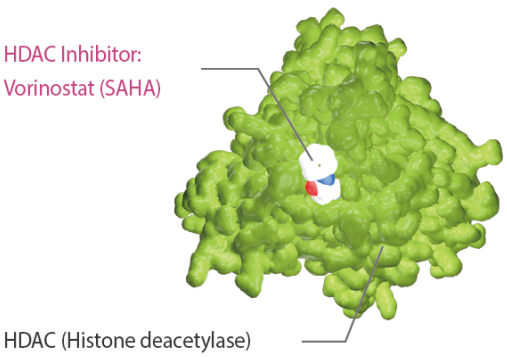
# DNA Methyltransferase

## DNMTs;DNA MTases




DNA methylation, defined by the addition of a methyl group to adenine or cytosine bases in DNA catalyzed by DNA methyltransferases (MTases), is one of the most studied post-replicative DNA modification mechanism in bacteria. The three forms of nucleotide methylation identified to date are: N6-methyladenine($^{m6}$A), N4-methylcytosine ($^{m4}$C), and 5-methylcytosine ($^{m5}$C).

DNA methylation, one type of epigenetic modification, represses gene expression. DNA methylation is caused primarily by a family of DNA methyltransferases (DNMTs) including DNMT1, DNMT3a and DNMT3b. Conventionally, DNMT1 acts as the primary maintenance methyltransferase to keep the methylation of DNA that is already established at the genome, whereas DNMT3a and DNMT3b are classified asde novo methyltransferases to reversibly methylate unmethylated DNA. DNA methylation represses gene transcription through several mechanisms including physically blocking the binding of transcription factors and/or functioning as docking sites for transcriptional repressors/corepressors.

In epigenetic transcriptional regulation, which is important for embryonic development, DNA-methylation patterns are determined by de novo methylation by the DNA methyltransferases Dnmt3a and Dnmt3b in the embryo.

DNA methylation on the cytosine of CpGdinucleotides in gene promoter regions is associated with silencing gene expression. Of the DNA methyltransferases, only DNA methyltransferase 3a (DNMT3a) and 3b (DNMT3b) are capable of adding de novo CpG methylation marks and thus may dynamically regulate gene silencing.

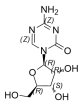
# DNA Methyltransferase Inhibitors & Modulators

## 5-Azacytidine

(Ladakamycin; 5-AzaC; Azacitidine) Cat. No.: HY-10586

**Bioactivity:** 5-Azacytidine is a nucleoside analogue of cytidine that specifically inhibits DNA methylation by trapping **DNA methyltransferases**.

**Purity:** 99.97%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 100 mg, 200 mg, 500 mg

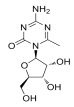


## 6-Methyl-5-azacytidine

Cat. No.: HY-111644

**Bioactivity:** 6-Methyl-5-azacytidine is a potent DNMT inhibitor.

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 10 mg

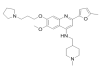


## CM-579

Cat. No.: HY-117421

**Bioactivity:** CM-579 is a first-in-class reversible, dual inhibitor of **G9a** and **DNMT**, with **$IC_{50}$** values of 16 nM, 32 nM for G9a and DNMT, respectively. Has potent in vitro cellular activity in a wide range of cancer cells [1].

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 50 mg, 100 mg

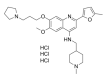


## CM-579 trihydrochloride

Cat. No.: HY-117421A

**Bioactivity:** CM-579 trihydrochloride is a first-in-class reversible, dual inhibitor of **G9a** and **DNMT**, with **$IC_{50}$** values of 16 nM, 32 nM for G9a and DNMT, respectively. Has potent in vitro cellular activity in a wide range of cancer cells [1].

**Purity:** 98.03%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

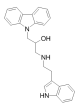


## DC-05

Cat. No.: HY-12746

**Bioactivity:** DC-05 is a DNA methyltransferase 1 ( **DNMT1**) inhibitor, with an **$IC_{50}$** and a **$K_d$** of 10.3 μM and 1.09 μM, respectively.

**Purity:** 99.15%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

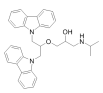


## DC_517

Cat. No.: HY-12747

**Bioactivity:** DC_517 is a DNA methyltransferase 1 ( **DNMT1**) inhibitor, with an **$IC_{50}$** and a **$K_d$** of 1.7 μM and 0.91 μM, respectively.

