# Product Data Sheet

Product Name: AZD 9272
Cat. No.: GC31233

## Chemical Properties

| | | | |
|---|---|---|---|
| Cas. No. | 327056-26-8 | | |
| SMILES | N#CC1=CC(F)=CC(C2=NC(C3=CC=C(F)C=N3)=NO2)=C1 | | |
| Formula | $C_{14}H_6F_2N_4O$ | M.Wt | 284.22 |
| Solubility | DMSO: 50 mM | Storage | Store at -20°C |
| General tips | For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months. | | |
| Shipping Condition | Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request. | | |
| Structure | AZD 9272 | | |

## Protocol

**Kinase experiment:**

Saturable binding and competition binding studies utilize incubations of 1 hour at 22°C. For saturation studies, membranes from mGluR5-GHEK cells are incubated with increasing concentrations (0.1 to 30 nM) of [3H]AZD9272, in the presence or absence of 10 μM MPEP. In a variation of these studies, saturable [3H]AZD9272 binding is determined in the presence of low concentrations (10 and 20 nM) of MPEP. Consistency of the Bmax in the presence or absence of MPEP supports the interaction of these ligands with a unitary binding site[1].


***Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com***
***Address: 10292 Central Ave. #205, Montclair, CA, USA***

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**Cell experiment:**

hmGluR5-GHEK cells are seeded onto 96 well plates at 50,000 cells/well in media containing 10 μCi/mL [3H]myo-inositol. Cells are incubated overnight (16 h), then washed three times and incubated for 1 hour at 37°C in HEPES buffered saline supplemented with 1 unit/mL glutamate pyruvate transaminase and 2 mM pyruvate. Cells are washed once in HEPES buffered saline and pre-incubated for 10 minutes in HEPES buffered saline containing 10 mM LiCl. Antagonist activity is determined by pre-incubating cells with AZD9272 for 10 minutes, then incubating for 30 minutes at 37°C in the presence of glutamate (EC80, 80 μM). AZD9272 is tested at 10 concentrations between 1 nM and 30 μM, in duplicate. The reaction is terminated by the addition of 0.1 mL perchloric acid (5%) on ice, with incubation at 4°C for at least 30 minutes[1].

**Animal experiment:**

Approximately 48 male Wistar rats weighing 240 to 250 g at the beginning of the experiments are housed in pairs, or group housed up to 8 rats per cage, in a colony room with water accessible at all times and lights on between 6:00 AM and 6:00 PM; by restricting access to food, animals are kept at approximately 80% of free feeding weight. All animals are divided into different groups and trained to discriminate cocaine (3.4 mg/kg i.p., 15 minutes), PCP (1.6 mg/kg i.p., 30 minutes), MTEP (2 mg/kg i.p., 30 minutes), or AZD9272 (1.6 mg/kg p.o., 60 minutes) from no drug[1].

References:

[1]. Swedberg MD, et al. AZD9272 and AZD2066: selective and highly central


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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.

## Background

AZD 9272 is a brain-penetrant negative allosteric modulator of metabotropic glutamate receptor 5 (mGluR5; $IC_{50}$ = 7.6 nM in a FLIPR calcium mobilization assay).[1] It is selective for mGluR5 over mGluR1-4 and 6-8 in a FLIPR calcium mobilization assay ($IC_{50}$s = >30 ?M) and a panel of 134 receptors, ion channels, nuclear hormone

receptors, transporters, and enzymes at 10 ?M in radioligand binding assays.

1.Raboisson, P., Breitholtz-Emanuelsson, A., Dahll?f, H., et al.Discovery and characterization of AZD9272 and AZD6538-Two novel mGluR5 negative allosteric modulators selected for clinical developmentBioorg. Med. Chem. Lett.22(22)6974-6979(2012)