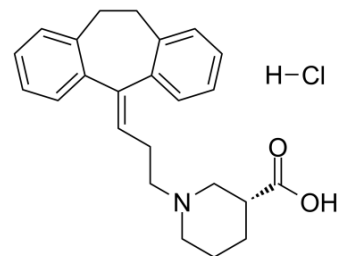


ReN-1869 hydrochloride

Cat. No.:	HY-101724
CAS No.:	170149-76-5
Molecular Formula:	C ₂₄ H ₂₈ ClNO ₂
Molecular Weight:	397.94
Target:	Histamine Receptor
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	ReN 1869 hydrochloride is a novel, selective histamine H ₁ receptor antagonist, which demonstrates affinity to the histamine H ₁ receptor (guinea pig brain) with K _i of 0.19±0.04 μM and the non-selective σ site (guinea pig brain) with K _i of 0.45 μM.
IC₅₀ & Target	Ki: 0.19±0.04 μM (histamine H ₁ receptor) ^[1]
In Vitro	ReN 1869 is a highly selective tricyclic antihistamine that shows functional histamine H ₁ receptor antagonism. Binding studies with radioactively labelled ReN 1869 reveals high affinity only for the histamine H ₁ receptor in addition to some affinity for a sigma site. ReN 1869 is profiled for activity at 10 μM at various receptors, transporters, enzymes and ion channels. ReN 1869 only demonstrates affinity to the histamine H ₁ receptor (guinea pig brain, [³ H]pyrilamine) with a K _i of 0.19±0.04 μM and the non-selective σ site [guinea pig brain, [³ H]1,3-di-tolylguanidine (DTG)] with a K _i of 0.45 μM. ReN 1869 dose-dependently reduces the responses with IC ₅₀ of 1.70±0.002 μM ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The in vivo binding of [³ H]Mepyramine to mouse spinal cord and cerebellar histamine H ₁ receptors is dose-dependently inhibited by ReN 1869. ReN 1869 (in doses as low as 10 μg/kg i.p.) significantly inhibits the histamine-evoked paw edema. The ED ₅₀ is approximately 300 μg/kg. Interestingly, even a high dose of Mepyramine (10 mg/kg) is unable to inhibit significantly this type of edema (0.29±0.06 versus 0.34±0.05 in controls, n=7). ReN 1869 (1 mg/kg s.c.) is administered 30 min before paw injection with carrageenan and has no effect on the development of the paw edema. Dexamethasone (1 mg/kg s.c.) is given 1 h before carrageenan and expectedly diminished the edema. This effect is not affected by the simultaneous administration of 1 mg/kg ReN 1869 ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay ^[1]	ReN 1869 is labelled with ³ H in the tricyclic ring system resulting in a specific activity of 40 Ci/mmol. Thawed membranes (1 mg protein/tube), test compounds and [³ H]ReN 1869 are added to test tubes in a final volume of 0.5 mL. Unless otherwise indicated, the concentration of the radioligand is 5 nM and non-specific binding is defined as the binding in the presence of 10 μM ReN 1869. Samples are incubated for 120 min at 37 °C in a shaking water bath. Free and bound radioactivity is separated by filtration over Whatman GF/F filters that are washed with 25 mL of ice-cold buffer (20 mM Tris-HCl, pH 7.4). Radioligand bound to filters accounted for 5-700 dpm that is subtracted before calculating specific binding ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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REFERENCES

[1]. Olsen UB, et al. ReN 1869, a novel tricyclic antihistamine, is active against neurogenic pain and inflammation. Eur J Pharmacol. 2002 Jan 18;435(1):43-57.

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