



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

# Repros Disclaimer

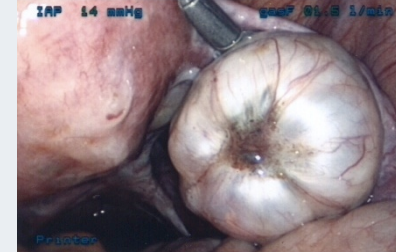
*Any statements that are not historical facts contained in this release are forward-looking statements that involve risks and uncertainties, including Repros' ability to have the partial hold on Proellex® lifted and to determine a safe and effective dose for Proellex®, raise needed additional capital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

# Product Development Highlights

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

# Fibroids and Endometriosis

## *Major Unmet Female Disorders*



- **Benign, monoclonal, hormone sensitive, smooth muscle tumors of the uterus**

**Most common tumor of the female reproductive tract**

**Heavy bleeding / anemia**

**Abdominal pressure / pain / urinary frequency**

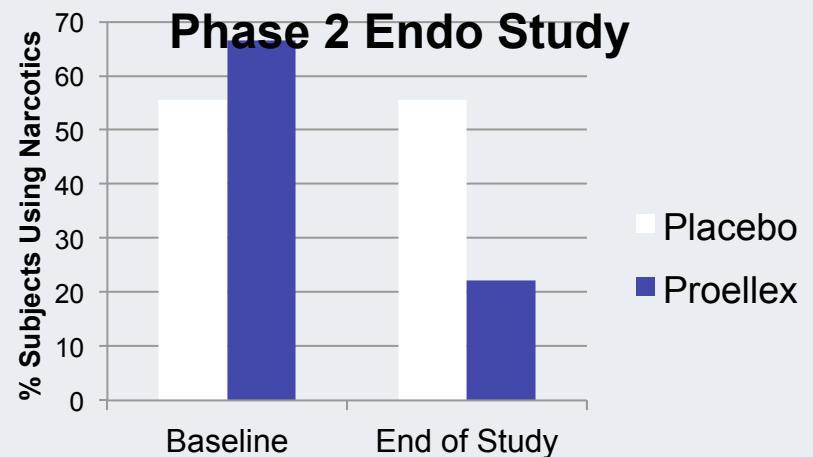
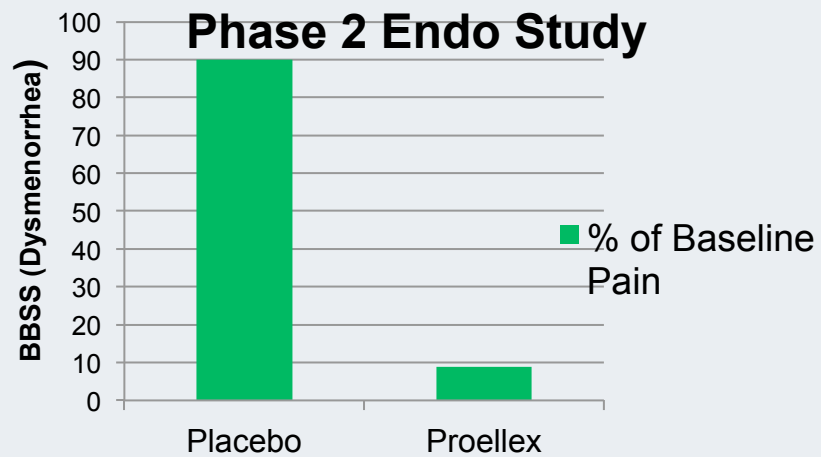
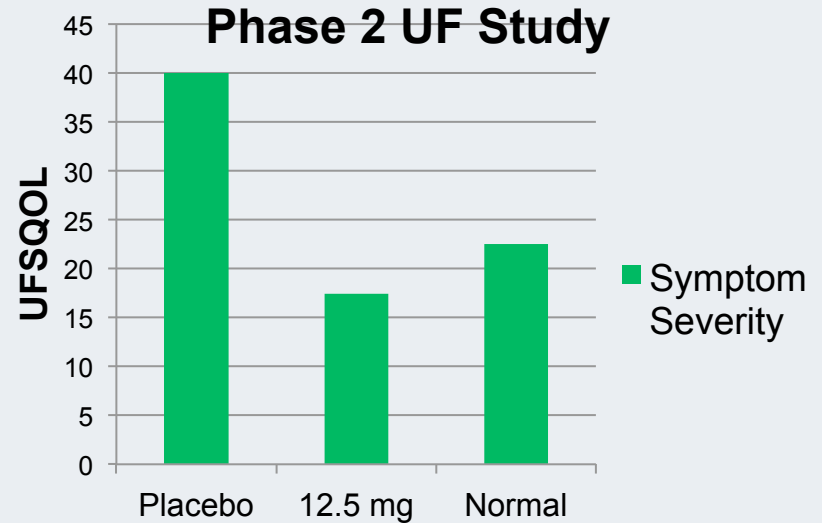
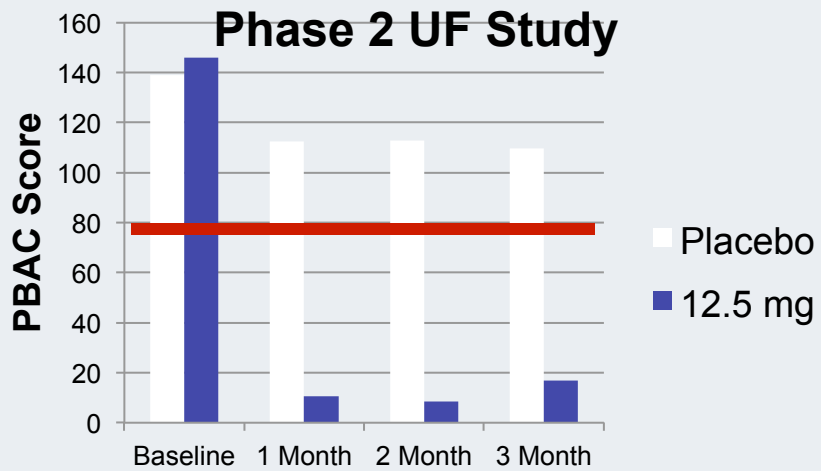
- **Affect 20-77% of women age 35 – 55**
- **600,000 hysterectomies conducted annually in the US**

- **5% of women of reproductive age**
- **Estimated that 25 - 40% (2 – 4 million) of infertility cases may be due to endometriosis**
- **71 - 87% in women with chronic pelvic pain**
- **53% of teenagers with dysmenorrhea**
- **Many women have it without the diagnosis**
- **Unmet medical need**
  - **Oc's, Lupron, Danazol**
  - **Laparoscopic procedures**
- **High recurrence rate after treatment**

# Proellex Development Status

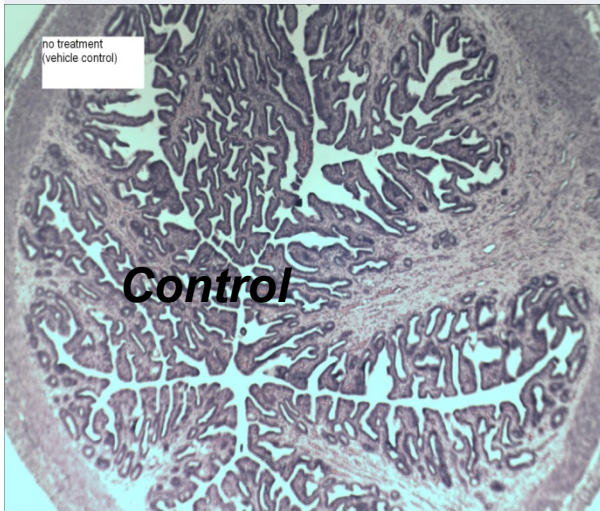
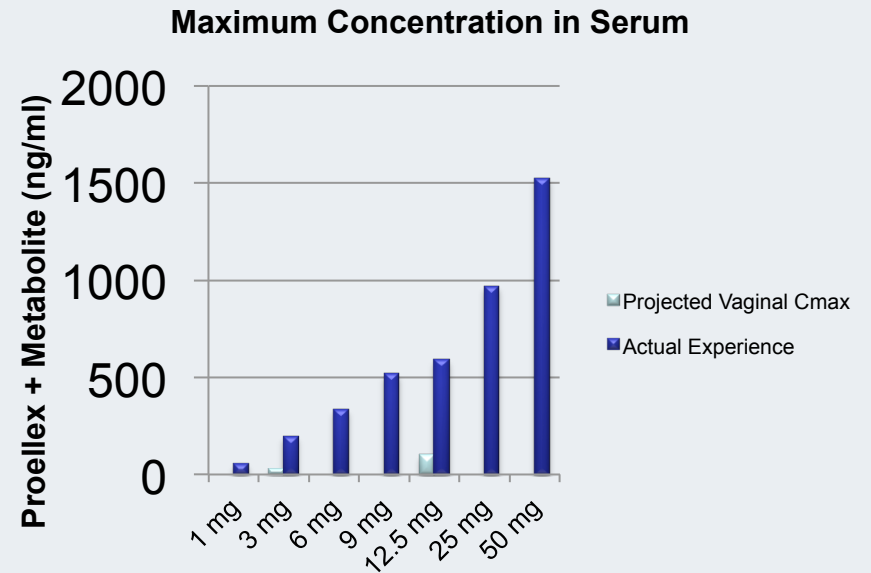
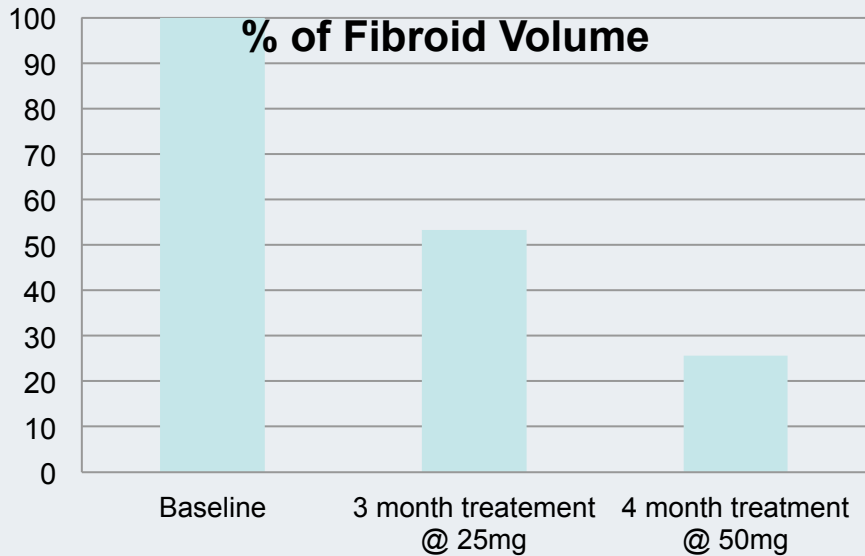
- Complete Phase 2 Low Dose Trial by Year End
  - Hold Type B meeting with FDA Q2-12
  - Commence Phase 3 Study for endometriosis in 2012
- IND for Phase 2 Vaginal Delivery Product for Treatment of Uterine Fibroids Submitted
  - Expect IND Effective by Year End
  - Expect Type B meeting with FDA by end of 2012
- Pre-Clinical Package Complete
  - Includes 2 carcinogenicity studies
- Composition of Matter and Use Patents
  - Patent life to the mid 2020's

