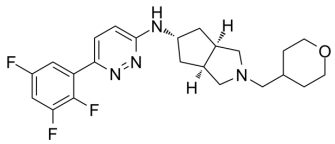
VU6028418

Cat. No.:	HY-141711
CAS No.:	2649803-05-2
Molecular Formula:	$C_{23}H_{27}F_3N_4O$
Molecular Weight:	432.48
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 : 5.56 mg/mL (12.86 mM; ultrasonic and warming and adjust pH to 5 with HCl and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3122 mL	11.5612 mL	23.1225 mL
	5 mM	0.4624 mL	2.3122 mL	4.6245 mL
	10 mM	0.2312 mL	1.1561 mL	2.3122 mL

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

BIOLOGICAL ACTIVITY

Description

VU6028418 is a potent, highly selective and orally bioavailable M_4 mAChR antagonist with an IC_{50} of 4.1 nM against hM_4[1].

IC_{50} & Target

mAChR4

In Vivo

VU6028418 is orally bioavailable[1].

In Vivo PK Parameters for VU6028418[1]

parameter	rat (SD)[a]	mouse (CD-1)[a]	dog (beagle)[a]
dose (mg/kg) iv/po	1/10	1/3	1/3
CL_p (mL/min/kg)	6.1	17	43

V_{ss} (L/kg)	6.7	10.6	8.5
elimination $t_{1/2}$ (h)	13	NC	15
C_{max} (ng/mL) po	17 000	181	70
T_{max} (h) po	1.5	6.67	17
$AUC_{0\text{-}inf}$ (ng/mL•h) po	30 000	NC	1100
F (%) po	≥100	≥100	86
total brain/total plasma (K_p)	6.4	ND	ND
unbound brain/unbound plasma ($K_{p,uu}$)	0.61	ND	ND
CSF/plasma unbound ($K_{p,u}$)	0.24	ND	ND

[a] Values represent means from two to three animals. ND = not determined. NC = not calculated; there was insufficient data to define the elimination phase (i.e., C_{max} was one of the last three time points).

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

Animal Model:	SD rat, CD-1 mouse and beagle dog[1]
Dosage:	1, 3 and 10 mg/kg
Administration:	Intravenous injection or oral administration (Pharmacokinetic Analysis)
Result:	Showed good pharmacokinetic results.

REFERENCES

[1]. Spock M, et al. Discovery of VU6028418: A Highly Selective and Orally Bioavailable M4 Muscarinic Acetylcholine Receptor Antagonist. ACS Med Chem Lett. 2021 Aug 2;12(8):1342-1349.

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