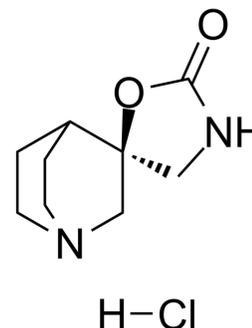


AR-R17779 hydrochloride

Cat. No.:	HY-135483A
CAS No.:	178419-42-6
Molecular Formula:	C ₉ H ₁₅ ClN ₂ O ₂
Molecular Weight:	218.68
Target:	nAChR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	-20°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

H₂O : 32 mg/mL (146.33 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	4.5729 mL	22.8645 mL	45.7289 mL
	5 mM	0.9146 mL	4.5729 mL	9.1458 mL
	10 mM	0.4573 mL	2.2864 mL	4.5729 mL

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

BIOLOGICAL ACTIVITY

Description

AR-R17779 hydrochloride is a potent and selective full agonist of nAChR, with K_is of 92 and 16000 nM for α7 and α4β2 subtype, respectively. AR-R17779 hydrochloride can improve learning and memory in rats. AR-R17779 hydrochloride also has anxiolytic activity. AR-R17779 hydrochloride can reduce inflammation by activating anti-inflammatory cholinergic (vagal) pathways^{[1][2][4]}.

IC₅₀ & Target

IC₅₀: 92 nM (α7-nAChR)^[1]

In Vitro

AR-R17779 is 5-fold more potent and 35000-fold more selective than (-)-nicotine for the α7 nicotinic receptor^[1]. AR-R17779 (200 nM; 24 h) inhibits the LPS-induced TNF production in macrophages^[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

AR-R17779 (1-5 mg/kg; i.p. twice a day for 7 d) ameliorates arthritis, reduces synovial inflammation, delays onset of disease and protects against joint destruction^[3]. AR-R17779 (1-10 mg/kg; s.c. for 3 weeks) improves learning in two radial-arm maze tasks and reverses working memory impairment caused by fimbria-fornix sections in rats^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male DBA/1 mice (8-10 weeks) were subjected to unilateral cervical vagotomy or sham surgery, after which arthritis was induced with type II collagen ^[3]
Dosage:	1, 2.5, 5 mg/kg
Administration:	I.p. twice daily from day 20 until day 26
Result:	Ameliorated arthritis and delayed onset of disease. Reduced erosive disease, cartilage degradation and synovial inflammation. Reduced TNF α levels in plasma and synovial tissue.

REFERENCES

- [1]. Mullen G, et, al. (-)-Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin-2'-one], a conformationally restricted analogue of acetylcholine, is a highly selective full agonist at the alpha 7 nicotinic acetylcholine receptor. *J Med Chem.* 2000 Nov 2;43(22):4045-
- [2]. Levin ED, et, al. AR-R17779, and alpha7 nicotinic agonist, improves learning and memory in rats. *Behav Pharmacol.* 1999 Nov;10(6-7):675-80.
- [3]. Maanen MA, et, al. Stimulation of nicotinic acetylcholine receptors attenuates collagen-induced arthritis in mice. *Arthritis Rheum.* 2009 Jan;60(1):114-22.
- [4]. Lopes F, et, al. Involvement of Mast Cells in $\alpha 7$ Nicotinic Receptor Agonist Exacerbation of Freund's Complete Adjuvant-Induced Monoarthritis in Mice.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA