nAChR agonist 1

Cat. No.: HY-133011

CAS No.: 1394371-75-5

Molecular Formula: $C_{20}H_{18}ClNO_3S_2$

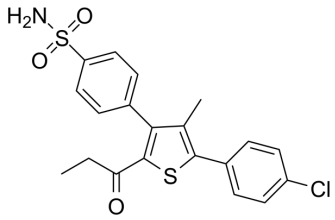
Molecular Weight: 419.94

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)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

1. 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
2. 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
3. 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 develpoped 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, Cmax, 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, Cmax,$T_{1/2}$, CL, and Vss 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, Cmax, 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

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