endometriosis. Uterine fibroids and endometriosis affect millions of women of reproductive age. We believe an effective treatment for these underserved conditions could result in sales of a safe and effective drug easily exceeding \$1 billion in sales in the U.S. Proellex® had shown statistically significant results in Phase 2 studies for both endometriosis and uterine fibroids. The Company has recently commenced a low dose escalating study as permitted by the FDA, which is intended to determine both signals of efficacy and safety for low oral doses of the drug.

Both of our product candidates have exhibited strong efficacy results in every study completed to date, and Repos believes the studies presently underway or scheduled to start shortly will place both programs on a clear late stage clinical development path and a solid position for licensing.

As of September 30, 2010, we had accumulated losses of \$178.1 million, approximately \$4.2 million in cash and cash equivalents, and our accounts payable and accrued expenses were approximately \$1.4 million. The amount of cash on hand is not sufficient to fund each of the current clinical trials for our two drug candidates, Proellex® and Androxal®. Assuming successful completion of this offering, we will have sufficient funding to complete all of the Phase 2 and 2B clinical trials currently planned or underway; however, significant additional capital will be required for us to complete development of either of our product candidates. We continue to explore potential additional financing alternatives (including corporate partnering opportunities) that would provide sufficient funds to enable us to continue to develop our two product candidates through completion of the outlined clinical trials; however, there can be no assurance that we will be successful in raising any such additional funds on a timely basis or at all. The foregoing and other matters raise substantial doubt about our ability to continue as a going concern.

Androxal®

#### Product Overview

Our primary product candidate, Androxal®, is a single isomer of clomiphene citrate and is an orally active proprietary small molecule compound. We are developing Androxal® for men of reproductive age with low testosterone levels. Androxal® treats the underlying mechanism that causes secondary hypogonadism and restores normal testicular function. Unlike testosterone replacement which suppresses testicular function, Androxal® does not impair the reproductive status of men being treated for low testosterone. In addition, we are conducting a Phase 2 clinical trial of Androxal® as a potential treatment for type 2 diabetes.

Testosterone is an important male hormone. Testosterone deficiency in men is linked to several negative physical and mental conditions, including loss of muscle tone, reduced sexual desire, and deterioration of memory and certain other cognitive functions. Testosterone production normally decreases as men age, sometimes leading to testosterone deficiency. The leading therapy for low testosterone is AndroGel®, a commercially available testosterone replacement cream marketed by Abbott Laboratories for the treatment of low testosterone, which we believe has had and continues to have significant sales in North America.

Based on our own clinical trial screening data, we believe over 70% of men that have low testosterone suffer from secondary hypogonadism, a pituitary defect which is characterized by suboptimal levels of LH (luteinizing hormone) and FSH (follicle stimulating hormone). LH and FSH are the pituitary hormones that stimulate testicular testosterone and sperm production, respectively. Men with secondary hypogonadism can be readily distinguished from those that have primary testicular failure via assessment of the levels of secretions of pituitary hormones, as men with primary testicular failure experience elevated secretions of pituitary hormones. In secondary hypogonadism, the low levels of LH and FSH fail to provide adequate hormone signaling to the testes, causing testosterone levels to drop to a level where we believe pituitary secretions fall under the influence of estrogen, thus further suppressing the testicular stimulation from the pituitary.

Androxal® acts centrally to restore testicular function and hence normal testosterone in the body. The administration of exogenous testosterone can restore serum testosterone levels, but does not restore testicular function and thereby generally leads to the cessation of or significant reduction in sperm production. Androxal®, by contrast, restores levels of both LH and FSH, which stimulate testicular testosterone and sperm production, respectively.

We also believe there may be an association between the restoration of normal pituitary function and improvement of metabolic conditions such as type 2 diabetes. Research has been published which demonstrates that increased insulin resistance, a characteristic implicated in Type 2 diabetes, is associated with the onset of secondary hypogonadism. Based on our own clinical trial screening data, we have found hypogonadism and Type 2 diabetes to be comorbid conditions in a significant number of men. A retrospective analysis of the clinical trial data from our completed Androxal® studies showed that Androxal® improved fasting plasma glucose levels in men with Type 2 diabetes, suggesting that Androxal® modifies the endocrinologic profile in terms of both hormones and certain metabolic measures. This improvement was not seen in similar subjects using a topical testosterone or placebo. In a large trial conducted by Solvay Pharmaceuticals, AndroGel® was found to have no positive effect on glycemic control in hypogonadal men who were also Type 2 diabetic regardless of how much the exogenous testosterone concentration increased. Contrary to the results seen with exogenous testosterone, Androxal® did exhibit positive effects on glycemic control, and we believe these effects are directly related to Androxal®'s ability to normalize the hypothalamic-pituitary-testes pathway and organ function.

We tested Androxal® in two studies designed to show that Androxal® improved testosterone levels as well as AndroGel® in men with secondary hypogonadism. These studies indicated that Androxal® had a superior ability to improve testosterone levels when compared to AndroGel®, and that the improvement was statistically significant. In a meeting held with the FDA in the fourth quarter of 2007, however, the FDA determined that improved testosterone levels alone were not sufficient to grant approval for the drug. In the meeting held on November 8, 2010, the FDA changed its position and determined that improved testosterone levels would be sufficient to grant approval for the drug.

Androxal® will be required to undergo the full regulatory approval process, including the current Phase 2 trial, pivotal Phase 3 trial and long-term Open Label Safety Studies as well as other requirements. Androxal® is closely related chemically to the drug, Clomid®, which is approved for use in women to treat certain infertility disorders. Clomid® contains both the trans and cis isomers of clomiphene citrate; Androxal® contains only the trans isomer. The FDA has indicated that testicular tumors, gynecomastia and adverse ophthalmologic events, which have been reported in

males taking Clomid®, are potential risks that should be included in informed consent forms for our Androxal® clinical trials. We do not believe that Androxal® will present with the same adverse events given its reduced half-life in the human body as compared to Clomid®. In our preclinical studies and our clinical trials to date, we have observed no evidence of any of these events except for certain ophthalmologic events in our preclinical dog study at doses significantly higher than those administered in the clinical trials.

All clinical trial results are subject to review by the FDA, and the FDA may disagree with our conclusions about safety and efficacy. We caution that the results discussed herein are based on data from non-pivotal trials and that our current Phase 2 trials, pivotal Phase 3 and long-term Open Label Safety Trial data may not agree with these results which will be based upon significantly larger and more diverse patient populations treated for longer periods of time.

#### Treatment for Secondary Hypogonadism in Men Wishing to Preserve Testicular Function (Reproductive Status)

On November 8, 2010, we held a Type B meeting with the FDA to discuss whether the FDA would review our protocols for a Phase 3 trial of Androxal® in men with secondary hypogonadism under an SPA. In the meeting, the FDA recommended that a Phase 2B study in men with secondary hypogonadism but naïve to testosterone treatment be conducted before the FDA would be willing to review Phase 3 protocols under an SPA. The FDA further opined that such Phase 2B study would provide for a more solid data base for design of Phase 3 studies and eventual approval of such studies under an SPA. In our 24-patient Phase 2b proof-of-concept clinical trial which was initiated in the second quarter of 2008, we monitored the effects of Androxal® on male fertility and testicular function in patients being treated for low testosterone as compared to Testim®, a popular marketed topical testosterone medication. This trial showed that Androxal® was able to maintain sperm counts in men being treated for their low testosterone levels, whereas Testim® resulted in suppressed sperm levels. The FDA noted that the Company could proceed to Phase 3; however, the FDA recommended that a Phase 2B study in men with secondary hypogonadism, but naïve to testosterone treatment, be conducted if the Company desired the protocols to be reviewed under an SPA.



The Company's Phase 2B trial, which has begun enrolling patients, will consist of four arms; placebo, two doses of Androxal® and topical testosterone. At baseline the men should exhibit morning testosterone less than 250 ng/dl. The primary endpoint will consist of total testosterone at the end of the three month study compared to baseline. Impact on reproductive status (sperm counts) will be assessed as a safety endpoint. In a study previously completed by Repros a subset of men with morning testosterone less than 250 ng/dl was analyzed in which we found a statistically significant improvement in morning testosterone and no deterioration of FSH in Androxal®-treated men. However, in the men on topical testosterone, 26 out of the 41 men that completed three months of dosing exhibited FSH levels below the reference limits for the hormone, with 17 below the lower limit of detection.

Unlike testosterone replacement therapies, Androxal® maintains the normal daily rhythm of testosterone peaks and valleys. We previously conducted three studies in which 24 hour testosterone levels were obtained and, unlike topical testosterone, morning testosterone was the maximum concentration observed, consistent with the normal circadian rhythm in men. We combined the three studies into one analysis, which has been submitted for FDA review. This analysis provides evidence that one assessment of testosterone between 8 a.m. and 10 a.m. correlates to the maximum value of testosterone for a given subject on a given day. We have committed to conduct one additional 24 hour study to show that Androxal®'s action in maintaining the normal rhythm is both predictable and dose-dependent.

We believe the advantages of oral delivery, maintenance of testicular function and additional metabolic benefits will be important differentiating factors for Androxal®, should it be approved. There can be no assurance, however, that we will be successful in implementing this strategy or that the FDA will approve our drug for commercial use.

#### Type 2 Diabetes

Our findings from a retrospective review of the clinical data from our 200 patient non-pivotal Phase 2 clinical trial showed that Androxal® therapy resulted in a significant reduction in mean fasting plasma glucose levels in men with glucose levels greater than 104 mg/dL at the outset of the trial, an outcome not seen in the placebo or AndroGel® arms of this study. Based on these results, in April 2008, we submitted a White Paper to the Division of Reproductive and Urology Products. The data demonstrated that among subjects with a serum glucose of greater than or equal to 105 mg/dL, there was a higher response rate to treatment in the Androxal® group than the placebo or AndroGel® groups, and the reduction in fasting serum glucose in this group was statistically significant. In November 2008, after the FDA reviewed this paper we received guidance from them suggesting that we open a new IND with the Division of Metabolic and Endocrine Products, or DMEP, for the investigation of Androxal® as a potential treatment for type 2 diabetes mellitus. In December 2009, we submitted a new IND to DMEP for the investigation of Androxal® for such purpose. On February 1, 2010, we received confirmation from DMEP that our new IND was accepted and, as a result, we have initiated our Phase 2 trial. This trial will enroll 135 men with morning testosterone levels under 300 ng/dl who also have a fasting glucose level between 125 mg and 240 mg per deciliter and glycated hemoglobin, or HbA1c, levels between 7% and 9.5%-levels indicative of poor glucose control. Enrolled patients also will have been on a stable dose of an oral hypoglycemic agent for at least 2 months. We will split the men into three arms, one placebo and two doses of Androxal®, at 12.5 and 25 mg. We will look at changes in fasting glucose and HbA1C levels from baseline, along with changes in testosterone level. As of November 30, 2010, six men are enrolled in our Phase 2 trial and we anticipate that we will attain full enrollment by the end of the second quarter of 2011. The Company believes it has sufficient cash to complete an interim analysis of the study around the end of the first quarter of 2011, pending enrollment rates; however, completion of this study will be dependent upon the completion of this offering.

Proellex®

Product Overview

Proellex®, our product candidate for female reproductive health, is a new chemical entity that acts as a selective blocker of the progesterone receptor and is being developed for the treatment of symptoms associated with uterine fibroids and endometriosis. There are currently no FDA-approved orally administered drug treatments for the long-term treatment of either uterine fibroids or endometriosis. The National Uterine Fibroids Foundation estimates that 80% of all women in the U.S. have uterine fibroids, and one in four of these women have symptoms severe enough to require treatment. According to the Endometriosis Association, endometriosis affects 6.3 million women in the U.S. and Canada and millions more worldwide.

The current standards of care for uterine fibroids and endometriosis consist of surgery or short-term treatment with gonadotropin-releasing hormone (GnRH) agonists drugs, such as Lupron®. GnRH agonists induce a low estrogen, menopausal-like state and promote bone loss and are not recommended for use for more than six months.

We have conducted numerous studies with Proellex® enrolling over 750 women, roughly 700 of whom were dosed with the drug. All Proellex® studies completed to date exhibited strong efficacy signals, whether in uterine fibroids or endometriosis. In a 120 patient study of Proellex® as a treatment of uterine fibroids conducted in the United States (roughly 40 subjects per arm), both a 12.5 and 25 mg dose of Proellex® were compared to placebo. In this study each of the 12.5 and 25 mg doses achieved highly statistically significant results when compared to placebo when menstrual bleeding was assessed ( $p < 0.0001$ ). The two doses also achieved highly statistically significant improvement in quality of life measures using the Uterine Fibroid Symptom Quality of Life questionnaire developed and validated by Georgetown University and used in the development of device like treatments of uterine fibroids such as uterine artery embolization. There was no statistical difference in efficacy measures between the two doses. Importantly, in the Phase 2 U.S. trial a significant percentage of women stopped menstruating. Proellex® resulted in the induction of amenorrhea (cessation of menses), which we believe is a strong surrogate signal of efficacy. Over 80% of women on both the 12.5 and 25 mg doses exhibited no menses during the three month trial, whereas all women on placebo exhibited at least one menses.

Up until the summer of 2009, all side effects exhibited in the studies were considered manageable and the benefit of Proellex® far outweighed the risk. However, in Phase 3 efficacy and larger Phase 3 safety studies in diverse populations, a small number of subjects exhibited serious adverse effects associated with elevated liver enzymes. As a result of these findings, we elected to stop the trials and the FDA subsequently placed Proellex® on full clinical hold. All women that experienced elevated liver enzymes and returned for follow-up visits returned to baseline conditions with no overnight hospitalization necessary. An analysis of all the subjects that experienced such serious adverse effects showed that the effect only occurred in subjects that were exposed to the 50 mg dose of the drug for any period of time. Based on these findings, the Company petitioned the FDA to allow it to conduct a low dose study to demonstrate both safety and signals of efficacy in low oral doses of Proellex®, up to 12 mg administered per day. The FDA upgraded the full clinical hold to a partial hold to allow the low dose study to be conducted, which we have since commenced. In addition, the Company has undertaken two related initiatives presently at the preclinical stage. The first is the exploration of vaginal delivery as an alternative administrative route to bypass first-pass liver effects and reduce systemic exposure. The second is the screening of second generation molecules that do not possess the specific structures the Company believes induced the liver toxicity exhibited at higher doses of Proellex®.

#### Low Dose Study

Pursuant to the terms of the partial clinical hold currently in place as a result of the liver toxicity exhibited by Proellex®, the FDA is allowing us to run a single study to test low doses of Proellex® for signals of safety and efficacy. The new study will test 5 different doses of Proellex® (1, 3, 6, 9 and 12 mg), with 1 mg being the first dose tested. Each dose will be compared to placebo with weekly assessments of liver function during both the placebo and drug period. Higher doses will not be studied until we are confident that it is safe to proceed to the next dose and have reported the safety findings to the FDA. Subjects will be dosed with the active drug for 10 weeks, which will allow for adequate time to determine the impact of a given dose on trends in liver function. Each dose will be tested in up to 12 different subjects and assessment of pharmacokinetic parameters will be obtained at the start of dosing and the end of the dosing period to determine overall and maximum drug exposure for a given dose. We will also monitor changes in menstrual bleeding patterns and ovulation as well as changes in endometrial thickness. The FDA requires that an independent Drug Safety Monitoring Board be established and that the informed consent clearly state the liver toxicity previously experienced with Proellex®.

We have manufactured the various doses of Proellex® capsules and have begun dosing subjects. We believe we can complete the trial approximately 18 months after first dose (approximately by the end of the first quarter of 2012). Presuming a safe and effective dose is identified and the FDA is in agreement, we anticipate that we will be able to proceed with large efficacy trials for both uterine fibroids and endometriosis, subject to available funds, or out-license the product to a major pharmaceutical company. We believe that the evaluation of ovulation and menstrual bleeding patterns in the low dose trial will provide strong evidence for efficacy warranting further development.

#### Vaginal Administration

We are assessing vaginal administration of Proellex® to avoid first pass liver effects and achieve higher reproductive tract concentrations of the drug while minimizing systemic exposure. We reported results from two in vivo animal studies which confirmed reduced maximum circulating concentrations of the drug when administered vaginally, as well as efficacy signals at substantially lower doses than oral administration. Pending the outcome of dose optimization and vaginal irritation studies, we intend to open an IND for both uterine fibroids and endometriosis. We believe we will be able to leverage the experience we have gained with the oral dose in the preparation of this IND, and after a single Phase 1/2 study we will be able to test the vaginal product in a pivotal Phase 3 study. We plan on completing our preclinical proof-of-concept work around by the end of the first quarter of 2011, and will then submit a new IND if warranted.

## Second Generation Compound

We believe we understand the cause of the liver toxicity observed at high doses in the prior Phase 3 Proellex® studies. Our hypothesis is that liver adverse events are associated with a specific part of the chemical structure of Proellex®. To that end we have synthesized new but related molecules that are devoid of the specific toxicity-causing part of the chemical structure of Proellex® and initial preclinical screening has begun. If we are successful in identifying such a molecule, we believe we will be able to achieve high oral doses and systemic exposure, opening the path to aggressive anti progestin therapy for conditions such as breast cancer. We expect to have completed our screen of the new molecules during the third quarter of 2011.

## Other Products

We continue limited out-licensing efforts for our phentolamine-based product candidates, including VASOMAX®, which had previously been approved for marketing in several countries in Latin America for the treatment of male erectile dysfunction under the brand name, Z-Max. VASOMAX® has been on partial clinical hold in the U.S. since 1998, and no further development activities are planned.

## Business Strategy

We plan to focus our clinical program on the (i) new escalating low dose study for Proellex® permitted by the FDA, (ii) Phase 2B fertility trial for Androxal®, (iii) type 2 diabetes trial for Androxal®, (iv) preclinical assessment of vaginal delivery of Proellex® and (v) complete initial identification of potential second generation Proellex® molecules. We anticipate that our current liquidity along with the proceeds from this offering will be sufficient to complete all of these objectives; however, significant additional capital will be required for us to complete development of either of our product candidates. We will continue to explore corporate partnering opportunities for assistance in the clinical development funding and commercialization of our products, as appropriate; however, there can be no assurance that an acceptable corporate partnering opportunity will be successfully completed.

## Research and Development

We have limited resources and utilize consultants and outside entities to perform clinical development and limited research activities in connection with preclinical studies and clinical trials. Our primary research and development, or R&D, expenses for 2009 and thus far in 2010 were for the payment and contract research organizations and consultants in connection with our clinical trials of Proellex® for the treatment of uterine fibroids, endometriosis and for Androxal® for testosterone deficiency. We believe that these expenses will continue to be our primary R&D expenses in the near future.

### Proellex® License Agreement with National Institutes of Health

In 1999, we licensed rights to Proellex® from the National Institutes of Health, or NIH, under an exclusive, worldwide license in the field of treatment of human endocrinologic pathologies or conditions in steroid-sensitive tissues which expires upon the expiration of the last licensed patent, currently 2017. Under the terms of the agreement, we are obligated to meet certain developmental milestones as outlined in a commercial development plan, which has been amended and revised from time to time as circumstances warrant. We have recently amended the agreement to provide us with rights to certain second generation compounds under certain circumstances.

We provide annual updates to the NIH on the progress of our development of Proellex®. Based on our interaction with the NIH to date, we believe our license and relationship with NIH are in good standing. The NIH has the ability to terminate the agreement for lack of payment or if we are not meeting milestones as outlined in the commercial development plan and for other reasons as outlined in the agreement. Although we believe that we have a good working relationship with the NIH, there can be no assurance that all of the objectives and conditions in the commercial development plan will be met on a timely basis or at all, or that, if we fail to meet any of such objectives, the NIH will again agree to amend this agreement to our satisfaction. Failure to comply with the material terms contained in the license agreement could result in termination of such agreement, which would prohibit us from further development of Proellex® and severely harm our business prospects. The NIH retains, on behalf of the government, a nonexclusive, nontransferable, worldwide license to practice the inventions licensed under the licensed patents by or on behalf of the government. For the purpose of encouraging basic research, the NIH retains the right to grant nonexclusive research licenses to third parties. Due to the work that was done on Proellex® at the NIH prior to our license agreement, the government also has certain rights to use the product in the event of a national emergency pursuant to the Patent and Trademark Laws Amendments Act of 1980, as amended.

