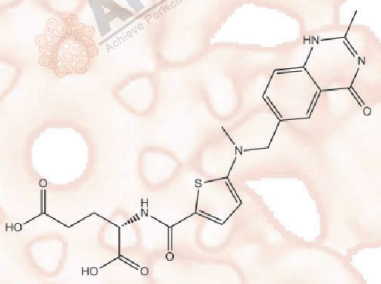
Product Data Sheet

Raltitrexed



Cat. No.:	B1476
CAS No.:	112887-68-0
Formula:	C21H22N4O6S
M.Wt:	458.49
Synonyms:	
Target:	DNA Damage/DNA Repair
Pathway:	DNA Synthesis
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾154 mg/mL in DMSO; ⩾10.62 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	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

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Thymidylate synthase inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	2 wild-type (wt) p53 (Lovo and LS174T) and 4 mutant (mt) p53 (WiDr, WiDr/F, HT29 and SW948) colon carcinoma cell lines
Preparation method:	The solubility of this compound in DMSO is > 154mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.

	Reacting conditions:	50 and 100 nM; 24 and 48 hrs
	Applications:	Raltitrexed up-regulated p53 and p21 expression in wt p53 cells, but not in mt p53 cells. In the mt p53 cells HT29 and WiDr/F, the highest induction of thymidylate synthase (6 ~ 10 folds) was observed after Raltitrexed treatment. Moreover, Raltitrexed increased Bax expression up to 5 folds in wt p53 cells, but with only a very slight induction of Bax expression in mt p53 cells. In wt p53 cells, Raltitrexed treatment hardly changed Bcl-2 expression.
In Vivo	**Animal experiment**	
	Animal models:	C57BL/6J-ApcMin/+ mice
	Dosage form:	3 or 5 mg/kg; twice a week or five times a week
	Applications:	In C57BL/6J-ApcMin/+ mice, Raltitrexed (3 mg/kg; twice a week) increased the average tumor number in the small intestine by 4 folds. When the dose of Raltitrexed was elevated to 5 mg/kg, five times a week, it resulted in a 10-fold increase in the average tumor number. Under all administration schedules, Raltitrexed was well-tolerated with only few treatment-related deaths occurring. Raltitrexed-induced tumors commonly occurred in the duodenum and jejunum, with few in the ileum and none in the colon.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Peters GJ, van Triest B, Backus HH, Kuiper CM, van der Wilt CL, Pinedo HM. Molecular downstream events and induction of thymidylate synthase in mutant and wild-type p53 colon cancer cell lines after treatment with 5-fluorouracil and the thymidylate synthase inhibitor raltitrexed. Eur J Cancer. 2000 May;36(7):916-24.

[2]. Murphy JT, Tucker JM, Davis C, Berger FG. Raltitrexed increases tumorigenesis as a single agent yet exhibits anti-tumor synergy with 5-fluorouracil in ApcMin/+ mice. Cancer Biol Ther. 2004 Nov;3(11):1169-76.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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