# Can Low Dose Proellex Work?





# So Why Pursue Vaginal Delivery?



# Proellex Summary

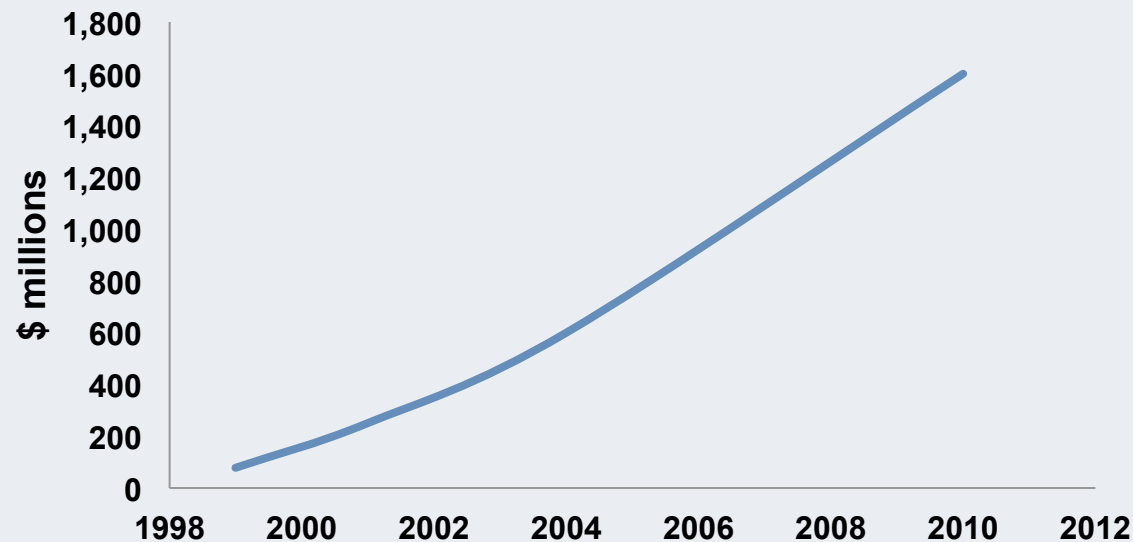
- Highly Effective Treatment for Both Fibroids and Endometriosis
- Large Unaddressed Markets
- Growing Patent Estate
- Moving to Phase 3



# 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®*

**Worldwide