**Proteins** 

# Inhibitors

# **Product** Data Sheet

# LY2365109 hydrochloride

Cat. No.: HY-100416A CAS No.: 1779796-27-8 Molecular Formula: C<sub>22</sub>H<sub>28</sub>ClNO<sub>5</sub> Molecular Weight: 421.91

Target: GlyT

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

 $H_2O: < 0.1 \text{ mg/mL (insoluble)}$ 

\* "≥" 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 <sup>[1][2]</sup> .

IC<sub>50</sub> & Target hGlyT1

15.8 nM nM (IC<sub>50</sub>)

In Vivo LY2365109 hydrochloride (0.3-30 mg/kg; p.o.) produces dose-dependent elevations in CSF levels of glycine<sup>[1]</sup>.

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LY2365109 hydrochloride increases seizure thresholds in mice <sup>[2]</sup> .
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MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (250-300 g) <sup>[1]</sup>	
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.

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

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