

# Gefitinib (hydrochloride)

Catalog No: tcsc1587



## Available Sizes

**Size:** 100mg

**Size:** 500mg

**Size:** 1g

**Size:** 5g

**Size:** 10g



## Specifications

**CAS No:**

184475-55-6

**Formula:**

$C_{22}H_{25}Cl_2FN_4O_3$

**Pathway:**

JAK/STAT Signaling;Protein Tyrosine Kinase/RTK

**Target:**

EGFR;EGFR

**Purity / Grade:**

>98%

**Solubility:**

H2O : 6.25 mg/mL (12.93 mM; Need ultrasonic); DMSO : 0.227 mg/mL (0.47 mM; Need ultrasonic and warming)

**Storage Instruction:**

4°C

#### Alternative Names:

ZD-1839 hydrochloride

#### Observed Molecular Weight:

483.36

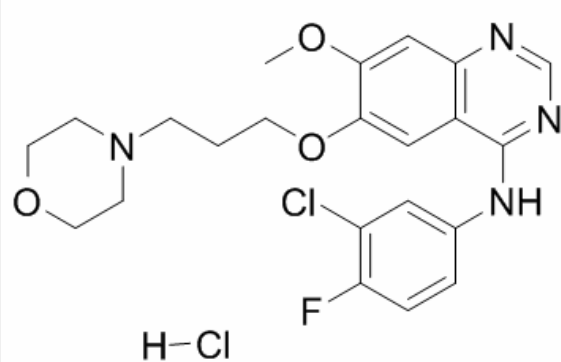
### Product Description

Gefitinib hydrochloride is an inhibitor that specifically binds and inhibits the **EGFR tyrosine kinase**, with the **IC<sub>50</sub>** value of 2-37 nM in NR6wtEGFR cells.

IC50 & Target: IC50: 37 nM (Tyr1173 site, in NR6wtEGFR cells), 37 nM (Tyr992 site, in NR6wtEGFR cells)<sup>[1]</sup>

**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<sup>[1]</sup>. Gefitinib (ZD1839) inhibits the monolayer growth of these EGF-driven untransformed cells with IC<sub>50</sub> of 20 nM<sup>[2]</sup>. Gefitinib leads to an inhibition of CALU-3 and GLC82 cell proliferation, with an IC<sub>50</sub> of 2 μM<sup>[3]</sup>.

**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<sup>[3]</sup>. 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<sup>[4]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!