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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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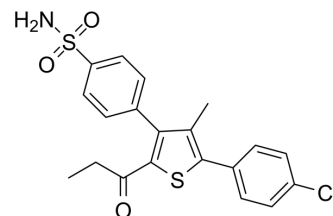
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nAChR agonist 1

Cat. No.:	HY-133011		
CAS No.:	1394371-75-5		
Molecular Formula:	C ₂₀ H ₁₈ ClNO ₃ S ₂		
Molecular Weight:	419.94		
Target:	nAChR		
Pathway:	Membrane Transporter/Ion Channel; 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 (297.66 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
Preparing Stock Solutions	1 mM	2.3813 mL	11.9065 mL	23.8129 mL
	5 mM	0.4763 mL	2.3813 mL	4.7626 mL
	10 mM	0.2381 mL	1.1906 mL	2.3813 mL
Please refer to the solubility information to select the appropriate solvent.				
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (4.95 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (4.95 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (4.95 mM); Clear solution 			

BIOLOGICAL ACTIVITY

Description	nAChR agonist 1 is a potent, brain-permeable, and orally efficacious positive allosteric modulator of α7 nicotinic acetylcholine receptor (α7 nAChR). nAChR agonist 1 has the EC ₅₀ of 0.32 μM in a Ca ²⁺ mobilization assay (PNU-282987-induced, FLIPR based) in human IMR-32 neuroblastoma cells that endogenously express α7 nAChR. nAChR agonist 1 can be developed for the treatment of Alzheimer's disease ^[1] .
In Vivo	Acute (single-dose) oral administration of nAChR agonist 1 (compound 28) prior to memory acquisition, significantly increased the discrimination index in both time-delay and scopolamine-induced 8 amnesia at 1 and 3 mg/kg dose levels in

male Wistar rats. nAChR agonist 1 also significantly improved the discrimination index in the memory consolidation paradigm, when administered immediately after the memory acquisition trial^[1].

nAChR agonist 1 treatment (10 mg/kg; p.o.) shows that the AUC, C_{max}, and F values are 63 h μM, 2.3 μM, 63%, respectively^[1].

nAChR agonist 1 (1 mg/kg; i.v.) treatment shows that the AUC, C_{max}, T_{1/2}, CL, and V_{ss} are 1.3 h μM, 0.9 μM, 1.4 hours, 31 mL/min/kg, 3 L/kg, respectively^[1].

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

Animal Model:	Male BALB/c mice ^[1]
Dosage:	10 mg/kg
Administration:	p.o. (Pharmacokinetic Analysis)
Result:	The AUC, C _{max} , and F values are 63 h μM, 2.3 μM, 63%, respectively.

REFERENCES

[1]. Sinha N, et al. Discovery of Novel, Potent, Brain-Permeable, and Orally Efficacious Positive Allosteric Modulator of α7 Nicotinic Acetylcholine Receptor [4-(5-(4-Chlorophenyl)-4-methyl-2-propionylthiophen-3-yl)benzenesulfonamide]: Structure-Activity Relati

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

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