Erlotinib HCl

货号： E6725

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. Erlotinib hydrochloride is the hydrochloride form of Erlotinib. It is a direct inhibitor of EGFR kinase with IC50 value of 2nM (measured by purified EGFR kinase activity). Potent inhibition of EGF-induced EGFR autophosphorylation by Erlotinib can be seen in different cell lines, such as HNS human head and neck tumor cells, DiFi human colon cancer cells and MDA MB-468 human breast cancer cells. Erlotinib is selective for the EGFR kinase pathway that inhibits EGF-stimulated mitogenesis with an IC50 of 70 nM but inhibits mitogenesis stimulated by the other factors at concentrations of >1 μM. Erlotinib induced both anti-proliferation and apoptosis in DiFi human colon tumor cells. Consistent with in vitro studies, treatment with Erlotinib at dose of 100mg/kg prevents EGF-induced autophosphorylation of the EGFR in human HN5 tumors growing as xenografts in athymic mice and of the hepatic EGFR in the treated mice.

作用机制

Erlotinib is an ATP-competitive inhibitor of EGFR[1].

产品信息

CAS 号	183319-69-9	
分子式	C22H24CIN3O4	
分子量	429.90	
溶解度	DMSO	4.0 mg/mL (9.3 mM) warming
	Water	Insoluble
	Ethanol	Insoluble