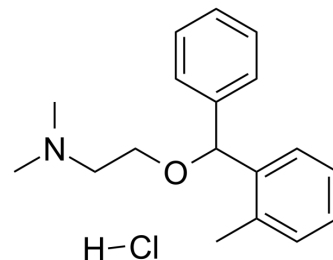


Orphenadrine hydrochloride

Cat. No.:	HY-B1126
CAS No.:	341-69-5
Molecular Formula:	C ₁₈ H ₂₄ ClNO
Molecular Weight:	305.84
Target:	iGluR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Orphenadrine hydrochloride is an uncompetitive N-methyl-D-aspartate (NMDA) receptor antagonist with K_i of $6.0 \pm 0.7 \mu\text{M}$. IC_{50} value: $6.0 \pm 0.7 \mu\text{M}$ (K_i) Target: NMDA Receptor Orphenadrine has been used as an antiparkinsonian, antispastic and analgesic drug. Orphenadrine inhibits [³H]MK-801 binding to the phencyclidine (PCP) binding site of the N-methyl-D-aspartate (NMDA)-receptor in homogenates of postmortem human frontal cortex with a K_i -value of $6.0 \pm 0.7 \mu\text{M}$. The NMDA receptor antagonistic effects of orphenadrine were assessed using concentration- and patch-clamp techniques on cultured superior colliculus neurones. Orphenadrine blocked open NMDA receptor channels with fast kinetics and in a strongly voltage-dependent manner. The IC_{50} -value against steady state currents at -70 mV was $16.2 \pm 1.6 \mu\text{M}$ ($n = 6$). [1]. Orphenadrine competitively inhibited [³H]nisoxetine binding in rat vas deferens membranes ($K_i = 1.05 \pm 0.20 \mu\text{M}$). It can be concluded that orphenadrine, at low micromolar concentrations, interacts with the noradrenaline reuptake system inhibiting its functionality and thus potentiating the effect of noradrenaline [2].

REFERENCES

- [1]. Kornhuber, J., et al., Orphenadrine is an uncompetitive N-methyl-D-aspartate (NMDA) receptor antagonist: binding and patch clamp studies. *J Neural Transm Gen Sect*, 1995. 102(3): p. 237-46.
- [2]. Pubill, D., et al., Assessment of the adrenergic effects of orphenadrine in rat vas deferens. *J Pharm Pharmacol*, 1999. 51(3): p. 307-12.

Caution: Product has not been fully validated for medical applications. For research use only.

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