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# Pinometostat

National Cancer Institute

## Source

National Cancer Institute. *Pinometostat*. NCI Thesaurus. Code C103179.

A small molecule inhibitor of histone methyltransferase with potential antineoplastic activity. Upon intravenous administration, pinometostat specifically blocks the activity of the histone lysine-methyltransferase DOT 1L, thereby inhibiting the methylation of nucleosomal histone H3 on lysine 79 (H3K79) that is bound to the mixed lineage leukemia (MLL) fusion protein which targets genes and blocks the expression of leukemogenic genes. This eventually leads to an induction of apoptosis in the leukemic cells bearing the MLL gene translocations. DOT 1L, a non-SET domain-containing histone methyltransferase, specifically methylates H3K79 and plays a key role in normal cell differentiation and in the development of leukemia with MLL gene rearrangement on chromosome 11 and promotes the expression of leukemia-causing genes.