



*Developing clinical stage small molecule
therapeutics to treat hormonal and reproductive
system disorders*

Repros Disclaimer

Any statements that are not historical facts contained in this release are forward-looking statements that involve risks and uncertainties, including Repros' ability to have the partial hold on Proellex[®] lifted and to determine a safe and effective dose for Proellex[®], maintain its listing on the NASDAQ Capital Market, raise needed additional capital on a timely basis in order for it to continue to fund its operations and pursue its development activities, and such other risks which are identified in the Company's most recent Annual Report on Form 10-K and in any subsequent quarterly reports on Form 10-Q. These documents are available on request from Repros Therapeutics or at www.sec.gov. Repros disclaims any intention or obligation to update or revise any forward-looking statements, whether as a result of new information, future events or otherwise.

Repros Strategy

- ***Focus on small molecule therapeutics for hormonal and reproductive system disorders that exhibit significant market potential and that are currently underserved***
- **Out-license or Partner Technologies for Marketing**
- **Late stage development of highly differentiated drugs**
 - **Androxal , an oral treatment of endocrine disorders (+\$1 billion market)**
 - Normalization of testosterone (T) levels without sacrificing fertility in treatment of 2^o hypogonadism (most common cause of low T)
 - Treatment of Type II Diabetes in men with low testosterone
 - **Proellex an oral treatment of female reproductive system disorders (+\$5 billion market)**
 - Chronic relief of uterine fibroid symptoms
 - Chronic relief of the symptoms associated with endometriosis

Androxal

Testosterone Market/Players

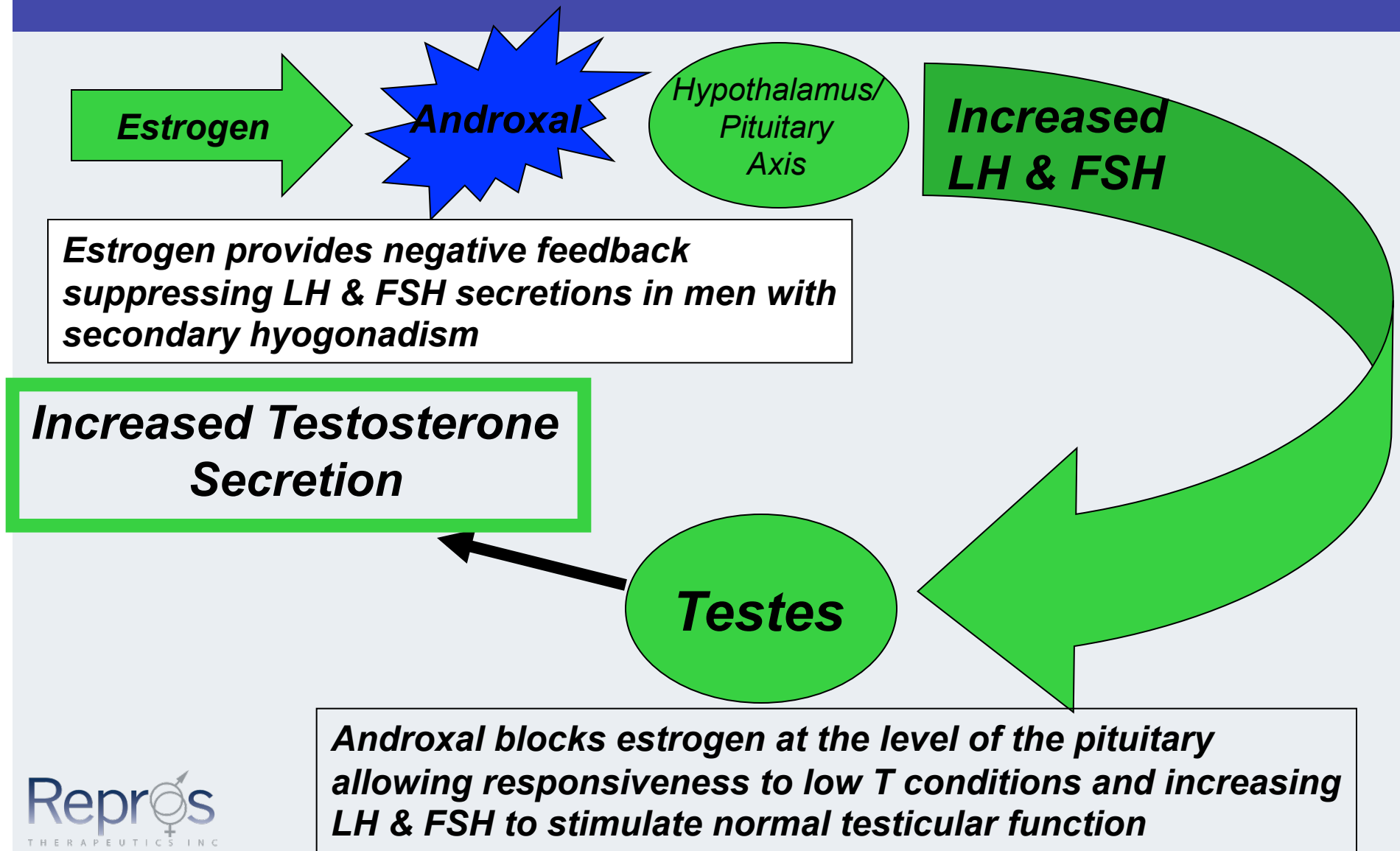
- Global market exceeds \$1 billion for first time in 2009
 - US market reaches \$700 million
- Major pharmaceutical companies move to capture US opportunity
 - Abbott acquires Solvay (Androgel)
 - Lilly licenses late stage topical T
- All current offerings replace T
- Androxal (enclomiphene citrate) only Phase III drug that restores testicular function

Androxal[®]

Key Messages

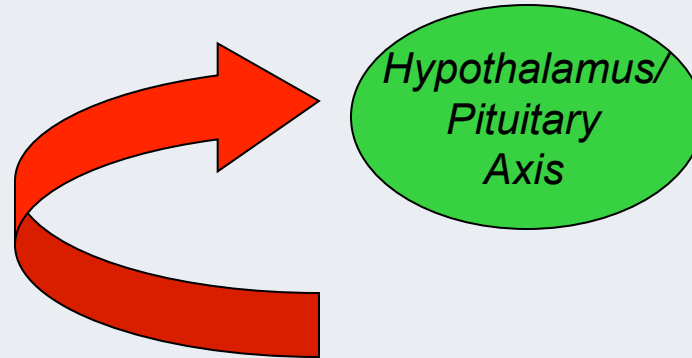
- FDA agrees with Repros proposal to conduct Phase III studies in men to demonstrate Androxal preserves fertility while normalizing T
- Phase II IND at Endocrine Division of FDA to study impact of Androxal on metabolism of men with sub optimal treatment of Type II diabetes
- Cornerstone US patent for Androxal has issued with patent life to 2023/2028 (Hatch-Waxman extension)

How Androxal Works



Hypothalamic/Pituitary-Testes Loop

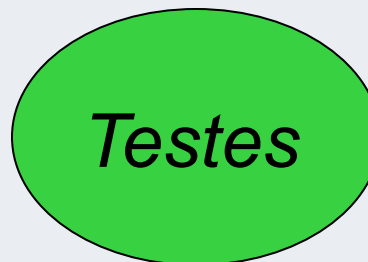
*Testosterone
Feedback*



*LH & FSH
Secretions*

*Exogenous Testosterone Provides Negative
Feedback Suppressing Pituitary Secretions
And Hence Testicular Function*

