



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

# Repros Disclaimer

Any statements made by the Company that are not historical facts contained in these slides (or in any oral accompanying discussion) are forward-looking statements that involve risks and uncertainties that could cause actual results to differ materially from the results expressed or implied by such statements, including the ability to raise additional needed capital on a timely basis in order for it to continue to fund development of its Androxal® and Proellex® programs, the ability to have success in the clinical development of its technologies, the reliability of interim results to predict final study outcomes, and such other risks which are identified in the Company's most recent Annual Report on Form 10-K and the subsequent quarterly report on Form 10-Q and in the prospectus supplement and the accompanying prospectus included in the registration statement mentioned below. 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.

In this presentation, we rely on and refer to information and statistics regarding the pharmaceutical industry. We obtained this information and these statistics from third-party sources, which we have supplemented where necessary with information from publicly available sources and our own internal estimates. Industry publications and surveys generally state that they have obtained information from sources believed to be reliable, but do not guarantee the accuracy and completeness of such information. While we believe that each of these studies and publications is reliable, we have not independently verified such data, and we make no any representation as to the accuracy of such information. Similarly, we believe our internal research is reliable, but it has not been verified by any independent sources.

# Investment Highlights

- **Focused strategy: small molecule therapeutics for reproductive disorders**
- **Two late stage clinical programs each with +\$1B sales potential**
- **Androxal<sup>®</sup> : PHASE 3 (SPA) oral treatment for Low Testosterone**
  - Patented and pending patent's life to the mid 2020's
  - Growing +\$2B market
  - Restoration of testicular function and testosterone levels in treatment of 2<sup>o</sup> hypogonadism (most common cause of low T)
- **Proellex: PHASE 2 treatment for uterine fibroids and endometriosis**
  - Pending patent/patent life to the mid 2020's
  - +\$5B market
  - Fibroid de-bulking and chronic relief of symptoms associated with uterine fibroids, endometriosis
  - Potential breast cancer intervention
- **Key late stage clinical & regulatory events driven news flow in 2013**

# Testosterone Market and Androxal Overview

- US market for low testosterone exceeds \$1.5 billion
- Only approved non invasive therapies are hormone replacements
- Repros believes 85% of hypogonadal men experience low T due to an endocrine disorder
  - These men have functional but un-stimulated testes
  - Hypothalamic-pituitary suppression due to estrogen
- **Androxal is the only oral medication in development that treats the underlying disorder for the majority of hypogonadal men**

# Who are the men using testosterone?

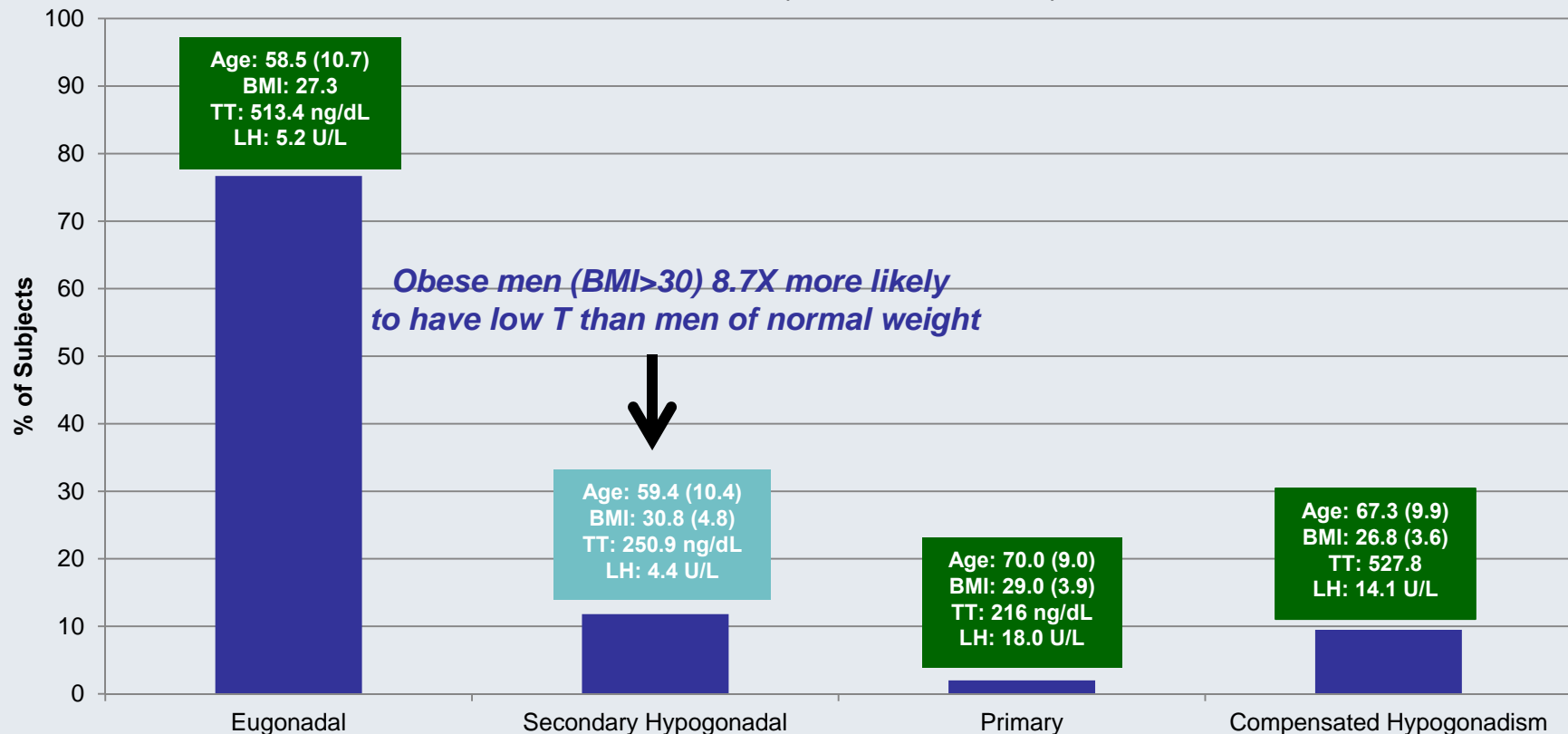
European Male Aging Study

Distribution and Selected Characteristics of Men Ages 40-79 (Tajar et al)

## Data derived from over 3000 men

Overweight BMI > 25 (6' 190# male BMI=25.8)

Obese BMI > 30 (6' 230# male BMI =31.2)



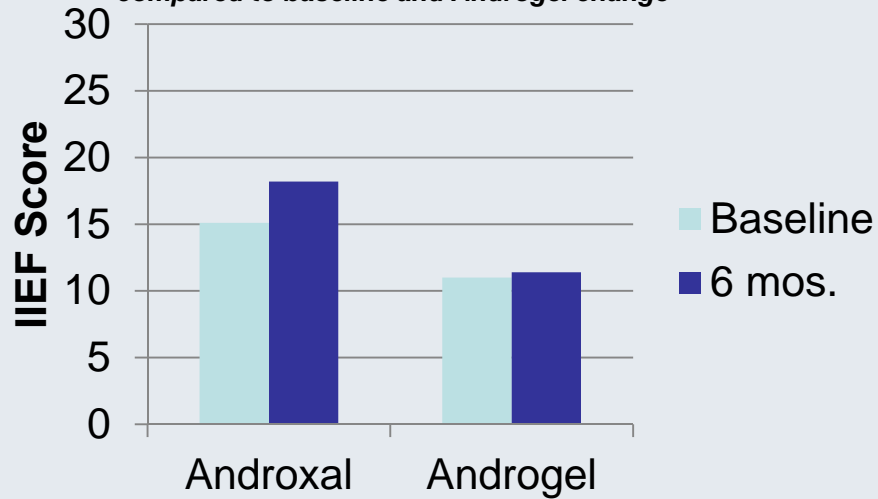
In 2010 there were ~90 million men in the US between the ages of 20 and 65  
**32% are obese**

