Mobocertinib succinate

Cat. No.: HY-135815A
CAS No.: 2389149-74-8
Molecular Formula: C_{36}H_{45}N_{7}O_{8}
Molecular Weight: 703.78
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: 25 mg/mL (35.52 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Solvent</th>
<th>Mass (mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mg</td>
<td>1 mM</td>
<td>1.4209 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>7.1045 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>14.2090 mL</td>
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Preparing Stock Solutions

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: ≥ 2.08 mg/mL (2.96 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Mobocertinib succinate (TAK-788 succinate) is a potent and orally active inhibitor of EGFR and HER2 oncogenic mutants, including exon 20 insertions, with selectivity over WT EGFR. Antitumor activity\(^1\)[\(^2\).

IC\(_{50}\) & Target

<table>
<thead>
<tr>
<th>EGFR exon 20 insertion</th>
<th>HER2</th>
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In Vitro
Mobocertinib succinate (TAK-788 succinate) inhibits all 14 mutant variants of EGFR (IC\(_{50}\)=2.4-22 nM), and all 6 mutant variants of HER2 (IC\(_{50}\)=2.4-26 nM), more potently than it inhibited WT EGFR (IC\(_{50}\)=35 nM), including all 8 variants with exon 20 activating insertions\(^1\).
In Vivo
In mice implanted with a patient-derived tumor containing an EGFR exon 20 activating insertion, or with engineered Ba/F3 cells containing a HER2 exon 20 activating insertion, once daily oral dosing of Mobocertinib succinate induced regression of tumors at doses that were well tolerated (30-100 mg/kg)\(^1\).

REFERENCES
