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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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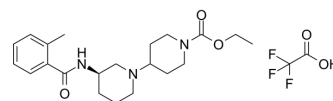
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VU0364572 TFA

Cat. No.:	HY-113616A
CAS No.:	1240514-89-9
Molecular Formula:	C ₂₃ H ₃₂ F ₃ N ₃ O 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)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 55 mg/mL (112.82 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
		1 mM	2.0512 mL	10.2562 mL	20.5124 mL
		5 mM	0.4102 mL	2.0512 mL	4.1025 mL
		10 mM	0.2051 mL	1.0256 mL	2.0512 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: ≥ 2.75 mg/mL (5.64 mM); Clear solution				
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.75 mg/mL (5.64 mM); Clear solution				

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 (EC ₅₀)
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 μ M
	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 β _{40,42} in the cortex and hippocampus of these animals. Significantly decreased oligomeric (oA β) 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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