Varenicline Hydrochloride

Cat. No.: HY-10020

CAS No.: 230615-23-3

Molecular Formula: $C_{13}H_{14}ClN_3$

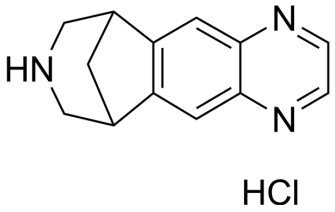
Molecular Weight: 247.72

Target: nAChR

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

H_2O : 50 mg/mL (201.84 mM; Need ultrasonic)

DMSO : ≥ 2.5 mg/mL (10.09 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.0368 mL	20.1841 mL	40.3682 mL
5 mM	0.8074 mL	4.0368 mL	8.0736 mL
10 mM	0.4037 mL	2.0184 mL	4.0368 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (403.68 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Varenicline Hydrochloride (CP 526555 hydrochloride) is a high affinity, selective α4β2 nicotine acetylcholine receptor (nAChR) partial agonist and full α7 nAChR agonist[1][2][3]. Varenicline Hydrochloride is also a potent partial agonist of α6β2 nAChR in striatum of rats with a K_i value of 0.12 nM[4].

IC_{50} & Target

nAChR[1]

In Vivo

Varenicline (0.5-2 mg/kg/day; subcutaneous injection; twice daily; for 14 days; male Wistar rats) treatment shows a comparable significantly higher DRD2/3 availability in the ventral striatum of approximately 11%, while only the rats treated with 1 and 2 mg/kg/day dose shows significantly higher DRD2/3 availability in the dorsal striatum by 12.5% and 13.2%, respectively. Varenicline induces dose-dependent and sustained increases in striatal DRD2/3 in rats, particularly in the ventral striatum[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Eighty male Wistar rats (250-300 g)[1]
Dosage:	0.5 mg/kg/day, 1 mg/kg/day or 2 mg/kg/day
Administration:	Subcutaneous injection; twice daily; for 14 days
Result:	Significantly higher DRD2/3 availability in the ventral striatum of approximately 11%, while only the rats treated with 1 and 2 mg/kg/day dose showed significantly higher DRD2/3 availability in the dorsal striatum by 12.5% and 13.2%, respectively.

REFERENCES

[1]. Crunelle CL, et al. Dose-dependent and sustained effects of varenicline on dopamine D2/3 receptor availability in rats. Eur Neuropsychopharmacol. 2011 Feb;21(2):205-10.

[2]. Kikkawa H, et al. Single- and multiple-dose pharmacokinetics of the selective nicotinic receptor partial agonist, varenicline, in healthy Japanese adult smokers. J Clin Pharmacol. 2011 Apr;51(4):527-37.

[3]. Pachas GN, Cather C, Pratt SA et al. Varenicline for Smoking Cessation in Schizophrenia: Safety and Effectiveness in a 12-Week, Open-Label Trial. J Dual Diagn. 2012;8(2):117-125.

[4]. Bordia T, Hrachova M, Chin M et al. Varenicline Is a Potent Partial Agonist at α6β2* Nicotinic Acetylcholine Receptors in Rat and Monkey Striatum. J Pharmacol Exp Ther. 2012 Aug;342(2):327-34.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA