PRODUCT INFORMATION

Lometrexol

Item No. 18049

CAS Registry No.: 106400-81-1
Formal Name: N-[4-[2-[(6R)-2-amino-3,4,5,6,7,8-hexahydro-4-oxopyrido[2,3-d]pyrimidin-6-yl]ethyl]benzoyl]-L-glutamic acid
Synonyms: DDATHF, (6R)-Dideazatetrahydrofolate, LY 264618
MF: C_{21}H_{25}N_5O_6
FW: 443.5
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 224, 279 nm

Laboratory Procedures

For long term storage, we suggest that lometrexol be stored as supplied at -20°C. It should be stable for at least two years.

Lometrexol is supplied as a crystalline solid. A stock solution may be made by dissolving the lometrexol in the solvent of choice. Lometrexol is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of lometrexol in these solvents is approximately 20 and 5 mg/ml, respectively.

Lometrexol is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, lometrexol should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Lometrexol has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Glycinamide ribonucleotide formyltransferase (GART) is a folate-dependent enzyme required for de novo purine synthesis. Lometrexol is a folate analog antimetabolite with antineoplastic activity.\(^1\,\,^2\) At nanomolar concentrations, it inhibits GART preventing de novo purine synthesis, inhibiting DNA synthesis, arresting cells in the S phase of the cell cycle, and inhibiting tumor cell proliferation.\(^3\)

References