Tomivosertib

Cat. No.: HY-100022
CAS No.: 1849590-01-7
Molecular Formula: C₁₇H₂₀N₆O₂
Molecular Weight: 340.38
Target: MNK; PD-1/PD-L1
Pathway: MAPK/ERK Pathway; Immunology/Inflammation
Storage: Powder -20°C 3 years
4°C 2 years
In solvent -80°C 6 months
-20°C 1 month

SOLVENT & SOLUBILITY

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO : 4.35 mg/mL (12.78 mM; Need ultrasonic)</td>
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<tr>
<td><strong>Solvent</strong></td>
<td><strong>Mass</strong></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td>2.9379 mL</td>
<td>14.6895 mL</td>
<td>29.3789 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5876 mL</td>
<td>2.9379 mL</td>
<td>5.8758 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2938 mL</td>
<td>1.4689 mL</td>
<td>2.9379 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 >> 90% corn oil
   Solubility: ≥ 0.44 mg/mL (1.29 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.43 mg/mL (1.26 mM); Clear solution
3. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: 0.4 mg/mL (1.18 mM); Suspended solution; Need ultrasonic
4. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: 0.4 mg/mL (1.18 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description:
Tomivosertib (eFT508) is a potent, highly selective, and orally active MNK1 and MNK2 inhibitor, with IC₅₀ values of 1-2 nM against both isoforms. Tomivosertib (eFT508) treatment leads to a dose-dependent reduction in eIF4E phosphorylation at serine 209 (IC₅₀=2-16 nM) in tumor cell lines[1]. Tomivosertib (eFT508) also dramatically downregulates PD-L1 protein abundance[2].
<table>
<thead>
<tr>
<th>IC₅₀ &amp; Target</th>
<th>MNK1</th>
<th>MNK2</th>
<th>PD-L1</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>In Vitro</strong></td>
<td>Tomivosertib (eFT508) reduces eIF4E phosphorylation dose-dependently at serine 209 (IC₅₀=2-16 nM) in tumor cell lines. In a panel of appr 50 hematological cancers, Tomivosertib shows anti-proliferative activity against multiple DLBCL cell lines. Sensitivity to Tomivosertib in TMD8, OCI-Ly3 and HBL1 DLBCL cell lines is associated with dose-dependent decreases in production of pro-inflammatory cytokines including TNFα, IL-6, IL-10 and CXCL10. Further evaluation Tomivosertib mechanism of action demonstrates that decreased TNFα production correlates with a 2-fold decrease in TNFα mRNA half-life[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</td>
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<tr>
<td><strong>In Vivo</strong></td>
<td>Tomivosertib (eFT508) shows significant anti-tumor activity in the TMD8 and HBL-1 ABC-DLBCL models, both of which harbor activating MyD88 mutations. Besides, Tomivosertib combines effectively with components of R-CHOP and with novel targeted agents, including PCI-32765 and Venetoclax, in human lymphoma models[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</td>
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</table>

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**REFERENCES**


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

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