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Tazemetostat

National Cancer Institute

Source

National Cancer Institute. *Tazemetostat*. NCI Thesaurus. Code C107506.

An orally available, small molecule selective and S-adenosyl methionine (SAM) competitive inhibitor of histone methyl transferase EZH2, with potential antineoplastic activity. Upon oral administration, tazemetostat selectively inhibits the activity of both wild-type and mutated forms of EZH2. Inhibition of EZH2 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 EZH2 mutated cancer cells. EZH2, which belongs to the class of histone methyltransferases (HMTs), is overexpressed or mutated in a variety of cancer cells and plays a key role in tumor cell proliferation.