TAK-580

Cat. No.: HY-15246
CAS No.: 1096708-71-2
Molecular Formula: C₁₇H₁₂Cl₂F₃N₇O₂S
Molecular Weight: 506.29
Target: Raf
Pathway: MAPK/ERK Pathway
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 (98.76 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>1.9752 mL</td>
<td>9.8758 mL</td>
<td>19.7515 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.3950 mL</td>
<td>1.9752 mL</td>
<td>3.9503 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1975 mL</td>
<td>0.9876 mL</td>
<td>1.9752 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% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.94 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.94 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
TAK-580 (MLN 2480) is an orally active and selective inhibitor of pan-Raf kinase.

IC₅₀ & Target
RAF

In Vitro
TAK-580 (MLN 2480) has effect on reversing feedback activation of MEK in response to TAK-733, leading to more concerted MAPK pathway inhibition[1].
In Vivo

TAK-580 (MLN 2480) inhibits MAPK pathway signaling in BRAF mutant and some RAS mutant preclinical cancer models at concentrations that are tolerated in vivo. TAK-580 (MLN 2480) is most potent in BRAF mutant melanoma models but also has single agent activity in some RAS mutant models. The combination of MLN2480 with TAK-733 inhibits the growth of a broader range of RAS mutant tumor models than single agent TAK-580 (MLN 2480), including primary human tumor xenograft models of melanoma and CRC[1].

CUSTOMER VALIDATION

- Technical University of Munich. 24.01.2018.

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REFERENCES

[1]. Elizabeth Grace Carideo Cunniff, et al. Abstract C146: Combination treatment with the investigational RAF kinase inhibitor MLN2480 and the investigational MEK kinase inhibitor TAK-733 inhibits the growth of BRAF mutant and RAS mutant preclinical models of...