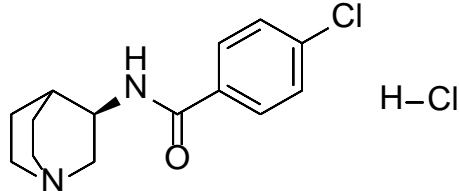


# Product data sheet



MedKoo Cat#: 526847 Name: PNU282987 HCl CAS: 123464-89-1 (HCl) Chemical Formula: C <sub>14</sub> H <sub>18</sub> Cl <sub>2</sub> N <sub>2</sub> O Molecular Weight: 301.211	
Product supplied as: Powder	
Purity (by HPLC): ≥ 98%	
Shipping conditions: Ambient temperature	
Storage conditions: Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.	

## 1. Product description:

PNU282987 is a drug that acts as a potent and selective agonist for the  $\alpha 7$  subtype of neural nicotinic acetylcholine receptors. In animal studies, it shows nootropic effects, and derivatives may be useful in the treatment of schizophrenia, although PNU-282,987 is not suitable for use in humans because of excessive inhibition of the hERG antitarget.

## 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

## 3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	10.0	33.20
Water	16.60	55.11

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.32 mL	16.60 mL	33.20 mL
5 mM	0.66 mL	3.32 mL	6.64 mL
10 mM	0.33 mL	1.66 mL	3.32 mL
50 mM	0.07 mL	0.33 mL	0.66 mL

## 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

## 6. Recommended literature which reported protocols for in vitro and in vivo study

### In vitro study

- Zhang Y, Ma R, Wang W, Deng Q, Cao C, Yu C, Li S, Shi L, Tian J. Activation of  $\alpha 7$ nAChR by PNU282987 improves cognitive impairment through inhibiting oxidative stress and neuroinflammation in D-galactose induced aging via regulating  $\alpha 7$ nAChR/Nrf2/HO-1 signaling pathway. *Exp Gerontol.* 2023 May;175:112139. doi: 10.1016/j.exger.2023.112139. Epub 2023 Mar 10. PMID: 36898594.
- Hua Y, Yang B, Chen Q, Zhang J, Hu J, Fan Y. Activation of  $\alpha 7$  Nicotinic Acetylcholine Receptor Protects Against 1-Methyl-4-Phenylpyridinium-Induced Astroglial Apoptosis. *Front Cell Neurosci.* 2019 Nov 12;13:507. doi: 10.3389/fncel.2019.00507. PMID: 31780901; PMCID: PMC6861188.

### In vivo study

- Spitsbergen JB, Webster SE, Linn CL. Functional Changes in the Adult Mouse Retina using an Alpha7 Nicotinic Acetylcholine Receptor Agonist after Blast Exposure. *Neuroscience.* 2023 Feb 21;512:1-15. doi: 10.1016/j.neuroscience.2022.12.017. Epub 2022 Dec 24. PMID: 36572172.
- Hajós M, Hurst RS, Hoffmann WE, Krause M, Wall TM, Higdon NR, Groppi VE. The selective alpha7 nicotinic acetylcholine receptor agonist PNU-282987 [N-[(3R)-1-Azabicyclo[2.2.2]oct-3-yl]-4-chlorobenzamide hydrochloride] enhances GABAergic synaptic activity in brain slices and restores auditory gating deficits in anesthetized rats. *J Pharmacol Exp Ther.* 2005 Mar;312(3):1213-22. doi: 10.1124/jpet.104.076968. Epub 2004 Nov 2. PMID: 15523001.

# Product data sheet



## 7. Bioactivity

### Biological target:

PNU-282987 is a potent  $\alpha 7$  nicotinic acetylcholine receptor (nAChR) agonist with an EC50 of 154 nM.

---

### In vitro activity

In the present study, using pharmacological inhibition and genetic knockout of  $\alpha 7$ nAChR, this study assessed the antiapoptotic effects of an  $\alpha 7$ nAChR agonist PNU-282987 in primary cultured astrocytes treated with 1-methyl-4-phenylpyridinium (MPP+). PNU-282987 promoted the viability of astrocytes, alleviated MPP+ induced apoptosis, and decreased the number of GFAP+/TUNEL+ cells. Meanwhile, PNU-282987 upregulated the expression of the antiapoptotic protein Bcl-2 and downregulated the expression of the apoptotic protein Bax and cleaved-caspase-3. Moreover, the suppression of the JNK-p53-caspase-3 signaling may underlie the neuroprotective property of PNU-282987. Therefore, PNU-282987 ameliorates astroglial apoptosis induced by MPP+ through  $\alpha 7$ nAChR-JNK-p53 signaling.

Reference: Front Cell Neurosci. 2019 Nov 12;13:507. <https://pubmed.ncbi.nlm.nih.gov/31780901/>

### In vivo activity

Amphetamine-induced sensory gating deficit, determined by auditory-evoked potentials in hippocampal CA3 region, was restored by systemic administration of PNU-282987 in chloral hydrate-anesthetized rats. Auditory gating of rat reticular thalamic neurons was also disrupted by amphetamine; however, PNU-282987 normalized gating deficit only in a subset of tested neurons (6 of 11). Furthermore, PNU-282987 improved the inherent hippocampal gating deficit occurring in a subpopulation of anesthetized rats, and enhanced amphetamine-induced hippocampal oscillation. This study proposes that the  $\alpha 7$  nAChR agonist PNU-282987, via modulating/enhancing hippocampal GABAergic neurotransmission, improves auditory gating and enhances hippocampal oscillatory activity.

Reference: J Pharmacol Exp Ther. 2005 Mar;312(3):1213-22. <https://pubmed.ncbi.nlm.nih.gov/15523001/>

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*