Nilotinib Hydrochloride Anhydrous

National Cancer Institute

Source

National Cancer Institute. Nilotinib Hydrochloride Anhydrous. NCI Thesaurus. Code C95223.

The hydrochloride salt of nilotinib, an orally bioavailable aminopyrimidine-derivative Bcr-Abl tyrosine kinase inhibitor with antineoplastic activity. Designed to overcome imatinib resistance, nilotinib binds to and stabilizes the inactive conformation of the kinase domain of the Abl protein of the Bcr-Abl fusion protein, resulting in the inhibition of the Bcr-Abl-mediated proliferation of Philadelphia chromosome-positive (Ph+) chronic myeloid leukemia (CML) cells. This agent also inhibits the receptor tyrosine kinases platelet-derived growth factor receptor (PDGF-R) and c-kit, a receptor tyrosine kinase mutated and constitutively activated in most gastrointestinal stromal tumors (GISTs). With a binding mode that is energetically more favorable than that of imatinib, nilotinib has been shown to have an approximately 20-fold increased potency in kinase and proliferation assays compared to imatinib.