(R)-BPO-27

Cat. No.: HY-19778  
CAS No.: 1415390-47-4  
Molecular Formula: C_{26}H_{18}BrN_{3}O_{6}  
Molecular Weight: 548.34  
Target: CFTR; Autophagy  
Pathway: Membrane Transporter/Ion Channel; Autophagy  
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>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO: ≥ 14.28 mg/mL (26.04 mM)</td>
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<td></td>
<td></td>
</tr>
<tr>
<td><em>≥</em> means soluble, but saturation unknown.</td>
<td></td>
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<td></td>
</tr>
</tbody>
</table>

**Preparation Stock Solutions**

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass</th>
<th>1 mM</th>
<th>5 mM</th>
<th>10 mM</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.8237 mL</td>
<td>9.1184 mL</td>
<td>18.2369 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3647 mL</td>
<td>1.8237 mL</td>
<td>3.6474 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1824 mL</td>
<td>0.9118 mL</td>
<td>1.8237 mL</td>
<td></td>
</tr>
</tbody>
</table>

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

**BIOLOGICAL ACTIVITY**

**Description**  
(R)-BPO-27 is a potent CFTR inhibitor with an IC_{50} of 4 nM.

**IC_{50} & Target**  
IC_{50}: 4 nM[1]

**In Vitro**  
The benzopyrimido-pyrrolo-oxazinedione BPO-27 is an analogue of PPQ-102, which inhibits CFTR with an IC_{50} of 8 nM. The R enantiomer of BPO-27 inhibits CFTR chloride conductance with an IC_{50} of 4 nM, while S enantiomer is inactive. In vitro metabolic stability in hepatic microsomes shows both enantiomers as stable, with less than 5% metabolism in 4 h[1]. (R)-BPO-27 binds near the canonical ATP binding site. Whole-cell patch-clamp studies shows linear CFTR currents with a voltage-independent (R)-BPO-27 block mechanism. At a concentration of (R)-BPO-27 that inhibits CFTR chloride current by 50%, the EC_{50} for ATP activation of CFTR increases from 0.27 to 1.77 mM[2].

**In Vivo**  
Following bolus interperitoneal administration in mice, serum (R)-1 decays with t_{1/2} ≈ 1.6 h and gives sustained therapeutic concentrations in kidney[1].
### PROTOCOL

#### Cell Assay \[^2\]

Whole-cell recordings are done on CFTR-expressing CHO-K1 cells. After establishing the whole-cell configuration, BPO-27 is added for 5 minutes, and then CFTR is activated by the addition of forskolin (10 μM) in the continued presence of BPO-27 (0.5 or 1 μM). Whole-cell currents are elicited by applying hyperpolarizing and depolarizing voltage pulses from a holding potential of 0 mV to potentials between +80 and -80 mV in steps of 20 mV. Recordings are made at room temperature using an Axopatch-200B. Currents are digitized with a Digidata 1440A converter and filtered at 5 kHz \[^2\].

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

#### Animal Administration \[^1\]

Rats: (R)-BPO-27 is formulated at 1 mg/mL in 5% DMSO, 2.5% Tween-80 and 2.5% PEG400 in water. Male mice in a CD1 genetic background are administered 300 μL of the (R)-BPO-27 formulation by intraperitoneal injection. At specified times, blood samples are collected by eye bleed. At 4 h, kidneys are removed following renal arterial perfusion with PBS. Kidneys are weighed, mixed with acetic acid and homogenized for analysis \[^1\].

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### REFERENCES


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

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