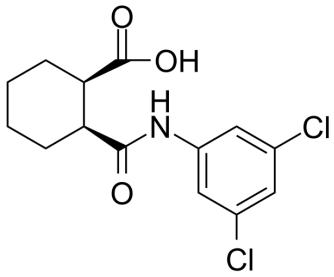
(1R,2S)-VU0155041

Cat. No.:	HY-14417A
CAS No.:	1263273-14-8
Molecular Formula:	$C_{14}H_{15}Cl_2NO_3$
Molecular Weight:	316.18
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 : 50 mg/mL (158.14 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1628 mL	15.8138 mL	31.6276 mL
5 mM	0.6326 mL	3.1628 mL	6.3255 mL
10 mM	0.3163 mL	1.5814 mL	3.1628 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(1R,2S)-VU0155041, Cis regioisomer of VU0155041, is a partial mGluR4 agonist with an EC_{50} of 2.35 μM.

IC_{50} & Target

mGluR4

In Vitro

At both human and rat receptors, the Cis regioisomer of VU0155041 is similar in potency (798±58 nM at human mGluR4 and 693±140 nM at rat mGluR4). Conversely, the concentration-response curve for the Trans regioisomer (VU0155040) does not plateau at the maximum concentration tested. Fold-shift experiments at 30 μM of VU0155041 also shows that the Cis regioisomer is more effective at this concentration on both human and rat mGluR4. VU0155041, induces concentration-dependent shifts in the baseline when examined in fold shift experiments using the thallium flux assay. VU0155041 induces a response that reaches approximately 45% of the maximal glutamate response. VU0155041is a partial agonist of mGluR4 that activates the receptor by interacting with a site that is distinct from the glutamate binding site. VU0155041 exhibitsselectivity for mGluR4 relative to 67 different targets and does not affect the function of striatal NMDA receptors[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	VU0155041 is soluble in an aqueous vehicle and intracerebroventricular administration of 31 to 316 nM of VU0155041 dose-dependently decreases haloperidol-induced catalepsy and reserpine-induced akinesia in rats. VU0155041, at doses of 31 and 92 nmol, is also able to significantly decrease the cataleptic effects of haloperidol, and the effects of the compound are still present 30 min after infusion. Icv infusion of a 316 nmol dose of VU0155041 also results in a significant reversal of akinesia[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Rats: TVC rats are injected with reserpine and kept in their home cages for 2 hr after injection. Activity is measured by placing rats in photocell activity cages equipped with 16×16 infrared beams. After a 30 min baseline period, rats are given a single intracerebroventricular injection of either L-AP4 (100, 300 or 1000 nM), VU0155041 (93 or 316 nM), or corresponding vehicles, and motor activity is recorded for an additional 30 min[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Niswender CM, et al. Discovery, characterization, and antiparkinsonian effect of novel positiveallosteric modulators of metabotropic glutamate receptor 4. Mol Pharmacol. 2008 Nov;74(5):1345-58.

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