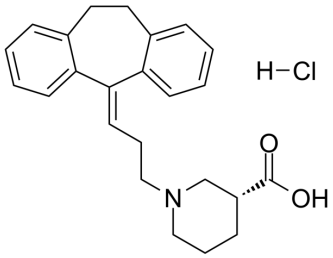
ReN-1869 hydrochloride

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.
IC_{50} & 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 H1 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.

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.

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