

[Open Peer Review on Qeios](#)

# Nazartinib

National Cancer Institute

## Source

National Cancer Institute. *Nazartinib*. NCI Thesaurus. Code C115109.

An orally available, irreversible, third-generation, mutant-selective epidermal growth factor receptor (EGFR) inhibitor, with potential antineoplastic activity. Upon oral administration, nazartinib 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. EGF816 preferentially inhibits mutated forms of EGFR including T790M, a secondarily acquired resistance mutation, and may have therapeutic benefits 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 as compared to non-selective EGFR inhibitors which also inhibit wild-type EGFR.