

Data Sheet

Product Name: (1R,2S)-VU0155041

 Cat. No.:
 CS-6849

 CAS No.:
 1263273-14-8

 Molecular Formula:
 C14H15Cl2NO3

Molecular Weight: 316.18
Target: mGluR

Pathway:GPCR/G Protein; Neuronal SignalingSolubility:DMSO : \geq 59 mg/mL (186.60 mM)

BIOLOGICAL ACTIVITY:

(1R,2S)-VU0155041, Cis regioisomer of VU0155041, is a partial mGluR4 agonist with an EC₅₀ of 2.35 μM. IC50 & Target: EC50: 2.35 μM (rat mGluR4)^[1] 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]. 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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: VU0155041 is dissolved in 1 N sodium hydroxide and distilled with water.^[1]Rat: 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].

References:

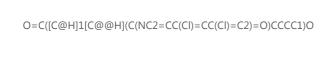
[1]. Niswender CM, et al. Discovery, characterization, and antiparkinsonian effect of novel positive allosteric modulators of metabotropic glutamate receptor 4. Mol Pharmacol. 2008 Nov;74(5):1345-58.

CAIndexNames:

Cyclohexanecarboxylic acid, 2-[[(3,5-dichlorophenyl)amino]carbonyl]-, (1R,2S)-

SMILES:

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Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

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

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