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Samotolisib

National Cancer Institute

Source

National Cancer Institute. Samotolisib. NCI Thesaurus. Code C121817.

An orally bioavailable, small molecule inhibitor of certain class I phosphoinositide 3-kinase (PI3K) isoforms and mammalian target of rapamycin kinase (mTOR) in the PI3K/mTOR signaling pathway, with potential antineoplastic activity. Samotolisib inhibits both certain PI3K isoforms and mTOR in an ATP-competitive manner which may inhibit both the PI3K/mTOR signaling pathway in and proliferation of tumor cells overexpressing PI3K and/or mTOR. The PI3K/mTOR pathway is upregulated in a variety of tumor cells and plays a key role in promoting cancer cell proliferation, and survival, motility and resistance to chemotherapy and radiotherapy. mTOR, a serine/threonine kinase downstream of PI3K, may also be activated in a PI3K-independent fashion; therefore, this agent may be more potent than an agent that inhibits either PI3K or mTOR alone. In addition, LY3023414 may inhibit DNA-dependent protein kinase (DNA-PK), thereby inhibiting the ability of tumor cells to repair damaged DNA. DNA-PK is activated upon DNA damage and plays a key role in repairing double-stranded DNA breaks.

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