LY2365109 hydrochloride

Cat. No.: HY-100416A

CAS No.: 1779796-27-8

Molecular Formula: $C_{22}H_{28}ClNO_5$

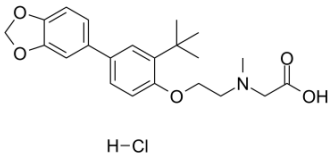
Molecular Weight: 421.91

Target: GlyT

Pathway: Membrane Transporter/Ion Channel; 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 : ≥ 31 mg/mL (73.48 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3702 mL	11.8509 mL	23.7017 mL
	5 mM	0.4740 mL	2.3702 mL	4.7403 mL
	10 mM	0.2370 mL	1.1851 mL	2.3702 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: ≥ 2.5 mg/mL (5.93 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.93 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LY2365109 hydrochloride is a potent and selective GlyT1 inhibitor, with an IC_{50} of 15.8 nM for glycine uptake in cells over-expressing hGlyT1a[1][2].

IC_{50} & Target

hGlyT1

15.8 nM nM (IC_{50})

In Vivo

LY2365109 hydrochloride (0.3-30 mg/kg; p.o.) produces dose-dependent elevations in CSF levels of glycine[1].
LY2365109 hydrochloride increases seizure thresholds in mice[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (250-300 g)[1]
Dosage:	0.3 mg/kg, 1 mg/kg, 5 mg/kg, 10 mg/kg, 30 mg/kg
Administration:	Oral administration
Result:	Produced dose-dependent elevations in CSF levels of glycine measured 1 h after dosing.

REFERENCES

[1]. Perry KW et al. Neurochemical and behavioral profiling of the selective GlyT1 inhibitors ALX5407 and LY2365109 indicate a preferential action in caudal vs. cortical brain areas. Neuropharmacology. 2008 Oct;55(5):743-54.

[2]. Shen HY et al. Glycine transporter 1 is a target for the treatment of epilepsy. Neuropharmacology. 2015 Dec;99:554-65.

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