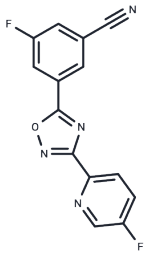
Data Sheet (Cat.No.T14389)

TargetMol

AZD 9272

Chemical Properties



CAS No. : 327056-26-8

Formula: C14H6F2N4O

Molecular Weight: 284.22

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	AZD 9272 is a brain-permeable mGluR5 antagonist for the study of gastroesophageal reflux.
Targets(IC50)	GluR
In vitro	AZD9272 (10 μM) does not diminish the response to 10 μM ATP in the background GHEK cells. Increasing concentrations of AZD9272 causes a decrease in the potency and the maximal response of DHPG.[1] AZD9272 completely reverses the glutamate-stimulated (EC80=80 μM) phosphatidyl inositol hydrolysis in human mGluR5-GHEK cells in a concentration-dependent manner, with IC50 of 26±3 nM (n=21).[1]
In vivo	AZD 9272 (3 μmol/kg; single intravenous) is eliminated from plasma with terminal half-lives between 2 and 6 h. The terminal half-lives following oral dosing are similar to the half-lives following intravenous dosing. The volume of distribution at steady state is intermediate for AZD9272.[1] AZD9272 (2.84 mg/kg) causes greater than 80% and typically more than 99% MTEP-appropriate responding up to 20 hours after dose, with a decline to approximately 20% at 24 hours after dose, yielding a t1/2 of 21.93 hours, and causes no systematic effects on response rates. The first-time point at which AZD9272 causes >90% MTEP-appropriate response is at 30 minutes after the dose.[2]

Solubility Information

Solubility	DMSO: < 1 mg/mL (insoluble or slightly soluble) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.5184 mL	17.592 mL	35.184 mL
5 mM	0.7037 mL	3.5184 mL	7.0368 mL
10 mM	0.3518 mL	1.7592 mL	3.5184 mL
50 mM	0.0704 mL	0.3518 mL	0.7037 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

Swedberg MD, et al. AZD9272 and AZD2066: selective and highly central nervous system penetrant mGluR5 antagonists characterized by their discriminative effects. J Pharmacol Exp Ther. 2014 Aug;350(2):212-22.
Raboisson P, et al. Discovery and characterization of AZD9272 and AZD6538-Two novel mGluR5 negative allosteric modulators selected for clinical development. Bioorg Med Chem Lett. 2012 Nov 15;22(22):6974-9.