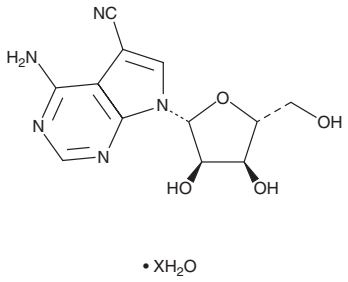
PRODUCT INFORMATION

Toyocamycin (hydrate)

Item No. 17371

Formal Name:	4-amino-7-β-D-ribofuranosyl-7H-pyrrolo[2,3-d]pyrimidine-5-carbonitrile, hydrate
Synonyms:	NSC 63701, NSC 99843
MF:	$C_{12}H_{13}N_5O_4 \bullet XH_2O$
FW:	291.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 232, 280 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Toyocamycin (hydrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the toyocamycin (hydrate) in the solvent of choice. Toyocamycin (hydrate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of toyocamycin (hydrate) in these solvents is approximately 0.5, 30, and 50 mg/ml, respectively.

Toyocamycin (hydrate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, toyocamycin (hydrate) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Toyocamycin (hydrate) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Toyocamycin is a natural adenosine analog first isolated from *Streptomyces* and shown in early studies to be cytotoxic to bacteria, fungi, and cancer cells and to have antiviral activities. Toyocamycin prevents IRE1α-induced mRNA cleavage (IC_{50} = 80 nM) and inhibits constitutive activation of XBP1 in multiple myeloma cell lines.[1] It is used to study IRE1α action in the endoplasmic reticulum stress response, particularly in the context of cancer.[2,3] It also inhibits phosphatidylinositol kinase *in vitro* (IC_{50} = 3.3 µg/ml), but not in cells, and blocks the ribosomal RNA-processing kinase Rio1 (IC_{50} = ~30 nM).[4,5]

References

1. Ri, M., Tashiro, E., Oikawa, D., *et al.* Identification of toyocamycin, an agent cytotoxic for multiple myeloma cells, as a potent inhibitor of ER stress-induced XBP1 mRNA splicing. *Blood Cancer J.* **2(7)**, (2016).
2. Chien, W., Ding, L.-W., Sun, Q.-Y., *et al.* Selective inhibition of unfolded protein response induces apoptosis in pancreatic cancer cells. *Oncotarget* **5(13)**, 4881-4894 (2014).
3. Sun, H., Lin, D.-C., Guo, X., *et al.* Inhibition of IRE1α-driven pro-survival pathways is a promising therapeutic application in acute myeloid leukemia. *Oncotarget* **7(14)**, 18736-18749 (2016).
4. Nishioka, H., Sawa, T., Hamada, M., *et al.* Inhibition of phosphatidylinositol kinase by toyocamycin. *J. Antibiot. (Tokyo)* **43(12)**, 1586-1589 (1990).
5. Kiburu, I.N. and LaRonde-LaBlanc, N. Interaction of Rio1 kinase with toyocamycin reveals a conformational switch that controls oligomeric state and catalytic activity. *PLoS One* **7(5)**, (2016).

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