Antifolate

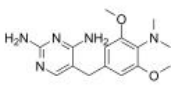
Antifolates agents work by antagonizing (blocking) the actions of folic acid (vitamin B9). Antifolates act specifically during DNA and RNA synthesis, exerting a cytotoxic effect during the S- phase of the cell cycle. Antifolates targeting folate metabolism played a pivotal role in drug treatment of malignant, microbial, parasitic and chronic inflammatory diseases.

Folate (folic acid) cofactors are essential for the synthesis and metabolism of amino acids, consequently antifolates inhibit cell division, DNA/RNA synthesis and repair and protein synthesis. Some such as Proguanil, Pyrimethamine and Trimethoprim selectively inhibit folate's actions in microbial organisms such as bacteria, protozoa and fungi. Major antifolate enzyme targets and exemplary antifolates that target these enzymes include: dihydrofolate reductase (DHFR), thymidylate synthase (TS), GARFTase and AICARFTase.

Antifolate Inhibitors, Antagonists & Chemicals

Aditoprime
(Aditoprim) Cat. No.: HY-139743

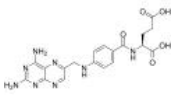
Aditoprime (Aditoprim), a selective bacterial **dihydrofolate reductase (DHFR)** inhibitor, inhibits the transformation of dihydrofolic acid to tetrahydrofolic acid. Aditoprime inhibits E.coli and L.casei DHFR with IC_{50} of 47 and 520 nM, respectively.



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

Aminopterin
(4-Aminofolic acid; APGA) Cat. No.: HY-14518

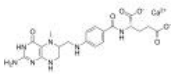
Aminopterin (4-Aminofolic acid), the 4-amino derivative of folic acid, is a **folic acid** antagonist. Aminopterin catalyses the reduction of folic acid to tetrahydrofolic acid, and competitively inhibits dihydrofolate reductase **(DHFR)** with a K_i of 3.7 pM.



Purity: 98.02%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

Calcium N5-methyltetrahydrofolate
(NSC173328) Cat. No.: HY-17557

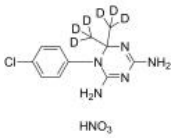
Calcium N5-methyltetrahydrofolate(NSC173328) is the calcium salt of levomefolic acid, which has been proposed for treatment of cardiovascular disease and advanced cancers such as breast and colorectal cancers. IC50 value: Target:.



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

Cycloguanil D6 Nitrate
(Chlorguanide triazine D6 Nitrate) Cat. No.: HY-12784S1

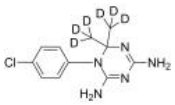
Cycloguanil D6 Nitrate is the deuterium labeled Cycloguanil, which is a dihydrofolate reductase inhibitor.



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

Cycloguanil-d6
(Chlorguanide triazine-d6) Cat. No.: HY-12784S

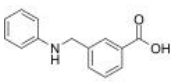
Cycloguanil D6 is the deuterium labeled Cycloguanil, which is a dihydrofolate reductase inhibitor.



Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 1 mg

DHFR-IN-2
Cat. No.: HY-147661

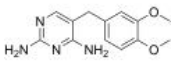
DHFR-IN-2 (compound 4e) is a potent and uncompetitive inhibitor for **MtDHFR** (dihydrofolate reductase from M. tuberculosis), with an IC_{50} of 7 μM. DHFR-IN-2 can be used for tuberculosis (TB) research.



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

Diaveridine
(EGIS-5645) Cat. No.: HY-B1902

Diaveridine (EGIS-5645) is a **dihydrofolate reductase** (**DHFR**) inhibitor with a K_i of 11.5 nM for the wild type DHFR and also an antibacterial agent.



Purity: 98.48%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 250 mg

EC0488
Cat. No.: HY-128939

EC0488 is used to synthesize EC0531 with folate receptor (**FR**)-specific and anti-tumor activities.

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

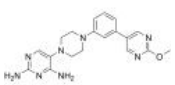
EC0489
Cat. No.: HY-114306

EC0489, a conjugate of folic acid and desacetyl vinblastine hydrazide, is a high-affinity ligand for the folate receptor (FR). Refractory or metastatic Tumor. Small molecule-drug conjugate (SMDC).

