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Barasertib

National Cancer Institute

Source

National Cancer Institute. *Barasertib*. NCI Thesaurus. Code C62502.

An orally bioavailable, small-molecule, dihydrogen phosphate prodrug of the pyrazoloquinazoline Aurora kinase inhibitor AZD1152-hydroxyquinazoline pyrazol anilide (AZD1152-HQPA) with potential antineoplastic activity. Upon administration and rapid conversion from the prodrug form in plasma, AZD1152-HQPA specifically binds to and inhibits Aurora kinase B, which results in the disruption of spindle checkpoint functions and chromosome alignment and, so, the disruption of chromosome segregation and cytokinesis. Consequently, cell division and cell proliferation are inhibited and apoptosis is induced in Aurora kinase B-overexpressing tumor cells. Aurora kinase B, a serine/threonine protein kinase that functions in the attachment of the mitotic spindle to the centromere, is overexpressed in a wide variety of cancer cell types.