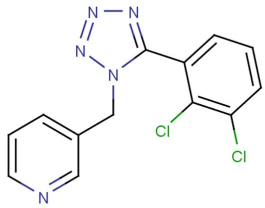
Data Sheet (Cat.No.T10207)

A 438079

Chemical Properties



CAS No.:	899507-36-9
Formula:	C13H9Cl2N5
Molecular Weight:	306.15
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	A 438079 is a potent, and selective antagonist of P2X7 receptor (pIC50: 6.9).
Targets(IC_{50})	P2X7 receptor: 6.9(pIC50)
In vitro	In 1321N1 cells stably expressing rat P2X7 receptors, A 438079 blocks BzATP-(10 μM) evoked changes in intracellular calcium concentrations (IC50: 321 nM). A 438079 is also selective for the P2X7 receptor, at concentrations up to 100 μM [1].
In vivo	A 438079 (80 μmol/kg, i.v.) reduces noxious and innocuous evoked activity of different classes of spinal neurons in neuropathic rats. A 438079 (100 and 300 μmol/kg, i.p.) significantly raises withdrawal thresh-olds in both the SNL and CCI models [1]. A 438079 partially but significantly prevents the 6-OHDA-induced depletion of striatal DA stores [3]. Intraperitoneal injection of A 438079 (5 and 15 mg/kg) 60 min after triggering seizures reduces seizure severity and neuronal death within the hippocampus. A 438079 has superior neuroprotective effects compared with an equally dose of phenobarbital (25 mg/kg) [2]. Pretreatment with A 438079 reduces nociceptive behaviour scores in the HC model [4].
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 0.1nM to 100 μM. 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 fluorescence 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 fit [1].

Solubility Information

Solubility	H_2O: 0.2 mg/mL (0.65 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.266 mL	16.332 mL	32.664 mL
5 mM	0.653 mL	3.266 mL	6.533 mL
10 mM	0.327 mL	1.633 mL	3.266 mL
50 mM	0.065 mL	0.327 mL	0.653 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. McGaraughty S, et al. P2X7-related modulation of pathological nociception in rats. Neuroscience. 2007 Jun 8;146(4):1817-28.
2. Mesuret G, et al. CNS Neurosci Ther. 2014 Jun;20(6):556-64.
3. Marcellino D, et al. On the role of P2X(7) receptors in dopamine nerve cell degeneration in a rat model of Parkinson's disease: studies with the P2X(7) receptor antagonist A-438079. J Neural Transm (Vienna). 2010 Jun;117(6):681-7.
4. Martins JP, et al. The role of P2X7 purinergic receptors in inflammatory and nociceptive changes accompanying cyclophosphamide-induced haemorrhagic cystitis in mice. Br J Pharmacol. 2012 Jan;165(1):183-96.

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