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PRMT1 Inhibitor GSK3368715

National Cancer Institute

Source

National Cancer Institute. *PRMT1 Inhibitor GSK3368715*. NCI Thesaurus. Code C156759.

An orally available inhibitor of protein arginine N-methyltransferase 1 (PRMT 1; Histone-arginine N-methyltransferase PRMT 1; Interferon receptor 1-bound protein 4) with potential antineoplastic activity. Upon administration, GSK3368715 inhibits monomethylation and asymmetric dimethylation of arginine-bearing substrates, including histones, estrogen receptors, RNA-binding proteins, and numerous non-histone substrates catalyzed by PRMT 1. This may inhibit tumor cell proliferation, migration, and invasion that is potentially driven by PRMT 1 overexpression or dysregulation. PRMT 1-mediated methylation plays a key role in the modulation of protein function, gene expression and cellular signaling. Dysregulation and overexpression of PRMT 1 has been associated with a number of solid and hematopoietic cancers.