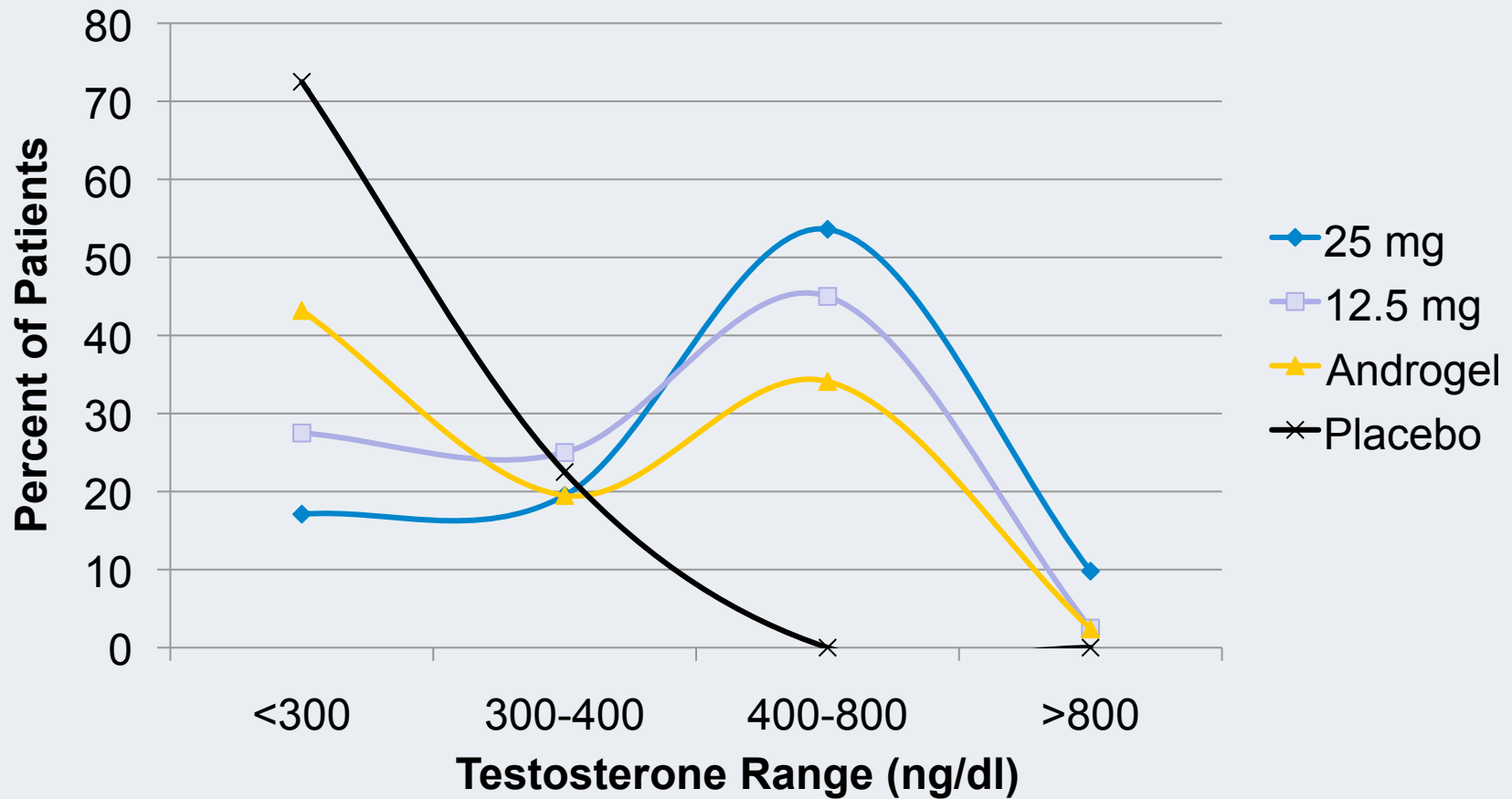
*Low circulating T not necessarily
linked to low sperm counts*



*Leydig Cell Activity Suppressed
Spermatogenesis Suppressed Leading to Infertility*

ZA-003

Testosterone Distribution (6 Month Data)