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

Fanotaprim
(VYR-006) Cat. No.: HY-137439

Fanotaprim is a dihydrofolate reductase **(DHFR)** inhibitor with IC_{50}s of 1.57 and 308 nM for tgDHFR (Toxoplasma gondii DHFR) and hDHFR (human DHFR), respectively. Fanotaprim has the potential for the research of toxoplasmosis.



Purity: 98.89%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

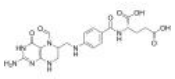
Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

Folinic acid

(leucovorin)

Cat. No.: HY-17556

Folinic acid (Leucovorin) is a biological folic acid and is generally administered along with methotrexate (MTX) as a rescue agent to decrease MTX-induced toxicity.



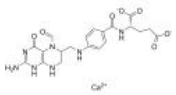
Purity: 99.90%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Folinic acid calcium

(Leucovorin calcium; Calcium folinate)

Cat. No.: HY-13664

Folinic acid calcium (Leucovorin calcium) is a biological folic acid and is generally administered along with methotrexate (MTX) as a rescue agent to decrease MTX-induced toxicity.



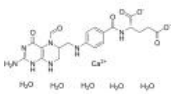
Purity: 99.38%
Clinical Data: Launched
Size: 100 mg, 500 mg

Folinic acid calcium salt pentahydrate

(Leucovorin calcium salt pentahydrate)

Cat. No.: HY-B0080

Folinic acid calcium salt pentahydrate (Leucovorin calcium salt pentahydrate) is a biological folic acid and is generally administered along with methotrexate (MTX) as a rescue agent to decrease MTX-induced toxicity.

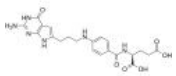


Purity: ≥98.0%
Clinical Data: Launched
Size: 100 mg, 500 mg

FRα-IN-1

Cat. No.: HY-147699

FRα-IN-1 (Compound 4) is a tumor-targeting agent. FRα-IN-1 shows selective anticancer activity towards folate receptors (**FRα** and **FRβ**) expression cells.



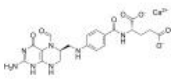
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Levoleucovorin Calcium

(Calcium levofolinate; CL307782)

Cat. No.: HY-13667

Levoleucovorin calcium is the calcium salt of Levoleucovorin, which is the enantiomerically active form of folinic acid.

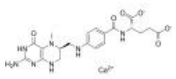


Purity: 99.50%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg, 1 g, 2 g

Levomefolate calcium

Cat. No.: HY-17383

Levomefolate calcium is an artificial form of folate. IC50 Value: Target: Antifolate The calcium salt of L-5-methyltetrahydrofolic acid which belongs to the group of folate vitamins (Vitamin B9, Folacin).



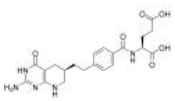
Purity: 97.11%
Clinical Data: Launched
Size: 10 mg, 50 mg

Lometrexol

(DDATHF)

Cat. No.: HY-14521

Lometrexol (DDATHF), an antipurine **antifolate**, can inhibit the activity of **glycinamide ribonucleotide formyltransferase (GARFT)** but do not induce detectable levels of DNA strand breaks.



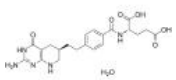
Purity: >98%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

Lometrexol hydrate

(DDATHF hydrate)

Cat. No.: HY-14521B

Lometrexol hydrate (DDATHF hydrate), an antipurine **antifolate**, can inhibit the activity of **glycinamide ribonucleotide formyltransferase (GARFT)** but do not induce detectable levels of DNA strand breaks.

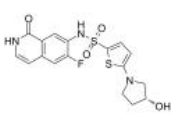


Purity: 99.20%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

LSN 3213128

Cat. No.: HY-107981

LSN 3213128 is a selective, nonclassical, orally bioavailable antifolate with potent and specific inhibitory activity for aminoimidazole-4-carboxamide ribonucleotide formyltransferase (**AICARFT**), with IC_{50} of 16 nM for AICARFT enzyme inhibiton and 19 nM in...

