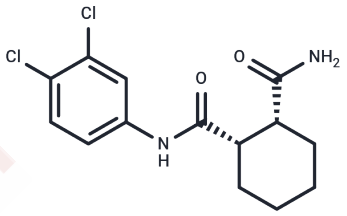
Data Sheet (Cat.No.T3539)

TargetMol

Lu AF21934

Chemical Properties



CAS No. : 1445605-23-1

Formula: C14H16Cl2N2O2

Molecular Weight: 315.2

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	Lu AF21934 is a brain-penetrant and selective mGlu4 receptor positive allosteric modulator (IC50: 500 nM, human).
Targets(IC50)	GluR
In vivo	Lu AF21934 treatment exhibits a dose-dependent anxiolytic-like effect in the stress-induced hyperthermia, four-plate, and marble-burying tests. The anti-hyperthermic effect of Lu AF21934 (5 mg/kg) in the SIH test is inhibited by the benzodiazepine receptor antagonist flumazenil (10 mg/kg) and is not serotonin-dependent. Lu AF21934 does not produce antidepressant-like effects in the tail suspension test in mice; however, it decreases basal locomotor activity in mice not habituated to activity cages.
Kinase Assay	The receptor-expressing CHO cells are seeded into 24-well plates at a density of 4×104 cells/well and cultured for 1 day. The cells are then incubated with [5,6,8,9,11,12,14,15-3H]arachidonic acid (11 kBq/well) for 1 day and ished with DMEM supplemented with 20 mM HEPES and 0.2% BSA. The cells are then preincubated with the compounds (Sufugolix) at 37 °C for 60 min and the reaction is started by addition of LHRH (1 nM). After incubation at37 °C for 40 min, radioactivity in the medium is measured with a liquid scintillation counter[1].

Solubility Information

Solubility	DMSO: 16.67 mg/mL (52.89 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.35 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1726 mL	15.8629 mL	31.7259 mL
5 mM	0.6345 mL	3.1726 mL	6.3452 mL
10 mM	0.3173 mL	1.5863 mL	3.1726 mL
50 mM	0.0635 mL	0.3173 mL	0.6345 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

Sławińska A, et al. Anxiolytic- but not antidepressant-like activity of Lu AF21934, a novel, selective positive allosteric modulator of the $mGlu_4$ receptor. Neuropharmacology. 2013 Mar;66:225-35.
Ossowska K, et al. Lu AF21934, a positive allosteric modulator of mGlu4 receptors, reduces the harmaline-induced hyperactivity but not tremor in rats. Neuropharmacology. 2014 Aug;83:28-35.
Sławińska, A., Wierońska, J., Stachowicz, K., Marciniak, M., Łasoń-Tyburkiewicz, M., & Gruca, P. et al. (2013). The antipsychotic-like effects of positive allosteric modulators of metabotropic glutamate mGlu4receptors in rodents. British Journal Of Pharmacology, 169(8), 1824-1839. doi: 10.1111/bph.12254