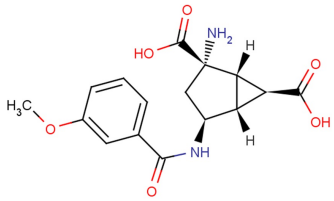
Data Sheet (Cat.No.T15807)

TargetMol
A DRUG SCREENING EXPERT

LY2794193

Chemical Properties



CAS No.:	2173037-97-1
Formula:	C16H18N2O6
Molecular Weight:	334.32
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	LY2794193 is a highly effective and selective agonist of the mGlu3 receptor (hmGlu3 Ki=0.927 nM,EC50=0.47 nM; hmGlu2 Ki=412 nM,EC50=47.5 nM).
Targets(IC_{50})	mGluR3: 0.927 nM (ki) mGluR2: 412 (ki)
In vitro	LY2794193 shows inhibition of spontaneous Ca2+ oscillations in cultured rat cortical neurons (EC50: 43.6 nM). LY2794193 shows a biphasic inhibition of spontaneous Ca2+ transients (high-affinity EC50=0.44 nM; 48% of the total agonist response; low-affinity EC50=43.6 nM; 52% of the total agonist response), in the rat cortical neuron Ca2+ oscillation assay, with combined maximal agonist efficacy (Emax) of 66%.
In vivo	LY2794193 (1 mg/kg; i.v.) displays moderate clearance (18.3 mL/min per kg) and volume of distribution (1.17 L/kg) with a calculated plasma half-life (T1/2) of 3.1 h in Male Sprague-Dawley rats. LY2794193 (3 mg/kg; s.c.) leads to the rapid appearance in the plasma (AUC=9.9 μM; Cmax=6.78 μM; Tmax=0.44 h) and a calculated bioavailability of 121% in male Sprague-Dawley rats. LY2794193 (1-30 mg/kg, s.c.), given 30 min prior to PCP (5 mg/kg, s.c.) leads a dose-related reduction in ambulations.

Solubility Information

Solubility	H2O: 2 mg/mL (5.98 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.991 mL	14.956 mL	29.911 mL
5 mM	0.598 mL	2.991 mL	5.982 mL
10 mM	0.299 mL	1.496 mL	2.991 mL
50 mM	0.06 mL	0.299 mL	0.598 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Monn JA, et al. Synthesis and Pharmacological Characterization of C4β-Amide-Substituted 2-Aminobicyclo[3.1.0]hexane-2,6-dicarboxylates. Identification of (1 S,2 S,4 S,5 R,6 S)-2-Amino-4-[(3-methoxybenzoyl)amino]bicyclo[3.1.0]hexane-2,6-dicarboxylic Acid (LY2794193), a Highly Potent and Selective mGlu3 Receptor Agonist. J Med Chem. 2018 Mar 22;61(6):2303-2328.

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