

RESEARCH MONOGRAPH . COMPOUND PROFILE

Loratadine

A piperidine second-generation H1 antihistamine; a non-sedating allergy medication and the prodrug of desloratadine.

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ABSTRACT

Loratadine (ethyl 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-piperidinecarboxylate; CAS 79794-75-5; molecular formula C₂₂H₂₃ClN₂O₂; molecular weight 382.88) is a piperidine second-generation H₁ antihistamine developed at Schering-Plough and approved by the FDA in 1993 (Claritin). H₁ affinity is approximately 100 nM, lower than cetirizine but with similar peripheral selectivity (minimal CNS penetration). The principal active species is the metabolite desloratadine (formed via CYP3A4 and CYP2D6), which has higher H₁ affinity (approximately 0.4 nM) and longer half-life; desloratadine is marketed separately as Clarinex. Plasma half-life of loratadine is approximately 8 hours; desloratadine half-life is approximately 27 hours. Approved indications: allergic rhinitis, urticaria. Sedation incidence is approximately 8 percent (similar to placebo in most trials), the lowest of the second-generation antihistamines. Used as the canonical non-sedating H₁ antihistamine in research.

1. Compound Identification

Loratadine is described as second-generation h1 antihistamine (peripheral). A piperidine second-generation H1 antihistamine; a non-sedating allergy medication and the prodrug of desloratadine.

1.1 Class summary

Second-generation H1 antihistamine (peripheral)

1.2 Subtitle

A piperidine second-generation H1 antihistamine; a non-sedating allergy medication and the prodrug of desloratadine.

2. Abstract

Loratadine (ethyl 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-1-piperidinecarboxylate; CAS 79794-75-5; molecular formula C₂₂H₂₃ClN₂O₂; molecular weight 382.88) is a piperidine second-generation H₁ antihistamine developed at Schering-Plough and approved by the FDA in 1993 (Claritin). H₁ affinity is approximately 100 nM, lower than cetirizine but with similar peripheral selectivity (minimal CNS penetration). The principal active species is the metabolite desloratadine (formed via CYP3A4 and CYP2D6), which has higher H₁ affinity (approximately 0.4 nM) and longer half-life; desloratadine is marketed separately as Clarinex. Plasma half-life of loratadine is approximately 8 hours; desloratadine half-life is approximately 27 hours. Approved indications: allergic rhinitis, urticaria. Sedation incidence is approximately 8 percent (similar to placebo in most trials), the lowest of the second-generation antihistamines. Used as the canonical non-sedating H₁ antihistamine in research.

3. Mechanism of Action

Second-generation H1 antagonist with peripheral selectivity; principal active species is metabolite desloratadine (higher affinity and longer half-life than parent).

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 10 mg per oral administration 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. 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. Haria M, et al. Loratadine: a reappraisal. *Drugs* 1994.
2. Simons FE. Advances in H1-antihistamines. *N Engl J Med* 2004.
3. DuBuske LM. Pharmacokinetics of desloratadine, the active metabolite of loratadine. *Allergy* 2002.

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