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产品名称：9-氨基-1,2,3,4-四氢吖啶 盐酸盐 水合物
产品别名：Tacrine hydrochloride hydrate ；他克林盐酸盐水合物

生物活性：

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 IC50 is 31 nM for snake venom AChE and 25.6 nM for human BChE[1].
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].
Solvent&Solubility	***In Vitro:*** **DMSO : 32 mg/mL (126.61 mM;** Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / 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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (9.89 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (9.89 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

	2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (9.89 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (9.89 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (9.89 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (9.89 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.

实验参考：

Animal Administration	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].
Kinase Assay	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].
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.