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Pexmetinib

National Cancer Institute

Source

National Cancer Institute. *Pexmetinib*. NCI Thesaurus. Code C85481.

An orally bioavailable small-molecule inhibitor of p38 and Tie2 kinases with potential antineoplastic, anti-inflammatory and antiangiogenic activities. Pexmetinib binds to and inhibits the activities of p38 and Tie2 kinases, which may inhibit the production of proinflammatory cytokines and may decrease tumor angiogenesis and tumor cell growth and survival. p38 is a MAP kinase that is often upregulated in cancer cells, playing a crucial part in the production of a variety of cytokines involved in inflammation and cellular proliferation such as tumor necrosis factor (TNF) and interleukin (IL)-1 and -6. Tie2 is an endothelial cell specific receptor that is activated by angiopoietins, growth factors required for angiogenesis. This agent has also been reported to inhibit other kinases including vascular endothelial growth factor receptor (VEGFR2) and Src tyrosine kinases.