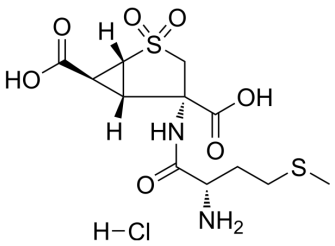
Pomaglumetad methionil hydrochloride

Cat. No.:	HY-105040C
CAS No.:	635318-26-2
Molecular Formula:	$C_{12}H_{19}ClN_2O_7S_2$
Molecular Weight:	402.87
Target:	mGluR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (62.05 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4822 mL	12.4110 mL	24.8219 mL
	5 mM	0.4964 mL	2.4822 mL	4.9644 mL
	10 mM	0.2482 mL	1.2411 mL	2.4822 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 (6.21 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.21 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pomaglumetad methionil hydrochloride (LY2140023 hydrochloride) is an orally active, methionine prodrug of the selective mGlu2/3 receptor agonist LY404039. Pomaglumetad methionil hydrochloride has the potential for schizophrenia research[1] [2].

IC_{50} & Target	mGluR2	mGluR3

In Vitro

Pomaglumetad methionil (LY2140023) is a high-affinity peptide transporter 1 (PEPT1) substrate with a K_m value of ~30 μM[2]. LY2140023 is active against [^{14}C]Gly-Sar with an IC_{50} value of 0.018 mM[2].

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

In Vivo	Pomaglumetad methionil (LY2140023; orally; 3-300 mg/kg; once daily for 7 days) dose-dependent increases the levels of the dopamine metabolites dihydroxyphenylacetic acid (DOPAC) and homovanillic acid (HVA) [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Fischer rats (approximately 250 g)[1]
Dosage:	3 mg/kg, 10 mg/kg, and 300 mg/kg
Administration:	Orally; once daily for 7 days
Result:	Dose-dependent increased the levels of the dopamine metabolites DOPAC and HVA.

REFERENCES

[1]. Lowe S, et al. Effects of a novel $mGlu_{2/3}$ receptor agonist prodrug, LY2140023 monohydrate, on central monoamine turnover as determined in human and rat cerebrospinal fluid. Psychopharmacology (Berl). 2012 Feb;219(4):959-70.

[2]. Y Anne Pak, et al. In Vitro and Clinical Evaluations of the Drug-Drug Interaction Potential of a Metabotropic Glutamate 2/3 Receptor Agonist Prodrug with Intestinal Peptide Transporter 1. Drug Metab Dispos. 2017 Feb;45(2):137-144.

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