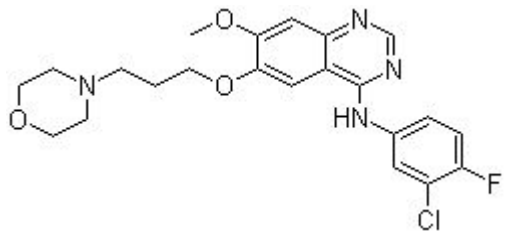
Product Introduction

Gefitinib (ZD1839)

Gefitinib (ZD-1839) is an **EGFR** inhibitor for Tyr1173, Tyr992, Tyr1173 and Tyr992 in the NR6wtEGFR and NR6W cells with **IC50** of 37 nM, 37nM, 26 nM and 57 nM, respectively.

Technical Data:

Molecular Weight (MW):	446.90	
Formula:	$C_{22}H_{24}ClFN_4O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 89 mg/mL	
	Water <1 mg/mL	
	Ethanol 4 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	184475-35-2	

Biological Activity

Gefitinib effectively inhibits all tyrosine phosphorylation sites on EGFR in both the high and low-EGFR-expressing cell lines including NR6, NR6M and NR6W cell lines. The phosphorylation sites Tyr1173 and Tyr992 are less sensitive requiring higher concentrations of Gefitinib for inhibition. Gefitinib effectively blocks the phosphorylation of PLC-γ, with IC50 of 27nM, in NR6W cells. The NR6wtEGFR and NR6M cell lines has low levels of PLC-γ phosphorylation but the level in the NR6M cell line is more resistant

to inhibition by Gefitinib with IC50 of 43 nM and 369 nM, respectively. Gefitinib inhibits Akt phosphorylations, with IC50 of 220 and 263nM, in the low-EGFR- and -EGFRvIII-expressing cell lines, respectively. Gefitinib in the dose range from 0.1 to 0.5μM significantly facilitates, rather than abrogates, colony formation of NR6M cells. However, at a concentration of 2 μM Gefitinib completely blocks NR6M colony formation. Gefitinib rapidly and in a dose-dependent manner inhibits EGFR and ERK phosphorylation up to 72 hours after EGF stimulation in both the high- and low-EGFR-expressing cell lines. [1] Gefitinib is the monolayer growth of these EGF-driven untransformed MCF10A cells with an IC50 of 20 nM. [2] The combination of Gefitinib (0.2 μM and 0.5 μM) with irradiation lead to a significant growth inhibition in LoVo cells, compared with radiation alone.. [3]

Gefitinib (100 mg/kg) improves the anti-tumor effect of radiotherapy in LoVo tumor xenografts. [3] Gefitinib treatment of nude mice bearing established human GEO colon cancer xenografts reveals a reversible dose-dependent inhibition of tumor growth because GEO tumors resumes the growth rate of controls at the end of the treatment. [4]

A potent EGFR tyrosine kinase inhibitor.

References

[1] Pedersen MW, et al. Br J Cancer. 2005, 93(8), 915-923.

[2] Moasser MM, et al. Cancer Res. 2001, 61(19), 7184-7188.

[3] Williams KJ, et al. Br J Cancer, 2002, 86, 1157–1161.

[4] Ciardiello F, et al. Clin Cancer Res. 2000, 6(5), 2053-2063.

[5] Sirotnak FM, et al. Clin Cancer Res. 2000, 6(12), 4885-4892.

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