

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

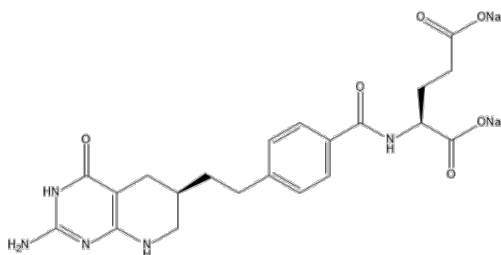
Solubility

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

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intracellular purine ribonucleotides^[3].

Lometrexol (1-30 μ M; 2-10 hours) disodium induces rapid and complete growth inhibition in L1210 cells^[3].

Lometrexol (1 μ M; 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 μ M

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 μ M

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 glycylamide 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.

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