Testosterone Sales**



# Causes of Low Testosterone

*It's really obesity*

## *Primary-Gonadal*

*Low T & Elevated LH*

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

## *Secondary Central*

*Low T & Low LH*

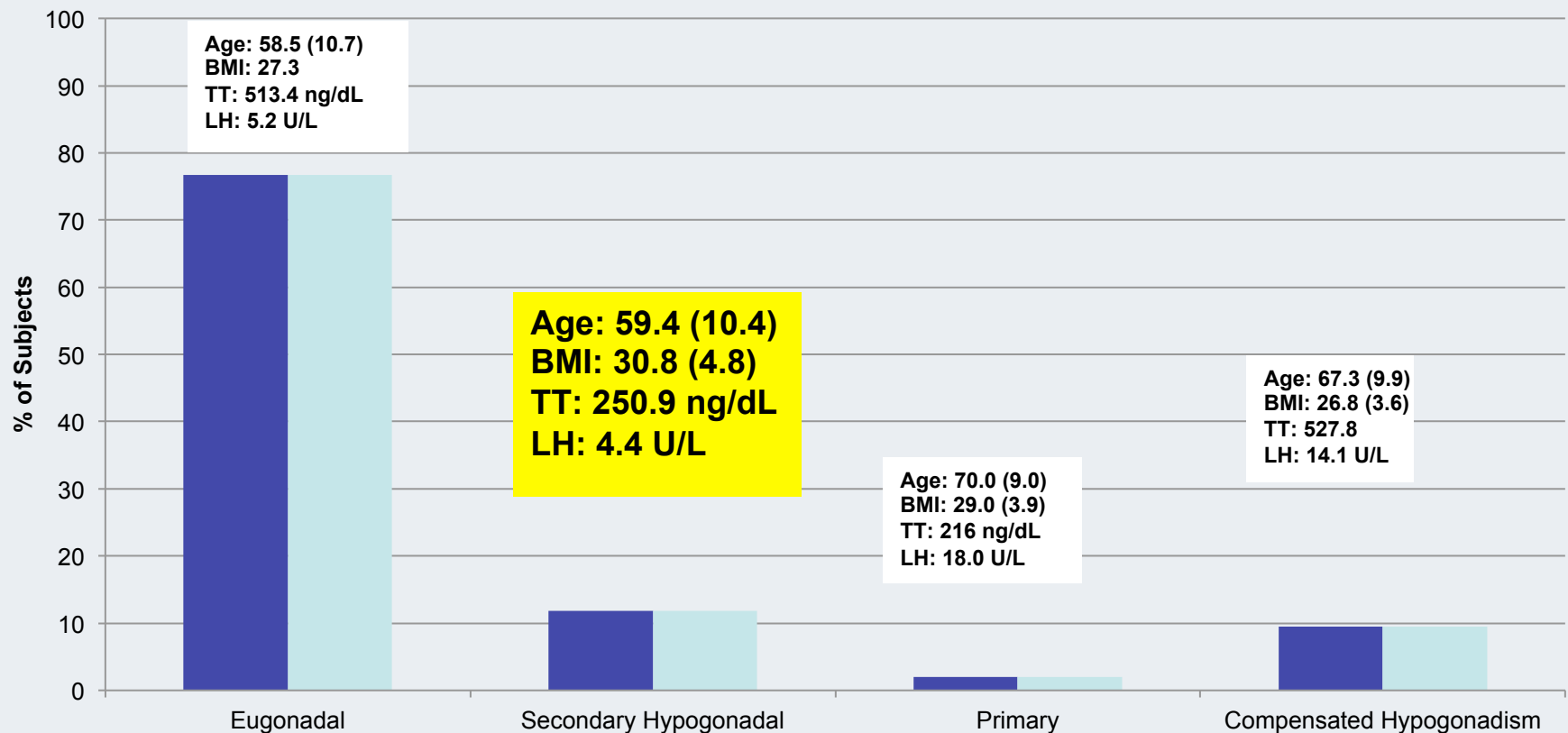
- ***Pituitary- Hypothalamic***
- ***Aging ?***
- ***Lupron***
- ***Diabetes Mellitus***

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

# European Male Aging Study

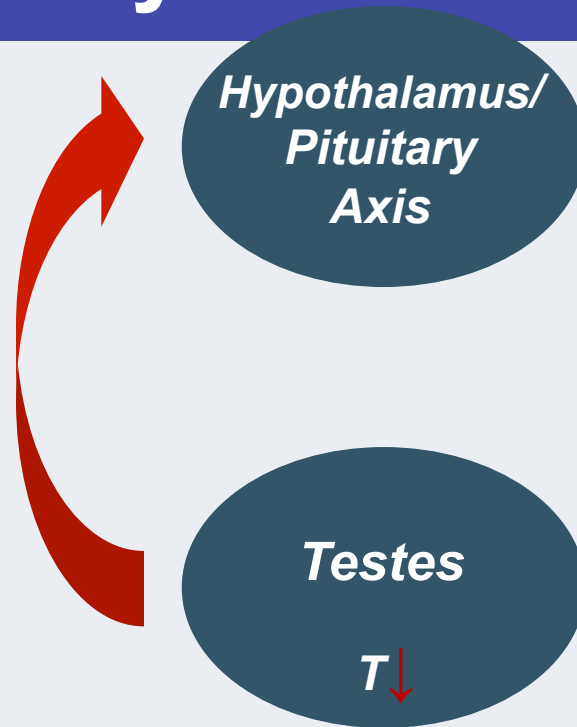
*Distribution and Selected Characteristics of Men Ages 40-70 (Tajar et al)*

Data derived from over 3000 men



# Traditional HRT May Contribute to Male Infertility

- **Endogenous estrogen** provides negative feedback
- **Exogenous testosterone** results in decrease or shut down of pituitary secretions
- **Testicular function** decreases or shuts down

