RS 17053 hydrochloride

Cat. No.: HY-101336
CAS No.: 169505-93-5
Molecular Formula: C₂₄H₃₀Cl₂N₂O₂
Molecular Weight: 449.41
Target: Adrenergic Receptor
Pathway: GPCR/G Protein
Storage: Please store the product under the recommended conditions in the COA.

Sovent & Solubility

In Vitro
DMSO : ≥ 125 mg/mL (278.14 mM)
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.2251 mL</td>
<td>11.1257 mL</td>
<td>22.2514 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4450 mL</td>
<td>2.2251 mL</td>
<td>4.4503 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2225 mL</td>
<td>1.1126 mL</td>
<td>2.2251 mL</td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

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

In Vivo
1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.63 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.63 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
RS 17053 hydrochloride is a potent and selective α₁ₐ adrenoceptor antagonist, with a pKi value of 9.1 in native cell membrane and a pA₂ value of 9.8 in functional assays.

IC₅₀ & Target
pKi: 9.1 (α₁ₐ adrenoceptor in native cell membrane)
pA₂: 9.8 (α₁ₐ adrenoceptor).

In Vitro
In several tissues from rat and cloned adrenoceptors, RS 17053 hydrochloride displays high affinity for the α₁A-
adrenoceptor (pKᵢ and pA₂ estimates of 9.1-9.9) and a 30-100-fold selectivity over the α₁B and the α₁D-adrenoceptor subtypes (pKᵢ and pA₂ estimates of 7.7-7.8). However, in isolated smooth muscle preparations from human LUT tissues, RS 17053 hydrochloride antagonizes responses to NE only at high concentrations. Estimates of affinity (pA₂) at α₁-adrenoceptors mediating NE-induced contractions are 7.5 in prostatic periurethral longitudinal smooth muscle (compared with 8.6 for prazosin), 6.9 in anterior fibromuscular stroma (prazosin, 8.9), and 7.1 in bladder neck (prazosin, 8.5)[1].

In Vivo
RS 17053 hydrochloride has a rapid onset of action, and a duration of action exceeding 60 min. RS 17053 hydrochloride pretreatment significantly alters food intake [F(4, 132) = 6.28, p < 0.0001]. 10 mg/kg RS-17053 significantly suppresses food intake[2].

REFERENCES


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