Nilotinib Hydrochloride Monohydrate

National Cancer Institute

Source

The monohydrate monohydrochloride form of nilotinib, an orally bioavailable aminopyrimidine-derivative Bcr-Abl tyrosine kinase inhibitor with antineoplastic activity. Designed to overcome imatinib resistance resulting from Bcr-Abl kinase mutations, upon administration, nilotinib binds to and stabilizes the inactive conformation of the kinase domain of the Abl portion of the Bcr-Abl fusion protein, resulting in the inhibition of the constitutive kinase activity of Bcr-Abl protein. This inhibits the Bcr-Abl-mediated proliferation of Philadelphia chromosome-positive (Ph+) chronic myeloid leukemia (CML) cells. Nilotinib also inhibits the receptor tyrosine kinases platelet-derived growth factor receptor (PDGF-R; PDGFR), mast/stem cell growth factor receptor Kit (c-Kit), and, to a lesser extent, colony-stimulating factor 1 receptor (CSF-1R; CSF1R), and discoidin domain-containing receptor 1 (DDR1).