

RESEARCH MONOGRAPH . COMPOUND PROFILE

Nicergoline

A semisynthetic ergoline derivative; an alpha-1 adrenergic antagonist with cerebrovascular and acetylcholinesterase modulatory activity.

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ABSTRACT

Nicergoline (10- α -methoxy-1,6-dimethylergoline-8- β -methanol 5-bromonicotinate; CAS 27848-84-6; molecular formula $C_{24}H_{26}BrN_3O_3$; molecular weight 484.39) is a semisynthetic ergoline developed at Farmitalia (Italy) and approved in several European countries under the trade name Sermion for cerebrovascular disease and age-related cognitive decline. Mechanism: α -1 adrenergic antagonism (cerebrovascular dilation), inhibition of platelet aggregation, weak nicotinic and muscarinic effects, and modulation of acetylcholinesterase activity in some studies. Plasma half-life is approximately 2 hours for the parent compound; the active metabolite 1,6-dimethyl-8- β -hydroxymethyl-10- α -methoxy-ergoline (MMDL) has substantially longer half-life. Approved for cerebrovascular insufficiency in Europe; not approved in the US. Used as a reference ergoline cerebrovascular agent in research.

1. Compound Identification

Nicergoline is described as ergoline derivative / alpha-1 antagonist + cerebrovascular agent. A semisynthetic ergoline derivative; an alpha-1 adrenergic antagonist with cerebrovascular and acetylcholinesterase modulatory activity.

1.1 Class summary

Ergoline derivative / alpha-1 antagonist + cerebrovascular agent

1.2 Subtitle

A semisynthetic ergoline derivative; an alpha-1 adrenergic antagonist with cerebrovascular and acetylcholinesterase modulatory activity.

2. Abstract

Nicergoline (10- α -methoxy-1,6-dimethylergoline-8- β -methanol 5-bromonicotinate; CAS 27848-84-6; molecular formula $C_{24}H_{26}BrN_3O_3$; molecular weight 484.39) is a semisynthetic ergoline developed at Farmitalia (Italy) and approved in several European countries under the trade name Sermion for cerebrovascular disease and age-related cognitive decline. Mechanism: α -1 adrenergic antagonism (cerebrovascular dilation), inhibition of platelet aggregation, weak nicotinic and muscarinic effects, and modulation of acetylcholinesterase activity in some studies. Plasma half-life is approximately 2 hours for the parent compound; the active metabolite 1,6-dimethyl-8- β -hydroxymethyl-10- α -methoxy-ergoline (MMDL) has substantially longer half-life. Approved for cerebrovascular insufficiency in Europe; not approved in the US. Used as a reference ergoline cerebrovascular agent in research.

3. Mechanism of Action

Alpha-1 adrenergic antagonism, cerebrovascular dilation; weak cholinergic modulation. Active metabolite MMDL extends duration.

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 30 to 60 mg per oral administration daily, in divided doses.

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. Winblad B, et al. Therapeutic use of nicergoline. Clin Drug Investig 2008.
2. Battaglia A, et al. Nicergoline in mild to moderate dementia. J Int Med Res 1989.
3. Iliff LD, et al. Nicergoline pharmacology and clinical use. Eur J Drug Metab Pharmacokinet 2002.

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