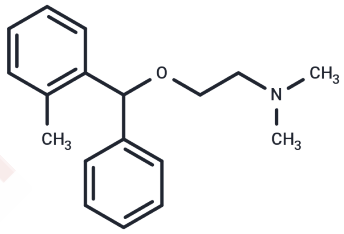
Data Sheet (Cat.No.T68599)

TargetMol

Orphenadrine

Chemical Properties



CAS No. : 83-98-7

Formula: C18H23NO

Molecular Weight: 269.38

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Orphenadrine is a noncompetitive N-methyl-D-aspartate (NMDA) receptor antagonist that inhibits clonal HERG channels in a concentration-dependent manner, producing an IC of 0.85 μM in HEK cells.Orphenadrine is an antagonist of central and peripheral muscarinic receptors. Attenuation is blocked by mutations in pore residues Y652 or F656. Orphenadrine has antispasmodic, analgesic, and anticholinergic activity and protects against glutamatergic neurotoxicity in vitro and in vivo.Orphenadrine has inhibitory effects on sodium channels and can be used in the treatment of Parkinson's disease.
Targets(IC50)	NMDAR,AChR,Cholinesterase (ChE),Cytochromes P450,iGluR,Sodium Channel
In vitro	Orphenadrine decreased CYP2B6 marker activity up to 45-57% in human liver microsomes and up to 80-97% in cell microsomes containing cDNA-expressed CYP2B6. Orphenadrine strongly decreased CYP2D6 marker activity by 80-90%. Orphenadrine also partially decreased the CYP1A2, CYP2A6, CYP3A4, and CYP2C19 marker activities.[4]
In vivo	Orphenadrine (75 mg/kg/day; i.p.; for 3 days) was associated with a 2-fold induction of total hepatic P-450, a 5- and 2.4-fold induction of androstenedione 16 beta- and 6 beta-hydroxylase activity, respectively, and formation of an orphenadrine-P-450 MI complex. Western blots of orphenadrine-induced microsomes revealed a 20-fold increase in P-450 PB-B/D-immunoreactive protein.[2]

Solubility Information

Solubility	DMSO: 50 mg/mL (185.61 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (7.42 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.7122 mL	18.5611 mL	37.1223 mL
5 mM	0.7424 mL	3.7122 mL	7.4245 mL
10 mM	0.3712 mL	1.8561 mL	3.7122 mL
50 mM	0.0742 mL	0.3712 mL	0.7424 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Roos PH, et al. Metabolite complex formation of orphenadrine with cytochrome P450. Involvement of CYP2C11 and CYP3A isozymes. Biochem Pharmacol. 1996;52(1):73-84.
Reidy GF, et al. Inhibition of oxidative drug metabolism by orphenadrine: in vitro and in vivo evidence for isozyme-specific complexation of cytochrome P-450 and inhibition kinetics. Mol Pharmacol. 1989;35(5):736-743.
Chen YW, et al. Intrathecal orphenadrine elicits spinal block in the rat. Eur J Pharmacol. 2014;742:125-130.
Guo Z, et al. Orphenadrine and methimazole inhibit multiple cytochrome P450 enzymes in human liver microsomes. Drug Metab Dispos. 1997;25(3):390-393.
Sureda FX, et al. In vitro and in vivo protective effect of orphenadrine on glutamate neurotoxicity. Neuropharmacology. 1999;38(5):671-677.