Taltobulin

Cat. No.: HY-15584
CAS No.: 228266-40-8
Molecular Formula: C_{27}H_{43}N_{3}O_{4}
Molecular Weight: 473.65
Target: Microtubule/Tubulin; ADC Cytotoxin
Pathway: Cell Cycle/DNA Damage; Cytoskeleton; Antibody-drug Conjugate/ADC Related
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 (211.13 mM)
* “≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Mass Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.1113 mL</td>
<td></td>
<td></td>
<td></td>
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<tr>
<td>5 mM</td>
<td>0.4223 mL</td>
<td></td>
<td></td>
<td></td>
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<tr>
<td>10 mM</td>
<td>0.2111 mL</td>
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</tbody>
</table>

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

In Vivo
1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.28 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
  Solubility: ≥ 2.5 mg/mL (5.28 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.28 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Taltobulin (HTI-286; SPA-110) is an analogue of Hemiasterlin; potent tubulin inhibitor; ADCs cytotoxin.IC50 value: Target: tubulin vitro: HTI-286 significantly inhibited proliferation of all three hepatic tumor cell lines (mean IC50 = 2 nmol/L +/- 1 nmol/L) in vitro. Interestingly, no decrease in viable primary human hepatocytes (PHH) was detected under HTI-286 exposure [1]. In all cell lines tested, HTI-286 was a potent inhibitor of proliferation and induced marked increases in apoptosis. Despite similar transcriptomic changes regarding cell death and cell cycle
regulating genes after exposure to HTI-286 or docetaxel, array analysis revealed distinct molecular signatures for both compounds [2]. In vivo: Intravenous administration of HTI-286 significantly inhibited tumor growth in vivo (rat allograft model) [1]. HTI-286 significantly inhibited growth of PC-3 and LNCaP xenografts and retained potency in PC-3dR tumors. Simultaneous castration plus HTI-286 therapy was superior to sequential treatment in the LNCaP model [2].

REFERENCES


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