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TRK Inhibitor LOXO-195

National Cancer Institute

Source

National Cancer Institute. *TRK Inhibitor LOXO-195*. NCI Thesaurus. Code C138160.

An orally bioavailable, selective tropomyosin-related-kinase (tyrosine receptor kinase; TRK) inhibitor, with potential antineoplastic activity. Upon oral administration, LOXO-195 specifically targets and binds to TRK, including the fusion proteins containing sequences from neurotrophic tyrosine receptor kinase (NTRK) types 1 (NTRK1), 2 (NTRK2), and 3 (NTRK3). This prevents neurotrophin-TRK interaction and TRK activation, which results in both the induction of cellular apoptosis and the inhibition of cell growth in tumors that overexpress TRK and/or express NTRK fusion proteins. LOXO-195 targets specific point mutations that occur after treatment with and result in acquired resistance to another TRK inhibitor; therefore, LOXO-195 is able to overcome acquired resistance to other TRK inhibitors. TRK, a family of receptor tyrosine kinases (RTKs) activated by neurotrophins, is encoded by NTRK family genes. The expression of either mutated forms of or fusion proteins involving NTRK family members results in uncontrolled TRK signaling and plays an important role in tumor cell growth and survival.