



*Developing therapeutics to treat hormonal
and reproductive system disorders*

**Rodman & Renshaw 13th Annual Health Care Conference
September 12th 2011**

**Joseph S. Podolski
President and CEO**

Safe Harbor Statement

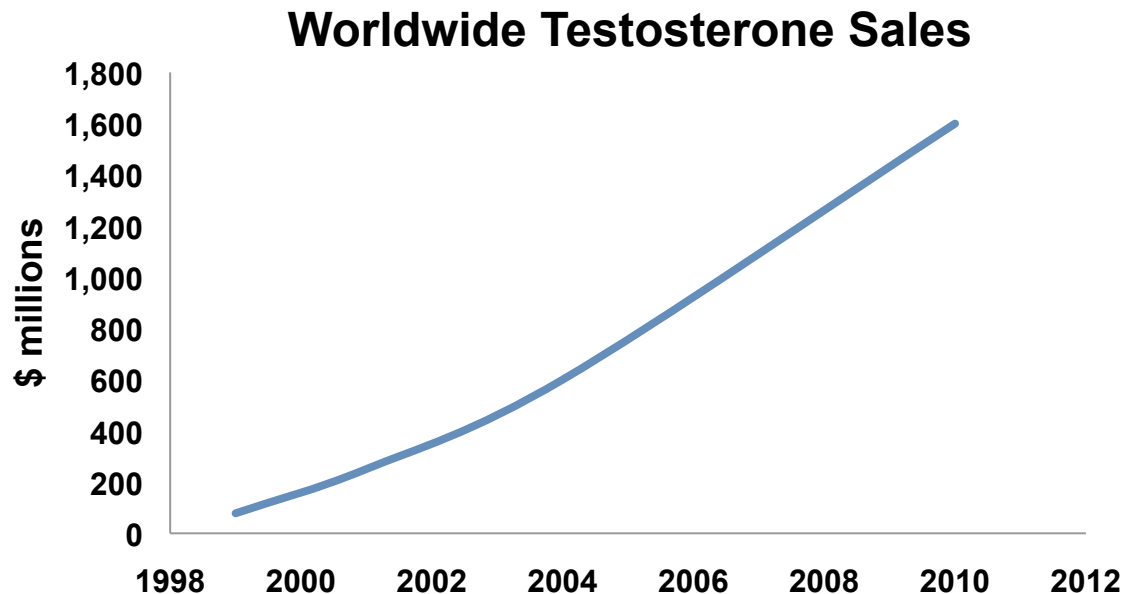
Any statements that are not historical facts contained in this release are forward-looking statements that involve risks and uncertainties, including Repros' ability to have the partial hold on Proellex® lifted and to determine a safe and effective dose for Proellex®, raise needed additional capital 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.

Investment Highlights

- **Focused strategy: small molecule therapeutics for endocrine and reproductive disorders**
- **Two late stage clinical programs with \$1B+ sales potential**
- **Androxal[®]: oral treatment for endocrine disorders (\$1B+ market)**
 - Normalization of testosterone (T) levels in treatment of 2^o hypogonadism (most common cause of low T)
 - Impact of restoration of testicular function on glycemic control in Type II Diabetic men with low testosterone
- **Proellex: oral treatment for female reproductive disorders (\$5B+ market)**
 - Chronic relief of uterine fibroid symptoms
 - Fibroid de-bulking
 - Chronic relief of the symptoms associated with endometriosis
- **Substantial clinical news flow in the next 12 months**

Testosterone Market Continues to Grow

- **Current worldwide sales >\$1.6B**
- **25% compound annual growth**
- **US accounts for 75% of global sales**
- **Major pharmaceutical companies have moved to capture US opportunity**
 - **Abbott acquired Solvay (Androgel), Lilly licensed global rights to Axiron®**



Causes of Low Testosterone


Primary-Gonadal

Low T & Elevated LH

- **Congenital- Klinefelter's and variants**
- **Mumps and other viruses**
- **Trauma**

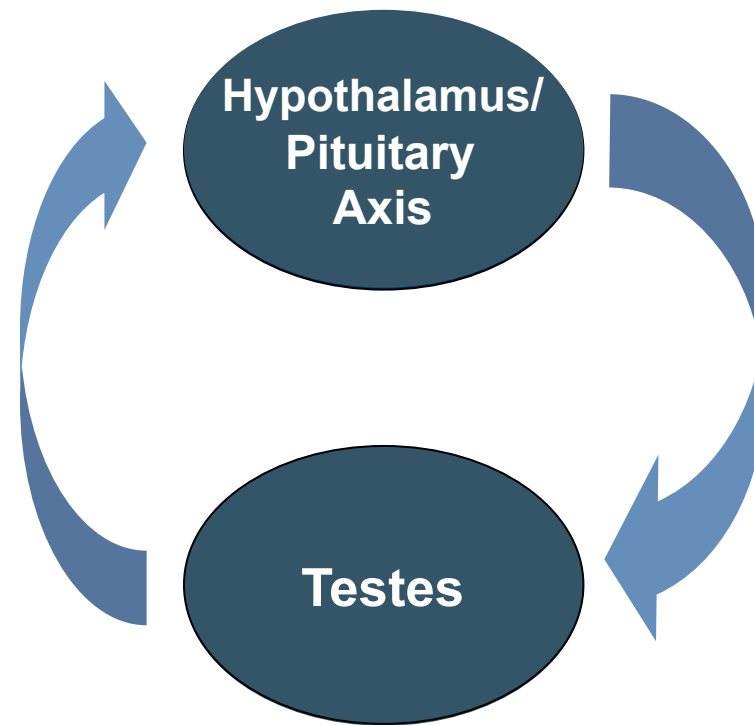
Secondary Central

Low T & Low LH

- **Pituitary- Hypothalamic**
 - **Aging**
 - **Lupron**
 - **Diabetes Mellitus**
- 

Courtesy of Richard F. Spark MD, FACE
Beth Israel Deaconess Medical Center
Harvard Medical School

Secondary Hypogonadism

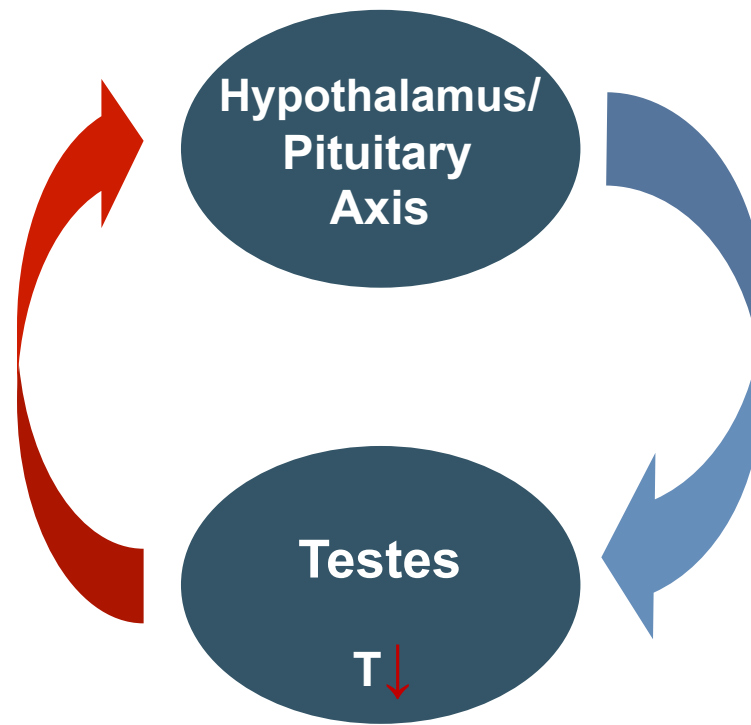


- Luteinizing hormone (LH) drives Leydig cell production of testosterone
- Follicle Stimulating Hormone (FSH) drives spermatogenesis in the Sertoli cells of the testes

- Majority of men with low T have secondary hypogonadism
- Results from a hypothalamic/pituitary defect
- LH & FSH secretions are low to low normal
- Testosterone Levels <300ng/dl
- Men with secondary hypogonadism are typically still fertile

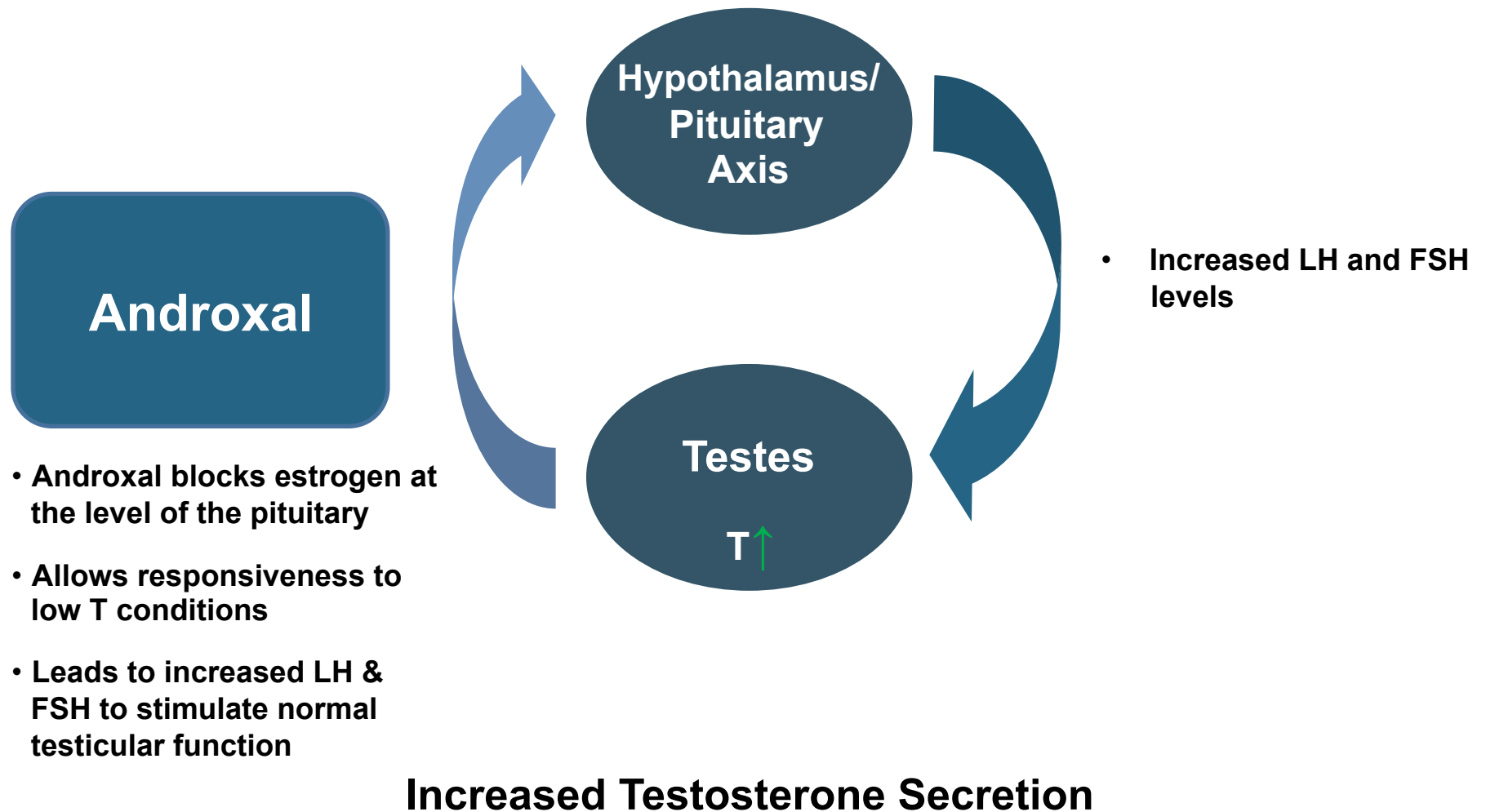
Traditional HRT May Contribute to Male Infertility

- Exogenous testosterone and **endogenous estrogen** provide negative feedback
- Pituitary secretions decrease or shut down
- Testicular function decreases



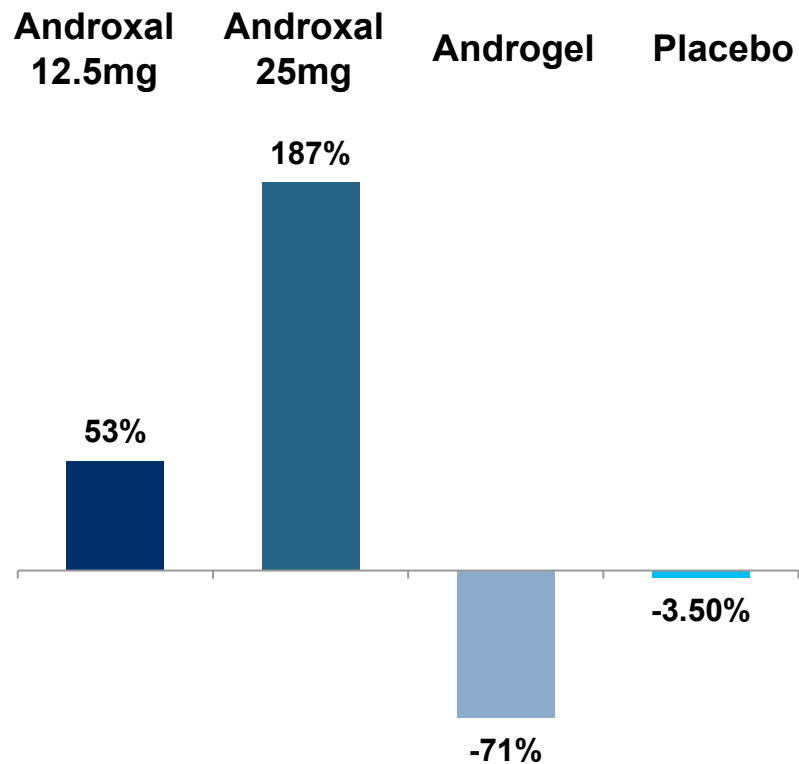
**Leydig Cell Activity Suppressed
Spermatogenesis Suppressed Leading to Infertility**

