VU 0364439

Cat. No.: HY-15476

CAS No.: 1246086-78-1

Molecular Formula: $C_{18}H_{13}Cl_2N_3O_3S$

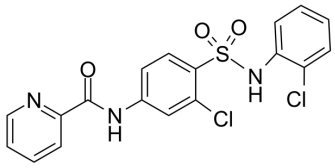
Molecular Weight: 422.29

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 (118.40 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3680 mL	11.8402 mL	23.6804 mL
	5 mM	0.4736 mL	2.3680 mL	4.7361 mL
	10 mM	0.2368 mL	1.1840 mL	2.3680 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: ≥ 2.5 mg/mL (5.92 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.92 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VU 0364439 is a mGlu4 positive allosteric modulator (PAM), with EC50 of 19.8 nM.IC50 Value: 19.8 nM(EC50)Target: mGluRin vitro:in vivo: VU 0364439 possess less than ideal PK properties preventing their use as in vivo tools. It shows better stability in HLM (63% remaining) than RLM (2% remaining).

IC_{50} & Target

mGlu4 Receptor
19.8 nM (EC50)

REFERENCES

[1]. Hong, Sang-Phyo; Liu, Kevin G.; Ma, Gil et al. Tricyclic Thiazolopyrazole Derivatives as Metabotropic Glutamate Receptor 4 Positive Allosteric Modulators. Journal of Medicinal Chemistry (2011), 54(14), 5070-5081.

[2]. Engers, Darren W.; Gentry, Patrick R.; Williams, Richard et al. Synthesis and SAR of novel 4-(phenylsulfamoyl)phenylacetamide mGlu4 positive allosteric modulators (PAMs) identified by functional high-throughput screening (HTS). Bioorganic & Medicinal Chem

[3]. AJ Robichaud et al. Recent Progress on the Identification of Metabotropic Glutamate 4 Receptor Ligands and Their Potential Utility as CNS Therapeutics. ACS Chem. Neurosci. 2011, 2(8), 433-449.

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