

GSK1059865

Chemical Properties

CAS No. : 1191044-58-2

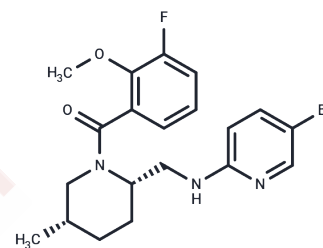
Formula: C₂₀H₂₃BrFN₃O₂

Molecular Weight: 436.32

Store at low temperature

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

Actual storage temperature shall be subject to the COA.



Biological Description

Description	GSK1059865 is a highly selective orexin-1 receptor antagonist that significantly reduces voluntary ethanol intake in ethanol-dependent mice following chronic intermittent ethanol exposure, producing dose-dependent suppression of alcohol consumption without affecting sucrose intake, while exhibiting minimal effects in non-dependent controls except at high doses, thereby highlighting its utility for investigating orexin signaling in alcohol dependence and addictive behaviors.
Targets(IC50)	OX Receptor
In vitro	In receptor assays, GSK1059865 acted as an Orexin-1 (OX1) receptor antagonist with a pKB of 8.77. At low concentrations (0.3-10 nM), it functioned as an insurmountable antagonist suppressing the maximal Orexin-A response, whereas at higher concentrations (0.1-3.3 μM), it exhibited a surmountable profile characterized by a parallel rightward shift of the EC50 [1].
In vivo	In ethanol-dependent mice (CIE model), GSK1059865 reduced ethanol consumption in a dose-dependent manner. In control mice (air-exposed), consumption was only affected at the highest dose tested. The compound showed no effect on sucrose intake. In rat fMRI studies, intraperitoneal administration of GSK1059865 inhibited the relative cerebral blood volume (rCBV) response induced by Yohimbine in specific brain regions. Pretreatment with the compound was associated with a baseline mean arterial blood pressure higher than that of the control group [1][3].

Solubility Information

Solubility	DMSO: 160 mg/mL (366.7 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	2.2919 mL	11.4595 mL	22.919 mL
5 mM	0.4584 mL	2.2919 mL	4.5838 mL
10 mM	0.2292 mL	1.1459 mL	2.2919 mL
50 mM	0.0458 mL	0.2292 mL	0.4584 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

Lopez MF, et al. The highly selective orexin/hypocretin 1 receptor antagonist GSK1059865 potently reduces ethanol drinking in ethanol dependent mice. *Brain Res.* 2016 Apr 1;1636:74-80.

Piccoli L, et al. Role of orexin-1 receptor mechanisms on compulsive food consumption in a model of binge eating in female rats. *Neuropsychopharmacology.* 2012 Aug;37(9):1999-2011.

Gozzi A, et al. Differential effect of orexin-1 and CRF-1 antagonism on stress circuits: a fMRI study in the rat with the pharmacological stressor Yohimbine. *Neuropsychopharmacology.* 2013 Oct;38(11):2120-30.

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