

Fig. 1a. Characterization of Conjugate ODNs (C1 and C2).

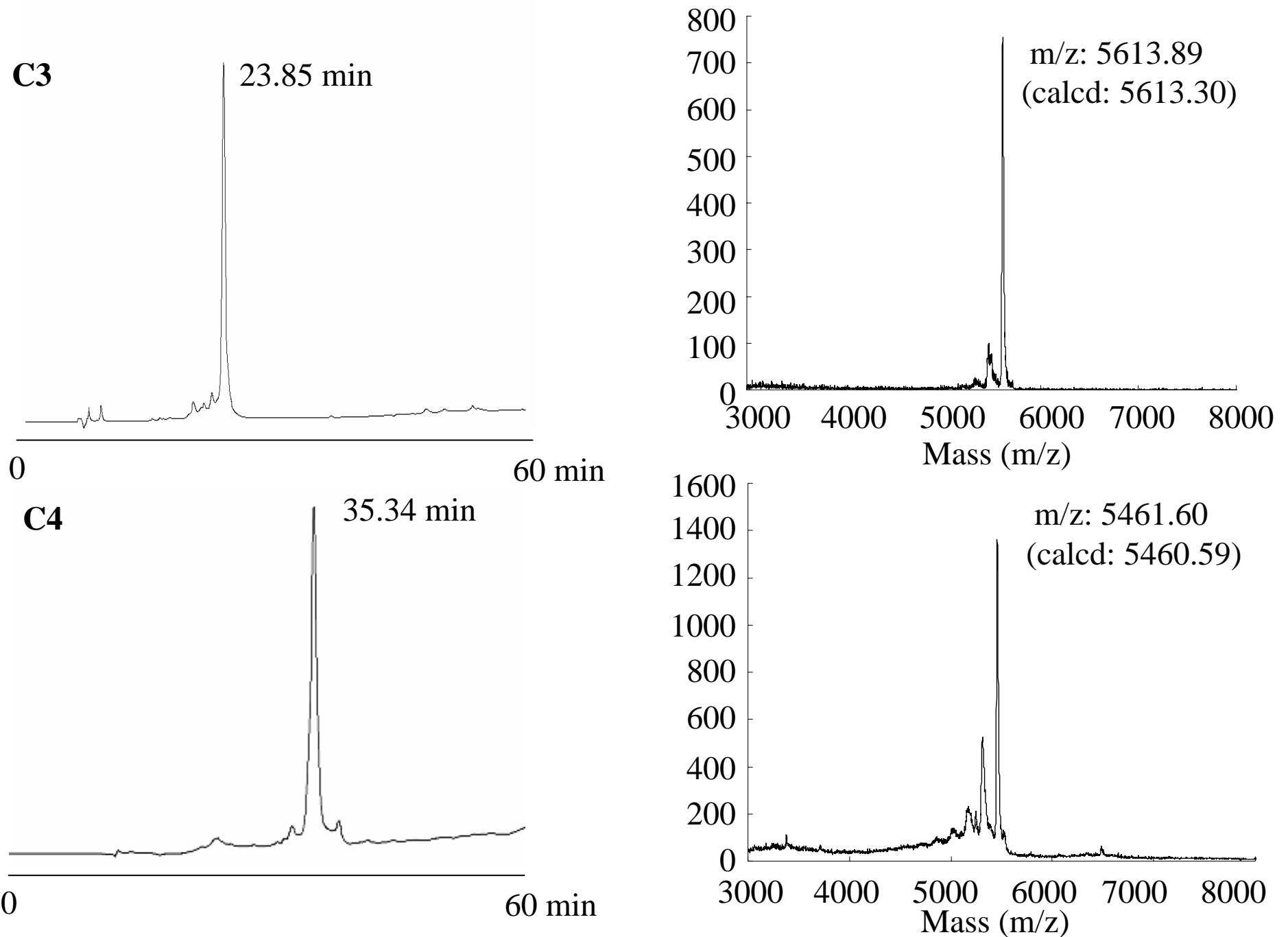


Fig. 1b. Characterization of Conjugate ODNs (C3 and C4).

