Macitentan

Cat. No.: HY-14184  
CAS No.: 441798-33-0  
Molecular Formula: C₁₉H₂₀Br₂N₆O₄S  
Molecular Weight: 588.27  
Target: Endothelin Receptor  
Pathway: GPCR/G Protein  
Storage: Powder  
-20°C  3 years  
4°C  2 years  
In solvent  
-80°C  6 months  
-20°C  1 month  

SOLVENT & SOLUBILITY

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO</td>
<td>1 mM</td>
<td>1.6999 mL</td>
<td>8.4995 mL</td>
<td>16.9990 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.3400 mL</td>
<td>1.6999 mL</td>
<td>3.3998 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1700 mL</td>
<td>0.8499 mL</td>
<td>1.6999 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 (4.25 mM); Clear solution  
2. Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (4.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Macitentan is an orally active, non-peptide endothelin receptor antagonist for the treatment of idiopathic pulmonary fibrosis and pulmonary arterial hypertension.

In Vitro

Tube formation ability is restored when microvascular endothelial cells are preincubated with BOS or macitentan, also reducing the expression of mesenchymal markers and restoring CD31 expression and the imbalance between VEGF-A and VEGF-A165b. Macitentan inhibits OATP1B1-mediated uptake of atorvastatin and OATP1B3-mediated uptake of estrone-3-sulfate with IC₅₀ ± SE values of 6.3 ± 0.7 and 11.8 ± 5.0 μM, respectively. Treatment with macitentan or with ACT-132577 does not lead to intracellular accumulation of R123 in HeyA8-MDR, showing that these compounds
are not P-gp inhibitors[4].

| In Vivo | Macitentan (25 mg/kg/day, p.o.) prevents increased production of vasoactive and fibrogenic factors, NF-κB activation, structural and functional changes, and increases extracellular matrix protein production in type 2 diabetes in type 2 diabetes[2]. Macitentan (10 mg/kg, p.o.) coupled with once-per-week 5 mg/kg taxol, significantly reduces the weight (size) of HeyA8-MDR tumors in mice. Combination therapy with macitentan (10 or 50 mg/kg, but not 5 mg/kg) and taxol or macitentan (10 mg/kg) and cisplatinum significantly reduces the number of proliferating Ki-67-positive cells [4]. |

PROTOCOL

**Animal Administration** [2]

Male db/db mice and age and sex-matched controls (27-32 g) are used for the assay. Randomly selected diabetic animals are monitored for either 2 months or for 4 months after onset of diabetes. Groups (n=7/group) of the diabetic mice are subjected to oral macitentan treatment for the same period (25 mg/kg/day, food admix). The animals are monitored through assessment of body weight and blood glucose.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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REFERENCES


