Proteins



Product Data Sheet

VU6000918

Cat. No.: HY-139044

CAS No.: 2101737-32-8 Molecular Formula: $C_{18}H_{17}F_{2}N_{5}OS$

Molecular Weight: 389.42 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: 125 mg/mL (320.99 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.5679 mL	12.8396 mL	25.6792 mL
	5 mM	0.5136 mL	2.5679 mL	5.1358 mL
	10 mM	0.2568 mL	1.2840 mL	2.5679 mL

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

BIOLOGICAL ACTIVITY

Description	$VU6000918 is a muscarinic acetylcholine (M4) positive allosteric modulator, with an EC_{50} of 19 \ nM \ for \ hM4^{[1]}.$
IC ₅₀ & Target	EC50: 19 nM (hM4) ^[1] .
In Vitro	VU6000918 demonstrates statistically significant AHL reversal (18%) from a low oral dose of 0.03 mg/kg and reaches maximal reversal (74%) from a 3 mg/kg dose, with a resulting in vivo plasma EC_{50} of 74 nM (0.66 nM unbound) based on terminal concentrations measured in the study animals (1.5 hr post-administration of 17j) ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. James C Tarr, et al. Challenges in the development of an M 4 PAM preclinical candidate: The discovery, SAR, and in vivo characterization of a series of 3-aminoazetidinederived amides. Bioorg Med Chem Lett. 2017 Jul 1;27(13):2990-2995.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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