BREMELANOTIDE (Vyleesi) Fact Sheet

Bottom Line:

Bremelanotide (marketed as the "female Viagra") is a melanocortin receptor agonist that is modestly effective in increasing sexual desire in women, though it doesn't affect sexual functioning. Disadvantages include the fact that it requires self-injection and carries a 40% rate of nausea as a side effect. It's worth trying in some patients, but don't expect miracles.

FDA Indications:

Hypoactive sexual desire disorder in premenopausal women.

Dosage Forms:

Subcutaneous self-injection: 1.75 mg/0.3 mL.

Dosage Guidance:

Patient to self-inject 1.75 mg subcutaneously via the autoinjector to the abdomen or thigh, as needed, at least 45 minutes before anticipated sexual activity. Do not use more than one dose within 24 hours or eight doses per month.

Monitoring: No routine monitoring recommended unless clinical picture warrants.

Cost: $$$$$

Side Effects:

- Most common: Nausea (seen in 40% of women in clinical trials), flushing, injection site reactions, headache, and vomiting.
- Serious but rare: Transient increase in blood pressure and decrease in heart rate may occur after each dose; usually resolves within 12 hours. Focal hyperpigmentation has been reported by 1% of patients, including involvement of the face, gingiva, and breasts; higher risk in patients with darker skin. Hyperpigmentation may resolve in about half of patients; discontinue if it develops.
- Pregnancy/breastfeeding: Not enough data to recommend.

Mechanism, Pharmacokinetics, and Drug Interactions:

- Melanocortin receptor agonist.
- As a peptide with seven amino acids, metabolism primarily involves hydrolysis (non-CYP450); t ½: 2.7 hours.
- Avoid concomitant use with naltrexone; bremelanotide significantly decreases systemic exposure of oral naltrexone.

Clinical Pearls:

- Bremelanotide has not been studied in postmenopausal women or in men.
- Bremelanotide does not enhance sexual performance; rather, it increases interest.
- In the two preclinical trials, nearly 40% dropped out of the trial and only 4%–8% benefited over placebo.

Fun Fact:

Even before the FDA approved Vyleesi, its manufacturer was sponsoring a campaign called "unblush" to spread the word on hypoactive sexual desire disorder (www.unblush.com).