Androxal Boosts Endogenous Testosterone

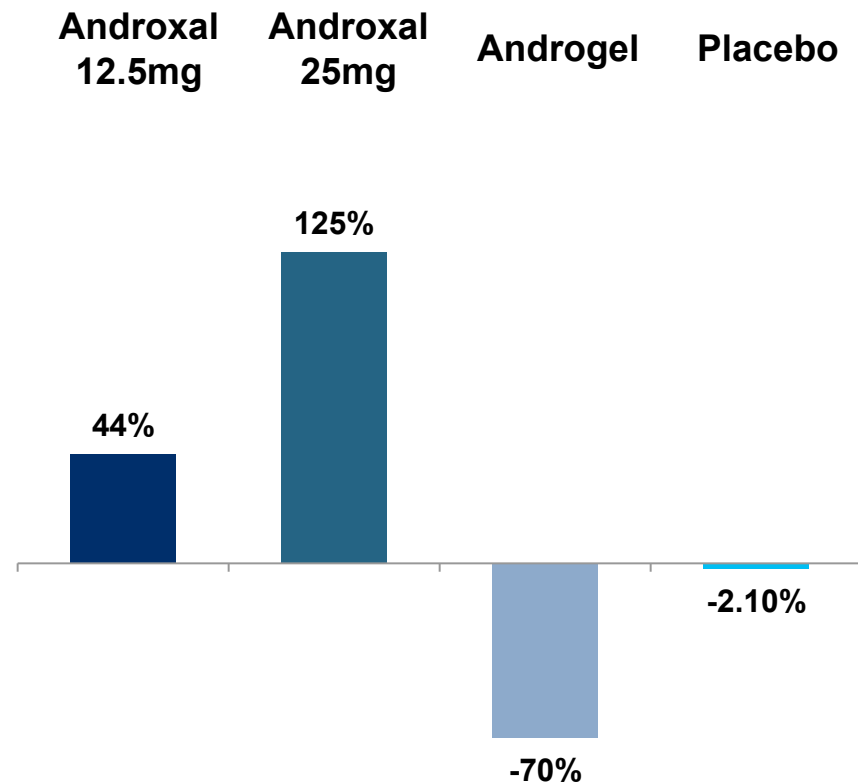


Androxal Improves Pituitary-Testes Signaling

% Change from Baseline in LH after 3 mo. of Treatment



% Change from Baseline in FSH after 3 mo. of Treatment



Evolving FDA Requirements for Endpoints

- 2005: 24hr Serial Testosterone to determine average and maximum concentration
- 2005 (+1): Testosterone endpoint not acceptable because Androxal is not testosterone
- 2007: Even though Androxal is non inferior to Androgel with numerous advantages, T still not an acceptable endpoint
- 2010: Endocrine Division accepts IND for to study Androxal's glycemic effects in diabetic men
- Nov. '10: Urology Division accepts testosterone as an endpoint for studies of Androxal in the treatment of secondary hypogonadism

Outcome of FDA Nov. 8, 2010 Type B Meeting

- FDA agrees with general plan
- FDA notes that Repros can proceed to Phase III but it will do so at its own risk
- FDA recommends Phase IIb study in men naïve to testosterone treatment before moving to Phase III under an SPA
 - Confirm $T < 250$ ng/dl on two occasions separated by at least 10 days
 - Primary efficacy endpoint
 - Morning testosterone compared to baseline
 - Repros must confirm morning T predicts T_{max} and T_{avg}
 - Change in reproductive status is a safety endpoint
 - Comparison of two doses of drug to placebo and open label topical testosterone
- **Repros is following FDA recommendation**

