Osimertinib

National Cancer Institute

Source

National Cancer Institute. Osimertinib. NCI Thesaurus. Code C116377.

A third-generation, orally available, irreversible, mutant-selective, epidermal growth factor receptor (EGFR) inhibitor, with potential antineoplastic activity. Upon oral administration, osimertinib covalently binds to and inhibits the activity of mutant forms of EGFR, including the T790M EGFR mutant, thereby preventing EGFR-mediated signaling. This may both induce cell death and inhibit tumor growth in EGFR-overexpressing tumor cells. EGFR, a receptor tyrosine kinase mutated in many tumor cell types, plays a key role in tumor cell proliferation and tumor vascularization. AZD9291 preferentially inhibits mutated forms of EGFR including T790M, a secondarily-acquired resistance mutation, and may have enhanced anti-tumor effects in tumors with T790M-mediated resistance when compared to other EGFR tyrosine kinase inhibitors. As this agent is selective towards mutant forms of EGFR, its toxicity profile may be reduced when compared to non-selective EGFR inhibitors which also inhibit wild-type EGFR.