

RESEARCH MONOGRAPH . COMPOUND PROFILE

Tesamorelin

A trans-3-hexenoic acid stabilized GHRH analog FDA-approved as Egrifta for HIV-associated lipodystrophy.

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ABSTRACT

Tesamorelin (Egrifta; CAS 901758-09-6; molecular weight 5135.79) is a stabilized analog of human GHRH developed by Theratechnologies (Canada) and approved by the FDA in 2010 for HIV-associated lipodystrophy. The structural modification is the addition of a trans-3-hexenoic acid group at the N-terminus, which substantially extends plasma half-life relative to native GHRH or sermorelin. The compound stimulates physiological GH release with restored visceral adipose tissue reduction in HIV patients on antiretroviral therapy. Pharmacokinetics: plasma half-life approximately 26 minutes (versus 11 to 12 minutes for sermorelin); subcutaneous administration. Approved dose is 2 mg subcutaneously once daily.

1. 1. Compound Identification

Tesamorelin is described as stabilized ghrh analog. A trans-3-hexenoic acid stabilized GHRH analog FDA-approved as Egrifta for HIV-associated lipodystrophy.

1.1. 1.1 Class summary

Stabilized GHRH analog

1.2. 1.2 Subtitle

A trans-3-hexenoic acid stabilized GHRH analog FDA-approved as Egrifta for HIV-associated lipodystrophy.

2. 2. Abstract

Tesamorelin (Egrifta; CAS 901758-09-6; molecular weight 5135.79) is a stabilized analog of human GHRH developed by Theratechnologies (Canada) and approved by the FDA in 2010 for HIV-associated lipodystrophy. The structural modification is the addition of a trans-3-hexenoic acid group at the N-terminus, which substantially extends plasma half-life relative to native GHRH or sermorelin. The compound stimulates physiological GH release with restored visceral adipose tissue reduction in HIV patients on antiretroviral therapy. Pharmacokinetics: plasma half-life approximately 26 minutes (versus 11 to 12 minutes for sermorelin); subcutaneous administration. Approved dose is 2 mg subcutaneously once daily.

3. Mechanism of Action

Stabilized GHRH receptor agonist with extended half-life (trans-3-hexenoic acid N-terminal modification).

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. 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. 5. Reported Research Dose Ranges

2 mg SC once daily.

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. 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. 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. 9. References

1. Falutz J, et al. Effects of tesamorelin (TH9507), a growth hormone-releasing factor analog, on visceral adipose tissue accumulation in HIV-infected patients. J Clin Endocrinol Metab 2010.

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