FLT3/CDK4/6 Inhibitor FLX925

National Cancer Institute

Source

National Cancer Institute. FLT3/CDK4/6 Inhibitor FLX925. NCI Thesaurus. Code C120209.

An orally available inhibitor of FMS-related tyrosine kinase 3 (FLT3, STK1, or FLK2) and the cyclin-dependent kinases 4 (CDK4) and 6 (CDK6), with potential antineoplastic activity. Upon administration, FLT3/CDK4/6 inhibitor FLX925 specifically binds to and inhibits FLT3, which interferes with the activation of FLT3-mediated signal transduction pathways and reduces cell proliferation in cancer cells that overexpress FLT3. In addition FLX925 inhibits CDK4 and 6 and prevents the phosphorylation of retinoblastoma (Rb) protein in early G1. Inhibition of Rb phosphorylation prevents CDK-mediated G1-S phase transition, which causes G1 phase cell cycle arrest, suppresses DNA synthesis and inhibits cancer cell growth. FLT3, a class III tyrosine kinase receptor, is overexpressed in a variety of cancers. Overexpression of CDK4/6, which is seen in certain types of cancer, causes cell cycle deregulation.