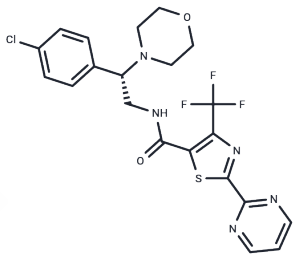
Data Sheet (Cat.No.T9786)

TargetMol

Lu AF27139

Chemical Properties



CAS No. : 2097117-06-9

Formula: C21H19ClF3N5O2S

Molecular Weight: 497.92

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 AF27139 is an effective and selective antagonist of P2X7 receptor (IC50s of 12 and 2.4 nM for human and rat, Kis of 22, 54, and 13 nM for mouse, human, and rat, respectively). Lu AF27139 can be used in CNS diseases studies.
Targets(IC50)	P2X Receptor
In vitro	Lu AF27139 (100 nM) inhibits 300 μM BzATPinduced current in primary rat microglia with 80% inhibition occurring at a 100 nM dose. Lu AF27139 inhibits LPS-primed and BzATP-induced IL-1β release from THP-1 cells with an IC50 of 38 ± 2.5 nM. Lu AF27139 concentration-dependently blocks IL-1β release in rat and mouse primary cortical microglia primed with LPS and induces with 1 mM BzATP with IC50's of 38 ± 19 nM in rat and 26 ± 6 nM in mice. Lu AF27139 (10-200 nM) inhibits 100 μM BzATP-induced current in HEK293 cells stably transfected with the rat P2X7R in a dose response manner with an IC50 of 66 nM[1].
In vivo	In male Sprague–Dawley rats and male C57BL mice, Lu AF27139 (p.o.; 3, 10, and 100 mg/kg) reduces intracerebroventricular administered LPS-primed and BzATP-triggered IL-1β release in the frontal cortex[1].

Solubility Information

Solubility	DMSO: 120 mg/mL (241 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: 4 mg/mL (8.03 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	2.0084 mL	10.0418 mL	20.0835 mL
5 mM	0.4017 mL	2.0084 mL	4.0167 mL
10 mM	0.2008 mL	1.0042 mL	2.0084 mL
50 mM	0.0402 mL	0.2008 mL	0.4017 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

Hopper AT, et al. Synthesis and Characterization of the Novel Rodent-Active and CNS-Penetrant P2X7 Receptor Antagonist Lu AF27139. J Med Chem. 2021;64(8):4891-4902.