

[Open Peer Review on Qeios](#)

Histone-Lysine N-Methyltransferase EZH2 Inhibitor GSK2816126

National Cancer Institute

Source

National Cancer Institute. *Histone-Lysine N-Methyltransferase EZH2 Inhibitor GSK2816126*. NCI Thesaurus. Code C113334.

A small molecule selective and S-adenosyl methionine (SAM) competitive inhibitor of histone-lysine N-methyltransferase EZH2, with potential antineoplastic activity. Upon administration, histone-lysine N-methyltransferase EZH2 inhibitor GSK2816126 inhibits the activity of EZH2 and specifically prevents the methylation of histone H3 lysine 27 (H3K27). This decrease in histone methylation alters gene expression patterns associated with cancer pathways and results in decreased tumor cell proliferation in cancer cells that overexpress this enzyme. EZH2, which belongs to the class of histone methyltransferases (HMTs), is overexpressed or mutated in a variety of cancers and plays a key role in tumor cell proliferation.