

RESEARCH MONOGRAPH . COMPOUND PROFILE

Cetrorelix

A synthetic GnRH receptor antagonist used in IVF protocols to prevent premature LH surge; immediate suppression without the agonist flare.

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COMPOUND CLASS	Synthetic GnRH antagonist

ABSTRACT

Cetrorelix (Ac-D-2-Nal-D-Cpa-D-Pal-Ser-Tyr-D-Cit-Leu-Arg-Pro-D-Ala-NH₂; CAS 120287-85-6; molecular formula C₇₀H₉₂ClN₁₇O₁₄; molecular weight 1431.06) is a synthetic GnRH receptor antagonist decapeptide developed at ASTA Medica and approved by the FDA in 2000 under the trade name Cetrotide. Mechanism: competitive antagonism at pituitary GnRH receptors, producing immediate dose-dependent suppression of LH and FSH without the initial flare characteristic of GnRH agonists. The antagonist mechanism allows brief, on-demand HPG suppression without the 7-to-10-day desensitization period required for GnRH agonist effect. Plasma half-life is approximately 60 hours after subcutaneous dosing. Approved for prevention of premature LH surge in controlled ovarian stimulation for IVF; the daily dose protocol begins on stimulation day 5 and continues through hCG trigger. Off-label use in benign prostatic hyperplasia and prostate cancer (where the avoidance of testosterone flare is clinically valuable). Used as the canonical GnRH antagonist in IVF research.

1. Compound Identification

Cetrorelix is described as synthetic gnrh antagonist. A synthetic GnRH receptor antagonist used in IVF protocols to prevent premature LH surge; immediate suppression without the agonist flare.

1.1 Class summary

Synthetic GnRH antagonist

1.2 Subtitle

A synthetic GnRH receptor antagonist used in IVF protocols to prevent premature LH surge; immediate suppression without the agonist flare.

2. Abstract

Cetrorelix (Ac-D-2-Nal-D-Cpa-D-Pal-Ser-Tyr-D-Cit-Leu-Arg-Pro-D-Ala-NH₂; CAS 120287-85-6; molecular formula C₇₀H₉₂ClN₁₇O₁₄; molecular weight 1431.06) is a synthetic GnRH receptor antagonist decapeptide developed at ASTA Medica and approved by the FDA in 2000 under the trade name Cetrotide. Mechanism: competitive antagonism at pituitary GnRH receptors, producing immediate dose-dependent suppression of LH and FSH without the initial flare characteristic of GnRH agonists. The antagonist mechanism allows brief, on-demand HPG suppression without the 7-to-10-day desensitization period required for GnRH agonist effect. Plasma half-life is approximately 60 hours after subcutaneous dosing. Approved for prevention of premature LH surge in controlled ovarian stimulation for IVF; the daily dose protocol begins on stimulation day 5 and continues through hCG trigger. Off-label use in benign prostatic hyperplasia and prostate cancer (where the avoidance of testosterone flare is clinically valuable). Used as the canonical GnRH antagonist in IVF research.

3. Mechanism of Action

Competitive GnRH receptor antagonism; immediate dose-dependent suppression of LH and FSH without the agonist flare.

The mechanistic profile described above represents the consensus position from peer-reviewed literature where available; for compounds with sparse formal characterization, this section reflects vendor-grade reports and structurally-related class pharmacology and should be interpreted with appropriate caution.

4. Pharmacokinetics and Routes of Administration

Pharmacokinetic data are summarized within the abstract for compounds with formal Phase 1 records. Compounds without published human pharmacokinetic studies are noted as such; investigators should treat the absence of data as a research limitation.

5. Reported Research Dose Ranges

Clinical IVF 0.25 mg subcutaneous daily from stimulation day 5 through hCG trigger; alternative single 3 mg dose on stimulation day 7.

Doses are scaled from clinical use where the compound is approved as a medicine, from Phase 2/3 trials where development advanced, or from rodent dose-response data scaled by allometric assumptions where the compound has no human clinical record. Investigators should treat dose ranges from research-chemical-grade vendors as starting points for their own pharmacokinetic and tolerability characterization rather than validated dosing recommendations.

6. Sourcing and Quality Verification

Investigators should obtain analytical confirmation of identity (mass spectrometry; for peptides, additionally amino acid analysis or N-terminal sequencing) and purity (HPLC area-percent, ideally greater than 95 percent) on every lot. Vendor certificates of analysis vary in quality; third-party analytical confirmation through independent laboratories (e.g., Janoshik Analytical, Anabolic Lab) is the gold standard for research-grade peptides and small molecules.

For compounds with established pharmaceutical-grade marketing in any jurisdiction, sourcing from the marketed product (where legal and accessible) provides the highest quality assurance.

7. Reconstitution and Handling

Peptides should be reconstituted in bacteriostatic water or sterile water for injection in the volume appropriate to the desired concentration; lyophilized peptides should be allowed to come to room temperature before reconstitution to minimize precipitation. Reconstituted peptides should be stored refrigerated and used within the timeframe specified by the manufacturer (typically 14 to 30 days for bacteriostatic water reconstitutions, shorter for sterile water).

Small molecules: storage conditions vary by compound; consult the certificate of analysis for the specific product. Most are stable at room temperature in dry, dark conditions; oxidation-sensitive compounds (e.g., catecholamine precursors) require cool storage and protection from light.

8. Stack Interaction Considerations

Investigators combining this compound with other research substances should consider receptor-level interactions (additive effects at shared targets), enzyme-level interactions (CYP-mediated drug-drug interactions where applicable), and pharmacodynamic interactions (additive sedation, additive serotonergic activity, additive hemodynamic effects).

The stack interaction section in published monographs of well-characterized compounds is necessarily incomplete for any specific combination; investigators should treat novel combinations with elevated caution and titrate gradually.

9. References

1. Felberbaum RE, et al. Cetrorelix in IVF: a meta-analysis. Hum Reprod Update 2002.
2. Reissmann T, et al. The LHRH antagonist cetrorelix: a review. Hum Reprod Update 2000.
3. Olivennes F, et al. Use of GnRH antagonists in reproductive medicine. Hum Reprod Update 2002.

This monograph is provided for research use only. Not for medical, diagnostic, or therapeutic purposes. Not for human consumption. Compound class generalizations are noted where compound-specific data are sparse; investigators should weight the evidence accordingly.