

RESEARCH MONOGRAPH . COMPOUND PROFILE

Bromocriptine

An ergoline-derived D2 receptor agonist; used in Parkinson disease, prolactinoma, and (off-label) for diabetes and obesity research.

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ABSTRACT

Bromocriptine (2-bromo-12'-hydroxy-2'-(1-methylethyl)-5'-alpha-(2-methylpropyl)ergotaman-3',6',18-trione; CAS 25614-03-3; molecular formula C₃₂H₄₀BrN₅O₅; molecular weight 654.59) is a semi-synthetic ergoline derivative developed at Sandoz and approved by the FDA in 1978 (Parlodel) for Parkinson disease and hyperprolactinemia. The compound is a high-affinity D₂ dopamine receptor agonist (K_i approximately 5 nM) with secondary D₁, alpha-adrenergic, and serotonergic effects. The dopaminergic activity in pituitary lactotrophs suppresses prolactin secretion (the prolactinoma indication); the activity in basal ganglia provides modest symptomatic relief in Parkinson disease (largely supplanted by levodopa and non-ergot agonists). A formulation released in the morning (Cycloset, FDA approved 2009) is approved for type 2 diabetes; the rationale is reset of the circadian dopaminergic peak and consequent metabolic improvement. Plasma half-life is 4 to 6 hours; metabolism is hepatic via CYP3A4. Used as a reference D₂ agonist with broad receptor profile.

1. Compound Identification

Bromocriptine is described as ergoline d2 dopamine receptor agonist. An ergoline-derived D2 receptor agonist; used in Parkinson disease, prolactinoma, and (off-label) for diabetes and obesity research.

1.1 Class summary

Ergoline D2 dopamine receptor agonist

1.2 Subtitle

An ergoline-derived D2 receptor agonist; used in Parkinson disease, prolactinoma, and (off-label) for diabetes and obesity research.

2. Abstract

Bromocriptine (2-bromo-12'-hydroxy-2'-(1-methylethyl)-5'-alpha-(2-methylpropyl)ergotaman-3',6',18-trione; CAS 25614-03-3; molecular formula C₃₂H₄₀BrN₅O₅; molecular weight 654.59) is a semi-synthetic ergoline derivative developed at Sandoz and approved by the FDA in 1978 (Parlodel) for Parkinson disease and hyperprolactinemia. The compound is a high-affinity D₂ dopamine receptor agonist (K_i approximately 5 nM) with secondary D₁, alpha-adrenergic, and serotonergic effects. The dopaminergic activity in pituitary lactotrophs suppresses prolactin secretion (the prolactinoma indication); the activity in basal ganglia provides modest symptomatic relief in Parkinson disease (largely supplanted by levodopa and non-ergot agonists). A formulation released in the morning (Cycloset, FDA approved 2009) is approved for type 2 diabetes; the rationale is reset of the circadian dopaminergic peak and consequent metabolic improvement. Plasma half-life is 4 to 6 hours; metabolism is hepatic via CYP3A4. Used as a reference D₂ agonist with broad receptor profile.

3. Mechanism of Action

Ergoline-derived D2 dopamine receptor agonist; secondary D1, alpha-adrenergic, serotonergic activity. Suppresses prolactin secretion; modest Parkinson disease activity.

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 1.25 to 30 mg per oral administration daily (Parkinson, prolactinoma); 0.8 to 4.8 mg morning (Cycloset for diabetes).

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. Vermeulen RJ, et al. Bromocriptine for Parkinson disease. Cochrane Database Syst Rev 2003.
2. Cincotta AH, et al. Bromocriptine (ergoset) reduces body weight and improves glucose tolerance in obese subjects. Diabetes Care 1996.
3. Webster J, et al. Bromocriptine for prolactinoma: long-term outcomes. Clin Endocrinol 1992.

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