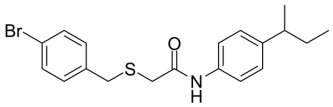
AZ 12216052

Cat. No.:	HY-110122
CAS No.:	1290628-31-7
Molecular Formula:	$C_{19}H_{22}BrNOS$
Molecular Weight:	392.35
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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (254.87 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5487 mL	12.7437 mL	25.4874 mL
	5 mM	0.5097 mL	2.5487 mL	5.0975 mL
	10 mM	0.2549 mL	1.2744 mL	2.5487 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AZ 12216052 is a mGluR8 positive allosteric modulator, and helps mGluR8 modulate signaling inputing to retinal ganglion cells. AZ 12216052 exhibits antianxiety effect[1][2][3][4].

IC_{50} & Target

mGlu8

In Vitro

mGluR8 may modulates the synaptic inputs to retinal ganglion cells[1].
AZ 12216052 (10 μM) enhances the peak excitatory currents of ON-, OFF- currents in ON-OFF-ganglion cells, with a dependent way on the intensity of the light stimuli[1].
AZ 12216052 shows impact of cell differentiation and (0.01-1 μM; 24-48 h) reduces Dox-induced human neuroblastoma SH-SY5Y cell damage partially[2].

AZ 12216052 stimulates proliferation and attenuates staurosporine (St)- and doxorubicin (Dox)-induced toxicity in UN-SH-SY5Y cells[2].
AZ12216052 (10 μM) enhances glutamate activity of human mGluR8b receptor expressed in GHEK cells[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	UN- and RA-SH-SY5Y cells
Concentration:	0.01-1 μM
Incubation Time:	48 hours
Result:	Increased cell viability at 0.1 μM, and protected undifferentiated neuroblastoma cells against damaging effects of Iri or Cis.

In Vivo

AZ 12216052 (10 mg/kg; i.p.; 2 h prior to testing) reduces measures of anxiety, without affecting the velocity of the mice[3].
AZ12216052 (10 mg/kg; i.p.; single dose) exhibits remaining anxiolytic effects, might involve mGluR4 in mGluR8−/− mice, as the mGluR4 PAM (Positive Allosteric Modulator) VU 0155041 also reduces measures of anxiety in wild-type mice[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	WT and Apolipoprotein E-deficient (Apoe−/−) mice (C57BL/6J, 2-month-old) in the elevated zero maze[3]
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection; singel dose, 2 h prior to testing
Result:	Reduced measures of anxiety in the elevated zero maze without affecting the velocity of the mice. Reduced the acoustic startle response.

REFERENCES

[1]. Reed BT, et al. Differential modulation of retinal ganglion cell light responses by orthosteric and allosteric metabotropic glutamate receptor 8 compounds. Neuropharmacology. 2013 Apr;67:88-94.

[2]. Jantas D, et al. Allosteric and Orthosteric Activators of mGluR8 Differentially Affect the Chemotherapeutic-Induced Human Neuroblastoma SH-SY5Y Cell Damage: The Impact of Cell Differentiation State. Basic Clin Pharmacol Toxicol. 2018 Oct;123(4):443-451.

[3]. Duvoisin RM, et al. Acute pharmacological modulation of mGluR8 reduces measures of anxiety. Behav Brain Res. 2010 Oct 15;212(2):168-73.

[4]. Duvoisin RM, et al. Opposing roles of mGluR8 in measures of anxiety involving non-social and social challenges. Behav Brain Res. 2011 Aug 1;221(1):50-4.

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