Gefitinib

Selective EGFR tyrosine kinase inhibitor

Gefitinib is a potent, orally bioavailable inhibitor of the epidermal growth factor receptor (EGFR) tyrosine kinase. It selectively binds to the ATP-binding site of EGFR, blocking autophosphorylation and downstream signaling pathways involved in cell proliferation, survival, and angiogenesis. Gefitinib exhibits nanomolar potency with IC_{50} values ranging from 26 to 57 nM depending on the assay system, making it a valuable tool in cancer research and targeted therapy development.

Key features and applications include:

- **Highly Selective EGFR Inhibition:** Gefitinib specifically targets EGFR tyrosine kinase activity, reducing off-target effects and enhancing therapeutic precision.
- **Mechanistic Studies:** Widely used to dissect EGFR-mediated signaling pathways, including PI3K/AKT/mTOR and RAS/RAF/MEK/ERK cascades.
- **Cancer Cell Line Research:** Demonstrates strong anti-proliferative effects in EGFR-overexpressing cell lines, inducing G1 cell cycle arrest and apoptosis.
- **Combination Therapy Research:** Frequently studied in combination with mTOR inhibitors, chemotherapy agents, or radiation to overcome resistance and enhance efficacy.
- **Autophagy and Apoptosis Studies:** Used to explore mechanisms of programmed cell death and survival signaling in tumor cells.
- **Angiogenesis Inhibition:** Suppresses VEGF expression and tumor vascularization, contributing to its anti-tumor effects.

    Relevant disease states include:

    - **Non-Small Cell Lung Cancer (NSCLC):** Gefitinib is FDA-approved for first-line treatment of NSCLC patients with activating EGFR mutations (e.g., exon 19 deletions, L858R).

- **Triple-Negative Breast Cancer (TNBC):** Investigated for its potential to sensitize TNBC cells to other targeted therapies.
- **Colorectal and Head & Neck Cancers:** Studied for its ability to inhibit EGFR-driven tumor growth and resistance mechanisms.
- **Glioblastoma and Brain Tumors:** Explored for its ability to cross the blood-brain barrier and inhibit EGFR in glioma models.

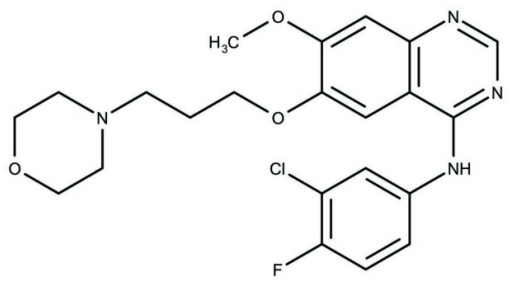
Ordering Information

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ENZ-CHM335-0250	250mg

Manuals, SDS & CofA

View Online »


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Handling & Storage

Use/Stability	As indicated on product label or CoA when stored as recommended.
Short Term Storage	Ambient
Long Term Storage	Ambient
Shipping	Ambient Temperature

Regulatory Status

RUO - Research Use Only

Product Details

Alternative Name	ZD1839, N-(3-Chloro-4-fluorophenyl)-7-methoxy-6-[3-(4-morpholinyl)propoxy]-4-quinazolinamine
Appearance	Tan solid.
CAS	184475-35-2
Couple Target	EGFR
Couple Type	Inhibitor
Formula	$C_{22}H_{24}ClFN_4O_3$
Identity	Determined by NMR.
MW	446.91
Purity	≥98% (TLC)
Solubility	Soluble in DMSO (up to 40 mg/mL) or in ethanol (up to 4 mg/mL).

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