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PI3K Inhibitor GDC-0941 Bismesylate

National Cancer Institute

Source

National Cancer Institute. *PI3K Inhibitor GDC-0941 Bismesylate*. NCI Thesaurus. Code C82380.

The orally bioavailable bismesylate salt of a potent small-molecule thieno[3,2-d]pyrimidine inhibitor of the class I phosphatidylinositol 3 kinase (PI3K) isoforms p100alpha and p100delta with potential antineoplastic activity. PI3K inhibitor GDC-0941 selectively binds to PI3K isoforms in an ATP-competitive manner, inhibiting the production of the secondary messenger phosphatidylinositol-3,4,5-trisphosphate (PIP3) and activation of the PI3K/Akt signaling pathway; inhibition of tumor cell growth, motility and survival in susceptible tumor cell populations may result. Activation of the PI3K/Akt signaling pathway is frequently associated with tumorigenesis; dysregulated PI3K/Akt signaling may contribute to tumor resistance to a variety of antineoplastic agents.