Bafetinib

National Cancer Institute

Source

National Cancer Institute. Bafetinib. NCI Thesaurus. Code C62516.

An orally active 2-phenylaminopyrimidine derivative with potential antineoplastic activity. INNO-406 specifically binds to and inhibits the Bcr/Abl fusion protein tyrosine kinase, an abnormal enzyme produced by Philadelphia chromosomal translocation associated with chronic myeloid leukemia (CML). Furthermore, this agent also inhibits the Src-family member Lyn tyrosine kinase, upregulated in imatinib-resistant CML cells and in a variety of solid cancer cell types. The inhibitory effect of INNO-406 on these specific tyrosine kinases decreases cellular proliferation and induces apoptosis. A high percentage of CML patients are refractory to imatinib, which sometimes results from point mutations occurring in the kinase domain of the Bcr/Abl fusion product. Due to its dual inhibitory activity, INNO-406 has been shown to overcome this particular drug resistance and to be a potent and effective agent in the treatment of imatinib-resistant CML.