Orphenadrine citrate

Cat. No.: HY-B0369A

CAS No.: 4682-36-4

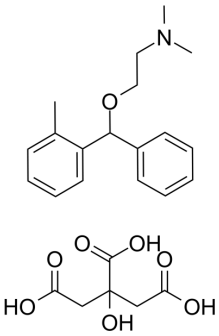
Molecular Formula: $C_{24}H_{31}NO_8$

Molecular Weight: 461.5

Target: iGluR

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (216.68 mM; Need ultrasonic)
H_2O : 10 mg/mL (21.67 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1668 mL	10.8342 mL	21.6685 mL
	5 mM	0.4334 mL	2.1668 mL	4.3337 mL
	10 mM	0.2167 mL	1.0834 mL	2.1668 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 36.67 mg/mL (79.46 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Orphenadrine citrate is an orally active and non-competitive NMDA receptor antagonist (crosses the blood-brain barrier) with a K_i of 6.0 μM. Orphenadrine citrate relieves stiffness, pain and discomfort due to muscle strains, sprains or other injuries. Orphenadrine citrate is also used to relieve tremors associated with parkinson's disease. Orphenadrine citrate has good neuroprotective properties, can be used in studies of neurodegenerative diseases[1][2].

IC_{50} & Target	NMDA Receptor 6.0 μM (Ki)
In Vitro	Orphenadrine citrate (12 μM; 24.5 h) shows neuroprotective effects on 3-NPA-induced neurotoxicity cerebellar granule cells [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Viability Assay[1]

Cell Line:	CGC cells (7-day-old Sprague Dawley rat)
Concentration:	6, 12, 24, 48 μM
Incubation Time:	24.5 h
Result:	Prevented cells from 3-NPA induced cellular aggregation,volume diminution and neurite fragmentation.

In Vivo

Orphenadrine citrate (10, 20, 30 mg/kg; i.p.; once aday for 3 days) reduces 3-NPA-induced mortality in a dose-dependent manner[1].
Orphenadrine citrate (30 mg/kg; i.p.; once aday for 3 days) shows activity to against 3-NPA-induced neuronal damage in vivo [1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult male Sprague Dawley rats (275-300 g; 3-NPA toxicity model)[1].
Dosage:	10, 20, 30 mg/kg
Administration:	Intraperitoneal injection; once aday for 3 days (30 min before 3-NPA).
Result:	Reduced mortality of 3-NPA toxicity rats to 10-40% (3-NPA-treated animals showed general incoordination, drowsiness and general weakness). Recovered 3-NPA-induced body weight loss, and when at 30 mg/kg reduced the level of PBR and expression of HSP27. (PBR and HSP27 are markers of neuronal damage).

REFERENCES

[1]. Pubill D, et al. Orphenadrine prevents 3-nitropropionic acid-induced neurotoxicity in vitro and in vivo. Br J Pharmacol. 2001 Feb;132(3):693-702.

[2]. 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):237-46.

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