**Purity:** 98.0%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

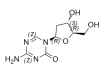


## Decitabine

(NSC 127716; 5-Aza-2'-deoxycytidine) Cat. No.: HY-A0004

**Bioactivity:** Decitabine (NSC 127716) is a **DNA methyltransferase** inhibitor commonly used to treat myelodysplastic syndromes (MDS) and acute myeloid leukemia (AML).

**Purity:** 99.99%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

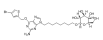


## Glucose-conjugated MGMT inhibitor

(O6BTG-C8-βGlu) Cat. No.: HY-13057

**Bioactivity:** Glucose-conjugated MGMT inhibitor is a potent O $^{6}$-methylguanine-DNAmethyl-transferase ( **MGMT**) inhibitor, with IC $_{50}$s of 32 nM in vitro (cell extracts) and 10 nM in HeLa S3 cells.

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

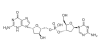


## Guadecitabine

(SGI-110) Cat. No.: HY-13542

**Bioactivity:** Guadecitabine (SGI-110) is a **DNA methyltransferases** ( **DNMT**) inhibitor.

**Purity:** 98.00%
**Clinical Data:** Phase 3
**Size:** 5 mg, 10 mg

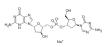


## Guadecitabine sodium

(SGI-110 sodium; S-110 sodium) Cat. No.: HY-15229

**Bioactivity:** Guadecitabine sodium (SGI-110 sodium; S-110 sodium) is a dinucleotide consisting of 5-Aza-CdR followed by a deoxyguanosine which shows to be an effective **DNA methylation inhibitor**.

**Purity:** 98.06%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg

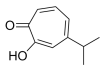


## Hinokitiol

(β-Thujaplicin) Cat. No.: HY-B2230

**Bioactivity:** Hinokitiol is a component of essential oils isolated from Chymacyparis obtusa, reduces **Nrf2** expression, and decreases **DNMT1** and UHRF1 mRNA and protein expression, with anti-infective, anti-oxidative, and anti-tumor activities.

**Purity:** 98.0%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 50 mg, 100 mg

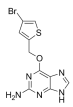


## Lomeguatrib

(PaTrin-2) Cat. No.: HY-13668

**Bioactivity:** Lomeguatrib is a O $^{6}$-methylguanine-DNA methyltransferase ( **MGMT**) inhibitor, with **$IC_{50}$**s of 9 nM in cell-free assay and 6nM in MCF-7 cells.

**Purity:** 97.95%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

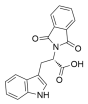


## RG108

(N-Phthalyl-L-tryptophan) Cat. No.: HY-13642

**Bioactivity:** RG108 is a non-nucleoside inhibitor of **DNA methyltransferase** with an **$IC_{50}$** of 115 nM.

**Purity:** 99.75%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

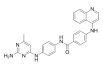


## SGI-1027

Cat. No.: HY-13962

**Bioactivity:** SGI-1027 is a **DNA methyltransferase (DNMT)** inhibitor, with **$IC_{50}$**s of 7.5 μM, 8 μM, and 12.5 μM for DNMT3B, DNMT3A, and DNMT1 with poly(dI-dC) as substrate.

**Purity:** 99.77%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

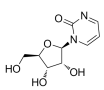


## Zebularine

(NSC309132; 4-Deoxyuridine) Cat. No.: HY-13420

**Bioactivity:** Zebularine (NSC309132; 4-Deoxyuridine) is a **DNA methyltransferase** inhibitor. Zebularine also inhibits **cytidine deaminase** with a **$K_i$** of 0.95 μM.

**Purity:** 99.92%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg



## γ-Oryzanol

Cat. No.: HY-B2194

**Bioactivity:** γ-Oryzanol is a potent **DNA methyltransferases** ( **DNMTs**) inhibitor in the striatum of mice. γ-Oryzanol significantly inhibits the activities of **DNMT1** ( **$IC_{50}$**=3.2 μM), **DNMT3a** ( **$IC_{50}$**=22.3 μM).

**Purity:** 95.0%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 1 g