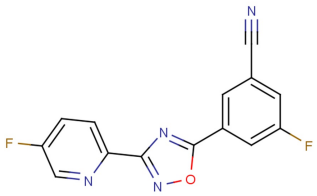
Data Sheet (Cat.No.T14389)

TargetMol
A DRUG SCREENING EXPERT

AZD 9272

Chemical Properties



CAS No.:	327056-26-8
Formula:	C14H6F2N4O
Molecular Weight:	284.22
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	AZD 9272 is a antagonist of brain penetrant mGluR5.
Targets(IC_{50})	mGluR5: None
In vitro	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]. AZD 9272 causes a concentration dependent decrease in the magnitude of the intracellular Ca2+ response to 1.5 μM of the mGluR group I selective agonist DHPG in both the human and the rat mGluR5 expressing cell lines. Increasing concentrations of AZD9272 causes a decrease in the potency and the maximal response of DHPG. The maximal inhibition is 100%. The mean IC50 (±SD) value at the human mGluR5 is 7.6±1.1 nM (n=13) for AZD9272. The mean IC50 value at the rat mGluR5 is 2.6±0.3 nM (n=3) for AZD9272. In contrast, 10 μM of AZD9272 does not diminish the response to 10 μM ATP in the background GHEK cells.
In vivo	AZD 9272 is eliminated from plasma with terminal half-lives between 2 and 6 h. The clearance of AZD 9272 is low following a single intravenous dose at 3 μmol/kg. AZD9272 causes no cocaine-appropriate responding and causes a non-dose-dependent reduction in response rates at higher doses. The first time point at which AZD9272 causes >90% MTEP-appropriate responding is at 30 minutes after dose[2]. 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 at 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.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.518 mL	17.592 mL	35.184 mL
5 mM	0.704 mL	3.518 mL	7.037 mL
10 mM	0.352 mL	1.759 mL	3.518 mL
50 mM	0.07 mL	0.352 mL	0.704 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. 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.
2. 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.

Inhibitors · Natural Compounds · Compound Libraries

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