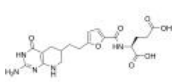


Purity: 99.75%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

LY 222306

Cat. No.: HY-14522

LY 222306 is a glycinamide ribonucleotide formyltransferase **(GARFT)** inhibitor with a K_i of 0.77 nM.

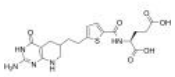


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

LY 254155

Cat. No.: HY-14523

LY 254155, an antifolate, inhibits **hGARFT** and binds to **mFBP** with K_is of 2.1±0.2 and 1.7±0.1 nM, respectively.



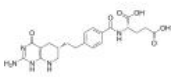
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

LY243246

((6S)-DDATHF)

Cat. No.: HY-117058

LY243246 ((6S)-DDATHF), the 6S diastereomer of DDATHF, is a potent competitive inhibitor of 5′-phosphoribosylglycinamide formyltransferase **(GAR transformylase)**.

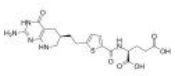


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

LY309887

Cat. No.: HY-10818

LY309887 is a potent inhibitor of glycinamide ribonucleotide formyltransferase (**GARFT**), with a K_i of 6.5 nM, and has antitumor activity.



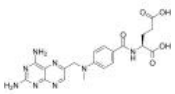
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Methotrexate

(Amethopterin; CL14377; WR19039)

Cat. No.: HY-14519

Methotrexate (Amethopterin), an **antimetabolite** and **antifolate** agent, inhibits the enzyme dihydrofolate reductase, thereby preventing the conversion of folic acid into tetrahydrofolate, and inhibiting DNA synthesis.

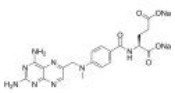


Purity: 99.87%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg

Methotrexate disodium (Amethopterin disodium; CL14377 disodium; WR19039 disodium)

Cat. No.: HY-14519A

Methotrexate (Amethopterin) disodium, an **antimetabolite** and **antifolate** agent, inhibits the enzyme dihydrofolate reductase, thereby preventing the conversion of folic acid into tetrahydrofolate, and inhibiting DNA synthesis.



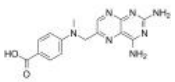
Purity: 98.26%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg

Methotrexate metabolite

(DAMPA)

Cat. No.: HY-108251

Methotrexate metabolite (DAMPA), the active metabolite of Methotrexate. Methotrexate is a **folic acid** antagonist that is widely used as an immunosuppressant and chemotherapeutic agent.



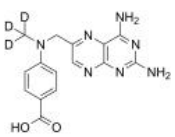
Purity: 98.22%
Clinical Data: No Development Reported
Size: 10 mg, 25 mg, 50 mg

Methotrexate metabolite-d3

(DAMPA-d3)

Cat. No.: HY-108251S

Methotrexate metabolite-d3 (DAMPA-d3) is the deuterium labeled Methotrexate metabolite. Methotrexate metabolite (DAMPA), the active metabolite of Methotrexate. Methotrexate is a **folic acid** antagonist that is widely used as an immunosuppressant and chemotherapeutic agent.

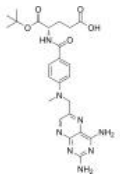


Purity: >98%
Clinical Data: No Development Reported
Size: 2.5 mg, 25 mg

Methotrexate α-tert-butyl ester

Cat. No.: HY-133887

Methotrexate α-tert-butyl ester, capped by OtBu, significantly reduces tumor growth in HT1080 tumor bearing mice. Methotrexate is an antimetabolite and antifolate agent and is also an immunosuppressant and antineoplastic agent.

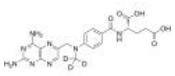


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

Methotrexate-d3

Cat. No.: HY-14519S

Methotrexate-d3 (Amethopterin-d3) is the deuterium labeled Methotrexate.



