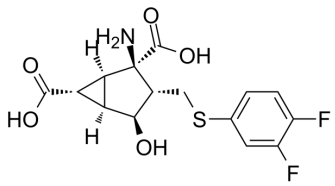
LY3020371

Cat. No.:	HY-131289
CAS No.:	1377615-75-2
Molecular Formula:	$C_{15}H_{15}F_2NO_5S$
Molecular Weight:	359.35
Target:	mGluR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	LY3020371 is a potent and selective antagonist of glutamate (mGlu) 2/3 receptor, with K_is of 5.26 and 2.50 nM for hmGluR2 and hmGluR3, respectively. LY3020371 can be used for the research of depression[1][2].

IC_{50} & Target	hmGluR2	hmGluR3
	5.26 nM (Ki)	2.50 nM (Ki)

In Vitro

LY3020371 (0.1 nM-100 μM) competitively displaces binding of the mGlu2/3 agonist ligand [^{3}H]-459477 with high affinity[1].
LY3020371 (0.1 nM-100 μM) blocks DCG-IV-induced inhibition of forskolin-stimulated cAMP production in cells expressing recombinant human mGlu2 (IC_{50}=16.2 nM) and mGlu3 (IC_{50}=6.21 nM) receptors[1].
LY3020371 (0.3-30000 nM) exhibits concentration-dependent antagonism of LY379268-inhibited cAMP formation[1].
LY3020371 (1-10000 nM) reverses LY379268-suppressed, K^+-evoked glutamate release, with an IC_{50} of 86 nM[1].
LY3020371 (0.3-10000 nM) leads to a concentration-dependent and complete blockade of the LY379268-suppressed response, with an IC_{50} of 33.9 nM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

LY3020371 (0.3-3 mg/kg, a single i.v.) significantly increases the number of spontaneously active dopamine cells in the ventral tegmental area (VTA) of rats[2].
LY3020371 (1-10 mg/kg, i.p. once a week for 5 weeks) dose dependently increases tissue oxygen in the anterior cingulate cortex (ACC) of rats[2].
LY3020371 (10 mg/kg, a single i.p.) increases in monoamine efflux in the medial prefrontal cortex of freely moving rats[2].
LY3020371 (1-30 mg/kg, a single i.v.) increases the cumulative wake time of rats in a dose- and time-dependent manner without rebound hypersomnolence[2].
LY3020371 (0.1-10 mg/kg, a single i.v.) decrease the time rats are immobile in the forced-swim test in the rat forced-swim assay[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (230-350 g)[1]
Dosage:	0.3, 1, 3 mg/kg
Administration:	I.v. daily 5 days per week for 2 weeks
Result:	Increased the number of actively firing dopamine neurons in the VTA of anesthetized rats.

REFERENCES

[1]. 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.

[2]. 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.

[3]. Witkin JM, et, al. mGlu2/3 receptor antagonism: A mechanism to induce rapid antidepressant effects without ketamine-associated side-effects. Pharmacol Biochem Behav. 2020 Mar;190:172854.

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