

[Open Peer Review on Qeios](#)

BET Inhibitor BMS-986158

National Cancer Institute

Source

National Cancer Institute. *BET Inhibitor BMS-986158*. NCI Thesaurus. Code C121849.

An inhibitor of the Bromodomain (BRD) and Extra-Terminal domain (BET) family of proteins, with potential antineoplastic activity. Upon administration, the BET inhibitor BMS-986158 binds to the acetyl-lysine binding site in the BRD of BET proteins, thereby preventing the interaction between BET proteins and acetylated histones. This disrupts chromatin remodeling and prevents the expression of certain growth-promoting genes, resulting in an inhibition of tumor cell growth. BET proteins (BRD2, BRD3, BRD4 and BRDT) are transcriptional regulators that bind to acetylated lysines on the tails of histones H3 and H4, and regulate chromatin structure and function; they play an important role in the modulation of gene expression during development and cellular growth.