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产品名称: **PNU-282987**  
产品别名: **PNU-282987**

生物活性:				
Description	<p>PNU-282987 is a selective <math>\alpha 7</math> nicotinic acetylcholine receptor (<math>\alpha 7</math> nAChR) agonist with <math>K_i</math> of 26 nM; no affinity for <math>\alpha 1 \beta 1 \gamma \delta</math> and <math>\alpha 3 \beta 4</math> nAChRs (<math>IC_{50} \geq 60 \mu M</math>). <math>IC_{50}</math> value: 26 nM(<math>K_i</math>) [1] Target: <math>\alpha 7</math> nAChR agonist in vitro: Treatment with PNU-282987 resulted in an attenuation of neuroinflammation in the MPTP-lesioned SN. Furthermore, PNU-282987 attenuated MPTP-induced dopaminergic cell loss in the SN and reduced striatal dopamine depletion [3]. in vivo: Mice were subjected to 70% partial hepatic I/R for 60 min and pretreated with either vehicle or with PNU-282987, and blood and hepatic tissue samples were collected at 3, 6, and 12 h following reperfusion. pretreatment with PNU-282987 decreased serum transaminase levels and ameliorated liver injury after hepatic I/R. Moreover, pretreatment with PNU-282987 suppressed NF-<math>\kappa</math>B activation, cytokine production (tumor necrosis factor <math>\alpha</math>, interleukin <math>1\beta</math>), and HMGB1 expression in liver after hepatic I/R [2]. Mice treated with 2.5 and 10 mg/kg of PNU devoted less time to rearing into open arms. In the HB task, MC mice displayed higher exploratory activity reflected in more head-dips (HD) during the first minute than EE and SE, whereas EE displayed low exploration levels reflected in total HD (5 min) [4].</p>			
Solvent&Solubility	<p><b>In Vitro:</b> <b>DMSO : <math>\geq 61</math> mg/mL (202.52 mM)</b> <b>H<sub>2</sub>O : 50 mg/mL (166.00 mM; Need ultrasonic)</b>  * "≥" means soluble, but saturation unknown.</p>			
	Preparing Stock Solutions	Solvent Concentration	Mass	
		1 mM	1 mg	5 mg 10 mg
		5 mM	0.6640 mL	3.3199 mL 16.5997 mL 33.1994 mL
		10 mM	0.3320 mL	1.6600 mL 3.3199 mL
<p>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液;一旦配成溶液,请分装保存,避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month. -80°C 储存时,请在 6 个月内使用, -20°C 储存时,请在 1 个月内使用。</p> <p><b>In Vivo:</b> 1.PNU-282987 is dissolved in saline[5].</p>				
References	<p>[1]. Bodnar AL, et al. Discovery and structure-activity relationship of quinuclidine benzamides as agonists of <math>\alpha 7</math> nicotinic acetylcholine receptors. J Med Chem. 2005 Feb 24;48(4):905-8.</p> <p>[2]. Dong Jun Yu, et al. Effect of ischemic preconditioning combined with <math>\alpha 7</math> nAChR agonists on limb ischemia-reperfusion lung injury in rat. Biomed Res. 2017; Special Issue: ISSN 0970.</p> <p>[3]. Li F, et al. The protective effect of PNU-282987, a selective <math>\alpha 7</math> nicotinic acetylcholine receptor agonist, on the hepatic ischemia-reperfusion injury is associated with the inhibition of high-mobility group box 1 protein expression and nuclear factor <math>\kappa</math>B activation in mice. Shock. 2013 Feb;39(2):197-203.</p> <p>[4]. Stuckenholtz V, et al. The <math>\alpha 7</math> nAChR agonist PNU-282987 reduces inflammation and MPTP-induced</p>			



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nigral dopaminergic cell loss in mice. J Parkinsons Dis. 2013;3(2):161-72.

[5]. Mesa-Gresa P, et al. Behavioral effects of different enriched environments in mice treated with the cholinergic agonist PNU-282987. Behav Processes. 2014 Mar;103:117-24.



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