

REPROS THERAPEUTICS INC.

Form 424B5

January 22, 2007

Table of Contents

The information contained in this preliminary prospectus supplement is not complete and may be changed. The registration statement filed with the Securities and Exchange Commission relating to these securities has been declared effective. This preliminary prospectus supplement and the accompanying prospectus are not an offer to sell these securities and are not soliciting an offer to buy these securities in any state where the offer or sale is not permitted.

**This filing is made pursuant to Rule 424(b)(5)
under the Securities Act of 1933, as amended, in connection
with Registration No. 333-137109**

**Subject to Completion, Dated January 22, 2007
2,500,000 Shares
\$ per share**

Common Stock

We are offering 2,500,000 shares of our common stock.

Our common stock is listed on the Nasdaq Global Market under the symbol RPRX. On January 19, 2007, the last reported sale price of our common stock on the Nasdaq Global Market was \$12.69 per share.

**Investing in our common stock involves risks. See Risk Factors beginning on
page S-5 of this prospectus supplement.**

	Per Share	Total
Price to the public	\$	\$
Underwriting discount	\$	\$
Proceeds, before expenses, to Repros Therapeutics Inc.	\$	\$

The underwriters may also purchase up to an additional 375,000 shares from us at the public offering price, less the underwriting discount, within 30 days from the date of this prospectus supplement to cover any over-allotments.

Neither the Securities and Exchange Commission nor any state securities commission has approved or disapproved of these securities or determined if this prospectus supplement or the accompanying prospectus is truthful or complete. Any representation to the contrary is a criminal offense.

The underwriters expect to deliver shares against payment in New York, New York on _____, 2007.

CIBC World Markets
Sole Book-Running Manager

Punk, Ziegel & Company
Co-Lead Manager

ThinkEquity Partners LLC

The date of this prospectus supplement (to the prospectus dated September 5, 2006) is _____, 2007

Table of Contents

Prospectus Supplement

<u>Prospectus supplement summary</u>	S-1
<u>Risk factors</u>	S-5
<u>Forward-looking statements</u>	S-20
<u>Use of proceeds</u>	S-21
<u>Price range of common stock</u>	S-21
<u>Dividend policy</u>	S-21
<u>Capitalization</u>	S-22
<u>Dilution</u>	S-23
<u>Business</u>	S-24
<u>Underwriting</u>	S-34
<u>Legal matters</u>	S-38
<u>Experts</u>	S-38
<u>Where you can find more information</u>	S-38
<u>Important information incorporated by reference</u>	S-39

Prospectus

Table of contents	1
About this prospectus	2
About Repros Therapeutics Inc.	2
Risk factors	4
Forward-looking information	4
Use of proceeds	5
Plan of distribution	5
Legal matters	7
Experts	7
Where you can find more information	7

We provide information to you about this offering of shares of our common stock in two separate documents that are bound together: (1) this prospectus supplement, which describes the specific details regarding this offering; and (2) the accompanying prospectus, which provides general information, some of which may not apply to this offering. Generally, when we refer to this prospectus, we are referring to both documents combined. If information in this prospectus supplement is inconsistent with the accompanying prospectus, you should rely on this prospectus supplement.

You should rely only on information contained in or incorporated by reference into this prospectus supplement and the accompanying prospectus. We have not, and the underwriters have not, authorized anyone to provide you with information that is different. We are offering to sell and seeking offers to buy shares of our common stock only in jurisdictions where offers and sales are permitted. The information contained in this prospectus supplement, the accompanying prospectus and the documents incorporated by reference herein and therein are accurate only as of their respective dates, regardless of the time of delivery of this prospectus supplement or of any sale of our common stock.

Proellex[™] and Androxal[™] are our trademarks. This prospectus supplement and the accompanying prospectus also contain trademarks, trade names and service marks of other companies, which are the property of their respective owners.

S-i

Table of Contents**Prospectus Supplement Summary**

This summary highlights selected information contained elsewhere or incorporated by reference in this prospectus supplement and the accompanying prospectus. This summary may not contain all the information that you should consider before investing in our common stock. You should read the entire prospectus supplement and the accompanying prospectus carefully, including Risk Factors contained in this prospectus supplement and the financial statements incorporated by reference in the accompanying prospectus, before making an investment decision. This prospectus supplement may add to, update or change information in the accompanying prospectus.

Repos Therapeutics Inc.

We are a development stage biopharmaceutical company focused on the development of new drugs to treat hormonal and reproductive system disorders. We are developing Proellex, a selective blocker of the progesterone receptor in women, for the treatment of uterine fibroids and endometriosis. We are also developing Androxal, which causes increased testosterone secretion from the testes, for the treatment of testosterone deficiency in men resulting from secondary hypogonadism.

We have recently conducted interim analyses of each of our three ongoing clinical trials to determine whether the number of patients enrolled in each trial is appropriate and to assess whether further clinical development of each product candidate, beyond completion of the current trials, is warranted. These interim analyses were conducted internally and were not audited by a third party. Upon completion of each of these trials, we will complete formal analyses of efficacy and safety data and hold discussions with the appropriate regulatory agencies about further development.

An interim analysis of our ongoing Phase 2 clinical trial of Proellex in uterine fibroid patients demonstrated statistically significant reductions in excessive menstrual bleeding and an improvement in quality of life versus placebo. Furthermore, after three months of treatment, no statistically significant change in endometrial thickness was observed. An interim analysis of our ongoing European endometriosis Phase 1/2 clinical trial of Proellex demonstrated that treatment with the highest dose of Proellex, 50mg, achieved statistically significant reduction in days of pain compared to treatment with Lupron, the current pharmaceutical standard of care for the treatment of endometriosis.

We have completed a Phase 1 clinical trial and an interim analysis from an ongoing non-pivotal Phase 3 trial of Androxal for the treatment of testosterone deficiency in men resulting from secondary hypogonadism. Both trials demonstrated statistically significant increases in testosterone levels versus placebo. In our current Phase 3 trial, at three months, Androxal restored testosterone levels to the normal range in over 80% of patients treated.

Our Research & Development Programs

Our product development pipeline is summarized in the table below:

Product Candidate (Indication)	Status	Next Expected Milestone(s)
Proellex <i>Uterine Fibroids</i>	Phase 2 (U.S.)	Full Phase 2 data (mid-2007) One year extension data (4Q2007) Initiate pivotal trials (YE2007)

<i>Endometriosis</i>	Phase 1/2 (Europe)	Full Phase 1/2 data (3Q2007) Initiate U.S. Phase 2 (mid-2007)
<i>Androxal</i> <i>Male Secondary Hypogonadism</i>	Non-pivotal Phase 3 (U.S.)	Full non-pivotal Phase 3 data (3Q2007) Initiate first pivotal Phase 3 (around YE2007)

Corporate Information

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. Our website address is www.reprosrx.com. The information contained in our website is not a part of this prospectus supplement or the accompanying prospectus.

S-1

Table of Contents

The Offering

Common stock offered by Repros	2,500,000 shares
Common stock to be outstanding after this offering	12,650,962 shares
Use of proceeds	We intend to use the net proceeds from this offering for preclinical studies, clinical trials and regulatory submissions of our product candidates, and for general corporate purposes.
Nasdaq Global Market symbol	RPRX

The number of shares of common stock to be outstanding immediately after this offering is based on the number of shares outstanding as of January 19, 2007, which was 10,150,962 shares, and does not include:

1,565,148 shares of common stock issuable upon the exercise of outstanding options at a weighted average exercise price of \$4.86 per share;

606,935 shares of common stock available for future issuance under our stock option plans; and

486,745 shares of common stock available for future issuance under our employee stock purchase plan.

Unless otherwise stated, the information contained in this prospectus supplement assumes no exercise by the underwriters of their over-allotment option.

Table of Contents

Summary Consolidated Financial Data
(in thousands, except per share amounts)

The following table sets forth our summary consolidated financial data. This data has been derived from our audited consolidated financial statements for the years ended December 31, 2003, 2004 and 2005, and our unaudited consolidated financial statements for the nine-month periods ended September 30, 2005 and 2006, and as of September 30, 2006, all of which are incorporated by reference into this prospectus supplement. You should read this information in conjunction with Management's Discussion and Analysis of Financial Condition and Results of Operations and our financial statements and the related notes, which are incorporated by reference into this prospectus supplement. The results of operations for interim periods are not necessarily indicative of operating results for the full year.

	Year Ended December 31,			Nine Months Ended		From
	2003	2004	2005	September 30,	2006	inception
				2005	2006	(August 20,
				(unaudited)		1987)
						through
						September 30,
						2006
						(unaudited)
Statement of Operations						
Data:						
Revenues and other income:						
Licensing fees	\$	\$	\$	\$	\$	\$ 28,755
Product royalties						627
Research and development grants	595	118	4	4		1,219
Interest income	318	104	630	456	486	14,242
Gain on disposal of fixed assets	102					102
Other income		35				35
Total revenues and other income	1,015	257	634	460	486	44,980
Expenses:						
Research and development	2,161	2,471	6,101	4,231	7,245	107,606
General and administrative	2,183	1,483	1,924	1,357	1,989	30,536
Interest expense and amortization of intangibles						388
Total expenses	4,344	3,954	8,025	5,588	9,234	138,530
Loss from continuing operations	(3,329)	(3,697)	(7,391)	(5,128)	(8,748)	(93,550)
Loss from discontinued operations						(1,828)

Gain on disposal						939
Net loss before cumulative effect of change in accounting principle	(3,329)	(3,697)	(7,391)	(5,128)	(8,748)	(94,439)
Cumulative effect of change in accounting principle						(8,454)
Net loss	\$ (3,329)	\$ (3,697)	\$ (7,391)	\$ (5,128)	\$ (8,748)	\$ (102,893)
Net loss per share basic and diluted	\$ (0.29)	\$ (0.72)	\$ (0.77)	\$ (0.54)	\$ (0.86)	
Shares used in calculating net loss per share, basic and diluted	11,487	5,117	9,647	9,501	10,145	

S-3

Table of Contents

	As of September 30, 2006	
	Actual	As Adjusted(1)
	(unaudited)	
Balance Sheet Data:		
Cash and cash equivalents	\$ 2,117	\$ 31,201
Marketable securities	7,750	7,750
Total assets	10,929	40,013
Total current liabilities	1,911	1,911
Total stockholders' equity	9,018	38,102

(1) A \$1.00 increase (decrease) in the assumed public offering price of \$12.69 per share would increase (decrease) the amounts representing cash and cash equivalents, total assets and total stockholders' equity by \$2.3 million.

The as adjusted balance sheet data as of September 30, 2006 gives effect to the receipt of net proceeds of \$29.1 million from the sale of 2,500,000 shares of common stock offered by this prospectus at an assumed public offering price of \$12.69 per share (the closing price of our common stock on January 19, 2007), after deducting the underwriters discount and estimated offering expenses payable by us.

As of December 31, 2006, our cash and cash equivalents and marketable securities were \$6.7 million.

Table of Contents

Risk Factors

You should carefully consider the risks described below before making an investment decision. You should also refer to the other information in this prospectus supplement and the accompanying prospectus, including our financial statements and the related notes incorporated by reference in the accompanying prospectus. The risks and uncertainties described below are not the only risks and uncertainties we face. Additional risks and uncertainties not presently known to us or that we currently deem immaterial also may impair our business operations. If any of the following risks actually occur, our business, results of operations and financial condition could suffer. In that event the trading price of our common stock could decline, and you may lose all or part of your investment in our common stock. The risks discussed below also include forward-looking statements and our actual results may differ substantially from those discussed in these forward-looking statements.

