BRAF(V600E) Kinase Inhibitor RO5212054

National Cancer Institute

Source

National Cancer Institute. BRAF(V600E) Kinase Inhibitor RO5212054. NCI Thesaurus. Code C92591.

An orally available small-molecule inhibitor of mutant (V600E) v-raf murine sarcoma viral oncogene homolog B1 (BRAF) with potential antineoplastic activity. BRAF(V600E) kinase inhibitor RO5212054 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. The valine to glutamic acid substitution at residue 600 accounts for about 90% of BRAF gene mutations; the oncogenic product, BRAF(V600E) kinase, exhibits a markedly elevated activity that over-activates the MAPK signaling pathway. The BRAF(V600E) mutation has been found to occur in approximately 60% of melanomas, and in about 8% of all solid tumors.