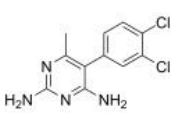
Purity: ≥99.0%
Clinical Data: No Development Reported
Size: 1 mg

Metoprine

(BW 197U)

Cat. No.: HY-129441

Metoprine (BW 197U) is a potent **histamine N-methyltransferase (HMT)** inhibitor. Metoprine, a diaminopyrimidine derivative, can cross the blood-brain barrier and increase brain histamine levels by inhibiting HMT. Metoprine is an **antifolate** and antitumor agent.



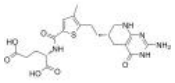
Purity: 99.04%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Pelitrexol

(AG 2037)

Cat. No.: HY-14530

Pelitrexol (AG 2037) is an inhibitor of glycinamide ribonucleotide formyltransferase (**GARFT**).



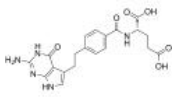
Purity: 99.83%
Clinical Data: Phase 2
Size: 5 mg, 10 mg, 50 mg, 100 mg

Pemetrexed

(LY231514)

Cat. No.: HY-10820

Pemetrexed (LY231514) is an **antifolate**, the K_i values of the pentaglutamate of Pemetrexed (LY231514) are 1.3, 7.2, and 65 nM for inhibits thymidylate synthase (**TS**), dihydrofolate reductase (**DHFR**), and glycinamide ribonucleotide formyltransferase (**GARFT**), respectively.



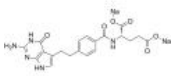
Purity: 99.95%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg, 100 mg

Pemetrexed disodium

(LY231514 disodium)

Cat. No.: HY-10820A

Pemetrexed disodium (LY231514 disodium) is an antifolate, the K_is of the pentaglutamate of Pemetrexed disodium are 1.3, 7.2, and 65 nM for inhibits thymidylate synthase (TS), dihydrofolate reductase (DHFR), and glycinamide ribonucleotide formyltransferase (GARFT), respectively.



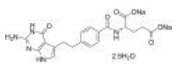
Purity: 99.23%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg, 100 mg, 200 mg

Pemetrexed disodium hemipenta hydrate

(LY231514 disodium hemipenta hydrate)

Cat. No.: HY-13781

Pemetrexed disodium hemipenta hydrate is a novel **antifolate**, the K_i values of the pentaglutamate of LY231514 are 1.3, 7.2, and 65 nM for inhibits thymidylate synthase (**TS**), dihydrofolate reductase (**DHFR**), and glycinamide ribonucleotide formyltransferase (**GARFT**), respectively.



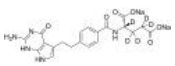
Purity: 99.89%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg

Pemetrexed-d5 disodium

(LY231514-d5 disodium)

Cat. No.: HY-10820AS

Pemetrexed-d5 (LY231514-d5) disodium is the deuterium labeled Pemetrexed disodium.

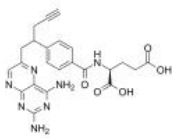


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Pralatrexate

Cat. No.: HY-10446

Pralatrexate is an antifolate and is a potent **dihydrofolate reductasean (DHFR)** inhibitor with a K_i of 13.4 pM. Pralatrexate is a substrate for folylpolyglutamate synthetase with improved cellular uptake and retention.

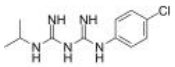


Purity: 99.23%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Proguanil

Cat. No.: HY-B0806

Proguanil, an antimalarial prodrug, is metabolized to the active metabolite Cycloguanil (HY-12784). Proguanil is a dihydrofolate reductase (**DHFR**) inhibitor.

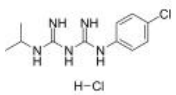


Purity: 99.84%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 25 mg, 50 mg

Proguanil hydrochloride

Cat. No.: HY-B0806A

Proguanil hydrochloride, an antimalarial prodrug, is metabolized to the active metabolite Cycloguanil (HY-12784). Proguanil hydrochloride is a dihydrofolate reductase (**DHFR**) inhibitor.

