Riociguat

Cat. No.: HY-14779
CAS No.: 625115-55-1
Molecular Formula: C₂₀H₁₉FN₈O₂
Molecular Weight: 422.42
Target: Guanylate Cyclase
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**

In Vitro

**Preparing Stock Solutions**

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass 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>2.3673 mL</td>
<td>11.8366 mL</td>
<td>23.6731 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4735 mL</td>
<td>2.3673 mL</td>
<td>4.7346 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2367 mL</td>
<td>1.1837 mL</td>
<td>2.3673 mL</td>
</tr>
</tbody>
</table>

DMSO : ≥ 50 mg/mL (118.37 mM)

* “≥” means soluble, but saturation unknown.

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 (5.92 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.92 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.92 mM); Clear solution

**BIOLOGICAL ACTIVITY**

Description

Riociguat is an oral stimulator of soluble guanylate cyclase (sGC) used in the treatment of pulmonary hypertension.

IC₅₀ & Target

sGC[1]

In Vitro

Riociguat stimulates the recombinant sGC concentration dependently from 0.1 to 100 μM with a two-fold to 73-fold
effect by an NO-independent but haem-dependent mechanism[1]. Riociguat inhibits platelet function in washed platelets but not in whole blood, and exerts no direct effects on contractility and relaxation of cardiac myocytes[2].

<table>
<thead>
<tr>
<th>In Vivo</th>
<th>Riociguat (10 mg/kg/d, p.o.) partially reverses the pulmonary arterial hypertension, the right heart hypertrophy and the structural remodelling of the lung vasculature in chronic treatment of hypoxic mice and MCT-injected rats[1].</th>
</tr>
</thead>
</table>

## PROTOCOL

**Animal Administration**

Mice[1]

For chronic intervention studies four groups of mice are used: control mice exposed for 35 days to normoxic gas (n=10); mice exposed for 21 days to hypoxic gas (n=10); mice exposed for 35 days to hypoxic gas and who receives the vehicle (2% methylcellulose solution) from day 21 to day 35 (n=10); and mice exposed for 35 days to hypoxic gas and who receives BAY 63-2521 (10 mg/kg) once a day by oral application (n=10) from day 21 to day 35. For continuous measurement of Prvs and cardiac frequency by radiotelemetry, a separate group of mice is exposed for 35 days to hypoxic gas and receives BAY 63-2521 (10 mg/kg) once a day by oral application from day 21 to day 35. In order to investigate vascular reactivity in isolated mouse lungs, an additional two groups of animals are investigated: control mice (n=12) and animals exposed for 21 days to hypoxic conditions (n=12).

Rats[1]

Rats are randomised for chronic BAY 63-2521 treatment, 21 days after MCT injection. The experimental groups includes rats that receives BAY 63-2521 (10 mg/kg) or vehicle (2% methylcellulose solution) by oral application, once per day. Rats are examined daily and subjected to haemodynamic measurements and histological assessment at day 35.

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

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## REFERENCES


