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# Protein Arginine Methyltransferase 5 Inhibitor PF-06939999

National Cancer Institute

## Source

National Cancer Institute. *Protein Arginine Methyltransferase 5 Inhibitor PF-06939999*.  
NCI Thesaurus. Code C158100.

An orally available inhibitor of protein arginine N-methyltransferase 5 (histone-arginine N-methyltransferase PRMT5; PRMT5) with potential antiproliferative and antineoplastic activities. Although the mechanism of action has not yet been fully elucidated, orally administered PRMT5 inhibitor PF-06939999 inhibits the methyltransferase activity of PRMT5, thereby decreasing the levels of monomethylated and dimethylated arginine residues in histones H2A, H3, and H4, and modulating the expression of genes involved in several cellular processes including cell proliferation. This may increase the expression of antiproliferative genes and/or decrease the expression of genes that promote cell proliferation, and may decrease the growth of rapidly proliferating cells, including cancer cells. PRMT5, an arginine methyltransferase that can catalyze the formation of both omega-N monomethylarginine (MMA) and symmetrical dimethylarginine (sDMA) on histones and a variety of other protein substrates, is overexpressed in several neoplasms.