PI3K-alpha Inhibitor MEN1611

National Cancer Institute

Source

National Cancer Institute. PI3K-alpha Inhibitor MEN1611. NCI Thesaurus. Code C158603.

An orally bioavailable inhibitor of the class I phosphatidylinositol-4,5-bisphosphate 3-kinase (PI3K) catalytic subunit alpha (PIK3CA), with potential antineoplastic activity. PI3K alpha inhibitor MEN1611 selectively binds to and inhibits PIK3CA and its mutated forms in the PI3K/Akt (protein kinase B)/mammalian target of rapamycin (mTOR) pathway. This results in both apoptosis and growth inhibition in PIK3CA-expressing tumor cells. By specifically targeting PIK3CA, this agent may be more efficacious and less toxic than pan-PI3K inhibitors. In addition, MEN1611 also targets mutated forms of PI3K gamma (PI3Kg). MEN1611 may stimulate the immune system to restore CD8+ T-cell activation and cytotoxicity. Dysregulation of the PI3K/Akt/mTOR pathway is often found in solid tumors and results in the promotion of tumor cell growth, survival, and resistance to chemo- and radio-therapy. PIK3CA, one of the most frequently mutated oncogenes, encodes the p110-alpha catalytic subunit of the class I PI3K. In most solid tumors, the activation of the PI3K pathway is induced by mutations of PIK3CA.