### Manufacturing

We have a five year supply agreement with Diagnostic Chemical Limited, doing business as BioVectra, for the supply of the bulk active pharmaceutical ingredient used in Androxal®. This agreement runs through July of 2012, subject to automatic one year renewals and the ability of either party to terminate upon 12 months prior notice. We have obtained all of our supply of Androxal® to date from BioVectra. We have not faced any material problems with BioVectra in supplying us with necessary quantities of Androxal® for our clinical trials and anticipate utilizing them for the remainder of our clinical supply and for commercial production if Androxal® is approved for sale. Though our relationship with BioVectra remains good, we believe that alternate manufacturers capable of manufacturing Androxal® could be identified if necessary.

Gedeon Richter was our third-party manufacturer of the active pharmaceutical ingredient for Proellex® under a contract. Due to the clinical hold, we cancelled our development and supply contract with Gedeon Richter; however, we have a large supply of Proellex® currently available for our current and planned clinical trial efforts. In the event we require an additional supply of Proellex®, we believe that we have maintained a good relationship with Gedeon Richter and that an agreement could be reached with Gedeon Richter to provide such supply when and if needed.

For the foreseeable future, we expect to continue to rely on third-party manufacturers and other third parties to produce, package and store sufficient quantities of Androxal® and Proellex®. These product candidates are complicated and expensive to manufacture. If our third-party manufacturers fail to deliver our product candidates for clinical use on a timely basis, with sufficient quality, and at commercially reasonable prices, we may be required to delay or suspend clinical trials or otherwise discontinue development and production of our product candidates. While we may be able to identify replacement third-party manufacturers or develop our own manufacturing capabilities for these product candidates, this process would likely cause a delay in the availability of our product candidates and an increase in costs. In addition, third-party manufacturers may have a limited number of facilities in which our product

candidates can be produced, and any interruption of the operation of those facilities due to events such as equipment malfunction or failure or damage to the facility could result in the cancellation of shipments, loss of product in the manufacturing process or a shortfall in available product candidates.

#### Sales and Marketing

We have no experience in the sales, marketing and distribution of pharmaceutical products. We anticipate that we will outsource such activities to larger pharmaceutical companies, who may also conduct later stage pivotal trials of our product candidates. These companies are more capable of distributing the products to the market place. In the normal course of business we continue to explore possible partnerships with various pharmaceutical companies. If in the future we fail to reach or elect not to enter into an arrangement with a collaborative partner with respect to the sales and marketing of any of our future potential product candidates, we would need to develop a sales and marketing organization with supporting distribution capability in order to market such products directly. Significant additional expenditures would be required for us to develop such a sales and marketing organization.

#### Patents and Proprietary Information

Our ability to compete effectively with other companies is materially dependent on the proprietary nature of our patents and technologies. We actively seek patent protection for our proprietary technology in the United States and abroad.

Under a license agreement with the National Institutes of Health, we have exclusive rights to four issued U.S. patents, which expire in 2017, two pending U.S. patent applications, and several foreign patents and pending applications made by the NIH regarding Proellex®. We also have five pending U.S. patent applications, four foreign PCT applications and 45 foreign pending patent applications that cover various formulations of Proellex® and methods for using Proellex®.

Therapeutic uses of our Androxal® product candidate are covered in the United States by four issued U.S. patents and four pending patent applications. Foreign coverage of therapeutic uses of our Androxal® product candidate includes 44 issued foreign patents and 67 foreign pending patent applications. The issued patents and pending applications relate to methods for treating certain conditions including the treatment of testosterone deficiency in men, the treatment of metabolic syndrome and conditions associated therewith, and the treatment of infertility in hypogonadal men. Androxal® (the trans-isomer of clomiphene) is purified from clomiphene citrate. A third party individual holds two issued patents related to the use of an anti-estrogen such as clomiphene citrate and others for use in the treatment of androgen deficiency and disorders related thereto. In our prior filings with the SEC, we have described our request to the U.S. Patent and Trademark Office, or PTO, for re-examination of one of these patents based on prior art. The third party amended the claims in the re-examination proceedings, which led the PTO to determine that the amended claims are patentable in view of those publications under consideration and a re-examination certificate was issued. However, we believe that the amended claims are invalid based on additional prior art publications, and we filed a second request for re-examination by the PTO in light of a number of these additional publications and other publications cited by the PTO. The request was granted and all of the claims were finally rejected by the PTO in the re-examination. The patent holder appealed the rejections to the PTO Board of Patent Appeals and Interferences (“the Board”) which affirmed the rejection of all of the claims. The patent holder subsequently filed a request for rehearing, which led the Board to reverse the rejections of several dependent claims in view of those publications under consideration. The patent holder has filed a Notice of Appeal to the United States Court of Appeals for the Federal Circuit contesting the rejections maintained by the Board. We also believe that the second of these two patents is invalid in view of published prior art not considered by the PTO. Nevertheless, there is no assurance that either patent will ultimately be found invalid over the prior art. If such patents are not invalidated by the PTO we may be required to obtain a license from the holder of such patents in order to develop Androxal® further or attempts may be made to undertake further legal action to invalidate such patents. If such licenses were not available on acceptable terms, or at all, we may not be able to successfully commercialize or out-license Androxal®.

All of our employees and consultants have signed assignment of invention and confidentiality agreements, and each corporate partner we enter into discussions with or engage to assist in our clinical trials or manufacturing process is also required to execute appropriate confidentiality and assignment agreements protecting our intellectual property.

## Competition

We are engaged in pharmaceutical product development, an industry that is characterized by extensive research efforts and rapid technological progress. Many established pharmaceutical and biotechnology companies, universities and other research institutions with financial, scientific and other resources significantly greater than ours are marketing or may develop products that directly compete with any products we may develop. These entities may succeed in developing products that are safer, more effective or less costly than products we may develop. Even if we can develop products which should prove to be more effective than those developed by other companies, other companies may be more successful than us because of greater financial resources, greater experience in conducting preclinical studies and clinical trials and in obtaining regulatory approval, stronger sales and marketing efforts, earlier receipt of approval for competing products and other factors. If we commence significant commercial sales of any products, we or our collaborators may compete in areas in which we have no experience, such as manufacturing and marketing. There can be no assurance that our products, if commercialized, will be accepted and prescribed by healthcare professionals.

Our main competitors for the treatment of testosterone deficiency are the testosterone replacement therapies currently being marketed. The current standard of care is AndroGel®, a topical gel for the replacement of testosterone. AndroGel® is marketed by Abbott Laboratories. There is another topical gel, Testim®, currently marketed by Auxilium Pharmaceuticals, and a transdermal patch, AndroDerm®, marketed by Watson Pharmaceuticals. Eli Lilly and Company also recently entered into a licensing agreement with a third party for a late stage topical testosterone

treatment. In addition, other companies such as QTRX Pharmaceuticals and Clarus Therapeutics, Inc. are developing other products that would compete with Androxal®. We believe we can compete with AndroGel® and the other replacement therapies because we believe that Androxal®, besides being the only late stage oral therapy, is the only drug in development that normalizes testicular function and may provide additional metabolic benefits. Based on our clinical trial supply cost to date, we currently expect that Androxal®, if approved, can compete favorably on a cost basis with current testosterone replacement therapies.

Our main competitors for the treatment of uterine fibroids and endometriosis are GnRH agonists, especially Lupron®, the current therapeutic standard of care for uterine fibroids. Lupron® is marketed by Abbott, which has far greater resources and marketing capabilities than we have. Recently Abbott has licensed a Phase 3-ready molecule from Neurocrine Biosciences for the treatment of endometriosis. In addition, surgical treatment of both uterine fibroids and endometriosis competes with Proellex® by removing uterine fibroids and by removing misplaced tissue in women with endometriosis. We believe we can potentially compete with Lupron® and other GnRH agonists because we believe that Proellex® will not present the same side effect of a decrease in bone mineral density given its specific focus on progesterone inhibition, which differentiates it from GnRH agonists that create a low estrogen state. There are additional companies developing similar progesterone-blocking technology.

#### Government Regulation

Our research and development activities, preclinical studies and clinical trials, and the manufacturing, marketing and labeling of any products we may develop, are subject to extensive regulation by the FDA and other regulatory authorities in the United States and other countries. The U.S. Federal Food, Drug, and Cosmetic Act and the regulations promulgated thereunder and other federal and state statutes and regulations govern, among other things, the testing, manufacture, storage, record keeping, labeling, advertising, promotion, marketing and distribution of any products we may develop. Preclinical study and clinical trial requirements and the regulatory approval process take many years and require the expenditure of substantial resources. Additional government regulation may be established that could prevent or delay regulatory approval of our product candidates. Delays in obtaining or rejections of regulatory approvals would adversely affect our ability to commercialize any product candidate we develop and our ability to receive product revenues or to receive milestone payments or royalties from any product rights we might license to others. If regulatory approval of a product candidate is granted, the approval may include significant limitations on the indicated uses for which the product may be marketed or may be conditioned on the conduct of post-marketing surveillance studies.

The standard process required by the FDA before a pharmaceutical agent may be marketed in the United States includes: (1) preclinical tests; (2) submission to the FDA of an IND application which must become effective before human clinical trials may commence; (3) adequate and well-controlled human clinical trials to establish the safety and efficacy of the drug for its intended application; (4) submission of a new drug application, or NDA, to the FDA; and (5) FDA approval of the NDA prior to any commercial sale or shipment of the drug.



Clinical trials typically are conducted in three sequential phases, but the phases may overlap. Phase 1 typically involves the initial introduction of the drug into human subjects. In Phase 1, the drug is tested for safety and, as appropriate, for absorption, metabolism, distribution, excretion, pharmacodynamics and pharmacokinetics. Phase 2 usually involves studies in a limited patient population to evaluate preliminarily the efficacy of the drug for specific targeted indications, determine dosage tolerance and optimal dosage and identify possible adverse effects and safety risks.

Phase 3 clinical trials are undertaken to further evaluate clinical efficacy and to test further for safety within an expanded patient population at geographically dispersed clinical study sites. Phase 1, Phase 2 or Phase 3 testing may not be completed successfully within any specific time period, if at all, with respect to any products being tested by a sponsor. Furthermore, the FDA or the Investigational Review Board, or IRB, may suspend clinical trials at any time on various grounds, including a finding that the healthy volunteers or patients are being exposed to an unacceptable health risk. This was evidenced when Proellex®, our product candidate for uterine fibroids and endometriosis, was placed on clinical hold by the FDA in summer 2009 due to liver toxicity data resulting from our clinical trials. Though the full clinical hold has been upgraded to a partial clinical hold, there can be no assurance that the partial hold will be lifted at any time.

Even if regulatory approvals for any products we may develop are obtained, we, our potential collaborators, our products, and the facilities manufacturing our products would be subject to continual review and periodic inspection. The FDA will require post-marketing reporting to monitor the safety of our products. Each drug-manufacturing establishment supplying the United States must be registered with the FDA. Manufacturing establishments are subject to periodic inspections by the FDA and must comply with the FDA's requirements regarding current Good Manufacturing Practices, or GMP. In complying with current GMP, manufacturers must expend funds, time and effort in the area of production and quality control to ensure full technical compliance. We do not have any drug manufacturing capabilities and must rely on outside firms for this capability. The FDA stringently applies regulatory standards for manufacturing. Identification of previously unknown problems with respect to a product, manufacturer or facility may result in restrictions on the product, manufacturer or facility, including warning letters, suspensions of regulatory approvals, operating restrictions, delays in obtaining new product approvals, withdrawal of the product from the market, product recalls, fines, injunctions and criminal prosecution.

Before any products we may develop could be marketed outside of the United States, they would be subject to regulatory approval similar to FDA requirements in the United States, although the requirements governing the conduct of clinical trials, product licensing, pricing, and reimbursement vary widely from country to country. No action can be taken to market any drug product in a country until the regulatory authorities in that country have approved an appropriate application. FDA approval does not assure approval by other regulatory authorities. The current approval process varies from country to country, and the time spent in gaining approval varies from that required for FDA approval. In some countries, the sale price of a drug product must also be approved. The pricing review period often begins after market approval is granted. Even if a foreign regulatory authority approves any products we may develop, no assurance can be given that it will approve satisfactory prices for the products.

Our research and development involves the controlled use of hazardous materials and chemicals. Although we believe that our procedures for handling and disposing of those materials comply with state and federal regulations, the risk of accidental contamination or injury from these materials cannot be eliminated. If such an accident occurs, we could be held liable for resulting damages, which could be material to our financial condition and business. We are also subject to numerous environmental, health and workplace safety laws and regulations, including those governing laboratory procedures, exposure to blood-borne pathogens, and the handling of biohazardous materials. Additional federal, state and local laws and regulations affecting us may be adopted in the future. Any violation of, and the cost of compliance with, these laws and regulations could materially and adversely affect us.

### Third-Party Reimbursement and Pricing Controls

In the United States and elsewhere, sales of pharmaceutical products depend in significant part on the availability of reimbursement to the consumer from third-party payers, such as government and private insurance plans. Third-party payers are increasingly challenging the prices charged for medical products and services. Should any of our product candidates be approved for any commercial sales, it will be time consuming and expensive for us to go through the process of seeking reimbursement from Medicaid, Medicare and private payers.

Our products may not be considered cost effective, and coverage and reimbursement may not be available or sufficient to allow us to sell our products on a competitive and profitable basis. The passage of the Medicare Prescription Drug and Modernization Act of 2003 imposes requirements for the distribution and pricing of prescription drugs which may affect the marketing of our products.

In many foreign markets, including the countries in the European Union, pricing of pharmaceutical products is subject to governmental control. In the United States, there have been, and we expect that there will continue to be, a number of federal and state proposals to implement similar governmental pricing control. While we cannot predict whether such legislative or regulatory proposals will be adopted, the adoption of such proposals could have a material adverse effect on our profitability.

### The Hatch-Waxman Act

Under the U.S. Drug Price Competition and Patent Term Restoration Act of 1984, known as the Hatch-Waxman Act, newly approved drugs and indications benefit from a statutory period of non-patent marketing exclusivity. The Hatch-Waxman Act provides five year marketing exclusivity to the first applicant to gain approval of an NDA for a new chemical entity, or NCE, meaning that the FDA has not previously approved any other new drug containing the same active ingredient. Both of our current product candidates are considered NCEs. The Hatch-Waxman Act prohibits approval of an abbreviated new drug application, or ANDA, for a generic version of the drug during the five-year exclusivity period. Protection under the Hatch-Waxman Act will not prevent the filing or approval of another full NDA, however, the applicant would be required to conduct its own adequate and well-controlled clinical trials to demonstrate safety and effectiveness. The Hatch-Waxman Act also provides three years of marketing exclusivity for the approval of new NDAs with new clinical trials for previously approved drugs and supplemental NDAs, for example, for new indications, dosages, or strengths of an existing drug, if new clinical investigations are essential to the approval. This three year exclusivity covers only the new changes associated with the supplemental NDA and does not prohibit the FDA from approving ANDAs for drugs containing the original active ingredient or indications.

The Hatch-Waxman Act also permits a patent extension term of up to five years as compensation for patent term lost during product development and the FDA regulatory review process. However, patent extension cannot extend the remaining term of a patent beyond a total of 14 years. The patent term restoration period is generally one-half the time between the effective date of an IND and the submission date of an NDA, plus time of active FDA review between the submission date of an NDA and the approval of that application. Only one patent applicable to an approved drug is eligible for the extension and it must be applied for prior to expiration of the patent and within 60 days of the approval of the NDA. The PTO, in consultation with the FDA, reviews and approves or rejects the application for patent term extension.

#### Employees and Consultants

##### Employees

At December 31, 2010, we had 6 full-time employees. We also utilize consultants as well as contract research organizations and other outside specialty firms for various services such as preclinical and clinical trial support, manufacturing, regulatory approval advice and accounting and human resource management. We believe our relationship with our employees is good.

##### Scientific Advisors and Consultants

We benefit from consultation with prominent scientists active in fields related to our technology. For this purpose, we have part-time consulting relationships with a number of scientific advisors. At our request, these advisors review the feasibility of product development programs under consideration, provide advice about advances in areas related to our technology, and aid in recruiting personnel. All of the advisors are employed by academic institutions or other entities and may have commitments to or advisory agreements with other entities that limit their availability to us. Our advisors are required to sign an agreement providing that, if appropriate, they are to disclose and assign to us any ideas, discoveries and inventions they develop in the course of providing consulting services. We also use consultants for various administrative needs. None of our advisors are otherwise affiliated with us.

In addition to the advisors described above, we continue to engage U.S. contract research organizations to conduct our clinical trials. Under our arrangements with these contract research organizations, we design the protocols for the clinical trials and direct the contract research organizations in their efforts. We own all of the data associated with the clinical trials.

##### Properties

We lease our current property under a lease agreement that expires in June 2015. This lease is for approximately 7,100 square feet of our laboratory and office space located in The Woodlands, Texas. We do not own or lease any other property and believe that our current facilities are sufficient for our needs for the foreseeable future.

##### Legal Proceedings

Between August 7, 2009 and September 25, 2009, three class action lawsuits were filed naming the Company, Joseph Podolski, Paul Lammers, and Louis Ploth, Jr. as defendants. The lawsuits alleged that the defendants made certain misleading statements related to the Company's Proellex® drug. Among other claims, the lawsuits contended that the defendants misrepresented the side effects of the drug related to liver function, and the risk that these side effects could cause a suspension of clinical trials of Proellex®. The lawsuits asserted causes of action under the Securities Exchange Act of 1934. On October 21, 2009, the lawsuits were consolidated, and lead plaintiffs appointed. On January 27, 2010, the lead plaintiffs filed a Consolidated Class Action Complaint styled In re Repros Therapeutics,

Inc. Securities Litigation, Civil Action No. 09 Civ. 2530 (VDG). The lawsuit names Repros Therapeutics, Inc., Joseph Podolski, Paul Lammers, and Louis Ploth, Jr. as defendants. The allegations in the Consolidated Class Action Complaint are substantially the same as those contained in the prior complaints, and focus on the claim that the defendants deliberately withheld information concerning the negative side-effects of Proellex® related to liver function. Plaintiffs seek to establish a class action for all persons who “purchased or otherwise acquired Repros common stock between July 1, 2009, and August 2, 2009.” No discovery has yet occurred in the matter. Defendants filed a motion to dismiss the Consolidated Class Action Complaint on March 15, 2010. On November 17, 2010, Magistrate Judge Mary Milloy entered a Memorandum and Recommendation on Defendants’ Motion To Dismiss (“the Magistrate’s Memorandum”). The Magistrate’s Memorandum concluded that the Consolidated Class Action Complaint failed to allege with sufficient particularity that any statements by the defendants were false when made, and that it failed to allege facts sufficient to create a strong inference that the defendants acted with scienter. For both of those reasons, the Magistrate’s Memorandum recommended that the District Court grant the Defendants’ Motion To Dismiss. On December 1, 2010, plaintiffs filed objections to the Magistrate’s Memorandum. On January 19, 2011, the District Court granted the Defendants’ Motion To Dismiss for the reasons stated in the Magistrate’s Memorandum.

On March 1, 2010, we were served with a lawsuit where we were named as a co-defendant along with one of our clinical regulatory service providers (“CRO”) relating to the Proellex® clinical trial study. The lawsuit was filed in the State of Tennessee, 30th Judicial District Chancery Court at Memphis by an investigator and claims that the CRO did not pay it amounts owing to it relating to the Proellex® study. We did not engage the investigator and under our agreement with the CRO, we believe the CRO is responsible for any such costs or damages regarding such lawsuit. Pursuant to a Settlement Agreement and Mutual Release entered into in October 2009, such CRO, on behalf of itself and its agents, released us from all claims which could be asserted by them against us. We believe such release covers the claims set forth in this lawsuit. The CRO failed to respond to the lawsuit, and a default judgment was entered against it in the amount of \$172,901.29. We intend to vigorously defend any and all claims asserted by the investigator. We have filed a motion for summary judgment requesting the Court to enter a take nothing judgment in favor of the Company. This motion is pending and is expected to be heard by the Court during the first quarter of 2011. An estimate of the possible costs or expenses to defend ourselves in this matter or risk of exposure under the litigation cannot be made at this time.

See “—Patents and Proprietary Information” for a description of judicial and regulatory proceedings involving patent matters.

#### Recent Developments

On October 14, 2010, the Company effected a one-for-four reverse split of its common stock. The split-adjusted shares of the Company’s common stock began trading on the Nasdaq Capital Market on October 15, 2010. The one-for-four reverse stock split converted all shares of the Company’s common stock issued and outstanding, plus all outstanding stock options and the number of shares of common stock available for issuance under the Company’s approved stock plans. The number of authorized shares of common stock was not affected by the reverse split. The reverse split enabled the Company to meet the continued listing rules of the Nasdaq Capital Market. All share and per share amounts described in this prospectus are presented on a post-reverse stock split basis, except with respect to materials incorporated by reference herein which were filed by us prior to the effective date of the reverse stock split.

