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PI3K Alpha/Beta Inhibitor BAY1082439

National Cancer Institute

Source

National Cancer Institute. *PI3K Alpha/Beta Inhibitor BAY1082439*. NCI Thesaurus. Code C103861.

An orally bioavailable inhibitor of the class I phosphoinositide 3-kinase (PI3K) alpha and beta isoforms with potential antineoplastic activity. PI3K alpha/beta inhibitor BAY1082439 selectively inhibits both PI3K alpha, including mutated forms of PIK3CA, and PI3K beta in the PI3K/Akt/mTOR pathway, which may result in tumor cell apoptosis and growth inhibition in PI3K-expressing and/or PTEN-driven tumor cells. By specifically targeting class I PI3K alpha and beta, this agent may be more efficacious and less toxic than pan PI3K inhibitors. Dysregulation of the PI3K/Akt/mTOR pathway is frequently found in solid tumors and results in increased tumor cell growth, survival, and resistance to chemotherapy and radiotherapy. PIK3CA, one of the most highly mutated oncogenes, encodes the p110-alpha catalytic subunit of the class I PI3K. PTEN, a tumor suppressor protein and negative regulator of PI3K activity, is often mutated in a variety of cancer cells.