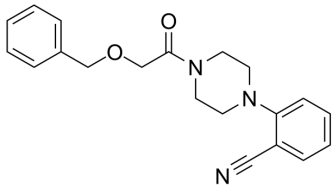
VU0364289

Cat. No.:	HY-120727
CAS No.:	1242443-29-3
Molecular Formula:	$C_{20}H_{21}N_3O_2$
Molecular Weight:	335.4
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 (298.15 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9815 mL	14.9076 mL	29.8151 mL
	5 mM	0.5963 mL	2.9815 mL	5.9630 mL
	10 mM	0.2982 mL	1.4908 mL	2.9815 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 (7.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VU0364289 is a highly selective mGlu5 positive allosteric modulator (PAM) (binds to the MPEP (HY-14609A) site), with an EC_{50} of 1.6 μM. VU0364289 can reverse amphetamine-induced hyperlocomotion in a dose-dependent manner, which can be used for schizophrenia and other psychiatric research[1][2][3].

IC_{50} & Target

$mGlu_5$
1.6 μM (EC50)

In Vivo

VU0364289 (10, 30, 56.6, 100 mg/kg ; i.p.; once) reverse amphetamine-induced hyperlocomotion in a dose-dependent manner, and (56.6, 100 mg/kg) shows significantly fewer ambulations[1].
VU0364289 (10 mg/kg; i.p.; once) is rapidly and significantly absorbed in rats, and shows excellent central nervous system

penetration[1].

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

Animal Model:	Adult male Sprague-Dawley rats (250-275 g)[1].
Dosage:	10, 30, 56.6, 100 mg/kg
Administration:	Intraperitoneal injection; once.
Result:	Showed activity of reversing the hyperlocomotion induced by amphetamine, and can also significantly fewer ambulations in rats when dose up to 56.6 mg/kg.

Animal Model:	Adult male Sprague-Dawley rats (250-275 g)[1].
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection; once.
Result:	Pharmacokinetic Parameters of VU0364289 in male Sprague-Dawley rats[1].

	T_{max} (h)	C_{max} (ng/mL)	Plasma protein binding	Rat Fu (free fraction)
IP (10 mg/kg)	0.25	1280	94% (h); 90% (r)	0.10

REFERENCES

[1]. Gregory KJ, et al. N-aryl piperazine metabotropic glutamate receptor 5 positive allosteric modulators possess efficacy in preclinical models of NMDA hypofunction and cognitive enhancement. J Pharmacol Exp Ther. 2013 Nov;347(2):438-57.

[2]. Ya Zhou, et al. Discovery of N-Aryl Piperazines as Selective mGluR5Potentiators with Improved In Vivo Utility. ACS medicinal chemistry letters, 2010, 1(8): 433-438.

[3]. Psychosis Models[M]//Melatonin, Neuroprotective Agents and Antidepressant Therapy. Springer, New Delhi, 2016: 731-750.

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