Product Data Sheet

VU10010

Cat. No.: HY-14563
CAS No.: 633283-39-3
Molecular Formula: $C_{17}H_{16}CIN_3OS$

Molecular Weight: 345.85

Target: mAChR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Powder -20°C 3 years

4°C 2 years

In solvent -80°C 6 months

-20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO: 125 mg/mL (361.43 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.8914 mL	14.4571 mL	28.9143 mL
	5 mM	0.5783 mL	2.8914 mL	5.7829 mL
	10 mM	0.2891 mL	1.4457 mL	2.8914 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: ≥ 2.08 mg/mL (6.01 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (6.01 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VU10010 is a potent, highly selective and allosteric M₄ mAChR potentiator with an EC₅₀ of 400 nM. VU10010 binds to an allosteric site on M₄ mAChR and increases affinity for acetylcholine and coupling to G proteins. VU10010 increases carbachol-induced depression of transmission at excitatory but not inhibitory synapses in the hippocampus^[1].

In Vitro VU10010 potentiates the M₄ response to acetylcholine 47-fold while having no activity at other mAChR subtypes (rM₁, rM₂,

 rM_3 or rM_5). VU10010 causes an increase in affinity for ACh and M_4 -mediated [35 S]GTPγS binding^[1]. VU10010 (10 μ M) has no agonist, antagonist or allosteric potentiator activity at P2Y1R or mGluR5^[1]. The EC50 values are 33 and 0.7 nM for ACh in the absence and presence of VU10010, respectively^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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REFERENCES						
[1]. Jana K Shirey, et al. An allosteric potentiator of M4 mAChR modulates hippocampal synaptic transmission. Nat Chem Biol. 2008 Jan;4(1):42-50.						
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