Risks Relating to Our Business

Our product candidates are at an early clinical stage of development, and if we are not able to successfully develop and commercialize them, we may not generate sufficient revenues to continue our business operations.

We currently have only two product candidates that are in clinical trials. Androxal is in a 194 patient non-pivotal Phase 3 safety trial in the United States for the treatment of men with testosterone deficiency, and Proellex is presently in a 128 patient Phase 2 trial in the United States for the treatment of uterine fibroids and in a 39 patient Phase 1/2 trial in Europe for the treatment of endometriosis. Based on our current interim data from the Phase 1/2 trial for Proellex for the treatment of endometriosis, we intend to submit a U.S. investigational new drug application, or IND, to the U.S. Food and Drug Administration, or FDA. We have expended significant time, money and effort in the development of Proellex and Androxal, and we will have to spend considerable additional time, money and effort before seeking regulatory approval to market these product candidates.

Our business depends primarily on our ability to successfully complete clinical trials, obtain required regulatory approvals and successfully commercialize our product candidates. If we fail to commercialize one or more of our product candidates, we may be unable to generate sufficient revenues to attain profitability or continue our business operations and our reputation in the industry and in the investment community could likely be significantly damaged, each of which would cause our stock price to decline.

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. Positive data from preclinical studies or early clinical trials should not be relied upon as evidence that those studies or trials will produce positive results, or that later or larger-scale clinical trials will succeed. Initial clinical trials for Proellex and Androxal have been conducted only in small 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 Proellex to treat uterine fibroids and endometriosis or Androxal 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. There is typically an

extremely high rate of attrition from the failure of drug candidates proceeding through clinical trials. We will also be required to complete a two-year rat carcinogenicity study before we are permitted to file a new drug application, or NDA, for Androxal and Proellex. If Proellex, Androxal, 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

S-5

Table of Contents

abandon, development of that product candidate. If we delay or abandon our development efforts related to Proellex or Androxal, we may not be able to generate sufficient revenues to continue operations or become profitable.

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

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 pivotal clinical trials for Proellex and Androxal. Our existing financial resources together with the expected proceeds from this offering are expected to be sufficient to fund our operations into 2008, depending on the timing and success of our clinical trials. Therefore we will need 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 candidates 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 costs 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.

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, 2006, we had an accumulated deficit of approximately \$102.9 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 Proellex and Androxal, we expect our operating losses to increase for at least the next few years. Our ability to achieve profitability will depend, among other things, on successfully completing the development of Proellex and Androxal, 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.

S-6

Table of Contents

Raising additional funds by issuing securities or through collaboration and licensing arrangements may cause dilution to existing 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 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 Proellex, Androxal 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.

We recently commenced our non-pivotal Phase 3 clinical trial for Androxal in the United States for the treatment of men with testosterone deficiency and our Phase 2 clinical trial for Proellex in the United States for the treatment of symptoms associated with uterine fibroids. We also recently commenced a Phase 1/2 clinical trial in Europe for the treatment of women suffering from endometriosis. While interim results are encouraging, the final results from these programs may be negative, may not meet expectations or may be perceived negatively. The design of these 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 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.

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. We recently commenced our non-pivotal Phase 3 clinical trial for Androxal in the United States for the treatment of men with testosterone deficiency and our Phase 2 clinical trial for Proellex in the United States for the treatment of symptoms associated with uterine fibroids. We also recently commenced a Phase 1/2 clinical trial for Proellex in Europe for the treatment of women suffering from endometriosis. We have limited experience conducting clinical trials for these product candidates. In part, because of this limited experience, 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.

S-7

Table of Contents

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;
- 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 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;

acceptability to the FDA of data obtained from clinical studies conducted in Europe or other non-United States jurisdictions; and

lack of adequate funding to continue clinical trials.

S-8

Table of Contents

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 Proellex and Androxal, 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 Proellex and Androxal 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 Proellex or Androxal, 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 Proellex or Androxal, 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.

The results of preclinical studies and completed clinical trials are not necessarily predictive of future results, and our current drug candidates may not have favorable results in later studies or trials.

Preclinical studies and Phase 1 and Phase 2 clinical trials are not primarily designed to test the efficacy of a drug candidate, but rather to test safety, to study pharmacokinetics and pharmacodynamics, and to understand the drug candidate's side effects at various doses and schedules. To date, long-term safety and efficacy have not yet been demonstrated in clinical trials for any of our product candidates. 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. For example, clinical results that we have obtained for Androxal may not predict results from studies in larger numbers of subjects drawn from more diverse populations treated for longer periods of time. They also may not predict the ability of Androxal to achieve or sustain the desired effects in the intended population or to do so safely. 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 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. Since we

have no commercial products, we have not had to face this issue yet; however, 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

Table of Contents

profitable basis. The passage of the Medicare Prescription Drug and Modernization Act of 2003 imposes new 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 our collaborators' sales and marketing strategies; and
- our ability to obtain sufficient third-party insurance coverage or reimbursement.

If Proellex does not provide a treatment regimen that is more beneficial than Lupron, a GnRH agonist and the current therapeutic standard of care for uterine fibroids, or otherwise provide patient benefit, it likely will not be accepted favorably by the market. Similarly, 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.

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 recently entered into a long-term supply contract with Gedeon Richter for the production of the active pharmaceutical ingredient, or API, for Proellex due to their extensive experience in the manufacture of similar compounds and the cost savings they offered compared to other qualified manufacturers. Pursuant to the terms of this long-term supply contract, we are required, with certain limited exceptions, to purchase all of our future requirements

of Proellex from this single supplier for a period of five years after the first sale of Proellex in the United States, to the extent that such supplier is able to satisfy our requirements. The contract may be terminated by either party for failure to remedy a default of any material provision of the contract. Should the contract be terminated for any reason, we would in all likelihood be required to obtain the API from an alternate manufacturer which may increase the costs associated with our clinical trials and result in delays to our clinical trial program for Proellex.

We have no long-term contract with suppliers of Androxal. 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

S-10

Table of Contents

necessary quantities of Androxal for our clinical trials and anticipate utilizing them for commercial production if Androxal is approved. There are numerous other suitable manufacturers capable of manufacturing Androxal.

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 Proellex, Androxal 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. We have arranged for the production of significantly larger quantities of Proellex, and we will need to arrange for the production of significantly larger quantities of Androxal, for future clinical trials and 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.

Proellex and Androxal 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 Proellex or Androxal. 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

S-11

Table of Contents

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 Proellex and Androxal, 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.

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 and universities, in certain areas that are particularly relevant to our research and product development plans, such as the conduct of clinical trials. Pharm-Olam International Ltd. conducted our previous clinical trial in Poland for Proellex for the treatment of uterine fibroids and has been engaged to conduct our clinical trials in the United States for Proellex for uterine fibroids and Androxal for the treatment of testosterone deficiency and our clinical trial in Europe for Proellex for endometriosis. 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.

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

Neither Proellex nor Androxal 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 with 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

S-12

Table of Contents

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.

The main therapeutic products competitive with Proellex for the treatment of uterine fibroids and endometriosis are GnRH agonists, including Lupron, which is marketed by TAP Pharmaceuticals. There are additional companies developing similar progesterone-blocking technology. Asoprisnil, an anti-progestin being developed by TAP Pharmaceuticals in partnership with Schering AG, is currently in Phase 3 clinical trials. TAP Pharmaceuticals is a much larger company than we are with greater resources and greater ability to promote their products than we currently have. 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.

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. Solvay 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.

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 eight full-time employees at the present time, including our President and CEO, Joseph S. Podolski, our Vice President, Business Development and CFO, Louis Ploth, Jr. and our Senior Vice President and Chief Medical Officer, Dr. Andre van As. We are highly dependent on Messrs. Podolski and Ploth and Dr. van As for the management of our company and the development of our technologies. Messrs. Podolski and Ploth and Dr. van As have employment agreements with us. There can be no assurance that Mr. Podolski, Mr. Ploth or Dr. van As will remain with us through development of our current product candidates. We do not maintain key person life insurance on any of our directors, officers or employees. The loss of the services of Mr. Podolski, Mr. Ploth or Dr. van As could delay or curtail our research and product development efforts.

Additionally, in order to commercialize our products successfully, we will be required to expand our workforce, particularly in the areas of clinical trials management, regulatory affairs, business development, sales and marketing and administrative and accounting functions. These activities will require the addition of new personnel and the development of additional expertise by management. We face intense competition for qualified individuals from numerous biopharmaceutical companies, as well as academic and other research institutions. We may hire up to five

employees over the next two years. To the extent we are not able to attract and retain employees on favorable terms; we may face delays in the development or commercialization of our product candidates and extensive costs in retaining current employees or searching for and training new employees.

S-13

Table of Contents

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.

Healthcare reform measures could adversely affect our business.

The business and financial condition of pharmaceutical companies are affected by the efforts of governmental and third-party payers to contain or reduce the costs of healthcare. In the United States and in foreign jurisdictions there have been, and we expect that there will continue to be, a number of legislative and regulatory proposals aimed at changing the healthcare system. For example, in some countries other than the United States, pricing of prescription drugs is subject to government control, and we expect proposals to implement similar controls in the United States to continue. The pendency or approval of such proposals could result in a decrease in our stock price or limit our ability to raise capital or to obtain strategic collaborations or licenses.

We may incur increased costs as a result of laws and regulations relating to corporate governance matters.

Laws and regulations affecting public companies, including the provisions of the Sarbanes-Oxley Act of 2002, or Sarbanes-Oxley, and rules adopted or proposed by the Securities and Exchange Commission, or SEC, and by NASDAQ, will result in increased costs to us as we evaluate the implications of any new rules and respond to their requirements. We are currently an accelerated filer and, as a result, are subject to additional regulatory requirements, including Section 404 of Sarbanes-Oxley which requires us to include in our annual report for the period ending December 31, 2006 a report by management on our internal control over financial reporting and an accompanying auditor's report. The additional costs and efforts to do so could be substantial. New rules could make it more difficult or more costly for us to obtain certain types of insurance, including director and officer liability insurance, and we may be forced to accept reduced policy limits and coverage or incur substantially higher costs to obtain the same or similar coverage. The impact of these events could also make it more difficult for us to attract and retain qualified persons to serve on our board of directors, our board committees or as executive officers. We cannot predict or estimate the amount of the additional costs we may incur or the timing of such costs to comply with such new rules and regulations.

Risks Relating to Our Intellectual Property

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 reasonable available to the

S-14

Table of Contents

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. As previously described, we recently entered into a supply agreement with Gedeon Richter, a non-U.S. based company, to manufacture the API for Proellex, for final packaging in the United States.

We are in the process of obtaining clarification with respect to the domestic production requirement from NIH or, if necessary, a waiver and acknowledgement of the current commercial development plan. If NIH does not grant the acknowledgement and either clarify, or grant us a waiver with respect to this domestic production requirement, we may be required to either engage another manufacturer to make the API for Proellex or risk being in breach of the license agreement. Should NIH terminate the license agreement, we would lose all rights to commercialize Proellex, which would harm our business. We also have five patent applications pending in the United States and one foreign PCT application that cover various formulations of Proellex and methods of using Proellex.