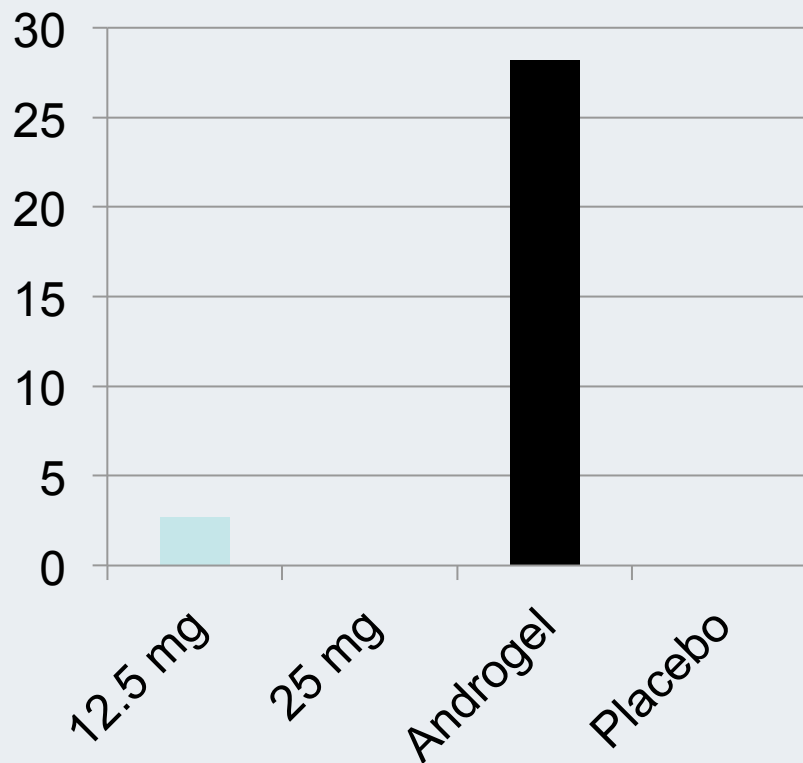


**Leydig Cell Activity Suppressed  
Spermatogenesis Suppressed Leading to Infertility**

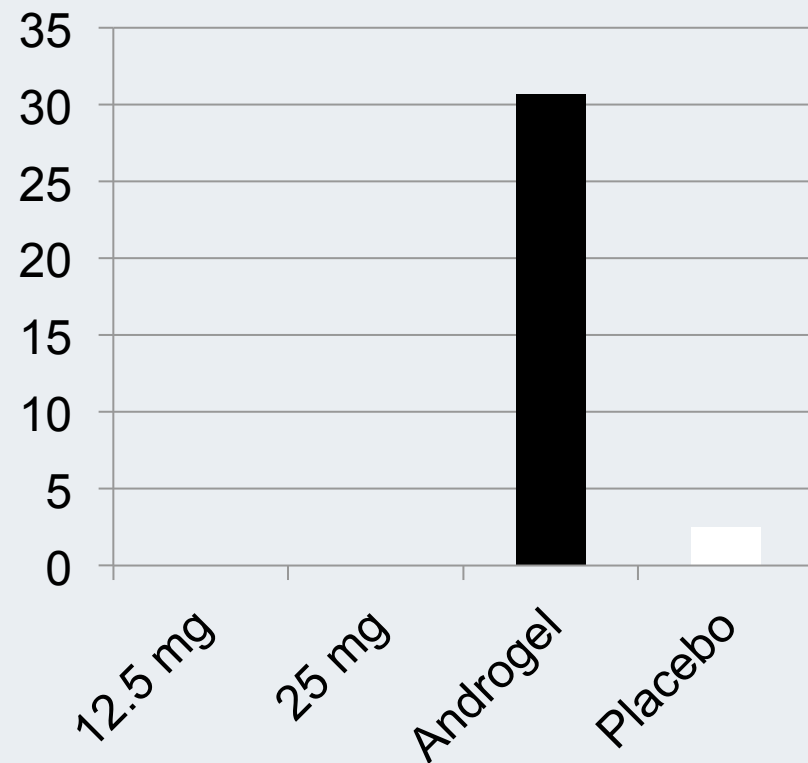
**Androxal blocks estrogen at  
the level of the pituitary**