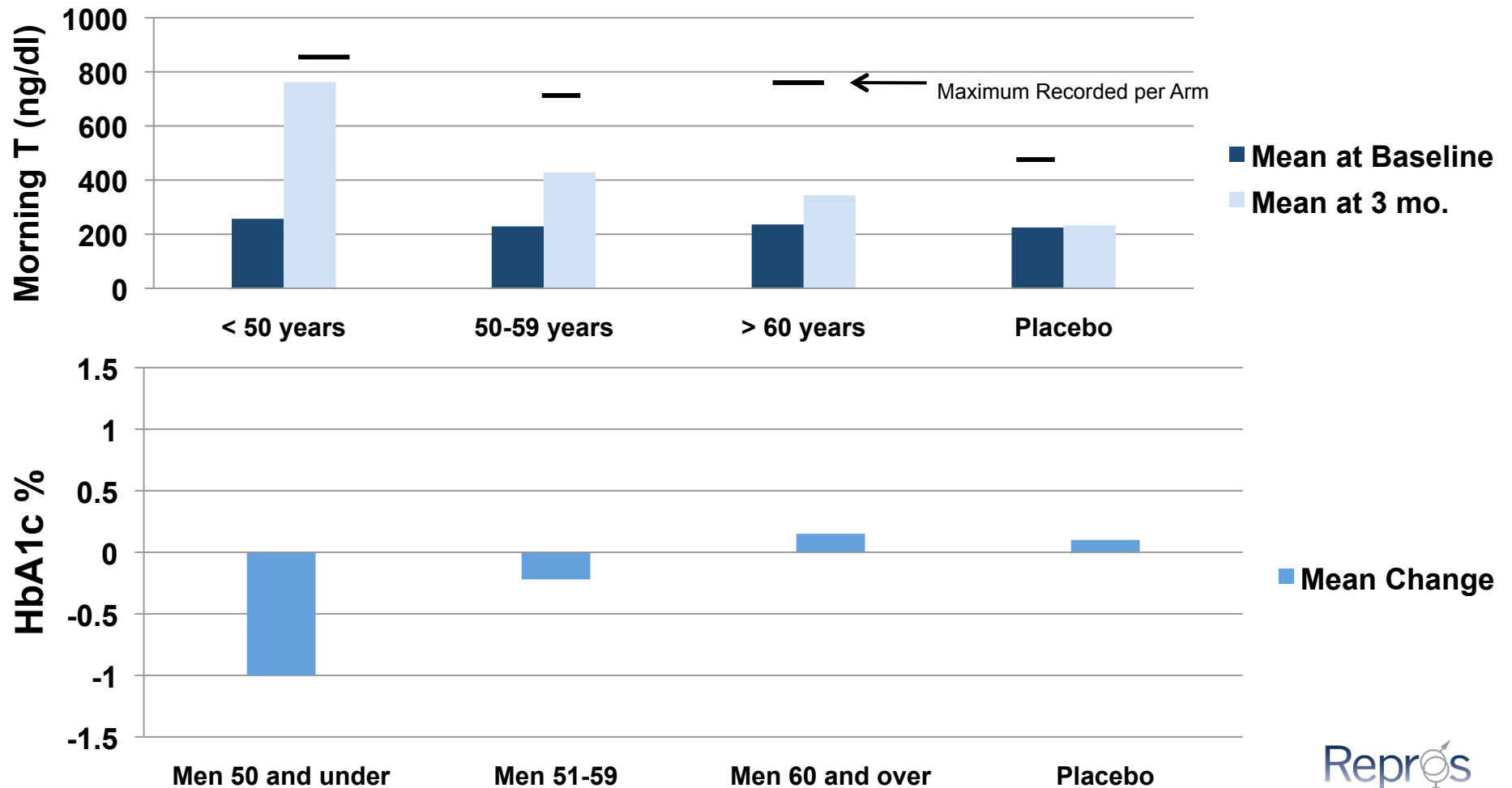
Current Status: Ongoing Androxal Studies

- **ZA-202 Phase IIb Double Blind Comparison of Two Doses of Androxal to Placebo in Type 2 Diabetic Men**
 - 12 week dosing period, 115 randomized, enrollment stopped 8/31/11
 - Endpoints: Effect on testosterone, Effect on HbA1c
 - Interim results reported
 - Top line end of study results around year end 2011
- **ZA-203 Phase IIb Double Blind Comparison of Two Doses of Androxal to Placebo and Open Label Testim**
 - 12 week dosing period, 126 randomized, enrollment stopped 8/31/11
 - Endpoints: Efficacy: Effect on testosterone, Safety: Effect on Sperm
 - Blinded data reported in presentation (Testim arm is open label)
 - Top line end of study results around year end 2011
- **ZA-204 Single Blind Comparison of Three Doses of Androxal to Baseline and Open Label Androgel to Baseline**
 - 6 week dosing period, fully enrolled (60 randomized, 15 per arm)
 - Endpoints: 24 hour testosterone (Tmax, Tavg)
 - Top line end of study results November 2011

