Tacrine hydrochloride hydrate

Cat. No.: HY-B2244

CAS No.: 206658-92-6

Molecular Formula: $C_{13}H_{17}ClN_2O$

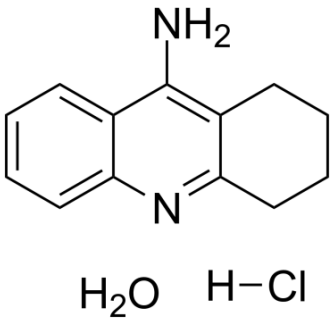
Molecular Weight: 252.74

Target: AChE

Pathway: Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 32 mg/mL (126.61 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.9566 mL	19.7832 mL	39.5664 mL
	5 mM	0.7913 mL	3.9566 mL	7.9133 mL
	10 mM	0.3957 mL	1.9783 mL	3.9566 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 (9.89 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.89 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.89 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tacrine hydrochloride hydrate is an inhibitor of both acetyl (AChE) and butyryl-cholinestrase (BChE) with IC_{50}s of 31 nM and 25.6 nM, respectively.

IC_{50} & Target

IC50: 31 nM (AChE), 25.6 nM (BChE)

In Vitro

Tacrine hydrochloride hydrate (12.5 to 37.5 nM) inhibits venom acetylcholinesterase as well as human serum butyrylcholinesterase in a concentration-dependent manner. The IC_{50} is 31 nM for snake venom AChE and 25.6 nM for

	human BChE[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Pretreatment with Tacrine hydrochloride hydrate also modifies absolute levels of cocaine self-administration during reacquisition. Body weight declines approximately one-half percent over four days of treatment with intravenous Tacrine hydrochloride hydrate. Delivery of Tacrine hydrochloride hydrate by osmotic pump does not alter either linear- or repeated-cocaine-induced locomotor activity. There is no significant main effect or interaction with Tacrine hydrochloride hydrate treatment on active lever responding during reinstatement. Post hoc comparisons indicate that rats self-administering cocaine has significantly lower alkaline phosphatase levels, relative to Tacrine hydrochloride hydrate- but not saline-treated rats evaluated by conditioned-place preference[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	The kinetic parameters of the interaction between Tacrine hydrochloride hydrate and cholinesterase are determined using the double reciprocal plot analyzed over a range of acetylthiocholine concentrations (0.05 to 1 mM) in the absence and in the presence of Tacrine hydrochloride hydrate (12.5 to 37.5 nM). IC_{50} is determined by percentage residual activity versus concentration of Tacrine hydrochloride hydrate[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Male Wistar rats at 9 weeks of age are used in this study. As soon as rats exhibit a stable pattern of self-administration under fixed-ratio-5 (FR-5) with a 20-second time out, sessions are discontinued over 24 hours and rats are left undisturbed in home cages, attached to a fluid swivel and steel-coil tether. This initial washout interval is assessed as more than adequate to allow clearance of plasma cocaine, which has a half-life of less than 20 minutes in rats. Beginning on the following day, 10 mg/kg-day of Tacrine hydrochloride hydrate or vehicle (saline) is administered as a chronic infusion over 4 days, delivered intravenously at 4.0 ml per day. After completion of these infusions, rats are then left undisturbed in home cages for an additional two days. This second washout period permits complete clearance of Tacrine hydrochloride hydrate, which has a half-life of less than two hours in rat brain. Cocaine self-administration is then re-initiated under FR-5 with a 20-second time-out period. To determine persistent effects of Tacrine hydrochloride hydrate, the pattern of self-administration is monitored over six additional sessions[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Ahmed M, et al. Inhibition of two different cholinesterases by tacrine. Chem Biol Interact. 2006 Aug 25;162(2):165-71.

[2]. Grasing K, et al. Enduring effects of tacrine on cocaine-reinforced behavior: Analysis by conditioned-place preference, temporal separation from drug reward, and reinstatement. Pharmacol Res. 2015 Jul;97:40-7.

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