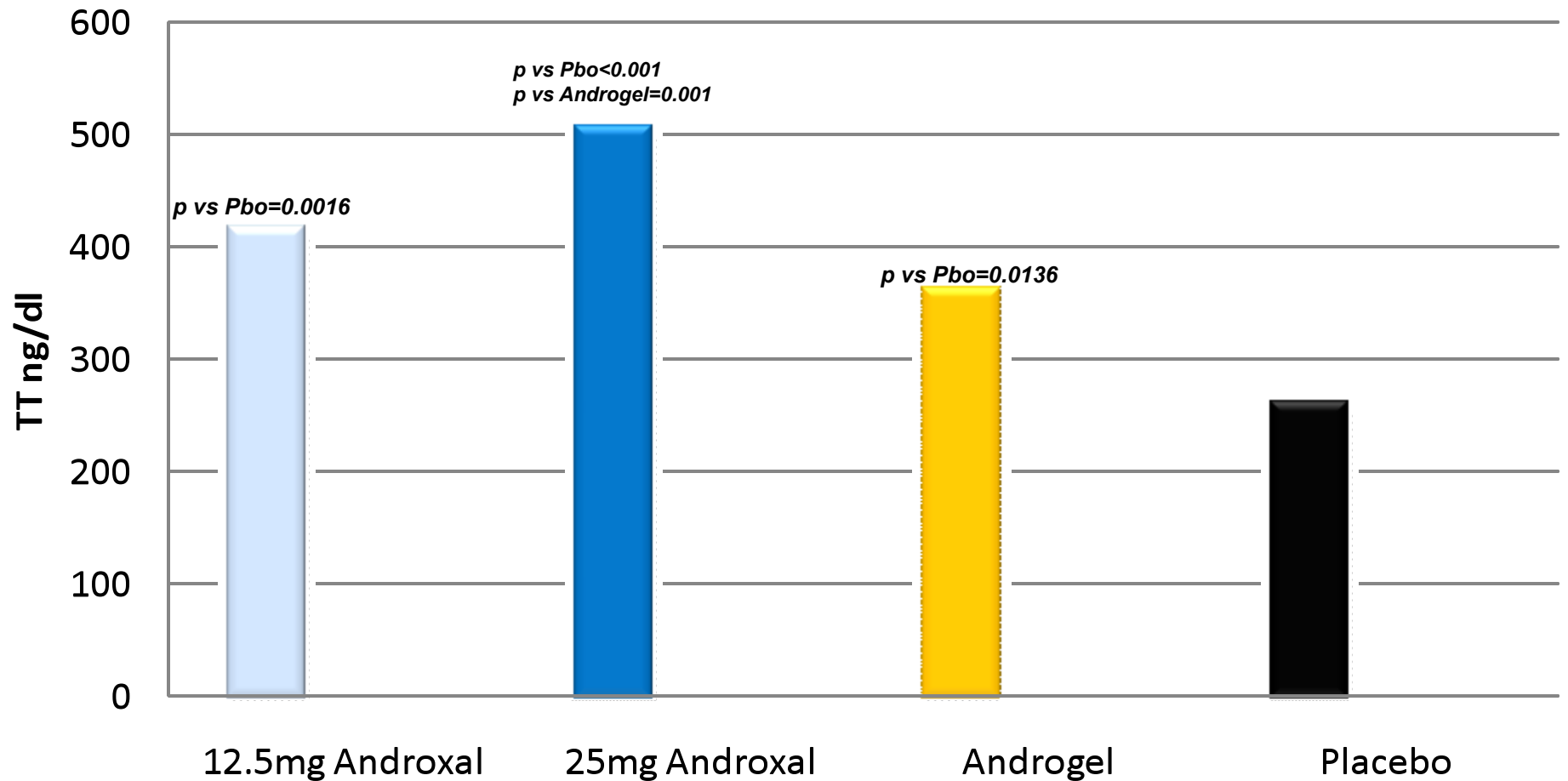


n=162 patients

Previous Androxal Experience ZA-003

n=200

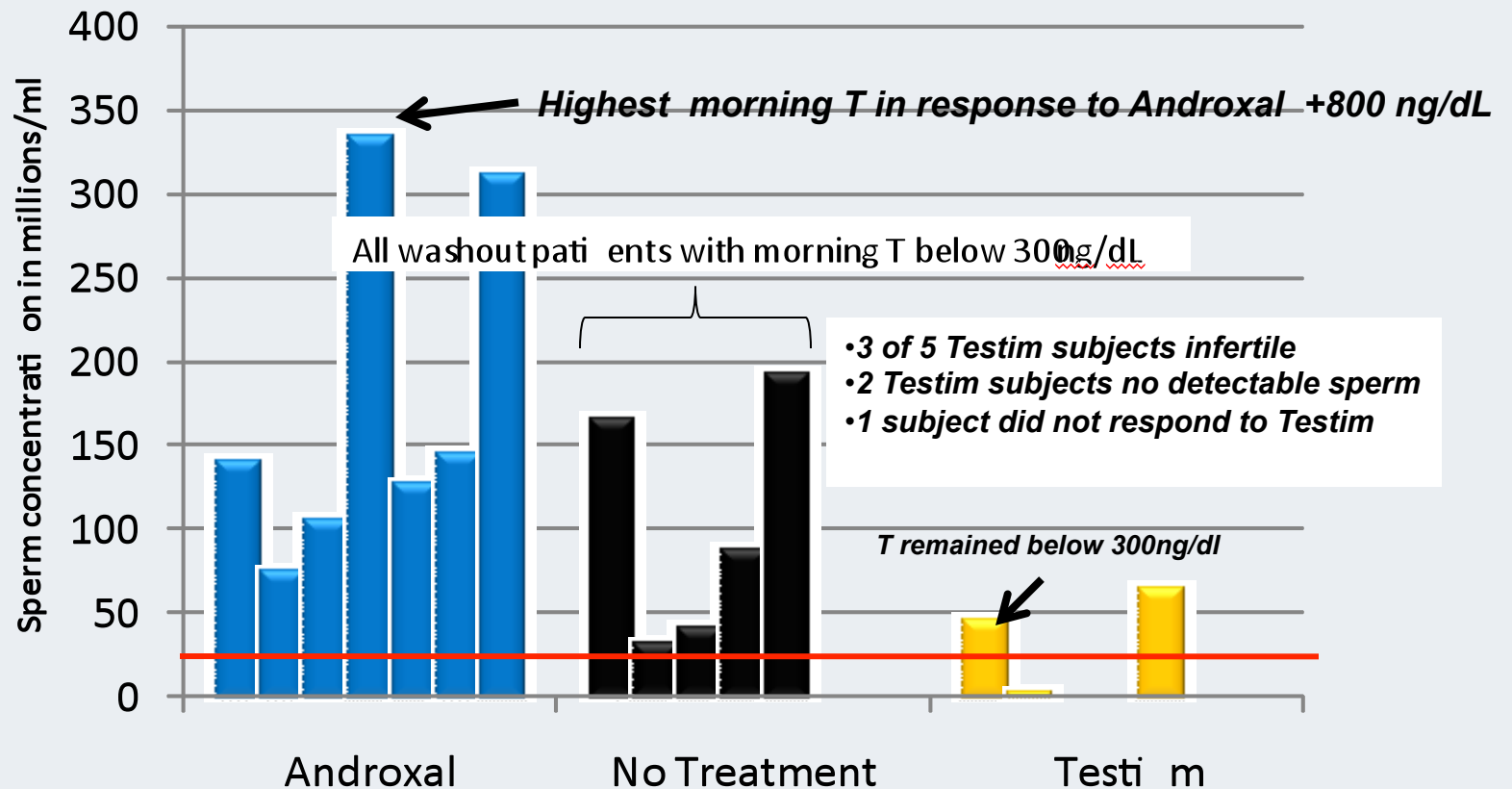
Month 6 Morning Total Testosterone



Repros Study ZA-201

Impact of Treatment on Sperm Counts

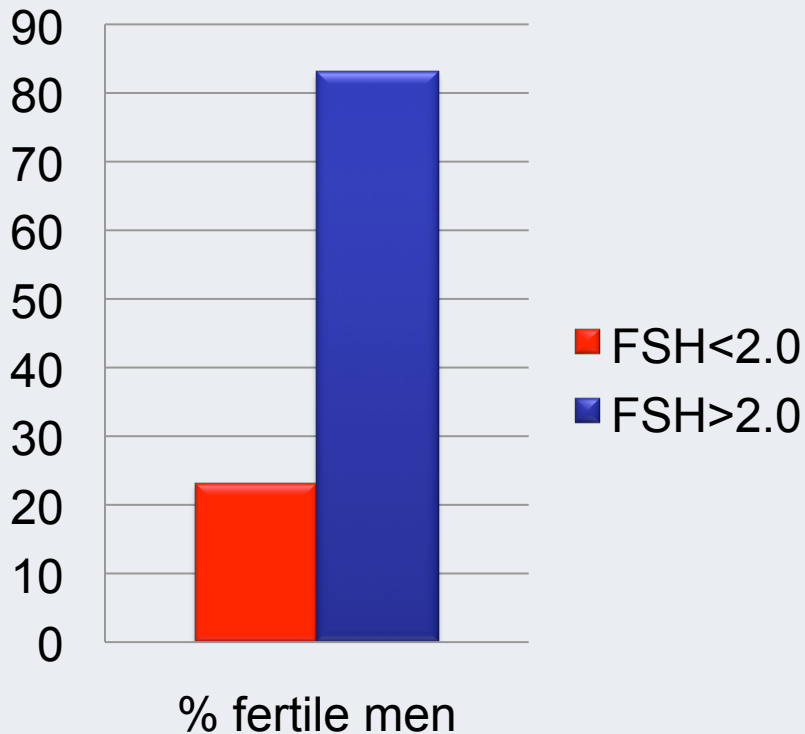
"We agree with your proposal to conduct two Phase 3 placebo and active (topical testosterone) – controlled studies in order to demonstrate the benefit (normalization of serum testosterone while preserving fertility)....."
 FDA correspondence 8/4/2010



Accepted concentration of sperm below which men considered infertile = 20,000,000/ml

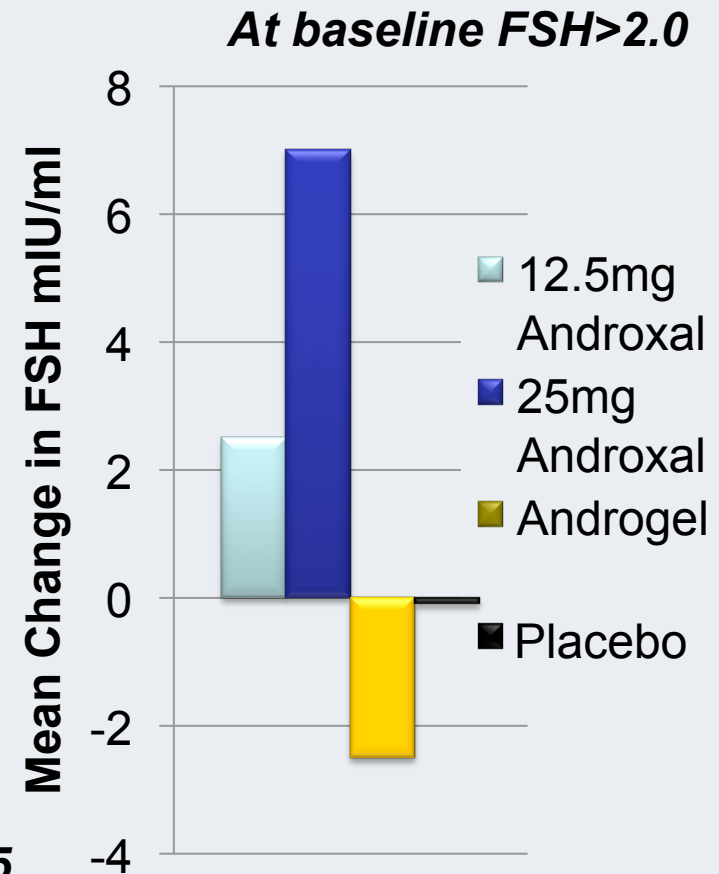
Is there data to make us believe the 201 study is meaningful?

