ReN-1869 hydrochloride

Cat. No.: HY-101724  
CAS No.: 170149-76-5  
Molecular Formula: C₂₄H₂₈ClNO₂  
Molecular Weight: 397.94  
Target: Histamine Receptor  
Pathway: GPCR/G Protein; Immunology/Inflammation  
Storage: Please store the product under the recommended conditions in the COA.

Solvent & Solubility

<table>
<thead>
<tr>
<th>Solvent &amp; Solubility</th>
<th>In Vitro</th>
<th>10 mM in DMSO</th>
</tr>
</thead>
</table>

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>2.5129 mL</td>
<td>12.5647 mL</td>
<td>25.1294 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.5026 mL</td>
<td>2.5129 mL</td>
<td>5.0259 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.2513 mL</td>
<td>1.2565 mL</td>
<td>2.5129 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

<table>
<thead>
<tr>
<th>Description</th>
<th>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.</th>
</tr>
</thead>
</table>
| IC₅₀ & Target | Kᵢ: 0.19±0.04 μM (histamine H₁ receptor)[¹]  

In Vitro

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].

In Vivo

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\(^1\).

**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 \(\mu\)M 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**


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

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