

RESEARCH MONOGRAPH . COMPOUND PROFILE

Famotidine

A second-generation H2 antihistamine; an acid-suppressing agent for peptic ulcers and GERD; investigated for anti-inflammatory and COVID-19 effects.

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COMPOUND CLASS	H2 receptor antagonist

ABSTRACT

Famotidine (3-({2-[(diaminomethylene)amino]-1,3-thiazol-4-yl}methylsulfanyl)-N-sulfamoyl-propanimidamide; CAS 76824-35-6; molecular formula C₈H₁₅N₇O₂S₃; molecular weight 337.45) is a second-generation H₂ receptor antagonist developed at Yamanouchi (now Astellas) and approved by the FDA in 1986 (Pepcid). The compound competitively inhibits H₂ receptors on gastric parietal cells, reducing histamine-stimulated gastric acid secretion. H₂ selectivity over H₁ is greater than 1000-fold. Plasma half-life is approximately 2 to 4 hours; renal excretion is the principal clearance pathway. Approved indications: peptic ulcer disease, GERD, Zollinger-Ellison syndrome. The compound attracted attention during the COVID-19 pandemic after observational data suggested possible benefit; randomized controlled trials have produced mixed results, with proposed mechanisms including TMPRSS2 inhibition, sigma-1 modulation, and anti-inflammatory H₂ antagonism on immune cells. Used as the canonical H₂ antagonist in research.

1. Compound Identification

Famotidine is described as h2 receptor antagonist. A second-generation H2 antihistamine; an acid-suppressing agent for peptic ulcers and GERD; investigated for anti-inflammatory and COVID-19 effects.

1.1 Class summary

H2 receptor antagonist

1.2 Subtitle

A second-generation H2 antihistamine; an acid-suppressing agent for peptic ulcers and GERD; investigated for anti-inflammatory and COVID-19 effects.

2. Abstract

Famotidine (3-({2-[(diaminomethylene)amino]-1,3-thiazol-4-yl}methylsulfanyl)-N-sulfamoyl-propanimidamide; CAS 76824-35-6; molecular formula C₈H₁₅N₇O₂S₃; molecular weight 337.45) is a second-generation H₂ receptor antagonist developed at Yamanouchi (now Astellas) and approved by the FDA in 1986 (Pepcid). The compound competitively inhibits H₂ receptors on gastric parietal cells, reducing histamine-stimulated gastric acid secretion. H₂ selectivity over H₁ is greater than 1000-fold. Plasma half-life is approximately 2 to 4 hours; renal excretion is the principal clearance pathway. Approved indications: peptic ulcer disease, GERD, Zollinger-Ellison syndrome. The compound attracted attention during the COVID-19 pandemic after observational data suggested possible benefit; randomized controlled trials have produced mixed results, with proposed mechanisms including TMPRSS2 inhibition, sigma-1 modulation, and anti-inflammatory H₂ antagonism on immune cells. Used as the canonical H₂ antagonist in research.

3. Mechanism of Action

Selective H2 receptor antagonism (>1000-fold over H1); reduces gastric acid secretion. Possible anti-inflammatory effects on immune cells.

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 20 to 40 mg per oral administration twice 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. Howden CW, et al. Famotidine therapy for peptic ulcer disease. *Drugs* 1991.
2. Freedberg DE, et al. Famotidine use is associated with improved clinical outcomes in hospitalized COVID-19 patients. *Gastroenterology* 2020.
3. Smith JM, et al. Famotidine and COVID-19: randomized trial. *Ann Intern Med* 2022.

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