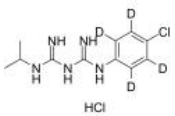


Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg

Proguanil-d4 hydrochloride

Cat. No.: HY-B0806AS

Proguanil-d4 hydrochloride is the deuterium labeled Proguanil hydrochloride. Proguanil hydrochloride, an antimalarial prodrug, is metabolized to the active metabolite Cycloguanil (HY-12784). Proguanil hydrochloride is a dihydrofolate reductase (**DHFR**) inhibitor.



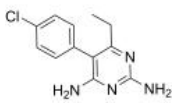
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 10 mg

Pyrimethamine

(Pirimecidan; Pirimetamin; RP 4753)

Cat. No.: HY-18062

Pyrimethamine(RP4753) is a medication used for protozoal infections; interferes with tetrahydrofolic acid synthesis from folic acid by inhibiting the enzyme dihydrofolate reductase (DHFR).

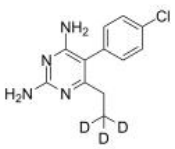


Purity: 99.94%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg

Pyrimethamine-d3

Cat. No.: HY-18062S

Pyrimethamine-d3 (Pirimecidan-d3) is the deuterium labeled Pyrimethamine. Pyrimethamine is a medication used for protozoal infections; interferes with tetrahydrofolic acid synthesis from folic acid by inhibiting the enzyme dihydrofolate reductase (DHFR).



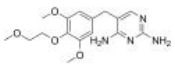
Purity: >98%
Clinical Data:
Size: 1 mg, 10 mg

Tetroxoprim

(HE 781)

Cat. No.: HY-107033

Tetroxoprim is an antimicrobial **DHFR** inhibitor.

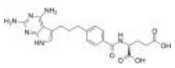


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

TNP-351

Cat. No.: HY-19095

TNP-351 is an antifolate. TNP-351, a **dihydrofolate reductase** (**DHFR**) inhibitor, has potent antitumor activity against not only leukemia cells but also solid tumor cells in vitro and in vivo.

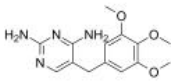


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Trimethoprim

Cat. No.: HY-B0510

Trimethoprim is a bacteriostatic antibiotic and an orally active **dihydrofolate reductase** inhibitor. Trimethoprim is active against a wide range of **Gram-positive** and **Gram-negative aerobic bacteria**.

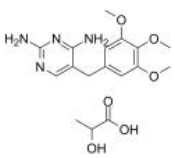


Purity: 99.96%
Clinical Data: Launched
Size: 10 mM × 1 mL, 500 mg, 5 g, 10 g

Trimethoprim lactate

Cat. No.: HY-B0510C

Trimethoprim lactic is a bacteriostatic antibiotic and an orally active **dihydrofolate reductase** inhibitor. Trimethoprim lactic is active against a wide range of **Gram-positive** and **Gram-negative aerobic bacteria**.

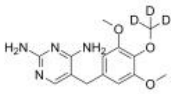


Purity: 99.57%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 500 mg

Trimethoprim-d3

Cat. No.: HY-B0510S2

Trimethoprim-D3 is the deuterium labeled Trimethoprim. Trimethoprim is a bacteriostatic antibiotic and an orally active **dihydrofolate reductase** inhibitor. Trimethoprim is active against a wide range of **Gram-positive** and **Gram-negative aerobic bacteria**.

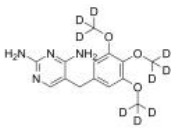


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

Trimethoprim-d9

Cat. No.: HY-B0510S

Trimethoprim-d9 is the deuterium labeled Trimethoprim. Trimethoprim is a bacteriostatic antibiotic and an orally active **dihydrofolate reductase** inhibitor. Trimethoprim is active against a wide range of **Gram-positive** and **Gram-negative aerobic bacteria**.

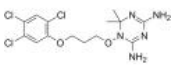


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

WR99210

Cat. No.: HY-116387

WR99210 is a effective inhibitor of **dihydrofolate reductase (DHFR)** with an IC_{50} of <0.075 nM. WR99210 is effective against the most pyrimethamine-resistant Plasmodium falciparum strains.



Purity: 99.57%
Clinical Data: No Development Reported
Size: 10 mg, 50 mg