Lazertinib

National Cancer Institute

Source

National Cancer Institute. Lazertinib. NCI Thesaurus. Code C148147.

An orally available third-generation, selective inhibitor of certain forms of the epidermal growth factor receptor (EGFR) with activating mutations, including the resistance mutation T790M, exon 19 deletions (Del19), and the L858R mutation, with potential antineoplastic activity. Upon administration, lazertinib specifically and irreversibly binds to and inhibits selective EGFR mutants, which prevents EGFR mutant-mediated signaling and leads to cell death in EGFR mutant-expressing tumor cells. Lazertinib may inhibit programmed cell death-1 ligand 1 (PD-L1) and inflammatory cytokines in specific cancer cells harboring certain EGFR mutations. Compared to some other EGFR inhibitors, lazertinib may have therapeutic benefits in tumors with T790M- or L858R-mediated drug resistance. In addition, lazertinib penetrates the blood-brain barrier (BBB). This agent shows minimal activity against wild-type EGFR (wtEGFR), and does not cause dose-limiting toxicities, which occur during the use of non-selective EGFR inhibitors and inhibit wtEGFR. EGFR, a receptor tyrosine kinase (RTK) mutated in many tumor cell types, plays a key role in tumor cell proliferation and tumor vascularization.