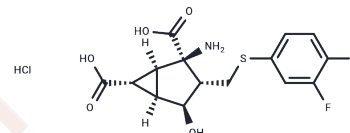


LY3020371 hydrochloride

Chemical Properties

CAS No. : 1377615-44-5
 Formula: C₁₅H₁₆ClF₂NO₅S
 Molecular Weight: 395.81
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
 Actual storage temperature shall be subject to the COA.



Biological Description

Description	LY3020371 hydrochloride exerts an antidepressant-like signature in vivo. LY3020371 hydrochloride is a potent, selective metabotropic glutamate 2/3 receptor (mGlu2/3) antagonist with K_i of 5.3 and 2.5 nM, potently blocks cAMP formation with IC_{50} of 16.2 nM.
Targets(IC_{50})	GluR
In vitro	LY3020371 hydrochloride (LY3020371.HCl) (0.1 nM-100 μ M; 1 hours) potently blocks mGlu2/3 agonist (DCG-IV)-inhibited, forskolin-stimulated cAMP formation (IC_{50} =16.2 nM), an effect that was similarly observed in hmGlu3-expressing cells (IC_{50} =6.21 nM)[1]. LY3020371 hydrochloride (LY3020371.HCl) blocks agonist-suppressed spontaneous Ca^{2+} oscillations (IC_{50} =34 nM) and in an intact hippocampal slice preparation (IC_{50} =46 nM)[1]. LY3020371 hydrochloride (LY3020371.HCl) displaces binding of the mGlu2/3 agonist ligand [³ H]-459477 with high affinity (hmGlu2 K_i =5.26 nM; hmGlu3 K_i =2.50 nM) [1].
In vivo	LY3020371 hydrochloride (LY3020371) administered via intraperitoneal injection at doses of 3 mg/kg and 10 mg/kg, 2 hours prior, significantly promotes wakefulness, notably decreasing NREM sleep in Wistar rats during the light phase[3]. Intravenous administration of LY3020371 hydrochloride at a dosage range of 3-15 mg/kg in rats results in cerebrospinal fluid concentrations predicted to efficiently inhibit mGlu2/3 receptors[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5265 mL	12.6323 mL	25.2646 mL
5 mM	0.5053 mL	2.5265 mL	5.0529 mL
10 mM	0.2526 mL	1.2632 mL	2.5265 mL
50 mM	0.0505 mL	0.2526 mL	0.5053 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Witkin JM, et al. In vitro pharmacological and rat pharmacokinetic characterization of LY3020371, a potent and selective mGlu2/3 receptor antagonist. *Neuropharmacology*. 2017 Mar 15;115:100-114.

Witkin JM, et al. Preclinical predictors that the orthosteric mGlu2/3 receptor antagonist LY3020371 will not engender ketamine-associated neurotoxic, motor, cognitive, subjective, or abuse-liability-related effects. *Pharmacol Biochem Behav*. 2017 Apr;155:43-55.

Wood CM, et al. Investigating the role of mGluR2 versus mGluR3 in antipsychotic-like effects, sleep-wake architecture and network oscillatory activity using novel Han Wistar rats lacking mGluR2 expression. *Neuropharmacology*. 2018 Sep 15;140:246-259.

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