

Repros Therapeutics

The background features faint, light blue symbols for male and female. The male symbol (a circle with an arrow) is positioned in the upper right, and the female symbol (a circle with a cross) is positioned in the lower right. These symbols are partially overlaid by the text and other elements.

Development of small molecule drugs for major unmet medical needs that treat male and female reproductive disorders.

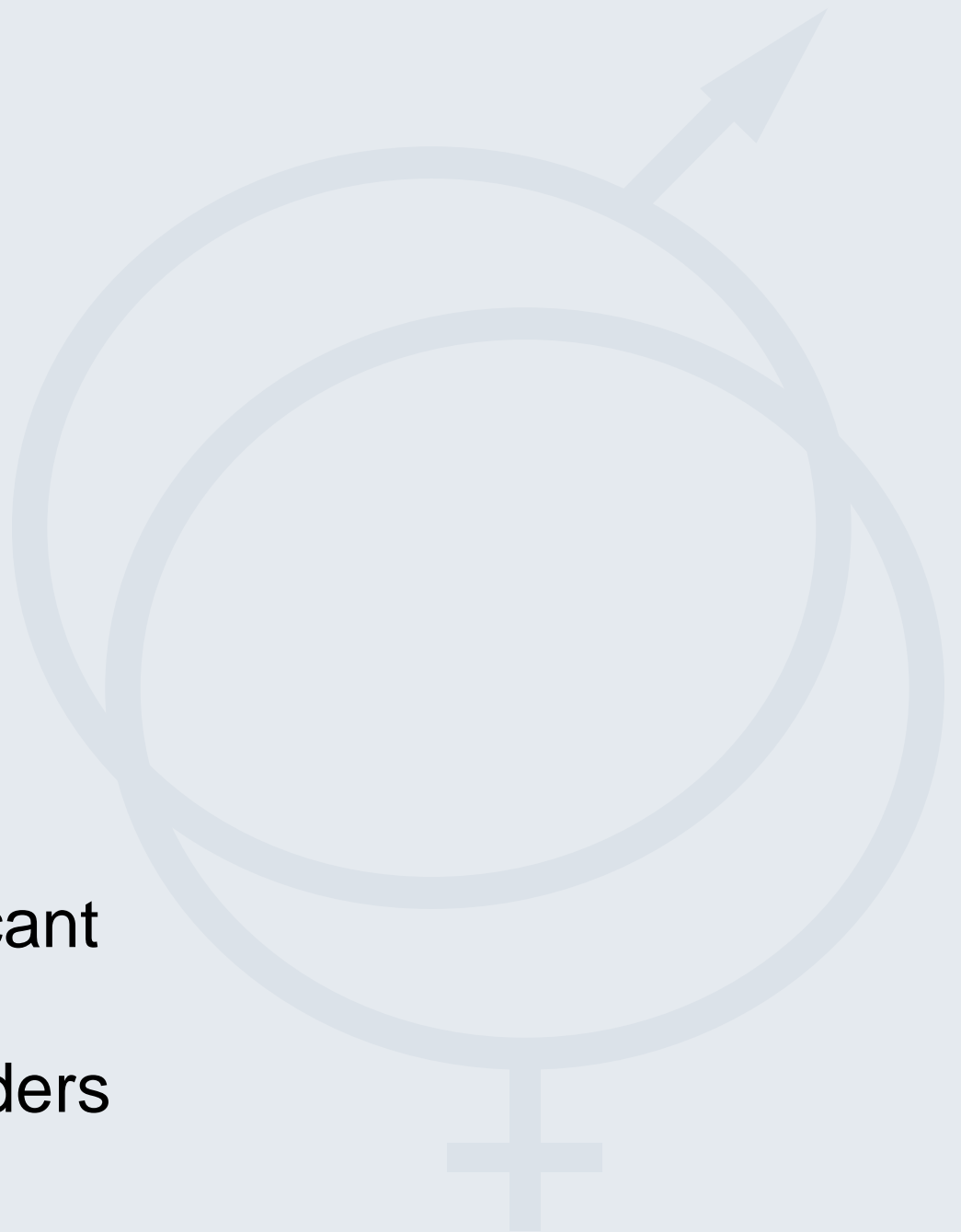
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In this presentation, we rely on and refer to information and statistics regarding the pharmaceutical industry. We obtained this information and these statistics from third-party sources, which we have supplemented where necessary with information 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.