# Androxal Exhibits Patient Reported Effects Equivalent to Androgel

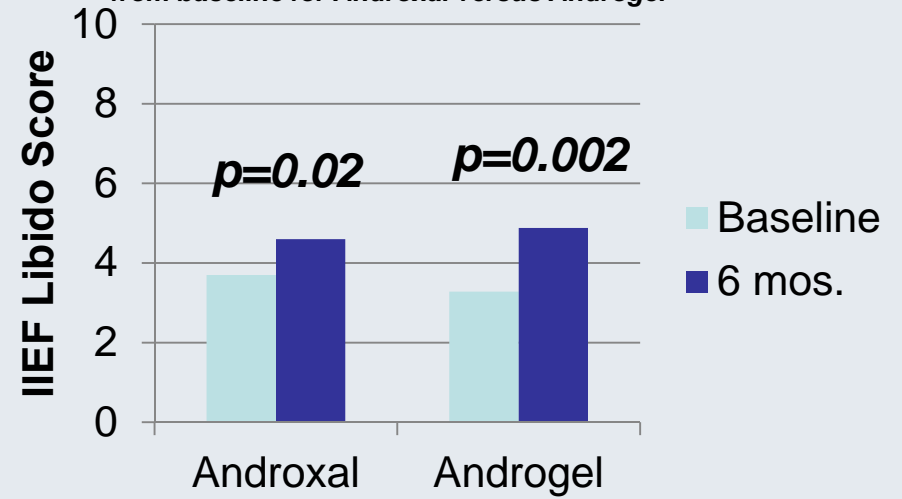
## ZA-003 Type C FDA Meeting Q4-'07

### *Unacceptable Endpoint for Phase 3*

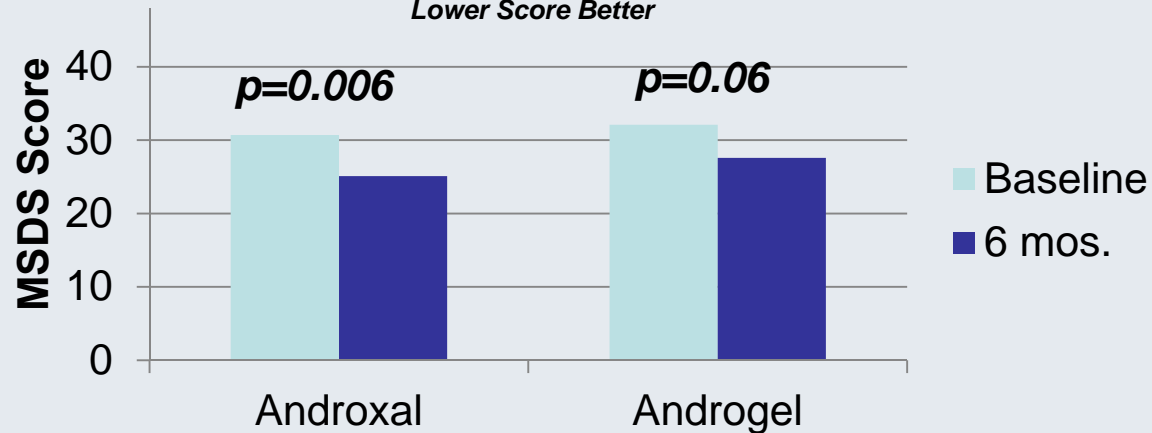
Androxal approaches statistical significance  $p=0.08$  compared to baseline and Androgel change



No statistical difference between changes from baseline for Androxal versus Androgel



No statistical difference between changes from baseline for Androxal versus Androgel  
Lower Score Better

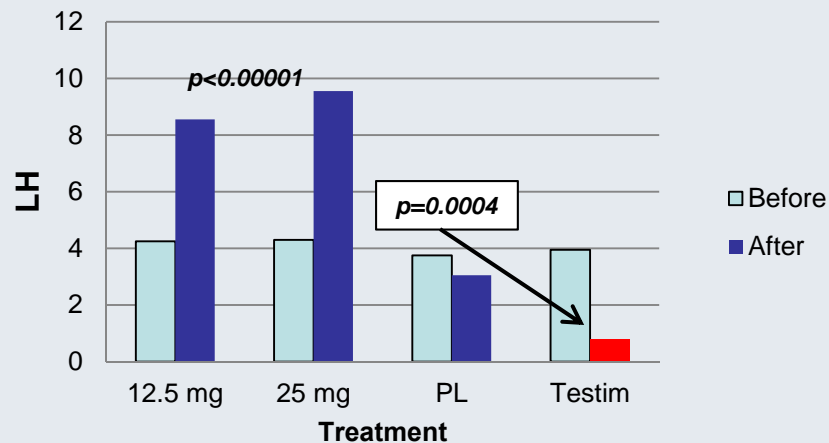


# Type C Meetings in 1Q10, 3Q10 & 2Q12

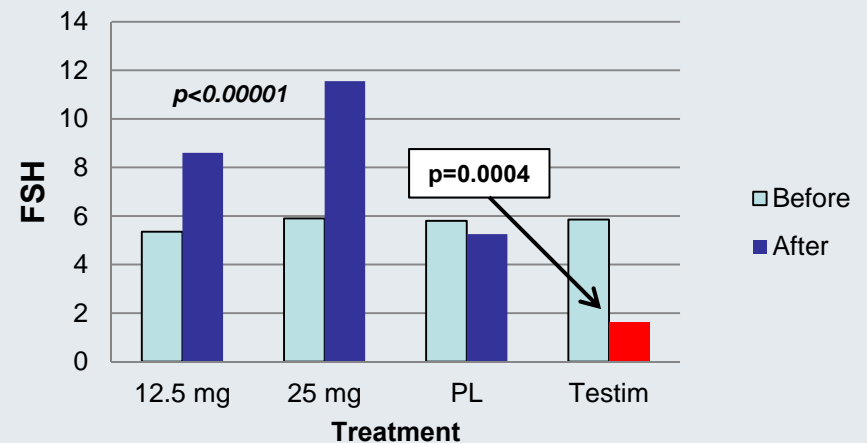
ZA-203 Lead to SPA on 1<sup>st</sup> Pass

*Repros Convinces FDA Exogenous T Suppresses Pituitary Hormones and Testicular Function*

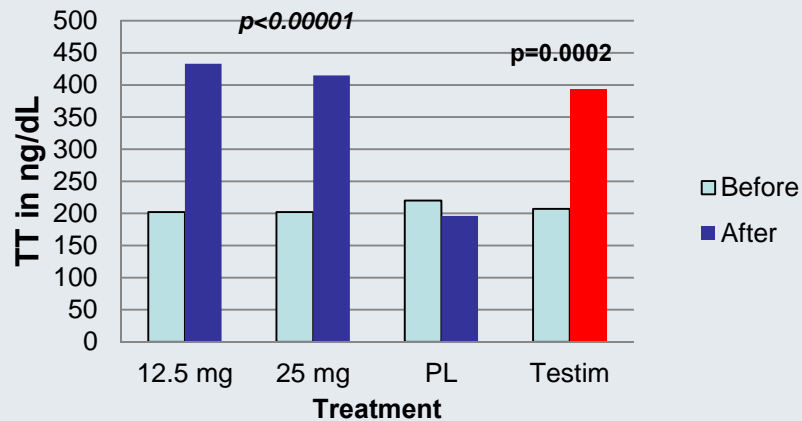
Effect of Treatment on Median LH  
p versus Testim



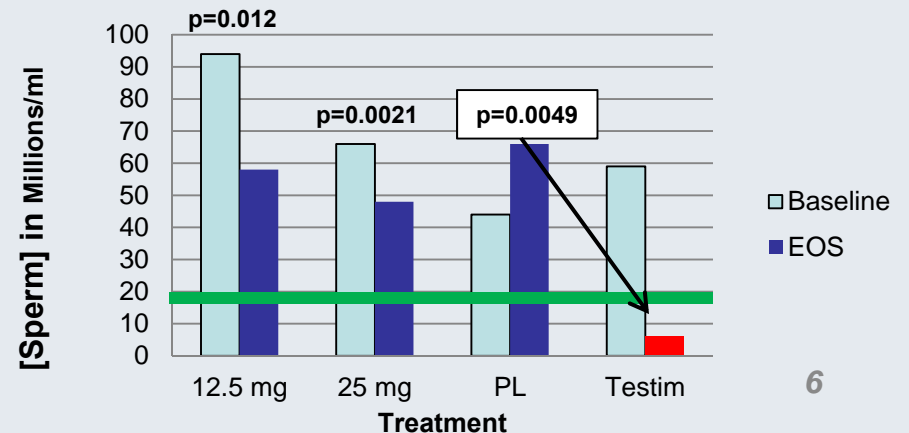
Effect of Treatment on Median FSH  
p versus Testim



Effect of Treatment on Median Serum TT  
p versus placebo



Effect of Treatment on Median Sperm Concentration  
p versus Testim



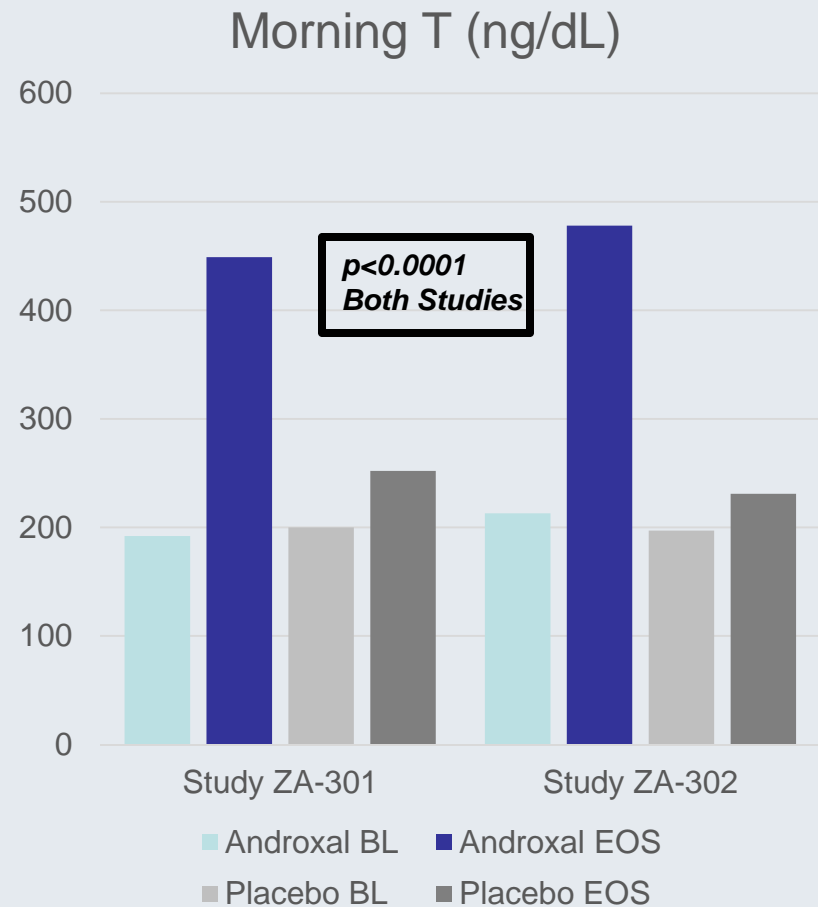
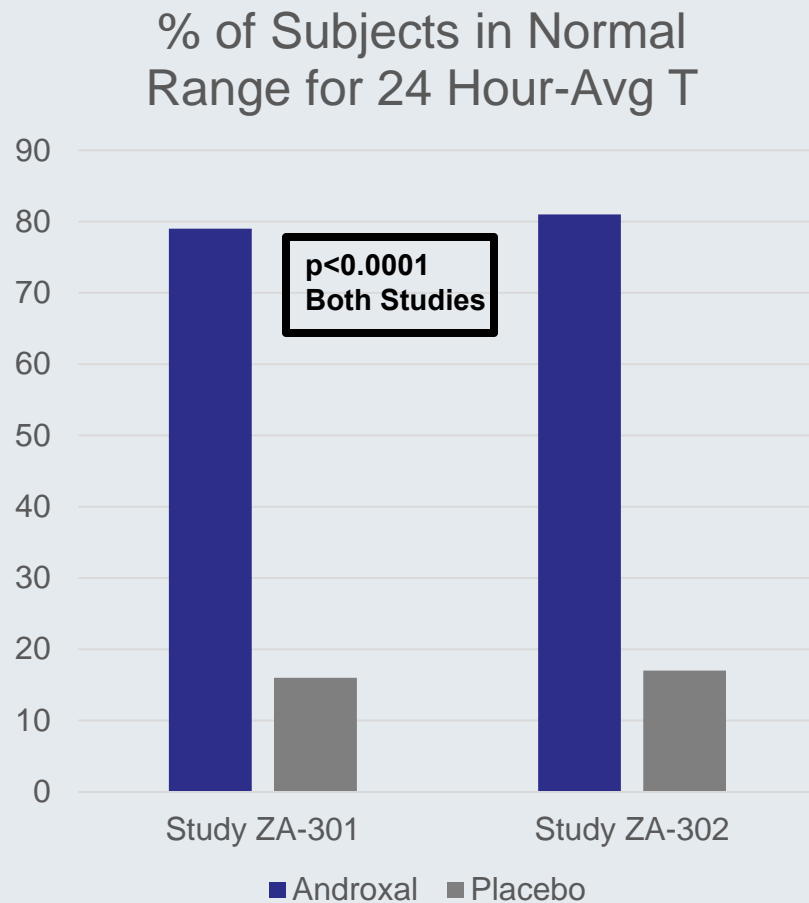
# ***FDA Accepted Phase 3 Protocols Under an SPA Goal to Submit NDA Mid 2014***

- **Phase 3 pivotal studies conducted under SPA**
  - **2 identical trials (BMI > 25, Age ≤ 60)**
    - ZA-301 152 subjects (114 on Androxal, 38 on placebo)
    - ZA-301 181 subjects (134 on Androxal, 47 on placebo)
      - Men with morning T < 300 ng/dL assessed twice on two separate days
      - Men with sperm concentration > 15 x10<sup>6</sup> per milliliter assessed on 2 separate days separated by at least 2 days
    - Up-titration from 12.5 to 25
    - 3 month duration (men up-titrated on study for additional 6 weeks)
  - **Both Co-primary Endpoints Met in Both Studies**
    - 75% of men achieved T in normal range (300-1040 ng/dL)
      - 24 hr average at week 12
    - Non inferior to placebo regarding change in sperm counts (average of week 12 & 13)
  - **All protocol changes have been reviewed with FDA before incorporating into study**
- **Safety Requirements of Phase 3 program**
  - >100 for one year (~100 completed, ~200 at approval)
  - >800 for 6 months (~800 completed, ~850 at approval)
  - 100 subject one year DEXA study (bone marker data suggests Androxal builds bone)



# Two Pivotal Studies Meet FDA SPA Prescribed Endpoints

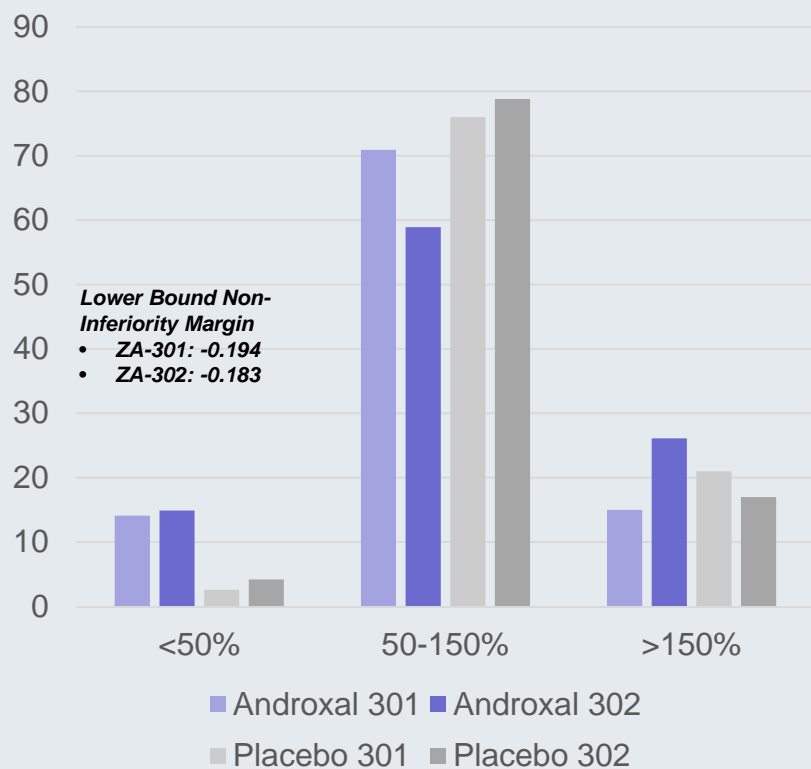
*Highly Statistically Significant and Clinically Relevant Outcome in Restoring Testicular Function in Secondary Hypogonadal Men*



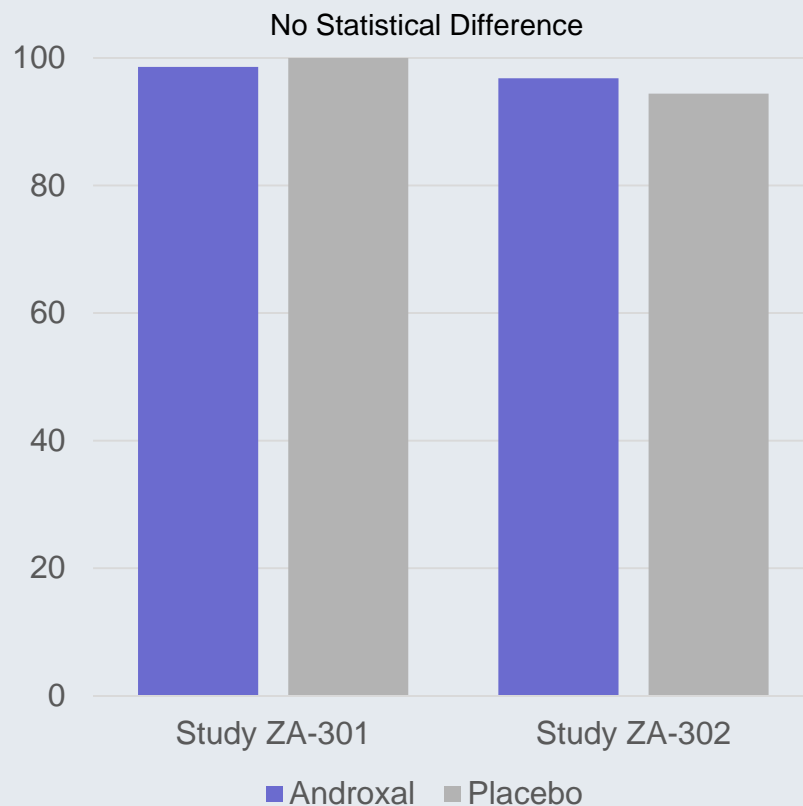
# Two Pivotal Studies Meet FDA SPA Prescribed Endpoints

## *Non-inferior to Placebo Regarding Effects on Spermatogenesis in Secondary Hypogonadal Men*

% of Subjects Avg. Sperm Concentration as a % of Baseline after 12 Week Treatment



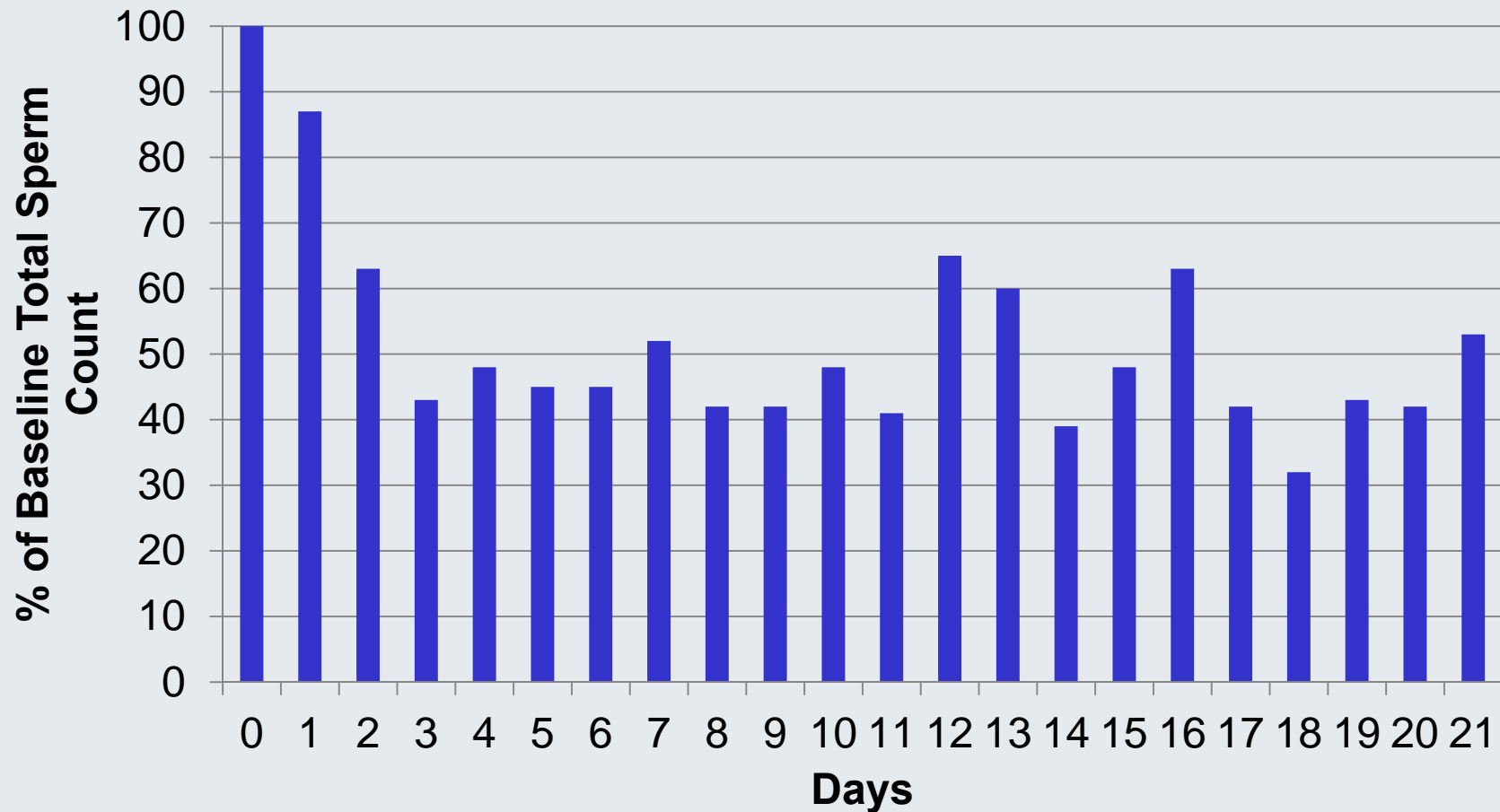
Median % of Baseline Sperm Concentration after 12 Week Treatment



## Summary of Days of Abstinence by Change in Sperm Concentration Status

Days of Abstinence	$\geq 50\%$ Decrease in Sperm Concentration	$< 50\%$ Decrease in Sperm Concentration
N	17	134
Mean (Std)	2.7 (0.9)	4.0 (3.2)
Median	2.5	3.5
(Min, Max)	(2.0, 5.0)	(2.0, 30.0)
95% CI	(2.2, 3.1)	(3.4, 4.5)
Wilcoxon P-value	0.0057	

# Ejaculation Frequency Reduces Sperm Numbers (n=12 subjects (age 18-25))



*Fertility and Sterility, Levine et al  
Vol.5, No. 5, May 1986*

# ZA-302 Adverse Events ( $\geq 2\%$ ) by Incidence

Preferred Term	Androxal 12.5 mg (n=116) N (%)	Androxal 25 mg (n=18) N (%)	Androxal 12.5 & 25 mg (n=134) N (%)	Placebo (n=47) N (%)	Overall (n=181) N (%)
No adverse events	73 (62.9)	14 (77.8)	87 (64.9)	31 (66.0)	118 (65.2)
At least one adverse event	43 (37.1)	4 (22.2)	47 (35.1)	16 (34.0)	63 (34.8)
Nausea	5 (4.3)	0 (0.0)	5 (3.7)	3 (6.4)	8 (4.4)
Headache	7 (6.0)	0 (0.0)	7 (5.2)	1 (2.1)	8 (4.4)
Upper respiratory tract infection	5 (4.3)	0 (0.0)	5 (3.7)	1 (2.1)	6 (3.3)
Vomiting	3 (2.6)	0 (0.0)	3 (2.2)	2 (4.3)	5 (2.8)
Fatigue	2 (1.7)	1 (5.6)	2 (2.2)	2 (4.3)	5 (2.8)

# ZA-300 Population Summary

- **499 Subjects Enrolled**
  - 12.5 mg (n = 234)
  - 25.0 mg (n = 265), these subjects experienced a morning Testosterone less than 450 ng/dL and were up-titrated
- **Race/Ethnicity**
  - 84.5% Caucasian (includes Hispanic ethnicity)
  - 11.3% African American
  - 4.2% Other
- **Mean Age 49 years**
- **33.7% Previous T Users**
- **Baseline Testosterone 212 ng/dL**
- **Mean BMI at baseline 33**

# **ZA-300 Testosterone Response**

## **500 Subject Six Month Safety Study**

- **Over 90% of subjects had a maximum morning Testosterone above 300 ng/dL**
- **Almost 67% of subjects had a maximum morning Testosterone above 450 ng/dL**
- **53% of subjects were up-titrated (T < 450 ng/dL)**
- **Only 3 subjects experienced a maximum morning Testosterone above 1,040 ng/dL (1720, 1479, 1264)**
  - **Two subjects reported concomitant testosterone replacement use**
  - **LH values of third subject suggest concomitant testosterone use**
- **Subjects who required up-titration were less-likely to respond to treatment**
  - **13.6% of subjects treated with 25 mg had a maximum morning Testosterone less than 300 ng/dL**
  - **3.6% of subjects treated with 12.5 mg had a maximum morning Testosterone less than 300 ng/dL**

# ZA-300 Adverse Events ( $\geq 2\%$ ) by Incidence

PREFERRED TERM	ANDROXAL	ANDROXAL	OVERALL
	12.5 MG (N=234)	25 MG (N=265)	(N=499)
	N(%)	N(%)	N(%)
UPPER RESPIRATORY TRACT INFECTION	29( 12.4)	29( 10.9)	58( 11.6)
HEADACHE	15( 6.4)	18( 6.8)	33( 6.6)
MUSCLE SPASMS	9( 3.8)	11( 4.2)	20( 4.0)
FATIGUE	10( 4.3)	4( 1.5)	14( 2.8)
SINUSITIS	6( 2.6)	6( 2.3)	12( 2.4)
CONSTIPATION	4( 1.7)	7( 2.6)	11( 2.2)
INFLUENZA	7( 3.0)	4( 1.5)	11( 2.2)
POLLAKIURIA	6( 2.6)	5( 1.9)	11( 2.2)
HOT FLUSH	3( 1.3)	7( 2.6)	10( 2.0)
DIZZINESS	6( 2.6)	4( 1.5)	10( 2.0)



# ZA-300 SAEs

Subject Number	Dose	Event Term	Relationship
06-042	12.5 mg	Hypotension/Bradycardia post Knee Replacement Surgery	Not Related
07-052	12.5 mg	Gallstone/Cholecystectomy	Not Related
12-071	12.5 mg	Biliary Colic/Common Bile duct dilatation	Not Related
14-080	12.5 mg	TIA	Not Related
15-003	12.5 mg	Food Poisoning	Not Related
16-001	12.5 mg	Chest pain/Shortness of breath	Not Related
16-029	12.5 mg	Cellulitis	Not Related
17-007	12.5 mg	Deep vein thrombosis temporally related to flight	Not Related
17-017	12.5 mg	Pre-existing Seminoma Left Testicle	Not Related
02-029	25 mg	Deep vein thrombosis/Pulmonary embolism	Possibly Related
06-034	25 mg	Atrial flutter	Not Related
07-002	25 mg	Diverticulitis	Not Related
19-007	25 mg	Cellulitis secondary to dog bite	Not Related
19-016	25 mg	Diverticulitis	Probably Not Related
22-001	25 mg	Bladder diverticulum/Kidney infection	Unlikely

# Phase III Androxal Program Status

## NDA Target: Mid 2014

Study	Target Enrollment	Study Duration	Subjects Screened	Subjects Enrolled	Projected Full Enrollment	Top Line Results
ZA-300 Safety	500	6 months	1288 (30 sites)	499	<b>Completed</b>	Generally well tolerated
ZA-301 Pivotal	152	3 months (+ 6 weeks)	571 (17 sites)	151	<b>Completed</b>	Positive results on co-primary efficacy endpoints
ZA-302 Pivotal	180	3 months (+ 6 weeks)	395 (16 sites)	181	<b>Completed</b>	Positive results on co-primary efficacy endpoints
ZA-303 Safety	150	1 year	990 (16 sites)	150 Core 317 total	<b>Core plus add-on Enrolled</b>	Q2 2014 (Core study of 150 subjects Q3 2013 <sup>10</sup> (complete study)

