

DNA Methylation

DNA methylation increases at promoters of tumor suppressor genes with cancer progression. DNA methyltransferase (DNMT) inhibitors may therefore be effective cancer therapeutics through activation of tumor suppressor gene expression. Two DNMT inhibitors (5'-azacytidine, aka Vidaza, and decitabine, aka Dacogen) have been FDA approved for the treatment of myelodysplastic syndrome and several others, including 2'-deoxy-5-fluorocytidine, are in clinical trials. In addition, DNA demethylation appears to be an inefficient step during stem cell reprogramming, and DNMT inhibitors have been shown to improve the transition to a stable pluripotent state.¹

5-Azacytidine (5-azaC, Vidaza)

Specific inhibitor of DNMTs. Induces demethylation and reactivation of silenced genes². Increases stem cell reprogramming efficiency.

Product No: 10-1267 50 mg/ 250 mg/

Decitabine

Inhibits DNMTs. Induces demethylation and reactivation of silenced genes. Increases stem cell reprogramming efficiency. Antitumor agent.³

Product No: 10-2412 10 mg/ 50 mg/

RG-108

A non-nucleoside inhibitor of DNMTs. Low micromolar concentrations demethylate genomic DNA without detectable toxicity.⁴

Product No: 10-2546 5 mg/ 25 mg/

2'-Deoxy-5-fluorocytidine

Pyrimidine analog DNMT inhibitor that integrates into chromatin to inhibit DNA methylation.⁵

Product No: 10-2685 20 mg/ 100 mg/

Zebularine

A cytidine analog which acts as a potent DNMT inhibitor. It potentiates the effects of classical chemotherapeutic agents such as oxaliplatin and 5-fluorouracil.⁶

Product No: 10-2432 5 mg/ 25 mg/

6-Thioguanine

Downregulates DNMT1 by facilitating proteasome-mediated degradation of DNMT1.⁷

Product No: 10-1282 100 mg/ 1 g/

Mithramycin A

Natural product DNMT inhibitor.⁸

Product No: 10-2085 1 mg/ 5 mg/

SGI-1027

Potent and selective inhibitor of DNMT1, 3A, and 3B.⁹

Product No: 10-5488 5 mg/ 25 mg/

PF-9366

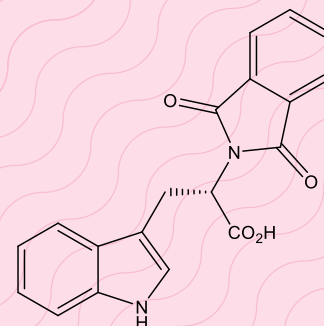
Allosteric inhibitor of methionine adenosyltransferase 2A (MAT2A), an enzyme involved in the biosynthesis of S-adenosyl-L-methionine (SAM).¹⁰

Product No: 10-4635 5 mg/ 25 mg/

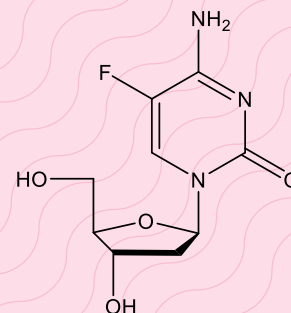
DBIC

Specifically inhibits S-nitrosylation of DNA methyltransferase 3B (DNMT3B).¹¹

Product No: 10-5161 5 mg/ 25 mg/



RG-108



2'-Deoxy-5-fluorocytidine

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