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Data Sheet

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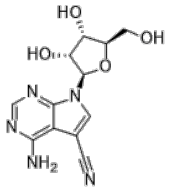
Product Name	:	Toyocamycin
Cat. No.	:	PC-27638
CAS No.	:	606-58-6
Molecular Formula	:	$C_{12}H_{13}N_5O_4$
Molecular Weight	:	291.27
Target	:	IRE1
Solubility	:	10 mM in DMSO



Biological Activity

Toyocamycin (NSC 63701, Vengicide) is a small molecule inhibitor of ER stress-induced **XBP1** mRNA splicing, suppresses thapsigargin-induced XBP1-luciferase activation with IC50 of 80 nM, selectively inhibits the **IRE1α-XBP1** pathway.
Toyocamycin inhibits IRE1α-induced ATP-dependent XBP1 mRNA cleavage in vitro without affecting IRE1α auto-phosphorylation.
Toyocamycin is also an adenosine (ADE) analog be capable of Rio Kinase 1 (RioK1) inhibition at nanomolar levels (afRioK1, SPR KD=24.7 nM).
Toyocamycin synergizes with bortezomib in blocking human multiple myeloma (MM) cell growth.
Toyocamycin selectively induces apoptosis in prostate cancer PC-3 cell line by targeting pathways leading to reactive oxygen species (ROS).
Toyocamycin suppressed thapsigargin-induced XBP1 mRNA splicing in a dose-dependent manner with an IC50 value of 0.18 uM in HeLa cells.
Toyocamycin also suppressed tunicamycin-induced XBP1 mRNA splicing with an IC50 of 0.13 uM.
Toyocamycin inhibited RNA synthesis in mammalian cells at higher concentrations, suppressed incorporation of [3H]-uridine into the macromolecular fraction of HeLa cells in HeLa cells with IC50 of 12 uM.
Toyocamycin selectively inhibits the IRE1α-XBP1 pathway, inhibits constitutive activation of XBP1 in MM cells, and triggers marked apoptosis of MM cell lines.
Toyocamycin (0.5 or 1.0 mg/kg, i.p. injection) exerts anti-tumor activity in SCID mice subcutaneously inoculated with RPMI8226.

References

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Hunter DA, et al. ***J Struct Biol.*** 2026 Aug 13:108355.

Ri M, et al. ***Blood Cancer J.*** 2012 Jul;2(7):e79.