Product Data Sheet

Product Name: ReN-1869 hydrochloride (NNC-05-1869 hydrochloride)
Cat. No.: GC31812

Chemical Properties

Cas. No.	170149-76-5		
SMILES	O=C([C@H]1CN(CC/C=C2C3=CC=CC=C3CCC4=CC=CC=C\24)CCC1)O.[H]Cl		
Formula	$C_{24}H_{28}ClNO_2$	M.Wt	397.94
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			

Protocol

Kinase experiment:

ReN 1869 is labelled with 3H in the tricyclic ring system resulting in a specific activity of 40 Ci/mmol. Thawed membranes (1 mg protein/tube), test compounds and [3H]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].

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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.

Background

ReN 1869 hydrochloride is a novel, selective histamine H1 receptor antagonist, which demonstrates affinity to the histamine H1 receptor (guinea pig brain) with Ki of 0.19±0.04 μM and the non-selective σ site (guinea pig brain) with Ki of 0.45 μM.

ReN 1869 is a highly selective tricyclic antihistamine that shows functional histamine H1 receptor antagonism. Binding studies with radioactively labelled ReN 1869 reveals high affinity only for the histamine H1 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, [3H]pyrilamine) with a Ki of 0.19±0.04 μM and the non-selective σ site [guinea pig brain, [3H]1,3-di-tolylguanidine (DTG)] with a Ki of 0.45 μM. ReN 1869 dose-dependently reduces the responses with IC50 of 1.70±0.002 μM[1].

The in vivo binding of [3H]Mepyramine to mouse spinal cord and cerebellar histamine H1 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 ED50 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].

[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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