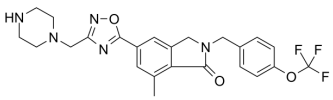
AZD-8529

Cat. No.:	HY-107457
CAS No.:	1092453-15-0
Molecular Formula:	$C_{24}H_{24}F_3N_5O_3$
Molecular Weight:	487.47
Target:	mGluR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Pure form -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (128.21 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0514 mL	10.2570 mL	20.5141 mL
	5 mM	0.4103 mL	2.0514 mL	4.1028 mL
	10 mM	0.2051 mL	1.0257 mL	2.0514 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 6.25 mg/mL (12.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AZD-8529 is a potent, highly selective and orally bioavailable positive allosteric modulator of mGluR2, with an EC_{50} of 285 nM, and shows no positive allosteric modulator responses at 20-25 M on the mGluR1, 3, 4, 5, 6, 7, and 8 subtypes.

IC_{50} & Target

mGluR2
285 nM (EC50)

In Vitro

AZD-8529 potentiates the effects of glutamate at mGluR2 with an EC_{50} of 195 nM[1].
AZD-8529 does not elicit antagonist responses on mGluRs at 25 μM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

AZD-8529 (0.3-mg/kg, i.m.) reduces nicotine priming-induced and cue-induced reinstatement in squirrel monkeys[1].
AZD-8529 (30 mg/kg; i.p.) decreases the increased extracellular dopamine induced by nicotine in accumbens shell of freely-

moving rats[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Sprague-Dawley rats[1]
Dosage:	10 mg/kg, 30 mg/kg
Administration:	Intraperitoneal injection; 2 hours before nicotine injections
Result:	Decreased the increased extracellular dopamine induced by nicotine (0.4 mg/kg, s.c.) in accumbens shell of freely-moving rats.

REFERENCES

[1]. Justinova Z, et al. The Novel Metabotropic Glutamate Receptor 2 Positive Allosteric Modulator, AZD8529, Decreases Nicotine Self-Administration and Relapse in Squirrel Monkeys. Biol Psychiatry. 2015 Oct 1;78(7):452-62.

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