ZA-202 Interim Assessment (n=61)

Comparison of Two Doses of Androxal to Placebo in Type 2 Diabetic Men

(HbA1c impact in men with BMI < 45)
 Mean Morning Testosterone in Androxal Arms by Age vs Placebo

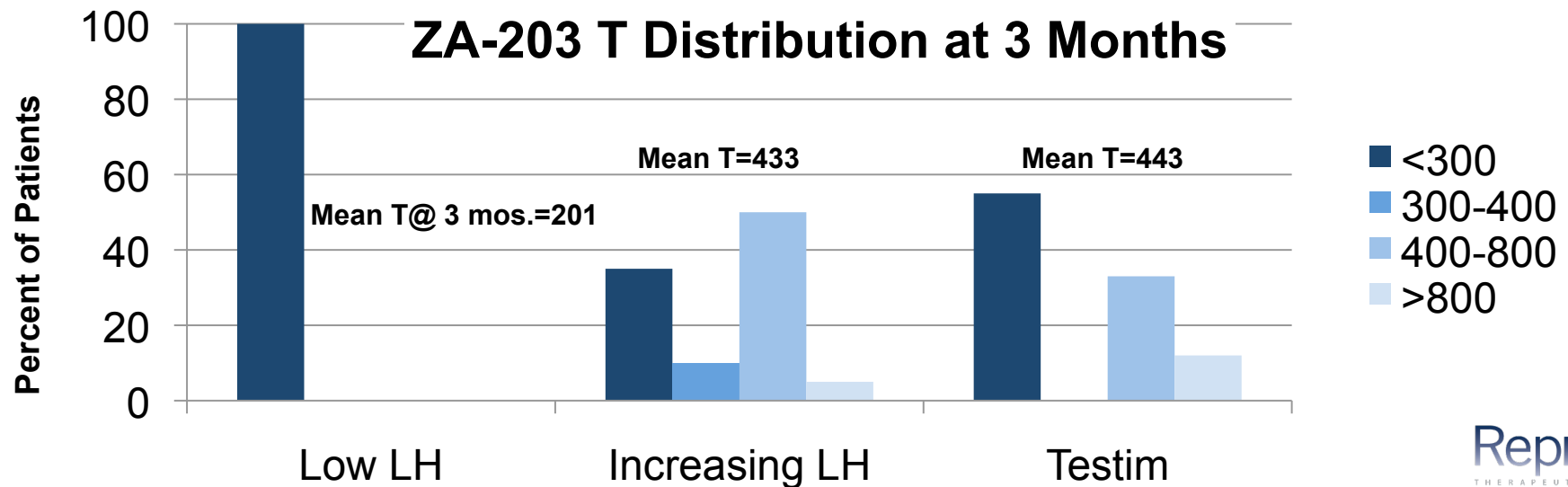
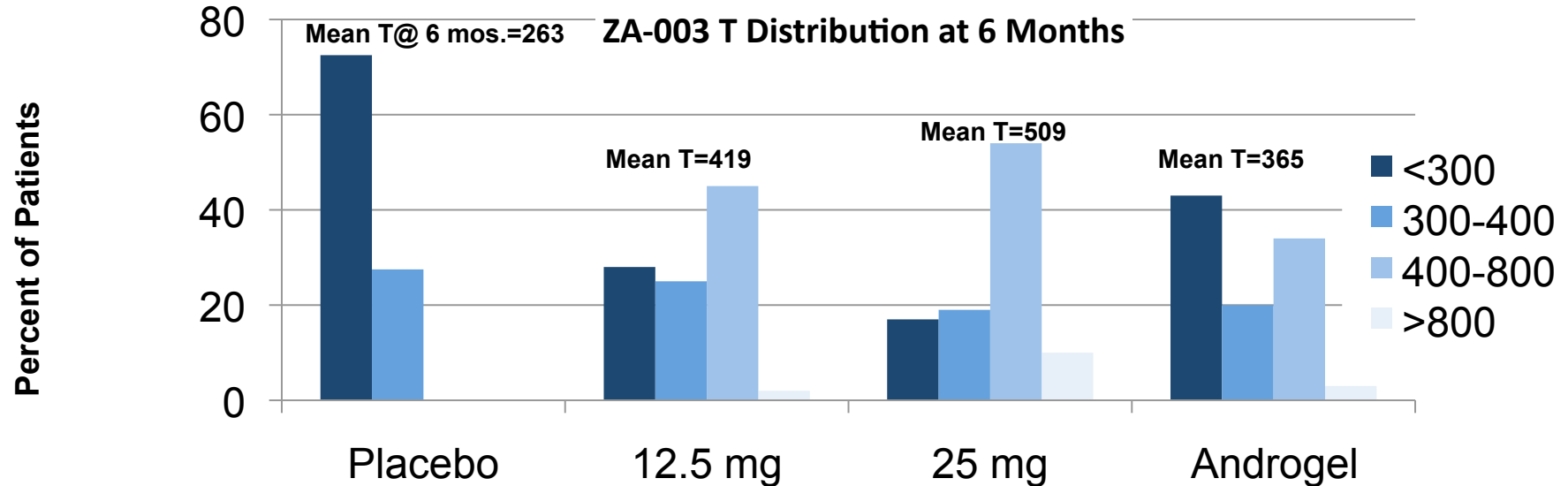


