LSD1 Inhibitor RO7051790

National Cancer Institute

Source

National Cancer Institute. LSD1 Inhibitor RO7051790. NCI Thesaurus. Code C131908.

An orally available inhibitor of lysine specific histone demethylase 1 (KDM1A; LSD1), with potential antineoplastic activity. Upon administration, RO7051790 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. LSD1 inhibition enhances H3K4 methylation and increases the expression of tumor suppressor genes. This may lead to an inhibition of cell growth in LSD1-overexpressing tumor cells. 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. 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 in the regulation of gene expression, tumor cell growth and survival.