

Product Data Sheet

Doxifluridine-d₃

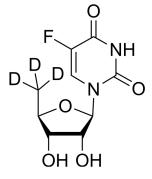
Molecular Weight: 249.21

Target: Thymidylate Synthase; Isotope-Labeled Compounds

Pathway: Apoptosis; Others

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.



BIOLOGICAL ACTIVITY

Description	Doxifluridine- d_3 is deuterated labeled Doxifluridine (HY-B0021). Doxifluridine has anticancer activity. Doxifluidine is a 5-FU prodrug. Doxifluridine is a thymidine synthase inhibitor. Doxifluridine can enhance tumor inhibition by synergizing with a variety of drugs ^{[1][2][3]} .
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs ^[1] . Doxifluridine (1-10 μ M) inhibits angiogenesis by significantly inhibiting the expression of VEGF in FU-MMT-1 cells ^[2] . Doxifluridine (1-100 μ M) slightly increases the expression of TSP-1 at low dose (1 μ M) and inhibits the expression of TSP-1 at high dose (100 μ M) in FU-MMT-1 cells ^[2] . Doxifluridine (100 μ M) inhibits cell proliferation in HUVEC cells ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Doxifluridine (61.55 mg/kg; Intragastric administration; Single dose) has anticancer activity in BALB? cA Jcl-nu mice, and can significantly enhance anticancer activity in combination with TNP-470 (HY-101932) ^[2] . Doxifluridine (200 mg/kg; Intraperitoneal injection; Single dose) can inhibit thymidine synthase activity in DMH-induced colon cancer mice ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Naganuma Y, et al. 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.
- $[2]. \ \ Berne \ M, et al. \ Inhibition of thy midylate synthase after administration of doxifluridine in a transplantable colon carcinoma in the rat. \ Cancer Invest. \ 1988; 6(4):377-83.$
- [3]. Di Bartolomeo M, et al. Integrated treatment with doxifluridine and radiotherapy in recurrent or primary unresectable rectal cancer. A feasibility study. Tumori. 1999 May-Jun;85(3):211-3.
- [4]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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