EZH2 Inhibitor CPI-1205

National Cancer Institute

Source

National Cancer Institute. EZH2 Inhibitor CPI-1205. NCI Thesaurus. Code C121639.

An orally available selective inhibitor of the histone lysine methyltransferase EZH2, with potential antineoplastic activity. Upon oral administration, CPI-1205 selectively inhibits the activity of both wild-type and mutated forms of EZH2. Inhibition of EZH2 specifically prevents the methylation of histone H3 on lysine 27 (H3K27). This decrease in histone methylation alters gene expression patterns associated with cancer pathways and results in decreased proliferation of EZH2-expressing cancer cells. EZH2, a histone lysine methyltransferase (HMT) class enzyme and the catalytic subunit of the polycomb repressive complex 2 (PRC2), is overexpressed or mutated in a variety of cancer cells and plays a key role in tumor cell proliferation; its expression is correlated with tumor initiation, progression, stem cell self-renewal, migration and angiogenesis.