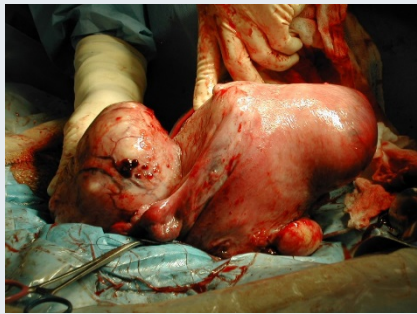
Proellex®

Addressing significant
unmet female
reproductive disorders



Uterine Fibroids & Endometriosis

Poor therapeutic options for debilitating female disorders experienced by women in the prime of their life



Uterus Exhibiting Multiple Fibroids

Courtesy of Jay Goldberg, MD, MSCP
Director, Jefferson Fibroid Center
Director, Division of General OB/GYN
Jefferson Medical College, Philadelphia, PA

- 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

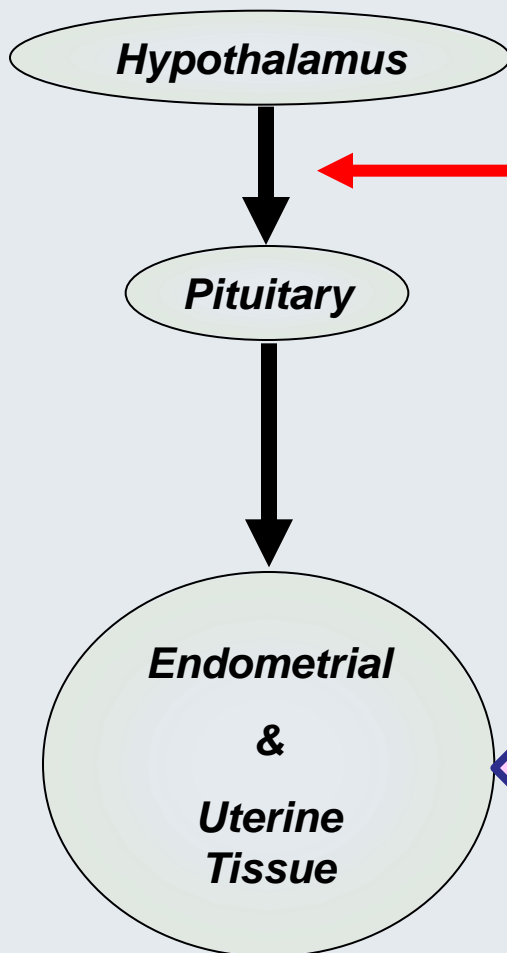


Endometrial lesions in peritoneum of woman suffering with endometriosis

Courtesy of Bruce A. Lessey, MD, PhD

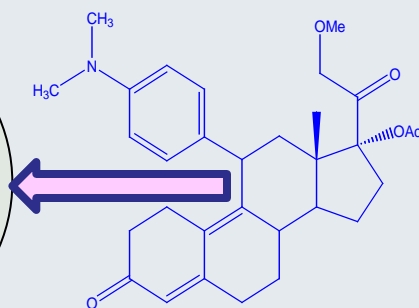
- Definition: the presence of epithelial and stromal endometrial cells outside of the uterine cavity
- Complaints of infertility or pregnancy loss
- Pelvic pain/back pain
- Dyspareunia (pain during sex)
- Dysmenorrhea (menstrual cycle cramps)
- 5% of women of reproductive age
- Estimated that 25 - 40% (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

How Antiprogestins Like Proellex® Work



Different than GnRH agonists and antagonists. These agents:

- *Block hypothalamus / pituitary axis*
- *Shut down hormonal secretions*
- *Long-term side effects include bone loss*