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 reexamination proceedings, which has since led the PTO to determine that the amended claims are patentable in view of those publications that were under consideration and a reexamination certificate was issued. However, we believe that the amended claims are invalid based on additional prior art publications now being considered by the PTO, and our request for reexamination by the PTO in light of a number of these additional publications has been granted. We also believe that the second of these two patents is invalid in view of published prior art not yet considered by the PTO. A request for reexamination of this patent is pending. Nevertheless, there is no assurance that either patent will ultimately be found invalid over the prior art. If such patents are not invalidated, we may be required to obtain a license from the holder of such patents in order to develop Androxal further. If such licenses were not available on acceptable terms or at all, we may not be able to successfully commercialize Androxal.

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 also 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.

S-15

Table of Contents

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 us 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.

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, Proellex and Androxal, 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 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 or enforceable;

any patents will provide meaningful protection to us;

S-16

Table of Contents

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

S-17

Table of Contents

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 Relating to our Securities

Our stock price will likely be volatile, and your investment in our stock could decline in value.

Our stock price has fluctuated historically. From January 1, 2005 to January 19, 2007, the market price of our stock was as low as \$2.79 per share and as high as \$13.30 per share.

Very few biotechnology drug candidates being tested will ultimately receive FDA approval, and a biotechnology company may experience a significant drop in its stock price based on a clinical trial result or regulatory action. Our stock price may fluctuate significantly, depending on a variety of factors, including:

- the success or failure of, or other results or decisions affecting, our clinical trials;
- the timing of the discovery of drug leads and the development of our drug candidates;
- the entrance into a new collaboration or the modification or termination of an existing collaboration;
- changes in our research and development budget or the research and development budgets of our existing or potential collaborators;
- the introduction of new drug discovery techniques or the introduction or withdrawal of drugs by others that target the same diseases and conditions that we or our collaborators target;
- regulatory actions;
- expenses related to, and the results of, litigation and other proceedings relating to intellectual property rights or other matters; and
- accounting changes, including the expense impact of SFAS No. 123R.

We are not able to control all of these factors. Period-to-period comparisons of our financial results are not necessarily indicative of our future performance. In addition, if our revenues or results of operations in a particular period do not meet stockholders' or analysts' expectations, our stock price may decline and such decline could be significant.

There are a substantial number of shares of our common stock eligible for future sale in the public market, and the sale of these shares could cause the market price of our common stock to fall.

There were 10,150,962 shares of our common stock outstanding as of January 19, 2007. In addition, as of January 19, 2007, there were options to purchase 1,565,148 shares of our common stock issued and outstanding under all of our stock option plans at a weighted average exercise price of \$4.38, 106,935 additional shares of common stock issuable under our 2004 Stock Option Plan, 500,000 shares of common stock reserved for issuance under our 2000 Non-Employee Director Stock Option Plan and 486,745 shares of common stock reserved for issuance under our 2000

Employee Stock Purchase Plan. A substantial number of the shares described above, when issued upon exercise, will be available for immediate resale in the public market. The market price of our common stock could decline as a result of such resales due to the increased number of shares available for sale in the market.

Any future equity or debt issuances by us may have dilutive or adverse effects on our existing stockholders.

We have financed our operations, and we expect to continue to finance our operations, primarily by issuing and selling our common stock or securities convertible into or exercisable for shares of our common stock. In light of our need for additional financing, we may issue additional shares of common stock or convertible

Table of Contents

securities that could dilute your ownership in our company and may include terms that give new investors rights that are superior to yours. Moreover, any issuances by us of equity securities may be at or below the prevailing market price of our common stock and in any event may have a dilutive impact on your ownership interest, which could cause the market price of our common stock to decline.

We may also raise additional funds through the incurrence of debt, and the holders of any debt we may issue would have rights superior to your rights in the event we are not successful and are forced to seek the protection of bankruptcy laws.

Our largest stockholders may take actions that are contrary to your interests, including selling their common stock.

A small number of our stockholders hold a significant amount of our outstanding common stock. These stockholders may support competing transactions and have interests that are different from yours. Sales of a large number of shares of our common stock by these large stockholders or other stockholders within a short period of time could adversely affect our stock price.

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, dated as of September 1, 1999, between us and Computershare Trust Company, Inc. (as successor in interest to Harris Trust & Savings Bank), as Rights Agent. 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 Certificate of Designations for the Series One Junior Participating Preferred Stock dated September 2, 1999, as well as other 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 stockholders meetings.

We may allocate the net proceeds from this offering in ways that you and other stockholders may not approve.

We intend to use the net proceeds from this offering for preclinical studies, clinical trials and regulatory submissions of our product candidates and for general corporate purposes. Our management will, however, have broad discretion in the application of the net proceeds from this offering and could spend the proceeds in ways that do not necessarily improve our operating results or enhance the value of our common stock.

Table of Contents

Forward-Looking Statements

Some of the statements contained (i) in this prospectus supplement and the accompanying prospectus or (ii) incorporated by reference into this prospectus supplement are forward-looking statements within the meaning of Section 27A of the Securities Act of 1933, as amended, and Section 21E of the Securities Exchange Act of 1934, as amended, 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 anticipated future capital requirements and the terms of any capital financing agreements;
- timing and amount of future contractual payments, product revenue and operating expenses;
- progress and results of clinical trials;
- anticipated regulatory filings, requirements and future clinical trials;
- protection of our intellectual property; and
- market acceptance of our products and the estimated potential size of these markets.

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 below carefully in addition to other information contained in this report before engaging in any transaction involving shares of our common stock. If any of these risks occur, they could 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.

The words believe, should, predict, future, may, will, estimate, continue, anticipate, intend, plan, 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 except as required by law 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.

Table of Contents**Use of Proceeds**

We expect to receive approximately \$29.1 million in net proceeds from the sale of the 2,500,000 shares of common stock offered by us in this offering (approximately \$33.5 million if the underwriters exercise their over-allotment option in full). Net proceeds is what we expect to receive after paying the underwriting discount and other expenses of this offering. For the purpose of estimating net proceeds, we are assuming that the public offering price will be \$12.69 per share (the closing price of our common stock on January 19, 2007). A \$1.00 increase (decrease) in the assumed public offering price of \$12.69 per share would increase (decrease) the net proceeds to us from this offering by \$2.3 million.

We intend to use the net proceeds from this offering for preclinical studies, clinical trials and regulatory submissions of our product candidates, and for general corporate purposes.

The timing and amount of our actual expenditures will be based on many factors, including the timing and success of our clinical trials, whether we partner any of our internal programs and whether we choose to curtail some of our research activities. Although we currently have no plans to acquire any complementary businesses, we may use these proceeds for that purpose in the future. As a result, we will retain broad discretion in determining how we will allocate the net proceeds from 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.

Price Range of Common Stock

Our common stock is quoted on The Nasdaq Global 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 Capital Market through August 20, 2006 and thereafter by The Nasdaq Global Market, during the periods presented.

	Price Range	
	High	Low
2005		
First Quarter	\$ 4.75	\$ 2.90
Second Quarter	3.93	2.79
Third Quarter	5.88	3.66
Fourth Quarter	5.96	4.43
2006		
First Quarter	\$ 10.35	\$ 4.50
Second Quarter	14.27	7.95
Third Quarter	8.88	7.26
Fourth Quarter	13.23	5.50
2007		
First Quarter (through January 19, 2007)	\$ 13.30	\$ 11.53

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 19, 2006, the last sale price of the common stock, as reported by the Nasdaq Global Market, was \$12.69 per share. On January 19, 2006, there were approximately 193 holders of record.

Dividend Policy

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.

S-21

Table of Contents**Capitalization**

The following table sets forth our capitalization as of September 30, 2006:

on an actual basis; and

on an as adjusted basis to reflect the sale of the 2,500,000 shares of common stock offered by us at an assumed public offering price of \$12.69 per share (the closing price of our common stock on January 19, 2007), less the underwriting discount and estimated offering expenses payable by us.

You should read the information in this table together with Management's Discussion and Analysis of Financial Condition and Results of Operations and our financial statements and the accompanying notes incorporated by reference from our Annual Report on Form 10-K for the year ended December 31, 2005 and our subsequent Quarterly Reports on Form 10-Q for the quarters ended March 31, June 30 and September 30, 2006.

	September 30, 2006	
	Actual	As Adjusted(1)
	(Unaudited)	
	(In thousands)	
Preferred stock, \$0.001 par value; 5,000,000 shares authorized; none issued and outstanding, actual and as adjusted	\$	\$
Common stock: \$0.001 par value; 20,000,000 shares authorized, 12,087,997 shares issued and 10,150,962 shares outstanding, actual; 20,000,000 shares authorized, 14,587,997 shares issued and 12,650,962 shares outstanding, as adjusted	12	15
Additional paid-in capital	117,847	146,928
Treasury stock	(5,948)	(5,948)
Accumulated deficit	(102,893)	(102,893)
Total stockholders' equity	9,018	38,102
Total capitalization	\$ 9,018	\$ 38,102

(1) A \$1.00 increase (decrease) in the assumed public offering price of \$12.69 per share would increase (decrease) each of additional paid-in capital, total stockholders' equity and total capitalization by \$2.3 million.

The number of shares in the table above excludes as of September 30, 2006:

1,532,148 shares of common stock issuable upon the exercise of outstanding options at a weighted average exercise price of \$4.51 per share;

752,935 shares of common stock available for future issuance under our stock option plans; and

436,745 shares of common stock available for future issuance under our employee stock purchase plan.

Table of Contents**Dilution**

Our unaudited net tangible book value as of September 30, 2006 was approximately \$8.3 million, or approximately \$0.82 per share of common stock. Net tangible book value per share represents total tangible assets less 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 share 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 2,500,000 shares of common stock in this offering at an assumed public offering price of \$12.69 per share (the closing price of our common stock on January 19, 2007) and after deduction of the underwriting discount and estimated offering expenses payable by us, our pro forma net tangible book value as of September 30, 2006 would have been approximately \$37.4 million, or \$2.95 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 offered by this prospectus supplement to the number of shares outstanding.

The following table illustrates the pro forma increase in net tangible book value of \$2.13 per share and the dilution (the difference between the offering price per share and net tangible book value per share) to new investors:

Assumed public offering price per share	\$ 12.69
Net tangible book value per share as of September 30, 2006	\$ 0.82
Increase per share attributable to new investors	2.13
Pro forma net tangible book value per share as of September 30, 2006, after giving effect to the offering	2.95
Dilution per share to new investors	\$ 9.74

A \$1.00 increase (decrease) in the assumed public offering price of \$12.69 per share would increase (decrease) our pro forma net tangible book value after giving effect to the offering by \$2.3 million, the pro forma net tangible book value per share after giving effect to the offering by approximately \$0.18 per share and the dilution per share to new investors in the offering by approximately \$0.82 per share.

The number of shares in the table above assumes no exercise of the underwriters' over-allotment option and excludes as of September 30, 2006:

- 1,532,148 shares of common stock issuable upon the exercise of outstanding options at a weighted average exercise price of \$4.51 per share;

- 752,935 shares of common stock available for future issuance under our stock option plans; and

436,745 shares of common stock available for future issuance under our employee stock purchase plan.

