EGFR Mutant-specific Inhibitor BPI-7711

National Cancer Institute

Source

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An orally available third-generation and selective inhibitor of certain epidermal growth factor receptor (EGFR) activating mutations, including the resistance mutations T790M and L858R, as well as exon 19 deletion, with potential antineoplastic activity. Upon administration, the EGFR mutant-specific inhibitor BPI-7711 specifically and covalently binds to and inhibits selective EGFR mutations, with particularly high selectivity against the T790M mutation, which prevents EGFR mutant-mediated signaling and leads to cell death in EGFR mutant-expressing tumor cells. Compared to some other EGFR inhibitors, BPI-7711 may have therapeutic benefits in tumors with T790M-mediated drug resistance. This agent shows minimal activity against wild-type EGFR (wt EGFR), and does not cause dose-limiting toxicities that occur during the use of non-selective EGFR inhibitors, which also inhibit wt EGFR. EGFR, a receptor tyrosine kinase mutated in many tumor cell types, plays a key role in tumor cell proliferation and tumor vascularization.