Data Sheet (Cat.No.T2673)

TargetMol

A 438079 hydrochloride

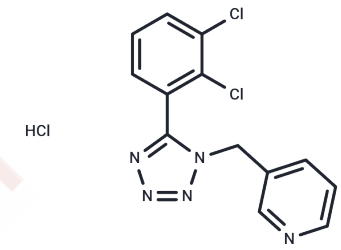
Chemical Properties

CAS No. : 899431-18-6

Formula: C13H10Cl3N5

Molecular Weight: 342.61

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 438079 hydrochloride (A-438079 HCl) is a potent and selective P2X7 receptor antagonist with pIC50 of 6.9.
Targets(IC50)	P2X Receptor
In vitro	A-438079 has the capability to partially, yet significantly, inhibit the depletion of striatal dopamine (DA) levels induced by 6-OHDA. Pretreatment with A-438079 reduces the pain behavior index in the HC model. Intraperitoneal injection of A-438079 (5 and 15 mg/kg) 60 minutes post-epileptic seizure onset diminishes the severity of epileptic episodes and neuronal death within the hippocampus. Additionally, intravenous administration of 80 μMol/kg A-438079 in a neuropathic rat model significantly reduces both noxious and innocuous evoked activities in various categories of spinal neurons.
In vivo	A-438079 demonstrates selectivity towards the P2X7 receptor at concentrations of up to 100 μM. It effectively inhibits changes in intracellular calcium concentration induced by 10 μM BzATP in 1321N1 cells stably expressing the rat P2X7 receptor, with an IC50 of 321 nM.
Kinase Assay	Human astrocytoma cells, 1321N1, are grown to stably express rat P2X7, human P2X4, P2X2a, P2X2/3, P2X1, P2Y1?and P2Y2 recombinant receptors. Agonist, BzATP, 2,3-O-(4-ben-zoylbenzoyl)-ATP or ATP-induced changes in intracellular Ca2+?concentrations are assessed in all of the cell lines using the Ca2+?chelating dye, Fluo-4, in conjunction with a Fluorometric Imaging Plate Reader. The cells are plated out the day before the experiment onto poly-D-lysine-coated black 96 well plates. After the agonist addition, changes in intracellular Ca2+ concentrations are recorded, per second, for 3 min. Ligands are tested at 11 half-log concentrations from 10-10 to 10-4 M. BzATP or ATP concentrations corresponds to the EC70?values for each receptor to enable comparison of antagonist potencies across the multiple P2 receptor subtypes. A 438079 is added to the cell plate and ?uorescence data are collected for 3 min before the addition of agonist, subsequently, data are then collected for another 2 min. The pEC50 or pIC50 values are derived from a single curve ?t.

Solubility Information

Solubility	H2O: 1.7 mg/mL (4.96 mM),Heating is recommended. DMSO: 150 mg/mL (437.82 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 10 mg/mL (29.19 mM),Solution. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.84 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	2.9188 mL	14.5939 mL	29.1877 mL
5 mM	0.5838 mL	2.9188 mL	5.8375 mL
10 mM	0.2919 mL	1.4594 mL	2.9188 mL
50 mM	0.0584 mL	0.2919 mL	0.5838 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

McGaraughty S, et al. Neuroscience. 2007, 146(4), 1817-1828.
Wang J, Han Y, Wang M, et al. The inhibitory effect of Yam polysaccharides on acrylamide-induced programmed cell death in RAW 264.7 cells. Food Science & Nutrition. 2022
Su Q, Tian Y, Liu Z, et al. Purinergic P2X7 receptor blockade mitigates alcohol-induced steatohepatitis and intestinal injury by regulating MEK1/2-ERK1/2 signaling and egr-1 activity. International Immunopharmacology. 2019 Jan;66:52-61
Mesuret G, et al. CNS Neurosci Ther. 2014, 20(6), 556-564.
Marcellino D, et al. J Neural Transm. 2010, 17(6), 681-687.
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