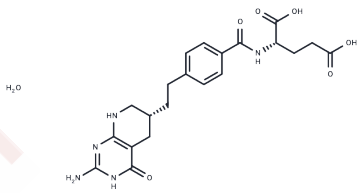
Data Sheet (Cat.No.T41297)

TargetMol

Lometrexol hydrate

Chemical Properties



CAS No. : 1435784-14-7

Formula: C21H27N5O7

Molecular Weight: 461.475

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 hydrate (DDATHF hydrate) is an antipurine antifolate compound that inhibits glycinamide ribonucleotide formyltransferase (GARFT) activity without inducing detectable DNA strand breaks. It further disrupts de novo purine synthesis, leading to abnormal cell proliferation, apoptosis, and cell cycle arrest, exhibiting anticancer activity [1] [2]. Additionally, it acts as a potent inhibitor of human Serine hydroxymethyltransferase1/2 (h SHMT1/2) [3].
Targets(IC50)	Apoptosis,Bcl-2 Family,Others,Caspase,Antifolate
In vitro	Lometrexol hydrate (DDATHF hydrate) binds tightly to GART, causing a rapid and prolonged depletion of intracellular purine ribonucleotides [2]. Lometrexol hydrate (1-30 μM; 2-10 hours) induces rapid and complete growth inhibition in L1210 cells [2]. Lometrexol hydrate (1 μM; 2-24 hours) induces cell cycle arrest in murine leukemia L1210 cells [2]. Lometrexol hydrate induces abnormal proliferation and apoptosis exist in neural tube defects (NTDs) [1]. Cell Viability Assay [2] Cell Line: Mouse leukemia L1210 cells Concentration: 1, 30 μM Incubation Time: 2, 4, 6, 8, 10 hours Result: Induced rapid and complete growth inhibition. Cell Cycle Analysis [2] Cell Line: L1210 cells Concentration: 1 μM Incubation Time: 2, 4, 8, 12, 24 hours Result: Caused a rapid loss of the G2/M phase population of cells and an early S phase accumulation of cells by 8 hours. By 24 h, the S phase population appeared to be slowly shifting to higher DNA content, and hence, from mid-to-late S phase.
In vivo	Lometrexol hydrate (DDATHF hydrate; i.p.; 15-60 mg/kg; on gestation day 7.5) increases the rate of embryonic resorption and growth retardation in a dose-dependent manner [1]. Lometrexol hydrate (i.p.; 40 mg/kg) maximally inhibits GARFT activity after at 6 hours and thereafter gradually increases over time, but remains significantly lower than control even at 96 hours. Levels of ATP, GTP, dATP and dGTP of NTDs embryonic brain tissue decreases significantly at 6 h, and more significantly over time [1]. Animal Model: C57BL/6 mice (7-8 week, 18-20 g) [1] Dosage: 15, 30, 35, 40, 45 and 60 mg/kg Administration: Intraperitoneal injection; on gestation day 7.5 Result: Increased the rate of embryonic resorption and growth retardation in a dose-dependent manner.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1669 mL	10.8347 mL	21.6694 mL
5 mM	0.4334 mL	2.1669 mL	4.3339 mL
10 mM	0.2167 mL	1.0835 mL	2.1669 mL
50 mM	0.0433 mL	0.2167 mL	0.4334 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.