

## Varenicline Hydrochloride

## Chemical Properties

CAS No. :	230615-23-3
Formula:	C <sub>13</sub> H <sub>14</sub> ClN <sub>3</sub>
Molecular Weight:	247.72
Storage:	Store at low temperature Powder: -20°C for 3 years   In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



## Biological Description

Description	Varenicline Hydrochloride (CP 526555) is an orally active partial agonist of the $\alpha 4\beta 2$ nicotinic acetylcholine receptor ( $\alpha 4\beta 2$ nAChR, IC <sub>50</sub> = 250 nM), which is recognized as the principal mediator of nicotine dependence, and its pharmacological effect is critical in modulating addictive behaviors associated with nicotine exposure. Varenicline Hydrochloride (CP 526555) blocks the direct agonist effects of nicotine on nicotinic acetylcholine receptors while simultaneously stimulating these receptors in a more moderate and controlled manner, making it a widely used research compound in smoking cessation.
Targets(IC50)	Others,AChR
In vitro	Varenicline Hydrochloride (200 $\mu$ M) treatment of HUVECs for 24 hours showed no significant effect on cell viability [3]. Varenicline Hydrochloride Hydrochloride (100 $\mu$ M) significantly activated the ERK1/2 and p38 signaling pathways in HUVECs within 30 minutes, and downregulated VE-cadherin expression after 24 hours of treatment[3]. Varenicline Hydrochloride Hydrochloride (100 $\mu$ M, 4 h) enhanced HUVEC migration capacity by 2.4-fold [3].
In vivo	In rats, subcutaneous injection of Varenicline Hydrochloride (0.5, 1 mg/kg) dose-dependently reversed fentanyl-induced respiratory depression and mildly attenuated the sedative effects of fentanyl [4]. In adult rhesus monkeys with a history of nicotine and cocaine use, continuous intravenous infusion of Varenicline Hydrochloride (0.004-0.04 mg/kg/h) for 7-10 days, 23 hours per day, dose-dependently reduced self-administration of nicotine and cocaine alone or in combination, without affecting food-rewarded operant responses [5]. In the forced swim test with C57BL/6J and CD-1 mice, oral administration of Varenicline Hydrochloride (0.178-5.6 mg/kg) exhibited antidepressant-like effects [6].

## Solubility Information

Solubility	DMSO: $\geq$ 2 mg/mL,Sonication is recommended. H <sub>2</sub> O: 40 mg/mL (161.47 mM),Sonication is recommended. ( $<$ 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0807 mL	0.4037 mL	0.8074 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

- Koegelenberg CF, et al. Efficacy of varenicline combined with nicotine replacement therapy vs varenicline alone for smoking cessation: a randomized clinical trial. *JAMA*. 2014 Jul;312(2):155-61.
- Magnus CJ, et al. Ultrapotent chemogenetics for research and potential clinical applications. *Science*. 2019;364(6436):eaav5282.
- Koga M, et al. Varenicline promotes endothelial cell migration by lowering vascular endothelial-cadherin levels via the activated  $\alpha 7$  nicotinic acetylcholine receptor-mitogen activated protein kinase axis. *Toxicology*. 2017;390:1-9.
- Ren J, et al. Countering Opioid-induced Respiratory Depression in Male Rats with Nicotinic Acetylcholine Receptor Partial Agonists Varenicline and ABT 594. *Anesthesiology*. 2020 May;132(5):1197-1211.
- Mello NK, et al. Effects of chronic varenicline treatment on nicotine, cocaine, and concurrent nicotine+cocaine self-administration. *Neuropsychopharmacology*. 2014 Apr;39(5):1222-31.
- Rollema H, et al. Varenicline has antidepressant-like activity in the forced swim test and augments sertraline's effect. *Eur J Pharmacol*. 2009 Mar 1;605(1-3):114-6.

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