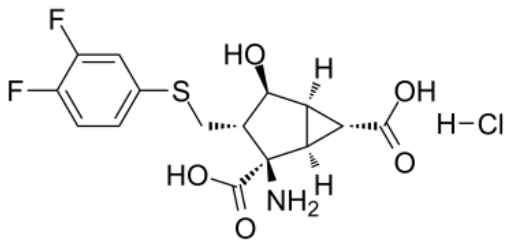
Product Data Sheet

Product Name: LY3020371 hydrochloride
Cat. No.: GC36509

Chemical Properties

Cas. No.	1377615-44-5		
SMILES	O=C([C@@]1(N)[C@]2([H])[C@@H](C(O)=O)[C@]2([H])[C@H](O)[C@H]1CSC3=CC=C(F)C(F)=C3)O.[H]Cl		
Formula	$C_{15}H_{16}ClF_2NO_5S$	M.Wt	395.81
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
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LY3020371 hydrochloride is a potent, selective metabotropic glutamate 2/3 receptor (mGlu2/3) antagonist with Ki of 5.3 and 2.5 nM, potently blocks cAMP formation with IC50 of 16.2 nM[1]. LY3020371 hydrochloride exerts an antidepressant-like signature in vivo[2]. mGluR2|5.3 nM (Ki)|mGluR3|2.5 nM (Ki)

LY3020371 hydrochloride (LY3020371.HCl) displaces binding of the mGlu2/3 agonist ligand [3H]-459477 with high affinity (hmGlu2 Ki=5.26 nM; hmGlu3 Ki=2.50 nM)[1].LY3020371 hydrochloride (LY3020371.HCl) (0.1 nM-100 μM; 1 hours) potently blocks mGlu2/3 agonist (DCG-IV)-inhibited, forskolin-stimulated cAMP formation (IC50=16.2 nM), an effect that was similarly observed in hmGlu3-expressing cells (IC50=6.21 nM)[1].LY3020371 hydrochloride (LY3020371.HCl) blocks agonist-suppressed spontaneous Ca2+ oscillations (IC50=34 nM) and in an intact hippocampal slice preparation (IC50=46 nM)[1].

LY3020371 hydrochloride (LY3020371.HCl) (Intravenous injection; 3-15 mg/kg) in rats leads to cerebrospinal fluid drug levels that are expected to effectively block mGlu2/3receptors[1].LY3020371 hydrochloride (LY3020371) (intraperitoneal injection; 3 mg/kg, 10mg/kg; 2 hours) has clear wake promoting effects, resulting in a large reduction in NREM sleep in the Wistar rats during the light phase[3].

[1]. 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. [2]. 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. [3]. 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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