Gefitinib

货号：G1084

储存条件：粉末-20°C 可保存 3 年；液体-80°C 可保存 12 月。

产品描述

EGFR (epidermal growth factor receptor) family consists of four members that belong to the ErbB lineage of proteins (ErbB1 - 4) with an external domain that binds activating ligands, such as EGF, and is overexpressed in a significant percentage of carcinomas and contributes to the malignant phenotype. Upon activation, EGFR phosphorylates both the receptor itself and a variety of "effector" protein. Gefitinib is an effective EGFR inhibitor with IC50 values of 37 nM, 37 nM, 26 nM and 57 nM for Tyr1173, Tyr992, Tyr1173 and Tyr992 EGFR sites (measured by EGFR tyrosine phosphorylations in cells), respectively in NR6 wt EGFR and NR6W cells. Gefitinib can sufficiently suppress all tyrosine phosphorylation sites, with less sensitivity to Tyr1173 and Tyr992 sites, on EGFR in both the high and low-EGFR-expressing cell lines, as well as EGFR-mediated proliferation. However, EGFR-mediated anchorage-independent growth was not sufficient to inhibit these features in cells expressing EGFRvIII, with approximately four times as resistant to gefitinib as EGFR. Long-term exposure of EGFRvIII-expressing cells to low concentrations of gefitinib (0.01 - 0.1 μM) resulted in increased phosphotyrosine load of the receptor, increased signaling to ERK and stimulation of proliferation and anchorage-independent growth while higher concentrations of gefitinib (1 - 2 μM) completely showed the opposite effect, presumably by inducing EGFRvIII dimerization. Gefitinib (40 mg/kg body weight/day) showed significant inhibition of tumor load when treated with weekly or weekly intermittent dosing regimens in lung adenocarcinoma model whereas a daily dosing regimen did not decrease the tumor load significantly.

作用机制

Gefitinib is an ATP-competitive inhibitor of EGFR.

产品信息

CAS 号	184475-35-2	
分子式	C22H24ClFN4O3	
分子量	446.90	
溶解度	DMSO	88.0 mg/mL (196.9 mM)
	Water	Insoluble
	Ethanol	4.0 mg/mL (9.0 mM)