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# Lysine-specific Demethylase 1 Inhibitor INCB059872

National Cancer Institute

## Source

National Cancer Institute. *Lysine-specific Demethylase 1 Inhibitor INCB059872*. NCI Thesaurus. Code C126421.

An orally available inhibitor of lysine-specific demethylase 1 (LSD1), with potential antineoplastic activity. Upon administration, INCB059872 binds to and inhibits LSD1, a demethylase that suppresses the expression of target genes by converting the di- and mono-methylated forms of lysine at position 4 of histone H3 (H3K4) to mono- and unmethylated H3K4, respectively, through amine oxidation. LSD1 inhibition enhances H3K4 methylation and increases the expression of tumor-suppressor genes. In addition, LSD1 demethylates mono- or di-methylated H3K9 which increases gene expression of tumor promoting genes; inhibition of LSD1 promotes H3K9 methylation and decreases transcription of these genes. Altogether, this may lead to an inhibition of cell growth in LSD1-overexpressing tumor cells. LSD1, an enzyme belonging to the flavin adenine dinucleotide (FAD)-dependent amine oxidase family, is overexpressed in certain tumor cells and plays a key role in the regulation of gene expression and in tumor cell growth and survival.