ZA-203 Phase 2b Trial Design

- Up to 120 subject, four arm double blind placebo controlled study comparing two doses of Androxal to placebo and **open label Testim** at 19 clinical sites
- In men with:
 - Confirmed morning T<250ng/dl on two occasions separated by at least 10 days
 - Naïve to T treatment
- Primary Efficacy Endpoint: Morning Testosterone
- Primary Safety Endpoint: Impact on fertility status
- *Over 900 subjects screened to randomize 126*
 - *~8 screen failures per randomized subject due to fluctuating T at baseline*

ZA-003 Study Experience

25mg Androxal Statistically Superior to Both Placebo and Androgel

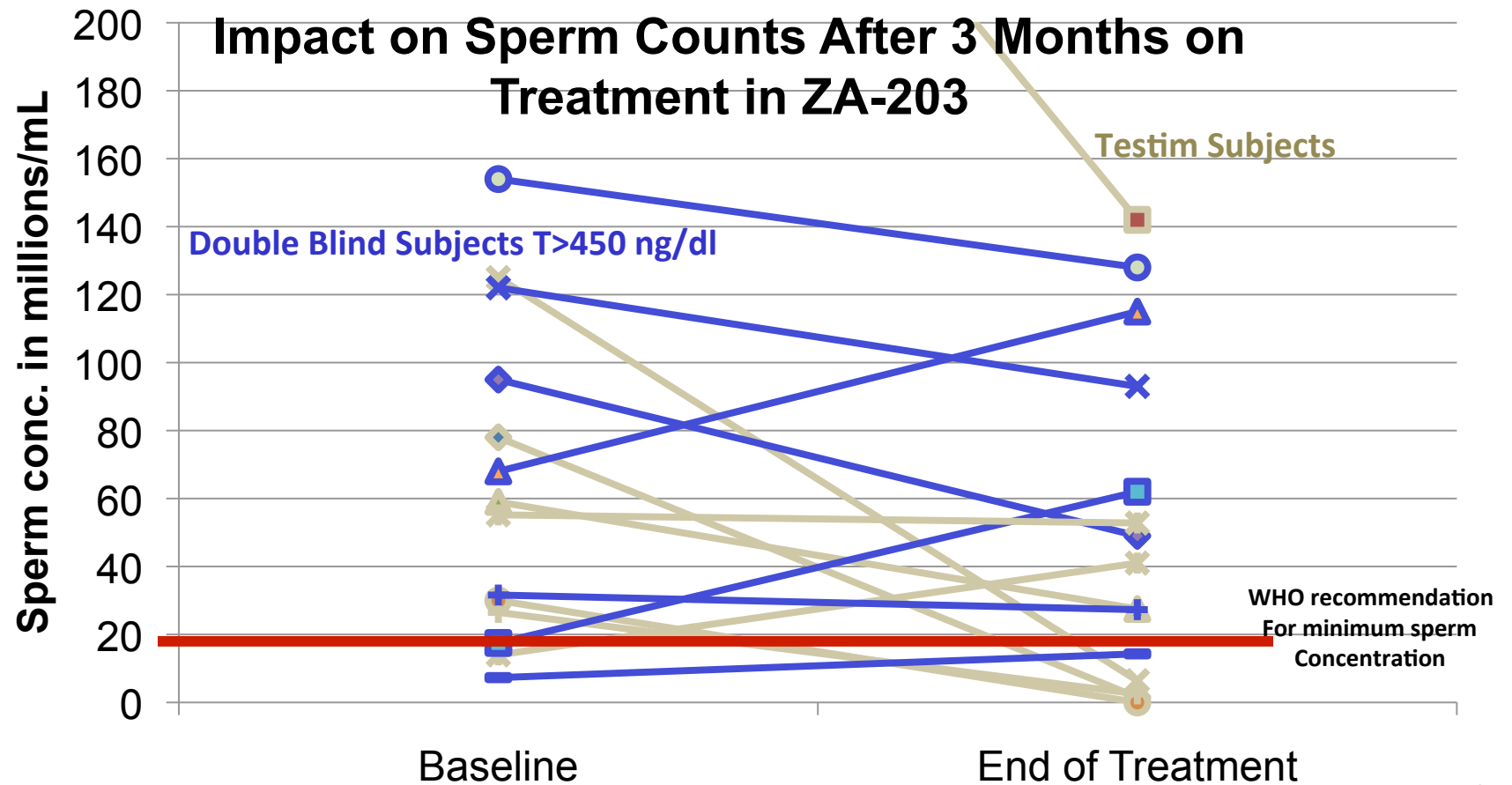


ZA-201

FDA response to ZA-201 study report

“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



Androxal Profiles Favorably vs. Current Gels/Creams

	T Gels/Creams	Androxal
Administration	Applied to Skin	Oral ✓
Controlled Substance	Yes	No ✓
Infertility Risk	Yes	No ✓
Shrinks Testes	Yes	No ✓
Sexual Partner Risk	Yes*	No ✓
Unpredictable Peak Testosterone	Yes	No ✓
Potential for Abuse/Super-Normal Levels	Yes	No ✓
Prostate Effects	Yes	No ✓
Worsens Secondary Hypogonadism	Yes	No ✓

* Included within "Black Box" warning on product label

Favorable Reimbursement Profile for Androxal

- **Anticipated Androxal pricing of \$170-350/month would be competitive with Androgel**
- **Androxal's oral administration and non-chronic use offer overall cost savings vs. currently available treatments**
- **Third party assessment of payers indicates vast majority (>90%) would add Androxal to formularies**

Androxal Product Summary

- **Oral therapy for hypogonadism with differentiated mechanism of action**
- **Does not cause infertility like hormone replacement**
- **Induces testosterone production to serum levels comparable to standard of care**
- **Patent protection to 2023**
- **Phase 3 plan review with FDA expected March 2012**

Recent Proellex Data Confirm Clinical Promise

- 46 patients treated to date on lower doses of Proellex (1, 3, 6, and 9mg)
 - Highest dose arm (12mg) begins enrollment in Sep 2011
- No liver toxicity detected to date
- No dose dependent elevation of liver enzymes detected
- Consistent efficacy signals seen in doses as low as 3 mg

P3 studies of optimal oral Proellex dose in 3 potential indications:

**Menorrhagia
(excessive
menstrual
bleeding)**

**Dysmenorrhea
(menstrual pain)**

Endometriosis

Vaginal Proellex – Development Plan

Animal studies predict vaginal administration avoids 1st pass liver effects and exhibits enhanced anti-proliferative effects on progesterone sensitive tissue

Additional P2 study to select best of 3 Vaginal Proellex doses

**Proellex
3 mg**

**Proellex
6 mg**

**Proellex
12 mg**



Eliminate uterine fibroid symptoms with > 50% size reduction of large fibroids and disappearance of small fibroids

Financial Summary

- **Cash and equivalents** (as of 9/1/11, unaudited) = \$8M
- **Cash burn** = ~\$10M/year (2011 YTD = ~\$6.5M)
- **Cash runway** = ~10 months, to beginning Q3 2012
- **12.32 MM shares outstanding, 15.21 MM fully diluted**
 - **Warrants outstanding = 1.75MM Series A (Purchased in unit deal @\$2.46) + 1.69MM Series B (@\$2.49 with cashless exercise provision)**
 - **Forced warrant strike at \$8/share**

Upcoming Milestones

24-hour P2 assessment data on Androxal	Q4 2011
Complete P2b data on Androxal in diabetic men	Q4 2011
P2b data on Androxal for hypogonadism	Q4 2011
Low dose P2 data on Proellex	Q4 2011
Initiate P2 study on vaginal Proellex for uterine fibroids	Q4 2011
Initiate P3 studies of Androxal for hypogonadism	Q2 2012
Initiate pivotal studies on low dose Proellex for endometriosis	H2 2012