S-23

Table of Contents**Business**

We are a development stage biopharmaceutical company focused on the development of new drugs to treat hormonal and reproductive system disorders. We are developing Proellex, a selective blocker of the progesterone receptor in women, for the treatment of uterine fibroids and endometriosis. We are also developing Androxal, which causes increased testosterone secretion from the testes, for the treatment of testosterone deficiency in men resulting from secondary hypogonadism. We have recently conducted interim analyses of each of our three ongoing clinical trials to determine whether our calculation regarding the number of patients enrolled in each study is appropriate and to assess whether further clinical development of each product candidate, beyond completion of the current trials, is warranted. These interim analyses were conducted internally and were not audited by a third party. Upon completion of each of these trials, we will complete formal analyses of efficacy and safety data and hold discussions with the appropriate regulatory agencies about further development.

An interim analysis of our ongoing Phase 2 clinical trial of Proellex in uterine fibroid patients demonstrated statistically significant reductions in excessive menstrual bleeding and an improvement in quality of life scores versus placebo. Furthermore, after three months treatment, no statistically significant change in endometrial thickness was observed. An interim analysis of our ongoing European endometriosis Phase 1/2 clinical trial of Proellex demonstrated that treatment with the highest dose of Proellex, 50mg, achieved statistically significant reduction in days of pain compared to treatment with Lupron, the current pharmaceutical standard of care for the treatment of endometriosis.

We have completed a Phase 2 clinical trial and an interim analysis from an ongoing non-pivotal Phase 3 trial of Androxal for the treatment of testosterone deficiency in men resulting from secondary hypogonadism. Both trials demonstrated statistically significant increases in testosterone levels versus placebo, without suppression of luteinizing hormone, or LH. In our current Phase 3 trial, at three months, Androxal restored testosterone levels to the normal range in over 80% of patients treated.

Our product development pipeline is summarized in the table below:

Product Candidate (Indication)	Status	Next Expected Milestone(s)
Proellex <i>Uterine Fibroids</i>	Phase 2 (U.S.)	Full Phase 2 data (mid-2007) One year extension data (4Q2007) Initiate pivotal trials (YE2007)
<i>Endometriosis</i>	Phase 1/2 (Europe)	Full Phase 1/2 data (3Q2007) Initiate U.S. Phase 2 (mid-2007)
Androxal <i>Male Secondary Hypogonadism</i>	Non-pivotal Phase 3 (U.S.)	Full non-pivotal Phase 3 data (3Q2007) Initiate first pivotal Phase 3 (around YE2007)

Proellex

Our lead product candidate, Proellex, is an orally active small molecule which we are developing for two indications: the treatment of uterine fibroids and the treatment of endometriosis. The National Uterine Fibroid Foundation

estimates that as many as 80% of all women in the United States have uterine fibroids, and one in four of these women have symptoms severe enough to require treatment. According to The Endometriosis Association, endometriosis affects 5.5 million women in the United States and Canada and millions more worldwide.

The current standards of care for uterine fibroids and endometriosis include surgery and treatment with drugs. The most effective drugs on the market are gonadotropin releasing hormone agonists, or GnRH agonists, such as Lupron (leuprolide acetate). GnRH is a peptide hormone that plays an important role in the regulation of the human reproductive system. Chronic administration of GnRH agonists reduce the number of GnRH receptors and thereby block the action of GnRH and its activity in stimulating the pituitary to secrete follicle stimulating hormone and leuteinizing hormone.

S-24

Table of Contents

GnRH agonists induce a low estrogen, menopausal-like state in women. Because estrogen is necessary for the maintenance of bone mineral density, GnRH agonists tend to promote bone loss and are not recommended to be used for more than six months at a time. When women cease treatment with GnRH agonists, fibroids generally regenerate rapidly in the case of uterine fibroids, and symptoms associated with endometriosis generally reappear quickly in the case of endometriosis.

We believe Proellex may have advantages in treating uterine fibroids and endometriosis as compared to treatment with GnRH agonists. In our previous and current human clinical trials, and consistent with our preclinical studies, women treated with Proellex maintain baseline estrogen levels. Therefore, we believe Proellex treatment may not result in estrogen-mediated loss of bone mineral density. We believe Proellex may provide an attractive alternative to surgery because of its potential to treat these conditions in a long-term or chronic fashion, resolving the symptoms that most commonly lead to surgical treatment.

Proellex is a new chemical entity, which means that the compound will be required to undergo the full regulatory approval process. Among other requirements, this includes a two-year carcinogenicity study, which we began in mid-2006. We have also completed a nine-month primate study to evaluate the effects of Proellex on the endometrium. This study showed no significant toxicity at any dose, with the highest dose comparable to the highest dose in our human clinical trials.

All clinical trial results are subject to review by the U.S. Food and Drug Administration, or FDA, and the FDA may disagree with our conclusions about safety and efficacy. We caution that the results discussed herein are based on interim data from non-pivotal trials and that final Phase 2 and 3 data may not agree with these interim results.

Uterine Fibroids

Current Phase 2 Trial. We have completed enrollment of 128 patients in a randomized, double-blind, placebo-controlled U.S. Phase 2 clinical trial of Proellex. We are enrolling patients that complete the blinded portion of this trial in a 12-month open label extension to gather additional safety data. This trial is designed to assess both improvement of symptoms associated with uterine fibroids as well as effects on the fibroid itself. The three-arm trial compares two doses of Proellex, 12.5mg and 25mg, to placebo over a 12-week period. The primary endpoint is reduction in excessive menstrual bleeding, a common symptom of uterine fibroids. This endpoint was assessed using a visual analog scale known as the Pictorial Blood Loss Assessment Chart, also known as PBAC. Further, pain associated with fibroids was assessed using a well validated tool, the McGill pain score, and various other symptoms associated with fibroids were assessed using the validated Uterine Fibroid Symptom and Quality of Life, or UFS-QOL, questionnaire.

We recently completed an interim analysis of data from this trial. At the time of analysis, 63 patients had completed the 12-week trial and had completed analyses for their trial parameters. The data indicate that the women on Proellex in this trial experienced a dramatic reduction in PBAC from mean scores of over 100 to scores less than 10, where higher scores indicate greater pain. The mean scores after three months of dosing for the 25mg and 12.5mg dose of Proellex were 6.9 and 12.6, respectively. Women on placebo, on the other hand, exhibited a score of 91 after three months of treatment. The scores above represent a percentage reduction in PBAC scores for placebo, the 12.5mg arm of Proellex and the 25mg arm of Proellex of 30.7%, 92.2% and 94.7%, respectively. The 12.5mg and 25mg doses were statistically superior to placebo.

Table of Contents

Pictorial Blood Loss Assessment Baseline versus Month 3

Women treated with 12.5mg and 25mg of Proellex for three months also experienced a reduction in UFS-QOL measures from an average baseline of 16 to scores of 5.3 and 5.15, respectively. UFS-QOL scores for women in the placebo arm decreased from an average baseline of 16 to 12.6 after three months. The 12.5mg and 25mg doses were statistically significant as compared to placebo.

Women on Proellex experienced on average a reduction of 33.6 and 33.2 in McGill pain scores for the 25mg and 12.5mg dose respectively. Women on placebo experienced an average reduction of 8.4 over the same three-month period. The 12.5mg and 25mg doses were statistically superior to placebo.

After three months on treatment, no statistically significant changes in endometrial thickness were detected among 100 women who underwent ultrasound measurements of endometrial thickness at various time points. This trial uses an endometrial thickness cut-off of 14mm after three months of dosing to determine whether or not a woman is allowed to proceed into an ongoing open label trial. At this time 16 patients have had endometrial thicknesses greater than 14mm. Seven of the patients were on placebo, six were on the 12.5mg dose and three were on the 25mg dose. Importantly, to date, of the women who have had endometrial biopsies and have been on active drug there has been no evidence of endometrial hyperplasia with atypia, a potential precursor for endometrial cancer. We did not undertake a statistical analysis of safety data in our interim assessment for this trial. In our previous clinical trial of Proellex for the treatment of uterine fibroids, none of the patients in the Proellex dose arms or placebo arms of such trial exhibited any statistically significant changes in biomarkers for bone resorption while patients on Lupron exhibited statistically significant increases in biomarkers of bone resorption. The most frequent adverse event observed in our current trial was amenorrhoea, or lack of menstrual bleeding, which is expected based on our previous clinical data for Proellex as well as its mechanism of action.

We have complied with an FDA request by forming an outside safety review board. The board reviews unblinded safety data from the trial and has the ability to halt the trial at any time if it determines that the data indicates that Proellex is not safe. To date, the board has taken no action based on its ongoing review of our safety data other than to report the patient described below with elevated liver enzymes as a serious adverse event. We have had two patients in this trial exhibit serious adverse events, one of which was on placebo. The other patient, who was in the 25mg arm of our trial, exhibited elevated liver enzymes. After review of the patient by a physician, it was determined that the liver enzymes were not seriously elevated.

Development Plan. We intend to discuss our pivotal clinical program with the FDA in mid-2007. We currently anticipate that the pivotal program will include two additional placebo-controlled clinical trials to demonstrate efficacy, which we expect to begin around year-end 2007. As with our current trial, the primary endpoint will be reduction in PBAC versus placebo. PBAC is a validated and published questionnaire designed to measure menorrhagia, or blood loss related to excessive menstrual bleeding. Pursuant to FDA requirements, we are currently validating the PBAC endpoint for Proellex for uterine fibroids. We anticipate completing this validation prior to commencing a Phase 3 clinical trial. Consistent with current regulatory policies, we will have to complete a number of additional safety-focused clinical trials and preclinical studies, including the

Table of Contents

effect of Proellex on the QT interval, a measure of the heart rate designed to provide information about potential arrhythmia. We also expect to begin a larger open-label safety trial in late 2007. We believe the earliest we can submit a New Drug Application, or NDA, for this indication would be in the fourth quarter of 2008.

Endometriosis

Current Phase 1/2 Trial. We are currently conducting a six-month Phase 1/2 clinical trial of Proellex in 39 endometriosis patients in a European trial being conducted in Bulgaria. The primary objective of this trial is to assess the safety of Proellex in endometriosis patients. We consider this trial to be a pilot trial with efficacy being a secondary objective, and we designed the trial to determine whether we would commence development of Proellex for endometriosis in the United States. We completed enrollment in October 2006. This trial compares three doses of double-blinded Proellex against open label Lupron for up to six months of treatment. Proellex was administered in a double-blind manner as a daily oral dose of 12.5mg, 25mg or 50mg capsules. Patients in the trial maintained daily pain diaries to record severity and frequency of pain as well as filling out an endometriosis symptom survey at each office visit that included a questionnaire that evaluated, among other related elements, distress associated with pain on a scale of 0-10 with 10 being the greatest amount of distress. Although this trial was primarily designed to assess safety, we evaluated patient responses to multiple exploratory pain-related endpoints.

As of December 2006, 34 women of the 39 enrolled had completed three months of dosing. We conducted an interim analysis of data from these women. These data demonstrate that treatment with the highest dosage of Proellex, 50mg, achieved statistically significant pain reduction compared to treatment with Lupron, the current pharmaceutical standard of care for the treatment of endometriosis. On average, women treated with 50mg Proellex reported 85.5 pain free days during three months of treatment which amounts to 95% of the study days, compared to 61 pain free days, or 67.8% of study days, reported by patients on Lupron, a statistically significant difference ($p=0.02$). Patients treated with 25mg and 12.5mg Proellex exhibited a dose-dependent reduction in pain that did not reach statistical significance compared to Lupron (71.9% and 49.4% of study days pain free, respectively). On days when pain was reported, the 50mg dose of Proellex also exhibited a statistically significant improvement in pain severity over Lupron ($p=0.02$) as well as over the 25mg and 12.5mg doses of Proellex.

