

RESEARCH MONOGRAPH . COMPOUND PROFILE

Cinnarizine

A diphenylmethyl-piperazine T-type calcium channel blocker with antihistamine activity; used for vertigo, motion sickness, and cerebrovascular disease.

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ABSTRACT

Cinnarizine (1-(diphenylmethyl)-4-(3-phenyl-2-propenyl)piperazine; CAS 298-57-7; molecular formula C₂₆H₂₈N₂; molecular weight 368.52) is a diphenylmethyl-piperazine multi-target compound developed at Janssen and approved in many European and Asian markets in the 1970s. Mechanism: T-type voltage-gated calcium channel inhibition (cerebrovascular dilation, vestibular nerve effects), H₁ antihistamine activity, and weak D₂ dopamine receptor antagonism. The combined activity supports use in vestibular disorders, motion sickness, and cerebrovascular insufficiency. The D₂ antagonism produces a small but real risk of extrapyramidal side effects (parkinsonism, tardive dyskinesia) with long-term use, particularly in elderly patients; this has limited use in some jurisdictions. Plasma half-life is approximately 4 hours. Approved for vertigo, motion sickness, and cerebrovascular disease in EU and Asia; not approved in the US. Used as a multi-target reference compound for vestibular pharmacology.

1. Compound Identification

Cinnarizine is described as diphenylmethyl-piperazine calcium channel blocker / antihistamine. A diphenylmethyl-piperazine T-type calcium channel blocker with antihistamine activity; used for vertigo, motion sickness, and cerebrovascular disease.

1.1 Class summary

Diphenylmethyl-piperazine calcium channel blocker / antihistamine

1.2 Subtitle

A diphenylmethyl-piperazine T-type calcium channel blocker with antihistamine activity; used for vertigo, motion sickness, and cerebrovascular disease.

2. Abstract

Cinnarizine (1-(diphenylmethyl)-4-(3-phenyl-2-propenyl)piperazine; CAS 298-57-7; molecular formula C₂₆H₂₈N₂; molecular weight 368.52) is a diphenylmethyl-piperazine multi-target compound developed at Janssen and approved in many European and Asian markets in the 1970s. Mechanism: T-type voltage-gated calcium channel inhibition (cerebrovascular dilation, vestibular nerve effects), H₁ antihistamine activity, and weak D₂ dopamine receptor antagonism. The combined activity supports use in vestibular disorders, motion sickness, and cerebrovascular insufficiency. The D₂ antagonism produces a small but real risk of extrapyramidal side effects (parkinsonism, tardive dyskinesia) with long-term use, particularly in elderly patients; this has limited use in some jurisdictions. Plasma half-life is approximately 4 hours. Approved for vertigo, motion sickness, and cerebrovascular disease in EU and Asia; not approved in the US. Used as a multi-target reference compound for vestibular pharmacology.

3. Mechanism of Action

T-type calcium channel inhibition, H1 antihistamine, weak D2 antagonism. Multi-target activity supports vertigo and cerebrovascular indications.

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 25 to 75 mg per oral administration daily.

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. Pianese CP, et al. Cinnarizine for vertigo: a review. Eur Arch Otorhinolaryngol 2005.
2. Fraga JL, Cabrera J. Adverse effects of cinnarizine in clinical use. Drug Saf 1995.
3. Holguin J, et al. Cinnarizine in motion sickness. Aviat Space Environ Med 1979.

This monograph is provided for research use only. Not for medical, diagnostic, or therapeutic purposes. Not for human consumption. Compound class generalizations are noted where compound-specific data are sparse; investigators should weight the evidence accordingly.