Birinapant

National Cancer Institute

Source

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A synthetic small molecule that is both a peptidomimetic of second mitochondrial-derived activator of caspases (SMAC) and inhibitor of IAP (Inhibitor of Apoptosis Protein) family proteins, with potential antineoplastic activity. As a SMAC mimetic and IAP antagonist, birinapant selectively binds to and inhibits the activity of IAPs, such as X chromosome-linked IAP (XIAP) and cellular IAPs 1 (cIAP1) and 2 (cIAP2), with a greater effect on cIAP1 than cIAP2. Since IAPs shield cancer cells from the apoptosis process, this agent may restore and promote the induction of apoptosis through apoptotic signaling pathways in cancer cells and inactivate the nuclear factor-kappa B (NF-kB)-mediated survival pathway. IAPs are overexpressed by many cancer cell types. They are able to suppress apoptosis by binding to, via their baculoviral IAP repeat (BIR) domains, and inhibiting active caspases-3, -7 and -9. IAP overexpression promotes both cancer cell survival and chemotherapy resistance.