# Exogenous T Castrates at the Level of the Pituitary

**% of Men with Undetectable FSH**



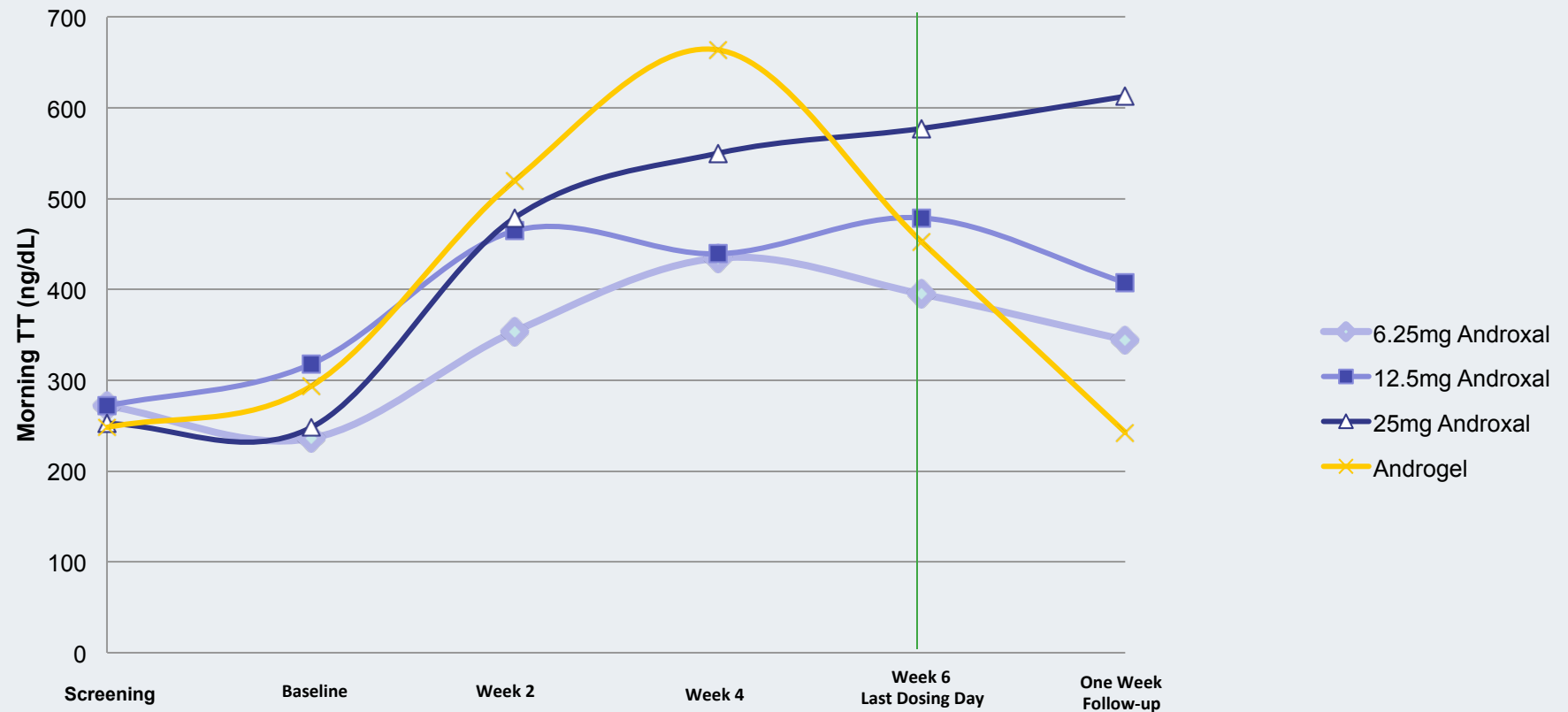
**% of Men with Undetectable LH**



# ZA-204

## 6 Week Study with 24 hour TT assessment at Baseline and Week 6

Fig. 14: Mean Morning TT Over Time (ZA-204)



# Androxal Profiles Favorably vs. Current Gels/Creams

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

\* Included within "Black Box" warning on product label



# Androxal Status

- Type C Meeting with DRUP & DMEP this Year
- Poised to Move to Phase 3
- Highly Differentiated Product
  - Potential Metabolic Benefits
- Existing & Pending Patents to mid 2020's

# Repros Summary

*Two Highly Differentiated and  
Patent Protected Products  
Addressing Large Markets  
Poised to Move to Phase 3*