

Lesogaberan

Chemical Properties

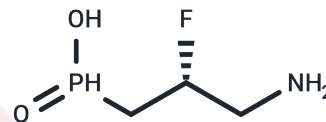
CAS No. : 344413-67-8

Formula: C₃H₉FNO₂P

Molecular Weight: 141.08

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 is an effective and selective GABAB receptor agonist (EC ₅₀ : 8.6 nM for human recombinant GABAB receptors). For rat brain GABAB and GABAA receptors, the binding affinity (K _i) is 5.1 nM and 1.4 μM, respectively.
Targets(IC ₅₀)	GABA Receptor
In vitro	Lesogaberan (3-30 nM) increases a human islet cell proliferation in vitro[2].
In vivo	Lesogaberan effectively stimulates recombinant human GABAB receptors and inhibits transient lower esophageal sphincter relaxation (TLESR) in dogs, with a biphasic dose-response curve[1]. Lesogaberan (7 μmol/kg) displays high oral availability (88% in the dog and 100% in the rat) and relatively low systemic clearance in female SpragueDawley rats[1]. Lesogaberan (0.08?mg/mL; 48 hours; p.o.) treatment, protects human islet β-cells from apoptosis in islet grafts in mice[2].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	7.0882 mL	35.4409 mL	70.8818 mL
5 mM	1.4176 mL	7.0882 mL	14.1764 mL
10 mM	0.7088 mL	3.5441 mL	7.0882 mL
50 mM	0.1418 mL	0.7088 mL	1.4176 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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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