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Dezapelisib

National Cancer Institute

Source

National Cancer Institute. *Dezapelisib*. NCI Thesaurus. Code C107686.

An orally bioavailable, selective inhibitor of the delta isoform of the 110 kDa catalytic subunit of class I phosphoinositide-3 kinases (PI3K) with potential antineoplastic activity. Dezapelisib specifically inhibits PI3Kdelta, which prevents both the production of the second messenger phosphatidylinositol-3,4,5-trisphosphate (PIP3) and the activation of the PI3K/AKT kinase signaling pathway. This decreases proliferation and induces cell death in PI3K-overexpressing tumor cells. Unlike other isoforms of PI3K, PI3Kdelta is often overexpressed in tumor cells, especially those of hematologic origin, and plays a crucial role in tumor cell regulation and survival. The targeted inhibition of PI3Kdelta allows for PI3K signaling in normal, non-neoplastic cells.