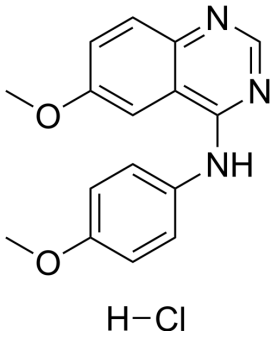
LY456236

Cat. No.:	HY-103566
CAS No.:	338736-46-2
Molecular Formula:	$C_{16}H_{16}ClN_3O_2$
Molecular Weight:	317.77
Target:	mGluR; EGFR
Pathway:	GPCR/G Protein; Neuronal Signaling; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (786.73 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1469 mL	15.7347 mL	31.4693 mL
	5 mM	0.6294 mL	3.1469 mL	6.2939 mL
	10 mM	0.3147 mL	1.5735 mL	3.1469 mL

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

BIOLOGICAL ACTIVITY

Description

LY456236 is a selective, non-competitive and orally active mGlu1 receptor antagonist that inhibits phosphoinositide hydrolysis with an IC_{50} of 0.145 μM. LY456236 also inhibits EGFR with an IC_{50} of 0.91 μM[1][3].

IC_{50} & Target

IC50: 0.145 μM (mGlu1), 0.91 μM (EGFR)[1]

In Vitro

LY456236 (2 μM; 30 min) reduces DHPG (HY-12598A)-stimulated OCCM-30 proliferation[2].

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

Cell Proliferation Assay[2]

Cell Line:	OCCM-30 cells
Concentration:	2 μM
Incubation Time:	30 min, followed by 72 h incubation with DHPG (HY-12598A)
Result:	Reduced DHPG-stimulated OCCM-30 proliferation.

In Vivo

LY456236 shows anticonvulsant effects in mice (3-100 mg/kg; i.p.; once) and rats (10-60 mg/kg; oral; once)[3].

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

Animal Model:	DBA/2 mice and CD1 mice, seizure models[3]
Dosage:	3-100 mg/kg
Administration:	IP, once
Result:	Produced dose-related anticonvulsant effects in preventing audiogenic-induced (tonic-clonic) seizures in DBA/2 mice, threshold electroshock-induced seizures in CD1 mice, and 6 Hz electroshock-induced seizures in CD1 mice.

Animal Model:	Amygdala-kindled Sprague-Dawley rats[3]
Dosage:	10, 30 and 60 mg/kg
Administration:	Oral, once
Result:	Produced dose-related decreases in behavioral and electrographic seizures at threshold stimulus intensity. Produced a dose-related increase in the stimulus intensity required to produce generalized seizures.

REFERENCES

[1]. Ravikumar B, et al. Chemogenomic Analysis of the Druggable Kinome and Its Application to Repositioning and Lead Identification Studies. Cell Chem Biol. 2019 Nov 21;26(11):1608-1622.e6.

[2]. Kanaya S, et al. Metabotropic glutamate receptor 1 promotes cementoblast proliferation via MAP kinase signaling pathways. Connect Tissue Res. 2016 Sep;57(5):417-26.

[3]. Shannon HE, et al. Anticonvulsant effects of LY456236, a selective mGlu1 receptor antagonist. Neuropharmacology. 2005;49 Suppl 1:188-95.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA