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HIF-2alpha Inhibitor PT2977

National Cancer Institute

Source

National Cancer Institute. *HIF-2alpha Inhibitor PT2977*. NCI Thesaurus. Code C135627.

An orally active, small molecule inhibitor of hypoxia inducible factor (HIF)-2alpha (HIF-2a), with potential antineoplastic activity. Upon oral administration, HIF-2alpha inhibitor PT2977 binds to and blocks the function of HIF-2alpha, thereby preventing HIF-2alpha heterodimerization and its subsequent binding to DNA. This results in decreased transcription and expression of HIF-2alpha downstream target genes, many of which regulate hypoxic signaling. This inhibits cell growth and survival of HIF-2alpha-expressing tumor cells. HIF-2alpha, the alpha subunit for the heterodimeric transcription factor HIF-2, is overexpressed in many cancers and promotes tumorigenesis.