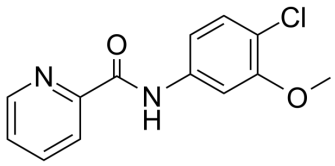
VU0361737

Cat. No.:	HY-14418
CAS No.:	1161205-04-4
Molecular Formula:	$C_{13}H_{11}ClN_2O_2$
Molecular Weight:	262.69
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 : 100 mg/mL (380.68 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.8068 mL	19.0338 mL	38.0677 mL
	5 mM	0.7614 mL	3.8068 mL	7.6135 mL
	10 mM	0.3807 mL	1.9034 mL	3.8068 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: ≥ 2.5 mg/mL (9.52 mM); Clear solution
2. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 2 mg/mL (7.61 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

VU0361737 (ML-128) is a potent, selective and CNS penetrant positive allosteric modulator of metabotropic glutamate receptor 4 (mGluR$_4$ PAM), with EC$_{50}$s of 240 nM and 110 nM for human and rat mGluR$_4$ receptors, respectively. VU0361737 has neuroprotective effect. VU0361737 is potential for Parkinson's disease research[1][2].

IC$_{50}$ & Target

Human mGlu$_4$	Rat mGlu$_4$
240 nM (EC50)	110 nM (EC50)

In Vitro

VU0361737 displays weak activity at mGlu$_5$ and mGlu$_8$ receptors and inactive at mGlu$_1$, mGlu$_2$, mGlu$_3$, mGlu$_6$ and mGlu$_7$ receptors[1].

VU0361737 (1-10 μM) partially attenuates the Staurosporine (HY-15141)- and Doxorubicin (HY-15142)-evoked cell death on

	human neuroblastoma SH-SY5Y cells[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	VU0361737 exhibits terminal elimination half-lives (rat 1.9 h) due to high plasma clearance (894 mL/min/kg) following Intraperitoneal injection (rat 10 mg/kg)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (225-250 g)[1]
Dosage:	10 mg/kg (Pharmacokinetic Analysis)
Administration:	Intraperitoneal injection
Result:	$T_{1/2}$ (1.9 h).

REFERENCES

[1]. Engers DW, et al. Synthesis and evaluation of a series of heterobiarylamides that are centrally penetrant metabotropic glutamate receptor 4 (mGluR4) positive allosteric modulators (PAMs). J Med Chem. 2009 Jul 23;52(14):4115-8.

[2]. Engers DW, et al. Discovery, synthesis, and structure-activity relationship development of a series of N-(4-acetamido)phenylpicolinamides as positive allosteric modulators of metabotropic glutamate receptor 4 (mGlu(4)) with CNS exposure in rats. J Med Ch

[3]. Jantas D, et al. Neuroprotective effects of mGluR II and III activators against staurosporine- and doxorubicin-induced cellular injury in SH-SY5Y cells: New evidence for a mechanism involving inhibition of AIF translocation. Neurochem Int. 2015 Sep;88:124

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