Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

AZD 9272

Catalog No: tcsc0033119

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Specifications

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

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Product Description

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

IC50 & Target: mGluR5[1]

In Vitro: AZD 9272 causes a concentration dependent decrease in the magnitude of the intracellular Ca^{2+} 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_{50} (±SD) value at the human mGluR5 is 7.6±1.1 nM (n=13) for AZD9272. The mean IC_{50} 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. Increasing concentrations of AZD9272 causes a decrease in the potency and the maximal response of DHPG. AZD9272 completely reverses the glutamate-stimulated (EC_{80}, 80 μM) phosphatidyl inositol hydrolysis in human mGluR5-GHEK cells in a concentration-dependent manner, with IC_{50} of 26±3 nM (n=21)[1].

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[1]. 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 $t_{1/2}$ 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[2].