

RESEARCH MONOGRAPH . COMPOUND PROFILE

Cabergoline

A long-acting ergoline D2 dopamine receptor agonist; first-line for prolactinoma and used off-label for Parkinson disease maintenance.

DOCUMENT	KDC-MN-318
ISSUED	2026-05-09
REVISION	1.0
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COMPOUND CLASS	Long-acting ergoline D2 dopamine agonist

RESEARCH USE ONLY

ABSTRACT

Cabergoline ((6aR,9R,10aS)-N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-7-(2-propenyl)-ergoline-8-beta-carboxamide; CAS 81409-90-7; molecular formula C₂₆H₃₇N₅O₂; molecular weight 451.61) is a long-acting ergoline D₂ dopamine receptor agonist developed at Pharmacia and approved by the FDA in 1996 under the trade name Dostinex. The compound has high D₂ affinity (K_i approximately 0.6 nM) with minimal activity at non-dopaminergic receptors compared to bromocriptine. Plasma half-life is approximately 60 to 100 hours, the longest of any clinical dopamine agonist, supporting twice-weekly oral dosing. Approved for hyperprolactinemia (prolactinoma, idiopathic hyperprolactinemia). Off-label use in Parkinson disease (less common since the introduction of non-ergot agonists), cocaine dependence, and dopamine-deficiency syndromes. The 5-HT_{2B} partial agonism produces a recognized but rare risk of cardiac valvulopathy at high cumulative doses (Parkinson dose ranges); the lower prolactinoma doses are not associated with this risk. Used as the canonical long-acting ergoline dopamine agonist.

1. Compound Identification

Cabergoline is described as long-acting ergoline d2 dopamine agonist. A long-acting ergoline D2 dopamine receptor agonist; first-line for prolactinoma and used off-label for Parkinson disease maintenance.

1.1 Class summary

Long-acting ergoline D2 dopamine agonist

1.2 Subtitle

A long-acting ergoline D2 dopamine receptor agonist; first-line for prolactinoma and used off-label for Parkinson disease maintenance.

2. Abstract

Cabergoline ((6aR,9R,10aS)-N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-7-(2-propenyl)-ergoline-8-beta-carboxamide; CAS 81409-90-7; molecular formula C₂₆H₃₇N₅O₂; molecular weight 451.61) is a long-acting ergoline D₂ dopamine receptor agonist developed at Pharmacia and approved by the FDA in 1996 under the trade name Dostinex. The compound has high D₂ affinity (K_i approximately 0.6 nM) with minimal activity at non-dopaminergic receptors compared to bromocriptine. Plasma half-life is approximately 60 to 100 hours, the longest of any clinical dopamine agonist, supporting twice-weekly oral dosing. Approved for hyperprolactinemia (prolactinoma, idiopathic hyperprolactinemia). Off-label use in Parkinson disease (less common since the introduction of non-ergot agonists), cocaine dependence, and dopamine-deficiency syndromes. The 5-HT_{2B} partial agonism produces a recognized but rare risk of cardiac valvulopathy at high cumulative doses (Parkinson dose ranges); the lower prolactinoma doses are not associated with this risk. Used as the canonical long-acting ergoline dopamine agonist.

3. Mechanism of Action

Long-acting ergoline D2 dopamine agonist; high D2 affinity with minimal off-target activity. 5-HT_{2B} partial agonism produces cardiac valvulopathy risk at high cumulative dose.

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 0.25 to 1 mg twice weekly (prolactinoma); 1 to 6 mg weekly (Parkinson, off-label).

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. Webster J, et al. A comparison of cabergoline and bromocriptine in the treatment of hyperprolactinemic amenorrhea. N Engl J Med 1994.
2. Schade R, et al. Dopamine agonists and the risk of cardiac-valve regurgitation. N Engl J Med 2007.
3. Andreotti F, et al. Cabergoline and cardiac valvulopathy. Heart 2008.

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