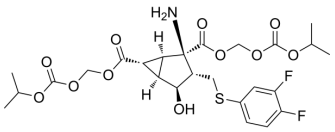
LY3027788

Cat. No.:	HY-117606
CAS No.:	1377615-76-3
Molecular Formula:	$C_{25}H_{31}F_2NO_{11}S$
Molecular Weight:	591.58
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	LY3027788, a diester analog of LY3020371 which is an mGlu2/3 receptor antagonist, is a potent and orally active prodrug of LY3020371. LY3027788 has antidepressant efficacy[1][2].	
IC_{50} & Target	mGluR2	mGluR3

In Vivo

LY3027788 (4.8-27 mg/kg; a single p.o.) produces antidepressant-like decreases in immobility times in the forced-swim test in mice[1].

LY3027788 (4.8-16 mg/kg; a single p.o.) enhances the locomotor stimulant effects of quinpirole at the dose of 16 mg/kg in the locomotor activity assay in mice[1].

LY3027788 (10-30 mg/kg; a single p.o.) dose dependently increases the wake time of rats without engendering rebound hypersomnolence[1].

LY3027788 (a single p.o.) leads to the rapid and dose-proportionate appearance of the pharmacologically active species LY3020371 in plasma of both mouse (4.8-27 mg/kg) and rat (3-30 mg/kg)[1].

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

Animal Model:	Male Sprague-Dawley mice (20-25 g)[1]
Dosage:	4.8, 16, 27 mg/kg
Administration:	A single p.o. (60 minutes prior to testing)
Result:	Potent and efficacious with a minimal effective dose of 16 mg/kg in the mouse forced-swim assay. The ED_{60} was 8.2 mg/kg.

REFERENCES

[1]. 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.

[2]. 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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