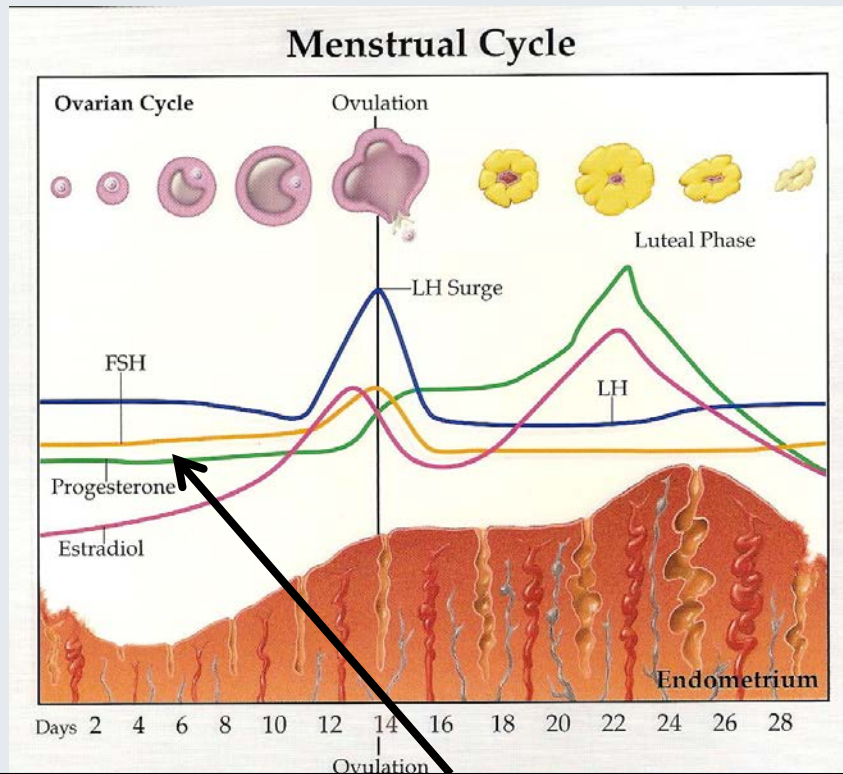
Proellex®

Antiprogestins

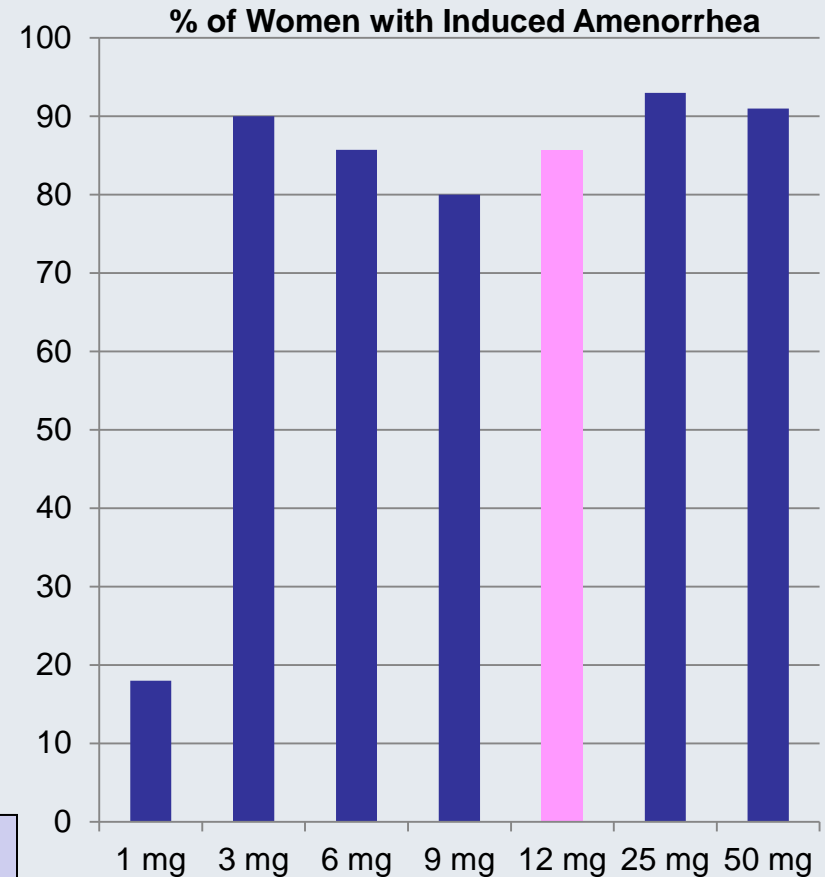
- **Selectively block progesterone activity**
- **Allow tonic hormone secretions**
 - Alleviate negative side effects of GnRHa
- **Potential for chronic use**

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

Induction of amenorrhea relieves key symptoms of uterine fibroids and endometriosis



Proellex® induced amenorrhea (no ovulation)
Mimics early follicular phase without progesterone while maintaining tonic estrogen levels
Unlike GnRh agonists/antagonists – no bone loss

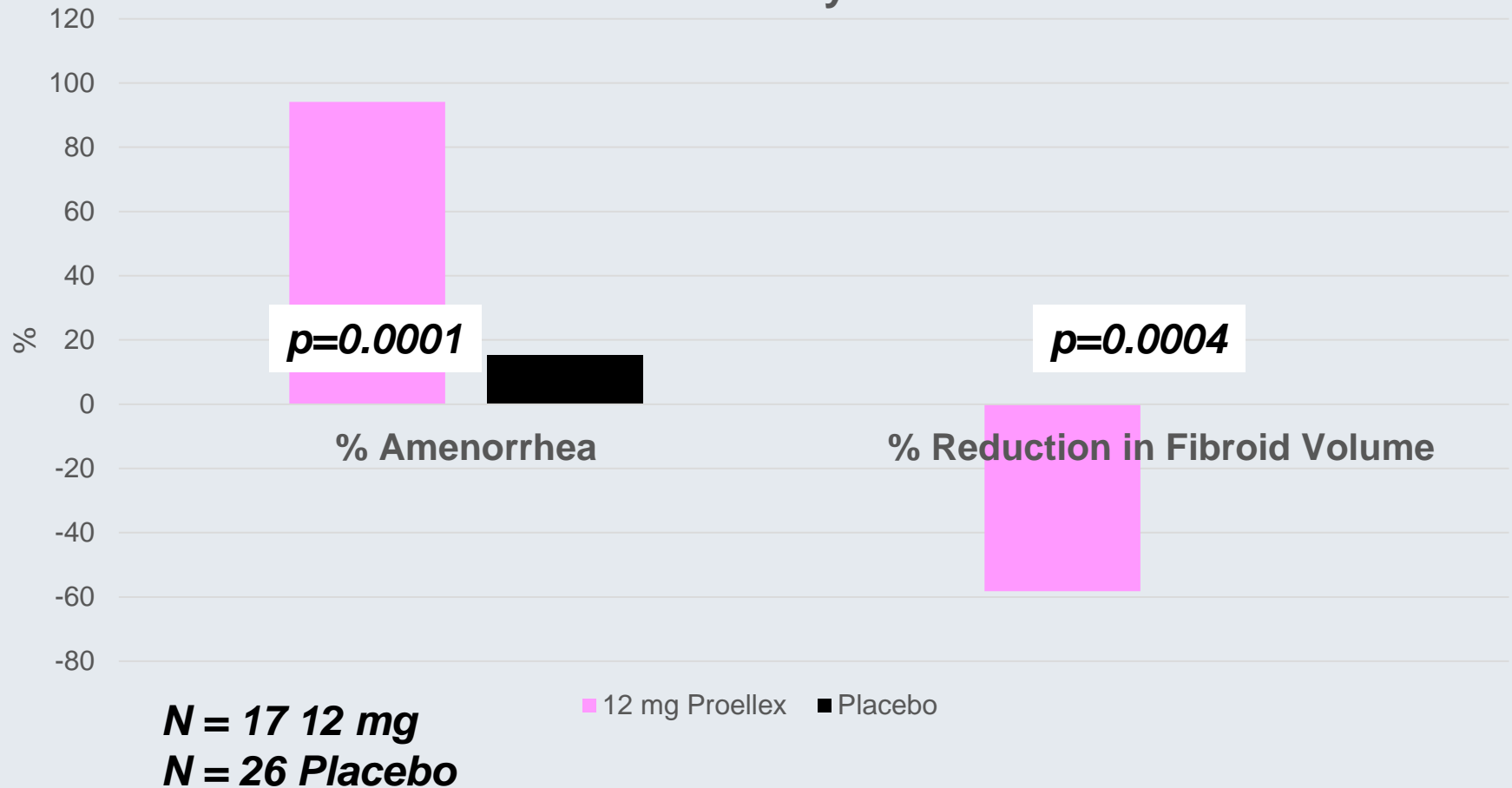


12 mg oral dose to be proposed to FDA for Phase 3 for both uterine fibroids and endometriosis

Impact of Proellex® Treatment on Uterine Fibroids

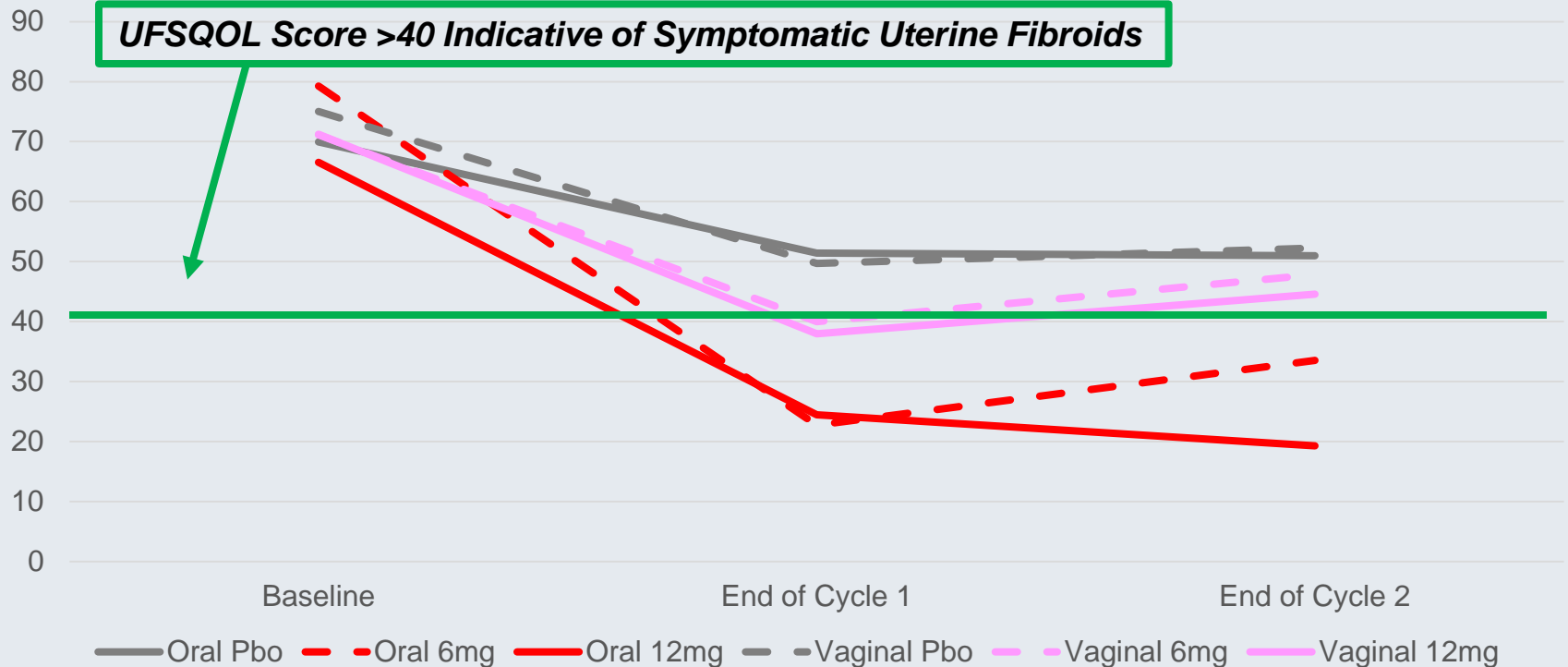
Effects of 12 mg Proellex® after 36 weeks of treatment of severe uterine fibroids (menstrual blood loss > 80mL/ cycle)