## EXECUTIVE COMPENSATION

### Compensation Discussion and Analysis

#### Philosophy

We have designed our compensation programs to attract and retain key employees, motivate all of our employees to be productive and reward our employees, officers and directors for exceptional performance. We have implemented different types of compensation programs to motivate performance both in the short-term and in the long-term, with the ultimate goal of long-term increased value for our stockholders.

We believe that our executive compensation programs are essential to our ultimate success and also impact the environment of compensation for all employees. Executive compensation programs set the general level of expectations for our company and also demonstrate the types of goals we expect all employees to reach.

In setting executive compensation, we first determine the goals that will ultimately make our company successful. Generally, for the past three years, our success has been dependent upon two key factors:

- the successful continued clinical development of our two products, Proellex® and Androxal®; and
- our ability to raise capital to allow us to continue such development.

Because these are goals that are best measured over the long term, we believe that the most effective means of motivating our executives is by providing compensation that will reward long-term success with competitive short-term compensation being used to retain our key executives. We have utilized traditional long-term compensation programs, namely, stock option programs, to effectuate these goals.

#### Overview of Compensation and Process

Our compensation programs consist of the following:

- Base cash salary;
- Cash bonuses;
- Equity incentives;
- General employee benefits available to all employees (simple IRA matching program and health insurance); and
- Limited perquisites (car allowance).

The compensation and option committee is responsible for evaluating the performance of senior management, determining the compensation for our senior executive officer (Mr. Podolski) and for administering our incentive plans under which grants may be made to our employees. Base salaries for our senior executive officers are usually determined at the meeting of the compensation and option committee held following the end of a fiscal year. At this meeting, the committee usually determines how any potential bonuses will be paid and reviews the base salary compensation, bonus payments and level of equity compensation for all such senior officers. The committee also reviews on an annual basis the equity compensation levels of all of our other officers.

In determining the level and composition of compensation of each of our senior executive officers, the compensation and option committee takes into account various qualitative and quantitative indicators of corporate and individual performance. For years prior to 2009, the committee has relied on the level of compensation at peer group companies to assist in determining the level of compensation for them. The committee considered its peer group to be companies in the biotechnology industries that are of a similar market capitalization and size, including number of employees, number of developmental products, stage of development of pipeline, commercial potential of pipeline products and geographic location. This peer group, for calendar year 2008, consisted of the following companies: Adolor Corporation, Advanced Magnetics, Inc., Alexion Pharmaceuticals, Inc., Alexza Pharmaceuticals, Inc., Antigenics Inc., ARIAD Pharmaceuticals, Inc., BioMimeticTherapeutics, Inc., Cadence Pharmaceuticals, Inc., Cell Genesys, Inc., Cypress Bioscience, Inc., Discovery Laboratories, Inc., DyaxCorp., EntreMed, Inc., Pharmacyclics, Inc., Geron Corporation, Medivation, Inc., Immunomedics, Inc., Penwest Pharmaceuticals, Pharmacoepia Drug Discovery, Inc., POZEN Inc., Telik, Inc., VIVUS, Inc. and Xenoport, Inc.

As stated before, because we are developing technologies and have no current approved drugs, the use of certain traditional performance standards (e.g., profitability and return on equity) is not appropriate in evaluating the performance of our executive officers. In addition, the committee recognizes performance and achievements that are more difficult to quantify, such as the successful supervision of major corporate projects and demonstrated leadership ability. The chief executive officer usually establishes the level of compensation of the other officers in the Company, such as Dr. Wiehle and Ms. Anderson, and the compensation and option committee customarily meets with our senior executive officer concerning their compensation, and makes its final determination of the appropriate compensation amounts for each of them.

Section 162(m) of the Internal Revenue Code of 1986, or the Code, places a \$1 million annual cap on the deductible compensation that can be paid to certain executives of publicly-traded corporations. Amounts that qualify as “performance based” compensation under Section 162(m)(4)(c) of the Code are exempt from the cap and do not count toward the \$1 million limit. Generally, stock options will qualify as performance based compensation. The committee has discussed and considered and will continue to evaluate the potential impact of Section 162(m) on us in making compensation determinations, but has not established a set policy with respect to future compensation determinations.

The Company does not believe that its compensation policies and practices for its employees are reasonably likely to have a material adverse effect on the registrant.



## SUMMARY COMPENSATION TABLE

The following table presents summary information, for the year ended December 31, 2010, regarding the compensation of each of our current officers: Joseph S. Podolski, our Chief Executive Officer, Ronald Wiehle, Ph.D., our Vice President, Research and Development, and Katherine A. Anderson, our Chief Accounting Officer and Secretary. We have entered into a consulting agreement with Ms. Anderson and an employment agreement with Mr. Podolski. The material terms of those agreements are described below.

Based on the summary compensation information provided below, "Salary" accounted for approximately 55% of the total compensation paid to the named executive officers for 2010.

| Name and<br>Principal<br>Position  | Year | Salary     | Bonus     | Stock<br>Awards | Option<br>Awards | Non-Equity<br>Incentive<br>Compensation | Change in Pension<br>Value and<br>Nonqualified<br>Deferred<br>Compensation |  | All Other<br>Compensation | Total |
|--|------|------------|-----------|-----------------|------------------|---|--|--|---------------------------|-------|
|  |      |            |           |                 |                  |   | Change in<br>Pension<br>Value  | Nonqualified<br>Deferred<br>Compensation |                           |       |
| Joseph S.<br>Podolski<br>CEO and<br>Director                                   | 2010 | \$ 217,651 | —         | —               | \$ 222,205       | —                                       | —  | \$ 16,697                                | \$ 456,553                |       |
|  |      |            |           |                 |                  |   |  | (3)                                      |                           |       |
|  | 2009 | \$ 353,682 | —         | —               | \$ 251,947       | —                                       | —  | \$ 29,995                                | \$ 635,624                |       |
|  |      |            |           |                 |                  |   |  | (4)                                      |                           |       |
|  | 2008 | \$ 424,684 | \$ 84,087 | (1)             | —                | \$ 157,832                              | —  | —  | \$ 703,539                |       |
|  |      |            |           |                 |                  |   |  | (5)                                      |                           |       |
| Ronald<br>Wiehle,<br>Ph.D.<br>VP, R&D  | 2010 | \$ 110,000 | —         | —               | \$ 92,064        | —                                       | —  | \$ 19,795                                | \$ 221,859                |       |
|  |      |            |           |                 |                  |   |  | (6)                                      |                           |       |
|  | 2009 | \$ 134,063 | —         | —               | \$ 116,444       | —                                       | —  | \$ 21,718                                | \$ 272,225                |       |
|  |      |            |           |                 |                  |   |  | (7)                                      |                           |       |
|  | 2008 | \$ 158,750 | —         | —               | \$ 93,294        | —                                       | —  | \$ 23,195                                | \$ 275,239                |       |
|  |      |            |           |                 |                  |   |  | (8)                                      |                           |       |
| Katherine<br>A. Anderson<br>Chief<br>Accounting<br>Officer<br>and<br>Secretary | 2010 | \$ 112,875 | —         | —               | \$ 6,121         | —                                       | —  | —  | \$ 118,996                |       |
|  |      |            |           |                 |                  |   |  |  |                           |       |
|  | 2009 | \$ 111,370 | —         | —               | —                | —                                       | —  | —  | \$ 111,370                |       |

- (1) Paid in 2009 for services performed in 2008.
- (2) Based on the assumptions set forth in Note 2 to our Notes to Condensed Consolidated Financial Statements set forth in our annual report on Form 10-K for the year ended December 31, 2009 related to calculation of value of stock based compensation.
- (3) This amount is comprised of \$14,521 paid by us on behalf of Mr. Podolski for health benefits, \$2,176 in contributions made by us on behalf of Mr. Podolski in a simple IRA.
- (4) This amount is comprised of \$16,909 paid by us on behalf of Mr. Podolski for health benefits, \$9,336 in contributions made by us on behalf of Mr. Podolski in a simple IRA and \$3,750 for a car allowance.

- (5) This amount is comprised of \$18,432 paid by us on behalf of Mr. Podolski for health benefits, \$12,504 in contributions made by us on behalf of Mr. Podolski in a simple IRA and \$6,000 for a car allowance.
- (6) This amount is comprised of \$18,850 paid by us on behalf of Dr. Wiehle for health benefits and \$945 in contributions made by us on behalf of Dr. Wiehle in a simple IRA.
- (7) This amount is comprised of \$18,384 paid by us on behalf of Dr. Wiehle for health benefits and \$3,334 in contributions made by us on behalf of Dr. Wiehle in a simple IRA.
- (8) This amount is comprised of \$18,432 paid by us on behalf of Dr. Wiehle for health benefits and \$4,763 in contributions made by us on behalf of Dr. Wiehle in a simple IRA.

## Base Salary

Salaries are provided to employees as compensation for basic services to the Company and to meet the objective of attracting and retaining talent. The board of directors initially approves the hiring and promotion of any of our executive officers, including their compensation and option package. Compensation for Mr. Podolski is normally reviewed on an annual basis by the compensation and option committee. The compensation for Dr. Wiehle and Ms. Anderson will be determined by our chief executive officer, Mr. Podolski. We have an employment agreement with Mr. Podolski and a consulting agreement for Ms. Anderson, which provide for current annual salaries of \$435,301 and \$126,000, respectively. The current annual salary for Dr. Wiehle has been set at \$165,000. The employment agreement for Mr. Podolski provides that we will pay an annual incentive bonus as may be approved by the board of directors (which has been delegated to the compensation and option committee) in an amount not in excess of 35% of base salary. Each of our executive officers is entitled to participate in all employee benefit plans that we sponsor. All of our employment agreements provide that base compensation is subject to review or reconsideration at least annually.

Commencing in August 2009, all of the Company's salaried employees, including all executive officers, agreed to a temporary 50% reduction in their salary, in order to conserve the Company's cash position and provide more working capital to apply toward the Company's creditors. Subsequently, in an effort to retain our current employees, the board of directors approved issuing stock options to each affected employee in an amount equal to the amount of salary waived, divided by the price of the Company's common stock on the date of approval by the board. Such options vest over a twelve month period, based on continuing employment, and are exercisable at the closing price of the Company's common stock on the date of board approval. Options to purchase a total of 184,372 shares of common stock have been awarded in 2010 at an average exercise price of \$1.99. This salary reduction program was revised for all employees other than Mr. Podolski to a 25% reduction in salary in May 2010, when the Company successfully raised additional funding. All employees other than Mr. Podolski will return to their normal full salary when the Company raises a total of \$10,000,000 and Mr. Podolski's salary will be revised to a 25% reduction.

When establishing or reviewing base compensation levels for Mr. Podolski, the compensation and option committee, in accordance with its general compensation policy, considers or considered, as applicable, numerous factors, including:

- the responsibilities relevant to the position;
- the qualifications of the executive and the relevant experience of the particular individual;
- strategic goals for which the executive has responsibility; and
- compensation levels of peer group companies (as discussed under "Compensation Discussion and Analysis – Overview of Compensation and Process" above) who compete with us for business, scientific and executive talents.

No pre-determined weights are given to any one of such factors.

## Bonus

The Company awards bonuses in order to align employees' goals with the Company's objectives. In 2010, Mr. Podolski was eligible to receive, upon the decision of the compensation and option committee, a cash bonus and grant awards under our incentive plans depending on the extent to which certain defined personal and corporate performance goals were achieved. Mr. Podolski has a maximum bonus target percentage specified in his employment

contract (35% of base salary). Each year, the compensation and option committee meets with Mr. Podolski to establish suitable incentive milestones for him according to our needs and his particular job responsibilities. For calendar year 2009, the compensation and option committee established applicable value weights or percentages for each particular milestone, for purposes of earning their bonus target. The compensation and option committee usually meets promptly after the end of the calendar year to review the performance of Mr. Podolski and make a recommendation as to the achievement of such milestone targets.

The compensation and option committee has determined that the Company will not award bonuses for 2010.

#### Perquisites

We generally do not grant perquisites as compensation to our officers or employees. However, we have traditionally provided \$6,000 per year to our chief executive officer as a car allowance, and we had continued this practice through August 15, 2009, at which time the policy was suspended. We match employee contributions to a simple IRA on a dollar for dollar basis up to 1% of salary and bonus. These contributions are available to all employees. Prior to August 15, 2009, we provided health, dental, vision, life and disability insurance benefits to all of our employees. We currently provide health, dental and life insurance benefits to all of our employees. These benefits are provided to attract and retain talent.

#### Stock Option and Equity Compensation

All of our employees, including executive officers, are eligible to receive long-term stock-based incentive awards under our 2004 Stock Option Plan as a means of providing such individuals with a continuing proprietary interest. We believe that such grants further the mutuality of interest between our employees and our stockholders by providing significant incentives for such employees to achieve and maintain high levels of performance. Our stock option plan enhances our ability to attract and retain the services of qualified individuals. We consider this plan to be the primary means of providing equity long-term compensation to our employees and officers. The compensation and option committee, which acts as administrator of this plan, considers several factors in determining whether such awards are granted to an executive officer, including the following:

- the executive officer's position and his or her performance and responsibilities;
- the amount of stock options, if any, currently held by the officer;
  - the vesting schedules of any such options;
  - the executive officer's other compensation; and
  - similar equity percentages of peer companies.

While the compensation and option committee does not adhere to any firmly established formulas or schedules for the issuance of awards such as options or restricted stock, the committee will generally tailor the terms of any such grant to achieve its goal as a long-term incentive award by providing for a vesting schedule encompassing several years or tying vesting to particular corporate or personal milestones, particularly milestones related to the two key factors mentioned under "Compensation Discussion and Analysis – Philosophy" above: drug development and fund raising.

During 2010, we granted options to purchase 199,372 shares to all of our employees and officers, which represented 2.2% of our outstanding common stock and, of such amount, we granted options to purchase 162,351 shares to our executive officers, representing 81% of the total number of shares granted to our employees and officers.

## GRANTS OF PLAN-BASED AWARDS

The following table presents each grant of stock options in 2010 to the individuals named in the summary compensation table. There were no estimated future payouts to report under either non-equity or equity incentive plan awards:

| Name  | Grant Date | All Other Stock Awards: No. of Shares or Units | Underlying Options | Exercise Price of Option Awards | Closing Price of Stock on Grant Date | Fair Value of Option Awards(1) |
|---|------------|--|--------------------|---------------------------------|--------------------------------------|--------------------------------|
| Joseph S. Podolski, President & CEO               | 2/4/10     | —  | 16,589             | \$ 3.28                         | \$ 3.28                              | \$ 38,487                      |
|   | 5/3/10     | —  | 11,479             | \$ 3.16                         | \$ 3.16                              | \$ 25,254                      |
|   | 7/2/10     | —  | 26,673             | \$ 1.36                         | \$ 1.36                              | \$ 25,606                      |
|   | 8/25/10    | —  | 15,115             | \$ 2.40                         | \$ 2.40                              | \$ 25,392                      |
|   | 10/28/10   | —  | 6,818              | \$ 5.32                         | \$ 5.32                              | \$ 25,638                      |
|   | 12/20/10   | —  | 6,620              | \$ 5.48                         | \$ 5.48                              | \$ 25,948                      |
| Ronald Wiehle, Ph.D., VP R&D                      | 2/4/10     | —  | 6,288              | \$ 3.28                         | \$ 3.28                              | \$ 14,588                      |
|   | 5/3/10     | —  | 4,351              | \$ 3.16                         | \$ 3.16                              | \$ 9,573                       |
|   | 7/2/10     | —  | 5,055              | \$ 1.36                         | \$ 1.36                              | \$ 4,853                       |
|   | 8/25/10    | —  | 2,865              | \$ 2.40                         | \$ 2.40                              | \$ 4,812                       |
|   | 10/28/10   | —  | 1,292              | \$ 5.32                         | \$ 5.32                              | \$ 4,859                       |
|   | 12/20/10   | —  | 1,255              | \$ 5.48                         | \$ 5.48                              | \$ 4,918                       |
| Katherine A. Anderson<br>Chief Accounting Officer | 3/15/10    | —  | 10,000             | \$ 3.12                         | \$ 3.12                              | \$ 23,200                      |

(1) Based on the assumptions set forth in Note 2 to our Notes to Condensed Consolidated Financial Statements set forth in our annual report on Form 10-K for the year ended December 31, 2009 related to calculation of value of stock-based compensation.

## OUTSTANDING EQUITY AWARDS AT FISCAL YEAR-END

The following table presents information about unexercised options that were held by each of the individuals listed in the summary compensation table as of December 31, 2010. None of the individuals listed in the summary compensation table hold any stock awards.

|  | Number of Securities Underlying Unexercised Options Exercisable | Number of Securities Underlying Unexercised Options Unexercisable | Equity Incentive Plan   | Option Exercise Price | Option Expiration Date |
|--|---|---|---|-----------------------|------------------------|
|  |   |   | Awards: No. of Securities Underlying Unexercised Unearned Options |                       |                        |
| Joseph S. Podolski,<br>President & CEO                             | 6,250   | —   | —\$   | 12.60                 | 09/20/11               |
|  | 12,500  | —   | —\$   | 17.36                 | 03/20/12               |
|  | —   | 56,250(1)   | —\$   | 17.36                 | 03/20/12               |
|  | 53,576  | —   | —\$   | 10.88                 | 03/29/14               |
|  | 11,712(2)   | —   | —\$   | 10.88                 | 03/29/14               |
|  | 12,500  | —   | —\$   | 49.04                 | 01/08/17               |
|  | 7,294   | 5,206(3)  | —\$   | 35.20                 | 02/18/19               |
|  | 21,740  | —   | —\$   | 2.92                  | 12/02/19               |
|  | 12,441  | 4,148(4)  | —\$   | 3.28                  | 02/04/20               |
|  | 5,740   | 5,739(5)  | —\$   | 3.16                  | 05/03/20               |
|  | 6,668   | 20,004(6)   | —\$   | 1.36                  | 07/02/20               |
|  | 3,779   | 11,335(7)   | —\$   | 2.40                  | 08/25/20               |
| —  | 27,274(8)   | —\$   | 1.33  | 10/28/20              |                        |
| —  | 26,478(9)   | —\$   | 1.37  | 12/20/20              |                        |
| Ronald Wiehle, Ph.D.,<br>VP, R&D                                   | 250   | —   | —\$   | 72.76                 | 02/01/11               |
|  | 1,000   | —   | —\$   | 133.00                | 02/01/11               |
|  | 6,250   | —   | —\$   | 12.60                 | 09/20/11               |
|  | 32,620  | —   | —\$   | 10.88                 | 03/29/14               |
|  | 5,000   | —   | —\$   | 48.96                 | 01/04/17               |
|  | 4,170   | 830(10)   | —\$   | 42.60                 | 06/06/18               |
|  | 8,240   | —   | \$  | 2.92                  | 12/02/19               |
|  | 4,716   | 1,572(11)   | —\$   | 3.28                  | 02/04/20               |
|  | 2,176   | 2,175(12)   | —\$   | 3.16                  | 05/03/20               |
|  | 1,264   | 3,791(13)   | —\$   | 1.36                  | 07/02/20               |
|  | 716   | 2,148(14)   | —\$   | 2.40                  | 08/25/20               |
|  | —   | 5,169(15)   | —\$   | 1.33                  | 10/28/20               |
| —  | 5,018(16)   | —\$   | 1.37  | 12/20/20              |                        |
| Katherine A. Anderson<br>Chief Accounting Officer<br>and Secretary | 2,499   | 7,501(17)   | —\$   | 3.12                  | 03/15/20               |

- (1) All of the shares under this option will vest in March 2012 or upon a change of control.
- (2) Pursuant to these performance-based option awards, Mr. Podolski was originally awarded options to purchase 14,640 shares of our common stock. As a result of earning some but not all of the milestones under these awards, Mr. Podolski vested in 11,712 shares and the remainder under each award expired.
- (3) The shares underlying this option vest in equal quarterly installments over a three year period. The first installment of 1,042 shares vested on May 18, 2009 and the remainder vests quarterly thereafter.



