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BET Inhibitor INCB054329

National Cancer Institute

Source

National Cancer Institute. <u>BET Inhibitor INCB054329</u>. NCI Thesaurus. Code C121948.

An inhibitor of the Bromodomain and Extra-Terminal (BET) family of bromodomaincontaining proteins with potential antineoplastic activity. Upon administration, the BET inhibitor INCB054329 binds to the acetylated lysine recognition motifs on the bromodomain of BET proteins, thereby preventing the interaction between the BET proteins and acetylated histones. This disrupts chromatin remodeling and gene expression. Prevention of the expression of certain growth-promoting genes may lead to an inhibition of tumor cell growth. Characterized by a tandem repeat of bromodomain at the N-terminus, BET proteins, BRD2, BRD3, BRD4 and BRDT, are transcriptional regulators that play an important role during cellular growth.