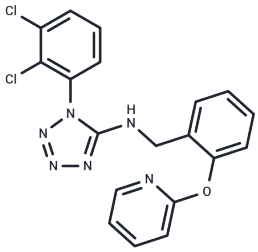
Data Sheet (Cat.No.T14076)

TargetMol

A 839977

Chemical Properties



CAS No. : 870061-27-1

Formula: C19H14Cl2N6O

Molecular Weight: 413.26

Storage: Store at low temperature
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	A-839977 is a selective P2X7 receptor antagonist with anti-inflammatory and analgesic properties, inhibiting BzATP-induced calcium efflux from the P2X7 receptor, and is used in the study of renal fibrosis.
Targets(IC50)	Calcium Channel,P2X Receptor
In vitro	A 839977 selectively blocks BzATP-evoked calcium influx at mammalian P2X7 receptors (IC50=20-150 nM) and inhibits agonist-evoked YO-PRO uptake and IL-1beta release from differentiated human THP-1 cells. It has demonstrated efficacy in reducing inflammatory and neuropathic pain in animal models[1]. Pre-treatment with A 839977 (50 nM for 1 hour) significantly prevents the pressure-induced rise of IL-1β priming in optic nerve astrocytes[3].
In vivo	In rats, A 839977 (30 μmol/kg, 100 μmol/kg, 300 μmol/kg; pre-injected 30 mins) dose-dependently reduces thermal hyperalgesia induced by intraplantar administration of complete Freund's adjuvant (CFA)[1].In the CFA model of inflammatory pain in wild-type mice, A 839977 (10 μmol/kg, 30 μmol/kg, 100 μmol/kg; pre-injected 30 mins) elicits robust antihyperalgesia, while it shows no effect in IL-1alphabeta knockout mice[1]. Furthermore, A 839977 attenuates dorsal horn neuronal responses in cancer-bearing animals[2].

Solubility Information

Solubility	DMSO: 80 mg/mL (193.58 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: 3.3 mg/mL (7.99 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	2.4198 mL	12.0989 mL	24.1978 mL
5 mM	0.484 mL	2.4198 mL	4.8396 mL
10 mM	0.242 mL	1.2099 mL	2.4198 mL
50 mM	0.0484 mL	0.242 mL	0.484 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

Honore P, et al. The antihyperalgesic activity of a selective P2X7 receptor antagonist, A-839977, is lost in IL-1alphabeta knockout mice. Behav Brain Res. 2009 Dec 1;204(1):77-81.
Falk S et al.P2X7 receptor-mediated analgesia in cancer-induced bone pain. Neuroscience. 2015 Apr 16; 291:93-105.
Albalawi F et.al, The P2X7 Receptor Primes IL-1β and the NLRP3 Inflammasome in Astrocytes Exposed to Mechanical Strain. Front Cell Neurosci. 2017 Aug 8;11:227