**Gefitinib**

**Cat. No.:** HY-50895  
**CAS No.:** 184475-35-2  
**Molecular Formula:** C₂₂H₂₄ClFN₄O₃  
**Molecular Weight:** 446.9  
**Target:** EGFR; Autophagy  
**Pathway:** JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Autophagy  
**Storage:**  
- Powder: -20°C, 3 years  
- Powder: 4°C, 2 years  
- In solvent: -80°C, 6 months  
- In solvent: -20°C, 1 month  

### SOLVENT & SOLUBILITY

**In Vitro**  
DMSO: ≥ 50 mg/mL (111.88 mM)  
*"≥" means soluble, but saturation unknown.*

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Concentration</td>
<td></td>
<td></td>
<td></td>
</tr>
<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>

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

**In Vivo**  
1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
   Solubility: ≥ 2.5 mg/mL (5.59 mM); Clear solution  
2. Add each solvent one by one: 10% DMSO >> 90% corn oil  
   Solubility: ≥ 2.5 mg/mL (5.59 mM); Clear solution

### BIOLOGICAL ACTIVITY

**Description**  
Gefitinib (ZD1839) is an EGFR tyrosine kinase inhibitor, with IC₅₀ of 2-37 nM in NR6wtEGFR cells.

**IC₅₀ & Target**  
IC₅₀: 37 nM (Tyr1173 site, in NR6wtEGFR cells), 37 nM (Tyr992 site, in NR6wtEGFR cells)[¹]

**In Vitro**  
Gefitinib (0.01-0.1 mM) results in increased phosphotyrosine load of the receptor, increased signalling to ERK and stimulation of proliferation and anchorage-independent growth, presumably by inducing EGFRvIII dimerisation in long-term exposure of EGFRvIII-expressing cells. On the other hand, gefitinib (1-2 mM) significantly decreases EGFRvIII phosphotyrosine load, EGFRvIII-mediated proliferation and anchorage-independent growth[¹]. Gefitinib
(ZD1839) inhibits the monolayer growth of these EGF-driven untransformed cells with IC₅₀ of 20 nM[2]. Gefitinib leads to an inhibition of CALU-3 and GLC82 cell proliferation, with an IC₅₀ of 2 μM[3].

### In Vivo

Gefitinib (150 mg/kg, p.o.) in combination with Metformin induces a significant reduction in tumor growth in nude mice bearing H1299 or CALU-3 GEF-R cells that are grown subcutaneously as tumor xenografts[3]. In irradiated rats, Gefitinib treatment augments lung inflammation, including inflammatory cell infiltration and pro-inflammatory cytokine expression, while Gefitinib treatment attenuates fibrotic lung remodeling due to the inhibition of lung fibroblast proliferation[4].

### PROTOCOL

#### Cell Assay [3]

Cancer cells are seeded in 96-well plates and are treated with different doses of Gefitinib (0.01-20 μM), Metformin or both for 72 hours. Cell proliferation is measured with the MTT assay. The IC₅₀ values are determined by interpolation from the dose-response curves. Results represent the median of 3 separate experiments each conducted in quadruplicate. The results of the combined treatment are analyzed according to the method of Chou and Talalay by using the CalcuSyn software program[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Animal Administration [3][4]

**Mice**[3]

Four- to 6-week old female balb/c athymic (nu+/nu+) mice are acclimatized for 1 week before being injected with cancer cells and injected subcutaneously with 10⁷ H1299 and CALU-3 GEF-R cells that has been resuspended in 200 μL of Matrigel. When established tumors of approximately 75 mm³ in diameter are detected, mice are left untreated or treated with oral administrations of metformin (200 mg/mL metformin diluted in drinking water and present throughout the experiment), gefitinib (150 mg/kg daily orally by gavage), or both for the indicated time periods. Each treatment group consists of 10 mice. Tumor volume is measured using the formula π/6×larger diameter×(smaller diameter)².

**Rats**[4]

The rats are randomly assigned to 1 of 4 experimental groups: 1) the unirradiated rats treated with oral administration of vehicle (0.1% Tween 80) once daily; 2) the unirradiated rats treated with oral administration of gefitinib (50 mg/kg/day) once daily; 3) the irradiated rats treated with oral administration of vehicle once daily; 4) the irradiated rats treated with oral administration of gefitinib once daily. Each experimental group comprised 5-6 rats and all treatments are delivered for 14 days.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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### REFERENCES


