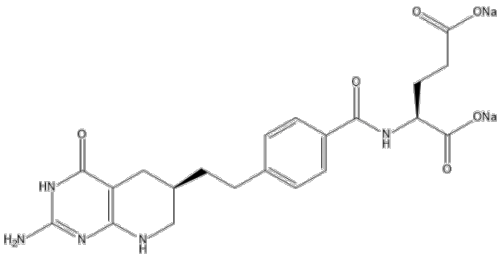
Product Data Sheet

Product Name: Lometrexol disodium
Cat. No.: GC66387

Chemical Properties

Cas. No.	120408-07-3		
Formula	$C_{21}H_{23}N_5Na_2O_6$	M.Wt	487.42
Solubility		Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			



Background

Lometrexol (DDATHF) disodium, an antipurine **antifolate**, can inhibit the activity of **glycinamide ribonucleotide formyltransferase (GARFT)** but do not induce detectable levels of DNA strand breaks. Lometrexol disodium can further inhibit de novo purine synthesis, causing abnormal cell proliferation and **apoptosis**, even cell cycle arrest. Lometrexol disodium has anticancer activity. Lometrexol disodium also is a potent human Serine hydroxymethyltransferase1/2 (h**SHMT1**/**2**) inhibitor[1][2][3].

Lometrexol (DDATHF) disodium binds tightly to GART, resulting in a rapid and prolonged depletion of

intracellular purine ribonucleotides[3].
Lometrexol (1-30 μM; 2-10 hours) disodium induces rapid and complete growth inhibition in L1210 cells[3].
Lometrexol (1 μM; 2-24 hours) disodium induces cell cycle arrest in murine leukemia L1210 cells [3].

Cell Viability Assay[3]

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[3]

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.

Lometrexol (DDATHF; i.p.; 15-60 mg/kg; on gestation day 7.5) disodium induces neural tube defects (NTDs) by disturbing purine metabolism and increases the rate of embryonic resorption and growth retardation in a dose-dependent manner[1].
Lometrexol (i.p.; 40 mg/kg; on gestation day 7.5) disodium decreases glycinamide ribonucleotide formyl transferase (GARFT) activity and Changes of ATP, GTP, dATP and dGTP levels[1].
Lometrexol (i.p.; 40 mg/kg; on gestation day 7.5) disodium induces abnormal proliferation and apoptosis exist in neural tube defects (NTDs)[1].

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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.

Animal Model: C57BL/6 mice (7-8 week, 18-20 g)[1]

Dosage: 40 mg/kg

Administration: Intraperitoneal injection; on gestation day 7.5, for 0, 6, 24, 48 and 96 hours

Result: Inhibited glycinamide ribonucleotide formyl transferase (GARFT) activity and GARFT activity was maximally inhibited after at 6 hours.Decreased the levels of ATP, GTP, dATP, and dGTP of NTDs embryonic brain tissue significantly at 6 hours.

Animal Model: C57BL/6 mice (7-8 week, 18-20 g)[1]

Dosage: 40 mg/kg

Administration: Intraperitoneal injection; on gestation day 7.5, for 4 days

Result: Decreased the expression of proliferation-related genes (Pcna, Foxg1 and Ptch1) and increased the expression of apoptosis-related genes (Bax, Casp8 and Casp9) in NTD groups.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA