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产品名称：(1R,2S)-VU0155041
产品别名：(1R,2S)-VU0155041

生物活性：

Description	(1R,2S)-VU0155041, Cis regioisomer of VU0155041, is a partial mGluR4 agonist with an EC50 of 2.35 μM.
IC_{50} & 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].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 59 mg/mL (186.60 mM)** * "≥" means soluble, but saturation unknown.

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.

实验参考：

Animal Administration	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].
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.