

RESEARCH MONOGRAPH . COMPOUND PROFILE

Toloxatone

*A 5-(hydroxymethyl)oxazolidinone RIMA
approved in France in 1984; an early-
generation reversible inhibitor of MAO-A.*

DOCUMENT	KDC-MN-230
ISSUED	2026-05-09
REVISION	1.0
AUTHOR	Kodiac bioLabs Research
COMPOUND CLASS	Reversible MAO-A inhibitor

ABSTRACT

Toloxatone (5-(hydroxymethyl)-3-(3-methylphenyl)oxazolidin-2-one; CAS 29218-27-7; molecular formula C₁₁H₁₃NO₃; molecular weight 207.23) is an oxazolidinone RIMA developed at Delalande in France and approved there in 1984 under the trade name Humoryl. The compound is a reversible competitive MAO-A inhibitor with a clinical profile broadly comparable to moclobemide; selectivity for MAO-A over MAO-B is approximately 50-fold at therapeutic concentrations. Plasma half-life is approximately 2 hours; hepatic metabolism is the primary clearance pathway. Tyramine restriction is not required at clinical doses. Clinical efficacy in major depressive disorder was demonstrated in French trials but the drug never received approval outside France and clinical use has substantially declined. Used historically and as a reference oxazolidinone RIMA in mechanism studies.

1. 1. Compound Identification

Toloxatone is described as reversible mao-a inhibitor. A 5-(hydroxymethyl)oxazolidinone RIMA approved in France in 1984; an early-generation reversible inhibitor of MAO-A.

1.1. 1.1 Class summary

Reversible MAO-A inhibitor

1.2. 1.2 Subtitle

A 5-(hydroxymethyl)oxazolidinone RIMA approved in France in 1984; an early-generation reversible inhibitor of MAO-A.

2. Abstract

Toloxatone (5-(hydroxymethyl)-3-(3-methylphenyl)oxazolidin-2-one; CAS 29218-27-7; molecular formula C₁₁H₁₃NO₃; molecular weight 207.23) is an oxazolidinone RIMA developed at Delalande in France and approved there in 1984 under the trade name Humoryl. The compound is a reversible competitive MAO-A inhibitor with a clinical profile broadly comparable to moclobemide; selectivity for MAO-A over MAO-B is approximately 50-fold at therapeutic concentrations. Plasma half-life is approximately 2 hours; hepatic metabolism is the primary clearance pathway. Tyramine restriction is not required at clinical doses. Clinical efficacy in major depressive disorder was demonstrated in French trials but the drug never received approval outside France and clinical use has substantially declined. Used historically and as a reference oxazolidinone RIMA in mechanism studies.

3. Mechanism of Action

Reversible competitive MAO-A inhibition. Oxazolidinone scaffold.

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

Clinical 600 to 1000 mg per oral administration daily, in divided doses. Rodent studies 30 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. 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. Provost JC, et al. Toloxatone in the treatment of depression. Neuropsychobiology 1992.
2. Riederer P, et al. Reversible monoamine oxidase A inhibitors. CNS Drugs 1995.
3. Da Prada M, et al. From moclobemide to Ro 19-6327 and Ro 41-1049: the development of a new class of reversible, selective MAO-A and MAO-B inhibitors. J Neural Transm Suppl 1990.

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.