VU0483605

Cat. No.: HY-100605

CAS No.: 1623101-11-0

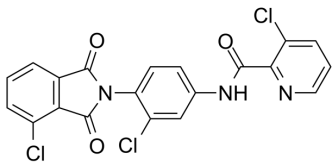
Molecular Formula: $C_{20}H_{10}Cl_3N_3O_3$

Molecular Weight: 446.67

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (223.88 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2388 mL	11.1939 mL	22.3879 mL
	5 mM	0.4478 mL	2.2388 mL	4.4776 mL
	10 mM	0.2239 mL	1.1194 mL	2.2388 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 (5.60 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VU0483605 is a potent and brain-penetrated $mGlu_1$ receptor positive allosteric modulator (PAM). VU0483605 shows excellent $mGlu_1$ PAM activity at both human and rat, with EC_{50} values of 390 and 356 nM, respectively[1].

IC_{50} & Target

Human mGluR1	rat mGluR1	Human $mGlu_4$
390 nM (EC50)	356 nM (EC50)	>10 μM (EC50)

In Vitro

VU0483605 proves superior with excellent $mGlu_1$ PAM activity at both human (EC_{50} = 390 nM) and rat (EC_{50} = 356 nM, pEC_{50} = 6.45 ± 0.11, 113 ± 5% Glu Max) and no activity as an $mGlu_4$ PAM (EC_{50} >10 μM)[1].

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

REFERENCES

[1]. Cho HP, Garcia-Barrantes PM, Brogan JT, et al. Chemical modulation of mutant mGlu1 receptors derived from deleterious GRM1 mutations found in schizophrenics. ACS Chem Biol. 2014;9(10):2334-2346.

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