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GSK-3 Inhibitor LY2090314

National Cancer Institute

Source

National Cancer Institute. *GSK-3 Inhibitor LY2090314*. NCI Thesaurus. Code C116849.

An inhibitor of glycogen synthase kinase-3 (GSK-3), with potential antineoplastic activity. Upon administration, LY2090314 binds to and inhibits GSK-3 in an ATP-competitive manner. This prevents GSK-3-mediated phosphorylation of beta-catenin, which inhibits the subsequent ubiquitination and proteasomal degradation of beta-catenin. This leads to the activation of the Wnt/beta-catenin pathway and the induction of apoptosis in susceptible tumor cells. GSK-3, a serine/threonine kinase, plays a key role in numerous pathways involved in protein synthesis, cellular proliferation, differentiation, and apoptosis. The Wnt/beta-catenin signaling pathway plays key roles in both cellular proliferation and differentiation. The increased expression of beta-catenin, a transcriptional activator, correlates with decreased cellular proliferation and improved prognosis in select cancers.