Results from 6 month pilot study



After 6 months on AndroGel
Mean FSH = 1.6, Median = 0.5

3 Mo. Results from 200 patient trial



Phase III Protocols

- Two Phase III studies (80 subjects each)
 - Current topical testosterone users
 - Subjects naïve to treatment (morning T < 250 ng/ml)
- Four arm parallel design (blinded 12.5 & 25mg Androxal, Topical T (open label), blinded placebo)
- Three month dosing
- Primary Endpoint: % of men shifting from abnormal hormonal state to normal reproductive state (Responder Analysis)
 - Morning T > 300 ng/ml
 - Sperm Concentration > 20 x 10⁶/ml

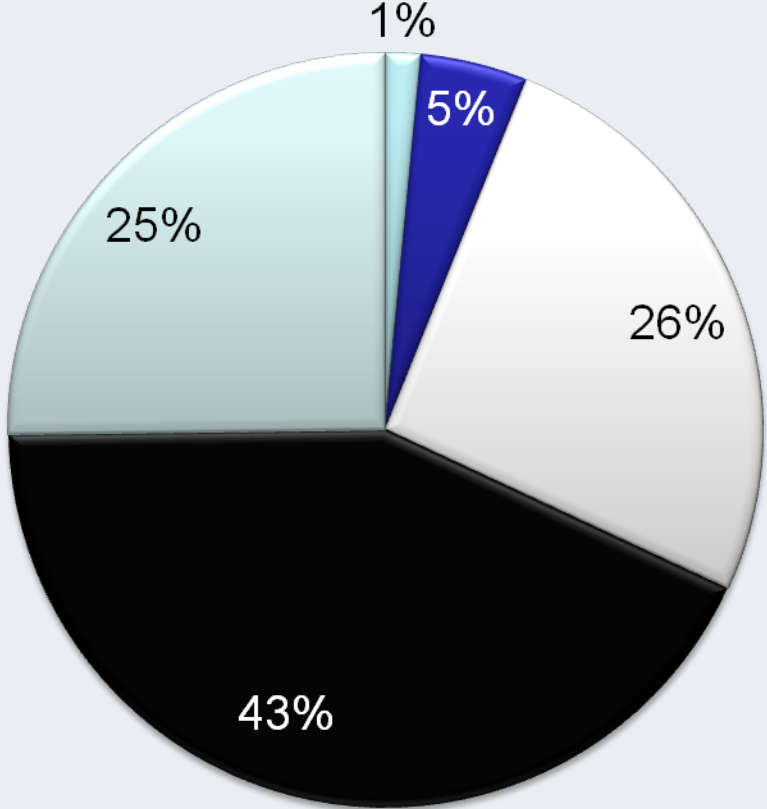
Projected Outcome for Phase III Studies (20 per arm) *Basis: Previous Experience*

	12.5 mg	25 mg	Androgel/ Testim	Placebo
Morning T>300ng/dl	70%	85%	60%	10%
Sperm Concentration >20 x 10 ⁶ /ml	95%	95%	20%	95% Projected p value Vs T <0.001
% Responders	~70% Projected p value Vs T 0.004 Vs pbo 0.001	~85% Projected p value Vs T < 0.001 Vs pbo < 0.001	~15%	~10%

Over 30% of Men Studied Were Under the Age of 50

% of Men in Study by Age

■ <30 ■ 31-40 ■ 41-50 ■ 51-60 ■ 61-70



Advantages of Androxal Over Leading Therapies

- **Androxal**

- **Oral Therapy**
- No Partner Risk
- Self Limiting Dose
 - No Abuse
 - No Supernormal Levels
 - Simple Treatment & Follow-up
 - More Reproducible Results
- Maintain/Restore Fertility
- Restoration of Normal Function
 - **Potential for Non-Chronic Therapy**
- **Potential for significant metabolic benefit**

- **T Gels/Creams**

- Partner Risk
 - T exposure risk to female partner for gels **“Black Box Warning”**
- **Dose Selection**
 - Non-predictable T Peaks
 - Inconvenient Administration
 - Potential for Abuse & Prostate Effects
 - Easily Achieves Supernormal Levels
 - Worsens Problem for Secondary Hypogonadism
 - Negative Feedback on LH/FSH
 - Causes Infertility & Shrinks Testes

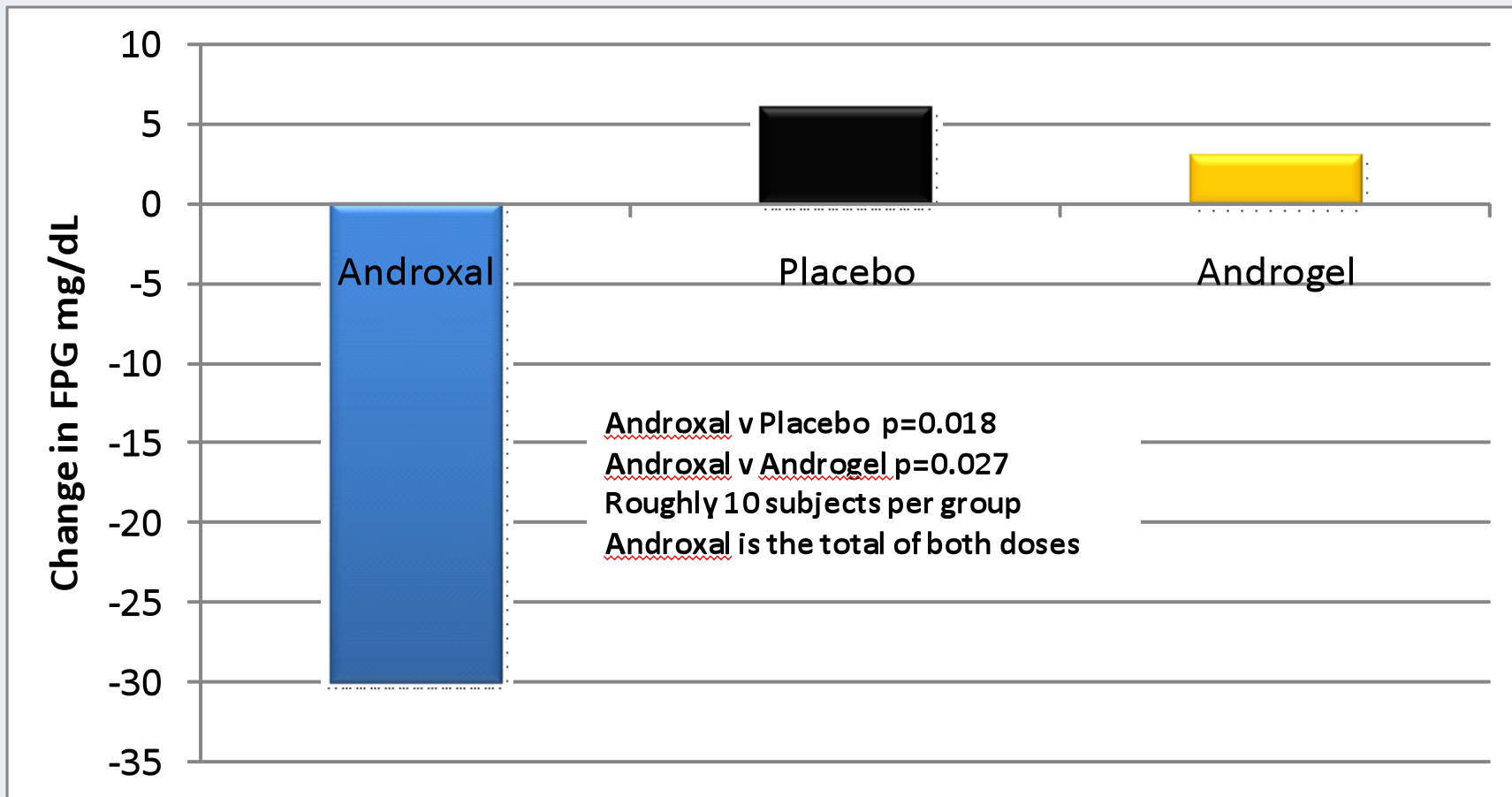
New IND 104921

Impact of Androxal on Men with Suboptimal Treatment of Type II Diabetes

Basis for New Phase II IND

- Retrospective analysis of men with elevated fasting glucose (FPG) levels suggested reduction of FPG post administration of Androxal
- Neither placebo nor testosterone exhibited similar effects
- Phase II study to open IND in men experiencing suboptimal treatment for Type II diabetes
 - Double blind, placebo controlled, three arm, 3 month study
 - HbA1c
 - Fasting Glucose

