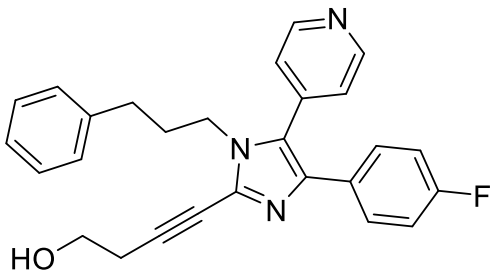
Product data sheet

MedKoo Cat#: 206092 Name: RWJ-3117 CAS: 865838-26-2 Chemical Formula: $C_{10}H_{12}FN_3O_4$ Exact Mass: 257.0812 Molecular Weight: 257.22	
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:
RX-3117, also known as TV-1360 and fluorocyclopentenylcytosine, is an orally available and potent DNA synthesis inhibitor with potential antineoplastic activity.

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
To be determined	To be determined	To be determined

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.89 mL	19.44 mL	38.88 mL
5 mM	0.78 mL	3.89 mL	7.78 mL
10 mM	0.39 mL	1.94 mL	3.89 mL
50 mM	0.08 mL	0.39 mL	0.78 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. Sarkisjan D, Julsing JR, El Hassouni B, Honeywell RJ, Kathmann I, Matherly LH, Lee YB, Kim DJ, Peters GJ. RX-3117 (Fluorocyclopentenyl-Cytosine)-Mediated Down-Regulation of DNA Methyltransferase 1 Leads to Protein Expression of Tumor-Suppressor Genes and Increased Functionality of the Proton-Coupled Folate Carrier. Int J Mol Sci. 2020 Apr 14;21(8):2717. doi: 10.3390/ijms21082717. PMID: 32295203; PMCID: PMC7215832.
2. Sarkisjan D, Julsing JR, Smid K, de Klerk D, van Kuilenburg AB, Meinsma R, Lee YB, Kim DJ, Peters GJ. The Cytidine Analog Fluorocyclopentenylcytosine (RX-3117) Is Activated by Uridine-Cytidine Kinase 2. PLoS One. 2016 Sep 9;11(9):e0162901. doi: 10.1371/journal.pone.0162901. PMID: 27612203; PMCID: PMC5017758.

In vivo study

1. Babiker H, Schlegel PJ, Hicks LG, Bullock AJ, Burhani N, Mahadevan D, Elquza E, Borad MJ, Benaim E, Peterson C, Heaton C, Ocean AJ. A multicenter phase 1/2 study investigating the safety, pharmacokinetics, pharmacodynamics and efficacy of a small molecule antimetabolite, RX-3117, plus nab-paclitaxel in pancreatic adenocarcinoma. Invest New Drugs. 2022 Feb;40(1):81-90. doi: 10.1007/s10637-021-01164-9. Epub 2021 Aug 21. PMID: 34417914.
2. Yang MY, Lee YB, Ahn CH, Kaye J, Fine T, Kashi R, Ohne O, Smid K, Peters GJ, Kim DJ. A novel cytidine analog, RX-3117, shows potent efficacy in xenograft models, even in tumors that are resistant to gemcitabine. Anticancer Res. 2014 Dec;34(12):6951-9. PMID: 25503121.

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7. Bioactivity

Biological target:

RX-3117 inhibits DNA methyltransferase 1 (DNMT1). RX-3117 shows antiproliferative and anti-tumor activity. RX-3117 induces cell cycle arrest at S phase and apoptosis.

In vitro activity

This study identified which of the form of uridine-cytidine kinase (UCK), UCK1 or UCK2, is responsible for RX-3117 phosphorylation. Transfection of UCK2-siRNA effectively downregulated UCK2 expression and protected cells against RX-3117, indicating that UCK2 plays a crucial role in RX-3117 activation. RX-3117 is primarily activated by UCK2, offering a potential method for identifying patients who might benefit from RX-3117 treatment in clincal studies.

Reference: PLoS One. 2016 Sep 9;11(9):e0162901. https://pubmed.ncbi.nlm.nih.gov/27612203/

In vivo activity

RX-3117 displayed promising anticancer activity in multiple human tumor xenograft models in mice, including gemcitabine-resistant tumors. RX-3117 outperformed gemcitabine in specific models, highlighting its potential as an effective alternative for cancer treatment, particularly in cases of gemcitabine resistance.

Reference: Anticancer Res. 2014 Dec;34(12):6951-9. https://pubmed.ncbi.nlm.nih.gov/25503121/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.