- (4) The shares underlying this option vest in equal quarterly installments over a one year period. The first installment of 4,147 shares vested on May 4, 2010 and the remainder vests quarterly thereafter.
- (5) The shares underlying this option vest in equal quarterly installments over a one year period. The first installment of 2,870 shares vested on August 3, 2010 and the remainder vests quarterly thereafter.
- (6) The shares underlying this option vest in equal quarterly installments over a one year period. The first installment of 6,668 shares vested on October 2, 2010 and the remainder vests quarterly thereafter.
- (7) The shares underlying this option vest in equal quarterly installments over a one year period. The first installment of 3,779 shares vested on November 25, 2010 and the remainder vests quarterly thereafter.
- (8) The shares underlying this option vest in equal quarterly installments over a one year period. The first installment of 6,819 shares will vest on January 28, 2011 and the remainder vests quarterly thereafter.
- (9) The shares underlying this option vest in equal quarterly installments over a one year period. The first installment of 6,620 shares will vest on March 20, 2011 and the remainder vests quarterly thereafter.
- (10) The shares underlying this option vest in equal quarterly installments over a three year period. The first installment of 417 shares vested on September 6, 2008 and the remainder vests quarterly thereafter.
- (11) The shares underlying this option vest in equal quarterly installments over a one year period. The first installment of 1,572 shares vested on May 4, 2010 and the remainder vests quarterly thereafter.
- (12) The shares underlying this option vest in equal quarterly installments over a one year period. The first installment of 1,088 shares vested on August 3, 2010 and the remainder vests quarterly thereafter.
- (13) The shares underlying this option vest in equal quarterly installments over a one year period. The first installment of 1,264 shares vested on October 2, 2010 and the remainder vests quarterly thereafter.
- (14) The shares underlying this option vest in equal quarterly installments over a one year period. The first installment of 716 shares vested on November 25, 2010 and the remainder vests quarterly thereafter.
- (15) The shares underlying this option vest in equal quarterly installments over a one year period. The first installment of 1,292 shares will vest on January 28, 2011 and the remainder vests quarterly thereafter.
- (16) The shares underlying this option vest in equal quarterly installments over a one year period. The first installment of 1,255 shares will vest on March 20, 2011 and the remainder vests quarterly thereafter.
- (17) The shares underlying this option vest in equal quarterly installments over a three year period. The first installment of 833 shares vested on June 15, 2010 and the remainder vests quarterly thereafter.

#### Options Exercised and Stock Vested

None of our named executive officers exercised any of their exercisable options during fiscal 2010 nor did any of our named executive officers receive or vest in any stock awards during fiscal 2010.

#### Post-Employment Compensation

Mr. Podolski's employment agreement provides for a fixed term of employment until May 31, 2012, with the result that his compensation and benefits will be paid through such date if he is terminated without cause prior thereto. Any unvested options held by Mr. Podolski will also become fully exercisable in the event he is terminated without cause, and he will be entitled to a 2 year period post termination of employment in which to exercise all options regardless of the reason from termination (unless due to cause).

In addition, Mr. Podolski's employment agreement provides that he is entitled to severance payments in the event he is terminated without cause or resigns for good reason within 12 months following a change of control. The specific amount of these payments has been revised during March of 2010, when the Fourth Amendment to Mr. Podolski's employment agreement was adopted. Under his amended agreement, Mr. Podolski is entitled to a cash lump sum payment equal to the present value of the aggregate amount of payments set forth below, in which the present value is determined as of the closing date of the change of control transaction (as if he was terminated or had resigned on such date and without reduction for any salary waiver then in effect). Mr. Podolski has agreed to defer payment of such amount, and in lieu of such lump sum payment, he will receive the payments listed in the following table. All of the payments listed below, other than the first payment made at the closing of a change of control, would be made out of an irrevocable Rabbi Trust which would be funded by us immediately prior to the closing of a change of control transaction:

| Amount of payment          | Payment due date                                    |
|----------------------------|---|
| Current annual base salary | On the closing of the change of control transaction |
| 50% of base salary         | 1st anniversary after closing                       |
| 50% of base salary         | 2nd anniversary after closing                       |
| 50% of base salary         | 3rd anniversary after closing                       |
| 50% of base salary         | 4th anniversary after closing                       |
| 50% of base salary         | 5th anniversary after closing                       |
| 35% of base salary         | 6th anniversary after closing                       |

For purposes of the previous description, the term "cause" means: (i) the conviction of such officer by a court of competent jurisdiction of a crime involving moral turpitude; (ii) the commission, or attempted commission, on us by such officer of an act of fraud; (iii) the misappropriation, or attempted misappropriation, by such officer of any of our funds or property; (iv) the continued and unreasonable failure by such officer to perform in any material respect his obligations under the terms of his employment agreement; (v) the knowing engagement by such officer, without the written approval of the board of directors, in any direct, material conflict of interest without compliance with our conflict of interest policy; (vi) the knowing engagement by such officer, without the written approval of the board of directors, in any activity which competes with our business or which would result in a material injury to us; or (vii) the knowing engagement by such officer in any activity that would constitute a material violation of the provisions of our insider trading policy or business ethics policy then in effect. The term "good reason" as used hereunder means a material diminution in the title, powers, duties, responsibilities or functions of such officer within one year following the occurrence of a change of control.

## DIRECTOR COMPENSATION

The following table presents summary information for the year ended December 31, 2010 regarding the compensation of the non-employee members of our board of directors.

| Name             | Fees Earned or Paid in Cash(1) | Stock Awards | Option Awards(2) | Non-Equity Incentive Plan Compensation | Change in Pension Value and Nonqualified Deferred Compensation | All Other Compensation | Total     |
|------------------|--------------------------------|--------------|------------------|--|--|------------------------|-----------|
| Daniel F. Cain   | \$ 34,500                      | —            | \$ 2,450         | —                                      | —  | —                      | \$ 36,950 |
| Jaye Thompson    | \$ 30,500                      | —            | \$ 2,450         | -                                      | —  | —                      | \$ 32,950 |
| Jean L. Fourcroy | \$ 20,500                      | —            | \$ 2,450         | —                                      | —  | —                      | \$ 22,950 |
| Nola Masterson   | \$ 56,496                      | —            | \$ 6,510         | —                                      | —  | —                      | \$ 63,006 |

- (1) Except as otherwise indicated, all of the amounts in this column reflect cash fees paid to or earned by our non-employee directors for attending board or committee meetings during fiscal 2010.
- (2) The amounts set forth in this column reflect the value attributed to the option awards granted to our non-employee directors during 2010. In February 2010, Ms. Masterson, Dr. Fourcroy and Mr. Cain were granted options to purchase 25,000 shares, each, in lieu of additional fees accrued and unpaid in 2009 in the amount of \$20,000, \$14,000 and \$20,000, respectively, for attendance at special meetings of the board during the second half of 2009, it having been decided that each of these directors would receive equal compensation for work done during this period of special meetings notwithstanding varying attendance at these meetings. On May 17, 2010 all of our non-employee directors, which includes Mr. Cain, Dr. Fourcroy, Ms. Masterson, and Dr. Thompson received an annual grant of an option to purchase 1,250 shares of our common stock at our annual meeting held on May 17, 2010. Additionally, Ms. Masterson was awarded an option in February 2010 to purchase an additional 1,750 shares of common stock in consideration of her assuming the role of chair in 2009. The following table reflects the aggregate number of outstanding options (including unexercisable options) held by our current non-employee directors as of December 31, 2010:

| Director         | Number of shares underlying outstanding options |
|------------------|---|
| Daniel F. Cain   | 25,000  |
| Jaye Thompson    | 11,250  |
| Jean L. Fourcroy | 25,000  |
| Nola Masterson   | 25,500  |

Overview of Compensation and Procedures

We periodically review the level of compensation paid to our non-employee directors. In determining the level of compensation for our non-employee directors, we have historically obtained data from a number of different sources, including:

- Publicly available peer group information; and
- Independent private surveys of non-executive director compensation in the biotechnology community.

Employee directors do not receive additional compensation for service on the board of directors or its committees. We reimburse each non-employee director for travel expenses incurred in connection with attendance at board meetings. Each non-employee director is paid a \$10,000 annual retainer for service on the board, payable quarterly in advance. For regular board and committee meetings attended in person or telephonically, non-employee directors currently receive \$2,000 per meeting in cash. Chairs of committees receive \$3,000 per meeting. Non-regular meetings are compensated at the rate of \$250 per hour with a minimum compensation of two hours per meeting. Employee directors are eligible to participate in the 2004 Stock Option Plan. Non-employee directors are entitled to participate in the 2000 Non-Employee Directors' Stock Option Plan and the 2004 Stock Option Plan.

Under the director plan, (i) each non-employee director who is first elected to the board is entitled to receive an option to purchase 40,000 shares of common stock on the date on which he or she first becomes a non-employee director, vesting quarterly over three (3) years, and (ii) each non-employee director in office immediately after each subsequent annual meeting of stockholders will receive an option to purchase 1,250 shares of common stock, vesting over twelve (12) months, effective on such date. Additionally under the director plan, the chair of the board (if a non-employee) who is first elected to the board is entitled to receive an option to purchase 2,500 shares of common stock on the date on which he or she first becomes chair, and the chair (if a non-employee) in office immediately after each subsequent annual meeting of stockholders will receive an option to purchase 2,500 shares of common stock effective on such date or, at the election of the chair, an annual \$25,000 stipend paid monthly. Nola Masterson currently serves as the chair of the board of directors and received an option for 1,750 shares to compensate her for her additional duties following the resignation of our former chair of the board of directors in November, 2009. Under our director plan, directors may elect to receive \$2,000 of their cash fee for payment in shares of our common stock or an option to purchase shares of our common stock.

During 2010, we paid an aggregate of \$141,996 to our non-employee directors. We granted options to purchase an aggregate of 5,000 shares of common stock to non-employee directors during 2010 pursuant to automatic grants under the director plan and, in February 2010, we granted additional options to purchase 20,500 shares of common stock to non-employee directors in lieu of cash for attendance at special board meetings and for service as chair during 2009.

## SECURITY OWNERSHIP OF CERTAIN BENEFICIAL OWNERS AND MANAGEMENT

The following table presents certain information regarding the beneficial ownership of our common stock as of December 31, 2010 by:

§ each person who is known by us to own beneficially more than 5% of the outstanding shares of common stock;

§ each director;

§ each named executive officer; and

§ all directors and executive officers as a group.

| Name of Beneficial Owner                                    | Amount and Nature of Beneficial Ownership of Common Stock(1) | Percentage Owned Before Offering(2) | Percentage Owned After Offering(2) |
|---|--|-------------------------------------|------------------------------------|
| Katherine A. Anderson, C.P.A.                               | 2,874 (3)  | *                                   | *                                  |
| Daniel F. Cain  | 24,250 (4)   | *                                   | *                                  |
| Jean L. Fourcroy, M.D., Ph.D., M.P.H.                       | 23,900 (4)   | *                                   | *                                  |
| Nola E. Masterson   | 27,000 (5)   | *                                   | *                                  |
| Joseph S. Podolski  | 230,470 (6)  | 2.5%                                | 2.0%                               |
| Jaye Thompson, Ph.D.  | 4,165 (7)  | *                                   | *                                  |
| Ronald Wiehle, Ph.D.  | 77,838 (8)   | *                                   | *                                  |
| All directors and executive officers as a group (7 persons) | 390,497 (3)-(8)  | 4.2%                                | 3.3%                               |

\* Does not exceed 1%.

(1) Unless otherwise noted, we believe that all persons named in the table have sole voting and investment power with respect to all shares of common stock beneficially owned by such persons.

(2) In accordance with SEC rules, each beneficial owner's percentage ownership assumes the exercise of all options and warrants held by such person that are exercisable within 60 days after December 31, 2010.

(3) Includes 2,499 shares of common stock issuable upon exercise of options.

(4) Includes 23,750 shares of common stock issuable upon exercise of options.

(5) Includes (i) 24,250 shares of common stock issuable upon exercise of options and (ii) 2,750 shares of common stock held by Science Futures LLC. As the managing director of Science Futures LLC, Ms. Masterson may be deemed to beneficially own such shares.

(6) Includes (i) 750 shares of common stock which are held by certain of Mr. Podolski's family members and (ii) 179,525 shares of common stock issuable upon the exercise of options. Mr. Podolski disclaims beneficial ownership of the shares owned by his family members.

- (7) Includes 4,165 shares of common stock issuable upon exercise of options.
- (8) Includes 72,334 shares of common stock issuable upon exercise of options.

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## DESCRIPTION OF SECURITIES

Our authorized capital stock consists of 75,000,000 shares of common stock, par value \$0.001 per share, and 5,000,000 shares of preferred stock, par value \$0.001 per share.

As of December 31, 2010, we had 8,930,022 outstanding shares of common stock and no outstanding shares of preferred stock. Our Quarterly Report on Form 10-Q for the quarter ended September 30, 2010 reflected that we had 8,930,057 shares of common stock outstanding as of November 4, 2010. This 35 share discrepancy was due to our uncertainty at such time of the specific number of fractional shares resulting from the one-for-four reverse split of our common stock on October 14, 2010.

As of December 31, 2010, we had outstanding stock options to purchase 613,869 shares of common stock at prices ranging from \$1.33 to \$133.00. As of December 31, 2010, we had no warrants outstanding.

### Common Stock

Subject to any special voting rights of any series of preferred stock that we may issue in the future, each share of common stock has one vote on all matters voted on by our stockholders, including the election of our directors. Because holders of common stock do not have cumulative voting rights, the holders of a majority of the shares of common stock can elect all of the members of the board of directors standing for election, subject to the rights, powers and preferences of any outstanding series of preferred stock.

No share of common stock affords any preemptive rights or is convertible, redeemable, assessable or entitled to the benefits of any sinking or repurchase fund. Holders of common stock will be entitled to dividends in the amounts and at the times declared by our board of directors in its discretion out of funds legally available for the payment of dividends.

Holders of common stock will share equally in our assets on liquidation after payment or provision for all liabilities and any preferential liquidation rights of any preferred stock then outstanding. All outstanding shares of common stock are fully paid and non-assessable.

### Preferred Stock

Our certificate of incorporation provides that shares of preferred stock may be issued from time to time in one or more series. Our board of directors has authority to issue up to 5,000,000 shares of preferred stock and to determine the price, rights, preferences, privileges and restrictions, including voting rights, of those shares without any further vote or action by our stockholders. The rights of holders of our common stock may be subject to, and adversely affected by, the rights of the holders of any preferred stock that may be issued in the future. The issuance of preferred stock may have the effect of delaying, deferring or preventing a change of control and may adversely affect the voting and other rights of holders of our common stock. We have no present plans to issue any shares of preferred stock after this offering.

### Warrants to be Issued in Offering

In connection with this offering, we will sell common stock and warrants in units, with each unit consisting of four shares of common stock, three Series A Warrants and 2.45 Series B Warrants. The shares of common stock and warrants are immediately separable and will be issued and trade separately.

### Series A Warrants

Each Series A Warrant will be exercisable for one share of our common stock at an exercise price of \$        per share. The exercise price and number of shares issuable upon exercise of the Series A Warrants are subject to appropriate adjustment in the event of stock dividends and distributions, stock splits, stock combinations, reclassifications or similar events affecting our common stock.

The Series A Warrants are exercisable immediately upon issuance and expire five years from the date of issuance. Except as indicated below, the Series A Warrants will be exercisable, at the option of each holder, in whole or in part, by delivering to us a duly executed exercise notice accompanied by payment in full for the number of shares of our common stock purchased upon such exercise. If such shares of common stock are not delivered to such holder within three trading days following such exercise, we have agreed to pay to such holder, in cash, as liquidated damages, an amount equal to (A) the difference between (i) the closing price of our common stock on such third trading day and (ii) the closing price of our common stock on the date such shares of common stock are actually delivered multiplied by (B) the number of shares of common stock purchased upon such exercise.

If, at any time during the Series A Warrant exercisability period, the fair market value of our common stock exceeds the exercise price of the Series A Warrants, the holder may elect to effect a cashless exercise of the Series A Warrants, in whole or in part, by surrendering the Series A Warrants to us, together with delivery to us of a duly executed exercise notice, and canceling a portion of the relevant Series A Warrant in payment of the purchase price payable in respect of the number of shares of our common stock purchased upon such exercise.

The number of shares of common stock that may be acquired by the registered holder upon any exercise of Series A Warrants shall be limited to the extent necessary to ensure that, following such exercise, the total number of shares of common stock then beneficially owned by such holder and any other persons whose beneficial ownership of common stock would be aggregated with the holder's for purposes of Section 13(d) of the Exchange Act does not exceed 9.999% of the total number of issued and outstanding shares of our common stock (including for such purpose the shares of common stock issuable upon such exercise). This restriction may be waived by such holder upon not less than 61 days' prior notice to us. In no event, however, may a holder exercise warrants if, following such exercise, such holder would beneficially own 20% or more of our outstanding common stock.

If, at any time while the Series A Warrants are outstanding, we effect (i) any reclassification of our common stock or any compulsory share exchange pursuant to which our common stock is effectively converted into or exchanged for other securities, cash or property, (ii) any consolidation, merger or combination with or into another corporation as a result of which holders of our common stock shall be entitled to receive stock, securities or other property or assets (including cash) with respect to or in exchange for such common stock, or (iii) any sale or conveyance of our property or assets as, or substantially as, an entirety to any other entity as a result of which holders of our common stock shall be entitled to receive stock, securities or other property or assets (including cash) with respect to or in exchange for such common stock (in any such case, a “Fundamental Transaction”), then we, or such successor corporation or transferee, as the case may be, will make appropriate provision by amendment of the warrant agreement or by the successor corporation or transferee executing with the warrant agent an agreement so that the holders of the Series A Warrants then outstanding shall have the right at any time thereafter, upon exercise of such warrants to receive the kind and amount of securities, cash and other property receivable upon such Fundamental Transaction as would be received by a holder of the number of shares of our common stock issuable upon exercise of such holder’s Series A Warrants immediately prior to such Fundamental Transaction.

Upon the closing of this offering, the Series A Warrants will be listed on the Nasdaq Capital Market under the symbol “RPRXW.”

Except by virtue of such holder’s ownership of shares of our common stock, the holders of the Series A Warrants do not have the rights or privileges of holders of our common stock, including any voting rights, until they exercise their Series A Warrants.

No fractional warrants will be issued and no fractional shares will be issued upon exercise of the Series A Warrants, but rather we will round such fraction down to the nearest whole warrant or share, as the case may be.

The terms of the Series A Warrants may not be amended without consent of holders of Series A Warrants entitled, upon exercise thereof, to receive not less than 66 2/3% of shares of our common stock issuable thereunder.

#### Series B Warrants

Each Series B Warrant will be exercisable for one share of our common stock at an exercise price of \$        per share. The exercise price and number of shares issuable upon exercise of the Series B Warrants are subject to appropriate adjustment in the event of stock dividends and distributions, stock splits, stock combinations, reclassifications or similar events affecting our common stock.

The Series B Warrants are exercisable immediately upon issuance and expire five years from the date of issuance. Except as indicated below, the Series B Warrants will be exercisable, at the option of each holder, in whole or in part, by delivering to us a duly executed exercise notice accompanied by payment in full for the number of shares of our common stock purchased upon such exercise. If such shares of common stock are not delivered to such holder within three trading days following such exercise, we have agreed to pay to such holder, in cash, as liquidated damages, an amount equal to (A) the difference between (i) the closing price of our common stock on such third trading day and (ii) the closing price of our common stock on the date such shares of common stock are actually delivered multiplied by (B) the number of shares of common stock purchased upon such exercise.

If, at any time during the Series B Warrant exercisability period, the fair market value of our common stock exceeds the exercise price of the Series B Warrants, the holder may elect to effect a cashless exercise of the Series B Warrants, in whole or in part, by surrendering the Series B Warrants to us, together with delivery to us of a duly executed exercise notice, and canceling a portion of the relevant Series B Warrant in payment of the purchase price payable in respect of the number of shares of our common stock purchased upon such exercise.

The number of shares of common stock that may be acquired by the registered holder upon any exercise of Series B Warrants shall be limited to the extent necessary to ensure that, following such exercise, the total number of shares of common stock then beneficially owned by such holder and any other persons whose beneficial ownership of common stock would be aggregated with the holder's for purposes of Section 13(d) of the Exchange Act does not exceed 9.999% of the total number of issued and outstanding shares of common stock (including for such purpose the shares of common stock issuable upon such exercise) of the Company. This restriction may be waived by such holder upon not less than 61 days' prior notice to us. In no event, however, may a holder exercise warrants if, following such exercise, such holder would beneficially own 20% or more of our outstanding common stock.

