



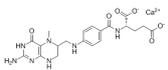
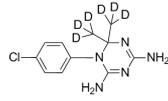
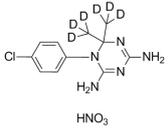
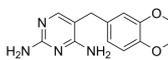
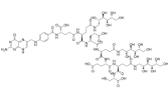
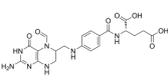
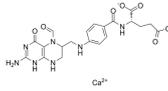
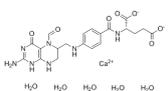
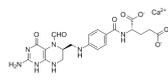
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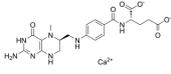
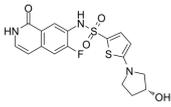
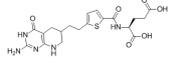
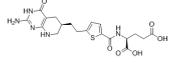
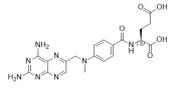
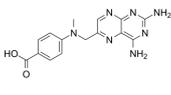
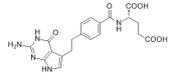
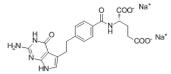
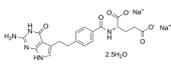
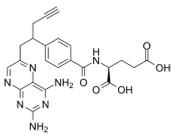
Inhibitors, Agonists, Screening Libraries

Antifolate

Antifolates are compounds that antagonise the actions of folic acid (vitamin B9). Folic acid's primary function in the body is as a cofactor to various methyltransferases involved in serine, methionine, thymidine and purine biosynthesis. 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. The majority of antifolates work by inhibiting dihydrofolate reductase (DHFR). Many are primarily DHFR inhibitors, but Raltitrexed is an inhibitor of thymidylate synthase, and Pemetrexed inhibits both and a third enzyme. Antifolates act specifically during DNA and RNA synthesis, and thus are cytotoxic during the S-phase of the cell cycle. Thus, they have a greater toxic effect on rapidly dividing cells.

Antifolate Inhibitors, Agonists, Antagonists & Modulators

<p>Calcium N5-methyltetrahydrofolate (NSC173328)</p> <p>Cat. No.: HY-17557</p>	<p>Cycloguanil D6 (Chlorguanide triazine D6)</p> <p>Cat. No.: HY-127845</p>
<p>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.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Cycloguanil D6 is the deuterium labeled Cycloguanil, which is a dihydrofolate reductase inhibitor.</p>  <p>Purity: >98.0% Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg</p>
<p>Cycloguanil D6 Nitrate (Chlorguanide triazine D6 Nitrate)</p> <p>Cat. No.: HY-1278451</p>	<p>Diaveridine (EGIS-5645)</p> <p>Cat. No.: HY-B1902</p>
<p>Cycloguanil D6 Nitrate is the deuterium labeled Cycloguanil, which is a dihydrofolate reductase inhibitor.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg</p>	<p>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.</p>  <p>Purity: 98.48% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 250 mg, 1 g, 5 g</p>
<p>EC0488</p> <p>Cat. No.: HY-128939</p>	<p>EC0489</p> <p>Cat. No.: HY-114306</p>
<p>EC0488 is used to synthesize EC0531 with folate receptor (FR)-specific and anti-tumor activities.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 100 mg, 250 mg, 300 mg</p>	<p>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).</p> <p>{Ggu}-QEQQC</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 250 mg, 500 mg</p>
<p>Folic acid (leucovorin)</p> <p>Cat. No.: HY-17556</p>	<p>Folic acid Calcium (Leucovorin Calcium; Calcium Folate)</p> <p>Cat. No.: HY-13664</p>
<p>Folic acid is an adjuvant used in cancer chemotherapy involving the drug methotrexate. Target: Antifolate Folic acid is a 5-formyl derivative of tetrahydrofolic acid. It is readily converted to other reduced folic acid derivatives (e.g.</p>  <p>Purity: >98% Clinical Data: Launched Size: 100 mg</p>	<p>Leucovorin Calcium is a reduced folic acid. IC50 Value: 30 μM for zcSHMT and 70 μM for zmSHMT Target: Antifolate in vitro: Increasing concentrations of leucovorin (N5-CHO-THF) inhibit both zcSHMT and hcSHMT activities substantially, yet to a lesser extent than zmSHMT.</p>  <p>Purity: 99.73% Clinical Data: Launched Size: 100 mg, 500 mg</p>
<p>Folic acid calcium salt pentahydrate (Leucovorin calcium salt pentahydrate)</p> <p>Cat. No.: HY-B0080</p>	<p>Levoleucovorin Calcium (Calcium levofolinate; CL307782)</p> <p>Cat. No.: HY-13667</p>
<p>Folic acid (calcium salt pentahydrate) is a reduced folic acid, which is used in combination with other chemotherapy drugs.</p>  <p>Purity: 99.73% Clinical Data: Launched Size: 100 mg, 200 mg, 500 mg</p>	<p>Levoleucovorin calcium is the calcium salt of Levoleucovorin, which is the enantiomerically active form of folic acid.</p>  <p>Purity: 95.24% Clinical Data: Launched Size: 10 mM × 1 mL, 100 mg, 500 mg, 1 g, 2 g</p>