# *How do we maximize shareholder return?*

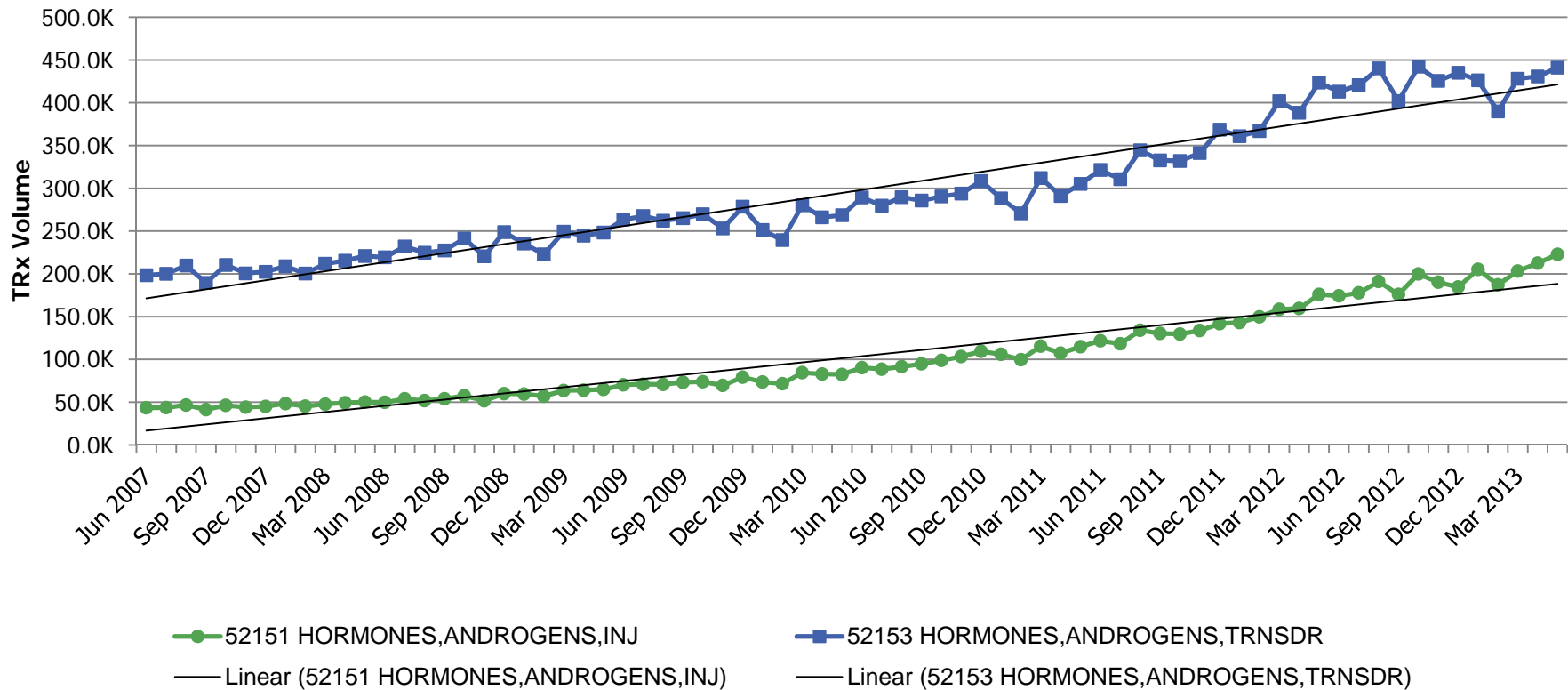
- **All Repros Assets are Unencumbered**
- **Androxal asset should be +90% de-risked during Q1-'14**
- **Androxal should open T treatment into primary care**
  - **Outside the scope of Repros capability**
- **Measured launch of Androxal into specialty space**
  - **KOL board established (first meeting scheduled for Oct., '13)**
  - **Recent follow-on offering enables this option**
    - **Scope of effort controlled by Company**
  - **Third party assessment suggests feasibility of Repros marketing effort**
  - **Company to add seasoned marketing executive to Board of Directors to assess this option**
- **Repros will remain opportunistic regarding transactions that maximize shareholder return**

# Market Analysis

## Total Prescriptions for Hormone Therapies Across All MDs

Across all physician specialties, androgen hormone prescriptions have been growing more than 20% per year and now total almost 7.5 million per year.

### TRXs for All MDs



**Deployment Overview: A team of 44 Reps (41 FT & 3 PT) can cover 94% D10-3 Endo & Uro Targets**



**Business Rules**

**Workload Assumptions:**

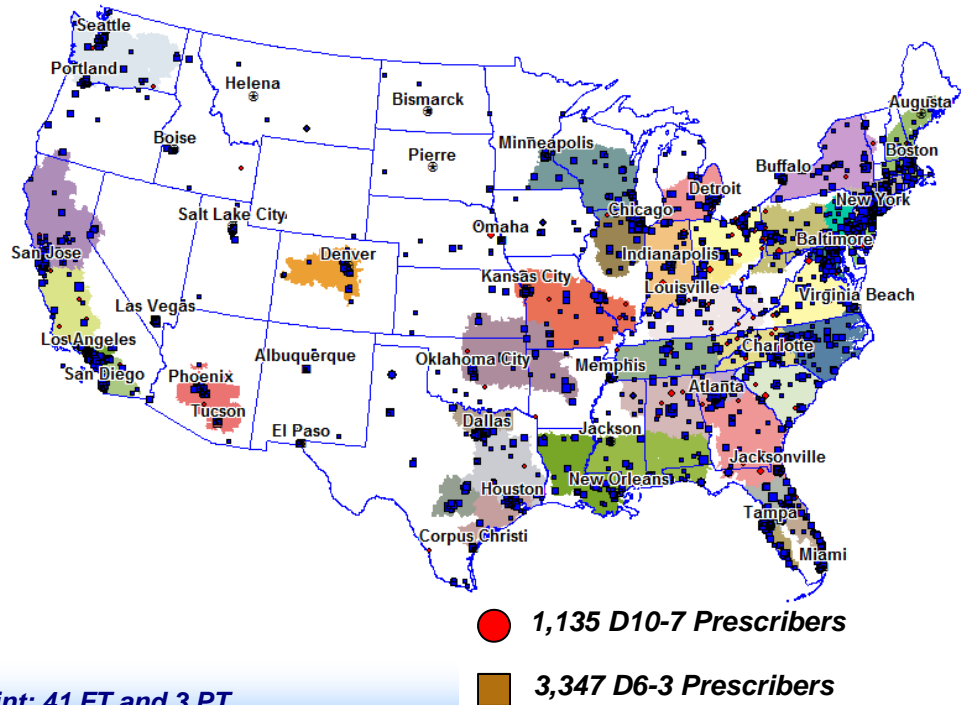
- 6 calls per day
- FT: 210 working days
- PT: 137 working days
- Territory Workload: 1,260 calls for FT
- Territory Workload: 822 calls for PT

**Design Assumptions:**

- Territory Radius: ~150 miles

**Frequency Assumptions:**

- D10-7: 18 calls /year
- D6-3: 12 calls /year



Preliminary footprint: 41 FT and 3 PT

Coverage Summary: 41 FT & 3 PT Territories						
Territory Name	# of Endo & Uro Prescribers		Total # of D10-3 Endo & Uro Prescribers	# of Calls		Total # of D10-3 Calls
	D10-7	D6-3		D10-7	D6-3	
Covered	1,072	3,140	4,212	19,296	37,680	56,976
Uncovered	63	207	270	1,134	2,484	3,618
<b>Grand Total</b>	<b>1,135</b>	<b>3,347</b>	<b>4,482</b>	<b>20,430</b>	<b>40,164</b>	<b>60,594</b>
<b>Coverage</b>	<b>94%</b>	<b>94%</b>	<b>94%</b>	<b>94%</b>	<b>94%</b>	<b>94%</b>

Data Source: 5\_0 TRx 1.1 usc 52151 and 52153 12moApr13 D1-10 Endos; 5\_0 TRx 1.1 usc 52151 and 52153 matJul13 D1-10 Uros

# Scenario Overview

## Original Endo Only vs. Endo & Uro Scenario

*In the original analysis, Quintiles developed a scenario covering 90% of endo TRXs. Quintiles has detailed a new scenario covering deciles 80% of endo and uro TRXs.*

Original Endo Only Scenario	
Scenario 1: 90% Endo TRX Coverage	
Parameter	Detail
FT Reps	30
PT Reps	9
Endo TRX Coverage (%)	90% (Deciles 10-2)
Territory Radius	~150 Miles
Territory Workload	1,260 calls for FT; 822 calls for PT
Calls/Day	6
Calls/Year by Decile	<ul style="list-style-type: none"> <li>▪ D10-7: 18</li> <li>▪ D6-3: 12</li> <li>▪ D2-1: 10</li> </ul>

New Scenario with Endos & Uros	
Scenario : 80% Endo/Uro TRX Coverage	
Parameter	Detail
FT Reps	41
PT Reps	3
Endo & Uro TRX Coverage (%)	80% (Deciles 10-3)
Territory Radius	~150 Miles
Territory Workload	1,260 calls for FT; 822 calls for PT
Calls/Day	6
Calls/Year by Decile	<ul style="list-style-type: none"> <li>▪ D10-7: 18</li> <li>▪ D6-3: 12</li> </ul>

# New Endo & Uro Scenario

## Expense Budget

<u>Commercial Function</u>	<u>Pre-Launch (Launch Minus 18 Months)</u>	<u>Launch Year</u>	<u>Launch Year + 1</u>	<u>Total</u>
Executive & Mktg Team	\$1,700,000	\$1,300,000	\$1,350,000	\$4,350,000
MSL Team (including P/T)	\$1,190,000	\$1,730,000	\$1,890,000	\$4,810,000
Sales Team (including P/T)		\$9,700,000	\$9,400,000	\$19,100,000
Trade / Managed Markets	\$875,000	\$1,350,000	\$1,350,000	\$3,575,000
Med Info / Pharmacovigilance	\$100,000	\$200,000	\$200,000	\$500,000
<b>TOTAL</b>	<b>\$3,865,000</b>	<b>\$14,280,000</b>	<b>\$14,190,000</b>	<b>\$32,335,000</b>
Marketing Budget Estimate	\$1,000,000	\$10,000,000	\$10,000,000	\$21,000,000
<b>Total Commercial Budget Necessary</b>	<b>\$4,865,000</b>	<b>\$24,280,000</b>	<b>\$24,190,000</b>	<b>\$53,335,000</b>

### NOTES:

1. The prior assumptions and caveats under the original scenario remain.
2. We believe that the only material change to these expenses are related to the size of the Sales Team. We have kept other expenses the same.

