# Product Data Sheet

## Gefitinib (ZD1839)

**Cat. No.:** A8219  
**CAS No.:** 184475-35-2  
**Formula:** C22H24ClFN4O3  
**M.Wt:** 446.90  
**Synonyms:** Iressa, ZD-1839, Gefitinib  
**Target:** JAK/STAT Signaling  
**Pathway:** EGFR  
**Storage:** Store at -20°C

## Solvent & Solubility

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Mass Concentration</th>
<th>1mg</th>
<th>5mg</th>
<th>10mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.2376 mL</td>
<td>11.1882 mL</td>
<td>22.3764 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4475 mL</td>
<td>2.2376 mL</td>
<td>4.4753 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2238 mL</td>
<td>1.1188 mL</td>
<td>2.2376 mL</td>
</tr>
</tbody>
</table>

≥22.3mg/mL in DMSO, ≥2.48 mg/mL in EtOH with ultrasonic, insoluble in H2O

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

## Biological Activity

### Short summary

Selective EGFR inhibitor

### IC₅₀ & Target

37 nM (Tyr1173 (NR6wtEGFR cells)), 37nM (Tyr992 (NR6wtEGFR cells)), 26 nM (Tyr1173 (NR6W cells)), 57 nM (Tyr992 (NR6W cells))

### Cell Viability Assay

**Cell Line:** BT-474 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: A 24-h treatment of BT-474 cells with 1 μM ZD1839 increased the G1 fraction from 74 to 88% and reduced the proportion of cells in S from 15 to 4%. Simultaneous with the accumulation of cells in G1 was complete elimination of both active Akt and MAPK, as measured with phosphospecific antibodies, without changes in the content of total Akt and MAPK. Consistent with the inhibition of Akt activity, phosphorylation of GSK-3β, a target of the Akt kinase, was reduced. Cyclin D1 and Cdk4 were also reduced, whereas protein levels of the Cdk inhibitor p27 were up-regulated.

**Animal experiment**

<table>
<thead>
<tr>
<th>Animal models:</th>
<th>Female Balb/C athymic nude mice injected with BT-474 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage form:</td>
<td>Oral administration, 200 mg/kg/day</td>
</tr>
<tr>
<td>Applications:</td>
<td>Mice were randomly allocated to either no treatment, ZD1839, Herceptin, or the combination. ZD1839 completely prevented tumor growth but did not induce complete remissions. Herceptin alone induced complete remission in two of seven, whereas the combination resulted in three of eight complete responses. No mice exhibited treatment-related toxicity. Three mice treated with ZD1839 plus Herceptin, in which tumors regressed completely, remained tumor free for &gt; 6 months after discontinuation of therapy and had no detectable tumor at necropsy.</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>

**Product Citations**


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**References**


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.