

RESEARCH MONOGRAPH . COMPOUND PROFILE

Meldonium (Mildronate)

A Latvian-developed carnitine biosynthesis inhibitor used clinically for ischemic heart disease, banned by WADA in 2016 after high-profile athlete cases.

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ABSTRACT

Meldonium (Mildronate; 3-(2,2,2-trimethylhydrazinium)propionate; CAS 76144-81-5; molecular formula $C_6H_{14}N_2O_2$; molecular weight 146.19) is a synthetic structural analog of gamma-butyrobetaine, the precursor of L-carnitine, developed at the Latvian Institute of Organic Synthesis in the 1970s by Ivars Kalvins. The compound competitively inhibits gamma-butyrobetaine hydroxylase, reducing endogenous carnitine biosynthesis and shifting cardiac and skeletal muscle metabolism from fatty acid oxidation toward glucose oxidation. The metabolic shift is theoretically protective under ischemic conditions where fatty acid oxidation generates increased reactive oxygen species. Meldonium is approved in Latvia, Russia, and several former Soviet states for ischemic heart disease, chronic heart failure, and cognitive symptoms of cerebrovascular insufficiency. The compound was added to the WADA prohibited list in 2016 after widespread use in elite athletes; the Maria Sharapova case is the most prominent. Pharmacokinetics: plasma half-life 3 to 6 hours; oral bioavailability 78 percent; renally excreted. Doses are 500 to 1000 mg per day.

1. Compound Identification

Meldonium (Mildronate) is described as carnitine biosynthesis inhibitor. A Latvian-developed carnitine biosynthesis inhibitor used clinically for ischemic heart disease, banned by WADA in 2016 after high-profile athlete cases.

1.1 Class summary

Carnitine biosynthesis inhibitor

1.2 Subtitle

A Latvian-developed carnitine biosynthesis inhibitor used clinically for ischemic heart disease, banned by WADA in 2016 after high-profile athlete cases.

2. Abstract

Meldonium (Mildronate; 3-(2,2,2-trimethylhydrazinium)propionate; CAS 76144-81-5; molecular formula $C_6H_{14}N_2O_2$; molecular weight 146.19) is a synthetic structural analog of gamma-butyrobetaine, the precursor of L-carnitine, developed at the Latvian Institute of Organic Synthesis in the 1970s by Ivars Kalvins. The compound competitively inhibits gamma-butyrobetaine hydroxylase, reducing endogenous carnitine biosynthesis and shifting cardiac and skeletal muscle metabolism from fatty acid oxidation toward glucose oxidation. The metabolic shift is theoretically protective under ischemic conditions where fatty acid oxidation generates increased reactive oxygen species. Meldonium is approved in Latvia, Russia, and several former Soviet states for ischemic heart disease, chronic heart failure, and cognitive symptoms of cerebrovascular insufficiency. The compound was added to the WADA prohibited list in 2016 after widespread use in elite athletes; the Maria Sharapova case is the most prominent. Pharmacokinetics: plasma half-life 3 to 6 hours; oral bioavailability 78 percent; renally excreted. Doses are 500 to 1000 mg per day.

3. Mechanism of Action

Competitive inhibition of gamma-butyrobetaine hydroxylase, reducing carnitine biosynthesis. Shifts cardiac/skeletal metabolism from fatty acid to glucose oxidation.

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

500 to 1000 mg per 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. Dambrova M, et al. Mildronate: cardioprotective action through carnitine-lowering effect. Trends Cardiovasc Med 2002.
2. Schobersberger W, et al. Meldonium: prevalence in elite athletes and pharmacology. Drug Test Anal 2017.

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