PNU-282987

Cat. No.: HY-12560A

CAS No.: 123464-89-1

Molecular Formula: $C_{14}H_{18}Cl_2N_2O$

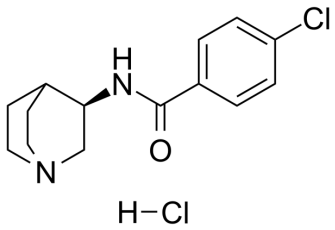
Molecular Weight: 301.21

Target: nAChR; 5-HT Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling; GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 1 year; -20°C, 6 months (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 50 mg/mL (166.00 mM; Need ultrasonic)

DMSO : 10 mg/mL (33.20 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.3199 mL	16.5997 mL	33.1994 mL
5 mM	0.6640 mL	3.3199 mL	6.6399 mL
10 mM	0.3320 mL	1.6600 mL	3.3199 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (166.00 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 1 mg/mL (3.32 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (3.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PNU-282987 is a potent α7 nicotinic acetylcholine receptor (nAChR) agonist with an EC_{50} of 154 nM. PNU-282987 is also a functional antagonist of the 5-HT_3 receptor with an IC_{50} of 4541 nM. PNU-282987 can be used for the research of central and peripheral nervous systems[1].

IC_{50} & Target

IC50: 4541nM (5-HT_3); EC50: 154 nM (α7 nAChR); Ki: 27 nM (R7 MLA)[1]

In Vitro

PNU-282987 (Compound C7) displaces the R7 selective antagonist methyllycaconitine (MLA) from rat brain homogenates with a K_i of 27 nM[1].

PNU-282987 has α7 nAChR agonist activity with an EC_{50} of 154 nM[1].
PNU-282987 also has inhibition for the 5-HT_3 receptor with an IC_{50} value of 4541nM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PNU-282987 (Compound C7) (i.v.; 1, 3 mg/kg) leads to a reversal of the gating deficit[1].
PNU-282987 (30 μM) evokes currents in rat hippocampal neurons in a concentration-dependent and MLA blockable manner [1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rats[1]
Dosage:	1, 3 mg/kg
Administration:	i.v.
Result:	Significantly reversed amphetamine-induced gating deficit.

CUSTOMER VALIDATION

- Cell Death Discov. 2022 Mar 30;8(1):141.
- Inflamm Res. 2023 Mar 13.
- Mol Med. 2022 Sep 4;28(1):104.
- Eur J Pharmacol. 2021 Mar 31;174067.
- J Pain Res. 2021 Feb 15;14:441-452.

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REFERENCES

[1]. Alice L Bodnar, et al. Discovery and structure-activity relationship of quinuclidine benzamides as agonists of alpha7 nicotinic acetylcholine receptors. J Med Chem

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