GNRH AGONIST

Triptorelin

Triptorelin acetate, D-Trp6-LHRH, Leuprolide analog

Category	GnRH Agonist
Available Specifications	100mcg per vial

1. OVERVIEW

Triptorelin is a synthetic GnRH (gonadotropin-releasing hormone) agonist that binds pituitary GnRH receptors, initially causing LH/FSH surge followed by receptor downregulation and sustained gonadotropin suppression.

2. MECHANISM OF ACTION

Triptorelin is a synthetic decapeptide GnRH agonist that binds to pituitary GnRH receptors. Initial administration causes a surge in LH and FSH release (flare effect), followed by receptor downregulation and suppression of gonadotropin secretion. This mechanism results in reduced sex hormone production (testosterone and estrogen). Triptorelin acts centrally on the hypothalamic-pituitary axis, inducing sustained suppression of the reproductive endocrine system.

3. CLINICAL EVIDENCE & RESEARCH

Extensive clinical research demonstrates triptorelin's efficacy in suppressing sex hormones in both men and women. Studies show rapid suppression of testosterone to castration levels within 2-4 weeks in males. Research confirms effective suppression of estrogen in females. Multiple randomized controlled trials document efficacy in various clinical applications.

4. THERAPEUTIC BENEFITS

- Potent sex hormone suppression
- Gonadal hormone reduction in research contexts
- Endocrine support in specific therapeutic approaches
- Potential benefits in hormone-sensitive research
- Sustained pharmacodynamic effect
- Well-established safety profile

5. INDICATIONS

- Medical research in sex hormone modulation
- Investigation of GnRH agonist effects
- Endocrine research applications
- Studies requiring controlled gonadotropin suppression
- Research on hormone-responsive conditions

6. DOSING & ADMINISTRATION PROTOCOL

Indication	Dose	Route	Frequency	Duration
Research: hormone suppression	50-100 mcg	Daily or every 2-3 days SC/IV	Subcutaneous or Intravenous	

Indication	Dose	Route	Frequency	Duration
GnRH axis studies	100 mcg single dose	Once or repeated per protocol	Intravenous	
Sustained suppression research	100 mcg	Every 3-4 days	Subcutaneous	

Reconstitution

Reconstitute 100 mcg vial with 2 mL bacteriostatic water for injection (0.9% benzyl alcohol). Gently swirl until completely dissolved—do not shake. Solution should be clear and colorless. Resulting concentration: 50 mcg/mL.

Administration

Administer via subcutaneous injection into the abdomen or thigh, or intravenous infusion. Rotate injection sites to minimize local irritation. Intravenous administration via slow bolus (over 1-2 minutes) or diluted infusion.

7. SIDE EFFECTS & SAFETY PROFILE

- Initial flare effect: transient increase in LH, FSH, and sex hormones (1-2 weeks)
- Common effects include hot flashes, decreased libido, erectile dysfunction
- Vaginal dryness in females, mood changes, and headache
- Potential injection site reactions
- Bone density considerations with long-term use
- Generally tolerable with appropriate patient counseling

8. CONTRAINDICATIONS & PRECAUTIONS

- Hypersensitivity to GnRH agonists or benzyl alcohol
- Pregnancy (highly teratogenic—absolute contraindication)
- Active breast cancer
- Severe hepatic or renal disease
- Pituitary adenoma or suprasellar mass
- Undiagnosed vaginal bleeding

9. STORAGE & HANDLING

Store lyophilized vials at 2-8°C (refrigerated) in original vial, protected from light. Once reconstituted, stable for 24 hours at 2-8°C. Do not freeze reconstituted solution.

10. KEY REFERENCES

1. Dohler KD, et al. A physiological dose of the gonadotropin-releasing hormone agonist buserelin impairs sexual motivation in male rats. Neuroendocrinology. 1991;53(4):426-431.

2. Gu GB, et al. GnRH agonists for the treatment of hormone-responsive cancers. Nat Rev Clin Oncol. 2018;15(12):754-772.

3. Conn PM, Crowley WF. Gonadotropin-releasing hormone and its analogs. N Engl J Med. 1991;324(2):93-103.