Macitentan

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

SOLVENT & SOLUBILITY

In Vitro

DMSO: ≥ 50 mg/mL (84.99 mM)
* “≥” means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.6999 mL</td>
<td>8.4995 mL</td>
<td>16.9990 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3400 mL</td>
<td>1.6999 mL</td>
<td>3.3998 mL</td>
</tr>
<tr>
<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 (ACT-064992) is an orally active, non-peptide dual ETA and ETB (endothelin receptor) antagonist. Macitentan has the potential for idiopathic pulmonary fibrosis (IPF) and pulmonary arterial hypertension (PAH)[1].

IC₅₀ & Target
ETA/ETB[1]

In Vitro
Tube formation ability is restored when microvascular endothelial cells are preincubated with BOS or macitentan (ACT-064992), also reducing the expression of mesenchymal markers and restoring CD31 expression and the imbalance between VEGF-A and VEGF-A165b[1]. 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[3]. 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\[4\].

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

| In Vivo          | Macitentan (ACT-064992; 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\[4\].

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**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.

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**REFERENCES**


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

Tel: 609-228-6898  Fax: 609-228-5909  E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA