Product Data Sheet

AR-R17779 hydrochloride

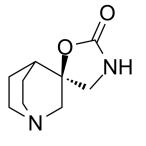
Cat. No.: HY-135483A CAS No.: 178419-42-6 Molecular Formula: $C_9H_{15}ClN_2O_2$

Molecular Weight: 218.68
Target: nAChR

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.



H-CI

BIOLOGICAL ACTIVITY

Description	AR-R17779 hydrochloride is a potent and selective full agonist of nAChR, with K_i s of 92 and 16000 nM for α 7 and α 4 β 2 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 antiinflammatory cholinergic (vagal) pathways [1][2][4].		
IC ₅₀ & 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 α 7 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 TNFα 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):4045-50.

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[2]. Levin FD. et. al. AR-R17779, and alpha7	nicolinic agonisi, improves learning and	memory in rais, Benay Pharmacoi	1999 NOV TUIN- / 1'N / 5-8U

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

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^{[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 α 7 Nicotinic Receptor Agonist Exacerbation of Freund's Complete Adjuvant-Induced Monoarthritis in Mice.