Auglurant

Cat. No.: HY-16617

CAS No.: 1396337-04-4

Molecular Formula: $C_{16}H_{12}FN_5O_2$

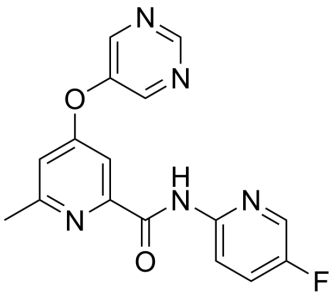
Molecular Weight: 325.3

Target: mGluR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 22.73 mg/mL (69.87 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.0741 mL	15.3706 mL	30.7411 mL
5 mM	0.6148 mL	3.0741 mL	6.1482 mL
10 mM	0.3074 mL	1.5371 mL	3.0741 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: ≥ 2.08 mg/mL (6.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Auglurant (VU0424238) is a novel and selective mGlu5 antagonist with an IC_{50} value of 11 nM (rat) and an IC_{50} value of 14 nM (human). Auglurant (VU0424238) has an acceptable CNS penetration[1].

IC_{50} & Target

rat mGluR5	human mGluR5
11 nM (IC_{50})	14 nM (IC_{50})

In Vitro

Auglurant (VU0424238) with an IC_{50} value of 14 nM in HEK293A cells. It also binding a known allosteric site with K_i value of 4.4 nM in HEK293A cells.

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

In Vivo

Auglurant (VU0424238) had a clearance of 19.3 mL/min/kg in rats and demonstrates 50% mGlu5 PET ligand occupancy at an oral dose of 0.8 mg/kg in rats. Plus, it also had a clearance of 15.5 mL/min/kg in cynomolgus monkeys and demonstrates

	50% mGlu5 PET ligand occupancy at an oral dose of 0.06 mg/kg in baboons[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Felts AS, et al. Discovery of N-(5-Fluoropyridin-2-yl)-6-methyl-4-(pyrimidin-5-yloxy)picolinamide (VU0424238): A Novel Negative Allosteric Modulator of Metabotropic Glutamate Receptor Subtype 5 Selected for Clinical Evaluation. J Med Chem. 2017 Jun 22;60(12):5072-5085.

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