Vemurafenib

National Cancer Institute

Source

National Cancer Institute. Vemurafenib. NCI Thesaurus. Code C64768.

An orally bioavailable, ATP-competitive, small-molecule inhibitor of BRAF(V600E) kinase with potential antineoplastic activity. Vemurafenib selectively binds to the ATP-binding site of BRAF(V600E) kinase and inhibits its activity, which may result in an inhibition of an over-activated MAPK signaling pathway downstream in BRAF(V600E) kinase-expressing tumor cells and a reduction in tumor cell proliferation. Approximately 90% of BRAF gene mutations involve a valine-to-glutamic acid mutation at residue 600 (V600E); the oncogene protein product, BRAF(V600E) kinase, exhibits a markedly elevated activity that over-activates the MAPK signaling pathway. The BRAF(V600E) gene mutation has been found to occur in approximately 60% of melanomas, and in about 8% of all solid tumors, including melanoma, colorectal, thyroid and other cancers.