Lu AF21934

Cat. No.: HY-100366

CAS No.: 1445605-23-1

Molecular Formula: $C_{14}H_{16}Cl_2N_2O_2$

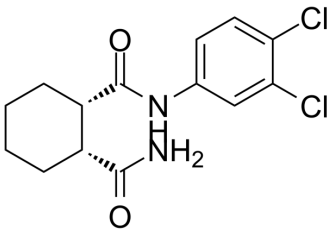
Molecular Weight: 315.2

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 80 mg/mL (253.81 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.93 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.93 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lu AF21934 is a selective and brain-penetrant mGlu4 receptor positive allosteric modulator with an EC_{50} of 500 nM for mGlu4 receptor[1].

IC_{50} & Target

mGlu4 Receptor
500 nM (EC50)

In Vivo	Lu AF21934 treatment shows 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 the basal locomotor activity of mice that are not habituated to activity cages[1]. Lu AF21934 (0.5-5 mg/kg sc) does not influence tremor but at doses of 0.5 and 2.5 mg/kg reverses harmaline-induced hyperactivity. Lu AF21934 at a dose of 2.5 mg/kg potentiates the inhibitory influence of harmaline on the exploratory activity and AP1 during the first 30 min of the measurement and counteracts the harmaline-increased basic activity during the period of 30-90 min[2]. Lu AF21934 (0.1-5 mg/kg) dose-dependently inhibits hyperactivity induced by MK-801 or amphetamine. It also antagonizes head twitches and increases frequency of spontaneous excitatory postsynaptic currents in brain slices, induced by DOI[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Rats: Lu AF21934 is dispersed in 20% (2-hydropropyl)-b-cyclodextrin and are administered subcutaneously (s.c.) 60 min before the test. Lu AF21934 (2, 5, 10 and 15 mg/kg, s.c.) and diazepam (5 mg/kg, i.p.) are administered acutely 1 h before the Vogel's conflict test. The effects of each drug in all experiments are measured in groups of 8-10 animals[1]. Mice: Lu AF21934 is dispersed in 20% (2-hydropropyl)-b-cyclodextrin and are administered subcutaneously (s.c.) 60 min before the test. Mice are gently placed into the box and allowed to explore for 15 s. Then, each time a mouse passed from one plate to another, the experimenter electrifies the whole floor thus evoking a visible flight reaction of the animal. If the animal continues running, no new shock is delivered for the following 3 s[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Anna Sławińska, et al. Anxiolytic- but not antidepressant-like activity of Lu AF21934, a novel, selective positive allosteric modulator of the mGlu? receptor. Neuropharmacology. 2013 Mar;66:225-35.

[2]. 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.

[3]. Anna Sławińska, et al. The antipsychotic-like effects of positive allosteric modulators of metabotropic glutamate mGlu4 receptors in rodents. Br J Pharmacol. 2013 Aug;169(8):1824-39.

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