Mean Change in Fasting Plasma Glucose Levels from Baseline



Phase II Study in Type II Diabetes

- FDA Recommended Protocol
 - Diagnosis of T2DM by ADA for at least 6 months
 - Stable but suboptimal dose of OHA for at least 2 months
 - Morning TT < 300ng/dl
 - FPG >125 mg/dl <240 mg/dl
 - HbA_{1c} ≥7% and ≤9.5%
 - Parallel design (n=45/arm)
 - Three month dosing
- Avandia Results in Similar Study (4 mg dose)
 - FPG change from baseline mean -33 mg/dl
 - HbA_{1c} -0.6% change from baseline mean

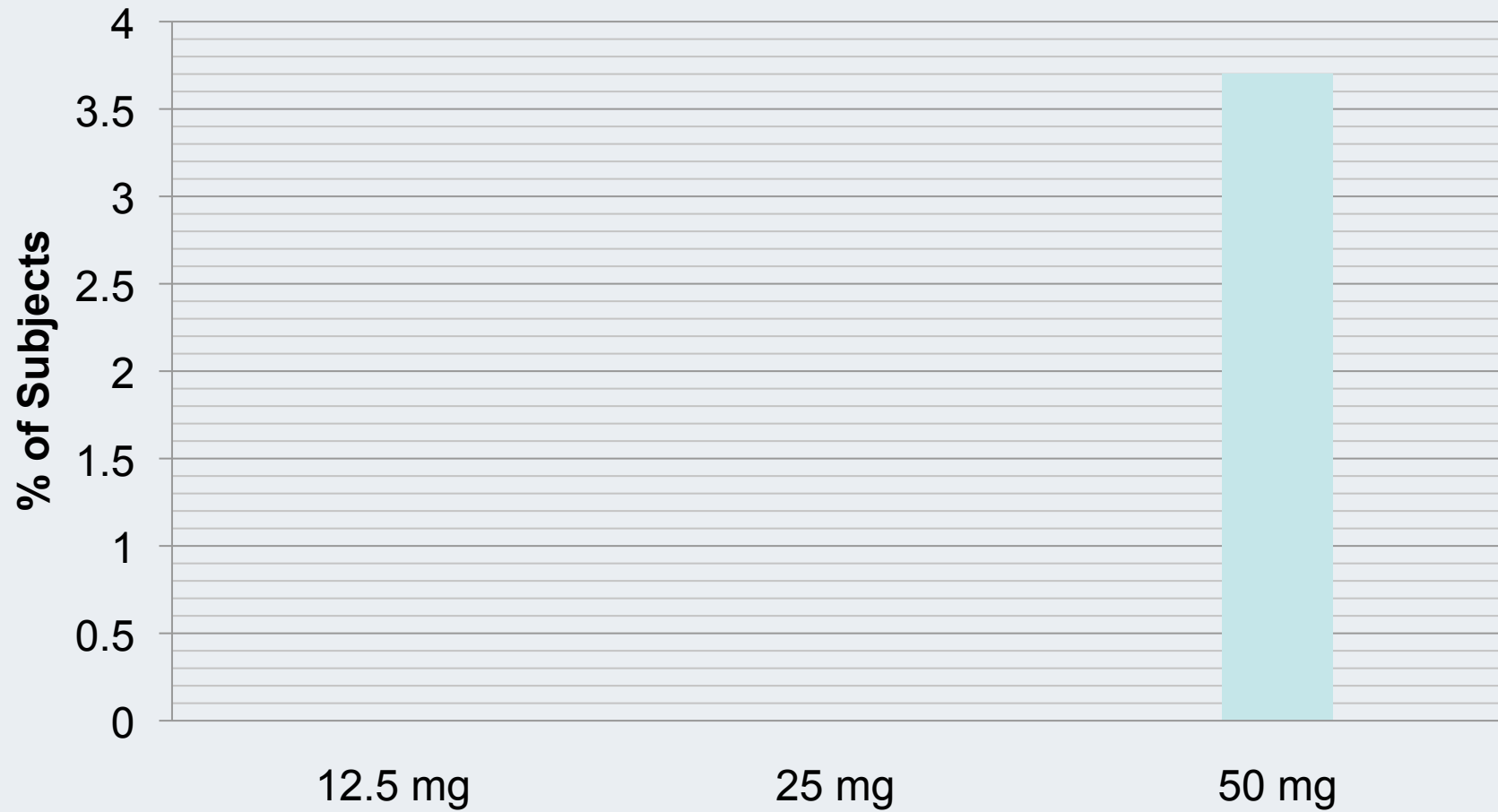
Proellex[®]

Summary

- Appeared well tolerated at all doses; clinical investigators very positive about tolerability of Proellex[®] in their patients
- Low (4%) discontinuations due to side effects while study underway
- No negative impact on endometrium
- *However, dose-dependent increase in liver enzymes*
 - *No deaths, transplants or hospitalizations (one biopsy)*
- **Full clinical hold lifted in June 2010**
 - Patient enrollment in low dose oral study has commenced

