Afatinib Dimaleate

National Cancer Institute

Source

National Cancer Institute. Afatinib Dimaleate. NCI Thesaurus. Code C97273.

The dimaleate salt form of afatinib, an orally bioavailable anilino-quinazoline derivative and inhibitor of the receptor tyrosine kinase (RTK) epidermal growth factor receptor (ErbB; EGFR) family, with antineoplastic activity. Upon administration, afatinib selectively and irreversibly binds to and inhibits the epidermal growth factor receptors 1 (ErbB1; EGFR), 2 (ErbB2; HER2), and 4 (ErbB4; HER4), and certain EGFR mutants, including those caused by EGFR exon 19 deletion mutations or exon 21 (L858R) mutations. This may result in the inhibition of tumor growth and angiogenesis in tumor cells overexpressing these RTKs. Additionally, afatinib inhibits the EGFR T790M gatekeeper mutation which is resistant to treatment with first-generation EGFR inhibitors. EGFR, HER2 and HER4 are RTKs that belong to the EGFR superfamily; they play major roles in both tumor cell proliferation and tumor vascularization and are overexpressed in many cancer cell types.