Data Sheet (Cat.No.T40572)

TargetMol

Lesogaberan napadisylate

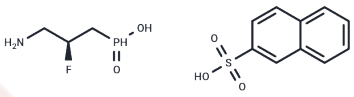
Chemical Properties

CAS No. : 477956-38-0

Formula: C13H17FNO5PS

Molecular Weight: 349.31

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	Lesogaberan (AZD-3355) napadisylate is a potent and selective agonist of GABA B receptors, with an EC50 of 8.6 nM for human recombinant GABA B receptors. It has an affinity (Ki) of 5.1 nM for rat GABA B receptors and 1.4 μM for GABA A receptors, determined by displacement of [3H]GABA binding in brain membranes. Lesogaberan napadisylate exerts a peripheral mode of action, inhibiting transient lower esophageal sphincter relaxation.
Targets(IC50)	Others,GABA Receptor
In vitro	Lesogaberan at concentrations of 3-30 nM effectively promotes the proliferation of human islet cells in vitro[2]. Specifically, treatment with Lesogaberan at 3 nM resulted in a marginal, yet statistically insignificant, proliferative effect. Conversely, higher concentrations (10 and 30 nM) significantly enhanced cell proliferation by 2-3 times compared to islets grown in the absence of the compound, as observed over a 4-day incubation period[2].
In vivo	Lesogaberan (AZD3355) effectively stimulates human GABA B receptors and reduces transient lower esophageal sphincter relaxation (TLESR) in canine models, demonstrating a biphasic dose-response relationship. Additionally, oral administration of Lesogaberan (0.08 mg/mL for 48 hours) has been shown to protect human islet β-cells from apoptosis in mouse islet grafts. The compound exhibits high oral bioavailability (88% in dogs and 100% in rats) and low systemic clearance in female Sprague Dawley rats, with only 1% plasma protein binding observed in both rat and human plasma. Experimental results include significant reduction in apoptotic cells and increase in insulin-positive β-cells within human islet grafts in diabetic NOD/scid mice following oral administration.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8628 mL	14.3139 mL	28.6279 mL
5 mM	0.5726 mL	2.8628 mL	5.7256 mL
10 mM	0.2863 mL	1.4314 mL	2.8628 mL
50 mM	0.0573 mL	0.2863 mL	0.5726 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

Lehmann A, et al. (R)-(3-amino-2-fluoropropyl) phosphinic acid (AZD3355), a novel GABAB receptor agonist, inhibits transient lower esophageal sphincter relaxation through a peripheral mode of action. J Pharmacol Exp Ther. 2009 Nov;331(2):504-12.

Tian J, et al. Repurposing Lesogaberan to Promote Human Islet Cell Survival and β-Cell Replication. J Diabetes Res. 2017;2017:6403539.