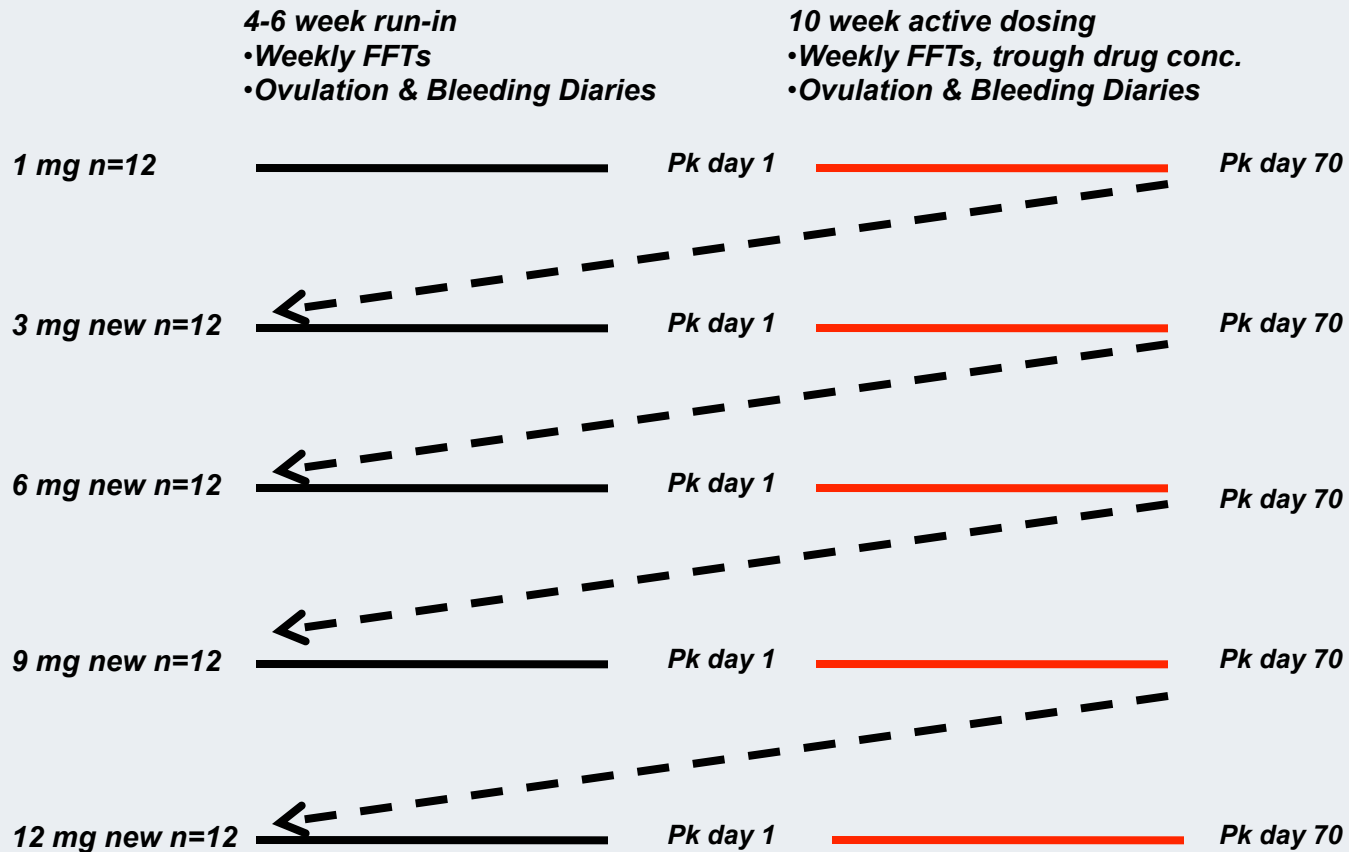
Proellex Liver Enzyme Associated SAEs

Exposure for any duration



Current Low Dose Study Design

Escalating Dose

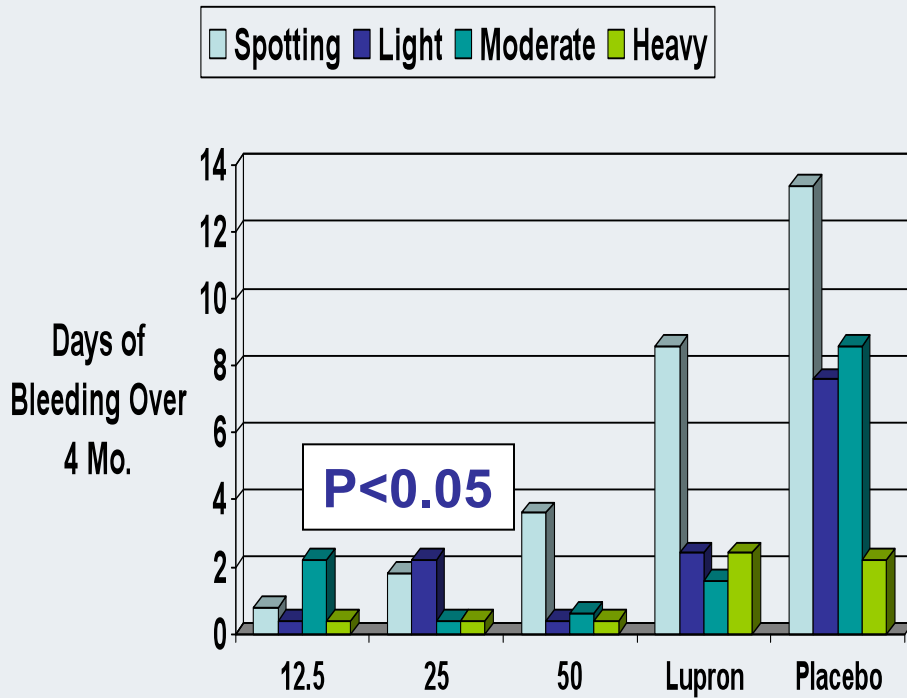


A follow-up visit will occur for each group 5 weeks after last dose

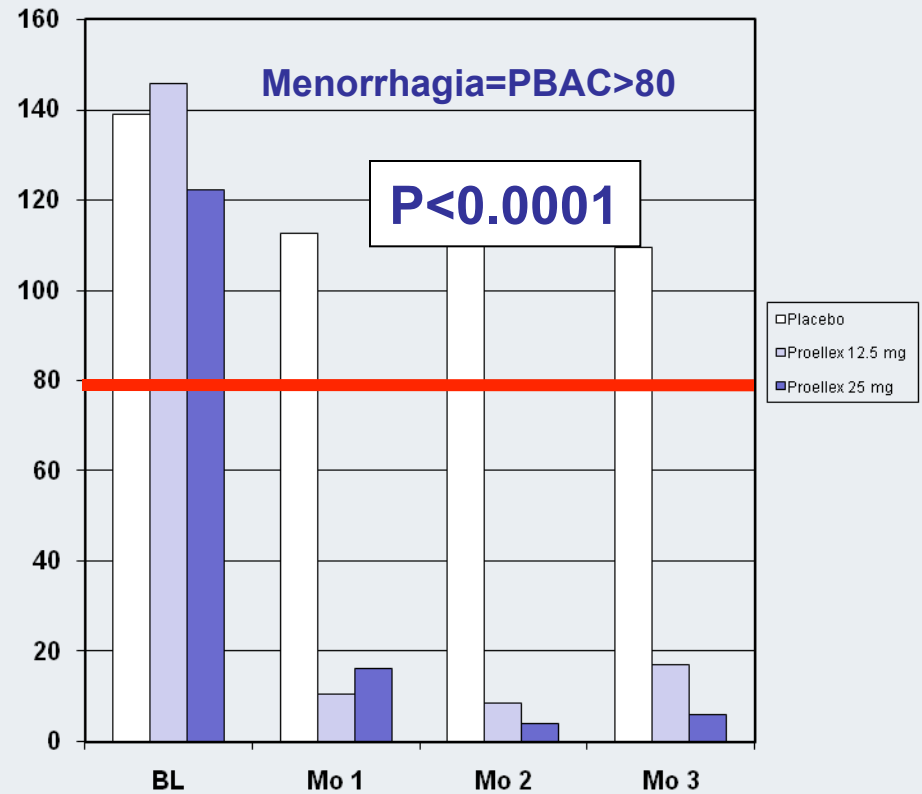
Can a Low Dose Work?

*Key Symptom Driving Women to Seek Therapy
for Uterine Fibroids
“Excessive Menstrual Bleeding”*

Days of Vaginal Bleeding Over 4 Months



European Pilot Study
(n=30)



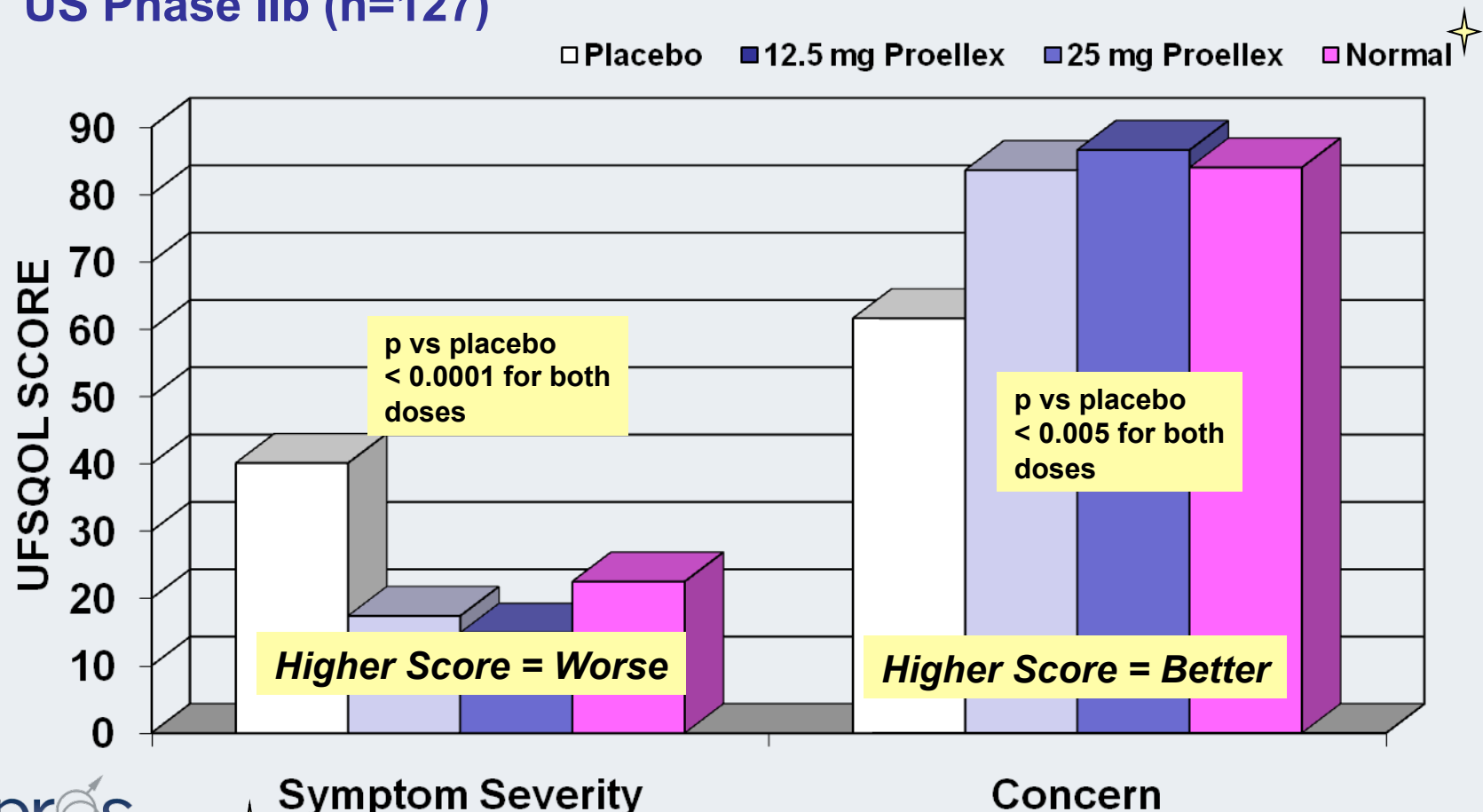
US Phase IIb
(n=127)

•Basis for Commencement of US Phase III Studies

Proellex Produces Significant Clinical Benefit Resulting in Substantially Asymptomatic Uterine Fibroids

UFSQOL Uterine Fibroid Symptom Survey

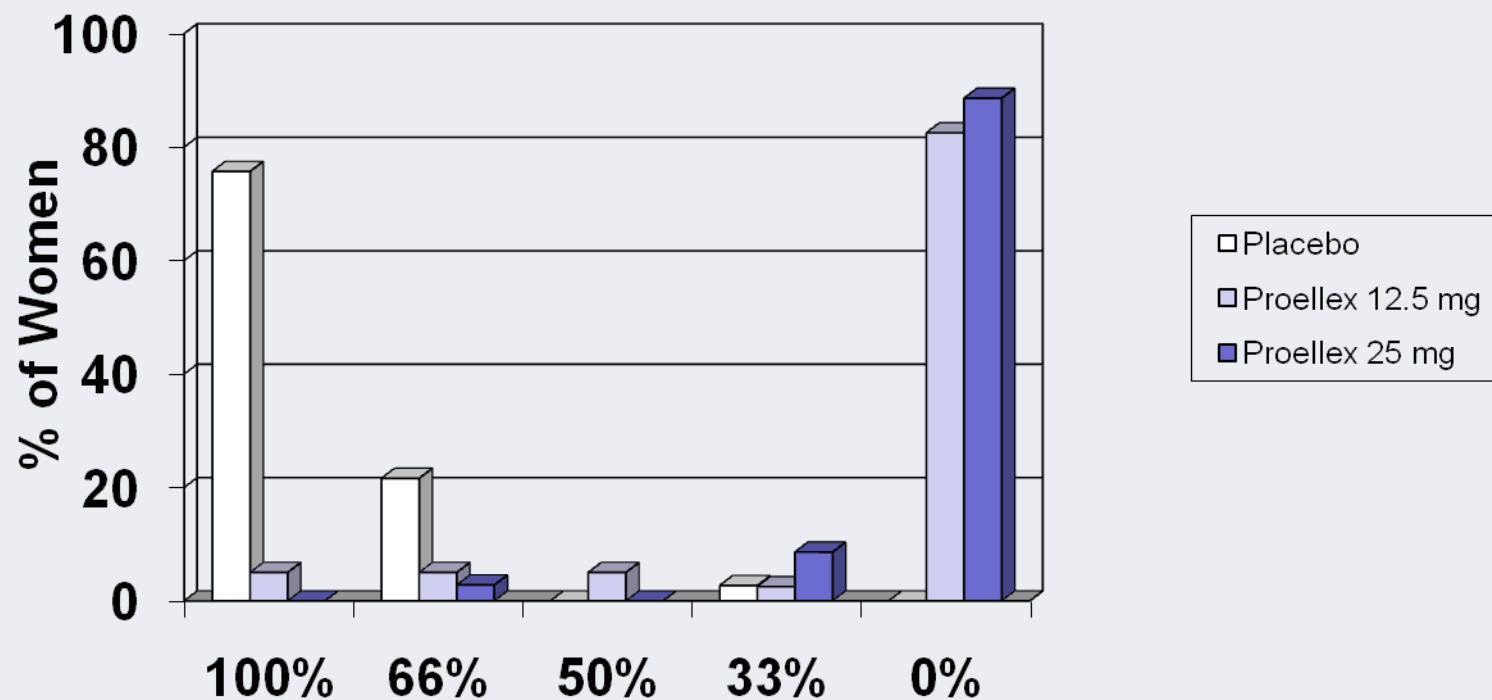
US Phase IIb (n=127)



