Erlotinib

Cat. No.: A3397
CAS No.: 183321-74-6
Formula: C22H23N3O4
M.Wt.: 393.44
Synonyms: NSC 718781; OSI-744; R-1415; OSI744; OSI744; R1415
Target: JAK/STAT Signaling
Pathway: EGFR
Storage: Store at -20°C

Solvent & Solubility

- ≥ 19.65 mg/mL in DMSO, ≥ 30.27 mg/mL in EtOH with gentle warming, insoluble in H2O

<table>
<thead>
<tr>
<th>In Vitro Stock Solutions</th>
<th>Preparing Mass</th>
<th>1mg</th>
<th>5mg</th>
<th>10mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.5417 mL</td>
<td>12.7084 mL</td>
<td>25.4168 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5083 mL</td>
<td>2.5417 mL</td>
<td>5.0834 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2542 mL</td>
<td>1.2708 mL</td>
<td>2.5417 mL</td>
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</tr>
</tbody>
</table>

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

Biological Activity

Shortsummary
EGFR tyrosine kinase inhibitor

IC_{50} & Target

Cell Viability Assay

Cell Line: Human NSCLC cell lines H322, A549, H1650, and H1975 cells.
Preparation method: The solubility of this compound in DMSO is >19.7mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions: 2 μmol/L, 24h
Applications:

Erlotinib alone induced G1-phase arrest in ~80% H322 cells. Erlotinib (2 μM) significantly inhibited growth of AsPC-1 and BxPC-3 pancreatic cells. Erlotinib potently inhibited EGFR activation in HNS human head and neck tumor cells, DiFi human colon cancer cells and MDA MB-468 human breast cancer cells. Erlotinib (1 μM) induced apoptosis in DiFi human colon cancer cells.

Animal experiment

<table>
<thead>
<tr>
<th>Animal models:</th>
<th>H460a and A549 tumor models</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage form:</td>
<td>100 mg/kg</td>
</tr>
<tr>
<td>Applications:</td>
<td>Erlotinib (100 mg/kg) exhibited antitumor activity at the MTD in both the H460a and A549 tumor models.</td>
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<tr>
<td>Other notes:</td>
<td>Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.</td>
</tr>
</tbody>
</table>

### References


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