Women treated with 50mg Proellex also reported a significant reduction in pain-associated distress after three months with only one woman reporting mild distress (scored at 1). Average distress score for the 50mg group was 0.125. Compared to baseline (average 50mg group baseline distress score was 6.8 out of 10 possible), the 50mg dose of Proellex exhibited a highly statistically significant reduction in distress score ($p < 0.001$). Women treated with Lupron also reported a significant, but less robust, reduction in pain-associated distress (average score equal to 1.4 compared to average baseline score of 5.8). In this trial, we evaluated patient responses to a non-validated questionnaire that contained eight questions relating to the number of days with pain, severity, location and type of pain and distress. Overall, our data indicate a favorable treatment effect for Proellex. For example, with respect to one of the questions, women in the 50mg arm reported a 98% reduction in days of pain compared to baseline ($p=0.009$) whereas women in the Lupron arm reported a 76% reduction in recollected days of pain compared to baseline (change not significant).

The 12.5mg and 25mg doses of Proellex exhibited a dose-dependent reduction in distress as measured by pain scores, but the reductions did not achieve statistical significance (3.2 compared to 6.7 baseline for 25mg and 3.8 compared to 4.8 baseline for 12.5mg).

On average, the women in this treatment group receiving Lupron in the trial experienced a reduction of estrogen to post-menopausal levels. Consistent with other clinical trials of Lupron, women in this treatment group also experienced a statistically significant increase in biomarkers of bone resorption and therefore an increased risk of bone loss over time. Significantly, all doses of Proellex maintained estrogen concentrations in the low normal range. Furthermore, there were no significant changes in biomarkers of bone resorption in any of the dose arms of Proellex.

Table of Contents

The data also suggest an inverse dose dependent effect on endometrial thickness as measured by ultrasound at baseline and three months. After three months on treatment, women receiving 50mg Proellex achieved a non-significant reduction in the thickness of the endometrium compared to baseline, whereas women receiving 12.5mg and 25mg Proellex experienced a non-significant thickening of the endometrium. Importantly, no women in the trial showed evidence of endometrial hyperplasia with atypia, a potential precursor for endometrial cancer.

In this clinical trial to date, side effects of Proellex were generally mild with no apparent drug-related toxicity to the liver. In two cases where non-menstrual spotting and bleeding was observed in patients with excessive endometrial thickening, a dilation and curettage procedure was administered to stop the bleeding. These cases occurred only at the lower doses of Proellex. A similar event has not been seen at the 50mg dose even though two of the patients have completed the 6-month trial. We are enrolling patients that complete the randomized portion of this trial into a 12-month open label extension to gather additional safety data.

Development Plan. We intend to submit a separate IND with the FDA for Proellex for the treatment of endometriosis in the second quarter of 2007. With a favorable review of this IND by the FDA, we plan to initiate a Phase 2 clinical trial of Proellex for the treatment of endometriosis around mid-year 2007. We expect that this trial will compare 25mg and 50mg of Proellex to placebo. The primary endpoint of this trial will be a clinically validated measure of dysmenorrhea, or pelvic pain.

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 results obtained in early stage clinical trials may be reversed by the results of later stage clinical trials with significantly larger and more diverse patient populations treated for longer periods of time.

Androxal

Our second product candidate, Androxal (the *trans* isomer of clomiphene), is designed to restore normal testosterone production in males with functional testes yet diminished pituitary function, a common condition in the aging male. We believe Androxal may have advantages over current therapies because it is being designed as an oral therapy that acts centrally to restore normal testosterone function in the body, as compared to currently approved products that simply replace diminished testosterone either through injections, nasal spray or the application of a gel or cream containing testosterone over a large percentage of body area. The administration of replacement testosterone has been linked to numerous potential adverse effects, including shrinkage of the testes. We believe that Androxal may not cause these adverse effects to the extent that such other replacement therapies do. We will require additional clinical trials with more patients and for a longer duration.

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. According to industry sources, approximately 13 million men in the United States experience testosterone deficiency. The leading therapy is Androgel, a commercially available testosterone replacement cream marketed by Solvay Pharmaceuticals for the treatment of low testosterone, with reported sales of approximately \$282 million in 2005 in North America.

Based on our own screening data, we believe over 70% of men that have low testosterone suffer from secondary hypogonadism, caused by failure of the pituitary to provide appropriate hormone signaling to the testes, which we believe causes testosterone levels to drop to the point where pituitary secretions fall under the influence of estrogen. In this state, we also believe that estrogen further suppresses the testicular stimulation from the pituitary. These men are readily distinguished from those that have primary testicular failure via assessment of the levels of secretions of pituitary hormones (i.e., men with primary testicular failure experience elevated secretions of pituitary hormones).

The 194 patients enrolled were determined to have secondary hypogonadism, which is caused by the pituitary deficiency described above. Secondary hypogonadism is not relegated only to older men although the condition becomes more prevalent as men age.

S-28

Table of Contents

Androxal is being considered as a new chemical entity by the FDA which means that the compound will be required to undergo the full regulatory approval process. Among other requirements, this includes a two-year carcinogenicity study, which we began in September 2006. Although Androxal is considered a new chemical entity for purposes of requirements for approval, it is closely related chemically to the drug, Clomid, which is approved for use in women to treat certain infertility disorders. 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 accelerated half-life in the human body as compared to Clomid. In our preclinical studies and our current clinical trial to date, we have observed no evidence of any of these events except for certain adverse ophthalmologic events in our preclinical study at doses significantly higher than those administered in the clinical trials.

We have had previous discussions with the FDA regarding a special protocol assessment, or SPA, for our registrational program for Androxal. When we complete our current Phase 3 trial and validate our clinical endpoint, we intend to review the data with the FDA and continue the SPA process.

Current Non-Pivotal Phase 3 Trial

We are currently conducting a 24-week 194 patient U.S. Phase 3 clinical trial of Androxal in men with testosterone deficiency resulting from secondary hypogonadism. We consider this trial to be non-pivotal for U.S. approval. However, it may serve as one of two pivotal efficacy trials for approval in the European Union. We are enrolling patients that have completed the 24-week trial into a 12-month open label extension to gather additional safety data. Interim data from this trial suggest that, at this time in the trial, treatment with Androxal results in a statistically significant increase in mean testosterone. Further, Androxal demonstrates non-inferiority in all parameters measured, in all the primary endpoints of the trial, compared to Androgel.

This double-blind trial compares two doses of Androxal, 12.5mg and 25mg, to both placebo and open-label Androgel, which was dosed according to physician instructions (including use of higher doses where indicated). Testosterone levels as well as subjective measures of libido and distress were assessed in trial participants. Distress was assessed using an unvalidated measure. The primary endpoint of this trial is non-inferiority of Androxal in comparison to Androgel. To date, 112 patients have completed 12 weeks of treatment and have had analyses completed for their trial parameters.

In this interim analysis, men treated with 12.5mg of Androxal experienced an increase in mean testosterone of 210ng/dL over baseline; those treated with 25mg of Androxal experienced an increase of 241ng/dL over baseline; and those treated with open-label Androgel, administered at any dose, experienced an increase of 167ng/dL over baseline. As expected, men receiving placebo experienced no statistically significant change in mean testosterone. After three months, the percentage of men with a morning testosterone level above 300ng/dL and below 1,040ng/dL (the range of testosterone levels in the blood generally considered normal) for placebo, Androgel, the 12.5mg arm of Androxal and the 25mg arm of Androxal were 26.7%, 58.6%, 81.5% and 80.8%, respectively. The changes versus baseline for the 12.5mg and 25mg arms were statistically significant.

Although neither men treated with Androxal nor those treated with Androgel reported a significant increase in libido as determined using the DeRogatis Interview for Sexual Functioning, also known as the DISF-SR scale, at this interim analysis, both doses of Androxal performed comparably to Androgel. Likewise, although no statistically significant differences in distress, as measured by the Male Sexual Dysfunction Survey, or MSDS scale, were recorded for men receiving Androxal or Androgel, both doses of Androxal performed in similar fashion to Androgel. Numerically, the 25mg dose of Androxal produced the greatest reduction in distress followed by the 12.5mg dose. Both the DISF-SR, a validated libido questionnaire, and the MSDS, a questionnaire focusing on distress associated with low testosterone,

have been developed by Dr. Leonard DeRogatis, Ph.D., Director of the Center for Sexual Medicine at Sheppard Pratt, a private non-profit provider of behavioral health services based in Maryland.

S-29

Table of Contents

Although safety data for emergent side effects has not been completed during our interim analysis, we have found no adverse events of concern in the trial. There was one reported serious adverse event reported during the trial for one patient on placebo, but we have no additional information relating to this event.

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 interim data and that final data may not agree with these interim results.

Development Plan

Unlike testosterone replacement therapies in which efficacy can be shown through mere elevation of testosterone levels back to normal ranges, the FDA has noted that Androxal must demonstrate a benefit over placebo on a relevant clinical endpoint. We intend to comply with the FDA's request, develop a validated clinical test and revise our proposed Phase 3 pivotal efficacy protocol to incorporate the FDA's other suggestions. We anticipate that this trial will begin around the end of 2007, subject to available funding and timely and successful completion of our initial Phase 3 trial. Consistent with guidance for approval of new chemical entities, we believe that we will be required to study Androxal's affect on the QT interval.

Other Products

Our phentolamine-based products are currently on partial clinical hold in the United States. We have no current plans to expend any significant funds for the development of these products. However, we will be seeking strategic partners to either out-license or sell these products.

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 three issued U.S. patents, which expire in 2017, and a foreign filing made by the NIH regarding Proellex. We also have five provisional patent applications and two non-provisional patent applications pending in the United States and one foreign PCT application that cover various formulations of Proellex and methods for using Proellex.

Our Androxal product candidate and its uses are covered in the United States by four pending patent applications, one of which has recently been allowed. Foreign coverage of our Androxal product candidate includes two issued foreign patents and 21 foreign pending patent applications. The issued patents and pending applications relate to methods and compositions for treating certain conditions including the treatment of testosterone deficiency in 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 reexamination proceedings, which has since led the PTO to determine that the amended claims are patentable in view of those publications under consideration and a reexamination certificate was issued. However, we believe that the amended claims are invalid based on additional prior art publications not yet considered by the PTO, and our request for reexamination by the PTO in light of a number of these additional publications has been granted. We also believe that the second of these two patents is invalid in view of published prior art not considered by the PTO. A request for reexamination of this patent is pending. Nevertheless, there is no

assurance that either patent will ultimately be found invalid over the prior art. If such patents are not invalidated, we may be required to obtain a license from the holder of such patents in order to develop Androxal further. If such licenses were not available on acceptable terms or at all, we may not be able to successfully commercialize Androxal.

S-30

Table of Contents

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.

Governmental 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.

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.

S-31

Table of Contents

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. Since we have no commercial products, we have not had to face this issue yet. However, 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.

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 new 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

S-32

Table of Contents

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.

