Navitoclax

Cat. No.: HY-10087
CAS No.: 923564-51-6
Molecular Formula: C₄₇H₅₅ClF₃N₅O₆S₃
Molecular Weight: 974.61
Target: Bcl-2 Family
Pathway: 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
DMF: ≥ 100 mg/mL (102.61 mM)
DMSO: 75 mg/mL (76.95 mM; Need ultrasonic)
H₂O: < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing</th>
<th>Concentration</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>Stock Solutions</td>
<td>1 mM</td>
<td></td>
<td>1.0261 mL</td>
<td>5.1303 mL</td>
<td>10.2605 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.2052 mL</td>
<td>1.0261 mL</td>
<td>2.0521 mL</td>
<td></td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1026 mL</td>
<td>0.5130 mL</td>
<td>1.0261 mL</td>
<td></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 (2.57 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Navitoclax (ABT-263) is a potent and orally active Bcl-2 family protein inhibitor that binds to multiple anti-apoptotic Bcl-2 family proteins, such as Bcl-xL, Bcl-2 and Bcl-w, with a Kᵢ of less than 1 nM.

IC₅₀ & Target

<table>
<thead>
<tr>
<th></th>
<th>Bcl-W</th>
<th>Bcl-xL</th>
<th>Bcl-2</th>
</tr>
</thead>
<tbody>
<tr>
<td>IC₅₀</td>
<td>1 nM (Ki)</td>
<td>1 nM (Ki)</td>
<td>1 nM (Ki)</td>
</tr>
</tbody>
</table>
### In Vitro
Navitoclax (ABT-263) is active against approximately one-half of the cell lines of the PPTP in vitro panel. The median IC$_{50}$ for all of the lines in the panel is 1.91 µM$^{[1]}$. Navitoclax in combination with chemotherapy agents leads most ovarian cancer cell lines a synergistic response, and enhances the caspase activation in both SK-OV-3 and IGROV-1 cell lines$^{[2]}$.

### In Vivo
Navitoclax (100 mg/kg; orally; 21-day treatment) enhances the activity of OSI-744 in vivo. As a single agent, 100 mg/kg Navitoclax alone dosed daily has no significant antitumor activity, whereas daily dosing of OSI-744 at 50 mg/kg results in significant tumor stasis (%TGI=52) during a 21-day treatment period. Notably, the combination of Navitoclax and OSI-744 dosed daily for 21 consecutive days results in 98% TGI and durable tumor regressions in 100% of treated tumor-bearing mice$^{[3]}$.

<table>
<thead>
<tr>
<th>Animal Model:</th>
<th>Mice with NCI-H1650 model$^{[3]}$</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage:</td>
<td>100 mg/kg</td>
</tr>
<tr>
<td>Administration:</td>
<td>Orally; daily; for 21 consecutive days</td>
</tr>
<tr>
<td>Result:</td>
<td>As a single agent, 100 mg/kg alone dosed daily had no significant antitumor activity. Notably, the combination with OSI-744 resulted in 98% TGI and durable tumor regressions in 100% of treated tumor-bearing mice.</td>
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**REFERENCES**

