HXR9

Cat. No.:	HY-P3245
CAS No.:	917953-08-3
Molecular Formula:	$C_{119}H_{193}N_{53}O_{20}S$
Molecular Weight:	2718.21
Sequence:	Trp-Tyr-Pro-Trp-Met-Lys-Lys-His-His-Arg-Arg-Arg-Arg-Arg-Arg-Arg-Arg-Arg
Sequence Shortening:	WYPWMKKHHRRRRRRRRR
Target:	Apoptosis
Pathway:	Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description

HXR9 is a cell-permeable peptide and a competitive antagonist of HOX/PBX interaction. HXR9 antagonizes the interaction between HOX and a second transcrip-tion factor (PBX), which binds to HOX proteins in paralogue groups1 to 8. HXR9 selectively decreases cell proliferation and promotes apoptosis in cells with a high level of expression of the HOXA/PBX3 genes, such as MLL-rearranged leukemic cells[1][2][3].

In Vitro

HXR9 (60μM; 4 hours) blocks the interaction between PBX and HOX[1].
HXR9 (60μM; 2 hours) triggers apoptosis in B16 and primary melanoma cells[1].
HXR9 (60μM; 2 hours) causes specific transcriptional changes[1].
HXR9 (B16 cells) shows antiproliferative activity with an IC_{50} of 20μM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis

Cell Line:	murine B16melanoma cells
Concentration:	60 μM
Incubation Time:	4 hours
Result:	Blocked the binding of HOXD9 to PBX.

Apoptosis Analysis

Cell Line:	B16 cells
Concentration:	60 μM
Incubation Time:	2 hours
Result:	A significant proportion of cells were in late phases of apoptosis.

RT-PCR

Cell Line:	B16F10cells

Concentration:	60 μM
Incubation Time:	2 hours
Result:	Fos, Jun, Dusp1, and Atf1Øwere allsignificantly up-regulate.

In Vivo

HXR9 (10 mg/kg; i.v. via the tail vein; twice weekly) blocks tumor growth[1].
HXR9 (Initial dose of 100 mg/kg (subsequent dosing of 10 mg/kg twice weekly);Intraperitoneal; twice weekly for 18 days) blocks A549 tumour growth in vivo[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57black/6 mice (bearing B16 cells)
Dosage:	10 mg/kg
Administration:	I.v. via the tail vein; twice weekly (~30 days)
Result:	Tumors showed a significant degree of growth retardation.

Animal Model:	Athymic nude mice (bearing A549 cells)
Dosage:	Initial dose of 100 mg/kg (subsequent dosing of 10 mg/kg twice weekly)
Administration:	Intraperitoneal; twice weekly for 18 days
Result:	The tumours of HXR9-treated mice were considerably smaller than those of the control groups.

REFERENCES

[1]. Morgan R, et al. Antagonism of HOX/PBX dimer formation blocks the in vivo proliferation of melanoma. Cancer Res. 2007;67(12):5806-5813.

[2]. Li Z, et al. PBX3 is an important cofactor of HOXA9 in leukemogenesis. Blood. 2013;121(8):1422-1431.

[3]. Plowright L, et al. HOX transcription factors are potential therapeutic targets in non-small-cell lung cancer (targeting HOX genes in lung cancer). Br J Cancer. 2009;100(3):470-475.

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