Recent Events

On December 16, 2006, we hired Dr. Andre van As, M.D., Ph.D., to serve as our Chief Medical Officer and Senior Vice President of Clinical and Regulatory Affairs. Dr. van As has extensive experience in drug development and regulatory approvals, including as Executive Director of the Novartis team responsible for gaining regulatory approval of Xolair, the first monoclonal antibody for the management of severe asthma.

Table of Contents**Underwriting**

We have entered into an underwriting agreement with the underwriters named below. CIBC World Markets Corp. is acting as representative of the underwriters.

The underwriting agreement provides for the purchase of a specific number of shares of common stock by each of the underwriters. The underwriters' obligations are several, which means that each underwriter is required to purchase a specified number of shares, but is not responsible for the commitment of any other underwriter to purchase shares. Subject to the terms and conditions of the underwriting agreement, each underwriter has severally agreed to purchase the number of shares of common stock set forth opposite its name below:

Underwriter	Number of Shares
CIBC World Markets Corp. Punk, Ziegel & Company, L.P. ThinkEquity Partners LLC	
Total	2,500,000

The underwriters have agreed to purchase all of the shares offered by this prospectus supplement (other than those covered by the over-allotment option described below) if any are purchased. Under the underwriting agreement, if an underwriter defaults in its commitment to purchase shares, the commitments of non-defaulting underwriters may be increased or the underwriting agreement may be terminated, depending on the circumstances.

The shares should be ready for delivery on or about _____, 2007 against payment in immediately available funds. The underwriters are offering the shares subject to various conditions and may reject all or part of any order. The representative had advised us that the underwriters propose to offer the shares directly to the public at the public offering price that appears on the cover page of this prospectus supplement. In addition, the representative may offer some of the shares to other securities dealers at such price less a concession of \$ _____ per share. The underwriters may also allow, and such dealers may reallow, a concession not in excess of \$ _____ per share to other dealers. After the shares are released for sale to the public, the representative may change the offering price and other selling terms at various times.

We have granted the underwriters an over-allotment option. This option, which is exercisable for up to 30 days after the date of this prospectus supplement, permits the underwriters to purchase a maximum of 375,000 additional shares from us to cover over-allotments. If the underwriters exercise all or part of this option, they will purchase shares covered by the option at the public offering price that appears on the cover page of this prospectus supplement, less the underwriting discount. If this option is exercised in full, the total price to public will be \$ _____ and the total proceeds to us will be \$ _____. The underwriters have severally agreed that, to the extent the over-allotment option is exercised, they will each purchase a number of additional shares proportionate to the underwriter's initial amount reflected in the foregoing table.

The following table provides information regarding the amount of the discount to be paid to the underwriters by us:

	Per Share	Total Without Exercise of Over-Allotment Option	Total with Full Exercise of Over-Allotment Option
Repros Therapeutics Inc.	\$	\$	\$

We estimate that our total expenses of this offering, excluding the underwriting discount, will be approximately \$580,000.

S-34

Table of Contents

We have agreed to indemnify the underwriters against certain liabilities, including liabilities under the Securities Act of 1933.

We, our officers and directors have agreed to a 90-day lock up with respect to shares of common stock that they beneficially own, including securities that are convertible into shares of common stock and securities that are exchangeable or exercisable for shares of common stock. This means that, subject to certain exceptions, for a period of 90 days following the date of this prospectus supplement, we and such persons may not offer, sell, pledge or otherwise dispose of these securities without the prior written consent of CIBC World Markets Corp.

Rules of the Securities and Exchange Commission may limit the ability of the underwriters to bid for or purchase shares before the distribution of the shares is completed. However, the underwriters may engage in the following activities in accordance with the rules:

Stabilizing transactions The representative may make bids or purchases for the purpose of pegging, fixing or maintaining the price of the shares, so long as stabilizing bids do not exceed a specified maximum.

Over-allotments and syndicate covering transactions The underwriters may sell more shares of our common stock in connection with this offering than the number of shares that they have committed to purchase. This over-allotment creates a short position for the underwriters. This short sales position may involve either covered short sales or naked short sales. Covered short sales are short sales made in an amount not greater than the underwriters' over-allotment option to purchase additional shares in this offering described above. The underwriters may close out any covered short position either by exercising their over-allotment option or by purchasing shares in the open market. To determine how they will close the covered short position, the underwriters will consider, among other things, the price of shares available for purchase in the open market, as compared to the price at which they may purchase shares through the over-allotment option. Naked short sales are short sales in excess of the over-allotment option. The underwriters must close out any naked short position by purchasing shares in the open market. A naked short position is more likely to be created if the underwriters are concerned that, in the open market after pricing, there may be downward pressure on the price of the shares that could adversely affect investors who purchase shares in this offering.

Penalty bids If the representative purchases shares in the open market in a stabilizing transaction or syndicate covering transaction, they may reclaim a selling concession from the underwriters and selling group members who sold those shares as part of this offering.

Passive market making Market makers in the shares who are underwriters or prospective underwriters may make bids for or purchases of shares, subject to limitations, until the time, if ever, at which a stabilizing bid is made.

Similar to other purchase transactions, the underwriters' purchases to cover the syndicate short sales or to stabilize the market price of our common stock may have the effect of raising or maintaining the market price of our common stock or preventing or mitigating a decline in the market price of our common stock. As a result, the price of the shares of our common stock may be higher than the price that might otherwise exist in the open market. The imposition of a penalty bid might also have an effect on the price of the shares if it discourages resales of the shares.

Neither we nor the underwriters makes any representation or prediction as to the effect that the transactions described above may have on the price of the shares. These transactions may occur on The Nasdaq Global Market or otherwise. If such transactions are commenced, they may be discontinued without notice at any time.

Notice to Non-U.S. Investors

The offering is exclusively conducted under applicable private placement exemptions and therefore it has not been and will not be notified to, and this document or any other offering material relating to the shares has

S-35

Table of Contents

not been and will not be approved by, the Belgian Banking, Finance and Insurance Commission (Commission bancaire, financière et des assurances/Commissie voor het Bank, Financie en Assurantiewezen). Any representation to the contrary is unlawful.

Each underwriter has undertaken not to offer sell, resell, transfer or deliver, or to take any steps thereto, directly or indirectly, any shares, and not to distribute or publish this document or any other material relating to the shares or to the offering in a manner which would be construed as: (a) a public offering under the Belgian Royal Decree of 7 July 1999 on the public character of financial transactions; or (b) an offering of securities to the public under Directive 2003/71/EC which triggers an obligation to publish a prospectus in Belgium. Any action contrary to these restrictions will cause the recipient and us to be in violation of the Belgian securities laws.

Neither this prospectus supplement nor any other offering material relating to the shares has been submitted to the clearance procedures of the *Autorité des marchés financiers* in France. The shares have not been offered or sold and will not be offered or sold, directly or indirectly, to the public in France. Neither this prospectus supplement nor any other offering material relating to the shares has been or will be: (a) released, issued, distributed or caused to be released, issued or distributed to the public in France; or (b) used in connection with any offer for subscription or sale of the shares to the public in France. Such offers, sales and distributions will be made in France only: (i) to qualified investors, or *investisseurs qualifiés*, and/or to a restricted circle of investors, or *cercle restreint d investisseurs*, in each case investing for their own account, all as defined in and in accordance with Articles L.411-2, D.411-1, D.411-2, D.734-1, D.744-1, D.754-1 and D.764-1 of the French *Code monétaire et financier*; (ii) to investment services providers authorised to engage in portfolio management on behalf of third parties; or (iii) in a transaction that, in accordance with article L.411-2-II-1°-or-2°-or 3° of the French *Code monétaire et financier* and article 211-2 of the General Regulations, or *Règlement Général* of the *Autorité des marchés financiers*, does not constitute a public offer, or *appel public à l épargne*. Such shares may be resold only in compliance with Articles L.411-1, L.411-2, L.412-1 and L.621-8 through L.621-8-3 of the French *Code monétaire et financier*.

In relation to each Member State of the European Economic Area which has implemented the Prospectus Directive, which we refer to each as a Relevant Member State, an offer to the public of any shares which are the subject of the offering contemplated by this prospectus supplement may not be made in that Relevant Member State, except that an offer to the public in that Relevant Member State of any shares may be made at any time under the following exemptions under the Prospectus Directive, if they have been implemented in that Relevant Member State:

- (a) to legal entities which are authorized or regulated to operate in the financial markets or, if not so authorised or regulated, whose corporate purpose is solely to invest in securities;
- (b) to any legal entity which has two or more of (1) an average of at least 250 employees during the last financial year; (2) a total balance sheet of more than 43,000,000 and (3) an annual net turnover of more than 50,000,000, as shown in its last annual or consolidated accounts;
- (c) by the underwriters to fewer than 100 natural or legal persons (other than qualified investors as defined in the Prospectus Directive) subject to obtaining the prior consent of CIBC World Markets Corp. for any such offer; or
- (d) in any other circumstances falling within Article 3(2) of the Prospectus Directive,

provided that no such offer of shares shall result in a requirement for the publication by us or any underwriter of a prospectus pursuant to Article 3 of the Prospectus Directive.

For the purposes of this provision, the expression an offer to the public in relation to any shares in any Relevant Member State means the communication in any form and by any means of sufficient information on the terms of the

offer and any shares to be offered so as to enable an investor to decide to purchase any shares, as the same may be varied in that Member State by any measure implementing the Prospectus Directive in that Member State and the expression Prospectus Directive means Directive 2003/71/EC and includes any relevant implementing measure in each Relevant Member State.

S-36

Table of Contents

Each underwriter has represented, warranted and agreed that:

- (a) it has only communicated or caused to be communicated and will only communicate or cause to be communicated any invitation or inducement to engage in investment activity (within the meaning of section 21 of the Financial Services and Markets Act 2000, or FSMA) received by it in connection with the issue or sale of any shares in circumstances in which section 21(1) of the FSMA does not apply to us; and
- (b) it has complied with and will comply with all applicable provisions of the FSMA with respect to anything done by it in relation to the shares in, from or otherwise involving the United Kingdom.

In the State of Israel, the shares offered hereby may not be offered to any person or entity other than the following:

- (a) a fund for joint investments in trust (i.e., mutual fund), as such term is defined in the Law for Joint Investments in Trust, 5754-1994, or a management company of such a fund;
- (b) a provident fund as defined in Section 47(a)(2) of the Income Tax Ordinance of the State of Israel, or a management company of such a fund;
- (c) an insurer, as defined in the Law for Oversight of Insurance Transactions, 5741-1981;
- (d) a banking entity or satellite entity, as such terms are defined in the Banking Law (Licensing), 5741-1981, other than a joint services company, acting for their own account or for the account of investors of the type listed in Section 15A(b) of the Securities Law 1968;
- (e) a company that is licensed as a portfolio manager, as such term is defined in Section 8(b) of the Law for the Regulation of Investment Advisors and Portfolio Managers, 5755-1995, acting on its own account or for the account of investors of the type listed in Section 15A(b) of the Securities Law 1968;
- (f) a company that is licensed as an investment advisor, as such term is defined in Section 7(c) of the Law for the Regulation of Investment Advisors and Portfolio Managers, 5755-1995, acting on its own account;
- (g) a company that is a member of the Tel Aviv Stock Exchange, acting on its own account or for the account of investors of the type listed in Section 15A(b) of the Securities Law 1968;
- (h) an underwriter fulfilling the conditions of Section 56(c) of the Securities Law, 5728-1968;
- (i) a venture capital fund (defined as an entity primarily involved in investments in companies which, at the time of investment, (i) are primarily engaged in research and development or manufacture of new technological products or processes and (ii) involve above-average risk);
- (j) an entity primarily engaged in capital markets activities in which all of the equity owners meet one or more of the above criteria; and
- (k) an entity, other than an entity formed for the purpose of purchasing shares in this offering, in which the shareholders equity (including pursuant to foreign accounting rules, international accounting regulations and U.S. generally accepted accounting rules, as defined in the Securities Law Regulations (Preparation of Annual Financial Statements), 1993) is in excess of NIS 250 million.

