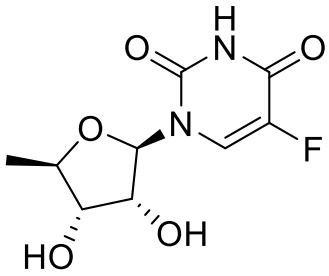
Product data sheet

MedKoo Cat#: 100274 Name: Doxifluridine CAS: 3094-09-5 Chemical Formula: $C_9H_{11}FN_2O_5$ Exact Mass: 246.0652 Molecular Weight: 246.1944	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Doxifluridine, also known as 5'-Deoxy-5-fluorouridine, 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.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	58.0	235.59
DMSO	53.0	215.28
PBS (pH 7.2)	5.0	0.81
Water	34.5	140.13

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	4.06 mL	20.31 mL	40.62 mL
5 mM	0.81 mL	4.06 mL	8.12 mL
10 mM	0.41 mL	2.03 mL	4.06 mL
50 mM	0.08 mL	0.41 mL	0.81 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Kitamura Y, Kito S, Nakashima R, Tanaka K, Nagaoka K, Kitade Y. Doxifluridine-conjugated 2-5A analog shows strong RNase L activation ability and tumor suppressive effect. Bioorg Med Chem. 2016 Aug 15;24(16):3870-4. doi: 10.1016/j.bmc.2016.06.033. Epub 2016 Jun 16. PMID: 27364610.

In vivo study

1. Naganuma Y, Choijamts B, Shirota K, Nakajima K, Ogata S, Miyamoto S, Kawarabayashi T, Emoto M. Metronomic doxifluridine chemotherapy combined with the anti-angiogenic agent TNP-470 inhibits the growth of human uterine carcinosarcoma xenografts. Cancer Sci. 2011 Aug;102(8):1545-52. doi: 10.1111/j.1349-7006.2011.01998.x. Epub 2011 Jul 3. PMID: 21631643.
2. Konishi H, Yoshimoto T, Morita K, Minouchi T, Sato T, Yamaji A. Depression of phenytoin metabolic capacity by 5-fluorouracil and doxifluridine in rats. J Pharm Pharmacol. 2003 Jan;55(1):143-9. doi: 10.1211/002235702298. PMID: 12625878.

7. Bioactivity
Biological target:

Product data sheet

Doxifluridine (Ro 21-9738; 5'-DFUR) is a thymidine phosphorylase activator for PC9-DPE2 cells with IC50 of 0.62 μM.

In vitro activity

The doxifluridine-conjugated 8-methyladenosine-substituted 2-5A analog was significantly more effective as an activator of RNase L than the parent 5'-monophophorylated 2-5A tetramer and showed a tumor suppressive effect against human cervical cancer cells.

Reference: Bioorg Med Chem. 2016 Aug 15;24(16):3870-4. https://pubmed.ncbi.nlm.nih.gov/27364610/

In vivo activity

The antitumor and anti-angiogenic effects of metronomic doxifluridine (delivered via oral gavage) in combination with TNP-470 were evaluated in vivo in mice. Metronomic doxifluridine alone significantly suppressed tumor growth compared with the untreated (control) group, while metronomic doxifluridine in combination with TNP-470 significantly inhibited tumor growth compared with each treatment alone.

Reference: Cancer Sci. 2011 Aug;102(8):1545-52. https://pubmed.ncbi.nlm.nih.gov/21631643/