LOCF Analysis



Change in UFSQOL Over Time LOCF

Comparison of Quality of Life Outcomes Between Different Doses of Proellex® and Different Routes of Administration in Treatment of Uterine Fibroids



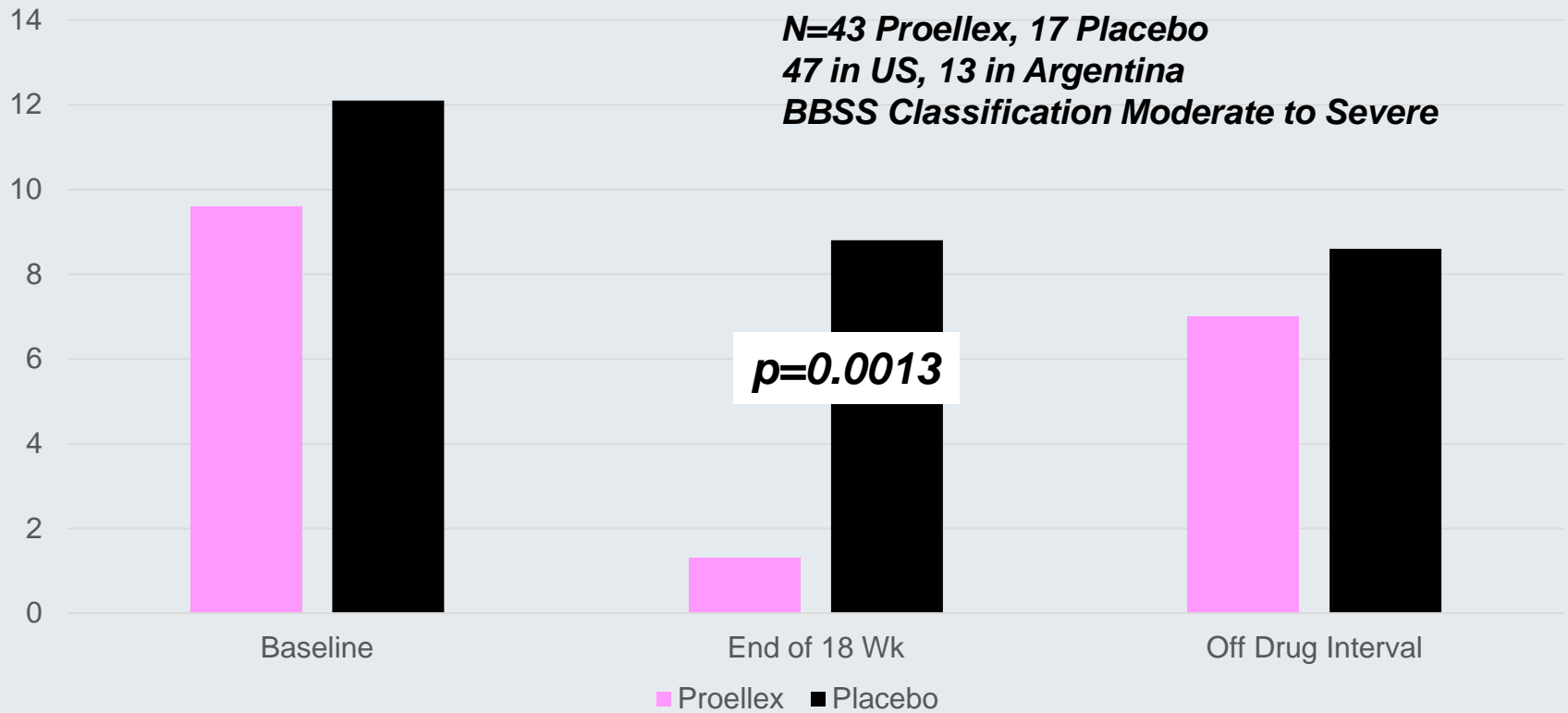
At baseline, no difference between groups

