

RESEARCH MONOGRAPH . COMPOUND PROFILE

Moclobemide

A morpholine benzamide reversible inhibitor of MAO-A (RIMA); the first MAOI that does not require dietary tyramine restriction.

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COMPOUND CLASS	Reversible MAO-A inhibitor (RIMA)

ABSTRACT

Moclobemide (4-chloro-N-(2-morpholin-4-ylethyl)benzamide; CAS 71320-77-9; molecular formula $C_{13}H_{17}ClN_2O_2$; molecular weight 268.74) is a morpholine benzamide reversible inhibitor of MAO-A (RIMA) developed at Roche and approved in Europe (1989) and Canada (1992) under the trade name Aurorix; never FDA approved for the US market. Distinct from earlier MAOIs by reversible binding: tyramine and other dietary substrates can displace moclobemide from MAO-A, eliminating the requirement for tyramine restriction at typical clinical doses. MAO-A selectivity ratio is approximately 100:1 over MAO-B at low doses. Plasma half-life is 1 to 4 hours; the short half-life dictates twice-daily dosing. Hepatic metabolism via CYP2C19 is the primary clearance pathway. Approved for major depressive disorder and social anxiety disorder. The eliminated tyramine restriction has not produced the expected clinical takeoff: efficacy is modestly inferior to TCAs in head-to-head trials, and the drug remains a niche option. Used as the canonical RIMA in mechanism studies.

1. Compound Identification

Moclobemide is described as reversible mao-a inhibitor (rima). A morpholine benzamide reversible inhibitor of MAO-A (RIMA); the first MAOI that does not require dietary tyramine restriction.

1.1 Class summary

Reversible MAO-A inhibitor (RIMA)

1.2 Subtitle

A morpholine benzamide reversible inhibitor of MAO-A (RIMA); the first MAOI that does not require dietary tyramine restriction.

2. Abstract

Moclobemide (4-chloro-N-(2-morpholin-4-ylethyl)benzamide; CAS 71320-77-9; molecular formula $C_{13}H_{17}ClN_2O_2$; molecular weight 268.74) is a morpholine benzamide reversible inhibitor of MAO-A (RIMA) developed at Roche and approved in Europe (1989) and Canada (1992) under the trade name Aurorix; never FDA approved for the US market. Distinct from earlier MAOIs by reversible binding: tyramine and other dietary substrates can displace moclobemide from MAO-A, eliminating the requirement for tyramine restriction at typical clinical doses. MAO-A selectivity ratio is approximately 100:1 over MAO-B at low doses. Plasma half-life is 1 to 4 hours; the short half-life dictates twice-daily dosing. Hepatic metabolism via CYP2C19 is the primary clearance pathway. Approved for major depressive disorder and social anxiety disorder. The eliminated tyramine restriction has not produced the expected clinical takeoff: efficacy is modestly inferior to TCAs in head-to-head trials, and the drug remains a niche option. Used as the canonical RIMA in mechanism studies.

3. Mechanism of Action

Reversible selective MAO-A inhibition. Tyramine displaces moclobemide from the enzyme, eliminating cheese-effect risk at clinical doses.

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 300 to 600 mg per oral administration daily, in divided doses. Rodent studies 10 to 100 mg/kg/day.

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. Bonnet U. Moclobemide: therapeutic use and clinical studies. CNS Drug Rev 2003.
2. Lotufo-Neto F, et al. Meta-analysis of the reversible inhibitors of monoamine oxidase type A moclobemide and brofaromine for the treatment of depression. Neuropsychopharmacology 1999.
3. Fulton B, Benfield P. Moclobemide. An update of its pharmacological properties and therapeutic use. Drugs 1996.

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.