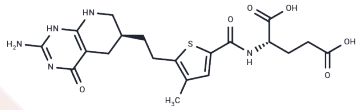
Data Sheet (Cat.No.T14147)

TargetMol

Pelitrexol

Chemical Properties



CAS No. : 446022-33-9

Formula: C20H25N5O6S

Molecular Weight: 463.51

Storage: Store at low temperature
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	Pelitrexol (AG2037) is a GARFT inhibitor, which can inhibit the activity of mTORC1, reduce intracellular guanine nucleotides and block the cell cycle in the s-phase, with antiproliferative activity, and can be used in non-small cell lung cancer.
Targets(IC50)	Antifolate,DHFR,mTOR
In vitro	Pelitrexol potently inhibits mTORC1 signaling (IC_{50} ≈ 27-40nM) in NSCLC cells, causes G1 arrest, and acts via GARFT inhibition. The effects of Pelitrexol are reversed by hypoxanthine, confirming target specificity[1].
In vivo	In a mouse xenograft model of non-small-cell lung cancer (NSCLC), intraperitoneal administration of Pelitrexol at 10mg/kg or 20mg/kg every 4 days for 3 weeks reduced tumor growth by 64% and 69%, respectively. At 20mg/kg, it also suppressed mTORC1-dependent phosphorylation of S6K1, S6RP, and CAD, confirming disruption of purine biosynthesis via GARFT inhibition[1].

Solubility Information

Solubility	DMSO: 20 mg/mL (43.15 mM),Sonication is recommended. (< 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 (4.31 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	2.1575 mL	10.7873 mL	21.5745 mL
5 mM	0.4315 mL	2.1575 mL	4.3149 mL
10 mM	0.2157 mL	1.0787 mL	2.1575 mL
50 mM	0.0431 mL	0.2157 mL	0.4315 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

Emmanuel N, et al. Purine Nucleotide Availability Regulates mTORC1 Activity through the Rheb GTPase. Cell Rep. 2017 Jun 27;19(13):2665-2680.