AZD 2066 hydrate

Cat. No.: HY-110255A

Molecular Formula: $C_{19}H_{16}ClN_5O_2 \cdot {}^1/_4H_2O$

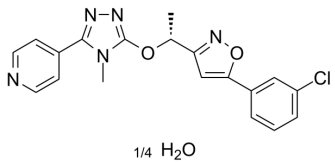
Molecular Weight: 386.33

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



BIOLOGICAL ACTIVITY

Description	AZD 2066 hydrate is a selective, orally active and brain-penetrant antagonist of mGluR5. AZD 2066 hydrate has antinociception effects[1].
IC_{50} & Target	mGluR5
In Vitro	AZD 2066 (1-10 μM) inhibits Ca^{2+} response, with IC_{50}s of 27.2±9.1, 3.56±0.52, 96.2±17.8, and 380±78.0 nM in mGlu5/HEK cells and striatal, hippocampal, and cortical cultures respectively[2]. AZD 2066 (1-10 μM) inhibits the oscillatory Ca^{2+} response which induced by bath application of DHPG, and blocks either DHPG or Quis effects in mGlu5/HEK cells[2]. AZD 2066 (1-10 μM) has less effective in striatal neurons[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	AZD 2066 (0.03-30 mg/kg; p.o.) shows discriminative effects in rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats (weighing 240-250 g)[1]
Dosage:	0.03, 0.1, 0.3, 1, 3, 10, 30 mg/kg
Administration:	P.o. (60 minutes after administration)
Result:	Caused full and dose-dependent AZD9272-appropriate responding.

CUSTOMER VALIDATION

- ACS Chem Neurosci. 2019 Nov 20;10(11):4558-4570.

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REFERENCES

[1]. Swedberg MDB, 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]. Jong YJI, et, al. Location and Cell-Type-Specific Bias of Metabotropic Glutamate Receptor, mGlu 5, Negative Allosteric Modulators. ACS Chem Neurosci. 2019 Nov 20; 10(11): 4558-4570.

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