Product Data Sheet

Chemical Properties

Product Name: Erlotinib Hydrochloride

Cas No.: 183319-69-9

M.Wt: 429.91

Formula: C22H24ClN3O4

Synonyms: Tarceva; CP-358774; OSI-774; NSC 718781

Chemical Name: N-(3-ethynylphenyl)-6,7-bis(2-methoxyethoxy)quinazolin-4-amine; hydrochloride

Canonical SMILES: COCCOC1=C(C=C2C(=C1)C(=NC=N2)NC3=CC=CC(=C3)C#C)OCCOC.Cl

Solubility: >4.3mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: EGFR

Pathways: JAK/STAT Signaling >> EGFR

Description:
Erlotinib hydrochloride (the trade name Tarceva) is a directly acting inhibitor of epidermal growth factor receptor (EGFR/HER-1) tyrosine kinase with an IC50 of 2 nM. Epidermal growth factor receptor (EGFR) is one member of the ErbB family which includes EGFR (ErbB1), ErbB2, ErbB3 and ErbB4. The activation of EGFR is dependent on the binding of peptide growth factors to the receptor. In many carcinomas, the presence of EGFR mutation leads to the activation of EGFP, which causes cell proliferation and other cancer processes [1].
Selective inhibition of EGFR tyrosine kinase by erlotinib hydrochloride leads to the disruption of cancer growth and development which include cell migration, proliferation, angiogenesis, and apoptosis. For instance, erlotinib hydrochloride was shown to induce cell apoptosis and G0/G1 cell cycle arrest in hepatocellular cancer cells, Bxpc-3 and PANC-1 cells, thereby enhancing chemosensitivity towards cytostatics [2, 3].

In addition, this product is widely researched and used for the treatment of human advanced non-small cell lung cancer (NSCLC) [4]. In pancreatic cancer, erlotinib hydrochloride was also reported to exhibit an anti-tumour effect [5].

Reference:
1. Melosky B. Review of EGFR TKIs in Metastatic NSCLC, Including Ongoing Trials. Front Oncol 2014,4:244.

Protocol

Cell experiment:

Cell lines Calu1 cells

Preparation method The solubility of this compound in DMSO is <10 mM. 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 1 µM, 24 hours

Applications Cells were treated with single dose of erlotinib (1 µM, 24 hours), docetaxel (50 nM, 18 hours) or the combination of erlotinib and docetaxel. The greatest cell death was observed in the Txt->OSI-774->media sequence, while the cells treated with the OSI-774->Txt->media sequence resumed proliferation by 72hrs post-treatment. Cleaved PARP and Caspase-3 were detected in the sequence of Txt->OSI-774, and with simultaneous treatment, but not in the sequence of OSI-774->Txt. Further, cleaved PARP and Caspase-3 persisted to 72hrs after the Txt->OSI-774 treatment. These data support the previous results on sub-G1 cells, and molecularly demonstrate an apoptotic response.
**Animal experiment [3]:**

<table>
<thead>
<tr>
<th>Animal models</th>
<th>Female, athymic, nu/nu-nuBR nude mice injected with H460a cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage form</td>
<td>Oral administration, 100mg/kg, daily for 3 weeks</td>
</tr>
<tr>
<td>Applications</td>
<td>Erlotinib had significant dose-dependent efficacy. In the 100mg/kg group there was growth inhibition of 61%. The other groups had the following growth inhibition: 25mg/kg: 46%; 12.5mg/kg: 36%; 6.25mg/kg: 28%. There were no partial or complete regressions.</td>
</tr>
<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>

**Reference:**


**Product Citations**


**Product Validation**
Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.