LY2794193

Cat. No.: HY-119243

CAS No.: 2173037-97-1

Molecular Formula: $C_{16}H_{18}N_2O_6$

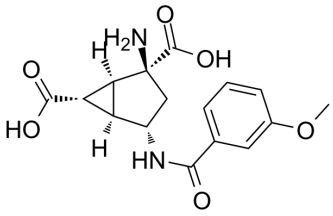
Molecular Weight: 334.32

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (598.23 mM; Need ultrasonic)

H_2O : 2 mg/mL (5.98 mM; ultrasonic and adjust pH to 14 with NaOH)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9911 mL	14.9557 mL	29.9115 mL
5 mM	0.5982 mL	2.9911 mL	5.9823 mL
10 mM	0.2991 mL	1.4956 mL	2.9911 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (14.96 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (14.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LY2794193 is a highly potent and selective mGlu3 receptor agonist (hmGlu3 K_i=0.927 nM，EC_{50}=0.47 nM; hmGlu2 K_i=412 nM，EC_{50}=47.5 nM)[1].

IC_{50} & Target

mGluR3	mGluR3	mGluR2	mGluR2
0.47 nM (EC50)	0.927 nM (Ki)	47.5 (EC50)	412 (Ki)

In Vitro

LY2794193 exhibits inhibition of spontaneous Ca^{2+} oscillations in cultured rat cortical neurons with an EC_{50} of 43.6 nM[1].
In the rat cortical neuron Ca^{2+} oscillation assay, LY2794193 exhibits a biphasic inhibition of spontaneous Ca^{2+} transients (high affinity EC_{50}=0.44 nM; 48% of the total agonist response; low affinity EC_{50}=43.6 nM; 52% of the total agonist response)

with combined maximal agonist efficacy (E_{max}) of 66%[1].

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

In Vivo

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[1].

LY2794193 (1 mg/kg; i.v.) exhibits moderate clearance (18.3 mL/min per kg) and volume of distribution (1.17 L/kg) with a calculated plasma half-life ($T_{1/2}$) of 3.1 h in Male Sprague-Dawley rats[1].

LY2794193 (3 mg/kg; s.c.) leads to the rapid appearance in the plasma (AUC=9.9 μM; C_{max}=6.78 μM; T_{max}=0.44 h) and a calculated bioavailability of 121% in male Sprague-Dawley rats[1].

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

Animal Model:	Male Sprague-Dawley rats
Dosage:	1, 3, 10, or 30 mg/kg
Administration:	Administrated s.c.; given 30 min prior to PCP (5 mg/kg, s.c.)
Result:	A dose-related reduction in ambulations was observed, with the 10 and 30 mg/kg dose groups found to be statistically significant.

REFERENCES

[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 (

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