

RESEARCH MONOGRAPH . COMPOUND PROFILE

Methylphenidate

A phenethylamine-class DAT and NET inhibitor FDA-approved as Ritalin and Concerta for ADHD.

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ABSTRACT

Methylphenidate (Ritalin, Concerta; CAS 113-45-1; molecular formula $C_{14}H_{19}NO_2$; molecular weight 233.31) is a phenethylamine-class psychostimulant first synthesized in 1944 and approved by the FDA in 1955. The compound is a primary clinical treatment for ADHD and is also used for narcolepsy. Mechanism is dopamine and norepinephrine transporter inhibition (DAT, NET); unlike amphetamine-class stimulants, methylphenidate does not produce significant monoamine release through transporter inversion. The (R,R)-enantiomer (dexamethylphenidate) carries most of the activity. Pharmacokinetics: plasma half-life 2 to 4 hours (immediate release); extended-release formulations span 8 to 12 hours. Schedule II in the United States.

1. Compound Identification

Methylphenidate is described as phenethylamine dat/net inhibitor. A phenethylamine-class DAT and NET inhibitor FDA-approved as Ritalin and Concerta for ADHD.

1.1 Class summary

Phenethylamine DAT/NET inhibitor

1.2 Subtitle

A phenethylamine-class DAT and NET inhibitor FDA-approved as Ritalin and Concerta for ADHD.

2. Abstract

Methylphenidate (Ritalin, Concerta; CAS 113-45-1; molecular formula C₁₄H₁₉NO₂; molecular weight 233.31) is a phenethylamine-class psychostimulant first synthesized in 1944 and approved by the FDA in 1955. The compound is a primary clinical treatment for ADHD and is also used for narcolepsy. Mechanism is dopamine and norepinephrine transporter inhibition (DAT, NET); unlike amphetamine-class stimulants, methylphenidate does not produce significant monoamine release through transporter inversion. The (R,R)-enantiomer (dexmethylphenidate) carries most of the activity. Pharmacokinetics: plasma half-life 2 to 4 hours (immediate release); extended-release formulations span 8 to 12 hours. Schedule II in the United States.

3. Mechanism of Action

DAT and NET reuptake inhibitor; minimal monoamine release. (R,R)-enantiomer is the active component.

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

5 to 60 mg per day depending on formulation.

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. Volkow ND, et al. Effects of methylphenidate on the dopamine system. J Pharmacol Exp Ther 1995.

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