Web: www.taiclone.com
Tel: +886-2-2735-9682
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Gefitinib

Catalog No: tcsc0124

Available Sizes

Size: 100mg

Size: 500mg

Size: 1g

Size: 5g

Size: 10g

Specifications

CAS No:
184475-35-2

Formula:
$C_{22}H_{24}ClFN_4O_3$

Pathway:
JAK/STAT Signaling;Protein Tyrosine Kinase/RTK;Autophagy

Target:
EGFR;EGFR;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 30 mg/mL (67.13 mM)

Alternative Names:
ZD1839

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Observed Molecular Weight:
446.9

Product Description

Gefitinib is an inhibitor that specifically binds and inhibits the **EGFR tyrosine kinase**, with the **IC_{50}** 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)[1]

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[1]. Gefitinib (ZD1839) inhibits the monolayer growth of these EGF-driven untransformed cells with IC_{50} of 20 nM[2]. Gefitinib leads to an inhibition of CALU-3 and GLC82 cell proliferation, with an IC_{50} of 2 μM [3].

In Vivo: Gefitinib (150 mg/kg, p.o.) in conbination 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[3]. In irradiated rats, Gefitinib treatment augmentes 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[4].