Mofegiline hydrochloride

Cat. No.: HY-16677A
CAS No.: 120635-25-8
Molecular Formula: C₁₁H₁₄ClF₂N
Molecular Weight: 233.69
Target: Monoamine Oxidase
Pathway: Neuronal Signaling
Storage: Powder -20°C 3 years
                           4°C 2 years
                           -80°C 6 months
                           -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro
DMSO : 110 mg/mL (470.71 mM; Need ultrasonic)
H₂O : 25 mg/mL (106.98 mM; Need ultrasonic)

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>4.2792 mL</td>
<td>21.3959 mL</td>
<td>42.7917 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.8558 mL</td>
<td>4.2792 mL</td>
<td>8.5583 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.4279 mL</td>
<td>2.1396 mL</td>
<td>4.2792 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: ≥ 2.75 mg/mL (11.77 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (11.77 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (11.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Mofegiline hydrochloride (MDL72974A) is a potent and selective enzyme-activated irreversible inhibitor of MAO-B; shows marked selectivity for the B form (IC₅₀ = 680 and 3.6 nM for MAO-A and MAO-B). IC₅₀ value: 3.6 nM [1] Target: MAO-B
In vitro: MDL72974 inhibits rat brain mitochondrial MAO in a concentration and time-dependent fashion and shows marked selectivity for the B form (IC₅₀ = 680 and 3.6 nM for MAO-A and MAO-B, respectively) [1]. It is also capable of inhibiting semicarbazide-sensitive amine oxidases (SSAOs) obtained from vascular tissues and sera of different species. The inhibition of SSAO by MDL-72974A was irreversible and time dependent. It was competitive without preincubation of the enzyme with
the inhibitor and demonstrated a mixed-type of inhibition when the enzyme was preincubated with the inhibitor. The IC50 values were estimated to be $2 \times 10^{-9}$ M, $5 \times 10^{-9}$ M, $8 \times 10^{-8}$ M and $2 \times 10^{-8}$ M for SSAS from dog aorta, rat aorta, bovine aorta and human umbilical artery, respectively [2]. in vivo: After oral administration to rats, the compound shows preferential inhibition of brain MAO-B with ED50 values of 8 and 0.18 mg/kg p.o. for the A and B forms, respectively. Selectivity is retained on repeat dosing. MDL 72,974 did not significantly potentiate the cardiovascular effects of intraduodenually-administered tyramine in anaesthetized rats and had only minor indirect sympathomimatic effects in the pithed rat [1]. Male beagle dogs were given single p.o. (20 mg/kg) and i.v. (5 mg/kg) doses of [14C]-Mofegline. Total radioactivity excreted in urine and feces over 96 hr was, respectively, 75.5 +/- 3.8 and 6.3 +/- 3.4% of the dose after p.o. and 67.9 +/- 0.5 and 3.9 +/- 2.4% after i.v. administration. Unchanged drug in urine represented 3% of the dose after p.o and less than 1% after i.v. administration. Mofegline was thus extensively metabolized in dogs, and urinary excretion was the major route of elimination of metabolites [3].

REFERENCES

