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BET-bromodomain Inhibitor ODM-207

National Cancer Institute

Source

National Cancer Institute. *BET-bromodomain Inhibitor ODM-207*. NCI Thesaurus. Code C148138.

An orally bioavailable inhibitor of the Bromodomain and Extra-Terminal (BET) family of proteins, with potential antineoplastic activity. Upon oral administration, the BET inhibitor ODM-207 binds to the acetylated lysine recognition motifs in the bromodomains of BET proteins, thereby preventing the interaction between the BET proteins and acetylated histones. This disrupts chromatin remodeling and gene expression of oncogenic drivers that are important for cell proliferation and survival. Prevention of the expression of certain growth-promoting genes may lead to an inhibition of proliferation in BET-overexpressing tumor cells. BET proteins, comprised of BRD2, BRD3, BRD4 and BRDT, are transcriptional regulators that bind to acetylated lysine residues in histones and play an important role during development and cellular growth. In tumor cells, BET proteins play a key role in the regulation of oncogene transcription and tumor cell proliferation.