In the event that our common stock trades at or above \$        per share for a period of at least 20 trading days over a period of 30 consecutive trading days, we will have the option to require holders of Series B Warrants to exercise the Series B Warrants for the number of shares of our common stock which such holder is able to sell to maintain such holder's beneficial ownership below 10% of the total number of issued and outstanding shares of our common stock. In the event we exercise this option, holders of Series B Warrants will be required to use commercially reasonable efforts to sell their shares of our common stock to the extent necessary to exercise all of their Series B Warrants. We are obligated to provide at least 60 days notice prior to the date by which such exercise is required by such holder.

If, at any time while the Series B Warrants are outstanding, we effect a Fundamental Transaction, then we, or such successor corporation or transferee, as the case may be, will make appropriate provision by amendment of the warrant agreement or by the successor corporation or transferee executing with the warrant agent an agreement so that the holders of the Series B Warrants then outstanding shall have the right at any time thereafter, upon exercise of such warrants to receive the kind and amount of securities, cash and other property receivable upon such Fundamental Transaction as would be received by a holder of the number of shares of our common stock issuable upon exercise of such holder's Series B Warrants immediately prior to such Fundamental Transaction.

Upon the closing of this offering, the Series B Warrants will be listed on the Nasdaq Capital Market under the symbol "RPRXZ."

Except by virtue of such holder's ownership of shares of our common stock, the holders of the Series B Warrants do not have the rights or privileges of holders of our common stock, including any voting rights, until they exercise their Series B Warrants.

No fractional warrants will be issued and no fractional shares will be issued upon exercise of the Series B Warrants, but rather we will round such fraction down to the nearest whole warrant or share, as the case may be.

The terms of the Series B Warrants may not be amended without consent of holders of Series B Warrants entitled, upon exercise thereof, to receive not less than 66 2/3% of shares of our common stock issuable thereunder.

#### Rights Agreement

Pursuant to our rights agreement we entered into in September 1999, as amended, each share of our common stock, including those being issued in this offering, has four preferred stock purchase rights attached to it. Each right entitles the holder to purchase from us one one-hundredth of a share of Series One Junior Participating Preferred Stock at a price of \$20.00, subject to adjustment.

The rights will separate from our common stock and a distribution date will occur upon the earlier of (i) 10 days following the date of public announcement that a person or group of persons has become an acquiring person (defined below) or (ii) 10 business days (or such later date as may be determined by action of the board of directors prior to the time a person becomes an acquiring person) following the commencement of, or the announcement of an intention to make, a tender offer or exchange offer upon consummation of which the offeror would, if successful, become an acquiring person (the earlier of such dates being called the distribution date). The term "acquiring person" means any person who or which, together with all of its affiliates and associates, shall be the beneficial owner of 20% or more of our outstanding common stock.

The rights are not exercisable until the distribution date. The rights will expire on September 13, 2015.

In the event that following the date of public announcement that an acquiring person has become such, we are acquired in a merger or other business combination transaction or more than 50% of our consolidated assets or earning power are sold, proper provision will be made so that each holder of a right will thereafter have the right to receive, upon the exercise thereof at the then current exercise price of the right, that number of shares of common stock of the acquiring company which at the time of such transaction will have a market value of two times the exercise price of the right. This is known as a flip-over right.

In the event that a person who is not exempt becomes an acquiring person, proper provision shall be made so that each holder of a right (other than the acquiring person and its affiliates and associates) will thereafter have the right to receive upon exercise that number of shares of our common stock (or, under certain circumstances, cash, other equity

securities or property) having a market value equal to two times the purchase price of the rights. This is known as a flip-in right. Upon the occurrence of the foregoing event giving rise to the exercisability of the rights, any rights that are or were at any time owned by an acquiring person shall become void.

We may redeem the rights in whole, but not in part, at a price of \$0.01 per right prior to the earlier of the expiration of the rights or their triggering; provided, that (i) if the board authorizes redemption on or after the time a person becomes an acquiring person, then such authorization must be with the approval of a majority of our directors and (ii) the period for redemption may, upon approval of a majority of our directors, be extended by amending the rights agreement.

The terms of the rights may be amended by the board without the consent of the holders of the rights at any time and from time to time provided that such amendment does not adversely affect the interests of the holders of the rights. In addition, during any time that the rights are subject to redemption, the terms of the rights may be amended by approval of a majority of our directors, including an amendment that adversely affects the interests of the holders of the rights, without the consent of the holders of rights.

A complete description of the rights, the rights agreement with Computershare Trust Company, N.A., as rights agent, and the Series One Junior Participating Preferred Stock is hereby incorporated by reference from the information appearing under the caption "Item 1. Description of the Registrant's Securities to be Registered" contained in the Registration Statement on Form 8-A filed on September 3, 1999, and as amended by amendments to such Registration Statement on Form 8-A/A filed on September 11, 2002, October 31, 2002, June 30, 2005, January 10, 2008, October 10, 2008 and September 9, 2010.

#### Transfer Agent and Warrant Agent

The transfer agent for our common stock and warrant agent for our warrants is Computershare Trust Company, N.A.

#### Anti-Takeover Effects of Certificate, Bylaws, Stockholder Rights Plan and Delaware Law

##### General

Our certificate of incorporation, bylaws and stockholder rights plan contain provisions that are designed in part to make it more difficult and time-consuming for a person to obtain control of our company. The provisions of our certificate of incorporation, bylaws and stockholder rights plan reduce the vulnerability of our company to an unsolicited takeover proposal. These provisions may also have an adverse effect on the ability of stockholders to influence the governance of our company and may result in entrenchment of management. This may adversely affect the liquidity and price of our common stock in certain situations. We have summarized the material terms of our certificate of incorporation and bylaws below and the terms of our stockholder rights plan above. You may read our certificate of incorporation, bylaws and stockholder rights plan in their entirety for the full terms of the rights of holders of our common stock.

#### Delaware Business Combination Statute

Section 203 of the Delaware General Corporation Law provides that, subject to specified exceptions, an "interested stockholder" of a Delaware corporation may not engage in any "business combination," including general mergers or consolidations or acquisitions of additional shares of the corporation, with the corporation for a three-year period following the time that such stockholder becomes an interested stockholder unless:

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

- upon consummation of the transaction which resulted in the stockholder becoming an “interested stockholder,” the interested stockholder owned at least 85% of the voting stock of the corporation outstanding at the time the transaction commenced, excluding specified shares; or
- on or after such time, the business combination is approved by the board of directors of the corporation and authorized not by written consent, but at an annual or special meeting of stockholders, by the affirmative vote of at least 66 2/3% of the outstanding voting stock not owned by the interested stockholder.

Under Section 203, the restrictions described above also do not apply to specified business combinations proposed by an interested stockholder following the announcement or notification of a transaction specified in Section 203 and involving the corporation and a person who:

- had not been an interested stockholder during the previous three years; or
- became an interested stockholder with the approval of a majority of the corporation’s directors,

if such transaction is approved or not opposed by a majority of the directors who were directors prior to any person becoming an interested stockholder during the previous three years or were recommended for election or elected to succeed such directors by a majority of such directors.

Except as otherwise specified in Section 203, an “interested stockholder” is defined to include:

- any person that is the owner of 15% or more of the outstanding voting stock of the corporation, or is an affiliate or associate of the corporation and was the owner of 15% or more of the outstanding voting stock of the corporation at any time within three years immediately before the date of determination; and
- the affiliates and associates of any such person.

Under some circumstances, Section 203 makes it more difficult for an interested stockholder to effect various business combinations with a corporation for a three-year period.

### Advance Notice Requirements for Director Nominations and Other Stockholder Proposals

In order to nominate a director at an annual meeting, our bylaws require that a stockholder follow certain procedures. In order to recommend a nominee for director, a stockholder must be a stockholder of record at the time the stockholder gives notice of its recommendation and the stockholder must be entitled to vote for the election of directors at the meeting at which such nominee will be considered. Stockholder recommendations must be made pursuant to written notice delivered to our principal executive offices no less than 50 days nor more than 75 days prior to the date of the annual or special meeting at which directors are to be elected; provided, that if less than 65 days' notice or prior public disclosure of the date of the meeting is given or made to the stockholders, notice by the stockholder must be received at our principal executive offices not later than the close of business on the 15th day following the day on which such notice of the date of the meeting was mailed or such public disclosure was made.

The stockholder notice must set forth the following:

1. As to each person the stockholder proposes to nominate for election as a director, all information relating to such person that would be required to be disclosed in solicitations of proxies for the election of such nominees as directors pursuant to rules promulgated under the Exchange Act;
2. The written consent to serve as a director if elected by each person nominated;
3. Name and address of the stockholder as they appear on our books; and
4. The class and number of shares of our common stock beneficially owned by such stockholder.

In addition to complying with the foregoing procedures, any stockholder nominating a director must also comply with all applicable requirements of the Exchange Act and the rules and regulations thereunder.

Additionally, with respect to other stockholder proposals, notice of the proposal must be received no less than 50 nor more than 75 days prior to the annual meeting at which such proposal is to be considered; provided, that if less than 65 days' notice or prior public disclosure of the date of the meeting is given or made to the stockholders, notice by the stockholder must be received at our principal executive offices not later than the close of business on the 15th day following the day on which such notice of the date of the annual meeting was mailed or such public disclosure was made.

### Authorized But Unissued Shares

Our authorized but unissued shares of common stock and preferred stock are available for future issuances without stockholder approval and could be utilized for a variety of corporate purposes, including future offerings to raise additional capital, corporate acquisitions and employee benefit plans. The existence of authorized but unissued and unreserved common stock and preferred stock could render more difficult or discourage an attempt to obtain control of us by means of a proxy contest, tender offer, merger or otherwise.



## UNDERWRITING

In accordance with the terms and conditions contained in the underwriting agreement, we have agreed to sell to Ladenburg Thalmann & Co. Inc., which we refer to as the “underwriter,” and the underwriter has agreed to purchase from us on a firm commitment basis, the number of units offered in this offering set forth opposite its name below:

| Underwriter                   | Number of Units |
|-------------------------------|-----------------|
| Ladenburg Thalmann & Co. Inc. | 600,000         |
| <b>Total</b>                  | <b>600,000</b>  |

A copy of the underwriting agreement will be filed as an exhibit to the registration statement of which this prospectus forms a part.

We have been advised by the underwriter that it proposes to offer units directly to the public at the public offering price set forth on the cover page of this prospectus. Any units sold by the underwriter to securities dealers will be sold at the public offering price less a selling concession not in excess of \$      per unit. The underwriter may allow, and these selected dealers may re-allow, a concession of not more than \$      per unit to other brokers and dealers.

The underwriting agreement provides that the underwriter’s obligation to purchase units is subject to conditions contained in the underwriting agreement. The underwriter is obligated to purchase and pay for all of the units offered by this prospectus other than those covered by the over-allotment option, if any of these securities are purchased.

No action has been taken by us or the underwriter that would permit a public offering of the units, common stock or warrants included in this offering in any jurisdiction where action for that purpose is required. None of our securities included in this offering may be offered or sold, directly or indirectly, nor may this prospectus or any other offering material or advertisements in connection with the offer and sales of any of our units, common stock or warrants be distributed or published in any jurisdiction, except under circumstances that will result in compliance with the applicable rules and regulations of that jurisdiction. Persons who receive this prospectus are advised to inform themselves about and to observe any restrictions relating to this offering of the units, common stock and warrants and the distribution of this prospectus. This prospectus is neither an offer to sell nor a solicitation of any offer to buy units, common stock or warrants in any jurisdiction where that would not be permitted or legal.

The underwriter has advised us that it does not intend to confirm sales to any accounts over which it exercises discretionary authority.

## Underwriting discount and expenses

The following table summarizes the underwriting discount to be paid to the underwriter by us.

|  | Total, without<br>over-allotment | Total, with<br>over-allotment |
|--|----------------------------------|-------------------------------|
| Underwriting discount to be paid to the underwriter by us for the units ( % of gross proceeds) | \$                               | \$                            |

We also have agreed to reimburse the out-of-pocket expenses incurred by the underwriter in connection with the underwriting, including reasonable attorneys fees and expenses of the underwriter’s counsel retained for this purpose by the underwriter, in an amount of up to \$50,000. The underwriter does not have any right of first refusal or any

similar rights with respect to the provision of services to us in the future.

The underwriter has performed investment banking services for us for which it has received customary fees and expenses. The underwriter may, from time to time, engage in transactions with or perform services for us in the ordinary course of its business.

#### Over-allotment option

We have granted to the underwriter an option, exercisable not later than 45 days after the date of this prospectus, to purchase up to 90,000 units at the public offering price, less the underwriting discount, set forth on the cover page of this prospectus. The underwriter may exercise the option solely to cover over-allotments, if any, made in connection with this offering. If any additional units are purchased pursuant to the over-allotment option, the underwriter will offer these additional units on the same terms as those on which the other units are being offered hereby.

#### Determination of offering price

The public offering price of the units and the exercise price and other terms of the warrants were negotiated between us and the underwriter, based on the trading of our common stock prior to the offering, among other things. Other factors considered in determining the public offering price of the units and the exercise price and other terms of the warrants include the history and prospects of the Company, the stage of development of our business, our business plans for the future and the extent to which they have been implemented, an assessment of our management, general conditions of the securities markets at the time of the offering and such other factors as were deemed relevant.

### Stabilization, short positions and penalty bids

The underwriter may engage in over-allotment, syndicate covering transactions, stabilizing transactions and penalty bids or purchases for the purpose of pegging, fixing or maintaining the price of our common stock or warrants:

- Over-allotment involves sales by the underwriter of units in excess of the number of units the underwriter is obligated to purchase, which creates a syndicate short position. The short position may be either a covered short position or a naked short position. In a covered short position, the number of units over-allotted by the underwriter is not greater than the number of units that it may purchase in the over-allotment option. In a naked short position, the number of units involved is greater than the number of units in the over-allotment option. The underwriter may close out any short position by exercising its over-allotment option, in whole or in part, or purchasing shares and warrants in the open market.
- Syndicate covering transactions involve purchases of securities in the open market after the distribution has been completed in order to cover syndicate short positions. In determining the source of securities needed to close out the short position, the underwriter will consider, among other things, the price of the securities available for purchase in the open market as compared to the price at which it may purchase the securities through the over-allotment option. If the underwriter sells more securities than could be covered by the over-allotment option, a naked short position, the position can only be closed out by buying securities in the open market. A naked short position is more likely to be created if the underwriter is concerned that there could be downward pressure on the price of the securities in the open market after pricing that could adversely affect investors who purchase in the offering.
- Stabilizing transactions permit bids to purchase the underlying security so long as the stabilizing bids do not exceed a specific maximum.
- Penalty bids permit the underwriter to reclaim a selling concession from a syndicate member when the securities originally sold by the syndicate member are purchased in a stabilizing or syndicate covering transaction to cover syndicate short positions.

These syndicate covering transactions, stabilizing transactions and penalty bids may have the effect of raising or maintaining the market prices of our securities or preventing or retarding a decline in the market prices of our securities. As a result, the price of our common stock and warrants may be higher than the price that might otherwise exist in the open market. These transactions may be effected on the Nasdaq Capital Market, in the over-the-counter market or on any other trading market and, if commenced, may be discontinued at any time.

In connection with this offering, the underwriter also may engage in passive market making transactions in our common stock on the Nasdaq Capital Market in accordance with Regulation M during a period before the commencement of offers or sales of shares of our common stock in this offering and extending through the completion of the distribution. In general, a passive market maker must display its bid at a price not in excess of the highest independent bid for that security. However, if all independent bids are lowered below the passive market maker's bid, that bid must then be lowered when specific purchase limits are exceeded. Passive market making may stabilize the market price of the securities at a level above that which might otherwise prevail in the open market and, if commenced, may be discontinued at any time.

Neither we nor the underwriter make any representation or prediction as to the direction or magnitude of any effect that the transactions described above may have on the prices of our securities. In addition, neither we nor the underwriter make any representation that the underwriter will engage in these transactions or that any transactions, once commenced, will not be discontinued without notice.

Indemnification

We have agreed to indemnify the underwriter against certain liabilities, including liabilities under the Securities Act of 1933, or to contribute to payments the underwriter may be required to make with respect to any of these liabilities.

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## LEGAL MATTERS

The validity of the securities being offered hereby will be passed upon by Winstead PC, The Woodlands, Texas. Jeffrey R. Harder, a member of the law firm Winstead PC, beneficially owned as of December 31, 2010, an aggregate of 11,874 shares of our common stock. Mr. Harder also holds options to purchase 13,125 shares of our common stock. Certain legal matters will be passed upon for the underwriter by Schulte Roth & Zabel LLP, New York, New York.

## EXPERTS

The consolidated financial statements and management's assessment of the effectiveness of internal control over financial reporting (which is included in Management's Report on Internal Control over Financial Reporting) incorporated in this prospectus by reference to the Annual Report on Form 10-K for the year ended December 31, 2009 have been so incorporated in reliance on the report (which contains an explanatory paragraph relating to the Company's ability to continue as a going concern as described in Note 1 to the consolidated financial statements) of PricewaterhouseCoopers LLP, an independent registered public accounting firm, given on the authority of said firm as experts in auditing and accounting.

The consolidated statements of stockholders' equity for each of the eight years in the period ended December 31, 2001 were audited by Arthur Andersen LLP. Arthur Andersen LLP has not consented to the incorporation of their reports on the consolidated statements of stockholders' equity for each of the eight years in the period ended December 31, 2001 incorporated in this prospectus by reference to the Annual Report on Form 10-K for the year ended December 31, 2009, and we have dispensed with the requirement to file their consent in reliance upon Rule 437a of the Securities Act. Because Arthur Andersen LLP has not consented to the incorporation of their reports in this prospectus, you will not be able to recover against Arthur Andersen LLP under Section 11 of the Securities Act for any untrue statements of a material fact contained in the financial statements audited by Arthur Andersen LLP or any omissions to state a material fact required to be stated therein.

## WHERE YOU CAN FIND MORE INFORMATION

We have filed with the SEC a registration statement on Form S-1 relating to the securities covered by this prospectus. This prospectus is a part of the registration statement and does not contain all the information in the registration statement. For further information with respect to us and the securities we are offering under this prospectus, we refer you to the registration statement and the exhibits and schedules filed as a part of the registration statement. We also file annual, quarterly and current reports, proxy statements and other information with the SEC. You may read and copy the registration statement, as well as any other material we file with the SEC, at the SEC's Public Reference Room at 100 F Street, N.E., Washington, D.C. 20549. Please call the SEC at 1-800-SEC-0330 for more information on the Public Reference Room. The SEC maintains an Internet site that contains reports, proxy and information statements, and other information regarding issuers that file electronically with the SEC, including Repros. The SEC's Internet site can be found at <http://www.sec.gov>.

## INCORPORATION OF CERTAIN INFORMATION BY REFERENCE

The SEC allows us to "incorporate by reference" the information we file with it, which means that we can disclose important information to you by referring you to another document that we have filed separately with the SEC. You should read the information incorporated by reference because it is an important part of this prospectus. Any information incorporated by reference into this prospectus is considered to be part of this prospectus from the date we file that document. We incorporate by reference the following information or documents that we have filed with the SEC which shall not include, in each case, documents, or information deemed to have been furnished and not filed in

accordance with SEC rules:

§ Annual Report of Form 10-K for the fiscal year ended December 31, 2009;

§ Quarterly Report on Form 10-Q for the quarters ended March 31, 2010, June 30, 2010 and September 30, 2010;

§ Proxy Statement on Schedule 14A filed with the SEC on April 6, 2010;

§ Current Reports on Form 8-K filed with the SEC on January 11, 2010, January 19, 2010, January 26, 2010, January 27, 2010, February 2, 2010, February 8, 2010, February 19, 2010, March 3, 2010, March 4, 2010, March 11, 2010, March 16, 2010, March 31, 2010, April 5, 2010, April 15, 2010, April 28, 2010, April 30, 2010, May 10, 2010, May 13, 2010, May 18, 2010, June 11, 2010, June 17, 2010, June 21, 2010, July 23, 2010, August 3, 2010, August 10, 2010, August 12, 2010, August 16, 2010, August 18, 2010, September 10, 2010, September 29, 2010, September 30, 2010, October 15, 2010, October 25, 2010, November 1, 2010, November 10, 2010, December 17, 2010, December 23, 2010, December 30, 2010 and January 3, 2011;

§ the description of our Rights Agreement contained in our registration statement on Form 8-A filed on September 3, 1999, as amended on September 6, 2002, October 30, 2002, June 30, 2005, January 10, 2008, October 10, 2008 and September 9, 2010, including any amendments or reports filed for the purposes of updating this description; and

§ the description of our common stock contained in our registration statement on Form 8-A filed with the SEC on February 2, 1993, including all amendments and reports filed for the purpose of updating such information.

