



13.3.5 Erlotinib

Erlotinib is a drug to treat nonsmall-cells lung cancer, pancreatic cancer, and it is marketed in the United States by Genentech and OSI Pharmaceuticals and worldwide by Roche. Epidermal growth factor receptor (EGFR) is a cell membrane receptor consisting of an extracellular ligand-binding domain and an intracellular tyrosine kinase domain. Upregulation of EGFR expression is observed in many human solid tumors, such as colorectal, pancreatic, bladder, prostate, ovarian, glioma, breast, lung, renal, and head and neck cancer. Erlotinib (brand name: Tarceva) and its 4-anilinoquinazolines analogue gefitinib (brand name: Iressa) are EGFR-targeted agents. These small molecules competitively bind to the ATP binding pocket of intracellular kinase domain and block induction of downstream signaling network mediated by tyrosine kinase. And they, therefore, inhibit tyrosine kinase activity and restrict receptor catalytic activity, anti-phosphorylation and its engagement with signal transducers.