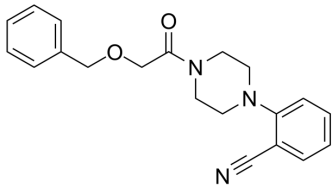
VU0364289

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:	Please store the product under the recommended conditions in the Certificate of Analysis.



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	Rat Fu (free

			binding	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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