# New Endo & Uro Scenario

## Forecast

**Based on conservative TRX growth assumptions, peak market share at 21%, and a slight price premium, Androxal generates nearly \$150 million per year.**

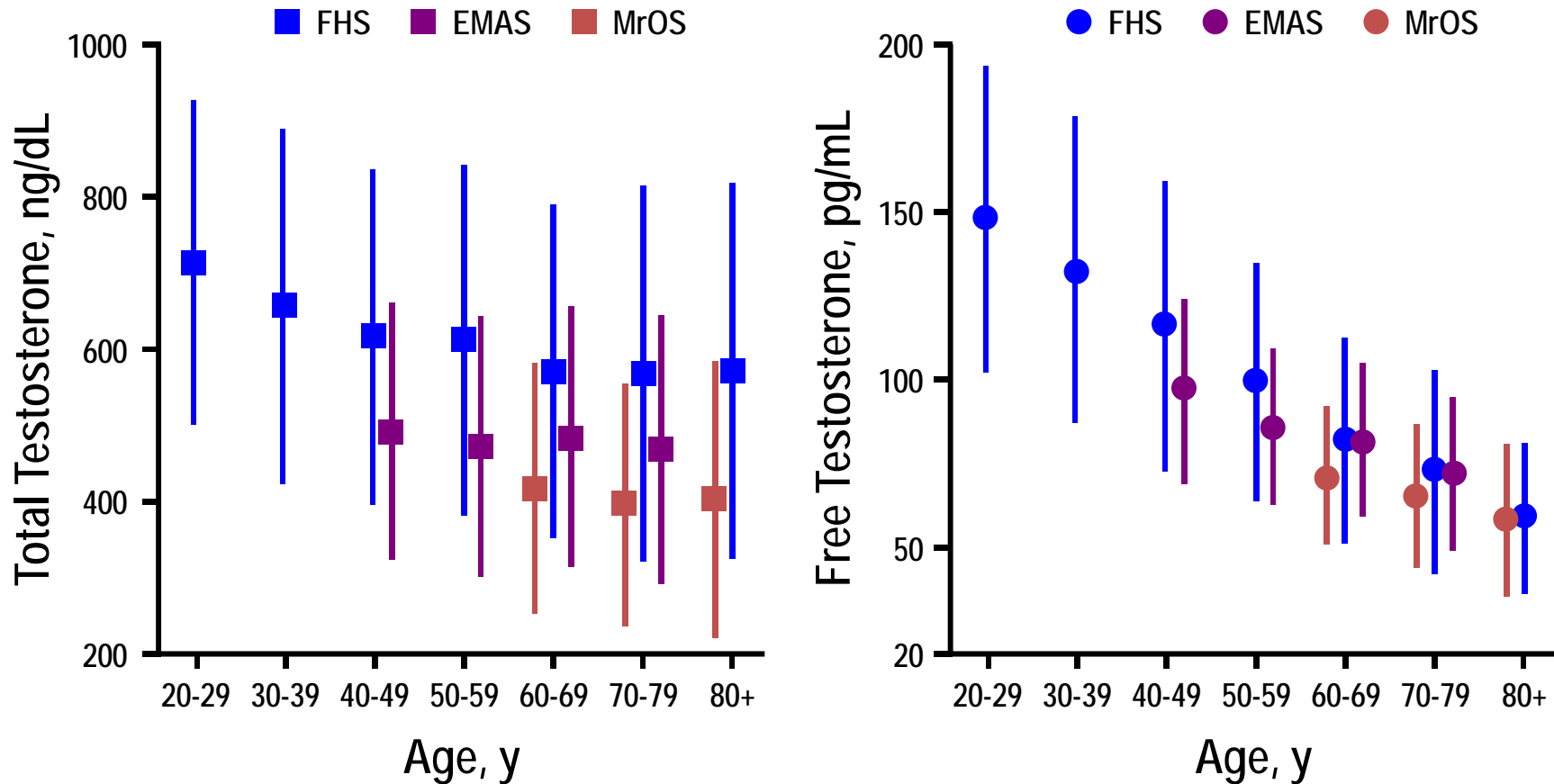
▼ launch

	Q4 2013E	Q1 2014E	Q2 2014E	Q3 2014E	Q4 2014E	Q1 2015E	Q2 2015E	Q3 2015E	Q4 2015E	Q1 2016E	Q2 2016E	Q3 2016E	Q4 2016E	Q1 2017E	Q2 2017E
80% of Endo & Uro TRX	345,115	352,017	359,058	366,239	373,564	381,035	388,656	396,429	404,357	412,444	420,693	429,107	437,689	446,443	455,372
Growth	2%	2%	2%	2%	2%	2%	2%	2%	2%	2%	2%	2%	2%	2%	2%
Androxal Share (%)	NA	NA	NA	NA	NA	NA	NA	2%	4%	8%	13%	17%	19%	20%	21%
Androxal TRXs	--	--	--	--	--	--	--	7,929	16,174	32,996	54,690	72,948	83,161	89,289	95,628
Price Per Androxal RX (\$)	--	--	--	--	--	--	--	\$400	\$400	\$420	\$420	\$420	\$420	\$441	\$441
Androxal Gross Revenue (\$)	--	--	--	--	--	--	--	3,171,429	6,469,715	13,858,130	22,969,851	30,638,247	34,927,601	39,376,275	42,171,990
Expenses (\$)		193,000	386,000	579,000	773,000	773,000	1,160,000	3,570,000	3,570,000	3,570,000	3,570,000	3,547,500	3,547,500	3,547,500	3,547,500
A&P (\$)						500,000	500,000	2,500,000	2,500,000	2,500,000	2,500,000	2,500,000	2,500,000	2,500,000	2,500,000
Net Income (\$)		(193,000)	(386,000)	(579,000)	(773,000)	(1,273,000)	(1,660,000)	(2,898,571)	399,715	7,788,130	16,899,851	24,590,747	28,880,101	33,328,775	36,124,490



# Testosterone Levels

## *Age-related Changes*



***Larger Market Potential***

EMAS, European Aging Male Study; FHS, Framingham Heart Study; MrOS, Osteoporotic Fractures in Men Study.  
Bhasin S, et al. *J Clin Endocrinol Metab.* 2011;96(8):2430-2439.

# Androxal Exhibits Unique Profile with Numerous Advantages vs Approved Hormone Replacement

- The Androxal Advantages
  - Oral
  - Not controlled substance, cannot be abused
  - No transference risk
  - Restores normal function (no loss of testicular function)
  - Does not develop dependency
  - Avoids withdrawal symptoms
  - With lifestyle change can reverse disorder and result in no need for therapy

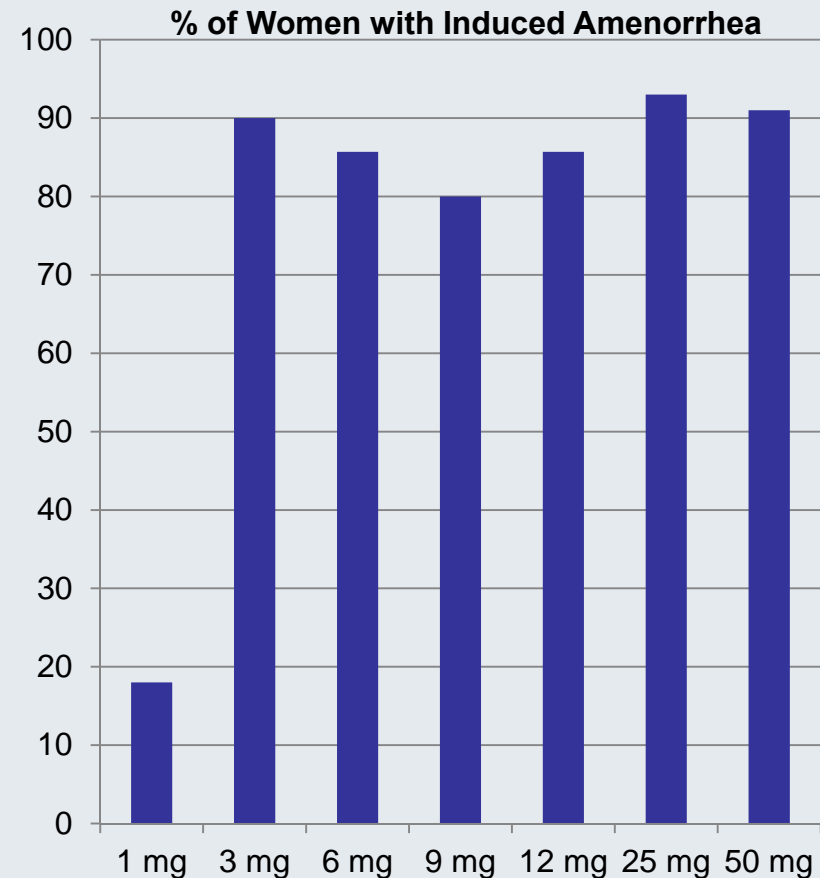
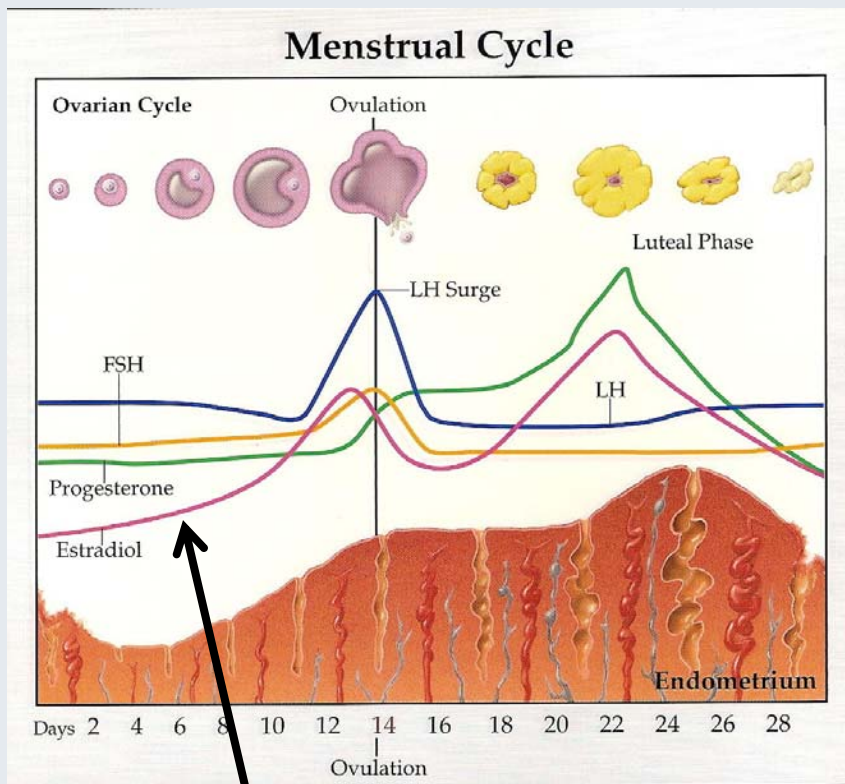
## Projected Income Through 2016 (in millions)

