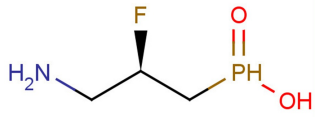
Data Sheet (Cat.No.T15737)

TargetMol
A DRUG SCREENING EXPERT

Lesogaberan

Chemical Properties



CAS No.:	344413-67-8
Formula:	C3H9FNO2P
Molecular Weight:	141.08
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Lesogaberan is an effective and selective GABAB receptor agonist (EC50: 8.6 nM for human recombinant GABAB receptors). For rat brain GABAB and GABAA receptors, the binding affinity (Kis) is 5.1 nM and 1.4 μM, respectively.
Targets(IC_{50})	rat GABAB: (ki)5.1±1.2 nM rat GABAA: 1.4±0.3 μM(ki) human GABAB receptor: (EC50)8.6±0.77 nM
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. Lesogaberan (7 μmol/kg) displays high oral availability (88% in the dog and 100% in the rat) and relatively low systemic clearance in female Sprague-Dawley 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].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	7.088 mL	35.441 mL	70.882 mL
5 mM	1.418 mL	7.088 mL	14.176 mL
10 mM	0.709 mL	3.544 mL	7.088 mL
50 mM	0.142 mL	0.709 mL	1.418 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.

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

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