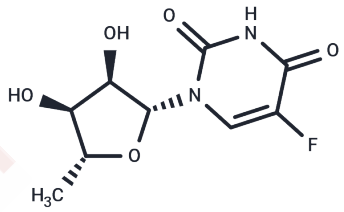
Data Sheet (Cat.No.T1600)

TargetMol

Doxifluridine

Chemical Properties



CAS No. : 3094-09-5

Formula: C9H11FN2O5

Molecular Weight: 246.19

Storage: 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	Doxifluridine (AMC 0101) is a fluoropyrimidine derivative and oral prodrug of the antineoplastic agent 5-fluorouracil (5-FU) with antitumor activity. Doxifluridine, designed to circumvent the rapid degradation of 5-FU by dihydropyrimidine dehydrogenase in the gut wall, is converted into 5-FU in the presence of pyrimidine nucleoside phosphorylase. 5-FU interferes with DNA synthesis and subsequent cell division by reducing normal thymidine production and interferes with RNA transcription by competing with uridine triphosphate for incorporation into the RNA strand.
Targets(IC50)	Nucleoside Antimetabolite/Analog,DNA/RNA Synthesis
In vitro	Doxifluridine suppresses tube formation of HUVEC and vascular endothelial growth factor production by FU-MMT-1 cells. [1] Doxifluridine is converted to 5-FU and subsequently to FdUMP, and the results suggest that Doxifluridine exerts its cytotoxic effects through inhibition of TS and incorporation into RNA. [2] Doxifluridine is a fluoropyrimidine derivative that is activated preferentially in malignant cells by thymidine phosphorylase to form 5-fluorouracil (5-FU). Doxifluridine is developed to improve the therapeutic index of 5-FU and to reduce toxicity, including the immunosuppressive, myelosuppressive, and cardiotoxic effects of 5-FU and other fluorinated pyrimidines. [3]
In vivo	Metronomic Doxifluridine alone significantly suppresses tumor growth compared with the untreated (control) group, while metronomic Doxifluridine in combination with TNP-470 significantly inhibits tumor growth compared with each treatment alone in in FU-MMT-1 xenografts. Doxifluridine in combination with TNP-470 also leads to a significant reduction of intratumoral vascularity. [1] Doxifluridine significantly inhibits the growth of KPL-4 tumors, reduces the tissue levels of IL-6, and alleviates body weight loss in nude mice bearing KPL-4 tumors. [4] Doxifluridine results in a significant reduction in the activity of phenytoin p-hydroxylation in rats. Doxifluridine decreases the elimination rate constant and the total clearance in rats. [5]

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: 45 mg/mL (182.79 mM),Sonication is recommended. DMSO: 55 mg/mL (223.4 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: 2.5 mg/mL (10.15 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	4.0619 mL	20.3095 mL	40.619 mL
5 mM	0.8124 mL	4.0619 mL	8.1238 mL
10 mM	0.4062 mL	2.031 mL	4.0619 mL
50 mM	0.0812 mL	0.4062 mL	0.8124 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

Naganuma Y, et al. Cancer Sci,2011, 102(8), 1545-1552.
Doxifluridine promotes host longevity through bacterial metabolism
Berne M, et al. Cancer Invest,1988, 6(4), 377-383.
Baek IH, et al. Eur J Drug Metab Pharmacokinet,2013, 38(4), 295-299.
Yamamoto S, et al. Gan To Kagaku Ryoho,1999, 26(10), 1443-1448.
Konishi H, et al. J Pharm Pharmacol,2003, 55(1), 143-149.