

## Small Molecules

### Gefitinib

Tyrosine kinase inhibitor; Inhibits EGFR

Catalog # 73162

500 mg



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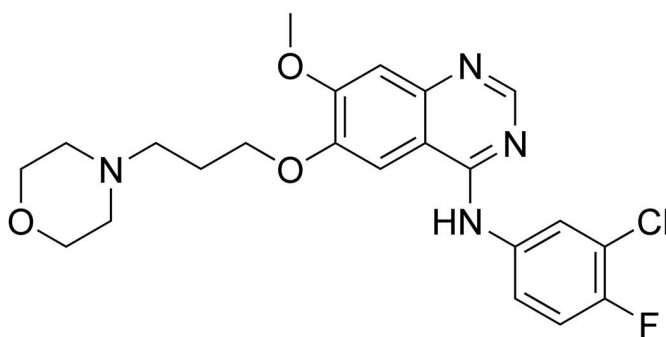
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## Product Description

Gefitinib is a selective inhibitor of epidermal growth factor receptor (EGFR) tyrosine kinase that binds competitively in the ATP binding pocket with  $IC_{50}$  values of 23 and 80 nM for A431 vulval squamous carcinoma cells and KB cells, respectively (Barker et al.).

Molecular Name:	Gefitinib
Alternative Names:	Gefonib; ZD1839
CAS Number:	184475-35-2
Chemical Formula:	$C_{22}H_{24}ClFN_4O_3$
Molecular Weight:	446.9 g/mol
Purity:	≥ 98%
Chemical Name:	N-(3-chloro-4-fluorophenyl)-7-methoxy-6-(3-morpholin-4-ylpropoxy)quinazolin-4-amine
Structure:	



## Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 40 mM · Absolute ethanol ≤ 0.7 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 500 mg in 112 mL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

## Published Applications

### CANCER RESEARCH

- Blocks proliferation of multiple cancer cell types in vitro and in mouse xenograft models, including colon, ovarian, and breast cancer cell lines (Ciardiello et al.).
- Induces apoptosis in the HaCaT human keratinocyte cell line via a c-Jun N-terminal kinase (JNK) activation, an EGFR-independent mechanism (Lu et al.).

## References

- Barker AJ et al. (2001) Studies leading to the identification of ZD1839 (IRESSA): an orally active, selective epidermal growth factor receptor tyrosine kinase inhibitor targeted to the treatment of cancer. *Bioorg Med Chem Lett* 11(14): 1911–4.
- Ciardiello F et al. (2000) 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): 2053–63.
- Lu P-H et al. (2011) Gefitinib-induced epidermal growth factor receptor-independent keratinocyte apoptosis is mediated by the JNK activation pathway. *Br J Dermatol* 164(1): 38–46.

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**This product is hazardous. Please refer to the Safety Data Sheet (SDS).**

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