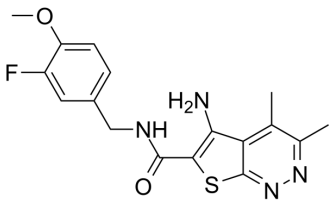
VU0467485

Cat. No.:	HY-120184
CAS No.:	1451994-10-7
Molecular Formula:	$C_{17}H_{17}FN_4O_2S$
Molecular Weight:	360.41
Target:	mAChR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (55.49 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7746 mL	13.8731 mL	27.7462 mL
	5 mM	0.5549 mL	2.7746 mL	5.5492 mL
	10 mM	0.2775 mL	1.3873 mL	2.7746 mL

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

BIOLOGICAL ACTIVITY

Description

VU0467485 (AZ13713945) is a potent, selective, and orally bioavailable muscarinic acetylcholine receptor 4 (M4) positive allosteric modulator (PAM). VU0467485 (AZ13713945) potentiates activity of ACh at M4 with EC_{50}s of 26.6 nM and 78.8 nM at rat and human M4 receptors, respectively. VU0467485 (AZ13713945) shows selectivity for M4 over human and rat M1/2/3/5. VU0467485 (AZ13713945) displays moderate to high CNS penetration. VU0467485 (AZ13713945) has antipsychotic-like activity[1].

In Vivo

VU0467485 (1-10 mg/kg; p.o.) has antipsychotic-like activity in an amphetamine-induced hyperlocomotion (AHL) rat model [1].

VU0467485 (3 mg/kg; p.o.) treatment displays that the C_{max}, AUC_{o-inf} and elimination $t_{1/2}$ were 1.2 μM, 3.8 μM•h and 4.2 hours, respectively[1].

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

Animal Model:	Sprague Dawley rats (amphetamine-induced hyperlocomotion rat model) [1]
Dosage:	1, 3, 10 mg/kg

Administration:	Oral administration
Result:	Dose-dependently reverses AHL.

Animal Model:	Male sprague Dawley rats[1]
Dosage:	Oral administration (Pharmacokinetic Analysis)
Administration:	3 mg/kg
Result:	The C_{max}, AUC_{o-inf} and elimination $t_{1/2}$ were 1.2 μM, 3.8 μM•h and 4.2 hours, respectively.

REFERENCES

[1]. Wood MR, et al. Discovery of VU0467485/AZ13713945: An M4 PAM Evaluated as a Preclinical Candidate for the Treatment of Schizophrenia. ACS Med Chem Lett. 2016 Dec 16;8(2):233-238.

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