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BET Inhibitor INCB057643

National Cancer Institute

Source

National Cancer Institute. <u>BET Inhibitor INCB057643</u>. NCI Thesaurus. Code C128622.

An inhibitor of the Bromodomain (BRD) and Extra-Terminal (BET) family of BRD-containing proteins, with potential antineoplastic activity. Upon administration, the BET inhibitor INCB057643 binds to the acetylated lysine recognition motifs found in the BRD of BET proteins, thereby preventing the interaction between the BET proteins and acetylated lysines on histones. This disrupts chromatin remodeling and gene expression. Prevention of the expression of certain growth-promoting genes, such as c-Myc-dependent target genes, may lead to an inhibition of tumor cell growth. BET proteins are transcriptional regulators that are overexpressed in certain tumor cells and play an important role in cellular growth.

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