Any offeree of the shares offered hereby in the State of Israel shall be required to submit written confirmation that it falls within the scope of one of the above criteria. This prospectus supplement will not be distributed or directed to investors in the State of Israel who do not fall within one of the above criteria.

The shares offered pursuant to this prospectus supplement will not be offered, directly or indirectly, to the public in Switzerland and this prospectus supplement does not constitute a public offering prospectus as that term is understood pursuant to art. 652a or art. 1156 of the Swiss Federal Code of Obligations. We have not applied for a listing of the shares being offered pursuant to this prospectus supplement on the SWX Swiss Exchange or on any other regulated securities market, and consequently, the information presented in this prospectus supplement does not necessarily comply with the information standards set out in the relevant listing rules. The shares being offered pursuant to this prospectus supplement have not been registered with the Swiss Federal Banking Commission as foreign investment funds, and the investor protection afforded to acquirers of investment fund certificates does not extend to acquirers of securities.

Investors are advised to contact their legal, financial or tax advisers to obtain an independent assessment of the financial and tax consequences of an investment in the shares.

S-37

Table of Contents

Legal Matters

The validity of the common stock being offered hereby will be passed upon by Winstead Sechrest & Minick P.C., The Woodlands, Texas. Jeffrey R. Harder, a member of the law firm and a director of Repros, beneficially owned as of January 19, 2007, an aggregate of 7,424 shares of our common stock. Mr. Harder also holds options to purchase 45,000 shares of our common stock. Cooley Godward Kronish LLP, Palo Alto, California will pass upon certain legal matters for the underwriters.

Experts

The financial statements incorporated in this prospectus supplement by reference to the Annual Report on Form 10-K for the year ended December 31, 2005 have been so incorporated in reliance on the report of PricewaterhouseCoopers LLP, an independent registered public accounting firm, given on the authority of said firm as experts in auditing and accounting.

Where You Can Find More Information

We have filed with the SEC a registration statement on Form S-3 under the Securities Act with respect to the shares of common stock we are offering under this prospectus supplement. This prospectus supplement and the accompanying prospectus do not contain all of the information set forth in the registration statement and the exhibits to the registration statement. For further information with respect to us and the securities we are offering under this prospectus supplement, 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, NE, 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>.

Table of Contents

Important Information Incorporated By Reference

The SEC allows us to incorporate by reference into this prospectus supplement the information we file with it, which means that we can disclose important information to you by referring you to those documents. Information incorporated by reference is part of this prospectus supplement. Later information filed with the SEC will update and supersede this information. The SEC's Internet site can be found at <http://www.sec.gov>.

We incorporate by reference the documents listed below and any future filings made with the SEC under Sections 13(a), 13(c), 14 or 15(d) of the Exchange Act until this offering is completed:

our Annual Report on Form 10-K for the year ended December 31, 2005;

our Quarterly Reports on Form 10-Q for the quarters ended March 31, 2006, June 30, 2006 and September 30, 2006;

our Current Reports on Form 8-K (other than information furnished rather than filed), filed with the SEC on January 10, 2006, February 8, 2006, March 15, 2006, May 2, 2006, May 24, 2006, August 4, 2006, August 18, 2006, September 5, 2006, September 18, 2006, October 26, 2006, November 17, 2006, December 19, 2006, December 21, 2006, December 22, 2006, December 27, 2006 and January 12, 2007;

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 and June 30, 2005, 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 on February 2, 1993, including any amendments or reports filed for the purposes of updating this description.

You may request a copy of these filings, at no cost, by contacting us at:

Repros Therapeutics Inc.
Attention: Secretary
2408 Timberloch Drive, Suite B-7
The Woodlands, Texas 77380
Telephone number: (281) 719-3400

In accordance with Section 412 of the Exchange Act, any statement contained in a document incorporated by reference herein shall be deemed modified or superseded to the extent that a statement contained herein or in any other subsequently filed document which also is or is deemed to be incorporated by reference herein modifies or supersedes such statement.

Table of Contents

PROSPECTUS

**Up to 5,000,000 Shares of
Common Stock**

From time to time, we may sell up to an aggregate of 5,000,000 shares of common stock in one or more offerings. This means:

we will provide this prospectus and a prospectus supplement each time we sell the common stock;

the prospectus supplement will inform you about the specific terms of that offering and may also add, update or change information contained in this prospectus; and

you should read this prospectus and any prospectus supplement, as well as any documents incorporated by reference in this prospectus and any prospectus supplement, carefully before you invest in our common stock.

Our common stock is quoted on the Nasdaq Global Market under the trading symbol RPRX. On August 31, 2006, the last reported sale price of our common stock on the Nasdaq Global Market was \$8.24 per share.

THIS PROSPECTUS MAY NOT BE USED TO OFFER OR SELL ANY SECURITIES UNLESS ACCOMPANIED BY A PROSPECTUS SUPPLEMENT.

The common stock may be sold directly by us to purchasers, to or through underwriters or dealers designated from time to time, or through agents designated from time to time. For additional information on the methods of sale, you should refer to Plan of Distribution in this prospectus and to the accompanying prospectus supplement. If any underwriters are involved in a sale of the common stock, their names and any applicable commissions or discounts will be set forth in a prospectus supplement. The net proceeds we expect to receive from the sale will also be set forth in a prospectus supplement.

NEITHER THE SECURITIES AND EXCHANGE COMMISSION NOR ANY STATE SECURITIES COMMISSION HAS APPROVED OR DISAPPROVED OF THESE SECURITIES OR DETERMINED IF THIS PROSPECTUS IS TRUTHFUL OR COMPLETE. ANY REPRESENTATION TO THE CONTRARY IS A CRIMINAL OFFENSE.

INVESTING IN OUR SECURITIES INVOLVES A HIGH DEGREE OF RISK. YOU SHOULD CAREFULLY CONSIDER THE RISK FACTORS CONTAINED IN OUR ANNUAL REPORT ON FORM 10-K FOR THE FISCAL YEAR ENDED DECEMBER 31, 2005, UPDATES IN PART II, ITEM 1A OF OUR FORM 10-Q FILINGS, AND IN OUR FUTURE FILINGS MADE WITH THE SECURITIES AND EXCHANGE COMMISSION, WHICH ARE INCORPORATED BY REFERENCE IN THIS PROSPECTUS. SEE THE SECTION ENTITLED RISK FACTORS ON PAGE 4 OF THIS PROSPECTUS.

The date of this prospectus is September 5, 2006

Table of Contents

<u>ABOUT THIS PROSPECTUS</u>	2
<u>ABOUT REPROS THERAPEUTICS INC.</u>	2
<u>RISK FACTORS</u>	4
<u>FORWARD-LOOKING INFORMATION</u>	4
<u>USE OF PROCEEDS</u>	5
<u>PLAN OF DISTRIBUTION</u>	5
<u>LEGAL MATTERS</u>	7
<u>EXPERTS</u>	7
<u>WHERE YOU CAN FIND MORE INFORMATION</u>	7

We have not authorized any dealer, salesman or other person to give any information or to make any representation other than those contained or incorporated by reference in this prospectus and the accompanying supplement to this prospectus. You must not rely upon any information or representation not contained or incorporated by reference in this prospectus or the accompanying prospectus supplement. This prospectus and the accompanying supplement to this prospectus do not constitute an offer to sell or the solicitation of an offer to buy common stock, nor do this prospectus and the accompanying supplement to this prospectus constitute an offer to sell or the solicitation of an offer to buy common stock in any jurisdiction to any person to whom it is unlawful to make such offer or solicitation in such jurisdiction. You should not assume that the information contained in this prospectus and the accompanying prospectus supplement is accurate on any date subsequent to the date set forth on the front of the document or that any information we have incorporated by reference is correct on any date subsequent to the date of the document incorporated by reference, even though this prospectus and any accompanying prospectus supplement is delivered or common stock sold on a later date.

Table of Contents

ABOUT THIS PROSPECTUS

This prospectus is part of a registration statement that we filed with the Securities and Exchange Commission using a shelf registration process. Under this shelf registration process, we may sell common stock in one or more offerings, up to an aggregate number of 5,000,000 shares. This prospectus provides you with a general description of the securities we may offer. Each time we sell common stock, we will provide a prospectus supplement that will contain more specific information about the terms of that offering. We may also add, update or change in the prospectus supplement any of the information contained in this prospectus. This prospectus, together with applicable prospectus supplements, includes all material information relating to this offering. If there is any inconsistency between the information in this prospectus and the information in the accompanying prospectus supplement, you should rely on the information in the prospectus supplement.

Please carefully read both this prospectus and any prospectus supplement together with the additional information described below under **Where You Can Find More Information**.

Unless otherwise mentioned or unless the context requires otherwise, all references in this prospectus to **Repros**, **we**, **us**, **our** or similar references mean Repros Therapeutics Inc.

ABOUT REPROS THERAPEUTICS INC.

We are a biopharmaceutical company focused on the development of new drugs to treat hormonal and reproductive system disorders.

Our lead product candidate, Proellex[™], is an orally available small molecule compound that we are developing for the treatment of uterine fibroids and endometriosis. We are developing Proellex under an exclusive, worldwide license from the National Institutes of Health, or NIH. Proellex is being developed to alleviate adverse symptoms associated with both uterine fibroids and endometriosis by selectively blocking the progesterone receptor in women. We believe it may have advantages over the current standards of care for the treatment of uterine fibroids and endometriosis, which include surgery and treatment with gonadotropin releasing hormone agonists, or GnRH agonists, such as Lupron[®]. Unlike Proellex, GnRH agonists create a low estrogen, menopausal-like state in women, and estrogen is necessary for the maintenance of bone mineral density. Therefore, GnRH agonists tend to promote bone loss and cannot be used for more than six months at a time. When women cease treatment with GnRH agonists, fibroids rapidly regenerate and symptoms associated with endometriosis quickly reappear. We believe Proellex may have advantages over treatment with GnRH agonists based on research that has been done to date, which includes data collected from our three-month European human Phase 1b clinical study and our 9-month primate study, Proellex does not appear to induce a low estrogen state and therefore should not promote bone loss, which could make Proellex a better treatment option for patients prior to surgery. In addition, we believe Proellex may provide an attractive alternative to surgery because of its potential to treat these conditions in a chronic fashion resolving the symptoms that most commonly lead to surgical treatment.

Our second product candidate is Androxal[™], an orally available small molecule compound being developed for the treatment of testosterone deficiency in men. Androxal, our proprietary compound, is designed to restore normal testosterone production in males with functional testes and diminished pituitary function, a common condition in the aging male. We believe Androxal may have advantages over current therapies because it is being designed as an oral therapy that acts centrally to restore normal testosterone function in the body, rather than simply replacing diminished testosterone. The administration of replacement testosterone has been linked to numerous potential adverse effects,

including shrinkage of the testes. We believe that Androxal will not cause these adverse effects to the extent that such other replacement therapies do.

