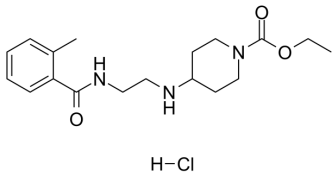
VU0357017 hydrochloride

Cat. No.:	HY-19752A
CAS No.:	1135242-13-5
Molecular Formula:	$C_{18}H_{28}ClN_3O_3$
Molecular Weight:	369.89
Target:	mAChR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 33.33 mg/mL (90.11 mM; Need ultrasonic)
DMSO : 25 mg/mL (67.59 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7035 mL	13.5175 mL	27.0351 mL
5 mM	0.5407 mL	2.7035 mL	5.4070 mL
10 mM	0.2704 mL	1.3518 mL	2.7035 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 33.33 mg/mL (90.11 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.76 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.76 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VU0357017 hydrochloride (CID-25010775) is a potent, selective and brain-penetrant allosteric agonist of M_1 muscarinic acetylcholine receptor, with an EC_{50} of 477 nM. VU0357017 hydrochloride is highly selective for M_1 and has no activity at M_2-M_5 up to the highest concentrations tested (30 μM). VU0357017 hydrochloride can be used for the research of Alzheimer's disease and schizophrenia[1][2][3].

IC_{50} & Target

IC50: 477 nM (M1)[1]

In Vitro	VU0357017 is selective for M_1 (K_i=9.91 μM) over M_2-M_5 mAChRs (K_i=21.4, 55.3, 35.0, and 50.0 μM, respectively) in CHO cells[1]. VU0357017 (1 nM-100 μM) induces calcium release and ERK phosphorylation in a concentration-dependent manner in CHO cells[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	VU0357017 (1-10 mg/kg, i.p.) reverses scopolamine-induced disruption of the contextual fear conditioning response[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague–Dawley rats (380-420 g) were pretreated with scopolamine[2]
Dosage:	1, 3, 10 mg/kg
Administration:	A single i.p.
Result:	Produced a significant reversal of the scopolamine-induced deficits at a dose of 10 mg/kg.

REFERENCES

[1]. Digby GJ, et al. Chemical modification of the M(1) agonist VU0364572 reveals molecular switches in pharmacology and a bitopic binding mode. ACS Chem Neurosci. 2012 Dec 19;3(12):1025-36.

[2]. Lebois EP, et al. Discovery and characterization of novel subtype-selective allosteric agonists for the investigation of M(1) receptor function in the central nervous system. ACS Chem Neurosci. 2010;1(2):104-121.

[3]. Digby GJ, et al. Novel allosteric agonists of M1 muscarinic acetylcholine receptors induce brain region-specific responses that correspond with behavioral effects in animal models. J Neurosci. 2012 Jun 20;32(25):8532-44.

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