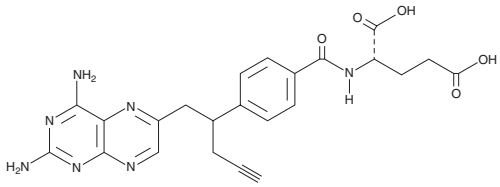
PRODUCT INFORMATION

Pralatrexate

Item No. 23689

CAS Registry No.:	146464-95-1
Formal Name:	N-[4-[1-[(2,4-diamino-6-pteridinyl)methyl]-3-butyn-1-yl]benzoyl]-L-glutamic acid
Synonyms:	NSC 754230, PDX, 10-Propargyl-10-deazaaminopterin
MF:	$C_{23}H_{23}N_7O_5$
FW:	477.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 241, 340 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

Pralatrexate is supplied as a crystalline solid. A stock solution may be made by dissolving the pralatrexate in the solvent of choice, which should be purged with an inert gas. Pralatrexate is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of pralatrexate in these solvents is approximately 3 and 14 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of pralatrexate can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of pralatrexate in PBS, pH 7.2, is approximately 1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Pralatrexate is a dihydrofolate reductase (DHFR) inhibitor (K_i = 13.4 pM) and antifolate.[1] It inhibits growth of CCRF-CEM acute lymphocytic leukemia cells (IC_{50} = 0.04 µM), MDA-468, SK-BR-3, and ZR-75-1 breast cancer cells (IC_{50}s = 0.11, 0.28, and 0.26 µM, respectively), and SK-LC8 and SK-LC16 non-small cell lung cancer cells (NSCLC; IC_{50}s = 0.42 and 0.11 µM, respectively). *In vivo*, pralatrexate increases median survival from 21 to 40 days when administered in 4 doses of 15 mg/kg over 11 days in an H9 T cell lymphoma mouse xenograft model.[2] Pralatrexate is transported into cells *via* the reduced folate carrier (RFC) and undergoes polyglutamation by folylpolyglutamate synthetase (FPGS) to a greater extent than methotrexate (Item No. 13960) or pemetrexed (Item No. 14269).[3,4] Formulations containing pralatrexate have been used in the treatment of relapsed or refractory peripheral T cell lymphoma.

References

1. Sirotnak, F.M., DeGraw, J.I., Colwell, W.T., *et al. Cancer Chemother. Pharmacol.* **42(4)**, 313-318 (1998).
2. Jain, S., Jirau-Serrano, X., Zullo, K.M., *et al. Clin. Cancer Res.* **21(9)**, 2096-2106 (2015).
3. Visentin, M., Unal, E.S., Zhao, R., *et al. Cancer Chemother. Pharmacol.* **72(3)**, 597-606 (2013).
4. Izbicka, E., Diaz, A., Streeper, R., *et al. Cancer Chemother. Pharmacol.* **64(5)**, 993-999 (2009).

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