Information furnished to the SEC under Item 2.02 or Item 7.01 in Current Reports on Form 8-K, and any exhibit relating to such information, filed prior to, on or subsequent to the date of this prospectus is not incorporated by reference into this prospectus.

Any statement contained in any document incorporated by reference herein shall be deemed to be modified or superseded for purposes of this prospectus to the extent that a statement contained in this prospectus or any prospectus supplement modifies or supersedes such statement. Any statement so modified or superseded shall not be deemed, except as so modified or superseded, to constitute a part of this prospectus.

We will provide to each person, including any beneficial owner, to whom a prospectus is delivered, without charge upon written or oral request, a copy of any or all of the reports or documents that are incorporated by reference into this prospectus but not delivered with the prospectus, including exhibits which are specifically incorporated by reference into such documents. If you would like to request documents from us, please send a request in writing or by telephone to us at the following address:

Repros Therapeutics Inc.  
2408 Timberloch Place, Suite B-7  
The Woodlands, Texas 77380  
(281) 719-3400  
Attn: Secretary

These documents are posted on our Web site at [www.reprosrx.com](http://www.reprosrx.com); select the "Investors & Media" link and then the "SEC Filings" link. Any other information contained on, or accessible through, our website does not constitute a part of this prospectus.

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REPOS THERAPEUTICS INC. AND SUBSIDIARY  
(A development stage company)

CONDENSED CONSOLIDATED BALANCE SHEETS  
(unaudited and in thousands except share and per share amounts)

|  | September 30,<br>2010 | December 31,<br>2009 |
|--|-----------------------|----------------------|
| <b>ASSETS</b>  |                       |                      |
| <b>Current Assets</b>  |                       |                      |
| Cash and cash equivalents  | \$ 4,216              | \$ 1,886             |
| Prepaid expenses and other current assets  | 211                   | 177                  |
| Total current assets   | 4,427                 | 2,063                |
| Fixed assets, net  | 9                     | 12                   |
| Other assets, net  | 1,131                 | 885                  |
| Total assets   | \$ 5,567              | \$ 2,960             |
| <b>LIABILITIES AND STOCKHOLDERS' EQUITY</b>  |                       |                      |
| <b>Current Liabilities</b>   |                       |                      |
| Accounts payable   | \$ 1,172              | \$ 2,043             |
| Accrued expenses   | 182                   | 355                  |
| Total current liabilities  | 1,354                 | 2,398                |
| Commitments and contingencies (note 5)   |                       |                      |
| <b>Stockholders' Equity</b>  |                       |                      |
| Undesignated Preferred Stock, \$.001 par value, 5,000,000 shares authorized, none issued and outstanding   | —                     | —                    |
| Common Stock, \$.001 par value, 75,000,000 shares authorized, 9,042,407 and 6,496,999 shares issued, respectively and 8,930,057 and 6,384,649 shares outstanding, respectively | 9                     | 6                    |
| Additional paid-in capital   | 183,644               | 176,412              |
| Cost of treasury stock, 112,350 shares   | (1,380)               | (1,380)              |
| Deficit accumulated during the development stage   | (178,060)             | (174,476)            |
| Total stockholders' equity   | 4,213                 | 562                  |
| Total liabilities and stockholders' equity   | \$ 5,567              | \$ 2,960             |

The accompanying notes are an integral part of these condensed consolidated financial statements.

REPOS THERAPEUTICS INC. AND SUBSIDIARY  
(A development stage company)

CONDENSED CONSOLIDATED STATEMENTS OF OPERATIONS  
(unaudited and in thousands except per share amounts)

|   | Three Months Ended September 30, |             | Nine Months Ended September 30, |             | From Inception<br>(August 20, 1987)<br>through<br>September 30, |
|---|----------------------------------|-------------|---------------------------------|-------------|---|
|   | 2010                             | 2009        | 2010                            | 2009        | 2010  |
| <b>Revenues</b>   |                                  |             |                                 |             |   |
| Licensing fees  | \$ —                             | \$ —        | \$ —                            | \$ —        | \$ 28,755   |
| Product royalties   | —                                | —           | —                               | —           | 627   |
| Research and development grants                                     | —                                | —           | —                               | —           | 1,219   |
| Interest income   | —                                | —           | —                               | —           | 16,297  |
| Gain on disposal of fixed assets                                    | —                                | —           | —                               | 4           | 102   |
| Other Income  | 85                               | —           | 138                             | —           | 720   |
| Total revenues and other income                                     | 85                               | —           | 138                             | 4           | 47,720  |
| <b>Expenses</b>   |                                  |             |                                 |             |   |
| Research and development  | 736                              | 8,282       | 1,950                           | 21,765      | 172,280   |
| General and administrative  | 533                              | 1,962       | 1,772                           | 4,126       | 43,769  |
| Interest expense and amortization of intangibles                    | —                                | —           | —                               | —           | 388   |
| Total expenses  | 1,269                            | 10,244      | 3,722                           | 25,891      | 216,437   |
| Loss from continuing operations                                     | (1,184)                          | (10,244)    | (3,584)                         | (25,887)    | (168,717)   |
| Loss from discontinued operations                                   | —                                | —           | —                               | —           | (1,828)   |
| Gain on disposal of discontinued operation                          | —                                | —           | —                               | —           | 939   |
| Net loss before cumulative effect of change in accounting principle | (1,184)                          | (10,244)    | (3,584)                         | (25,887)    | (169,606)   |
| Cumulative effect of change in accounting principle                 | —                                | —           | —                               | —           | (8,454)   |
| Net loss  | \$ (1,184)                       | \$ (10,244) | \$ (3,584)                      | \$ (25,887) | (178,060)   |
| Loss per share - basic and diluted:                                 | \$ (0.13)                        | \$ (2.64)   | \$ (0.46)                       | \$ (6.77)   |   |
| Weighted average shares used in loss per share calculation:         |                                  |             |                                 |             |   |
| Basic   | 8,875                            | 3,876       | 7,763                           | 3,821       |   |
| Diluted   | 8,875                            | 3,876       | 7,763                           | 3,821       |   |

The accompanying notes are an integral part of these condensed consolidated financial statements.

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REPOS THERAPEUTICS INC. AND SUBSIDIARY  
(A development stage company)

CONSOLIDATED STATEMENTS OF STOCKHOLDERS' EQUITY  
(unaudited and in thousands except share and per share amounts)

|   | Common Stock |        | Additional         | Treasury Stock |            | Deficit   | Total                   |
|---|--------------|--------|--------------------|----------------|------------|---|-------------------------|
|   | Shares       | Amount | Paid-in<br>Capital | Shares         | Amount     | Accumulated<br>During the<br>Development<br>Stage | Stockholders'<br>Equity |
| Balance at<br>December 31, 2009   | 6,496,999    | \$ 6   | \$ 176,412         | 112,350        | \$ (1,380) | \$ (176,476)                                      | \$ 562                  |
| Stock based option<br>compensation  | —            | —      | 471                | —              | —          | —   | 471                     |
| Issuance of 96,836<br>shares of common<br>stock at \$2.88 to<br>\$4.40 per share, as<br>settlement with<br>trade creditors                    | 96,836       | —      | 370                | —              | —          | —   | 370                     |
| Issuance of<br>2,448,572 shares of<br>common stock at a<br>weighted average<br>share price of<br>\$2.77, net of<br>offering costs of<br>\$381 | 2,448,572    | 3      | 6,391              | —              | —          | —   | 6,394                   |
| Net loss  | —            | —      | —                  | —              | —          | (3,584)   | (3,584)                 |
| Balance at<br>September 30,<br>2010   | 9,042,407    | \$ 9   | \$ 183,644         | 112,350        | \$ (1,380) | \$ (178,060)                                      | \$ 4,213                |

The accompanying notes are an integral part of these consolidated financial statements.

REPOS THERAPEUTICS INC. AND SUBSIDIARY  
(A development stage company)

CONDENSED CONSOLIDATED STATEMENTS OF CASH FLOWS  
(unaudited and in thousands)

|   | Nine Months Ended September 30, |             | From Inception<br>(August 20, 1987)<br>through<br>September 30, |
|---|---------------------------------|-------------|---|
|   | 2010                            | 2009        | 2010  |
| <b>Cash Flows from Operating Activities</b>   |                                 |             |   |
| Net loss  | \$ (3,584)                      | \$ (25,887) | \$ (178,060)  |
| Gain on disposal of discontinued operations   | —                               | —           | (939)   |
| Gain on disposal of fixed assets  | —                               | —           | (102)   |
| Adjustments to reconcile net loss to net cash used in operating activities:                           |                                 |             |   |
| Noncash financing costs   | —                               | —           | 316   |
| Noncash inventory impairment  | —                               | —           | 4,417   |
| Noncash patent impairment   | —                               | 989         | 2,614   |
| Noncash other income  | (138)                           | —           | (685)   |
| Noncash decrease in accounts payable  | —                               | —           | (1,308)   |
| Depreciation and amortization   | 60                              | 51          | 4,014   |
| Noncash stock-based compensation  | 471                             | 1,110       | 7,112   |
| Common stock issued for agreement not to compete  | —                               | —           | 200   |
| Series B Preferred Stock issued for consulting services   | —                               | —           | 18  |
| Changes in operating assets and liabilities (net effects of purchase of businesses in 1988 and 1994): |                                 |             |   |
| Increase in receivables   | —                               | —           | (199)   |
| Increase in inventory   | —                               | —           | (4,447)   |
| (Increase) decrease in prepaid expenses and other current assets                                      | (34)                            | 1,114       | 91  |
| Increase (decrease) in accounts payable and accrued expenses  | (536)                           | 5,246       | 9,502   |
| Net cash used in operating activities   | (3,761)                         | (17,377)    | (157,456)   |
| <b>Cash Flows from Investing Activities</b>   |                                 |             |   |
| Change in trading marketable securities   | —                               | —           | (191)   |
| Capital expenditures  | (6)                             | —           | (2,377)   |
| Purchase of technology rights and other assets  | (297)                           | (424)       | (4,569)   |
| Proceeds from sale of PP&E  | —                               | —           | 225   |
| Cash acquired in purchase of FTI  | —                               | —           | 3   |
| Proceeds from sale of subsidiary, less \$12,345 for operating losses during 1990 phase-out period     | —                               | —           | 138   |
| Proceeds from sale of the assets of FTI   | —                               | —           | 2,250   |
| Increase in net assets held for disposal  | —                               | —           | (213)   |
| Net cash used in investing activities   | (303)                           | (424)       | (4,734)   |
| <b>Cash Flows from Financing Activities</b>   |                                 |             |   |
| Proceeds from issuance of common stock, net of offering costs   | 6,394                           | 869         | 162,399   |
| Exercise of stock options   | —                               | 9           | 372   |

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|  |          |          |          |
|--|----------|----------|----------|
| Proceeds from a shareholder transaction              | —        | —        | 327      |
| Proceeds from issuance of preferred stock            | —        | —        | 23,688   |
| Purchase of treasury stock                           | —        | —        | (21,487) |
| Proceeds from issuance of notes payable              | —        | —        | 2,839    |
| Principal payments on notes payable                  | —        | —        | (1,732)  |
| Net cash provided by financing activities            | 6,394    | 878      | 166,406  |
| Net increase (decrease) in cash and cash equivalents | 2,330    | (16,923) | 4,216    |
| Cash and cash equivalents at beginning of period     | 1,886    | 19,470   | —        |
| Cash and cash equivalents at end of period           | \$ 4,216 | \$ 2,547 | \$ 4,216 |

The accompanying notes are an integral part of these condensed consolidated financial statements.

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REPOS THERAPEUTICS INC. AND SUBSIDIARY  
(A development stage company)

NOTES TO CONDENSED CONSOLIDATED FINANCIAL STATEMENTS  
September 30, 2010  
(Unaudited)

NOTE 1 — Organization, Operations and Liquidity

The accompanying unaudited condensed consolidated financial statements have been prepared in accordance with accounting principles generally accepted in the United States of America for interim financial information and the rules and regulations of the Securities and Exchange Commission for interim financial reporting. Accordingly, they do not include all of the information and footnotes required by accounting principles generally accepted in the United States of America for complete financial statements. In the opinion of management, all adjustments (which include only normal recurring adjustments) considered necessary for a fair statement of the interim periods presented have been included. The year-end balance sheet data was derived from audited financial statements, but does not include all the disclosures required by accounting principles generally accepted in the United States of America. Operating results for the three month and nine month periods ended September 30, 2010 are not necessarily indicative of the results that may be expected for the year ended December 31, 2010. For further information, refer to the financial statements and footnotes thereto included in the Repros Therapeutics Inc. ("the Company", "Repros," or "we," "us" or "our") Annual Report on Form 10-K for the year ended December 31, 2009.

The Company was organized on August 20, 1987. We are a development stage biopharmaceutical company focused on the development of oral small molecule drugs for major unmet medical needs that treat male and female reproductive disorders.

Our portfolio of products includes:

Androxal®

§ As a treatment for men of reproductive age with low testosterone levels that spares fertility, unlike testosterone replacement therapy; and

§ As a treatment for type 2 diabetes

Proellex®

§ As a treatment of symptoms associated with uterine fibroids and endometriosis, subject to the current FDA partial clinical hold on the Proellex® clinical trials; however, the FDA has allowed us to run a single study to explore both safety and signals of efficacy in an escalating dose fashion. The new study will test 5 different doses of Proellex® (1, 3, 6, 9 and 12 mg) with 1 mg being the first dose tested.

As of September 30, 2010, we had accumulated losses of \$178.1 million, approximately \$4.2 million in cash and cash equivalents, and our accounts payable and accrued expenses were approximately \$1.4 million. The amount of cash on hand is not sufficient to fund the (i) escalating dose study for Proellex® permitted by the FDA, (ii) Phase 2B and upcoming Phase 3 hypogonadism trials for Androxal®, (iii) type 2 diabetes trial for Androxal®, (iv) preclinical assessment of vaginal delivery of Proellex® and (v) second generation Proellex® molecules. Based on these current and planned clinical trials, we will need to raise additional capital no later than the first quarter of 2011. We continue to explore potential additional financing and capital raising alternatives to provide additional funds to enable us to

continue to develop our two product candidates through completion of clinical trials; however, there can be no assurance that we will be successful in raising any such additional funds on a timely basis or at all. Significant additional funding will be required for us to continue development of either of our product candidates. Additionally, as discussed in Note 5, we have various pending legal proceedings that could adversely impact us. The foregoing and other matters raise substantial doubt about our ability to continue as a going concern.

On October 14, 2010, the Company effected a one-for-four reverse stock split of its common stock. The split-adjusted shares of the Company's common stock began trading on the Nasdaq Capital Market on October 15, 2010. The one-for-four reverse stock split converted all shares of the Company's common stock issued and outstanding, plus all outstanding stock options and the number of shares of common stock available for issuance under the Company's approved stock plans. The number of authorized shares of common stock was not affected by the reverse split. The reverse split enabled the Company to meet the continued listing rules of the Nasdaq Capital Market as evidenced by the Compliance Letter received from Nasdaq on October 29, 2010. All share and per share amounts have been retroactively adjusted to reflect the reverse stock split for all periods presented.

We also continue to maintain our patent portfolio of our phentolamine-based products for the treatment of sexual dysfunction and in order to create value from these assets in various ways which includes product out-licensing.



## NOTE 2 — Patents and Patent Applications

As of September 30, 2010, the Company had approximately \$1.1 million in capitalized patent and patent application costs reflected on its balance sheet. This entire amount relates to patent and patent application costs for Androxal®.

Should the Company not continue development of Androxal® or should the Company not continue as a going concern, the remaining capitalized patent and patent application costs may not be recoverable, which would result in charges to operating results in future periods.

## NOTE 3 — Accrued Expenses

Accrued expenses consist of the following (in thousands):

|                         | September 30, 2010 |     | December 31, 2009 |     |
|-------------------------|--------------------|-----|-------------------|-----|
| Personnel related costs | \$                 | 103 | \$                | 181 |
| Other                   |                    | 69  |                   | 159 |
| Patent costs            |                    | 10  |                   | 15  |
| Total                   | \$                 | 182 | \$                | 355 |

## NOTE 4 — Loss Per Share

Basic loss per share is computed by dividing net loss by the weighted average number of shares of common stock outstanding during the period. Diluted loss per share is computed using the average share price for the period and applying the treasury stock method to potentially dilutive outstanding options. In all applicable periods, all potential common stock equivalents were antidilutive and, accordingly, were not included in the computation of diluted loss per share. Additionally, on October 14, 2010, the Company effected a one-for-four reverse stock split of its common stock. The split-adjusted shares of the Company's common stock began trading on the Nasdaq Capital Market on October 15, 2010. All share and per share amounts have been retroactively adjusted to reflect the reverse stock split for all periods presented.

The following table presents information necessary to calculate loss per share for the three month and nine month periods ended September 30, 2010 and 2009 (in thousands, except per share amounts):

|                                   | Three Months Ended Sept. 30, |             | Nine Months Ended Sept. 30, |             |
|-----------------------------------|------------------------------|-------------|-----------------------------|-------------|
|                                   | 2010                         | 2009        | 2010                        | 2009        |
| Net Loss                          | \$ (1,184)                   | \$ (10,244) | \$ (3,584)                  | \$ (25,887) |
| Average common shares outstanding | 8,875                        | 3,876       | 7,763                       | 3,821       |
| Basic and diluted loss per share  | \$ (0.13)                    | (2.64)      | (0.46)                      | (6.77)      |

Other potential common stock of 538,582 and 552,402 common shares underlying stock options for the periods ended September 30, 2010 and 2009, respectively, were excluded from the above calculation of diluted loss per share because they were not dilutive.

## NOTE 5 — Commitments and Contingencies

Therapeutic uses of our Androxal® product candidate are covered in the United States by four issued U.S. patents and four pending patent applications. Foreign coverage of therapeutic uses of our Androxal® product candidate includes

40 issued foreign patents and 75 foreign pending patent applications. The issued patents and pending applications relate to methods for treating certain conditions including the treatment of testosterone deficiency in men, the treatment of metabolic syndrome and conditions associated therewith, and the treatment of infertility in hypogonadal men. Androxal® (the trans-isomer of clomiphene) is purified from clomiphene citrate. A third party individual holds two issued patents related to the use of an anti-estrogen such as clomiphene citrate and others for use in the treatment of androgen deficiency and disorders related thereto. In our prior filings with the SEC, we have described our request to the U.S. Patent and Trademark Office, or PTO, for re-examination of one of these patents based on prior art. The third party amended the claims in the re-examination proceedings, which led the PTO to determine that the amended claims are patentable in view of those publications under consideration and a re-examination certificate was issued. However, we believe that the amended claims are invalid based on additional prior art publications, and we filed a second request for re-examination by the PTO in light of a number of these additional publications and other publications cited by the PTO. The request was granted and all of the claims were finally rejected by the PTO in the re-examination. The patent holder appealed the rejections to the PTO Board of Patent Appeals and Interferences (“the Board”) which affirmed the rejection of all of the claims. The patent holder subsequently filed a request for rehearing, which led the Board to reverse the rejections of several dependent claims in view of those publications under consideration. The patent holder has filed a Notice of Appeal to the Federal Circuit contesting the rejections maintained by the Board. We also believe that the second of these two patents is invalid in view of published prior art not considered by the PTO. Nevertheless, there is no assurance that either patent will ultimately be found invalid over the prior art. If such patents are not invalidated by the PTO we may be required to obtain a license from the holder of such patents in order to develop Androxal® further or attempts may be made to undertake further legal action to invalidate such patents. If such licenses were not available on acceptable terms, or at all, we may not be able to successfully commercialize or out-license Androxal®.