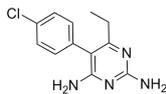
<p>Levomefolate calcium</p> <p>Cat. No.: HY-17383</p>	<p>LSN 3213128</p> <p>Cat. No.: HY-107981</p>
<p>Levomefolate calcium is an artificial form of folate. IC50 Value: Target: Antifolate The calcium salt of L-5-methyltetrahydrofolic acid which belongs to the group of folate vitamins (Vitamin B9, Folicin).</p>  <p>Purity: 95.17%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mg, 50 mg</p>	<p>LSN 3213128 is a selective, nonclassical, orally bioavailable antifolate with potent and specific inhibitory activity for aminoimidazole-4-carboxamide ribonucleotide formyltransferase (AICARFT), with IC₅₀ of 16 nM for AICARFT enzyme inhibitor and 19 nM in...</p>  <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 100 mg, 250 mg, 500 mg</p>
<p>LY 254155</p> <p>Cat. No.: HY-14523</p>	<p>LY309887</p> <p>Cat. No.: HY-10818</p>
<p>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.</p>  <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 250 mg, 500 mg</p>	<p>LY309887 is a potent inhibitor of glycinamide ribonucleotide formyltransferase (GARFT), with a K_i of 6.5 nM, and has antitumor activity.</p>  <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 250 mg, 500 mg</p>
<p>Methotrexate (Amethopterin; CL14377; WR19039)</p> <p>Cat. No.: HY-14519</p>	<p>Methotrexate metabolite (DAMPA)</p> <p>Cat. No.: HY-108251</p>
<p>Methotrexate is a folate antagonist, with median IC₅₀ of 78 nM in in vitro assay.</p>  <p>Purity: 99.85%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mM × 1 mL, 100 mg, 500 mg</p>	<p>Methotrexate metabolite (DAMPA), the active metabolite of Methotrexate. Methotrexate is a folic acid antagonist that is widely used as an immunosuppressant and chemotherapeutic agent.</p>  <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>Pemetrexed (LY231514)</p> <p>Cat. No.: HY-10820</p>	<p>Pemetrexed disodium (LY231514 disodium)</p> <p>Cat. No.: HY-10820A</p>
<p>Pemetrexed 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.</p>  <p>Purity: 99.30%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mM × 1 mL, 50 mg, 100 mg</p>	<p>Pemetrexed disodium is a novel antifolate that inhibits the folatedependent enzymes thymidylate synthase, dihydrofolate reductase, and glycinamide ribonucleotide formyltransferase with K_is of 1.3, 7.2, and 65 nM, respectively.</p>  <p>Purity: 99.77%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mM × 1 mL, 50 mg, 100 mg, 200 mg</p>
<p>Pemetrexed disodium hemipenta hydrate (LY231514 (disodium hemipenta hydrate))</p> <p>Cat. No.: HY-13781</p>	<p>Pralatrexate</p> <p>Cat. No.: HY-10446</p>
<p>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.</p>  <p>Purity: 99.78%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mM × 1 mL, 100 mg, 500 mg</p>	<p>Pralatrexate (Folotylin) is an antifolate, and structurally a folate analog. Its IC₅₀ is < 300 nM in some cell lines.</p>  <p>Purity: 99.23%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>

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: 99.90%

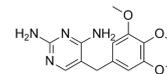
Clinical Data: Launched

Size: 10 mM × 1 mL, 100 mg, 500 mg

Trimethoprim

Cat. No.: HY-B0510

Trimethoprim is a bacteriostatic antibiotic used mainly in the prophylaxis and treatment of urinary tract infections.



Purity: 99.98%

Clinical Data: Launched

Size: 10 mM × 1 mL, 5 g, 10 g