At end of first cycle, oral 6 & 12 mg stat. sig. compared to pbo

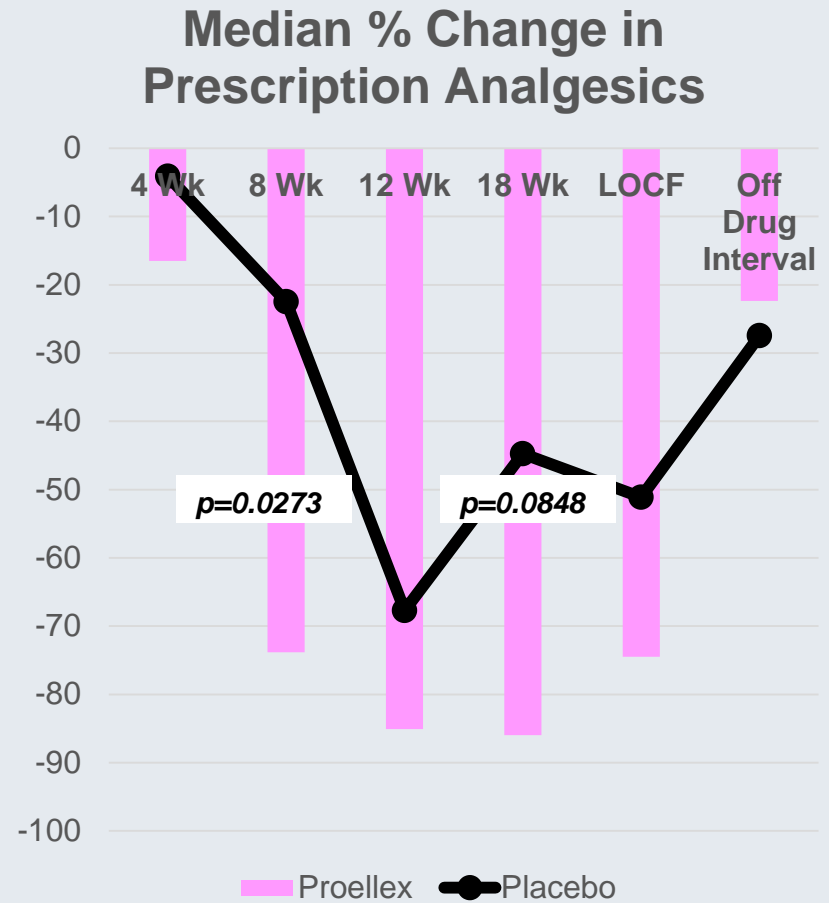
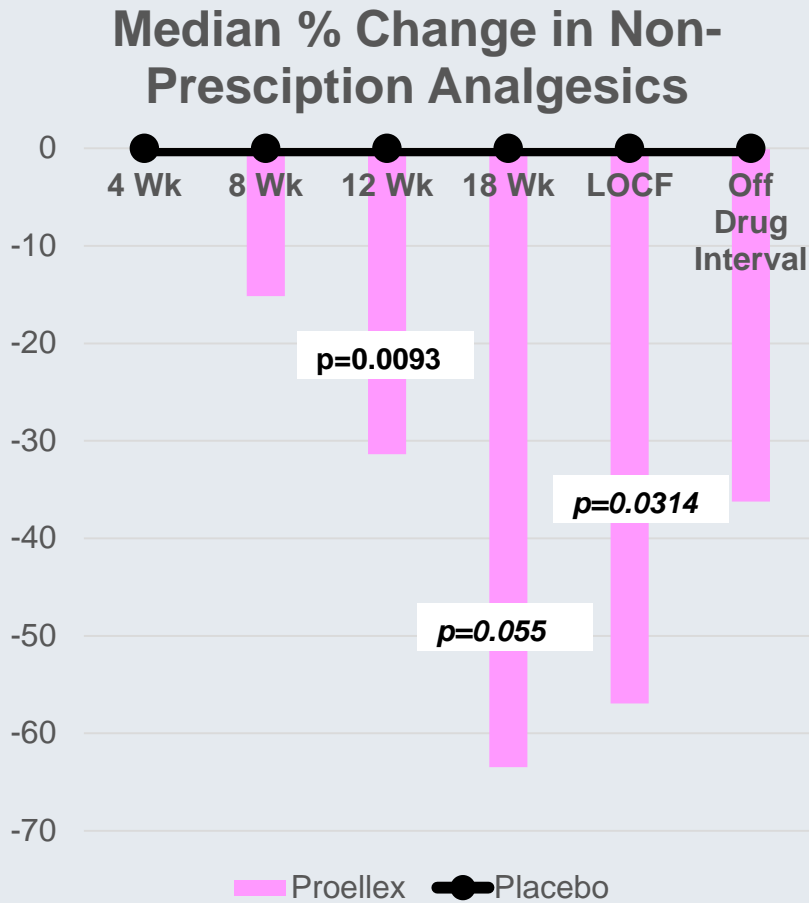
At end of cycle 2, 12 mg superior to placebo

