

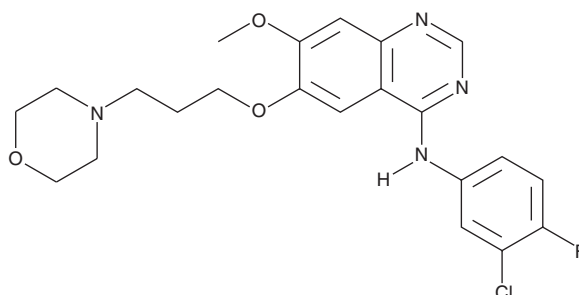
# Product Information



## Gefitinib

Item No. 13166

**CAS Registry No.:** 184475-35-2  
**Formal Name:** N-(3-chloro-4-fluorophenyl)-7-methoxy-6-[3-(4-morpholinyl)propoxy]-4-quinazolinamine  
**Synonyms:** Iressa™, ZD1839  
**MF:** C<sub>22</sub>H<sub>24</sub>ClFN<sub>4</sub>O<sub>3</sub>  
**FW:** 446.9  
**Purity:** ≥98%  
**Stability:** ≥2 years at -20°C  
**Supplied as:** A crystalline solid



### Laboratory Procedures

For long term storage, we suggest that gefitinib be stored as supplied at -20°C. It should be stable for at least two years.

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.

Overactivity of the epidermal growth factor receptor-associated tyrosine kinase (EGFR-TK) has been associated with a number of cancers and thus TK inhibitors could be potential therapeutic agents to prevent malignant growth.<sup>1</sup> Gefitinib is a selective EGFR-TK inhibitor that blocks the growth of GEO colon cancer, ZR-75-1 and MCF-10A Ha-ras breast cancer, and OVCAR-3 ovarian cancer cell lines with IC<sub>50</sub>s ranging between 0.2-0.4 μM.<sup>2</sup> By interfering with the intracellular kinase domain, gefitinib prevents EGFR autophosphorylation and prevents downstream signal transduction. Gefitinib has been used to treat advanced (or recurrent) non-small cell lung cancer (NSCLC). However, the FDA retracted its general approval for this compound (Iressa™) when a phase III trial failed to demonstrate an overall survival benefit.<sup>3</sup> Gefitinib appears to be most efficacious in treating certain EGFR gene mutations that are prevalent in Asian populations.<sup>4,5</sup>

### References

1. Sausville, E.A., Elsayed, Y., Monga, M., *et al.* Signal transduction-directed cancer treatments. *Annu. Rev. Pharmacol. Toxicol.* **43**, 199-231 (2003).
2. 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. *Clinical Cancer Research* **6**, 2053-2063 (2000).
3. Gerber, D.E. EGFR inhibition in the treatment of non-small cell lung cancer. *Drug Dev Res* **69**(6), 359-372 (2008).
4. 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).
5. 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. *Inter Med* **49**, 103-107 (2010).

### Related Products

ST638 - Item No. 13337 • Axitinib - Item No. 13813 • BAY 43-9006 - Item No. 10009644 • Erlotinib Analog - Item No. 10010238 • AG-494 - Item No. 10010242 • AG-825 - Item No. 10010243 • AG-1478 - Item No. 10010244 • AG-17 - Item No. 10010248 • AG-18 - Item No. 10010300 • RG-13022 - Item No. 10010309 • RG-14620 - Item No. 10010310 • AG-490 - Item No. 10010311 • AG-82 - Item No. 10010312 • AG-99 - Item No. 10010313 • AG-123 - Item No. 10010314 • AG-183 - Item No. 10010315 • HDBA - Item No. 10010329

**WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

### MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent via email to your institution.

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