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Ilorasertib

National Cancer Institute

Source

National Cancer Institute. *Ilorasertib*. NCI Thesaurus. Code C116729.

An orally bioavailable, adenosine triphosphate mimetic, and inhibitor of Aurora kinases, vascular endothelial growth factor receptors (VEGFRs) and platelet-derived growth factor receptor (PDGFRs), with potential antineoplastic activity. Upon administration, ilorasertib selectively binds to and inhibits Aurora kinases A, B and C, which may disrupt both the assembly of the mitotic spindle apparatus and chromosome segregation, and inhibit both cellular division and proliferation in Aurora kinase-overexpressing tumor cells. In addition, ilorasertib selectively binds to and inhibits VEGFRs and PDGFRs, which may result in the inhibition of both angiogenesis and tumor cell proliferation in VEGFR/PDGFR-overexpressing tumor cells. This agent also inhibits the Src family of cytoplasmic tyrosine kinases. Aurora kinases A, B and C, are serine/threonine kinases that play essential roles in mitotic checkpoint control and are overexpressed by a wide variety of tumor cell types. Both VEGFRs and PDGFRs are receptor tyrosine kinase families whose members may be upregulated in various tumor cell types.