Impact of Proellex® Treatment on Endometriosis

Median Sum of BBSS Scored Menstrual Pain Over 28 Day Cycle



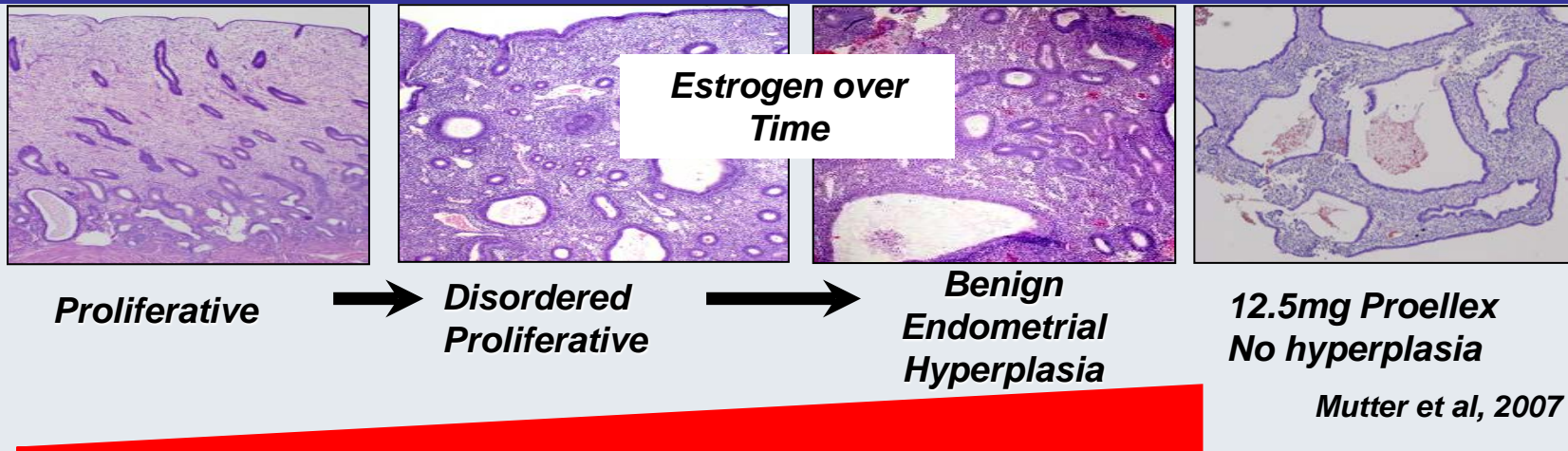
Impact of Proellex® Treatment on Endometriosis



Baseline Analgesic Use Per 28 Day Menstrual Cycle

	Prescription	Non-Prescription
Proellex®	23.14 (40.81)	30.28 (74.96)
Placebo	14.38 (17.78)	18.94 (29.05)

Key Advantage of Proellex Over Other Antiprogestins in Development

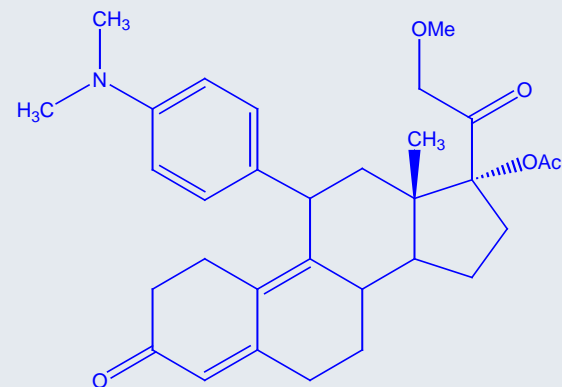
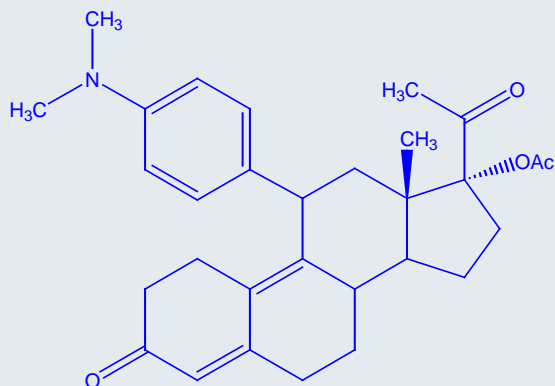


