DNA Methyltransferase

DNMTs; DNA MTases

DNA methyltransferases (DNMTs) are a family of “writer” enzymes responsible for DNA methylation that is the addition of a methyl group to the carbon atom number five (C5) of cytosine. Mammalians encode five DNMTs: DNMT1, DNMT2, DNMT3A-DNMT3B (de novo methyltransferases), and DNMTL. DNMT1, DNMT3A, and DNMT3B are the three active enzymes that maintain DNA methylation. DNMT3L has no catalytic activity and functions as a regulator of DNMT3A and DNMT3B, whereas DNMT2 acts as a tRNA transferase rather than a DNA methyltransferase.

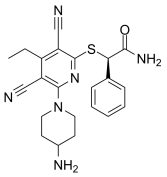
DNA methylation is a vital modification process in the control of genetic information, which contributes to the epigenetics by regulating gene expression without changing the DNA sequence. In prokaryotes, DNA methylation is essential for transcription, the direction of post-replicative mismatch repair, the regulation of DNA replication, cell-cycle control, bacterial virulence, and differentiating self and non-self DNA. In mammalians, DNA methylation is crucial in many key physiological processes, including the inactivation of the X-chromosome, imprinting, and the silencing of germline-specific genes and repetitive elements.

DNA Methyltransferase Inhibitors

(R)-GSK-3685032

Cat. No.: HY-139664A

(R)-GSK-3685032 is the R-enantiomer of GSK-3685032. GSK-3685032 is a non-time-dependent, noncovalently, first-in-class reversible **DNMT1**-selective inhibitor, with an IC_{50} of 0.036 μM.

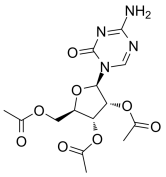


Purity: 98.02%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

2′,3′,5′-Triacetyl-5-azacytidine

Cat. No.: HY-112551

2′,3′,5′-Triacetyl-5-azacytidine is an orally active prodrug of 5-Azacytidine. 5-Azacytidine is an inhibitor of DNA methyltransferase.

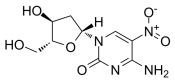


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

2′-Deoxy-5-nitrocytidine

Cat. No.: HY-145950

2′-Deoxy-5-nitrocytidine is a **DNA Methyltransferase** inhibitor extracted from patent CN108498529A. 2′-Deoxy-5-nitrocytidine can be used for the research of cancer.



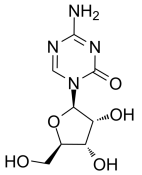
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

5-Azacytidine

(Azacitidine; 5-AzaC; Ladakamycin)

Cat. No.: HY-10586

5-Azacytidine (Azacitidine; 5-AzaC; Ladakamycin) is a nucleoside analogue of cytidine that specifically inhibits DNA methylation.

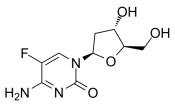


Purity: 99.40%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 200 mg, 500 mg

5-Fluoro-2'-deoxycytidine

Cat. No.: HY-116217

5-Fluoro-2'-deoxycytidine, a fluoropyrimidine nucleoside analogue, is a **DNA methyltransferase (DNMT)** inhibitor. 5-Fluoro-2'-deoxycytidine is a tumor-selective prodrug of the potent thymidylate synthase inhibitor 5-fluoro-2′-dUMP.



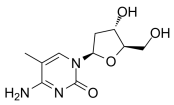
Purity: 99.10%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg

5-Methyl-2'-deoxycytidine

(5-Methyldeoxycytidine)

Cat. No.: HY-W012078

5-Methyl-2'-deoxycytidine in single-stranded DNA can act in cis to signal de novo DNA methylation.

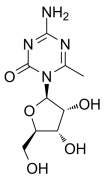


Purity: 98.15%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 100 mg

6-Methyl-5-azacytidine

Cat. No.: HY-111644

6-Methyl-5-azacytidine is a potent DNMT inhibitor.



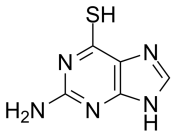
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

6-Thioguanine

(Thioguanine; 2-Amino-6-purinethiol)

Cat. No.: HY-13765

6-Thioguanine (Thioguanine; 2-Amino-6-purinethiol) is an anti-leukemia and immunosuppressant agent, acts as an inhibitor of SARS and MERS coronavirus papain-like proteases (**PLpros**) and also potently inhibits **USP2** activity, with IC_{50}s of 25 μM and 40 μM for Plpros and recombinant human...

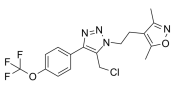


Purity: ≥99.0%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg

AA-CW236

Cat. No.: HY-119390

AA-CW236 is a **MGMT (O6-methylguanine DNA methyltransferase)** inhibitor. AA-CW236 targets MGMT active site Cys145 for covalent modification.

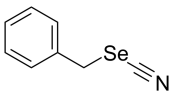


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Benzyl selenocyanate

Cat. No.: HY-131991

Benzyl selenocyanate is a chemopreventive agent for various chemically induced tumors in animal models at both the initiation and postinitiation stages. Benzyl selenocyanate is an inhibitor of **DNA (cytosine-5)-methyltransferase (Mtase)**, with an with an IC_{50} of 8.4 μM.



