Epoxomicin

Cat. No.: HY-13821
CAS No.: 134381-21-8
Molecular Formula: C₂₈H₅₀N₄O₇
Molecular Weight: 554.72
Target: Proteasome; Apoptosis
Pathway: Metabolic Enzyme/Protease; Apoptosis
Storage: Powder  
-20°C 3 years  
4°C 2 years  
In solvent  
-80°C 6 months  
-20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (180.27 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td></td>
<td>1 mM</td>
<td>1.8027 mL</td>
<td>9.0136 mL</td>
<td>18.0271 mL</td>
</tr>
<tr>
<td></td>
<td></td>
<td>5 mM</td>
<td>0.3605 mL</td>
<td>1.8027 mL</td>
<td>3.6054 mL</td>
</tr>
<tr>
<td></td>
<td></td>
<td>10 mM</td>
<td>0.1803 mL</td>
<td>0.9014 mL</td>
<td>1.8027 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 (4.51 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.5 mg/mL (4.51 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (4.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Epoxomicin (BU-4061T) is an epoxyketone-containing natural product and a potent, selective and irreversible proteasome inhibitor. Epoxomicin covalently binds to the LMP7, X, MECL1, and Z catalytic subunits of the proteasome and potently inhibits primarily the chymotrypsin-like activity. Epoxomicin can cross the blood-brain barrier. Epoxomicin has strongly antitumor and anti-inflammatory activity[1][2][3][4][5].

IC₅₀ & Target  
Proteasome[1]
**In Vitro**

Epoxomicin shows quite potent cytotoxicities against all of the cells tested. Epoxomicin inhibits the cells growth of B16-F10, HCT116, Moser, P388 and K562 cells of IC\textsubscript{50} values of 0.002 μg/mL, 0.005 μg/mL, 0.044 μg/mL, 0.002 μg/mL and 0.037 μg/mL\textsuperscript{[1]}. 

Epoxomicin has antiproliferative activity with an IC\textsubscript{50} of 4 nM in EL4 lymphoma cells\textsuperscript{[2]}.

**In Vivo**

Epoxomicin (0.063-1 mg/kg; intraperitoneal injection; once daily; for 9 days; male BDFX mice) treatment shows significant antitumor effect with the minimum effective dose of 0.13 mg/kg/day\textsuperscript{[1]}.

Epoxomicin also effectively inhibits NF-κB activation in vitro and potently blocks in vivo inflammation in the murine ear edema assay\textsuperscript{[3]}.

Epoxomicin is injected into adult rats over a period of 2 weeks. After a latency of 1 to 2 weeks, animals developed progressive Parkinsonism with bradykinesia, rigidity, tremor, and an abnormal posture. Postmortem analyses shows striatal dopamine depletion and dopaminergic cell death with apoptosis in the substantia nigra pars compacta\textsuperscript{[4]}.

<table>
<thead>
<tr>
<th>Animal Model</th>
<th>Male BDFX mice with B16 melanoma\textsuperscript{[1]}</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage</td>
<td>0.063 mg/kg, 0.13 mg/kg, 0.25 mg/kg, 0.5 mg/kg, 1 mg/kg</td>
</tr>
<tr>
<td>Administration</td>
<td>Intraperitoneal injection; once daily; for 9 days</td>
</tr>
<tr>
<td>Result</td>
<td>Exhibited strong therapeutic activity against B16 melanoma.</td>
</tr>
</tbody>
</table>

**REFERENCES**


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

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