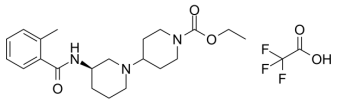
VU0364572 TFA

Cat. No.:	HY-113616A
CAS No.:	1240514-89-9
Molecular Formula:	$C_{23}H_{32}F_3N_3O_5$
Molecular Weight:	487.51
Target:	mAChR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



BIOLOGICAL ACTIVITY

Description

VU0364572 TFA is an orally active and selective allosteric agonist of the M1 muscarinic receptor with an EC_{50} of 0.11 μM. VU0364572 TFA has neuroprotective potential for preventing memory impairments and reducing neuropathology in Alzheimer's Disease. VU0364572 TFA is CNS penetrant[1][3].

IC_{50} & Target

mAChR1
0.11 μM (EC50)

In Vitro

VU0364572 (30 μM; 25 min) TFA promotes KCNQ2, NR1 and MARCKS phosphorylation in striatal/NAc slices[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[2]

Cell Line:	Striatal/NAc slices
Concentration:	30 μM
Incubation Time:	25 min
Result:	Significantly increased the phosphorylation of KCNQ2 at T217, NR1 at S890, and MARCKS at S152/156.

In Vivo

VU0364572 (10 mg/kg/day; oral; 4 months) TFA shows neuroprotective effects in 5XFAD transgenic Alzheimer's mice. VU0364572 has a half life of 45 minutes[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	5XFAD transgenic Alzheimer's mice[1]
Dosage:	10 mg/kg/day
Administration:	In drinking water, from 2 months of age to 6 months
Result:	Preserved hippocampal memory. Significantly reduced levels of soluble and insoluble $A\beta_{40,42}$ in the cortex and hippocampus of these animals. Significantly decreased oligomeric

	(oAβ) levels in the cortex.

REFERENCES

[1]. Lebois EP, et al. Disease-Modifying Effects of M1 Muscarinic Acetylcholine Receptor Activation in an Alzheimer's Disease Mouse Model. ACS Chem Neurosci. 2017 Jun 21;8(6):1177-1187.

[2]. Faruk MO, et al. Muscarinic signaling regulates voltage-gated potassium channel KCNQ2 phosphorylation in the nucleus accumbens via protein kinase C for aversive learning. J Neurochem. 2022 Feb;160(3):325-341.

[3]. Lebois EP, et al. Development of a highly selective, orally bioavailable and CNS penetrant M1 agonist derived from the MLPCN probe ML071. Bioorg Med Chem Lett. 2011 Nov 1;21(21):6451-5.

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