Tripelennamine hydrochloride

Cat. No.: HY-17428
CAS No.: 154-69-8
Molecular Formula: C₁₆H₂₂ClN₃
Molecular Weight: 291.82
Target: Histamine Receptor
Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
Storage: Powder -20°C 3 years
        4°C 2 years
        In solvent -80°C 6 months
                -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO: 25 mg/mL (85.67 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>Solvent Concentration</td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td></td>
<td>3.4268 mL</td>
<td>17.1338 mL</td>
<td>34.2677 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.6854 mL</td>
<td>3.4268 mL</td>
<td>6.8535 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.3427 mL</td>
<td>1.7134 mL</td>
<td>3.4268 mL</td>
</tr>
</tbody>
</table>

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Tripelennamine hydrochloride, a H1-receptor antagonist, is a psychoactive drug and member of the pyridine and ethylenediamine classes that is used as an antipruritic and first-generation antihistamine. IC50 Value: Target: Histamine H1 receptor. Tripelennamine can be used in the treatment of asthma, hay fever, rhinitis and urticaria.

In vitro: Arterial and mixed venous blood-gas and pH measurements were made at rest before and after saline or drug administration and during incremental exercise leading to maximal exertion at 14 m/s on 3.5% uphill grade for 120 s. Galloping at this workload elicited maximal heart rate and induced exercise-induced pulmonary hemorrhage in all horses in both treatments, thereby indicating that capillary stress failure-related pulmonary injury had occurred [1].

In vivo: The data obtained (median and...
range in brackets) in camels and horses, respectively, were as follows: the terminal elimination half-lives were 2.39 (1.91-6.54) and 2.08 (1.31-5.65) h, total body clearances were 0.97 (0.82-1.42) and 0.84 (0.64-1.17)L/h/kg. The volumes of distribution at steady state were 2.87 (1.59-6.67) and 1.69 (1.18-3.50) L/kg, the volumes of the central compartment of the two compartment pharmacokinetic model were 1.75 (0.68-2.27) and 1.06 (0.91-2.0) L/kg [2]. After intramuscular administration of 50 or 100 mg tripelennamine, mean plasma concentrations at 30 minutes were 105 and 194 ng/ml, respectively, and mean plasma t1/2 values were 2.9 and 4.4 hours, respectively [3].

REFERENCES

