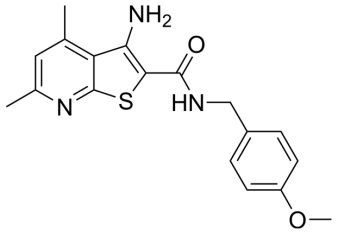
VU0152100

Cat. No.:	HY-13340
CAS No.:	409351-28-6
Molecular Formula:	$C_{18}H_{19}N_3O_2S$
Molecular Weight:	341
Target:	mAChR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (146.63 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9326 mL	14.6628 mL	29.3255 mL
5 mM	0.5865 mL	2.9326 mL	5.8651 mL
10 mM	0.2933 mL	1.4663 mL	2.9326 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 (7.33 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VU0152100 (VU152100) is a highly selective mAChR positive allosteric modulator (permeable to the blood-brain barrier). VU0152100 reverses Amphetamine-induced hypermotility in rats and increased levels of extracellular dopamine in nucleus accumbens and caudate-putamen. VU0152100 has good research potential in psychosis and cognitive impairment associated with mental disorders such as schizophrenia[1][2].

IC_{50} & Target

mAChR4

In Vivo

VU0152100 (10, 30, 56.6 mg/kg; i.p.; single) reverses amphetamine-induced hyperlocomotion in rats[1].
VU0152100 (10, 30, 56.6 mg/kg; i.p.; single) blocks amphetamine-induced disruption of the acquisition of contextual fear

conditioning and prepulse inhibition of the acoustic startle reflex in rats[1].
VU0152100 reverses amphetamine-induced increases in extracellular dopamine levels in nucleus accumbens and caudate-putamen[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; amphetamine-induced hyperlocomotion model)[1].
Dosage:	10, 30, 56.6 mg/kg
Administration:	Intraperitoneal injection; single (pre-treatment)
Result:	Produced a robust dose-dependent reversal of amphetamine-induced hyperlocomotion.

Animal Model:	Adult male Sprague-Dawley rats (250-275 g; amphetamine-induced)[1].
Dosage:	10, 30, 56.6 mg/kg
Administration:	Intraperitoneal injection; single (pre-treatment)
Result:	Blocked amphetamine-induced disruption of prepulse inhibition. Dose-dependently reversed the disruptive effects of amphetamine on the acquisition of a context-dependent fear.

REFERENCES

[1]. Byun NE, et al. Antipsychotic drug-like effects of the selective M4 muscarinic acetylcholine receptor positive allosteric modulator VU0152100. Neuropsychopharmacology. 2014 Jun;39(7):1578-93.

[2]. Brady AE, et al. Centrally active allosteric potentiators of the M4 muscarinic acetylcholine receptor reverse amphetamine-induced hyperlocomotor activity in rats. J Pharmacol Exp Ther. 2008 Dec;327(3):941-53.

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