LY367385 hydrochloride

Cat. No.: HY-107515A

CAS No.: 2829282-00-8

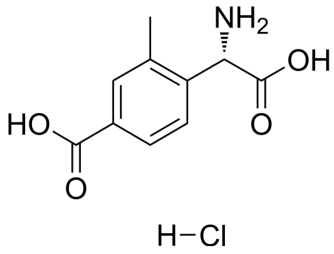
Molecular Formula: $C_{10}H_{12}ClNO_4$

Molecular Weight: 245.66

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

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 : 125 mg/mL (508.83 mM; Need ultrasonic)
H_2O : 12.5 mg/mL (50.88 mM; Need ultrasonic)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	4.0707 mL	20.3533 mL	40.7067 mL
5 mM	0.8141 mL	4.0707 mL	8.1413 mL
10 mM	0.4071 mL	2.0353 mL	4.0707 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (203.53 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (8.47 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (8.47 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (8.47 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LY367385 hydrochloride is a highly selective and potent mGluR1a antagonist. LY367385 hydrochloride has an IC_{50} of 8.8 μM for inhibiting of quisqualate-induced phosphoinositide (PI) hydrolysis, compared with >100 μM for mGlu5a. LY367385 hydrochloride has neuroprotective, anticonvulsant and antiepileptic effects[1][2].

IC_{50} & Target

mGluR1a
8.8 μM (IC_{50})

In Vitro	LY367385 combined with N-methyl-D-aspartate (NMDA) during the toxic pulse attenuates neuronal degeneration in a concentration-dependent fashion, with a maximal reduction of NMDA toxicity ranging from 40 to 60%. LY367385 shows greater efficacy than LY367366 and neither of these compounds influenced neuronal viability per se. LY367385 shows potent neuroprotective effects, with causing a 50% reduction in (S)-3,5-Dihydroxyphenylglycine (DHPG) potentiation at a concentration of 10 nM. Under experimental conditions at higher concentrations of antagonist, LY367385 a completely antagonized the amplification of NMDA toxicity by DHPG[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	LY367385 has been administered intracerebroventricularly (i.c.v.) to DBA/2 mice and lethargic mice (lh/lh), and focally into the inferior colliculus of genetically epilepsy prone rats (GEPR). In DBA/2 mice, LY367385 produces a rapid, transient suppression of sound-induced clonic seizures ED50 = 12 nM, i.c.v., 5 min). In lethargic mice, LY367385 significantly reduces the incidence of spontaneous spike and wave discharges on the electroencephalogram, from 30 to >150 min after the administration of LY367385, 250 nM, i.c.v[3]. ?In genetically epilepsy prone rats, LY367385 reduces sound-induced clonic seizures. LY367385, 160 nM bilaterally, fully suppresses clonic seizures after 2-4 h[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Clark et al. (+)-2-Methyl-4-carboxyphenylglycine (LY 367385) selectively antagonises metabotropic glutamate mGluR1 receptors. Bioorg.Med.Chem.Lett. November 1997, 7 (21): 2777-2780.

[2]. Bruno V, et al. Neuroprotective activity of the potent and selective mGlu1a metabotropic glutamate receptor antagonist, (+)-2-methyl-4 carboxyphenylglycine (LY367385): comparison with LY357366, a broader spectrum antagonist with equal affinity for mGlu1a and mGlu5 receptors. Neuropharmacology. 1999 Feb;38(2):199-207.

[3]. Chapman AG, et al. Anticonvulsant actions of LY 367385 ((+)-2-methyl-4-carboxyphenylglycine) and AIDA ((RS)-1-aminoindan-1,5-dicarboxylic acid). Eur J Pharmacol. 1999 Feb 26;368(1):17-24.

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