ZPU-003

Phase II Uterine Fibroid Study

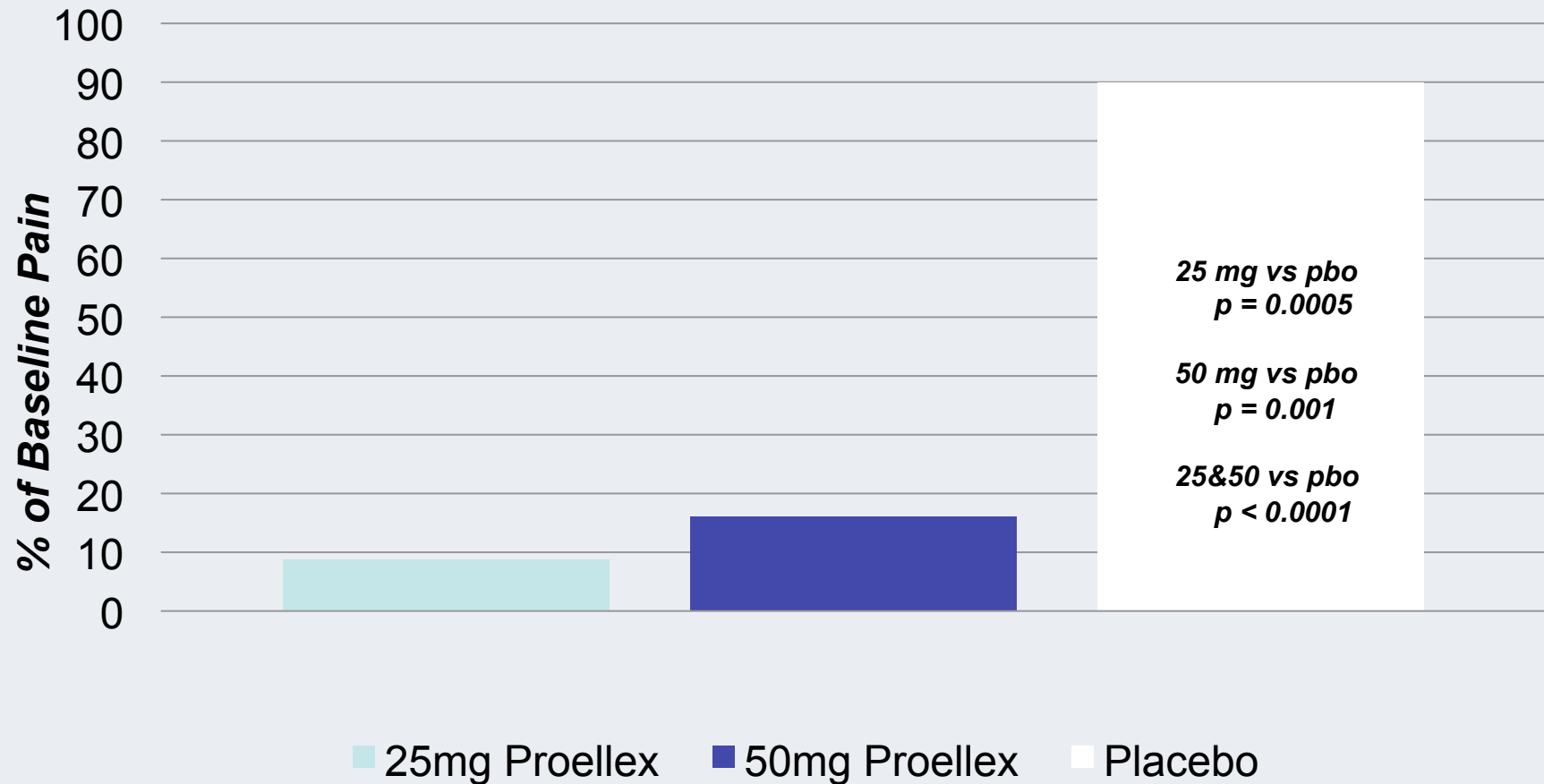
Percent of Women Continuing to Experience Menstrual Cycles



% of Potential Cycles Where Menses Was Observed

- The underlying physiologic outcome of an antiprogestin is to stop menses*
- *Eliminates excessive menstrual bleeding in the case of fibroids*
 - *Eliminates menstrual pain in the case of endometriosis*

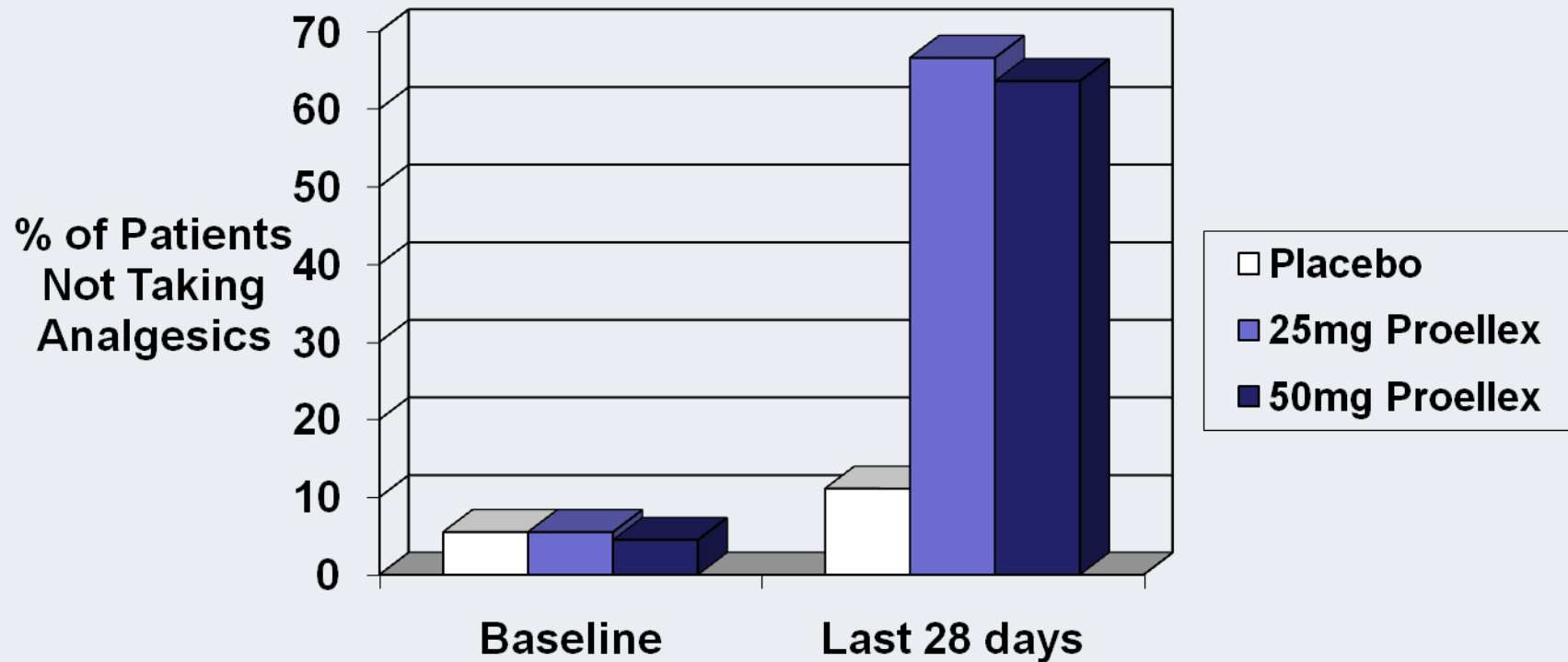
BASELINE vs LAST 28 DAYS DYSMENORRHEA



N = 18 for placebo and 25 mg

N = 22 for 50 mg

% of Analgesic Free Patients Comparison with Baseline



Repros Proellex Strategy “Going Forward”

- **Lift Clinical Hold**
 - Demonstrate significantly reduced exposure and risk at lower doses
 - Conduct short duration (70 days) low dose study to demonstrate effectiveness (cessation of menses)
- **Commence Alternate Route of Administration Studies for Current Lead Molecule**
 - Allow for higher local concentrations to take advantage of dose dependent impact on proliferation and apoptosis for fibroids, endometriosis
- **Commence Screen for Second Generation Drug with Reduced Oral Liver Toxicity**
 - Significant portfolio of modified but related molecules

Repros Financial Status

- Market Cap
 - \$12.7 million on 8/11/10 (Yahoo source)
- Shares Outstanding
 - +35 million on 8/11/10
- Cash on hand
 - ~\$5 million
 - Last until late Q1 2011

Milestones Through 2011

- 9-'10 Government grant (?)
- 1-'11 Vaginal Proellex ready for new IND
- 1-'11 Commence Phase III Androxal studies
- 4-'11 Report interim T2DM Androxal data
- 9-'11 Report 2nd generation Proellex preclinical findings
- 10-'11 Complete low dose Proellex study (regular reports during year)
- 11-'11 Report final results for Androxal T2DM study
- 12-'11 Complete Phase II vaginal Proellex study
- 12-'11 Report results from first Phase III Androxal study

Corporate Goals for Period

- **Recapitalize Company (estimated burn during period \$12 million)**
- **License Androxal**
- **Commence licensing discussions for Proellex program**

Repros Summary

- Androxal Moves To Phase III Efficacy Studies With FDA Agreement on Indication
- Phase II Study for Androxal in Type II Diabetes Initiating
- Full Clinical Hold on Proellex Lifted
 - Multiple approaches to debug program
- Cash to late Q1
 - Application pending for Qualifying Therapeutic Discovery Project (up to \$5 million, late October '10)
 - If full amount awarded cash to late '11