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产品名称：6,7,8,9-四氢-6,10-甲桥-6H-吡嗪并[2,3-H][3]苯并氮杂卓盐酸盐
产品别名：Varenicline Hydrochloride；CP 526555 hydrochloride

生物活性：

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 Ki 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].

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.

Solvent&Solubility

In Vitro:

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

*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。

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.