Theliatinib

Cat. No.: HY-104066
CAS No.: 1353644-70-8
Molecular Formula: C_{25}H_{26}N_{6}O_{2}
Molecular Weight: 442.51
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 : 5 mg/mL (11.30 mM; Need ultrasonic)

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>2.2598 mL</td>
<td>11.2992 mL</td>
<td>22.5984 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4520 mL</td>
<td>2.2598 mL</td>
<td>4.5197 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2260 mL</td>
<td>1.1299 mL</td>
<td>2.2598 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description
Theliatinib (HMPL-309) is a potent, ATP-competitive, orally active and highly selective EGFR inhibitor with a $K_i$ of 0.05 nM and an $IC_{50}$ of 3 nM. Theliatinib has an $IC_{50}$ of 22 nM for EGFR T790M/L858R mutant. Theliatinib shows >50-fold selectivity for EGFR than other kinases. Anti-tumor activity\[1\].

$IC_{50}$ & Target

<table>
<thead>
<tr>
<th>EGFR $3 \text{ nM (IC}_{50}\text{)}$</th>
<th>EGFR $0.05 \text{ nM (K)}$</th>
<th>EGFR (L858R/T790M) $22 \text{ nM (IC}_{50}\text{)}$</th>
</tr>
</thead>
</table>

In Vitro
Theliatinib significantly inhibits EGFR phosphorylation in A431 cells with an $IC_{50}$ of 7 nM. Theliatinib also inhibits A431, H292 and FaDu cells survival with $IC_{50}$ values of 80 nM, 58 nM and 354 nM, respectively\[1\].

In Vivo
Theliatinib (2-15 mg/kg; oral administration; daily; for 21 days; NOD-SCID mice; PDECX 1T0950 model) treatment demonstrates tumor regression of 75% at the end of study, and with a dose response\[1\].
Animal Model: NOD-SCID mice injected with esophageal cancer cells (PDECX 1T0950 model)[1]

Dosage: 2 mg/kg, 5 mg/kg, 15 mg/kg

Administration: Oral administration; daily; for 21 days

Result: Attenuated tumor growth in PDECX 1T0950 model in a dose-dependent manner.

REFERENCES