

UPDATES FROM THE CUTTING EDGE

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Life Science & Technology

For the Establishment of the Precise Gene Transfer Method

- Enhancement of the cellular uptake and control of the intracellular delivery of oligo DNA/RNA molecule -

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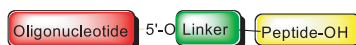
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Conjugation of oligonucleotides and peptides or biofunctional molecules is an alternate and fascinating way to generate intelligent nucleic acids for biological and medical applications. In the present study, a novel synthesis of DNA-peptide conjugates and evaluation of their antisense properties are described.

Synthesis of DNA-peptide conjugates were successfully performed by solid phase fragment condensation (SPFC). Peptides in the conjugates synthesized in this study include nuclear localizing signals (NLSs), nuclear export signals (NESs), and designed amphipathic α -helical peptides. The conjugates were purified by reversed phase HPLC and characterized

by MALDI-TOF MS to give satisfactory results.

Intracellular delivery and antisense inhibitory effects of the conjugates against telomerase were also evaluated in human leukemia cells. The results showed that the antisense efficiencies were significantly increased by conjugation with peptides and largely depended on the intracellular localization of oligonucleotides.



N2: 5'-CAGTTAGGGTTAG-3' (Antisense sequence: Telomerase)

No.	DNA	Peptide	Type of Peptide
C1	N2	PKKKRKV	SV40 T antigen (NLS*)
C2	N2	GRKKRRQRRRPPQC	HIV1-Tat (NLS)
C3	N2	ALPPLERLTL	HIV-1 Rev (NES**)

*NLS (Nuclear Localizing Signal)

**NES (Nuclear Export Signal)

Designed and synthesized DNA-Peptide conjugates