	2014	2015	2016	Total
Net Revenue	-	\$9.0	\$92.0	\$101.0
Expenses:				
R&D Androxal	\$7.5	-	-	\$7.5
R&D Proellex	\$8.0	\$15.5	\$14.5	\$38.0
Sales & Marketing	\$2.0	\$15.0	\$24.0	\$41.0
General Corporate	<u>\$6.0</u>	<u>\$10.0</u>	<u>\$11.5</u>	<u>\$27.5</u>
Net Income (EBITDA)	\$(23.5)	\$(31.5)	\$42.0	\$(13.0)
Estimated Cash Balance	\$53.0	\$21.5	\$63.5	\$63.5

# Proellex for the Treatment of Uterine Fibroids and Endometriosis

- Over 30 million women of reproductive age in the US afflicted with symptomatic uterine fibroids or endometriosis
- Over 300,000 hysterectomies performed every year in the US to treat these two disorders
- No acceptable chronic therapeutic options available today

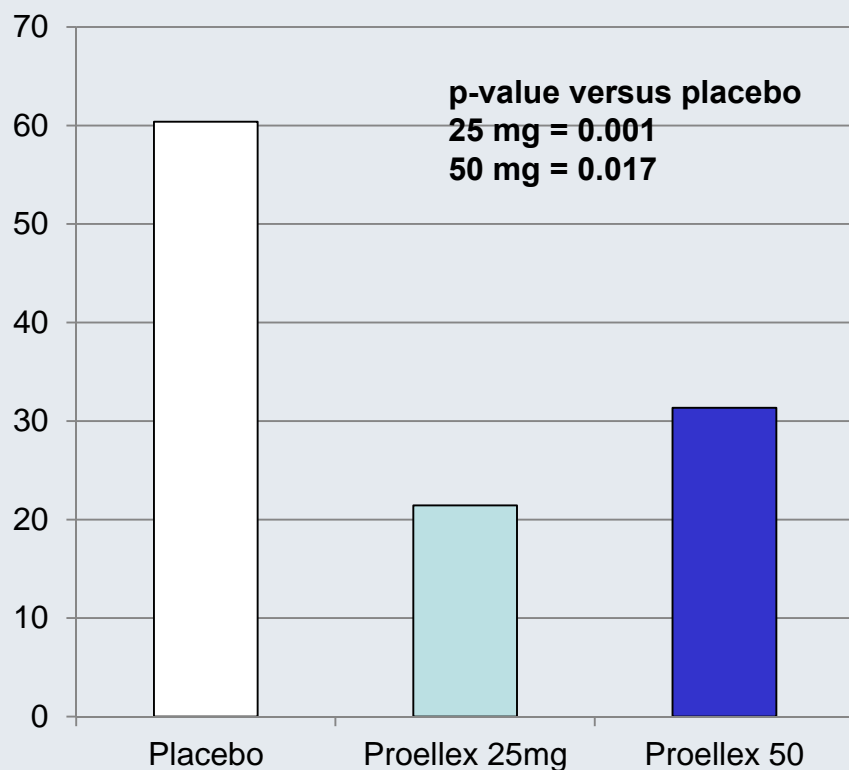
# An Effective Dose of Proellex Stops Menstruation in Majority of Women



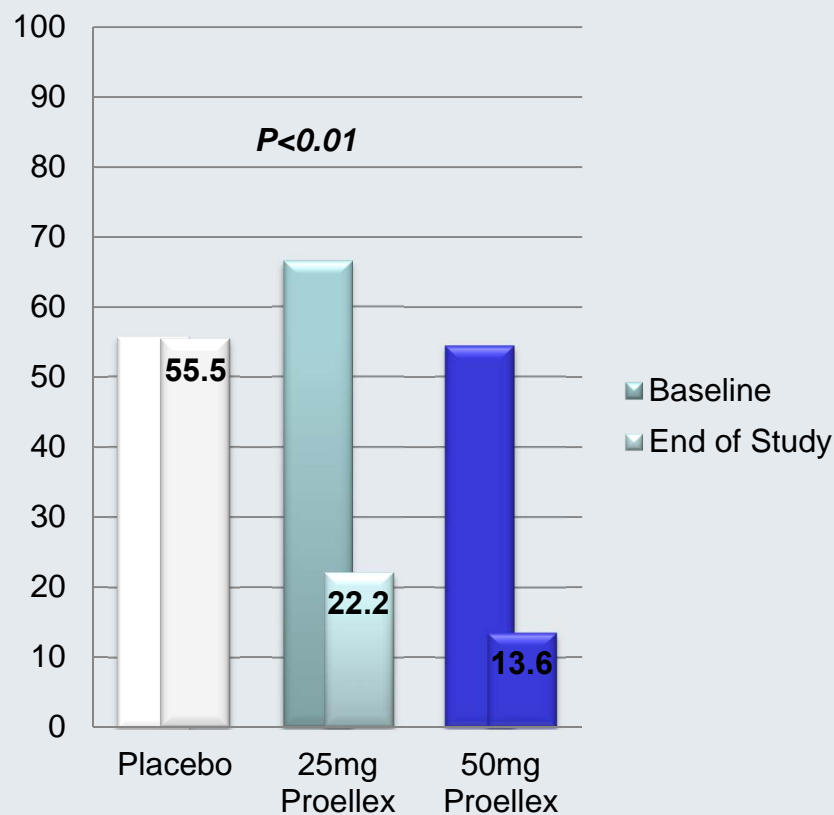
***Proellex induced amenorrhea  
Mimics early follicular phase  
Without progesterone***

# Proellex Eliminates the Pain and Need for Analgesics to Control the Pain of Endometriosis

**% of Baseline**



**% of Subjects Requiring Narcotics By End of Study**



# ZPE-202 Phase 2 Endometriosis Study

- 90 subject double blind placebo controlled study balanced between placebo, 6 and 12 mg oral Proellex
  - Subject population (confirmed endometriosis)
    - Severe endometriosis as determined by BBSS score
    - Requiring narcotics or prescription analgesics to control endometriosis related pain
  - Study Duration: 4 months
  - Study endpoints:
    - Reduction in need for analgesics from baseline
    - Change from baseline in BBSS pain scores
  - Status: enrolling sites and subjects

# Vaginal Proellex to Eliminate the Need for Hysterectomy in Most Situations

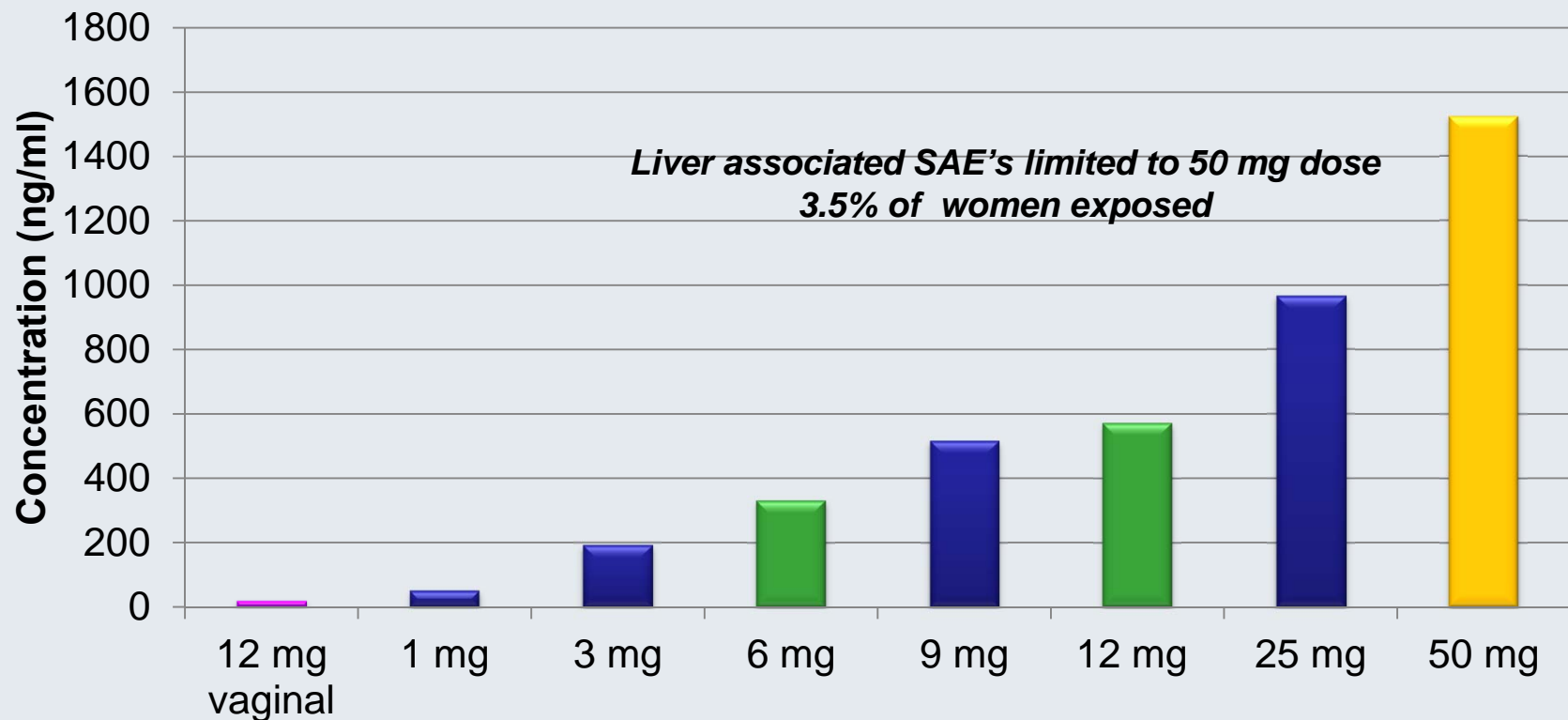
- Initial Phase 2 study tested four doses of vaginal administration in the treatment of uterine fibroids completed
  - Assess reduction of fibroid size and elimination of symptoms
  - Top line data reported
- FDA requires additional Phase 2b study before proceeding to Phase 3 to insure proper dose selection
  - Propose 90 subject Phase 2b study
  - Separate IND from low dose oral



