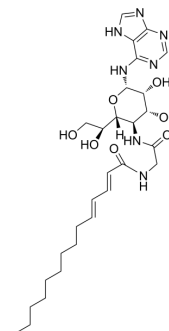


KRN5500

Cat. No.:	HY-13659
CAS No.:	151276-95-8
Molecular Formula:	C ₂₈ H ₄₃ N ₇ O ₇
Molecular Weight:	589.68
Target:	Bcl-2 Family; Apoptosis; Antibiotic
Pathway:	Apoptosis; Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	KRN5500 (NSC 650426), a Spicamycin (HY-127130) derivative and a nucleoside-like antibiotic with anti-tumor activity. KRN5500 also induces apoptosis via the down-regulation of Bcl-2 expression. KRN5500 shows a significant efficacy in the human tumor xenograft model in mice ^{[1][2]} .																
IC₅₀ & Target	Bcl-2																
In Vitro	<p>KRN5500 (10-160 ng/mL; 0-5 d) potently inhibits cell proliferation and viability of NB4, NKM-1, and HL-60 cells. KRN5500 (40 ng/mL, 160 ng/mL; 48 h) induces apoptosis in NB4, HL-60 and NKM-1 cells. KRN5500 (40 ng/mL, 80 ng/mL; 36 h) down-regulates the Bcl-2 expression in NB4 cells^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>NB4, HL-60, NKM-1, NOP-1 and Daudi cells</td> </tr> <tr> <td>Concentration:</td> <td>10 ng/mL, 20 ng/mL, 40 ng/mL, 80 ng/mL, 160 ng/mL</td> </tr> <tr> <td>Incubation Time:</td> <td>0, 1, 2, 3, 4, and 5 days or 72 h</td> </tr> <tr> <td>Result:</td> <td>Completely inhibited cell proliferation and viability of NB4 and NKM-1 at about 80 ng/mL, of HL-60 at 160 ng/mL. Inhibited cells viability of IC₅₀s of 51.6 ng/mL, 89.7 ng/mL, 66.5 ng/mL, 277.0 ng/mL, 242.1 ng/mL, respectively.</td> </tr> </table> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>NB4 cells</td> </tr> <tr> <td>Concentration:</td> <td>40 ng/mL, 80 ng/mL</td> </tr> <tr> <td>Incubation Time:</td> <td>36 h</td> </tr> <tr> <td>Result:</td> <td>Reduced the Bcl-2 expression without affecting Bcl-xL and Bax expression.</td> </tr> </table>	Cell Line:	NB4, HL-60, NKM-1, NOP-1 and Daudi cells	Concentration:	10 ng/mL, 20 ng/mL, 40 ng/mL, 80 ng/mL, 160 ng/mL	Incubation Time:	0, 1, 2, 3, 4, and 5 days or 72 h	Result:	Completely inhibited cell proliferation and viability of NB4 and NKM-1 at about 80 ng/mL, of HL-60 at 160 ng/mL. Inhibited cells viability of IC ₅₀ s of 51.6 ng/mL, 89.7 ng/mL, 66.5 ng/mL, 277.0 ng/mL, 242.1 ng/mL, respectively.	Cell Line:	NB4 cells	Concentration:	40 ng/mL, 80 ng/mL	Incubation Time:	36 h	Result:	Reduced the Bcl-2 expression without affecting Bcl-xL and Bax expression.
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In Vivo	KRN5500 (4 mg/kg; i.p.; once daily for 5 d) shows anti-tumor activity against some murine tumors and human tumor xenografts with a decreasing tumor weight ^[2] .																

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Animal Model:	Mouse model with murine tumors and human tumor xenografts ^[2]
Dosage:	4 mg/kg
Administration:	Intraperitoneal injection; once daily for 5 days
Result:	Prolonged the survival of P388 leukemia- and B16 melanoma-bearing mice but lacked marginally effective on colon adenocarcinoma. Decreased tumor weight in 10 human stomach, 14 colon and 2 esophageal cancers.

REFERENCES

[1]. Zhang WJ, et al. Spicamycin and KRN5500 induce apoptosis in myeloid and lymphoid cell lines with down-regulation of bcl-2 expression and modulation of promyelocytic leukemia protein. *Jpn J Cancer Res.* 2000 Jun;91(6):604-11.

[2]. Kamishohara M, et al. Antitumor activity of a spicamycin derivative, KRN5500, and its active metabolite in tumor cells. *Oncol Res.* 1994;6(8):383-90.

Caution: Product has not been fully validated for medical applications. For research use only.

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