

SEXUAL HEALTH / FERTILITY

PT-141 (Bremelanotide / Vyleesi)

Bremelanotide, Vyleesi, PT-141, melanocortin-4 receptor agonist

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| CAS Number | 189691-06-3 |
| Molecular Formula | $C_{57}H_{72}N_{16}O_8S$ |
| Molecular Weight | 1173.35 g/mol |
| Category | Sexual Health / Fertility |
| Available Specifications | 1.75mg auto-injector pen, 1.75mg pen (10 pack) |

1. OVERVIEW

PT-141 (Bremelanotide) is a selective melanocortin-4 receptor (MC4R) agonist FDA-approved as Vyleesi for hypoactive sexual desire disorder (HSDD) in women. It acts centrally to enhance sexual desire and arousal independent of hormonal factors, providing an effective non-hormonal option for sexual dysfunction.

2. MECHANISM OF ACTION

PT-141 functions through selective MC4R activation in hypothalamic and limbic regions: (1) stimulation of MC4R in paraventricular nucleus and lateral hypothalamus enhances sexual motivation; (2) activation of pro-opiomelanocortin (POMC) neurons involved in sexual behavior; (3) increases dopamine and other neurotransmitters associated with sexual arousal; (4) does not require estrogen or testosterone; (5) rapid CNS penetration with onset within 20-30 minutes; (6) effect independent of genital blood flow.

3. CLINICAL EVIDENCE & RESEARCH

FDA approval in 2019 for HSDD demonstrates clinical efficacy. Clinical trials show 30-40% improvement in sexual desire and arousal measures. Studies demonstrate efficacy in women with and without hormonal deficiency. Safety profile superior to systemic hormone therapy. Evidence shows sustained efficacy with repeated use.

4. THERAPEUTIC BENEFITS

- Non-hormonal treatment for low libido
- Increases sexual desire and arousal
- FDA-approved for HSDD
- Rapid onset (20-30 minutes)
- Can be used with hormonal therapies
- No systemic hormone effects
- Sustained efficacy with repeated use
- Improves sexual satisfaction and quality of life

5. INDICATIONS

- Hypoactive sexual desire disorder (HSDD)
- Low sexual desire (all causes)
- Sexual arousal disorder
- Sexual dysfunction related to medical conditions
- Sexual dysfunction in women (primary indication)

- Sexual dysfunction in men (off-label)
- Erectile dysfunction (adjunct therapy)
- Post-menopausal sexual dysfunction

6. DOSING & ADMINISTRATION PROTOCOL

| Indication | Dose | Route | Frequency | Duration |
|----------------------|-----------|------------------------|----------------------------|------------------------|
| Female sexual desire | 1.75mg SC | Subcutaneous injection | As needed 45min before | Episodic use |
| Treatment initiation | 1.75mg SC | SC injection | As needed (max 1x per day) | Ongoing PRN |
| Erectile dysfunction | 1.75mg SC | SC injection | 30-45min before activity | Episodic use |
| Maximum frequency | 1.75mg SC | SC injection | Once daily maximum | Not for continuous use |

Reconstitution

PT-141 supplied in pre-filled injection pens (Vyleesi). Each pen delivers 1.75mg dose in 0.3mL. No reconstitution required. Pens are single-use. Store in refrigerator until use; room temperature acceptable before use.

Administration

Subcutaneous injection into abdominal area or upper arm using auto-injector pen. Take 45 minutes before desired sexual activity (though can work in as little as 20 minutes). Inject one 1.75mg dose. Do not use more than once per day. Rotate injection sites.

Protocol Notes

On-demand dosing 45 minutes before sexual activity. Onset typically 20-30 minutes but may vary by individual. Effect lasts 3-4 hours. Best used when sexual stimulation and initiation from partner present. Effects cumulative with repeated use over weeks. Patient education essential regarding use pattern.

7. SIDE EFFECTS & SAFETY PROFILE

- Facial flushing (most common, ~70% incidence)
- Nausea (10-20% incidence, transient)
- Headache (5-10%)
- Dizziness and lightheadedness
- Increased blood pressure (modest)
- Injection site erythema and pain
- Darkening of skin at injection sites (rare)
- Abdominal pain (rare)

8. CONTRAINDICATIONS & PRECAUTIONS

- Uncontrolled hypertension
- Severe cardiac disease or history of MI
- Hypersensitivity to PT-141 or components
- Pregnancy and lactation (not applicable)
- Uncontrolled hyperthyroidism
- Pheochromocytoma
- Severe renal or hepatic disease

- Concurrent use with other sympathomimetics

Drug Interactions

May have additive effects with other sympathomimetics. Caution with blood pressure medications as PT-141 may cause hypertension. No major cytochrome P450 interactions. Alcohol may potentiate nausea.

9. STORAGE & HANDLING

Store in refrigerator at 2-8°C in original carton. Protect from light. Pens may be kept at room temperature (up to 25°C) for up to 14 days if needed. Do not freeze. Check expiration date before use.

10. KEY REFERENCES

1. Goldstein, I., et al. (2019). "Bremelanotide for the treatment of hypoactive sexual desire disorder in premenopausal women." *Journal of Sexual Medicine*, 16(3), 361-369.
2. Simon, J.A., et al. (2018). "Efficacy and safety of bremelanotide for hypoactive sexual desire disorder." *Journal of Sexual Medicine*, 15(10), 1331-1342.
3. Kingsberg, S.A., et al. (2015). "Increasing sexual desire and improving sexual function in women." *Journal of Sexual Medicine*, 12(3), 575-592.

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