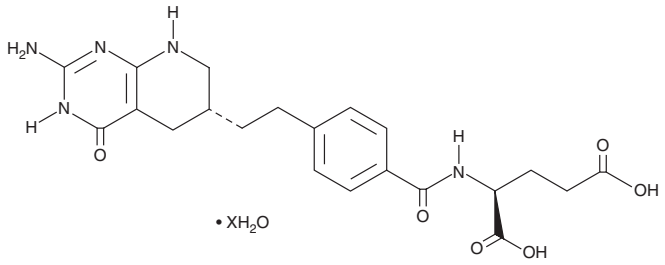
PRODUCT INFORMATION

Lometrexol (hydrate)

Item No. 18049

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, hydrate
Synonyms:	DDATHF, (6R)-Dideazatetrahydrofolate
MF:	$C_{21}H_{25}N_5O_6 \bullet XH_2O$
FW:	443.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 224, 279 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

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

Lometrexol (hydrate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, lometrexol (hydrate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Lometrexol (hydrate) 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 conentrations, 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

1. Beardsley, G.P., Moroson, B.A., Taylor, E.C., *et al.* A new folate antimetabolite, 5,10-dideaza-5,6,7,8-tetrahydrofolate is a potent inhibitor of *de novo* purine synthesis. *J. Biol. Chem.* **264(1)**, 328-333 (1989).
2. Pizzorno, G., Moroson, B.A., Cashmore, A.R., *et al.* (6R)-5,10-Dideaza-5,6,7,8-tetrahydrofolic acid effects on nucleotide metabolism in CCRF-CEM human T-lymphoblast leukemia cells. *Cancer Res.* **51(9)**, 2291-2295 (1991).
3. Bronder, J.L. and Moran, R.G. Antifolates targeting purine synthesis allow entry of tumor cells into S phase regardless of p53 function. *Cancer Res.* **62(18)**, 5236-5241 (2002).

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