On August 7, 2009, R.M. Berry filed a putative class action lawsuit naming the Company, Joseph Podolski, Paul Lammers, and Louis Ploth, Jr. as defendants. The lawsuit is pending in the United States District Court for the Southern District of Texas, Houston Division. The lawsuit, styled R.M. Berry, on Behalf of Himself and all Others Similarly Situated v. Repros Therapeutics, Inc., Joseph Podolski, Paul Lammers, and Louis Ploth, Jr., alleges that the defendants made certain misleading statements related to the Company's Proellex® drug. Among other claims, the lawsuit contends that the defendants misrepresented the side effects of the drug related to liver function, and the risk that these side effects could cause a suspension of clinical trials of Proellex®. The lawsuit seeks to establish a class of shareholders allegedly harmed by the misleading statements, and asserts causes of action under the Securities Exchange Act of 1934. On August 14, 2009, a lawsuit making similar allegations and naming the same defendants was also filed in the United States District Court for the Southern District of Texas. This suit is styled Josephine Medina, Individually and On Behalf of all Others Similarly Situated v. Repros Therapeutics, Inc., Joseph Podolski, Paul Lammers, and Louis Ploth, Jr. On September 25, 2009, a lawsuit also making allegations similar to those in the Berry action, and naming the same defendants, was filed in the United States District Court for the Southern District of Texas. That lawsuit is styled Shane Simpson, Paul Frank and Clayton Scobie, on Behalf of Themselves and all Others Similarly Situated v. Repros Therapeutics, Inc., Joseph Podolski, Paul Lammers, and Louis Ploth, Jr. The lawsuits have now been consolidated, and lead plaintiffs appointed. On January 27, 2010, the lead plaintiffs filed a Consolidated Class Action Complaint styled In re Repros Therapeutics, Inc. Securities Litigation, Civil Action No. 09 Civ. 2530 (VDG). The lawsuit names Repros Therapeutics, Inc., Joseph Podolski, Paul Lammers, and Louis Ploth, Jr. as defendants. The allegations in the Consolidated Class Action Complaint are substantially the same as those contained in the prior complaints, and focus on the claim that the defendants deliberately withheld information concerning the negative side-effects of Proellex® related to liver function. Plaintiffs seek to establish a class action for all persons who "purchased or otherwise acquired Repros common stock between July 1, 2009, and August 2, 2009." No discovery has yet occurred in the matter. Defendants filed a motion to dismiss the Consolidated Class Action Complaint on March 15, 2010. Briefing has been completed on that motion, but the court has not yet ruled on it. An estimate of the possible loss or range of losses in connection with the lawsuits cannot be made at this time.

On March 1, 2010, we were served with a lawsuit where we were named as a co-defendant along with one of our clinical regulatory service providers ("CRO") relating to the Proellex® clinical trial study. The lawsuit was filed in the State of Tennessee, 30th Judicial District Chancery Court at Memphis by an investigator and claims that the CRO did not pay it amounts owing to it relating to the Proellex® study. We did not engage the investigator and under our agreement with the CRO, we believe the CRO is responsible for any such costs or damages regarding such lawsuit. Pursuant to a Settlement Agreement and Mutual Release entered into in October 2009, such CRO, on behalf of itself and its agents, released us from all claims which could be asserted by them against us. We believe such release covers the claims set forth in this lawsuit. The CRO failed to respond to the lawsuit, and a default judgment was entered against it in the amount of \$172,901.29. We intend to vigorously defend any and all claims asserted by the investigator. An estimate of the possible costs or expenses to defend ourselves in this matter or risk of exposure under the litigation cannot be made at this time.

#### NOTE 6 — Other Recent Events, Including Subsequent Events

Between November 30, 2009 and March 31, 2010, we entered into settlement agreements and mutual releases (the "Prior Settlement Agreements") with certain of our creditors, pursuant to which we issued an aggregate of 352,459 shares of common stock and paid an aggregate of \$140,572 in cash as payment in full for our then-outstanding liabilities to such creditors. On April 8, 2010, we entered into an additional settlement agreement and mutual release (together with the Prior Settlement Agreements, the "Settlement Agreements") with a creditor, pursuant to which we issued 34,885 shares of common stock (together with the shares issued under the Prior Settlement Agreements, the "Settlement Shares") and paid \$8,721 in cash as payment in full for our then-outstanding liability to such creditor. The Settlement Shares were issued by the Company pursuant to Section 4(2) and /or Rule 506 of Regulation D promulgated under the Securities Act of 1933, as amended. Pursuant to the Settlement Agreements, we filed a

registration statement to register the Settlement Shares on June 9, 2010, which was declared effective by the SEC on June 25, 2010, and we agreed to use our best efforts to maintain such registration statement until all such Settlement Shares registered thereunder to such creditors have been sold or for a period of one year, whichever comes first.

In addition to the Settlement Agreements, we settled with several of our creditors during the second and third quarter of 2010, in an amount less than our then-outstanding liabilities to such creditors. These settlements resulted in recognition of \$85,000 and \$138,000 in other income for the three and nine month periods ended September 30, 2010, respectively, on the Condensed Consolidated Statement of Operations.

On February 12, 2010, we entered into an Equity Distribution Agreement (the “Equity Distribution Agreement”) with Ladenburg Thalmann & Co. Inc. (“Ladenburg”), pursuant to which we may issue and sell from time to time through Ladenburg, as sales agent and/or principal, shares of our common stock having an aggregate offering price of up to \$10 million (the “ATM Shares”). Ladenburg is not required to sell on our behalf any specific number or dollar amount of the ATM Shares, but Ladenburg, upon acceptance of written instructions from us, agreed to use its commercially reasonable efforts consistent with its customary trading and sales practices, to sell the ATM Shares up to the amount specified, and otherwise in accordance with the terms of a placement notice delivered to Ladenburg. We have no obligation to sell any ATM Shares under the Equity Distribution Agreement, and may at any time suspend sales under the Equity Distribution Agreement, provided that such suspension shall not affect either party’s obligations with respect to the ATM Shares sold prior to the receipt of notice of such suspension. Ladenburg receives a commission of 4% of the gross sales price of all ATM Shares sold through it under the Equity Distribution Agreement. The ATM Shares are issued pursuant to our shelf registration statement on Form S-3, as amended (File No. 333-163648). Between July 1, 2010 and September 30, 2010, we have sold an aggregate of 277,164 ATM Shares at a weighted average share price of \$1.51, for proceeds of approximately \$401,000, net of expenses. Cumulative through September 30, 2010, we have sold 2,448,572 ATM Shares at a weighted average share price of \$2.77, for proceeds of approximately \$6.4 million, net of expenses. Pursuant to General Instruction I.B.6. of Form S-3, we may not sell more than one-third of the aggregate market value of our common stock held by non-affiliates during a period of 12 calendar months immediately prior to, and including, the date of such sale of such common stock. Due to this limitation, we announced on August 3, 2010 that we have suspended this ATM offering of Company securities.

On November 1, 2010, we were notified by The Department of the Treasury that our application submitted requesting certification for qualified investment in a qualifying therapeutic discovery project under section 48D of the Internal Revenue Code was accepted. As a result, we have been awarded a grant in the amount of \$244,479. It is anticipated that proceeds from this grant will be received late in November 2010.

On November 8, 2010, we had a Type B meeting with the FDA. In that meeting the FDA recommended that we conduct a Phase 2B study in men with secondary hypogonadism but naïve to testosterone treatment before moving into Phase 3. The FDA opined further that such a Phase 2B study would provide for a more solid data base for design of Phase 3 studies and eventual approval of such studies under a Special Protocol Assessment (“SPA”). The FDA did note “the Division agrees in general with the outline of your program for the development of enclomiphene” (Androxal®).

600,000 UNITS, CONSISTING OF  
2,400,000 SHARES OF COMMON STOCK,  
SERIES A WARRANTS TO PURCHASE 1,800,000 SHARES OF COMMON STOCK AND  
SERIES B WARRANTS TO PURCHASE 1,470,000 SHARES OF COMMON STOCK

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PROSPECTUS

, 2011

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Ladenburg Thalmann & Co. Inc.

II-1

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## Part II

## INFORMATION NOT REQUIRED IN PROSPECTUS

## Item 13. Other Expenses of Issuance and Distribution

The following table lists the costs and expenses payable by the Company in connection with the sale of the common stock and warrants covered by this prospectus other than any sales commissions or discounts. All amounts shown are estimates except for the SEC registration fee, and all of the fees and expenses will be borne by the Company.

|   |            |
|---|------------|
| SEC registration fee                                | \$ 2,912   |
| Nasdaq listing fees                                 | 50,000     |
| FINRA fee   | 1,420      |
| Legal fees and expenses                             | 150,000    |
| Accounting fees and expenses                        | 50,000     |
| Printing, transfer agent and miscellaneous expenses | 15,000     |
| Total   | \$ 269,332 |

## Item 14. Indemnification of Directors and Officers

Section 145 of the Delaware General Corporation Law, or Delaware law, inter alia, empowers a Delaware corporation to indemnify any person who was or is a party or is threatened to be made a party to any threatened, pending or completed action, suit or proceeding (other than an action by or in the right of the corporation) by reason of the fact that such person is or was a director, officer, employee or agent of the corporation, or is or was serving at the request of the corporation as a director, officer, employee or agent of another corporation or other enterprise, against expenses (including attorneys' fees), judgments, fines and amounts paid in settlement actually and reasonably incurred by him in connection with such action, suit or proceeding if he acted in good faith and in a manner he reasonably believed to be in or not opposed to the best interests of the corporation, and, with respect to any criminal action or proceeding, had no reasonable cause to believe his conduct was unlawful. Similar indemnity is authorized for such persons against expenses (including attorneys' fees) actually and reasonably incurred in connection with the defense or settlement of any such threatened, pending or completed action or suit if such person acted in good faith and in a manner he reasonably believed to be in or not opposed to the best interests of the corporation, and provided further that (unless a court of competent jurisdiction otherwise provides) such person shall not have been adjudged liable to the corporation. Any such indemnification may be made only as authorized in each specific case upon a determination by the stockholders or disinterested directors or by independent legal counsel in a written opinion that indemnification is proper because the indemnitee has met the applicable standard of conduct.

Section 145 further authorizes a corporation to purchase and maintain insurance on behalf of any person who is or was a director, officer, employee or agent of the corporation, or is or was serving at the request of the corporation as a director, officer, employee or agent of another corporation or enterprise, against any liability asserted against him and incurred by him in any such capacity, or arising out of his status as such, whether or not the corporation would otherwise have the power to indemnify him under Section 145. We maintain policies insuring our officers and directors against certain liabilities for actions taken in such capacities, including liabilities under the Securities Act.

Our Restated Certificate of Incorporation and Restated Bylaws require us to indemnify our directors to the fullest extent permitted under Delaware law or any other applicable law in effect, but if such statute or law is amended, we may change the standard of indemnification only to the extent that such amended statute or law permits us to provide broader indemnification rights to our directors. We must indemnify such officers and employees in the same manner and to the same extent that we are required to indemnify our directors under our Restated Certificate of Incorporation

and Restated Bylaws. Our Restated Certificate of Incorporation limits the personal liability of a director to us or our stockholders to damages for breach of the director's fiduciary duty. Pursuant to indemnification agreements we entered into with each of our directors, we are further required to indemnify our directors to the fullest extent permitted under Delaware law and our Restated Bylaws; provided that each such director shall enjoy the greater of (i) the advancement and indemnification rights permitted under our Restated Certificate and Restated Bylaws for directors and officers as of the date of such indemnification agreement or (ii) the benefits so afforded by amendments thereto.

Item 15. Recent Sales of Unregistered Securities

Between November 30, 2009 and March 31, 2010, we entered into settlement agreements and mutual releases (the "Prior Settlement Agreements") with certain of our creditors, pursuant to which we issued an aggregate of 352,459 shares of common stock and paid an aggregate of \$140,572 in cash as payment in full for our then-outstanding liabilities to such creditors. On April 8, 2010, we entered into an additional settlement agreement and mutual release (together with the Prior Settlement Agreements, the "2010 Settlement Agreements") with a creditor, pursuant to which we issued 34,885 shares of common stock (together with the shares issued under the Prior Settlement Agreements, the "2010 Settlement Shares") and paid \$8,721 in cash as payment in full for our then-outstanding liability to such creditor. The 2010 Settlement Shares were issued by the Company pursuant to Section 4(2) and /or Rule 506 of Regulation D promulgated under the Securities Act of 1933, as amended. Pursuant to the 2010 Settlement Agreements, we filed a registration statement to register the 2010 Settlement Shares on June 9, 2010, which was declared effective by the SEC on June 25, 2010, and we agreed to use our best efforts to maintain such registration statement until all such 2010 Settlement Shares registered thereunder to such creditors have been sold or for a period of one year, whichever comes first.



On October 29, 2009, we entered into a Master Settlement Agreement and Releases (the “October Settlement Agreement”) with certain trade creditors, pursuant to which we issued 5,361,194 shares of our common stock, at \$1.10 per share, and paid approximately \$2.77 million in cash to such creditors as payment in full for our then-outstanding liabilities of approximately \$8.7 million and for the release of the claims held by and the dismissal of the litigation commenced by such creditors against the Company. Pursuant to the October Settlement Agreements, we filed a registration statement to register the such shares on December 4, 2010, which was declared effective by the SEC on January 7, 2010, and we agreed to use our best efforts to maintain such registration statement until all such shares registered thereunder to such creditors have been sold or for a period of one year, whichever comes first.

Item 16. Exhibits and Financial Statement Schedules

The following exhibits are filed as part of, or incorporated by reference into this registration statement:

| Exhibit Number | Identification Of Exhibit  |
|----------------|--|
| 1.1*           | Form of Underwriting Agreement   |
| 3.1(a)         | Restated Certificate of Incorporation. Exhibit 3.3 to the Company's Registration Statement on Form SB-2 (No. 33-57728-FW), as amended ("Registration Statement"), is incorporated herein by reference.   |
| 3.1(b)         | Certificate of Amendment to the Company's Restated Certificate of Incorporation, dated as of May 2, 2006. Exhibit 3.1 to the Company's Current Report on Form 8-K as filed with the Commission on May 2, 2006 is incorporated herein by reference.   |
| 3.1(c)         | Certificate of Designation of Series One Junior Participating Preferred Stock dated September 2, 1999. Exhibit A to Exhibit 4.1 to the Company's Registration Statement on Form 8-A as filed with the Commission on September 3, 1999 (the "Rights Plan Registration Statement"), is incorporated herein by reference. |
| 3.1(d)         | Certificate of Amendment to Restated Certificate of Incorporation, dated as of December 16, 2008. Exhibit 3.1(d) to the Company's Current Report on Form 8-K as filed with the Commission on December 23, 2008 is incorporated herein by reference.  |
| 3.1(e)         | Certificate of Amendment to Restated Certificate of Incorporation, dated as of November 18, 2009. Exhibit 3.1(e) to the Company's Current Report on Form 8-K dated November 19, 2009 is incorporated herein by reference.  |
| 3.1(f)         | Certificate of Amendment to Restated Certificate of Incorporation, dated October 14, 2010. Exhibit 3.1(f) to the Company's Current Report on Form 8-K dated October 14, 2010 is incorporated herein by reference.  |
| 3.2            | Restated Bylaws of the Company. Exhibit 3.4 to the Registration Statement is incorporated herein by reference.   |
| 4.1            | Specimen Certificate of Common Stock, \$.001 par value, of the Company. Exhibit 4.1 to the Registration Statement is incorporated herein by reference.   |
| 4.2            | Rights Agreement dated September 1, 1999 between the Company and Computershare Investor Services LLC (as successor in interest to Harris Trust & Savings Bank), as Rights Agent. Exhibit   |

- 4.1 to the Rights Plan Registration Statement is incorporated herein by reference.
- 4.3 First Amendment to Rights Agreement, dated as of September 6, 2002, between the Company, Harris Trust & Savings Bank and Computershare Investor Services LLC. Exhibit 4.3 to Amendment No. 1 to the Rights Plan Registration Statement on Form 8-A/A as filed with the Commission on September 11, 2002 is incorporated herein by reference.
- 4.4 Second Amendment to Rights Agreement, dated as of October 30, 2002, between the Company and Computershare Investor Services LLC. Exhibit 4.4 to Amendment No. 2 to the Rights Plan Registration Statement on Form 8-A/A as filed with the Commission on October 31, 2002 is incorporated herein by reference.
- 4.5 Third Amendment to Rights Agreement, dated as of June 30, 2005, between the Company and Computershare Trust Company, Inc. (as successor in interest to Computershare Investor Services, LLC). Exhibit 4.4 to the Company's Current Report on Form 8-K as filed with the Commission on June 30, 2005 is incorporated herein by reference.
- 4.6 Fourth Amendment to Rights Agreement, dated as of January 9, 2008, between the Company and Computershare Trust Company, Inc. (as successor in interest to Computershare Investor Services, LLC). Exhibit 4.5 to the Company's Current Report on Form 8-K as filed with the Commission on January 10, 2008 is incorporated herein by reference.

- 4.7 Fifth Amendment to Rights Agreement, dated as of October 10, 2008, between the Company and Computershare Trust Company, Inc. (as successor in interest to Computershare Investor Services, LLC). Exhibit 4.6 to the Company's Current Report on Form 8-K as filed with the Commission on January 10, 2008 is incorporated herein by reference.
- 4.8 Sixth Amendment to Rights Agreement, dated as of September 9, 2010, between the Company and Computershare Trust Company, Inc. (as successor in interest to Computershare Investor Services, LLC). Exhibit 4.7 to the Company's Current Report on Form 8-K as filed with the Commission on September 10, 2010 is incorporated herein by reference.
- 4.9 Form of Rights Certificate. Exhibit B to Exhibit 4.1 to the Rights Plan Registration Statement is incorporated herein by reference.
- 4.10\* Form of Series A Warrant Certificate
- 4.11\* Form of Series B Warrant Certificate
- 4.12\* Series A Warrant Agreement between the Company and Warrant Agent
- 4.13\* Series B Warrant Agreement between the Company and Warrant Agent
- 5.1\* Opinion of Winstead PC
- 10.1+ Amended and Restated 1993 Employee and Consultant Stock Option Plan. Exhibit 10.3 to the Registration Statement is incorporated herein by reference.
- 10.2+ First Amendment to the Repros Therapeutics Inc. Amended and Restated 1993 Stock Option Plan. Exhibit 10.22 to the Company's Annual Report on Form 10-K for the year ended December 31, 1999 is incorporated herein by reference.
- 10.3+ 1994 Employee and Consultant Stock Option Plan. Exhibit 4.2 to the Company's Registration Statement on Form S-8 (File No. 033-83406) as filed with the Commission on August 29, 1994 is incorporated herein by reference.
- 10.4+ 2000 Non-Employee Directors' Stock Option Plan. Appendix B to the Company's Definitive Proxy Statement filed on April 26, 2000 is incorporated herein by reference.
- 10.5+ First Amendment to the Repros Therapeutics Inc. 2000 Non-Employee Directors' Stock Option Plan. Exhibit 10.21 to the 2000 Form 10-K is incorporated herein by reference.
- 10.6+ Second Amendment to 2000 Non-Employee Directors' Stock Option Plan. Exhibit 10.6 to the Company's Annual Report on Form 10-K for the year ended December 31, 2002 (the "2002 Form 10-K") is incorporated herein by reference.
- 10.7+ Repros Therapeutics Inc. 2004 Stock Option Plan. Exhibit 10.17 to the Company's Registration Statement on Form S-1 (No. 333-119861), as amended, is incorporated herein by reference.
- 10.8+ Employment Agreement between the Company and Joseph S. Podolski. Exhibit 10.5 to the Registration Statement is incorporated herein by reference.

- 10.9+ First Amendment to Employment Agreement between the Company and Joseph S. Podolski. Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the fiscal quarter ended March 31, 2001 is incorporated herein by reference.
- 10.10+ Second Amendment to Employment Agreement between the Company and Joseph S. Podolski. Exhibit 10.17 to the 2002 Form 10-K is incorporated herein by reference.
- 10.11+ Third Amendment to Employment Agreement dated effective March 11, 2009, between the Company and Joseph S. Podolski. Exhibit 10.1 to the Company's Current Report on Form 8-K as filed with the Commission on March 17, 2009 is incorporated herein by reference.
- 10.12+ Fourth Amendment to Employment Agreement effective March 10, 2010 between the Company and Joseph S. Podolski. Exhibit 10.1 to the Company's Current Report on Form 8-K as filed with the Commission on March 11, 2010 is incorporated herein by reference.