# Systemic Exposure to Oral Proellex Varies in a Dose Dependent Manner

*Significant reduction in exposure via vaginal delivery*

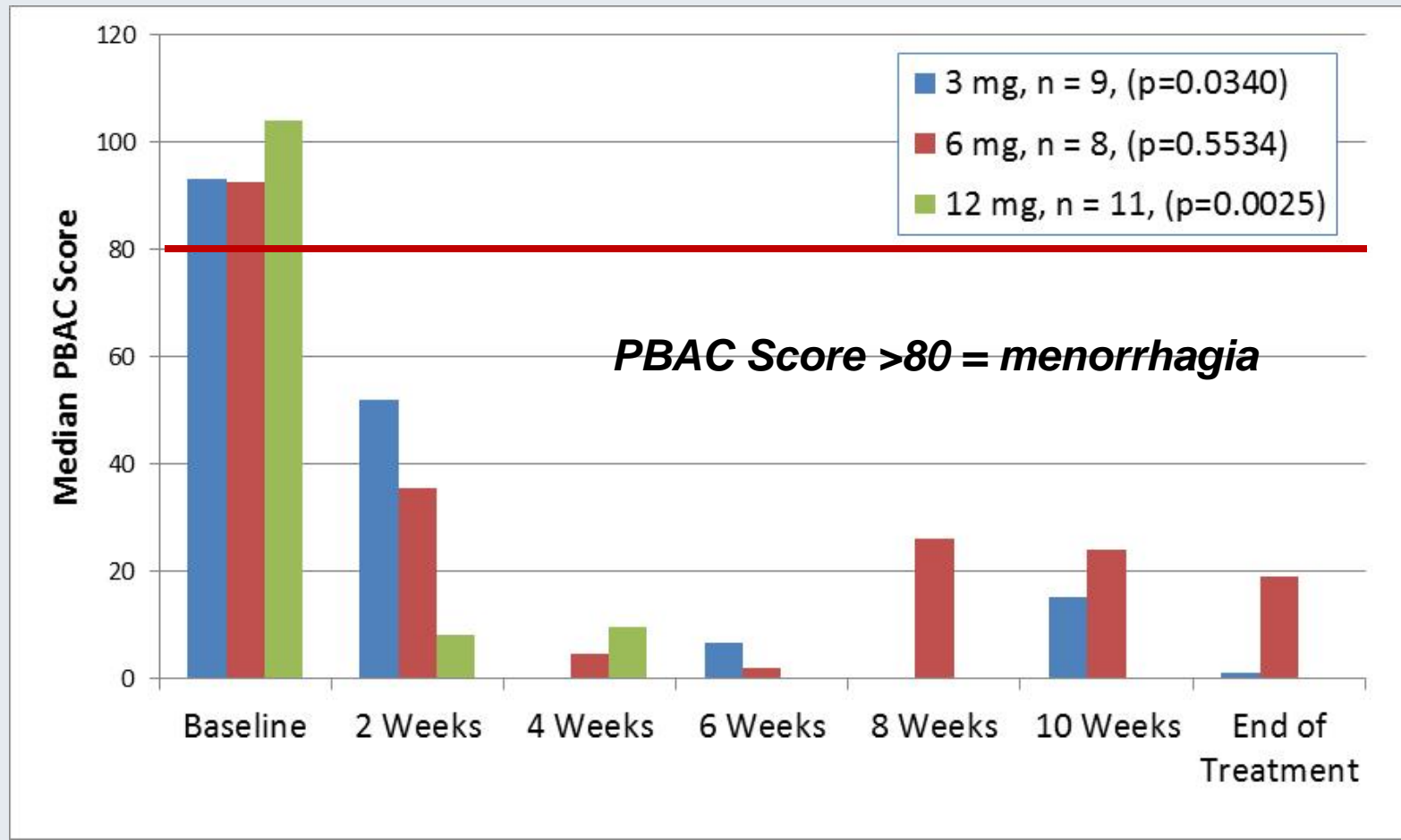
## Combined C<sub>max</sub> for Telapristone and Primary Metabolite



# Vaginal Proellex (ZPV-200)

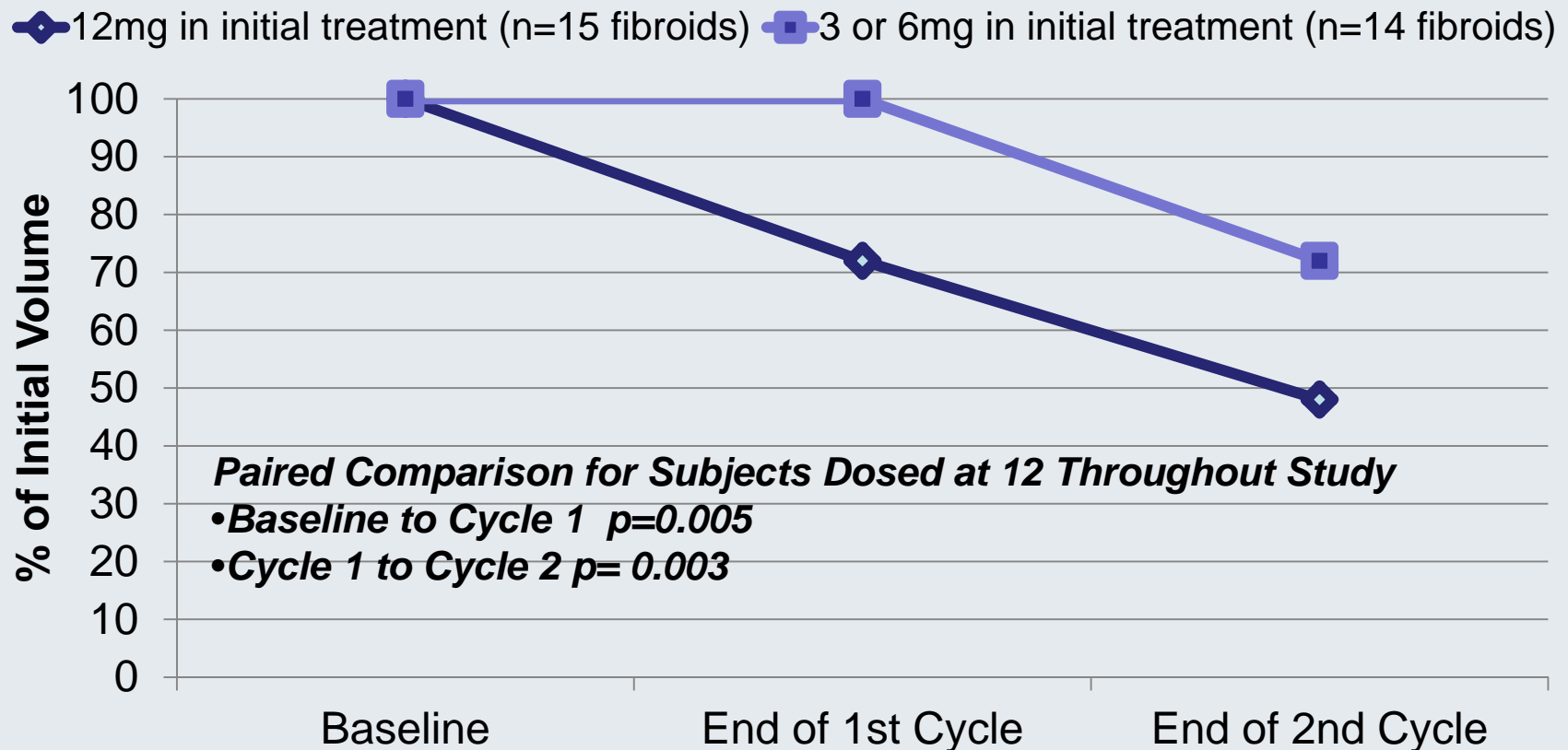
## PBAC Scores Over Time

**12 dose significantly improves excessive menstrual bleeding due to fibroids**



# ZPV-200 Ext. Proellex Open Label Update (12mg dose)

**12 mg dose continues to shrink fibroids in successive courses of treatment**



# Proellex Clinical Goals

- Enter Phase 3
  - Uterine Fibroids: Second half 2014
  - Endometriosis: Fourth Quarter 2014
- Submit 1<sup>st</sup> Proellex NDA
  - Second Half 2016
  - Pre-clinical complete
  - Phase 1 complete except TQtc

# Financial Summary

- **Cash and equivalents** (as of 9/20/13) \$82.0 M
- **Cash runway: 2016**
  - Both drugs' NDAs filed
  - Anticipate Androxal approved and launched
- **Current shares outstanding: 23.0 M shares**
  - Warrants Outstanding – Series A – 877,137 (purchased in unit deal @ \$2.45); Series B – 810,109 @ \$2.49 exercise price.