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Agerafenib

National Cancer Institute

Source

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An orally available v-raf murine sarcoma viral oncogene homolog B1 (B-raf) serine/threonine protein kinase inhibitor with potential antineoplastic activity. Agerafenib specifically and selectively inhibits the activity of the mutated form (V600E) of B-raf kinase. This inhibits the activation of the RAF/mitogen-activated protein kinase kinase (MEK)/extracellular signal-related kinase (ERK) signaling pathway and may result in a decrease in the proliferation of tumor cells expressing the mutated B-raf gene. The Raf mutation BRAF V600E, in which valine is substituted for glutamic acid at residue 600, is frequently found in a variety of human tumors and results in the constitutive activation of the RAF/MEK/ERK signaling pathway that regulates cellular proliferation and survival.

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