- 10.13+ Consulting Agreement dated October 29, 2009 by and between the Company and Katherine Anderson. Exhibit 10.2 to the Company's Current Report on Form 8-K as filed with the Commission on November 3, 2009 is incorporated herein by reference.
- 10.14 Lease Agreement dated May 11, 2004 between the Company and Sealy Woodlands, L.P. Exhibit 10.14 to the Company's Annual Report on Form 10-K for the year ended December 31, 2004 is incorporated herein by reference.
- 10.15 Amendment to Lease Agreement between the Company and Sealy Woodlands, L.P., dated May 17, 2006. Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the fiscal quarter ended March 31, 2006 is incorporated herein by reference.
- 10.16 Second Amendment to Lease, effective as of July 1, 2010, between the Company and Columbia Texas 2408 Timberloch Industrial, L.P. Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the fiscal quarter ended September 30, 2010 is incorporated herein by reference.
- 10.17++ Letter Agreement dated July 15, 2002 between the Company, Schering Plough Ltd. and Schering-Plough Corporation. Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the fiscal quarter ended June 30, 2002 is incorporated herein by reference.
- 10.18++ PHS Patent License Agreement dated April 16, 1999 between the Company and certain agencies of the United States Public Health Service within the Department of Health and Human Services, with amendments. Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the fiscal quarter ended September 30, 2003 is incorporated herein by reference.
- 10.19 Waiver to PHS Patent License Agreement, as amended, dated March 8, 2007 between the Company and certain agencies of the United States Public Health Service within the Department of Health and Human Services. Exhibit 10.2 to the Company's Current Report on Form 8-K as filed with the Commission on March 19, 2007 is incorporated herein by reference.
- 10.20++ Sixth Amendment to PHS Patent License Agreement, as amended, dated July 7, 2009 between the Company and certain agencies of the United States Public Health Service within the Department of Health and Human Services. Exhibit 10.1 to the Company's Current Report on Form 8-K/A as filed with the Commission on December 22, 2009 is incorporated herein by reference.
- 10.21++ Seventh Amendment to PHS Patent License Agreement, as amended, dated October 28, 2009 between the Company and certain agencies of the United States Public Health Service within the Department of Health and Human Services. Exhibit 10.21 to the Company's Annual Report on Form 10-K as filed with the Commission on March 15, 2010 is incorporated herein by reference.
- 10.22 Master Settlement Agreement and Releases dated October 29, 2009 by and among the Company and its creditors signatory thereto. Exhibit 10.1 to the Company's Current Report on Form 8-K as filed with the Commission on November 3, 2009 is incorporated herein by reference.
- 10.23 Securities Purchase Agreement dated October 7, 2009, among the Company and the purchasers identified on the signature pages thereto. Exhibit 10.1 to the Company's Current Report on Form 8-K as filed with the Commission on October 14, 2009 is incorporated herein by reference.
- 10.24

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Securities Purchase Agreement between the Company and Enable Growth Partners LP dated September 8, 2009. Exhibit 10.1 to the Company's Current Report on Form 8-K as filed with the Commission on September 10, 2009 is incorporated herein by reference.

- 10.25 Form of Indemnification Agreement entered into between the Company and each of its directors. Exhibit 10.1 to the Company's Current Report on Form 8-K as filed with the Commission on May 20, 2009 is incorporated herein by reference.
- 10.26 Equity Distribution Agreement dated February 12, 2010 between the Company and Ladenburg Thalmann & Co. Inc. Exhibit 10.1 to the Company's Current Report on Form 8-K as filed with the Commission on February 19, 2010 is incorporated herein by reference.
- 23.1\* Consent of PricewaterhouseCoopers LLP
- 23.2\* Consent of Winstead PC (included in Exhibit 5.1)

24.1 Power of Attorney (incorporated by reference to Exhibit 24.1 to the Company's registration statement on Form S-1 filed on December 15, 2010)

\* Filed herewith.

+ Management contract or compensatory plan.

++ Portions of this exhibit have been omitted based on a request for confidential treatment pursuant to Rule 24b-2 of the Exchange Act. Such omitted portions have been filed separately with the Commission.

Item 17 Undertakings

(a) The undersigned registrant hereby undertakes:

(1) To file, during any period in which offers or sales are being made, a post-effective amendment to this registration statement:

(i) to include any prospectus required by Section 10(a)(3) of the Securities Act;

(ii) to reflect in the prospectus any facts or events arising after the effective date of the registration statement (or the most recent post-effective amendment thereof) which, individually or in the aggregate, represent a fundamental change in the information set forth in the registration statement. Notwithstanding the foregoing, any increase or decrease in volume of securities offered (if the total dollar value of securities offered would not exceed that which was registered) and any deviation from the low or high end of the estimated maximum offering range may be reflected in the form of a prospectus filed with the SEC pursuant to Rule 424(b) if, in the aggregate, the change in volume and price represents no more than a 20 percent change in the maximum aggregate offering price set forth in the "Calculation of Registration Fee" table in the effective registration statement;

(iii) to include any material information with respect to the plan of distribution not previously disclosed in the registration statement or any material change to such information in the registration statement.

(2) That, for the purpose of determining any liability under the Securities Act, each such post-effective amendment shall be deemed to be a new registration statement relating to the securities offered therein, and the offering of such securities at the time shall be deemed to be the initial bona fide offering thereof.

(3) To remove from registration by means of a post-effective amendment any of the securities being registered which remain unsold at the termination of the offering.

(4) That, for the purpose of determining liability under the Securities Act to any purchaser, each prospectus filed pursuant to Rule 424(b) as part of a registration statement relating to an offering, other than registration statements relying on 430B or other than prospectuses filed in reliance on Rule 430A shall be deemed to be part of and included in the registration statement as of the date it is first used after effectiveness. Provided, however, that no statement made in a registration statement or prospectus that is part of the registration statement or made in a document incorporated or deemed incorporated by reference into the registration statement or prospectus that is part of the registration statement will, as to a purchaser with a time of contract of sale prior to such first use, supersede or modify any statement that was made in the registration statement or prospectus that was part of the registration statement or made in any such document immediately prior to such date of first use.





(5) That, for the purpose of determining liability of the undersigned registrant under the Securities Act to any purchaser in the initial distribution of the securities, the undersigned registrant undertakes that in a primary offering of securities of the undersigned registrant pursuant to this registration statement, regardless of the underwriting method used to sell the securities to the purchaser, if the securities are offered or sold to such purchaser by means of any of the following communications, the undersigned registrant will be a seller to the purchaser and will be considered to offer or sell such securities to such purchaser:

(i) Any preliminary prospectus or prospectus of the undersigned registrant relating to the offering required to be filed pursuant to Rule 424 under the Securities Act;

(ii) Any free writing prospectus relating to the offering prepared by or on behalf of the undersigned registrant or used or referred to by the undersigned registrant;

(iii) The portion of any other free writing prospectus relating to the offering containing material information about the undersigned registrant or its securities provided by or on behalf of the undersigned registrant; and

(iv) Any other communication that is an offer in the offering made by the undersigned registrant to the purchaser.

(b) The undersigned registrant hereby undertakes to deliver or cause to be delivered with the prospectus, to each person to whom the prospectus is sent or given, the latest annual report to security holders that is incorporated by reference in the prospectus and furnished pursuant to and meeting the requirements of Rule 14a-3 or Rule 14c-3 under the Exchange Act; and, where interim financial information required to be presented by Article 3 of Regulation S-X are not set forth in the prospectus, to deliver, or cause to be delivered to each person to whom the prospectus is sent or given, the latest quarterly report that is specifically incorporated by reference in the prospectus to provide such interim financial information.

(c) The undersigned registrant hereby undertakes that:

(i) For purposes of determining any liability under the Securities Act of 1933, the information omitted from the form of prospectus filed as part of this registration statement in reliance upon Rule 430A and contained in a form of prospectus filed by the registrant pursuant to Rule 424(b)(1) or (4) or 497(h) under the Securities Act shall be deemed to be part of this registration statement as of the time it was declared effective.

(ii) For the purpose of determining any liability under the Securities Act of 1933, each post-effective amendment that contains a form of prospectus shall be deemed to be a new registration statement relating to the securities offered therein, and the offering of such securities at that time shall be deemed to be the initial bona fide offering thereof.

(d) Insofar as indemnification for liabilities arising under the Securities Act may be permitted to directors, officers, and controlling persons of the registrant pursuant to the foregoing provisions, or otherwise, the registrant has been advised that in the opinion of the SEC such indemnification is against public policy as expressed in the Securities Act and is, therefore, unenforceable. In the event that a claim for indemnification against such liabilities (other than the payment by the registrant of expenses incurred or paid by a director, officer, or controlling person of the registrant in the successful defense of any action, suit, or proceeding) is asserted by such director, officer, or controlling person connected with the securities being registered, the registrant will, unless in the opinion of its counsel the matter has been settled by controlling precedent, submit to a court of appropriate jurisdiction the question whether such indemnification by it is against public policy as expressed in the Securities Act and will be governed by the final adjudication of such issue.



SIGNATURES

Pursuant to the requirements of the Securities Act of 1933, the registrant has duly caused this registration statement to be signed on its behalf by the undersigned, thereunto duly authorized in The Woodlands, Montgomery County, State of Texas, on February 1, 2011.

REPROS THERAPEUTICS INC.

By: /s/ Joseph S. Podolski  
Joseph S. Podolski  
President and Chief Executive Officer

By: /s/ Katherine A. Anderson  
Katherine A. Anderson  
Chief Accounting Officer, Principal  
Financial Officer and Principal  
Accounting Officer

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

| Signatures   | Title   | Date                |
|--|---|---------------------|
| /s/ Joseph S. Podolski<br>Joseph S. Podolski       | President, Chief Executive Officer<br>and Director  | February 1,<br>2011 |
| /s/ Katherine A. Anderson<br>Katherine A. Anderson | Chief Accounting Officer, Principal<br>Financial<br>Officer and Principal Accounting<br>Officer | February 1,<br>2011 |
| *<br>Nola Masterson                                | Chairman of the Board   | February 1,<br>2011 |
| *<br>Daniel F. Cain                                | Director  | February 1,<br>2011 |
| *<br>Jean L. Fourcroy, M.D., Ph.D., M.P.H.         | Director  | February 1,<br>2011 |
| *<br>Jaye Thompson, Ph.D                           | Director  | February 1,<br>2011 |

By: /s/ Joseph S. Podolski

February 1,  
2011

Attorney-In-Fact

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EXHIBIT INDEX

The following exhibits are filed as part of, or incorporated by reference into this registration statement:

| Exhibit Number | Identification Of Exhibit  |
|----------------|--|
| 1.1*           | Form of Underwriting Agreement   |
| 3.1(a)         | Restated Certificate of Incorporation. Exhibit 3.3 to the Company's Registration Statement on Form SB-2 (No. 33-57728-FW), as amended ("Registration Statement"), is incorporated herein by reference.   |
| 3.1(b)         | Certificate of Amendment to the Company's Restated Certificate of Incorporation, dated as of May 2, 2006. Exhibit 3.1 to the Company's Current Report on Form 8-K as filed with the Commission on May 2, 2006 is incorporated herein by reference.   |
| 3.1(c)         | Certificate of Designation of Series One Junior Participating Preferred Stock dated September 2, 1999. Exhibit A to Exhibit 4.1 to the Company's Registration Statement on Form 8-A as filed with the Commission on September 3, 1999 (the "Rights Plan Registration Statement"), is incorporated herein by reference.                       |
| 3.1(d)         | Certificate of Amendment to Restated Certificate of Incorporation, dated as of December 16, 2008. Exhibit 3.1(d) to the Company's Current Report on Form 8-K as filed with the Commission on December 23, 2008 is incorporated herein by reference.  |
| 3.1(e)         | Certificate of Amendment to Restated Certificate of Incorporation, dated as of November 18, 2009. Exhibit 3.1(e) to the Company's Current Report on Form 8-K dated November 19, 2009 is incorporated herein by reference.  |
| 3.1(f)         | Certificate of Amendment to Restated Certificate of Incorporation, dated October 14, 2010. Exhibit 3.1(f) to the Company's Current Report on Form 8-K dated October 14, 2010 is incorporated herein by reference.  |
| 3.2            | Restated Bylaws of the Company. Exhibit 3.4 to the Registration Statement is incorporated herein by reference.   |
| 4.1            | Specimen Certificate of Common Stock, \$.001 par value, of the Company. Exhibit 4.1 to the Registration Statement is incorporated herein by reference.   |
| 4.2            | Rights Agreement dated September 1, 1999 between the Company and Computershare Investor Services LLC (as successor in interest to Harris Trust & Savings Bank), as Rights Agent. Exhibit 4.1 to the Rights Plan Registration Statement is incorporated herein by reference.  |
| 4.3            | First Amendment to Rights Agreement, dated as of September 6, 2002, between the Company, Harris Trust & Savings Bank and Computershare Investor Services LLC. Exhibit 4.3 to Amendment No. 1 to the Rights Plan Registration Statement on Form 8-A/A as filed with the Commission on September 11, 2002 is incorporated herein by reference. |
| 4.4            | Second Amendment to Rights Agreement, dated as of October 30, 2002, between the Company and Computershare Investor Services LLC. Exhibit 4.4 to Amendment No. 2 to the Rights Plan   |

Registration Statement on Form 8-A/A as filed with the Commission on October 31, 2002 is incorporated herein by reference.

- 4.5 Third Amendment to Rights Agreement, dated as of June 30, 2005, between the Company and Computershare Trust Company, Inc. (as successor in interest to Computershare Investor Services, LLC). Exhibit 4.4 to the Company's Current Report on Form 8-K as filed with the Commission on June 30, 2005 is incorporated herein by reference.
  - 4.6 Fourth Amendment to Rights Agreement, dated as of January 9, 2008, between the Company and Computershare Trust Company, Inc. (as successor in interest to Computershare Investor Services, LLC). Exhibit 4.5 to the Company's Current Report on Form 8-K as filed with the Commission on January 10, 2008 is incorporated herein by reference.
  - 4.7 Fifth Amendment to Rights Agreement, dated as of October 10, 2008, between the Company and Computershare Trust Company, Inc. (as successor in interest to Computershare Investor Services, LLC). Exhibit 4.6 to the Company's Current Report on Form 8-K as filed with the Commission on January 10, 2008 is incorporated herein by reference.
  - 4.8 Sixth Amendment to Rights Agreement, dated as of September 9, 2010, between the Company and Computershare Trust Company, Inc. (as successor in interest to Computershare Investor Services, LLC). Exhibit 4.7 to the Company's Current Report on Form 8-K as filed with the Commission on September 10, 2010 is incorporated herein by reference.
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- 4.9 Form of Rights Certificate. Exhibit B to Exhibit 4.1 to the Rights Plan Registration Statement is incorporated herein by reference.
- 4.10\* Form of Series A Warrant Certificate
- 4.11\* Form of Series B Warrant Certificate
- 4.12\* Series A Warrant Agreement between the Company and Warrant Agent
- 4.13\* Series B Warrant Agreement between the Company and Warrant Agent
- 5.1\* Opinion of Winstead PC
- 10.1+ Amended and Restated 1993 Employee and Consultant Stock Option Plan. Exhibit 10.3 to the Registration Statement is incorporated herein by reference.
- 10.2+ First Amendment to the Repros Therapeutics Inc. Amended and Restated 1993 Stock Option Plan. Exhibit 10.22 to the Company's Annual Report on Form 10-K for the year ended December 31, 1999 is incorporated herein by reference.
- 10.3+ 1994 Employee and Consultant Stock Option Plan. Exhibit 4.2 to the Company's Registration Statement on Form S-8 (File No. 033-83406) as filed with the Commission on August 29, 1994 is incorporated herein by reference.
- 10.4+ 2000 Non-Employee Directors' Stock Option Plan. Appendix B to the Company's Definitive Proxy Statement filed on April 26, 2000 is incorporated herein by reference.
- 10.5+ First Amendment to the Repros Therapeutics Inc. 2000 Non-Employee Directors' Stock Option Plan. Exhibit 10.21 to the 2000 Form 10-K is incorporated herein by reference.
- 10.6+ Second Amendment to 2000 Non-Employee Directors' Stock Option Plan. Exhibit 10.6 to the Company's Annual Report on Form 10-K for the year ended December 31, 2002 (the "2002 Form 10-K") is incorporated herein by reference.
- 10.7+ Repros Therapeutics Inc. 2004 Stock Option Plan. Exhibit 10.17 to the Company's Registration Statement on Form S-1 (No. 333-119861), as amended, is incorporated herein by reference.
- 10.8+ Employment Agreement between the Company and Joseph S. Podolski. Exhibit 10.5 to the Registration Statement is incorporated herein by reference.
- 10.9+ First Amendment to Employment Agreement between the Company and Joseph S. Podolski. Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the fiscal quarter ended March 31, 2001 is incorporated herein by reference.
- 10.10+ Second Amendment to Employment Agreement between the Company and Joseph S. Podolski. Exhibit 10.17 to the 2002 Form 10-K is incorporated herein by reference.
- 10.11+

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Third Amendment to Employment Agreement dated effective March 11, 2009, between the Company and Joseph S. Podolski. Exhibit 10.1 to the Company's Current Report on Form 8-K as filed with the Commission on March 17, 2009 is incorporated herein by reference.

- 10.12+ Fourth Amendment to Employment Agreement effective March 10, 2010 between the Company and Joseph S. Podolski. Exhibit 10.1 to the Company's Current Report on Form 8-K as filed with the Commission on March 11, 2010 is incorporated herein by reference.
- 10.13+ Consulting Agreement dated October 29, 2009 by and between the Company and Katherine Anderson. Exhibit 10.2 to the Company's Current Report on Form 8-K as filed with the Commission on November 3, 2009 is incorporated herein by reference.
- 10.14 Lease Agreement dated May 11, 2004 between the Company and Sealy Woodlands, L.P. Exhibit 10.14 to the Company's Annual Report on Form 10-K for the year ended December 31, 2004 is incorporated herein by reference.
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- 10.15 Amendment to Lease Agreement between the Company and Sealy Woodlands, L.P., dated May 17, 2006. Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the fiscal quarter ended March 31, 2006 is incorporated herein by reference.
- 10.16 Second Amendment to Lease, effective as of July 1, 2010, between the Company and Columbia Texas 2408 Timberloch Industrial, L.P. Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the fiscal quarter ended September 30, 2010 is incorporated herein by reference.
- 10.17++ Letter Agreement dated July 15, 2002 between the Company, Schering Plough Ltd. and Schering-Plough Corporation. Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the fiscal quarter ended June 30, 2002 is incorporated herein by reference.
- 10.18++ PHS Patent License Agreement dated April 16, 1999 between the Company and certain agencies of the United States Public Health Service within the Department of Health and Human Services, with amendments. Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the fiscal quarter ended September 30, 2003 is incorporated herein by reference.
- 10.19 Waiver to PHS Patent License Agreement, as amended, dated March 8, 2007 between the Company and certain agencies of the United States Public Health Service within the Department of Health and Human Services. Exhibit 10.2 to the Company's Current Report on Form 8-K as filed with the Commission on March 19, 2007 is incorporated herein by reference.
- 10.20++ Sixth Amendment to PHS Patent License Agreement, as amended, dated July 7, 2009 between the Company and certain agencies of the United States Public Health Service within the Department of Health and Human Services. Exhibit 10.1 to the Company's Current Report on Form 8-K/A as filed with the Commission on December 22, 2009 is incorporated herein by reference.
- 10.21++ Seventh Amendment to PHS Patent License Agreement, as amended, dated October 28, 2009 between the Company and certain agencies of the United States Public Health Service within the Department of Health and Human Services. Exhibit 10.21 to the Company's Annual Report on Form 10-K as filed with the Commission on March 15, 2010 is incorporated herein by reference.
- 10.22 Master Settlement Agreement and Releases dated October 29, 2009 by and among the Company and its creditors signatory thereto. Exhibit 10.1 to the Company's Current Report on Form 8-K as filed with the Commission on November 3, 2009 is incorporated herein by reference.
- 10.23 Securities Purchase Agreement dated October 7, 2009, among the Company and the purchasers identified on the signature pages thereto. Exhibit 10.1 to the Company's Current Report on Form 8-K as filed with the Commission on October 14, 2009 is incorporated herein by reference.
- 10.24 Securities Purchase Agreement between the Company and Enable Growth Partners LP dated September 8, 2009. Exhibit 10.1 to the Company's Current Report on Form 8-K as filed with the Commission on September 10, 2009 is incorporated herein by reference.
- 10.25 Form of Indemnification Agreement entered into between the Company and each of its directors. Exhibit 10.1 to the Company's Current Report on Form 8-K as filed with the Commission on May 20, 2009 is incorporated herein by reference.
- 10.26

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Equity Distribution Agreement dated February 12, 2010 between the Company and Ladenburg Thalmann & Co. Inc. Exhibit 10.1 to the Company's Current Report on Form 8-K as filed with the Commission on February 19, 2010 is incorporated herein by reference.

- 23.1\* Consent of PricewaterhouseCoopers LLP
- 23.2\* Consent of Winstead PC (included in Exhibit 5.1)
- 24.1 Power of Attorney (incorporated by reference to Exhibit 24.1 to the Company's registration statement on Form S-1 filed on December 15, 2010)

\* Filed herewith.

+ Management contract or compensatory plan.

++ Portions of this exhibit have been omitted based on a request for confidential treatment pursuant to Rule 24b-2 of the Exchange Act. Such omitted portions have been filed separately with the Commission.

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