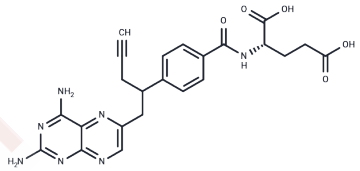
Data Sheet (Cat.No.T6120)

TargetMol

Pralatrexate

Chemical Properties



CAS No. :	146464-95-1
Formula:	C23H23N7O5
Molecular Weight:	477.47
Storage:	Store at low temperature,Keep away from direct sunlight Powder: -20°C for 3 years | In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.

Biological Description

Description	Pralatrexate (10-Propargyl-10-deazaaminopterin) is a folate analogue inhibitor of dihydrofolate reductase (DHFR) exhibiting high affinity for reduced folate carrier-1 (RFC-1) with antineoplastic and immunosuppressive activities.
Targets(IC50)	Apoptosis,Antifolate,DHFR,TAM Receptor
In vitro	Pralatrexate and bortezomib exhibits concentration- and time-dependent cytotoxicity against a broad panel of T-lymphoma cell lines. Pralatrexate shows synergism when combined with bortezomib in all cell lines studied. Pralatrexate also induces potent apoptosis and caspase activation when combined with bortezomib across the panel. Pralatrexate significantly modulates the expression of p27, NOXA, HH3, and RFC-1 as assessed by Western blot assays. [1] Pralatrexate is rationally designed for improved cellular transport via RFC-1, and to have greater intracellular drug retention through the enhanced formation of polyglutamylated conjugates. Pralatrexate is thought to exert its pharmacological effect primarily through inhibition of DHFR, having an IC50 in the picomolar range. [2] Pralatrexate demonstrates superior intracellular transport via the reduced folate carrier, and increased accumulation within cells by enhanced polyglutamylation. Pralatrexate exhibits antitumor activity that is superior to the activity of other antifolates. [3] Pralatrexate's enhanced activity relative to methotrexate (MTX) is due to its much more rapid rate of transport and polyglutamation, the former less important when the carrier is saturated. [4]
In vivo	Pralatrexate treatment results in treatment-related toxicity in MV522 mice models, as determined by significant weight loss in some animals prior to death; however, remaining mice regains all lost weight by Day 35. [2]

Solubility Information

Solubility	DMSO: 250 mg/mL (523.59 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.19 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0944 mL	10.4719 mL	20.9437 mL
5 mM	0.4189 mL	2.0944 mL	4.1887 mL
10 mM	0.2094 mL	1.0472 mL	2.0944 mL
50 mM	0.0419 mL	0.2094 mL	0.4189 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Marchi E, et al. Clin Cancer Res, 2010, 16(14), 3648-3658.
Izbicka E, et al. Cancer Chemother Pharmacol, 2009, 64(5), 993-999.
Molina JR, et al. IDrugs, 2008, 11(7), 508-521.
Visentin M, et al. Cancer Chemother Pharmacol, 2013, 72(3), 597-606.