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BET inhibitor BI 894999

National Cancer Institute

Source

National Cancer Institute. *BET inhibitor BI 894999*. NCI Thesaurus. Code C160257.

An orally bioavailable inhibitor of the bromodomain and extra-terminal (BET) family of proteins with potential antineoplastic activity. Upon oral administration, BET inhibitor BI 894999 binds to bromodomain-containing proteins 2, 3, and 4 (BRD2, BRD3, and BRD4) as well as bromodomain testis-specific protein (BRDT), thereby preventing the interaction between BET proteins and acetylated histones. This disrupts chromatin remodeling and suppresses the expression of certain oncogenes, including Myc and other transcriptional regulators. Preventing the expression of certain growth-promoting genes may lead to an inhibition of tumor cell growth. Characterized by a tandem repeat of bromodomains at the N-terminus, BET proteins, comprised of BRD2, BRD3, BRD4 and BRDT, are transcriptional regulators that play an important role during cellular development and growth.