Thymidylate Synthase

Thymidylate synthase (TSase) is a key enzyme in cell proliferation as it catalyzes a reaction essential for DNA replication, a reductive methylation of 2′-deoxyuridine-5′-monophosphate (dUMP) to form 2′-deoxythymidine-5′-monophosphate (dTMP) using the co-substrate N5,N10-methylene-5,6,7,8-tetrahydrofolate (CH2H4F).

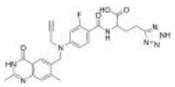
The activity and expression of TSase are tightly controlled throughout the cell cycle, particularly at the translational level. The TSase protein itself binds to the TSase mRNA both at the translational start site (TSS) and in the coding region, inhibiting translational processing of the message. TSase can also bind to the mRNA of at least nine other important gene products, including those of p53 and c-myc. Therefore, manipulating the level of the TSase protein could induce a cascade of consequential effects on cell growth. Because of its importance in DNA precursor synthesis and repair, TSase has proved to be an important target for many chemotherapeutic and antibiotic drugs. Structural analogs of dUMP (e.g., fluoropyrimidines) and CH2H4F (e.g., antifolates) are well-established drugs targeting thymidylate synthase.

Thymidylate Synthase Inhibitors

(Rac)-Plevitrexed

((Rac)-ZD 9331; (Rac)-BGC9331) Cat. No.: HY-13728B

(Rac)-Plevitrexed ((Rac)-ZD 9331; (Rac)-BGC9331) is a racemate of Plevitrexed. Plevitrexed is an orally active and potent thymidylate synthase (TS) inhibitor.

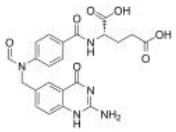


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

10-Formyl-5,8-dideazafolic acid

Cat. No.: HY-143207

10-Formyl-5,8-dideazafolic acid is a **thymidylate synthase** inhibitor.

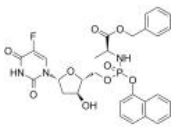


Purity: 96.04%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Fosifloxuridine nafalbenamide

(NUC-3373) Cat. No.: HY-109115

Fosifloxuridine nafalbenamide (NUC-3373), a pyrimidine nucleotide analogue, is a **Thymidylate synthase** inhibitor. Fosifloxuridine nafalbenamide has anticancer activity.

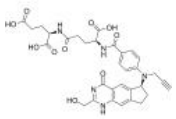


Purity: 98.18%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Idetrexed

(BGC 945; ONX-0801) Cat. No.: HY-10822

Idetrexed is a **thymidylate synthase** inhibitor specifically transported into alpha-folate receptor (alpha-FR)-overexpressing tumors. BGC 945 inhibited thymidylate synthase with a K_i of 1.2 nmol/L.

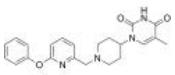


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

MtTMPK-IN-1

Cat. No.: HY-144663

MtTMPK-IN-1 (compound 3) is a potent **Mycobacterium tuberculosis thymidylate kinase (MtTMPK)** inhibitor with an IC_{50} value of 2.5 μM. MtTMPK-IN-1 has moderate to weak activity against Mtb H37Rv and low cytotoxicity in human fibroblast cells MRC-5.

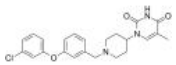


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

MtTMPK-IN-2

Cat. No.: HY-144664

MtTMPK-IN-2 (compound 15) is a potent **Mycobacterium tuberculosis thymidylate kinase (MtTMPK)** inhibitor with an IC_{50} value of 1.1 μM. MtTMPK-IN-2 has inhibitory activity against Mtb H37Rv (MIC = 12.5 μM).

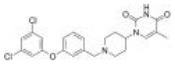


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

MtTMPK-IN-3

Cat. No.: HY-144665

MtTMPK-IN-3 (compound 25) is a potent **Mycobacterium tuberculosis thymidylate kinase (MtTMPK)** inhibitor with an IC_{50} value of 0.12 μM. MtTMPK-IN-3 has inhibitory activity against Mtb H37Rv (MIC = 12.5 μM).

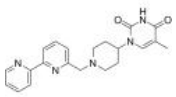


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

MtTMPK-IN-5

Cat. No.: HY-146699

MtTMPK-IN-5 (compound 17) is a potent **M. tuberculosis thymidylate kinase (MtbTMPK)** inhibitor with an IC_{50} value of 34 μM. MtTMPK-IN-5 combines favorable enzyme inhibitory activity with significant activity against M. tuberculosis (MIC = 12.5 μM).

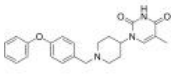


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

MtTMPK-IN-6

Cat. No.: HY-146700

MtTMPK-IN-6 (compound 1) is a potent **M. tuberculosis thymidylate kinase (MtbTMPK)** inhibitor with an IC_{50} value of 29 μM. MtTMPK-IN-6 can be used for researching tuberculosis.

