Data Sheet (Cat.No.T22435)

TargetMol
A DRUG SCREENING EXPERT

Tacrine HCl

Chemical Properties

CAS No.:	1684-40-8
Formula:	C13H14N2.HCl
Molecular Weight:	234.72
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Tacrine is a indirect cholinergic agonist and centrally acting anticholinesterase. Tacrine hydrochloride hydrate is an inhibitor of acetyl (AChE) and butyryl-cholinestrase (BChE) with IC50s of 31 nM and 25.6 nM, respectively.
Targets(IC_{50})	Others: None
In vitro	Tacrine hydrochloride is an inhibitor of three different hepatic microsomal cytochrome P-450 enzyme sub-families. Tacrine hydrochloride inhibits 3-hydroxymethyl antipyrine (HMA) production by 17%, 24% and 41% and OHA production by 52%, 55% and 79% at 40 mg/mL, 80 mg/mL or 200 mg/mL, respectively, in hepatic microsome. [1] Tacrine severely inhibits normal levels of secretion of soluble APP derivatives by cells into conditioned media in fibroblast, glial, neuroblastoma, and pheochromocytoma (PC12) cells. Tacrine treatment does not alter the level of HSP-70 in cell extracts but affected mildly the secretion of PN-1 in PC12 and neuroblastoma cells. [2] Tacrine (1 μM) attenuates the neurotoxic effect of A beta(25-35) in rat PC12 cells. [3]
In vivo	Tacrine (3 mg/kg, i.p.) prevents the avoidance impairment induced by amitriptyline (5 mg/kg) on shuttle-box avoidance acquisition as well as on a previously learned avoidance response in mice. [4] Tacrine (5mg/kg) shows the significant inhibition effects of brain AChE for more than 6 hours in the rat hippocampus. Acetylcholine concentration in the synaptic cleft of the hippocampus is increased by Tacrine (5mg/kg) treatment mostly through the inhibition of AChE in the rat hippocampus, and the maximum increases are observed at about 1.5 hours. [5]

Solubility Information

Solubility	DMSO: 46 mg/ml (195.98 mM) Ethanol: 46 mg/ml (195.98 mM) Water: 46 mg/ml (195.98 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.26 mL	21.302 mL	42.604 mL
5 mM	0.852 mL	4.26 mL	8.521 mL
10 mM	0.426 mL	2.13 mL	4.26 mL
50 mM	0.085 mL	0.426 mL	0.852 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Danbury TC, et al. Eur J Drug Metab Pharmacokinet, 1999, 24(1), 91-96.
2. Lahiri DK, et al. J Neurosci Res, 1994, 37(6), 777-787.
3. Svensson AL, et al. Neuroreport, 1998, 9(7), 1519-1522.
4. Pavone F, et al. Behav Brain Res, 1997, 89(1-2), 229-236.
5. Kim YK, et al. J Ethnopharmacol, 2003, 87(2-3), 149-154.

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