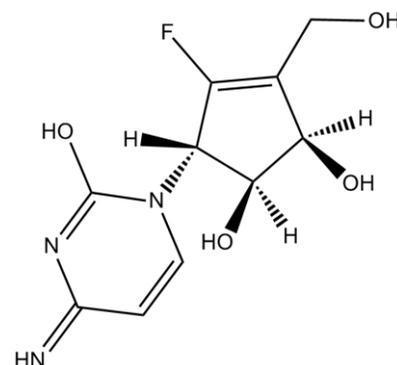


## Product Data Sheet

### Chemical Properties

|                      |  |
|----------------------|--|
| <b>Product Name:</b> | RX-3117  |
| <b>Cas No.:</b>      | 865838-26-2  |
| <b>M.Wt:</b>         | 257.22   |
| <b>Formula:</b>      | C <sub>10</sub> H <sub>12</sub> FN <sub>3</sub> O <sub>4</sub> |



|                            |  |
|----------------------------|--|
| <b>Chemical Name:</b>      | (1S,2R,5S)-4-fluoro-5-(2-hydroxy-4-iminopyrimidin-1(4H)-yl)-3-(hydroxymethyl)cyclopent-3-ene-1,2-diol  |
| <b>Canonical SMILES:</b>   | FC([C@](N(C(O)=N1)C=CC1=N)([H])[C@@](O)([H])[C@]2([H])O)=C2C O   |
| <b>Solubility:</b>         | Soluble in DMSO  |
| <b>Storage:</b>            | Store at -20°C   |
| <b>General tips:</b>       | For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20° C for several months. |
| <b>Shopping Condition:</b> | Evaluation sample solution : ship with blue ice<br>All other available size: ship with RT , or blue ice upon request   |

### Biological Activity

|                     |                                    |
|---------------------|------------------------------------|
| <b>Targets :</b>    | DNA Damage/DNA Repair              |
| <b>Pathways:</b>    | Nucleoside Antimetabolite/Analogue |
| <b>Description:</b> |                                    |

RX-3117 is a cytidine analog and a substrate for uridine-cytidine-kinase (UCK) [1]. Cytidine analog is activated by uridine-cytidine-kinase and is incorporated into RNA and DNA and downregulates DNA-methyltransferase-1 [2]. RX-3117 is a cytidine analog and inhibits DNA and RNA synthesis. In sensitive U937 cells, RX-3117

(1  $\mu$ M) inhibited RNA synthesis by 90% and completely inhibited DNA synthesis. In solid tumor and leukemic cell lines, RX-3117 inhibited cell growth with IC50 values of 0.4-29.6  $\mu$ M. The uptake of RX-3117 was dependent on human ENT1 (hENT). In SW1573 cells, both uridine and cytidine dose-dependently protected cells against RX-3117. RX-3117 at IC50 concentrations didn't change the pools of both uridine and cytidine nucleotides. In three tumor cell lines, RX-3117 completely inhibited the expression of DNA-methyltransferase (DNMT). In A2780 and SW1573 cells, RX-3117 was incorporated into both RNA and DNA [1].

In athymic nude mice bearing Colo 205, H460, H69 and CaSki human tumor xenograft models, RX-3117 administered orally induced tumor growth inhibition (TGI) by 100%, 78%, 62% and 66%, respectively [3].

### **Reference:**

[1]. Peters GJ, Smid K, Vecchi L, et al. *Metabolism, mechanism of action and sensitivity profile of fluorocyclopentenylcytosine (RX-3117; TV-1360)*. *Invest New Drugs*, 2013, 31(6): 1444-1457.

[2]. Peters GJ. *Novel developments in the use of antimetabolites*. *Nucleosides Nucleotides Nucleic Acids*, 2014, 33(4-6): 358-374.

[3]. Yang MY, Lee YB, Ahn CH, et al. *A novel cytidine analog, RX-3117, shows potent efficacy in xenograft models, even in tumors that are resistant to gemcitabine*. *Anticancer Res*, 2014, 34(12): 6951-6959.

## Caution

**FOR RESEARCH PURPOSES ONLY.**

**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

*Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.*

**ApexBio Technology**

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