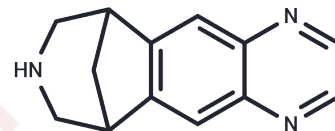


Varenicline

Chemical Properties

CAS No. :	249296-44-4
Formula:	C13H13N3
Molecular Weight:	211.26
Storage:	Store at low temperature Powder: -20°C for 3 years In solvent: -80°C for 1 year <i>Actual storage temperature shall be subject to the COA.</i>



Biological Description

Description	Varenicline (CP 526555) is a selective partial agonist of the $\alpha 4\beta 2$ nAChR and a full agonist of the $\alpha 3\beta 4$ nAChR and $\alpha 7$ nAChR to help smokers with cardiovascular disease and COPD quit smoking.
Targets(IC50)	AChR
In vitro	Varenicline treatment at a concentration of 200 μ M for 24 hours has no significant effect on the viability of HUVEC cells. At a concentration of 100 μ M for 30 minutes, Varenicline significantly activates the ERK1/2 and p38 signaling pathways in HUVEC cells, which subsequently leads to reduced expression of VE-cadherin after 24 hours of treatment at the same concentration. Additionally, Varenicline treatment at 100 μ M for 4 hours promotes a 2.4-fold increase in HUVEC cell migration.[3]
In vivo	Varenicline administered subcutaneously at doses of 0.5 and 1 mg/kg immediately reversed fentanyl-induced respiratory depression in rats in a dose-dependent manner and mildly alleviated the sedative effects of fentanyl. [4] Additionally, when administered via intravenous infusion at 0.004-0.04 mg/kg/h for 23 hours per day over 7-10 days, Varenicline dose-dependently reduced self-administration of nicotine (0.0032 mg/kg/injection) alone and in combination with cocaine (0.0032 mg/kg/injection) in adult rhesus monkeys with a history of cocaine and nicotine use, without significantly affecting food-maintained responses. [5] Moreover, Varenicline given orally at doses of 0.178-5.6 mg/kg, demonstrated antidepressant-like effects in the forced swim test in both C57BL/6j and CD-1 mice. [6]

Solubility Information

Solubility	H2O: 10 mg/mL (47.34 mM),Sonication is recommended. DMSO: 71.43 mg/mL (338.11 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 7.14 mg/mL (33.8 mM),Solution. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (4.73 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may</i>

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In vivo Formulation	<i>vary and should be modified based on specific experimental conditions.</i>
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.7335 mL	23.6675 mL	47.335 mL
5 mM	0.9467 mL	4.7335 mL	9.467 mL
10 mM	0.4734 mL	2.3668 mL	4.7335 mL
50 mM	0.0947 mL	0.4734 mL	0.9467 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 Apr 12; 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 Sep 1; 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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