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Cobimetinib

National Cancer Institute

Source

National Cancer Institute. *Cobimetinib*. NCI Thesaurus. Code C68923.

An orally bioavailable small-molecule inhibitor of mitogen-activated protein kinase kinase 1 (MAP2K1 or MEK1), with potential antineoplastic activity. Cobimetinib specifically binds to and inhibits the catalytic activity of MEK1, resulting in inhibition of extracellular signal-related kinase 2 (ERK2) phosphorylation and activation and decreased tumor cell proliferation. Preclinical studies have demonstrated that this agent is effective in inhibiting the growth of tumor cells bearing a B-RAF mutation, which has been found to be associated with many tumor types. A threonine-tyrosine kinase and a key component of the RAS/RAF/MEK/ERK signaling pathway that is frequently activated in human tumors, MEK1 is required for the transmission of growth-promoting signals from numerous receptor tyrosine kinases.