CNX-2006

Cat. No.: HY-13897
CAS No.: 1375465-09-0
Molecular Formula: C_{26}H_{27}F_{4}N_{7}O_{2}
Molecular Weight: 545.53
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: ≥ 52 mg/mL (95.32 mM)

*“≥” means soluble, but saturation unknown.

<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>Solvent Concentration</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td>1.8331 mL</td>
<td>9.1654 mL</td>
<td>18.3308 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3666 mL</td>
<td>1.8331 mL</td>
<td>3.6662 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1833 mL</td>
<td>0.9165 mL</td>
<td>1.8331 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description
CNX-2006 is a mutant-selective and irreversible EGFR inhibitor with an IC_{50} below 20 nM for EGFR^{T790M}.

IC_{50} & Target

<table>
<thead>
<tr>
<th>EGFR^{T790M}</th>
<th>20 nM (IC_{50})</th>
</tr>
</thead>
<tbody>
<tr>
<td>EGFR^{L858R/T790M}</td>
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</tbody>
</table>

In Vitro

CNX-2006 inhibits EGFR-T790M cells growth up to 1000-fold more compared to wild-type EGFR cells. EGFR inhibition is observed in cells harbouring the T790M mutation at IC_{50} values below 20 nM after 1 hour exposure to the drug. CNX-2006 also significantly reduces the volume of tumor spheres derived from H1975 cells\(^\text{1}\). CNX-2006 exhibits specificity and potent activity against T790M. The drug also shows activity against uncommon EGFR mutations including G719S, L861Q, an exon 19 insertion mutant (I744-K745insKIPVAl), and T854A, but not an exon 20 insertion (H773-V774HVdup). In an in vitro resistance model, CNX-2006 significantly inhibits the emergence of resistant cells. Chronic exposure to escalating doses of CNX-2006 fails to select for and/or enhance T790M-mediated resistance using PC-9 or HCC827 cells (both harboring exon 19 deletions), or PC-9/ER and HCC827/ER cells with existing T790M
and resistance to erlotinib[2].

REFERENCES


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

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