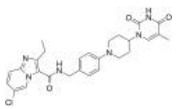


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

MtTMPK-IN-7

Cat. No.: HY-146701

MtTMPK-IN-7 (compound 26) is a moderate **M. tuberculosis thymidylate kinase (MtbTMPK)** inhibitor with an IC_{50} value of 47 μM. MtTMPK-IN-7 has sub-micromolar activity against mycobacteria (MICs = 2.3~4.7 μM) without significant cytotoxicity.

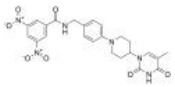


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

MtTMPK-IN-8

Cat. No.: HY-146702

MtTMPK-IN-8 (compound 27) is a moderate **M. tuberculosis thymidylate kinase (MtbTMPK)** inhibitor. MtTMPK-IN-8 has sub-micromolar activity against mycobacteria (MICs = 0.78~9.4 μM) without significant cytotoxicity. MtTMPK-IN-8 can be used for researching tuberculosis.

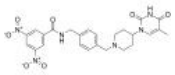


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

MtTMPK-IN-9

Cat. No.: HY-146703

MtTMPK-IN-9 (compound 28) is a moderate **M. tuberculosis thymidylate kinase (MtbTMPK)** inhibitor with an IC_{50} value of 48 μM. MtTMPK-IN-9 has sub-micromolar activity against mycobacteria (MICs = 6.25~9.4 μM) without significant cytotoxicity.



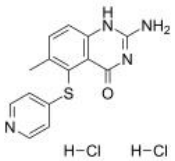
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Nolatrexed dihydrochloride

(AG 337; Thymitaq)

Cat. No.: HY-108474

Nolatrexed dihydrochloride (AG 337) is a non-competitive lipophilic inhibitor of **thymidylate synthase**, interacts at the folate cofactor binding site of the enzyme, with a K_i of 11 nM for human thymidylate synthase.

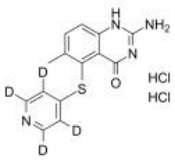


Purity: 98.54%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Nolatrexed-d4 dihydrochloride

Cat. No.: HY-108474S

Nolatrexed-d4 dihydrochloride (AG 337-d4) is the deuterium labeled Nolatrexed dihydrochloride.

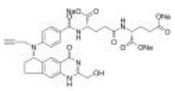


Purity: >98%
Clinical Data:
Size: 1 mg, 10 mg

ONX 0801 trisodium (BGC 945 trisodium; Idetrexed trisodium; CB 300945 trisodium)

Cat. No.: HY-10822A

ONX 0801 (BGC 945) trisodium is a **thymidylate synthase (TS)** inhibitor, targeted to α-folate receptor–overexpressing tumors.



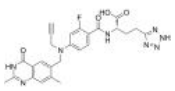
Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg

Plevitrexed

(ZD 9331; BGC9331)

Cat. No.: HY-13728

Plevitrexed (ZD 9331; BGC 9331) is an orally active and potent **thymidylate synthase (TS)** inhibitor with a K_i of 0.44 nM. Plevitrexed is taken up via the α-folate receptor as well as the reduced folate carrier. Plevitrexed is used for gastric cancer in clinical.



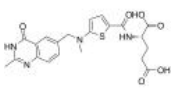
Purity: >98%
Clinical Data: Phase 2
Size: 1 mg, 5 mg

Raltitrexed

(ZD1694; D1694; ICI-D1694)

Cat. No.: HY-10821

Raltitrexed is an antimetabolite drug used in chemotherapy, acting by inhibiting **thymidylate synthase**.

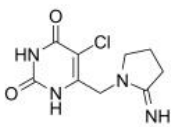


Purity: 99.21%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Tipiracil

Cat. No.: HY-A0063A

Tipiracil is a thymidine phosphorylase (**TPase**) inhibitor.



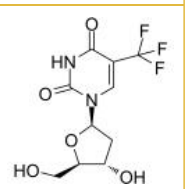
Purity: >98%
Clinical Data: Launched
Size: 5 mg, 10 mg, 50 mg, 100 mg

Trifluridine

(Trifluorothymidine; 5-Trifluorothymidine; TFT)

Cat. No.: HY-A0061

Trifluridine (Trifluorothymidine; 5-Trifluorothymidine; TFT) is an irreversible **thymidylate synthase** inhibitor, and thereby suppresses **DNA synthesis**. Trifluridine is an antiviral drug for **herpes simplex virus (HSV)** infection.



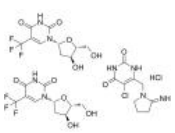
Purity: 99.72%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg, 100 mg, 200 mg

Trifluridine/tipiracil hydrochloride mixture

(TAS-102)

Cat. No.: HY-16478

Trifluridine/tipiracil hydrochloride mixture (TAS-102) is a potent and orally active nucleoside antitumor agent.



Purity: 99.72%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg