VU0650786

Cat. No.: HY-108710

CAS No.: 1809085-30-0

Molecular Formula: $C_{18}H_{15}ClFN_5O_2$

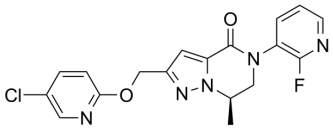
Molecular Weight: 387.8

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (644.66 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5786 mL	12.8932 mL	25.7865 mL
	5 mM	0.5157 mL	2.5786 mL	5.1573 mL
	10 mM	0.2579 mL	1.2893 mL	2.5786 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.36 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.36 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VU0650786 is a potent and selective CNS penetrant negative allosteric modulator of metabotropic glutamate receptor subtype 3 (mGlu3 NAM), with an IC_{50} of 392 nM. VU0650786 has antidepressant and anxiolytic activity in rodents[1].

IC_{50} & Target

mGluR3
392 nM (IC_{50})

In Vivo

VU0650786 (VU786) (i.p., 30 mg/kg) increases the proportion of c-Fos-positive cells by approximately 4-fold, enhances synaptic strength in cone cell subpopulations, weakens thalamocortical long-term depression (LTD), and reduces passive coping in acute models of antidepressant-like activity in inducible cFos-EGFP mice[2].
The pharmacokinetic parameters of VU0650786 (compound 106) in rats[1].

Parameters	IV (0.2 mg/kg)
$t_{1/2}$ (min)	42
CL plasma (mL/min/kg)	37
V_{SS} (L/kg)	1.6

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

REFERENCES

[1]. Max E Joffe, et al. mGlu2 and mGlu3 Negative Allosteric Modulators Divergently Enhance Thalamocortical Transmission and Exert Rapid Antidepressant-like Effects. Neuron. 2020 Jan 8;105(1):46-59.e3.

[2]. Engers JL, et al. Discovery of a Selective and CNS Penetrant Negative Allosteric Modulator of Metabotropic Glutamate Receptor Subtype 3 with Antidepressant and Anxiolytic Activity in Rodents. J Med Chem. 2015 Sep 24;58(18):7485-500.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA