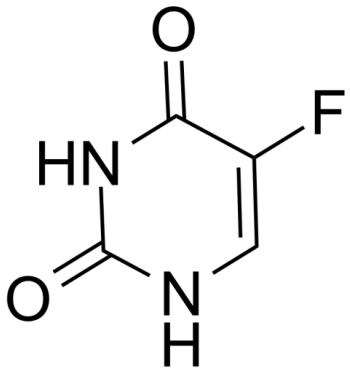
Data Sheet

Product Name:	5-Fluorouracil
Cat. No.:	CS-0993
CAS No.:	51-21-8
Molecular Formula:	C4H3FN2O2
Molecular Weight:	130.08
Target:	HIV; Nucleoside Antimetabolite/Analog
Pathway:	Anti-infection; Cell Cycle/DNA Damage
Solubility:	DMSO : 15 mg/mL (115.31 mM; Need ultrasonic and warming); H2O : 16.67 mg/mL (128.15 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

5-Fluorouracil is a potent antitumor agent that affects pyrimidine synthesis by inhibiting **thymidylate synthetase** thus depleting intracellular dTTP pools. **In Vitro:** 5-Fluorouracil (5-Fu) and NSC 123127 (Dox) show synergistic anticancer efficacy. The IC_{50} 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[3]. **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[2].

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.

CAIndexNames:

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

SMILES:

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

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