VU0152099

Cat. No.: HY-119226

CAS No.: 612514-42-8

Molecular Formula: $C_{18}H_{17}N_3O_3S$

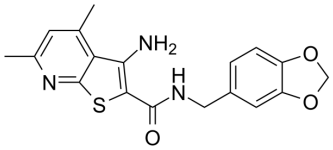
Molecular Weight: 355.41

Target: mAChR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (35.17 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8137 mL	14.0683 mL	28.1365 mL
	5 mM	0.5627 mL	2.8137 mL	5.6273 mL
	10 mM	0.2814 mL	1.4068 mL	2.8137 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: ≥ 1.25 mg/mL (3.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (3.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VU0152099 is a potent, selective and brain-penetrant mAChR M4 positive allosteric modulator with an EC_{50} of 0.4 μM for rat M4 receptor. VU0152099 is inactive for other mAChR subtypes or other GPCRs. VU0152099 has no agonist activity but potentiated responses of M4 to acetylcholine[1].

In Vitro

VU0152099 (30 μM) induces a dose-dependent leftward shift of the acetylcholine (ACh) concentration response curve (CRC) with maximal shifts of 30-fold observed with 30 μM. VU0152099 dose-dependently potentiates the response to an EC20 concentration of ACh with EC_{50} values of 1.2 μM, and increases the maximal response to ACh to approximately 130%. VU0152099 is a potent positive allosteric modulator that enhance the response of the M4 receptor to the endogenous agonist ACh[1].

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

In Vivo

VU0152099 (56.6 mg/kg; i.p.; once) reverses Amphetamine-induced hyperlocomotion in rats[1].

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Animal Model:	Male Sprague-Dawley rats (270-300 g) injected with Amphetamine[1]
Dosage:	56.6 mg/kg
Administration:	i.p.; once
Result:	Reversed Amphetamine-induced hyperlocomotion in rats.

REFERENCES

[1]. Ashley E Brady, 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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