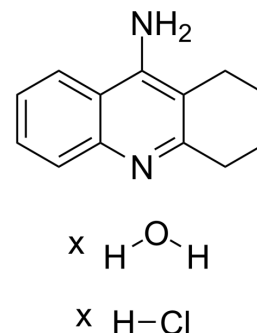


Tacrine hydrochloride (hydrate)

Cat. No.:	HY-B2244
CAS No.:	206658-92-6
Molecular Formula:	C ₁₃ H ₁₄ N ₂ ·xHCl·xH ₂ O
Target:	Cholinesterase (ChE)
Pathway:	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	H ₂ O : 100 mg/mL (Need ultrasonic) DMSO : 32 mg/mL (Need ultrasonic and warming)
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: PBS Solubility: 100 mg/mL (Infinity mM); Clear solution; Need ultrasonic Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (Infinity mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (Infinity mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (Infinity mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Tacrine hydrochloride (hydrate) is an inhibitor of both acetyl (AChE) and butyryl-cholinesterase (BChE) with IC ₅₀ s of 31 nM and 25.6 nM, respectively.
IC₅₀ & Target	IC ₅₀ : 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 TTacrine 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). IC₅₀ is determined by percentage residual activity versus concentration of Tacrine hydrochloride hydrate^[1].

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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.

CUSTOMER VALIDATION

- Cell Death Dis. 2022 Jan 10;13(1):48.
- Eur J Med Chem. 2023 Dec 21, 116071.
- J Enzyme Inhib Med Chem. 2023 Dec;38(1):2192439.
- Bioorg Chem. 2023 Nov 29, 107010.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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