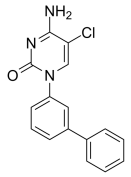
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

Bobcat339

Cat. No.: HY-111558

Bobcat339 is a potent and selective cytosine-based inhibitor of **TET enzyme**, with IC_{50}s of 33 μM and 73 μM for **TET1** and **TET2**, respectively.

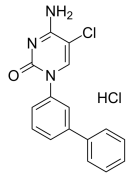


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Bobcat339 hydrochloride

Cat. No.: HY-111558A

Bobcat339 hydrochloride is a potent and selective cytosine-based inhibitor of **TET enzyme**, with the IC_{50}s of 33 μM and 73 μM for **TET1** and **TET2**, respectively.

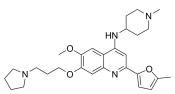


Purity: 99.02%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

CM-272

Cat. No.: HY-101925

CM-272 is a first-in-class, potent, selective, substrate-competitive and reversible dual **G9a/DNA methyltransferases (DNMTs)** inhibitor with antitumor activities.

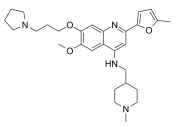


Purity: 99.27%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

CM-579

Cat. No.: HY-117421

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.

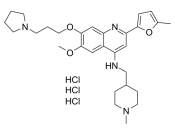


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

CM-579 trihydrochloride

Cat. No.: HY-117421A

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.

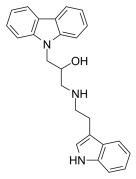


Purity: 98.03%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

DC-05

Cat. No.: HY-12746

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.

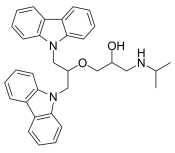


Purity: 99.08%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

DC_517

Cat. No.: HY-12747

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.



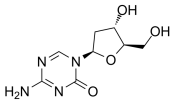
Purity: 99.41%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Decitabine

(5-Aza-2'-deoxycytidine; 5-AZA-CdR; NSC 127716)

Cat. No.: HY-A0004

Decitabine (NSC 127716) is an orally active **deoxycytidine analogue antimetabolite** and a **DNA methyltransferase** inhibitor.



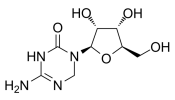
Purity: 99.97%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg, 1 g, 2 g

Dihydro-5-azacytidine

(DHAC; NSC 264880)

Cat. No.: HY-106689

Dihydro-5-azacytidine (DHAC), the nucleoside analog, is incorporated into DNA and inhibits **DNA methylation**. Dihydro-5-azacytidine has an antitumor activity.

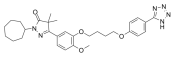


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

DNMT3A-IN-1

Cat. No.: HY-144433

DNMT3A-IN-1 is a potent and selective **DNMT3A** inhibitor. DNMT3A-IN-1 shows inhibitor activities against DNMT3A with k_i values range from 9.16-18.85 μM (AdoMet) and 11.37-23.34 μM (poly dI-dC) .

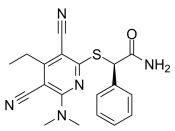


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GSK-3484862

Cat. No.: HY-135146

GSK-3484862 is a non-covalent inhibitor for **Dnmt1**. GSK-3484862 induces DNA hypomethylation to against cancer. GSK-3484862 mediates global demethylation in murine embryonic stem cells.

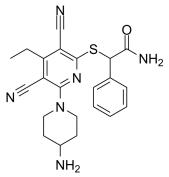


Purity: 99.94%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

GSK-3685032

Cat. No.: HY-139664

GSK-3685032 is a non-time-dependent, noncovalently, first-in-class reversible **DNMT1**-selective inhibitor, with an IC_{50} of 0.036 μM. GSK-3685032 induces robust loss of DNA methylation, transcriptional activation, and cancer cell growth inhibition.



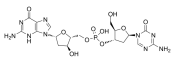
Purity: 98.53%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Guadecitabine

(SGI-110)

Cat. No.: HY-13542

Guadecitabine (SGI-110) is a second-generation **DNA methyltransferases** (**DNMT**) inhibitor for research of acute myeloid leukemia (AML) and myelodysplastic syndromes (MDS).



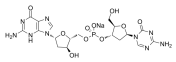
Purity: 98.0%
Clinical Data: Phase 3
Size: 1 mg, 5 mg

Guadecitabine sodium

(SGI-110 sodium; S-110 sodium)

Cat. No.: HY-15229

Guadecitabine sodium (SGI-110 sodium) is a second-generation **DNA methyltransferases** (**DNMT**) inhibitor for research of acute myeloid leukemia (AML) and myelodysplastic syndromes (MDS).



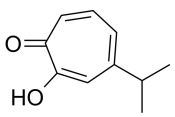
Purity: 98.05%
Clinical Data: Phase 3
Size: 5 mg, 10 mg

Hinokitiol

(β-Thujaplicin)

Cat. No.: HY-B2230

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.