Table of Contents

We also continue to maintain our patent portfolio on our phentolamine-based products for the treatment of sexual dysfunction. These products were placed on clinical hold in the United States in 1999 after a New Drug Application was filed with the U.S. Food and Drug Administration (FDA) due to brown fat proliferations being discovered in a two-year rat carcinogenicity study. The United States is the only country where phentolamine-based products to treat sexual dysfunction are on partial clinical hold. We continue to explore opportunities to create value from these assets through product out-licensing or partnering.

We were incorporated in the State of Delaware in August 1987. On May 2, 2006, we effected a name change to our current name from our former name, Zonagen, Inc. 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. Our website address is www.reprosrx.com. The information contained in our website is not a part of this prospectus or any prospectus supplement.

Service marks, trademarks and trade names referred to in this prospectus are the property of their respective owners.

Table of Contents

RISK FACTORS

Investment in our securities involves a high degree of risk. You should consider carefully the risk factors in any prospectus supplement and in our Annual Report on Form 10-K for the fiscal year ended December 31, 2005, updates in Part II, Item 1A of our Form 10-Q filings, and in our future filings with the Securities and Exchange Commission, as well as other information in this prospectus and any prospectus supplement and the documents incorporated by reference herein or therein, before purchasing any of our securities. Each of the risk factors could adversely affect our business, operating results and financial condition, as well as adversely affect the value of an investment in our securities.

FORWARD-LOOKING INFORMATION

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, or the Securities Act, and Section 21E of the Securities Exchange Act of 1934, as amended, or 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 anticipated future capital requirements and the terms of any capital financing agreements;
- timing and amount of future contractual payments, product revenue and operating expenses;
- progress and results of clinical trials;
- anticipated regulatory filings, requirements and future clinical trials;
- protection of our intellectual property; and
- market acceptance of our products and the estimated potential size of these markets.

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 below carefully in addition to other information contained in this report before engaging in any transaction involving shares of our common stock. 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.

The discussion and analysis set forth in this document contains discussions of regulatory status and other forward-looking statements. Actual results could differ materially from those projected in the forward-looking statement as a result of the following factors, among others:

- future capital requirements and additional fundings through equity or debt financings;
- uncertainty of governmental regulatory requirements and lengthy approval process;
- inability to fulfill our obligations under our license with NIH for Proellex may result in forfeiture of our rights to Proellex;

results of the current Phase III trial for Androxal and the ongoing Phase II trials for Proellex;
history of operating losses and uncertainty of future financial results;
dependence on third parties for clinical development and manufacturing;
dependence on a limited number of key employees;
competition and risk of competitive new products;
ability to obtain and defend patents, protect trade secrets and avoid infringing patents held by third parties;

Table of Contents

limitations on third-party reimbursement for medical and pharmaceutical products;

acceptance of our products by the medical community;

potential for product liability issues and related litigation;

potential for claims arising from the use of hazardous materials in our business;

continued listing on the Nasdaq Global Market;

volatility in the value of our common stock; and

other factors set forth under **Risk Factors** contained in our Annual Report on Form 10-K for the fiscal year ended December 31, 2005 filed with the Securities and Exchange Commission on March 13, 2006, updates in Part II, Item 1A of our Form 10-Q filings, and in our future filings made with the Securities and Exchange Commission, which are incorporated by reference in this prospectus, and any risk factors set forth in the accompanying prospectus supplement.

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

Unless the applicable prospectus supplement states otherwise, the net proceeds we receive from the sale of the securities offered by this prospectus will be used for general corporate purposes, which may include:

funding clinical trials and regulatory submissions for our two lead product candidates, Proellex and Androxal, currently in human clinical trials;

funding the development and regulatory approval of our phentolamine-based products;

financing potential acquisitions of complementary businesses, assets, technologies and products that we may consider from time to time; and

general working capital.

Although we currently have no plans to acquire any complementary businesses, our management has broad discretion as to the allocation of the net proceeds received in this offering and may use these proceeds for that purpose in the

future. Pending these uses, we may temporarily use the net proceeds to make short-term investments.

PLAN OF DISTRIBUTION

We may sell the common stock through underwriters or dealers, through agents, or directly to one or more purchasers. One or more prospectus supplements will describe the terms of the offering of the common stock, including:

the name or names of any agents or underwriters;

the purchase price of the common stock and the proceeds we will receive from the sale;

Table of Contents

any over-allotment options under which underwriters may purchase additional shares of common stock from us;

any agency fees or underwriting discounts and other items constituting agents or underwriters compensation;

any discounts or concessions allowed or reallocated or paid to dealers; and

any securities exchange or market on which the common stock may be listed.

Only underwriters named in the prospectus supplement are underwriters of the common stock offered by the prospectus supplement.

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

We may sell the common stock directly or through agents we designate from time to time. We will name any agent involved in the offering and sale of the common stock and we will describe any commissions we will pay the agent in the prospectus supplement.

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

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

Any underwriter may engage in overallotment, stabilizing transactions, short covering transactions and penalty bids in accordance with Regulation M under the Securities Exchange Act of 1934. Overallotment involves sales in excess of the offering size, which create a short position. Stabilizing transactions permit bids to purchase the underlying shares of common stock so long as the stabilizing bids do not exceed a specified maximum price. Short covering transactions involve exercise by underwriters of an over-allotment option or purchases of the common stock in the open market after the distribution is completed to cover short positions. Penalty bids permit the underwriters to reclaim a selling concession from a dealer when the shares of common stock originally sold by the dealer are purchased in a short covering transaction. Those activities may cause the price of the common stock to be higher than it would otherwise be. If commenced, the underwriters may discontinue any of the activities at any time.

Our common stock is quoted on the Nasdaq Global Market. One or more underwriters may make a market in our common stock, but the underwriters will not be obligated to do so and may discontinue market making at any time without notice. We cannot give any assurance as to liquidity of the trading market for our common stock.

Any underwriters who are qualified market makers on the Nasdaq Global Market may engage in passive market making transactions in the securities on the Nasdaq Global Market in accordance with Rule 103 of

Table of Contents

Regulation M under the Securities Exchange Act of 1934, during the business day prior to the pricing of the offering, before the commencement of offers or sales of the securities. Passive market makers must comply with applicable volume and price limitations and must be identified as passive market makers. In general, a passive market maker must display its bid at a price not in excess of the highest independent bid for such security; if all independent bids are lowered below the passive market maker's bid, however, the passive market maker's bid must then be lowered when certain purchase limits are exceeded.

LEGAL MATTERS

The validity of the securities being offered hereby will be passed upon by Winstead Sechrest & Minick P.C., The Woodlands, Texas. Jeffrey R. Harder, a member of the law firm Winstead Sechrest & Minick P.C., and a director of Repros, beneficially owned as of August 31, 2006, an aggregate of 5,424 shares of the our Common Stock. Mr. Harder also holds options to purchase 45,000 shares of our Common Stock.

EXPERTS

The financial statements incorporated in this Prospectus by reference to the Annual Report on Form 10-K for the year ended December 31, 2005 have been so incorporated in reliance on the report of PricewaterhouseCoopers LLP, an independent registered public accounting firm, given on the authority of said firm as experts in auditing and accounting.

WHERE YOU CAN FIND MORE INFORMATION

We are a reporting company and file annual, quarterly and current reports, proxy statements and other information with the Securities and Exchange Commission. We have filed with the Securities and Exchange Commission a registration statement on Form S-3 under the Securities Act with respect to the common stock we are offering under this prospectus. This prospectus does not contain all of the information set forth in the registration statement and the exhibits to the registration statement. For further information with respect to us and the common stock we are offering under this prospectus, we refer you to the registration statement and the exhibits filed as a part of the registration statement. You may read and copy the registration statement, as well as our reports, proxy statements and other information, at the Securities and Exchange Commission's public reference room at 100 F Street, N.E., Room 1580, Washington, D.C. 20549. You can request copies of these documents by writing to the Securities and Exchange Commission and paying a fee for the copying cost. Please call the Securities and Exchange Commission at 1-800-SEC-0330 for more information about the operation of the public reference room. Our Securities and Exchange Commission filings are also available at the Securities and Exchange Commission's website at <http://www.sec.gov>.

The Securities and Exchange Commission allows us to incorporate by reference information that we file with it, which means that we can disclose important information to you by referring you to those documents. The information incorporated by reference is an important part of this prospectus. Information in this prospectus supersedes information incorporated by reference that we filed with the Securities and Exchange Commission prior to the date of this prospectus, while information that we file later with the Securities and Exchange Commission will automatically update and supersede this information. We incorporate by reference into this registration statement and prospectus the documents listed below and any future filings we will make with the Securities and Exchange Commission under Sections 13(a), 13(c), 14 or 15(d) of the Securities Exchange Act of 1934, as amended, after the date of the initial registration statement but prior to effectiveness of the registration statement and after the date of this prospectus but prior to the termination of the offering of the securities covered by this prospectus, except in each case for information contained in any such filing where we indicate that such information is being furnished and is not to be considered filed under the Securities Exchange Act of 1934, as amended.

Table of Contents

The following documents filed with the Securities and Exchange Commission are incorporated by reference in this prospectus:

our Annual Report on Form 10-K for the year ended December 31, 2005 filed with the Securities and Exchange Commission on March 13, 2006;

our Quarterly Reports on Form 10-Q for the quarters ended March 31, 2006 and June 30, 2006 filed with the Securities and Exchange Commission on May 1 and August 3, 2006, respectively;

our Current Report on Form 8-K filed with the Securities and Exchange Commission on January 10, 2006;

our Current Report on Form 8-K filed with the Securities and Exchange Commission on February 8, 2006;

our Current Report on Form 8-K filed with the Securities and Exchange Commission on March 15, 2006;

our Current Report on Form 8-K filed with the Securities and Exchange Commission on May 2, 2006;

our Current Report on Form 8-K filed with the Securities and Exchange Commission on May 24, 2006;

our Current Report on Form 8-K filed with the Securities and Exchange Commission on August 4, 2006;

our Current Report on Form 8-K filed with the Securities and Exchange Commission on August 18, 2006; and

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

Information furnished to the Securities and Exchange Commission 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.

We will furnish without charge to you, upon written or oral request, a copy of any or all of the documents incorporated by reference, including exhibits to these documents. You should direct any requests for documents to Repros Therapeutics Inc., Attention: Secretary, 2408 Timberloch Place, Suite B-7, The Woodlands, Texas 77380. Our telephone number is (281) 719-3400.

Table of Contents

2,500,000 Shares

Common Stock

PROSPECTUS SUPPLEMENT

, 2007

CIBC World Markets
Sole Book-Running Manager

Punk, Ziegel & Company
Co-Lead Manager

ThinkEquity Partners LLC

You should rely only on the information contained or incorporated by reference in this prospectus supplement. No dealer, salesperson or other person is authorized to give information that is not contained or incorporated by reference in this prospectus supplement. This prospectus supplement is not an offer to sell nor is it seeking an offer to buy these securities in any jurisdiction where the offer or sale is not permitted. The information contained in this prospectus supplement is correct only as of the date of this prospectus supplement, regardless of the time of the delivery of this prospectus supplement or any sale of these securities.