Mavoglurant

Cat. No.: HY-15257

CAS No.: 543906-09-8

Molecular Formula: $C_{19}H_{23}NO_3$

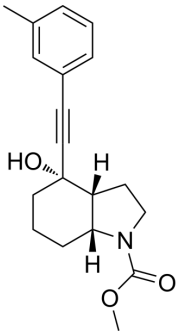
Molecular Weight: 313.39

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 120 mg/mL (382.91 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1909 mL	15.9546 mL	31.9091 mL
	5 mM	0.6382 mL	3.1909 mL	6.3818 mL
	10 mM	0.3191 mL	1.5955 mL	3.1909 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: ≥ 3 mg/mL (9.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (9.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (9.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mavoglurant (AFQ056) is a potent, selective, non-competitive and orally active mGluR5 antagonist, with an IC_{50} of 30 nM. Mavoglurant shows a >300 fold selectivity for the mGluR5 over all targets (238) tested. Mavoglurant can be used for the research of Fragile X syndrome (FXS), and L-dopa induced dyskinesias in Parkinson's disease[1][1][2]. Mavoglurant is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

mGluR5

	30 nM (IC_{50})
In Vitro	Mavoglurant (1 nM-10 μM; 10 min) fully antagonizes hmGluR5-mediated responses with IC_{50}s of 110 and 30 nM in Ca^{2+}- and PI-turnover assays in L(tk-) cells stably expressing mGluR5a[1]. Mavoglurant (0.01 nM-10 μM) displaces the binding of the allosteric binding ligand [^{3}H]-AAE327 in a concentration-dependent manner in rat brain membranes, with an IC_{50} of 47 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Mavoglurant (0.1-10 mg/kg; a single p.o.) inhibits the stress-induced hyperthermia (SIH) in a dose-dependent manner in mice[1]. Mavoglurant (9.4 mg/kg; a single p.o.) exhibits moderate oral bioavailability (32%), terminal half-life (2.9 h) and C_{max} (plasma; brain) (950 pmol/mL; 3500 pmol/g)[1]. Mavoglurant (3.1 mg/kg; a single i.v.) exhibits terminal half-life (0.69 h), C_{max} (plasma; brain) (3330 pmol/mL; 8400 pmol/g) and T_{max} (≤0.08 h)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male OF1/IC mice[1]
Dosage:	0.1, 1, 10 mg/kg
Administration:	A single p.o. administration
Result:	Attenuated the stress-induced hyperthermia. Was comparable to the positive control Chlordiazepoxide.

Animal Model:	Male Sprague-Dawley rats (175-250 g)[1]
Dosage:	3.1 mg/kg for i.v.; 9.4 mg/kg for p.o. (Pharmacokinetic Analysis)
Administration:	A single i.v. or p.o. administration
Result:	P.o.: F=32%; $T_{1/2}$=2.9 h; T_{max}≤0.25 h. I.v.: $T_{1/2}$=0.69 h; C_{max} (plasma/brain)=3330 pmol•mL^{-1}/8400 pmol•g^{-1}; T_{max}≤0.08 h.

CUSTOMER VALIDATION

- ACS Chem Neurosci. 2019 Nov 20;10(11):4558-4570.
- J Pharmacol Toxicol Methods. Jan-Feb 2020;101:106656.

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REFERENCES

[1]. Vranesic I, et al. AFQ056/mavoglurant, a novel clinically effective mGluR5 antagonist: identification, SAR and pharmacological characterization. Bioorg Med Chem. 2014 Nov 1;22(21):5790-5803.

[2]. Jacquemont AS, et, al. Epigenetic modification of the FMR1 gene in fragile X syndrome is associated with differential response to the mGluR5 antagonist AFQ056. Sci Transl Med. 2011 Jan 5;3(64):64ra1.

[3]. Petrov D, et, al. Mavoglurant as a treatment for Parkinson's disease. Expert Opin Investig Drugs. 2014 Aug;23(8):1165-79.

Caution: Product has not been fully validated for medical applications. For research use only.

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