# PRODUCT INFORMATION

## Gefitinib

*Item No. 13166*

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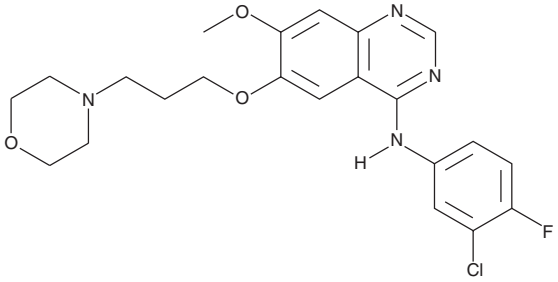
| **CAS Registry No.:** | 184475-35-2 |
| **Formal Name:** | N-(3-chloro-4-fluorophenyl)-7-methoxy-6-[3-(4-morpholinyl)propoxy]-4-quinazolinamine |
| **Synonym:** | ZD 1839 |
| **MF:** | $C_{22}H_{24}ClFN_4O_3$ |
| **FW:** | 446.9 |
| **Purity:** | ≥98% |
| **UV/Vis.:** | $\lambda_{max}$: 205, 226, 250, 332 nm |
| **Supplied as:** | A crystalline solid |
| **Storage:** | -20°C |
| **Stability:** | ≥2 years |



*Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.*

### Laboratory Procedures

Gefitinib is supplied as a crystalline solid. A stock solution may be made by dissolving the gefitinib in the solvent of choice. Gefitinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of gefitinib in ethanol is approximately 0.3 mg/ml, and approximately 20 mg/ml in DMSO and DMF.

Gefitinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, gefitinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Gefitinib has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Gefitinib is a selective EGFR-TK inhibitor that blocks the growth of GEO colon, ZR-75-1, and MCF-10A Ha-ras breast, and OVCAR-3 ovarian cancer cell lines with $IC_{50}$ values of 0.2-0.4 µM.[1] By interfering with the intracellular kinase domain, gefitinib prevents EGFR autophosphorylation and prevents downstream signal transduction. Formulations containing gefitinib were previously used to treat advanced (or recurrent) non-small cell lung cancer. However, the FDA retracted its general approval when a phase III trial failed to demonstrate an overall survival benefit.[2] Formulations containing gefitinib appear to be most efficacious in treating certain EGFR gene mutations prevalent in Asian populations.[3,4]

### References


1. Ciardiello, F., Caputo, R., Bianco, R., *et al*. Antitumor effect and potentiation of cytotoxic drugs activity in human cancer cells by ZD-1839 (Iressa), an epidermal growth factor receptor-selective tyrosine kinase inhibitor. *Clin. Cancer Res.* **6(5)**, 2053-2063 (2000).
2. Gerber, D.E. EGFR inhibition in the treatment of non-small cell lung cancer. *Drug Dev. Res.* **69(6)**, 359-372 (2008).
3. Yang, C.T., Hung, J.Y., Lai, C.L., *et al*. Gefitinib as first-line therapy for advanced or metastatic non-small cell lung cancer patients in southern Taiwan. *Kaohsiung J. Med. Sci.* **26(1)**, 1-7 (2010).
4. Uruga, H., Kishi, K., Fujii, T., *et al*. Efficacy of gefitinib for elderly patients with advanced non-small cell lung cancer harboring epidermal growth factor receptor gene mutations: A retrospective analysis. *Intern. Med.* **49(2)**, 103-107 (2010).





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