Tenalisib

Cat. No.: HY-17645
CAS No.: 1639417-53-0
Molecular Formula: C₂₃H₁₈FN₅O₂
Molecular Weight: 415.42
Target: PI3K
Pathway: PI3K/Akt/mTOR
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 (240.72 mM)
* “≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Mass Concentration</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></td>
<td></td>
</tr>
<tr>
<td></td>
<td></td>
<td></td>
<td>2.4072 mL</td>
<td>12.0360 mL</td>
</tr>
<tr>
<td></td>
<td></td>
<td>5 mM</td>
<td></td>
<td></td>
</tr>
<tr>
<td></td>
<td></td>
<td></td>
<td>0.4814 mL</td>
<td>2.4072 mL</td>
</tr>
<tr>
<td></td>
<td></td>
<td>10 mM</td>
<td></td>
<td></td>
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<tr>
<td></td>
<td></td>
<td></td>
<td>0.2407 mL</td>
<td>1.2036 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 (6.02 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.02 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.02 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Tenalisib (RP6530) is a novel, potent, and selective PI3Kδ and PI3Ky inhibitor with IC₅₀ values of 25 and 33 nM, respectively.

IC₅₀ & Target

<table>
<thead>
<tr>
<th>PI3Kδ</th>
<th>PI3Ky</th>
</tr>
</thead>
<tbody>
<tr>
<td>25 nM (IC₅₀)</td>
<td>33 nM (IC₅₀)</td>
</tr>
</tbody>
</table>

In Vitro
Tenalisib shows selectivity over PI3K α (>300-fold) and β (>100-fold) isoforms. Tenalisib exhibits modest proliferation.
Inhibition (33-46% inhibition @ 10 μM) in both HEL-RS and HEL-RR cells. Addition of 10 μM tenalisib to ruxolitinib is synergistic resulting in a near-complete inhibition of proliferation (>90% for HEL-RS and >70% for HEL-RR). Addition of 5 μM tenalisib, 4 h prior to the addition of ruxolitinib results in a significant reduction in EC50 of ruxolitinib (5.8 μM) in HEL-RR cells. Incubation of 10 μM tenalisib with ruxolitinib for 72 h increases the percent of apoptotic cells (55% in HEL-RS and 37% in HEL-RR) compared to either agent alone (16-27% in HEL-RS and 17-21% in HEL-RR)[1].

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

### In Vivo

Tenalisib has been well tolerated in subjects with heavily pre-treated relapsed/refractory hematologic malignancies. Reported toxicities are manageable with no DLTs. Single agent activity is evident in difficult-to-treat subjects at ≥ 200 mg BID [2].

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### REFERENCES


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