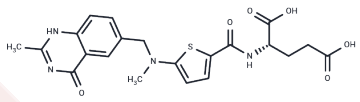
Data Sheet (Cat.No.T6632)

TargetMol

Raltitrexed

Chemical Properties



CAS No. : 112887-68-0

Formula: C21H22N4O6S

Molecular Weight: 458.49

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Raltitrexed (D1694)(IC50 of 9 nM), a thymidylate synthase inhibitor, is used for the inhibition of L1210 cell growth.
Targets(IC50)	Nucleoside Antimetabolite/Analog,DNA/RNA Synthesis
In vitro	Raltitrexed induces double-stranded DNA breaks in a concentration-dependent manner. In Lovo cells and LS174T cell lines containing wild-type p53, Raltitrexed increases the levels of the Bax protein up to 5-fold. In human colon cancer cells, Raltitrexed is actively absorbed into cells and is then rapidly and extensively metabolized into a series of polyglutamates, which results in the effective inhibition of thymidylate synthase. Raltitrexed is delivered to the brain very quickly and can be detected in all brain tissues within 5 minutes. In human colon cancer cells, the combination of Raltitrexed and SN-38 results in a synergistic cytotoxic effect within a range of concentrations. Raltitrexed is a specific folate-based inhibitor of thymidylate synthase. The effect on the activity of advanced rectal cancer is similar to fluorouracil (5-fluorouracil) plus leucovorin. Raltitrexed produces activity by rapid cell entry and glutamination. The glutaminated derivatives are more than 100 times more active than the parent compound. In the HCT-8 cell line, Raltitrexed results in an increase in intracellular phosphoribosyl pyrophosphate (PRPD) indicating that the cytotoxic effect of Raltitrexed in combination with 5-FU is due to increased nucleotide formation of 5-FU. Combinations of Raltitrexed and folinic acid (5FU-FA) show a combination of mode-dependent, synergistic inhibition of proliferation, which is determined by measuring the combination series. Raltitrexed combined with Vorinostat produced significant synergistic effects of cell cycle perturbation and S-phase arrest.
In vivo	Raltitrexed can be transported directly into the brain through the olfactory pathway in rats.

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 84 mg/mL (183.21 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1811 mL	10.9054 mL	21.8107 mL
5 mM	0.4362 mL	2.1811 mL	4.3621 mL
10 mM	0.2181 mL	1.0905 mL	2.1811 mL
50 mM	0.0436 mL	0.2181 mL	0.4362 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.

Reference

Peters GJ, et al. Eur J Cancer, 2000, 36(7), 916-924.
Van Cutsem E, et al. Ann Oncol, 2002, 13(4), 513-522.
Aschele C, et al. Clin Cancer Res, 1998, 4(5), 1323-1330.
Wang D, et al. Cancer Chemother Pharmacol, 2006, 57(1), 97-104.
Di Gennaro E, et al. Cancer Biol Ther, 2009, 8(9), 782-791.
Dong Y, et al. Raltitrexed's effect on the development of neural tube defects in mice is associated with DNA damage, apoptosis, and proliferation. Mol Cell Biochem. 2015 Jan;398(1-2):223-31.
Zhao H, et al. Raltitrexed Inhibits HepG2 Cell Proliferation via G0/G1 Cell Cycle Arrest. Oncol Res. 2016;23(5):237-48