1.5.1.2.2 Iressa® (Gefitinib).

It is an anticancer agent signal transduction inhibitor. Gefitinib is the first selective inhibitor of epidermal growth factor receptor's (EGFR) tyrosine kinase domain. The target protein (EGFR) is a family of receptors which includes Her 1 (erb-B1), Her 2 (erb-B2), and Her 3 (erb-B3) (Maemondo *et al.*, 2010).

EGFR is overexpressed in the cells of certain types of human carcinomas - for example in lung and breast cancers. This leads to inappropriate inhibition of apoptosis, eventually leading to uncontrolled cell proliferation. Research on gefitinib-sensitive non-small cell lung cancers has shown that a mutation in the EGFR tyrosine kinase domain is responsible for activating anti-apoptotic pathways (Mitsudomi *et al.*, 2010, Sordella *et al.*, 2004).

Gefitinib inhibits EGFR tyrosine kinase by binding to the adenosine triphosphate (ATP)-binding site of the enzyme. Thus the function of the EGFR tyrosine kinase in activating the anti-apoptotic Ras signal transduction cascade is inhibited and malignant cells are inhibited (Paez *et al.*, 2004).

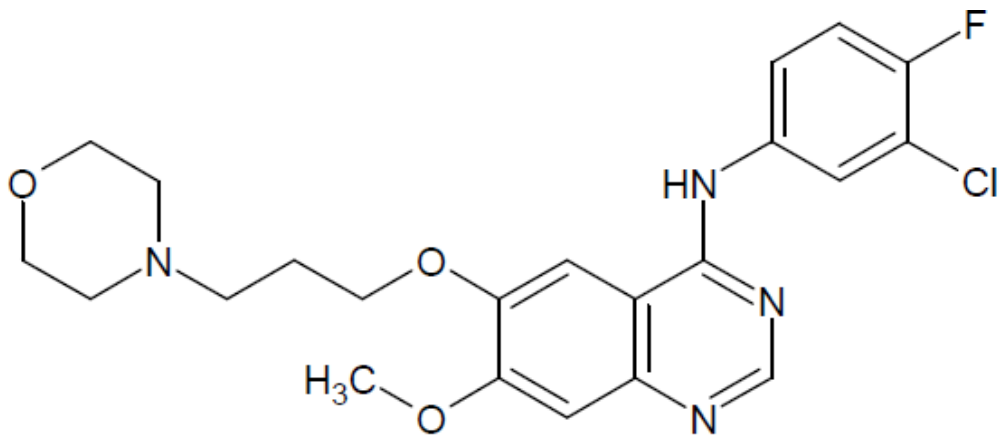

Figure 3: N-(3-Chloro-4-fluoro-phenyl) -7- methoxy -6- (3-morpholin-4-ylpropoxy) quinazoline -4-amine.