

Data Sheet

Product Name:	5-Fluorouracil	\frown
Product Name:	5-FILOIOUI ACII	U
Cat. No.:	CS-0993	П.
CAS No.:	51-21-8	↓ F
Molecular Formula:	$C_4H_3FN_2O_2$	
Molecular Weight:	130.08	
Target:	Apoptosis; Endogenous Metabolite; HIV; Nucleoside Antimetabolite/Analog	
Pathway:	Anti-infection; Apoptosis; Cell Cycle/DNA Damage; Metabolic Enzyme/Protease	O' N
Solubility:	DMSO : 50 mg/mL (ultrasonic);1 M Ammonium hydroxide : 50 mg/mL (ultrasonic);H ₂ O : 10 mg/mL (ultrasonic;warming;heat to 60°C)	11

BIOLOGICAL ACTIVITY:

5-Fluorouracil (5-FU) is an analogue of uracil and a potent antitumor agent. 5-Fluorouracil affects **pyrimidine synthesis** by inhibiting thymidylate synthetase thus depleting intracellular dTTP pools. 5-Fluorouracil induces **apoptosis** and can be used as a chemical sensitizer^{[1][2]}. 5-Fluorouracil also inhibits **HIV**^[3]. *In Vitro*:5-Fluorouracil (5-Fu) and NSC 123127 (Dox) show synergistic anticancer efficacy. The IC₅₀ value of 5-Fu/Dox-DNM toward human breast cancer (MDA-MB-231) cells is 0.25 µg/mL, presenting an 11.2-fold and 6.1-fold increase in cytotoxicity compared to Dox-DNM and 5-Fu-DNM, respectively^[1]. In 5-fluorouracil (5-FU) and CDDP treated NFBD1-inhibited NPC cells, the NFBD1 expression in NPC CNE1 cell lines is depleted using lentivirus-mediated short hairpin RNA, and the sensitivity of these cells is elevated. NFBD1 knockdown leads to an obvious induction of apoptosis in CDDP- or 5-FU-treated CNE1 cells^[2]. *In Vivo*:5-Fluorouracil (23 mg/kg, 3 times/week) for 14 days, induces accelerated gastrointestinal transit associated with acute intestinal inflammation at day 3 after the start of treatment, which may have led to persistent changes in the ENS observed after days 7 and 14 of treatment contributing to delayed gastrointestinal transit and colonic dysmotility^[4].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration:5-Fluorouracil is dissolved in 100% DMSO and then diluted with sterile water to make 0.1 M/L (10% DMSO) solutions.^[2]Mice receive intraperitoneal injections of 5-FU (23 mg/kg), 3 times a week via a 26 gauge needle. 5-FU is dissolved in 100% dimethyl sulfoxide (DMSO) to make 1 M/L stock solution refrigerated at -20° C. The stock is then defrosted and diluted with sterile water to make 0.1 M/L (10% DMSO) solutions for intraperitoneal injections. The dose of 5-FU is calculated to be equivalent to standard human dose per body surface area. The low doses of 5-FU (10-40 mg/kg) have been shown to have antitumor efficacy in mouse models of cancer. Sham-treated mice received 10% DMSO in sterile water via intraperitoneal injection three times a week via a 26 gauge needle. The injected volumes are calculated to the body weight; the maximum volume does not exceed 200 µL per injection. Mice are euthanized via cervical dislocation at 3 (2 treatments), 7 (3 treatments), and 14 (6 treatments) days after the first injection and colon is collected for in vitro experiments.

References:

[1]. Han R, et al. Amphiphilic dendritic nanomicelle-mediated co-delivery of 5-fluorouracil and NSC 123127 for enhanced therapeutic efficacy. J Drug Target. 2016 Jun 29:1-28. [Epub ahead of print]

[2]. McQuade RM, et al. Gastrointestinal dysfunction and enteric neurotoxicity following treatment with anticancer chemotherapeutic agent 5-fluorouracil.

Neurogastroenterol Motil. 2016 Jun 28.

[3]. Zeng Q, et al. Knockdown of NFBD1/MDC1 enhances chemosensitivity to NSC 119875 or 5-fluorouracil in nasopharyngeal carcinoma CNE1 cells. Mol Cell Biochem. 2016 Jul;418(1-2):137-46.

[4]. Yin L, et al. Antitumor effects of oncolytic herpes simplex virus type 2 against colorectal cancer in vitro and in vivo. Ther Clin Risk Manag. 2017 Feb 7;13:117-130.

[5]. Jones DH, et al. Ten-Year and Beyond Follow-up After Treatment With Highly Purified Liquid-Injectable Silicone for HIV-Associated Facial Lipoatrophy: A Report of 164 Patients. Dermatol Surg. 2019 Jul;45(7):941-948.

[6]. Snyder SM, et al. Initial Experience with Topical Fluorouracil for Treatment of HIV-Associated Anal Intraepithelial Neoplasia. J Int Assoc Physicians AIDS Care (Chic). 2011;10(2):83-88.

[7]. Pek Yee Lum, et al. Discovering modes of action for therapeutic compounds using a genome-wide screen of yeast heterozygotes. Cell. 2004 Jan 9;116(1):121-37.

CAIndexNames:

2,4(1H,3H)-Pyrimidinedione, 5-fluoro-

SMILES:

O=C(N1)NC=C(F)C1=O

Caution: Product has not been fully validated for medical applications. For research use only.

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