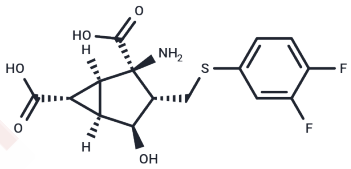
Data Sheet (Cat.No.T38791)

TargetMol

LY3020371

Chemical Properties



CAS No. : 1377615-75-2

Formula: C15H15F2NO5S

Molecular Weight: 359.34

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 is a highly potent and selective antagonist targeting the glutamate (mGlu) 2/3 receptor, showcasing excellent inhibition at Ki values of 5.26 nM and 2.50 nM for hmGluR2 and hmGluR3, respectively. With its remarkable affinity and specificity, LY3020371 serves as a valuable tool in depression research.
Targets(IC50)	Others,GluR
In vitro	LY3020371, across a range of 0.1 nM to 100 μM, competitively inhibits the binding of the mGlu2/3 agonist ligand [3 H]-459477 with significant affinity[1]. At the same concentration range, it prevents DCG-IV from inhibiting forskolin-induced cAMP production in cells with recombinant human mGlu2 (IC50=16.2 nM) and mGlu3 (IC50=6. 21 nM) receptors[1]. Additionally, LY3020371 demonstrates concentration-dependent antagonism towards LY379268-induced inhibition of cAMP formation across a span of 0.3-30,000 nM[1]. Furthermore, between 1-10,000 nM, it effectively counteracts the suppression of K+-induced glutamate release caused by LY379268, with an optimal effectiveness (IC50) at 86 nM[1]. In a similar concentration range (0.3-10,000 nM), it fully blocks the LY379268-induced suppression response, achieving an IC50 value of 33.9 nM [1].
In vivo	LY3020371, administered intravenously (i.v.) at doses ranging from 0.3 to 3 mg/kg, significantly increases the number of spontaneously active dopamine neurons in the ventral tegmental area (VTA) of rats. When given intraperitoneally (i.p.) at 1 to 10 mg/kg once a week for five weeks, it dose-dependently enhances tissue oxygen levels in the anterior cingulate cortex (ACC) of rats. A single i.p. dose of 10 mg/kg leads to an increase in monoamine efflux in the medial prefrontal cortex of freely moving rats. Moreover, a single i.v. administration of LY3020371 in doses ranging from 1 to 30 mg/kg elevates the cumulative wake time in rats in both a dose- and time-dependent manner, without causing rebound hypersomnolence. Additionally, doses from 0.1 to 10 mg/kg administered i.v. reduce the duration of immobility in the forced-swim test, indicating potential antidepressant activity. In a specific set-up using male Sprague-Dawley rats weighing between 230-350 g, dosages of 0.3, 1, and 3 mg/kg were administered via i.v. daily for five days per week over two weeks, resulting in an increased count of actively firing dopamine neurons in the VTA of anesthetized subjects.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7829 mL	13.9144 mL	27.8288 mL
5 mM	0.5566 mL	2.7829 mL	5.5658 mL
10 mM	0.2783 mL	1.3914 mL	2.7829 mL
50 mM	0.0557 mL	0.2783 mL	0.5566 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, In vitro pharmacological and rat pharmacokinetic characterization of LY3020371, a potent and selective mGlu 2/3 receptor antagonist. Neuropharmacology. 2017 Mar 15;115:100-114.
Witkin JM, et, al. Comparative Effects of LY3020371, a Potent and Selective Metabotropic Glutamate (mGlu) 2/3 Receptor Antagonist, and Ketamine, a Noncompetitive N-Methyl-d-Aspartate Receptor Antagonist in Rodents: Evidence Supporting the Use of mGlu2/3 Antagonists, for the Treatment of Depression. J Pharmacol Exp Ther. 2017 Apr;361(1):68-86.