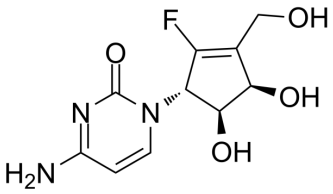
RX-3117

Cat. No.:	HY-15228
CAS No.:	865838-26-2
Molecular Formula:	$C_{10}H_{12}FN_3O_4$
Molecular Weight:	257.22
Target:	Nucleoside Antimetabolite/Analog; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (194.39 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.8877 mL	19.4386 mL	38.8772 mL
5 mM	0.7775 mL	3.8877 mL	7.7754 mL
10 mM	0.3888 mL	1.9439 mL	3.8877 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

RX-3117 (TV-1360) is a potent and orally active anticancer and antimetaboliteagent. RX-3117 inhibits DNA methyltransferase 1 (DNMT1). RX-3117 shows antiproliferative and anti-tumour activity. RX-3117 induces cell cycle arrest at S phase and apoptosis[1][2][3].

In Vitro

RX-3117 causes both inhibition of DNA and RNA synthesis[1].

RX-3117 (11.7, 21 μM; 48 h) shows antiproliferative activity in A549, SW1573 cells[1].

RX-3117 is activated by uridine-cytidine kinase 2 (UCK2)[1].

RX-3117 (1-25 μM; 72 h) inhibits the growth of HCT-116, MDA-MB-231, PANC-1, Caki-1, MCF7, A549, MKN45, U251 cells with IC_{50}s of 0.39, 0.18, 0.62, 0.84, 0.34, 0.34, 0.50, 0.83 μM, respectively[2].

RX-3117 (5, 10 μM; 4 days) induces cell cycle arrest at S phase and apoptosis[2].

RX-3117 (1-5 μM; 24 h) decreases the cellular amount of DNMT1 in a dose-dependent manner in MDA-MB-231[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	A549, SW1573 cells
Concentration:	11.7, 21 μM
Incubation Time:	48 h
Result:	Showed antiproliferative activity in A549 (63.7% cell growth), SW1573 cells (59% cell growth).

Apoptosis Analysis[2]

Cell Line:	A549, SW1573 NSCLC cells
Concentration:	5 μM for A549 cells, 10 μM for SW1573 cells
Incubation Time:	4 days
Result:	Induced cell cycle arrest at S phase and apoptosis.

In Vivo

RX-3117 (2, 10 mg/kg; i.p.; three times per week for five weeks) shows anti-tumour activity in nude mice[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nude mice (human colon carcinoma HCT116 xenograft model)[3]
Dosage:	2, 10 mg/kg
Administration:	I.p.; three times per week for five weeks
Result:	Caused significant inhibition of tumor growth at the doses of 2 and 10 mg/kg.

CUSTOMER VALIDATION

- bioRxiv. 2023.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Sarkisjan D, et al. The Cytidine Analog Fluorocyclopentenylcytosine (RX-3117) Is Activated by Uridine-Cytidine Kinase 2. PLoS One. 2016 Sep 9;11(9):e0162901.

[2]. Balboni B, et al. RX-3117 (fluorocyclopentenyl cytosine): a novel specific antimetabolite for selective cancer treatment. Expert Opin Investig Drugs. 2019 Apr;28(4):311-322.

[3]. Fahy J, et al. DNA methyltransferase inhibitors in cancer: a chemical and therapeutic patent overview and selected clinical studies. Expert Opin Ther Pat. 2012 Dec;22(12):1427-42.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA