Data Sheet (Cat.No.T1657)

TargetMol

Varenicline Tartrate

Chemical Properties

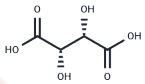
CAS No. : 375815-87-5

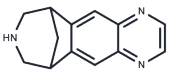
Formula: C13H13N3·C4H6O6

Molecular Weight: 361.35

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year





Biological Description

Description	Varenicline Tartrate (CP 526555-18) is a benzazepine derivative that functions as an ALPHA4/BETA2 NICOTINIC RECEPTOR partial agonist. It is used for SMOKING CESSATION.
Targets(IC50)	AChR
In vitro	Varenicline is a partial agonist with 45% of nicotine's maximal efficacy atalpha4beta2 nAChRs in HEK cells expressing nAChRs. [1] Varenicline is a potent, partial agonist at alpha4beta2 receptors, with an EC50 of 2.3 mM and an efficacy (relative to acetylcholine) of 13.4%. Varenicline has lower potency and higher efficacy at alpha3beta4 receptors, with an EC50 of 55 mM and an efficacy of 75%. [2]
In vivo	Varenicline has significantly lower (40-60%) efficacy than nicotine in stimulating [(3)H]-dopamine release from rat brain slices in vitro and in increasing dopamine release from rat nucleus accumbens in vivo, while it is more potent than Nicotine. Varenicline effectively attenuates the nicotine-induced dopamine release to the level of the effect of Varenicline alone, consistent with partial agonism. Varenicline reduces nicotine self-administration in rats and supports lower self-administration break points than nicotine. [1] Varenicline dose-dependently reduces nicotine self-administration and attenuates both nicotine prime and combined nicotine prime plus nicotine-paired cue-induced reinstatement. [3] Varenicline, a partial agonist at thealpha4beta2 nAChRs, reduces nicotine intake and was recently approved as a smoking cessation aid. Varenicline selectively reduces ethanol but not sucrose seeking using an operant self-administration drinking paradigm and also decreases voluntary ethanol but not water consumption in animals chronically exposed to ethanol for 2 months before Varenicline treatment. [4]
Kinase Assay	Kinase inhibition: Vandetanib is incubated with enzyme, 10 mM MnCl2, and 2 μM ATP in 96-well plates coated with a poly(Glu, Ala, Tyr) 6:3:1 random copolymer substrate. Phosphorylated tyrosine is then detected by sequential incubation with a mouse IgG anti-phosphotyrosine 4 g10 antibody, a horseradish peroxidase-linked sheep antimouse immunoglobulin antibody, and 2,2′-azino-bis(3-ethylbenzthiazoline-6-sulfonic acid). This methodology is adapted to examine selectivity versus tyrosine kinases associated with EGFR, PDGFRβ, Tie-2, FGFR1, c-kit, erbB2, IGF-1R, and FAK. All enzyme assays (tyrosine or serine-threonine) used appropriate ATP concentrations at or just below the respective Km (0.2–14 μM). Selectivity versus serine-threonine kinases (CDK2, AKT, and PDK1) is examined using a relevant scintillation proximity-assay (SPA) in 96-well plates. CDK2 assays contained 10 mM MnCl2, 4.5 μM ATP, 0.15 μCi of [γ-33 P]

ATP/reaction, 50 mM HEPES (pH 7.5), 1 mM DTT, 0.1 mM sodium orthovanadate, 0.1 mM sodium fluoride, 10 mM sodium glycerophosphate, 1 mg/mL BSA fraction V, and a retinoblastoma substrate (part of the retinoblastoma gene, 792-928, expressed in a glutathione S-transferase expression system; 0.22 μM final concentration). Reactions are allowed to proceed at room temperature for 60 minutes before quenching for 2 hours with 150 μL of a solution containing EDTA (62 mM final concentration), 3 μg of a rabbit immunoglobulin anti-glutathione S-transferase antibody and protein A SPA-polyvinyltoluene beads (0.8 mg/reaction). Plates are then sealed, centrifuged (1200× g for 5 minutes), and counted on a Microplate scintillation counter for 30 seconds.

Solubility Information

Solubility	DMSO: 3.61 mg/mL (9.99 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7674 mL	13.837 mL	27.674 mL
5 mM	0.5535 mL	2.7674 mL	5.5348 mL
10 mM	0.2767 mL	1.3837 mL	2.7674 mL
50 mM	0.0553 mL	0.2767 mL	0.5535 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.

Reference

Rollema H, et al. Neuropharmacology, 2007, 52(3), 1985-1994.
Mihalak KB, et al. Mol Pharmacol, 2006, 70(3), 801-805.
O'Connor EC, et al. Psychopharmacology (Berl), 2010, 208(3), 365-376.
Steensland P, et al. Proc Natl Acad Sci U S A, 2007, 104(30), 12518-12523.