**Product Data Sheet**

### Chemical Properties

**Product Name:** Lapatinib  
**Cas No.:** 231277-92-2  
**M.Wt:** 581.06  
**Formula:** C29H26ClFN4O4S  
**Synonyms:** Tykerb; GW572016; GW-572016; GW 572016, Lapatinib tosilate hydrate  
**Chemical Name:** N-[3-chloro-4-[(3-fluorophenyl) methoxy] phenyl]-6-[5-[[2-methylsulfonyl ethylamino] methyl]furan-2-yl]quinazolin-4-amine  
**Canonical SMILES:** CS(=O)(=O)CCNCC1=CC=C(O1)C2=CC3=C(C=C2)N=CN=C3NC4=CC(=C(C=C4)OCC5=CC(=CC=C5)F)Cl  
**Solubility:** $\geq 29.05$ mg/mL in DMSO, $< 2.57$ mg/mL in EtOH, $< 2.33$ mg/mL in H2O  
**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:** JAK/STAT Signaling  
**Pathways:** EGFR  
**Description:** Lapatinib (also known as GW572016), a member of the 4-anilinoquinazoline class of kinase inhibitors, is a potent, reversible and selective small-molecule inhibitor of both epidermal growth
factor receptor (EGFR) and human epidermal growth factor receptor 2 (HER-2) tyrosine kinases that inhibits recombinant EGFR and HER-2 tyrosine kinases in cell-free biochemical kinase assays with values of 50% inhibition concentration IC50 of 10.8 nmol/L and 9.3 nmol/L respectively. Lapatinib interferes with the adenosine triphosphate binding in the tyrosine kinases domains of both EGFR and HER-2 resulting in the inhibition of auto-phosphorylation and resultant downstream signaling activities (such as cellular proliferation and survival).

Reference:
Norio Kondo, Mamoru Tsukuda, Yukari Ishiguro, Machiko Kimura, Kyoko Fujita, Atsuko Sakakibara, Hideaki Takahashi, Gabor Toth and Hideki Matsuda. Antitumor effects of lapatinib (GW572016), a dual inhibitor of EGFR and HER-2, in combination with cisplatin or paclitaxel on head and neck squamous cell carcinoma. Oncology Reports 23: 957-963, 2010

Protocol

Cell experiment:

Cell lines
EGFR-overexpressing cell lines HN5 and A-431; the ErbB-2-overexpressing cell lines BT474, N87 (20), and CaLu-3; and tumor cell lines expressing low levels of EGFR and ErbB-2, MCF-7, and T47D

Preparation method
The solubility of this compound in DMSO is >29.1mg/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

Applications
GW2016 (30 μM) resulted in complete inhibition of outgrowth of the HN5 cell population. GW2016 (>3.3 μM) inhibited the outgrowth by 50%. GW2016 (0.37 μM) significantly inhibited the outgrowth by 20%. GW2016 (1 μM) completely inhibited the outgrowth of the BT474 cells, with ~60% inhibition of outgrowth occurring at 0.37 μM. In the EGFR-overexpressing cell line HN5, treatment with GW2016 (1 and 10 μM) resulted in induction of G1 arrest. GW2016 (10 μM for 72 h) slightly increased the number of cells with sub-2N DNA content. In the BT474 cells, a large increase in the number of events with sub-2N DNA was observed after 72 h of treatment with GW2016.
Animal experiment [3]:

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<thead>
<tr>
<th>Animal models</th>
<th>BT474 and HN5 human tumor-bearing mice</th>
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<td>Dosage form</td>
<td>Oral administration, 30 and 100 mg/kg, twice daily for 21 days</td>
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<td>Applications</td>
<td>Lapatinib (100 mg/kg) completely inhibited tumor growth.</td>
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<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>
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</table>

Reference:

Product Citations

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.