- **Required Off Drug Interval to allow for:**
- **Menses**
 - **Refresh the endometrium**
 - *Potential unexpected uterine hemorrhage*
 - **Menses returns in 25-35 days**
- **Return of symptoms after cessation of treatment**

All other antiprogestins in development require off drug interval after 12 weeks of treatment

Proellex provides 18 weeks of significant symptom relief before Off Drug Interval

PROELLEX® EVOLUTION OF LEAD COMPOUND



CDB-2914 (Ulipristal Acetate)

- **NIH precursor to Repros class**
- **Allergan marketing molecule (Esmya) in Europe for treatment of uterine fibroids**

**Both molecules metabolized
Via CYP3A4
Mono demethylation of amine
Active metabolites**

CDB-4124

Proellex® (telapristone acetate)

- **Repros worldwide exclusive license from NIH**
- **Composition of matter patent**

44 Analogues Synthesized to Date

Differences Between Proellex® and Esmya®

- Proellex®
 - Duration of drug cycle
 - 18 weeks
 - Formulation
 - Immediate release capsule: 12 mg
 - Tmax: 0.75 hr
 - Cmax: 256 ± 113 ng/ml
 - AUC: 935 ± 492 ng*hr/ml
 - NIH rabbit model
 - 95% suppression of progesterone action @ 8 mg oral
 - Induction of amenorrhea after two 18 weeks cycles
 - 100%
 - Fibroid Reduction: 58.2%
- Esmya® (Label and Fertil Steril, 2015)
 - Duration of drug cycle
 - 12 weeks
 - Formulation
 - Rapid disintegrating tablet: 10 mg
 - Tmax: 1 hr
 - Cmax: 50 ± 34.4 ng/ml
 - AUC: 134 ± 83.8 ng*hr/ml
 - NIH rabbit model
 - 63% suppression of progesterone action @ 8 mg oral
 - Induction of amenorrhea after two 12 weeks cycles @ 10 mg
 - 73%
 - Fibroid Reduction: 58%

Differences Between Proellex® and Esmya®

Comparison of Proellex® and Esmya®

Treatment	Age	BMI	Ethnicity	% Amenorrhea	% Fibroid Reduction	UFSQOL Baseline	UFSQOL End of Study
Proellex® (All US @ 36 wks, LOCF)							
6 mg	40.55	33.67	100% Black	88.9	32.9%	77.1	33.5
12 mg	41.35	33.49	88.2% Black	94.1	58.2%	69.9	19.3
Esmya® (5 mg @ 12 wks in Canada, 5 & 10 mg @ 24 wks in Europe)							
N.America Black	40.3	27.3		41%	ND	51.7	21.6
N.America White	44.5	26.5		66%	ND	55.9	17.6
Europe 5 mg	41.6	25.2	92.5% White	61.9%	54.1%	50.0	15.6
10 mg	41.1	25.3	96.0% White	72.7%	58.0%	50.0	12.5

Proellex® Clinical Goals

- **Confirm Phase 3 requirements with FDA**
 - Uterine Fibroids: Request Mtg. Q4-'16
 - Endometriosis: Request Mtg. Q4-'16
 - Anticipate meetings Q1-Q2 '17
- **Completed Proellex® NDA components**
 - Pre-clinical complete (including 2 carcinogenicity studies)
 - Manufacturing complete (API sourced, stable final drug product)
 - Phase 1 complete except TQtc
 - No signal in pilot study

Proellex® Summary

- Potential Proellex® market opportunity > \$1 Billion for Fibroids and Endometriosis
- Rigorous clinical program working to move into Phase 3
- Significant clinical advantages identified against GnRH agonists/antagonists
- Longer symptom relief established against other approved or in development anti-progestins

Repros Late Stage Assets



*Repros seeking regional or global
development/commercialization
partners*

Financial Summary

- **Cash and equivalents** (unaudited Dec. 31, 2016): \$8.7 M
- **Cash used in 2016** (unaudited): \$12.7 M
- **Cash runway:** into Q3 2017
- **Current shares outstanding:** 25.8 M shares