Oprozomib

Cat. No.: HY-12113  
CAS No.: 935888-69-0  
Molecular Formula: C₂₅H₃₂N₄O₇S  
Molecular Weight: 532.61  
Target: Proteasome; Autophagy  
Pathway: Metabolic Enzyme/Protease; Autophagy  
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 : ≥ 50 mg/mL (93.88 mM)  
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>1.8775 mL</td>
<td>9.3877 mL</td>
<td>18.7755 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.3755 mL</td>
<td>1.8775 mL</td>
<td>3.7551 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1878 mL</td>
<td>0.9388 mL</td>
<td>1.8775 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: ≥ 2.08 mg/mL (3.91 mM); Clear solution  
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
   Solubility: ≥ 2.08 mg/mL (3.91 mM); Clear solution  
3. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.08 mg/mL (3.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description  
Oprozomib (ONX 0912; PR047) is an orally bioavailable inhibitor for CT-L activity of 20S proteasome β5/LMP7 with IC₅₀ of 36 nM/82 nM. IC₅₀ value: 36 nM/82 nM(20S proteasome β5/LMP7) [1]. Target: 20S proteasomeThe anti-MM activity of Oprozomib is associated with activation of caspase-8, caspase-9, caspase-3, and PARP, as well as inhibition of migration of MM cells and angiogenesis. Oprozomib is demonstrated an absolute bioavailability of up to 39% in rodents and dogs. It is well tolerated with repeated oral administration at doses resulting in >80% proteasome
inhibition in most tissues and elicited an antitumor response in multiple human tumor xenograft and mouse syngeneic models.

REFERENCES

