

# AZD 9272

**Catalog No: tcsc0033119**



## Available Sizes

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**Size:** 5mg

**Size:** 10mg

**Size:** 25mg



## Specifications

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**CAS No:**

327056-26-8

**Formula:**

$C_{14}H_6F_2N_4O$

**Pathway:**

GPCR/G Protein

**Target:**

mGluR

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Observed Molecular Weight:**

284.22

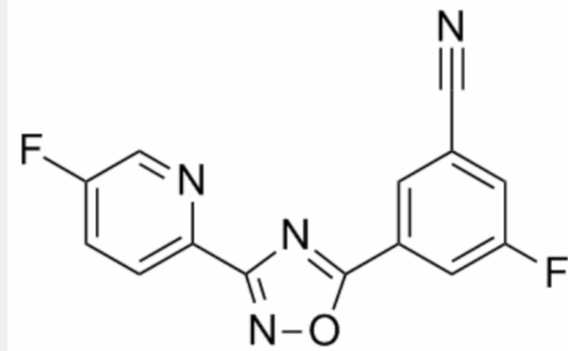
## Product Description

AZD 9272 is a brain penetrant **mGluR5** antagonist.

IC<sub>50</sub> & Target: mGluR5<sup>[1]</sup>

**In Vitro:** AZD 9272 causes a concentration dependent decrease in the magnitude of the intracellular Ca<sub>2+</sub> response to 1.5 μM of the mGluR group I selective agonist DHPG in both the human and the rat mGluR5 expressing cell lines. The maximal inhibition is 100%. The mean IC<sub>50</sub> (±SD) value at the human mGluR5 is 7.6±1.1 nM (n=13) for AZD9272. The mean IC<sub>50</sub> 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.<sup>50</sup> Increasing concentrations of AZD9272 causes a decrease in the potency and the maximal response of DHPG. AZD9272 completely reverses the glutamate-stimulated (EC<sub>80</sub>, 80 μM) phosphatidyl inositol hydrolysis in human mGluR5-GHEK cells in a concentration-dependent manner, with IC<sub>50</sub> of 26±3 nM (n=21)<sup>[1]</sup>.

**In Vivo:** The clearance of AZD 9272 is low following a single intravenous dose at 3 μmol/kg and AZD 9272 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<sup>[1]</sup>. AZD9272 causes no cocaine-appropriate responding and causes a non-dose-dependent reduction in response rates at higher doses. 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 t<sub>1/2</sub> of 21.93 hours, and causes no systematic effects on response rates. The first time point at which AZD9272 causes >90% MTEP-appropriate responding is at 30 minutes after dose<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!