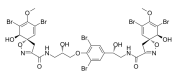


Purity: 98.24%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 50 mg, 100 mg

Isofistularin-3

Cat. No.: HY-19826

Isofistularin-3 is a direct, DNA-competitive **DNMT1** inhibitor, with an IC_{50} of 13.5 μM. Isofistularin-3, as a DNA demethylating agent, induces cell cycle arrest and sensitization to TRAIL in cancer cells. Isofistularin-3 can be used as an ADC cytotoxin.



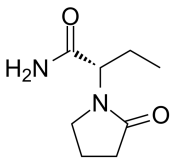
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Levetiracetam

(UCB L059)

Cat. No.: HY-B0106

Levetiracetam, an antiepileptic agent, binds the synaptic vesicle protein **SV2A**. Levetiracetam enhances Temozolomide effect on glioblastoma stem cell proliferation and apoptosis.



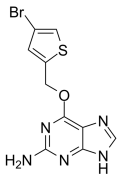
Purity: 99.99%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg, 100 mg, 500 mg

Lomeguatrib

(PaTrin-2)

Cat. No.: HY-13668

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.

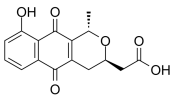


Purity: 99.70%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Nanaomycin A

Cat. No.: HY-103397

Nanaomycin A is the first selective **DNMT3B** inhibitor with an IC_{50} of 500 nM. Nanaomycin A, a quinone antibiotics, reactivates silenced tumor suppressor genes in human cancer cells.



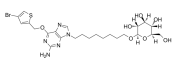
Purity: 98.18%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

O6BTG-octylglucoside

(Glucose-conjugated MGMT inhibitor)

Cat. No.: HY-13057

O6BTG-octylglucoside 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.



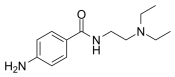
Purity: ≥95.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Procainamide

(Procaine amide; SP 100)

Cat. No.: HY-A0084A

Procainamide is a specific and potent inhibitor of DNA methyltransferase 1 (**DNMT1**). Procainamide is a Class 1A antiarrhythmic agent. Procainamide has the potential for the research of cancer and arrhythmias.

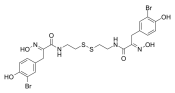


Purity: 95.31%
Clinical Data: Launched
Size: 1 mg, 5 mg

Psammaplin A

Cat. No.: HY-N2150

Psammaplin A, a marine metabolite, is a potent inhibitor of **HDAC** and **DNA methyltransferases**. Psammaplin A ia a highly potent and selective **DAC1** inhibitor with an IC_{50} of 0.9 nM.



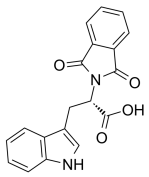
Purity: >98%
Clinical Data: No Development Reported
Size: 100 μg

RG108

(N-Phthalyl-L-tryptophan)

Cat. No.: HY-13642

RG108 (N-Phthalyl-L-tryptophan) is a non-nucleoside **DNA methyltransferases (DNMTs)** inhibitor (IC_{50}=115 nM) that blocks the DNMTs active site.

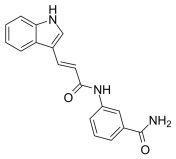


Purity: 99.81%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

RSC133

Cat. No.: HY-12310

RSC133 exhibits dual activity by inhibiting **histone deacetylase** and **DNA methyltransferase**. RSC133 effectively facilitates reprogramming of human somatic cells to pluripotent stem cells and supports the maintenance of an undifferentiated state of human pluripotent stem cells.

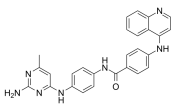


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

SGI-1027

Cat. No.: HY-13962

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.

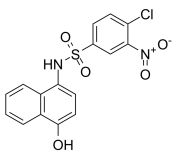


Purity: 99.35%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

SW155246

Cat. No.: HY-123346

SW155246 is a **DNA methyltransferase** (**DNMT1**) selective inhibitor with IC_{50}s of 1.2 and 38 μM for hDNMT1 and mDNMT3A, respectively. SW155246 can be used for the research of cancer and other diseases.

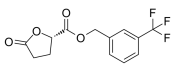


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

TFMB-(S)-2-HG

Cat. No.: HY-129079A

TFMB-(S)-2-HG is a potent inhibitor of the 5'-methylcytosine hydroxylase TET2. TFMB-(S)-2-HG also inhibits the EglN prolyl hydroxylases. TFMB-(S)-2-HG has the potential for the research of acute myeloid leukemia (AML).



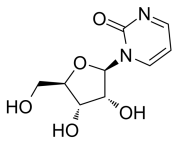
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Zebularine

(NSC309132; 4-Deoxyuridine)

Cat. No.: HY-13420

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

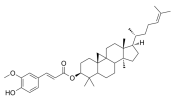


Purity: 99.62%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

γ-Oryzanol

Cat. No.: HY-B2194

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: Launched
Size: 10 mM × 1 mL, 500 mg, 1 g