TAK-285

Cat. No.: HY-15196
CAS No.: 871026-44-7
Molecular Formula: C₂₆H₂₅ClF₃N₅O₃
Molecular Weight: 547.96
Target: EGFR
Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
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 (91.25 mM)

* "≥" means soluble, but saturation unknown.

### Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.8250 mL</td>
<td>9.1248 mL</td>
<td>18.2495 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3650 mL</td>
<td>1.8250 mL</td>
<td>3.6499 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1825 mL</td>
<td>0.9125 mL</td>
<td>1.8250 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 (4.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.56 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.56 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**

TAK-285 is a potent, selective, ATP-competitive and orally active HER2 and EGFR(HER1) inhibitor with IC₅₀ of 17 nM and 23 nM, respectively. TAK-285 is >10-fold selectivity for HER1/2 than HER4, and less potent to MEK1/5, c-Met, Aurora B, Lck, CSK etc. TAK-285 has effective antitumor activity[1]. TAK-285 can cross the blood-brain barrier (BBB)[2].

**IC₅₀ & Target**

<table>
<thead>
<tr>
<th>EGFR</th>
<th>HER2</th>
</tr>
</thead>
<tbody>
<tr>
<td>In Vitro</td>
<td>TAK-285 (Compound 34e) shows significant growth inhibitory activity against BT-474 cells (HER2-overexpressing human breast cancer cell line) with GI_{50} of 17 nM(^1). TAK-285 (Compound 34e) exhibits HER4 inhibitory activity with an IC_{50} value of 260 nM. TAK-285 also inhibits MEK1, MEK5, c-Met, Aurora B, Lck, CSK and Lyn B with IC_{50}s of 1100 nM, 5700 nM, 4200 nM, 1700 nM, 2400 nM, 4700 nM and 5200 nM, respectively(^1).</td>
</tr>
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<tr>
<td>In Vivo</td>
<td>TAK-285 (Compound 34e; 50-100 mg/kg; oral administration; twice daily; for 14 days; female BALB/cAJcl mice) treatment exhibits dose-dependent tumor growth inhibition (tumor/control ratio [T/C]): 44% and 11% at 50 and 100 mg/kg, respectively without significant body weight loss in mice(^1).</td>
</tr>
</tbody>
</table>

### Animal Model:
Female BALB/cAJcl mice (7-weeks old) with 4–1ST xenograft models\(^1\)

### Dosage:
50 mg/kg, 100 mg/kg

### Administration:
Oral administration; twice daily; for 14 days

### Result:
Exhibited dose-dependent tumor growth inhibition.

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**REFERENCES**


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

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