Caroverine hydrochloride

Cat. No.: HY-106467B

CAS No.: 55750-05-5

Molecular Formula: $C_{22}H_{28}ClN_3O_2$

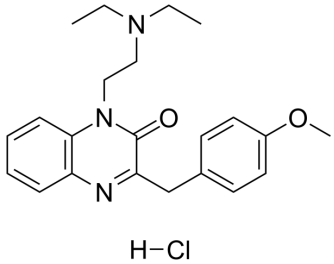
Molecular Weight: 401.93

Target: iGluR

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (248.80 mM; Need ultrasonic)

H_2O : 20 mg/mL (49.76 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4880 mL	12.4400 mL	24.8800 mL
5 mM	0.4976 mL	2.4880 mL	4.9760 mL
10 mM	0.2488 mL	1.2440 mL	2.4880 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.22 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.22 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.22 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Caroverine (Tinnex) hydrochloride is a potent, competitive and reversible antagonist of NMDA and AMPA glutamate receptor . Caroverine hydrochloride is also an antioxidant and calcium-blocking agent that exhibits vasorelaxant action. Caroverine hydrochloride can be used for the research of inner ear tinnitus[1][2][3].

IC_{50} & Target

NMDA[1]

AMPA[1]

In Vitro

Caroverine (1 μM; pretreated for 10 min) inhibits the pressor response to KCl (80 mM) and noradrenaline (1 μM) in the rat

	hindquarter preparation. Caroverine markedly suppresses the contraction caused by KCl (40 mM) in the rat isolated aorta[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Caroverine (1.44 mg/rat; s.c; 1.0 mL/h for 72 h) attenuates impulse noise-induced hearing loss in the rat[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Sprague-Dawley rats of either sex (250-300 g) received impulse noise exposure[4]
Dosage:	1.44 mg/rat
Administration:	20 mg/mL; s.c. 1.0 mL/h for 72 h
Result:	Significantly protected the cochlea against impulse noise trauma.

REFERENCES

[1]. Chen Z, et, al. Pharmacokinetics of caroverine in the inner ear and its effects on cochlear function after systemic and local administrations in Guinea pigs. Audiol Neurootol. Jan-Feb 2003;8(1):49-56.

[2]. Denk DM, et, al. Caroverine in tinnitus treatment. A placebo-controlled blind study. Acta Otolaryngol. 1997 Nov;117(6):825-30.

[3]. Ishida Y, et, al. Vasorelaxant action of caroverine fumarate (a quinoxaline derivative), a calcium-blocking agent. Br J Pharmacol. 1980;71(1):343-8.

[4]. Duan M, et, al. Low-dose, long-term caroverine administration attenuates impulse noise-induced hearing loss in the rat. Acta Otolaryngol. 2006 Dec;126(11):1140-7.

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