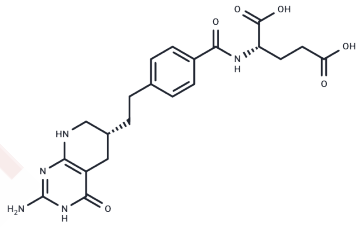
Data Sheet (Cat.No.T15826)

TargetMol

Lometrexol

Chemical Properties



CAS No. : 106400-81-1

Formula: C21H25N5O6

Molecular Weight: 443.45

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Lometrexol (LY 264618), an antipurine Antifolate, can inhibit the activity of glycinamide ribonucleotide formyltransferase (GARFT) but do not induce detectable levels of DNA strand breaks. Lometrexol can further inhibit de novo purine synthesis, causing abnormal cell proliferation and Apoptosis, even cell cycle arrest. Lometrexol has anticancer activity. Lometrexol also is a potent human Serine hydroxymethyltransferase1/2 (hSHMT1/2) inhibitor.
Targets(IC50)	Apoptosis,Bcl-2 Family,Caspase,Antifolate,DHFR
In vitro	Lometrexol binds tightly to GART, resulting in a rapid and prolonged depletion of intracellular purine ribonucleotides. Lometrexol (1-30 μM; 2-10 hours) induces rapid and complete growth inhibition in L1210 cells. Lometrexol (1 μM; 2-24 hours) induces cell cycle arrest in murine leukemia L1210 cells[3].
In vivo	Lometrexol (DDATHF; i.p.; 15-60 mg/kg; on gestation day 7.5) induces neural tube defects (NTDs) by disrupting purine metabolism, increasing embryonic resorption rates, and causing growth retardation in a dose-dependent manner. At 40 mg/kg, it decreases glycinamide ribonucleotide formyl transferase (GARFT) activity and alters ATP, GTP, dATP, and dGTP levels. Additionally, Lometrexol (i.p.; 40 mg/kg; on gestation day 7.5) leads to abnormal cell proliferation and apoptosis in NTDs[1].

Solubility Information

Solubility	DMSO: 100 mg/mL (225.5 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	5% DMSO+40% PEG300+5% Tween 80+50% Saline: 5 mg/mL (11.28 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.255 mL	11.2752 mL	22.5505 mL
5 mM	0.451 mL	2.255 mL	4.5101 mL
10 mM	0.2255 mL	1.1275 mL	2.255 mL
50 mM	0.0451 mL	0.2255 mL	0.451 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Xu L, et al. The effect of inhibiting glycinamide ribonucleotide formyl transferase on the development of neural tube in mice. Nutr Metab (Lond). 2016 Aug 23;13(1):56.
Scaletti E, et, al. Structural basis of inhibition of the human serine hydroxymethyltransferase SHMT2 by antifolate drugs. FEBS Lett. 2019 Jul;593(14):1863-1873.
Bronder JL, et, al. Antifolates targeting purine synthesis allow entry of tumor cells into S phase regardless of p53 function. Cancer Res. 2002 Sep 15;62(18):5236-41.