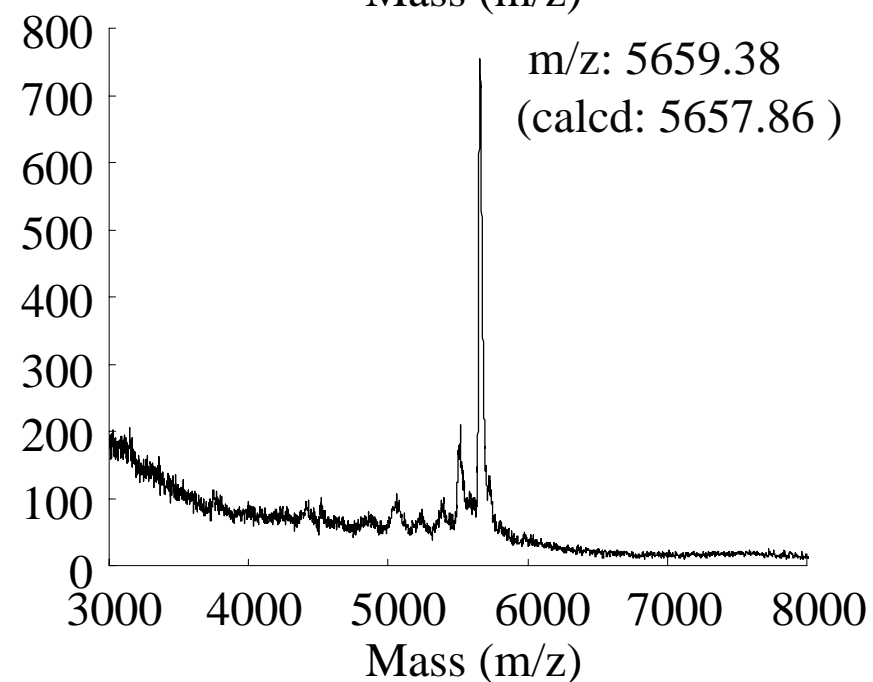
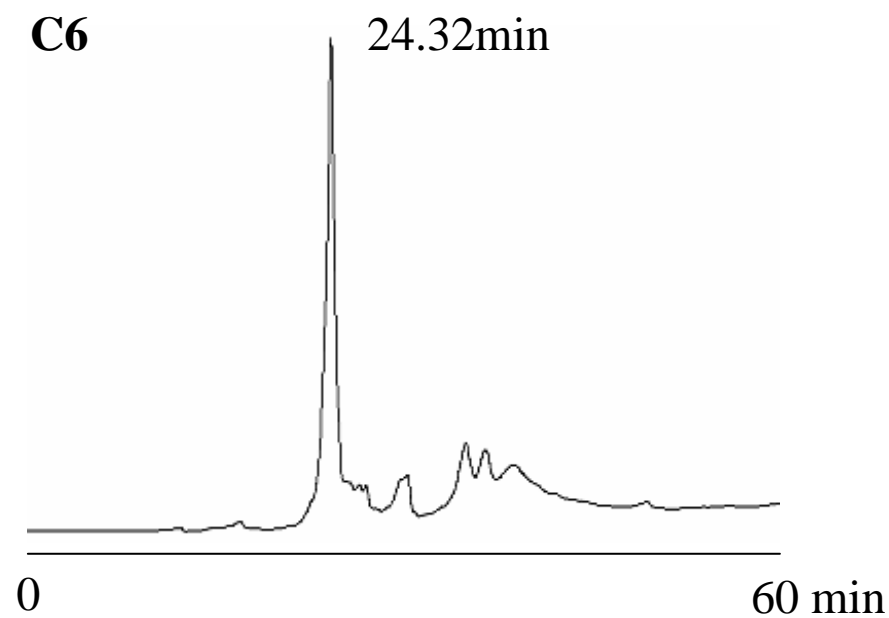
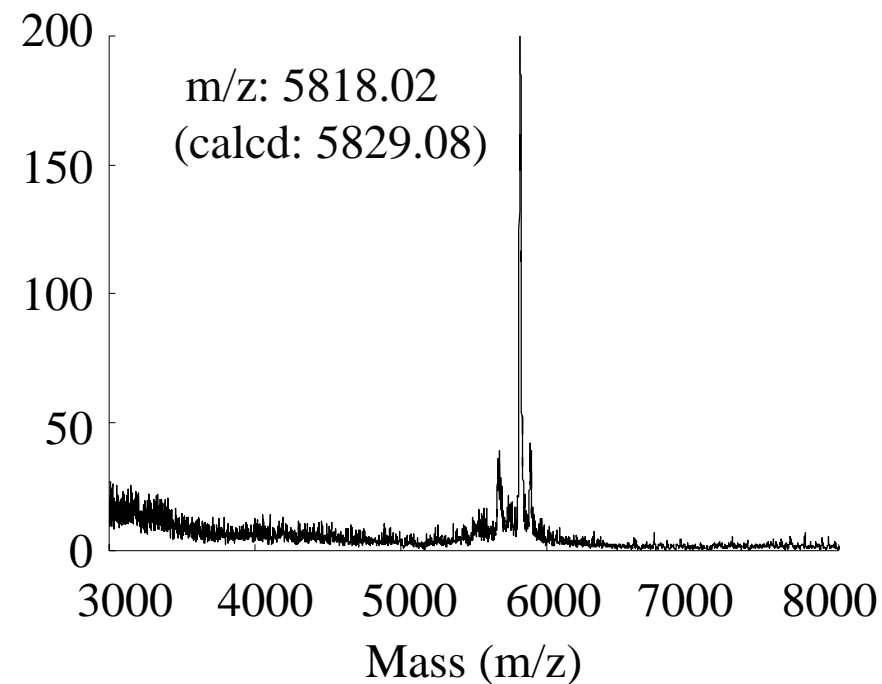
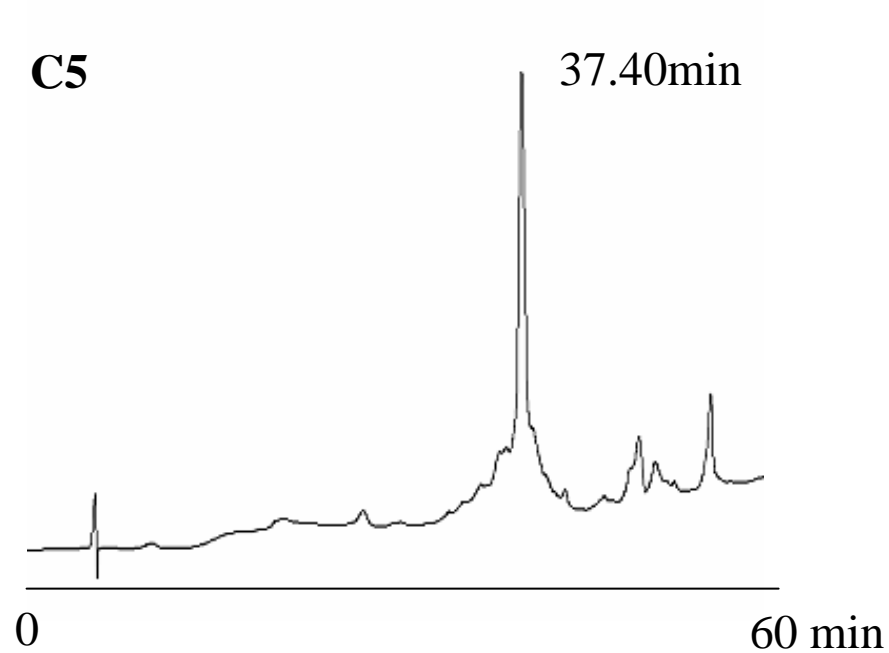


Fig. 1c. Characterization of Conjugate ODNs (C5 and C6).

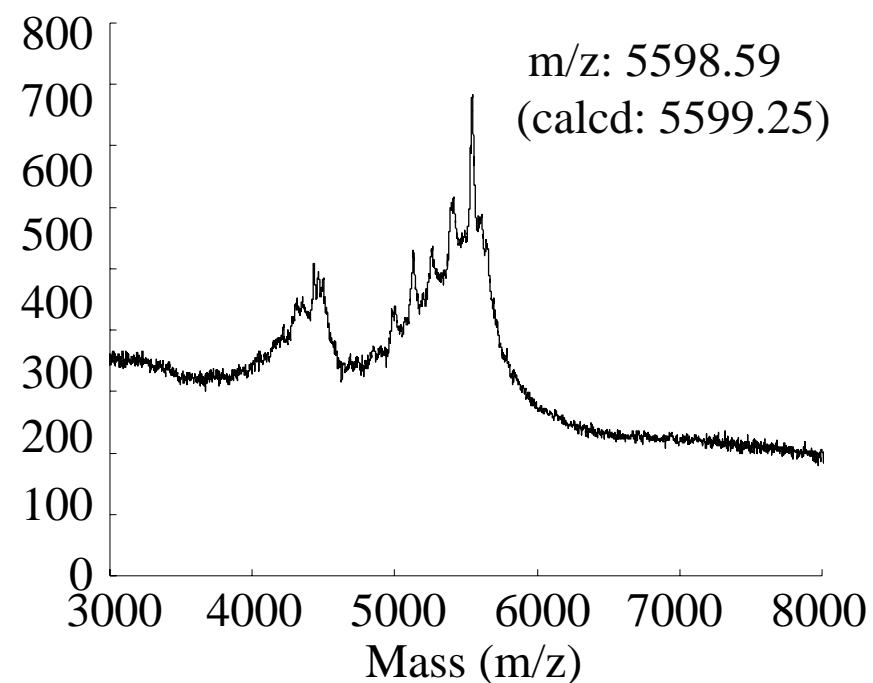
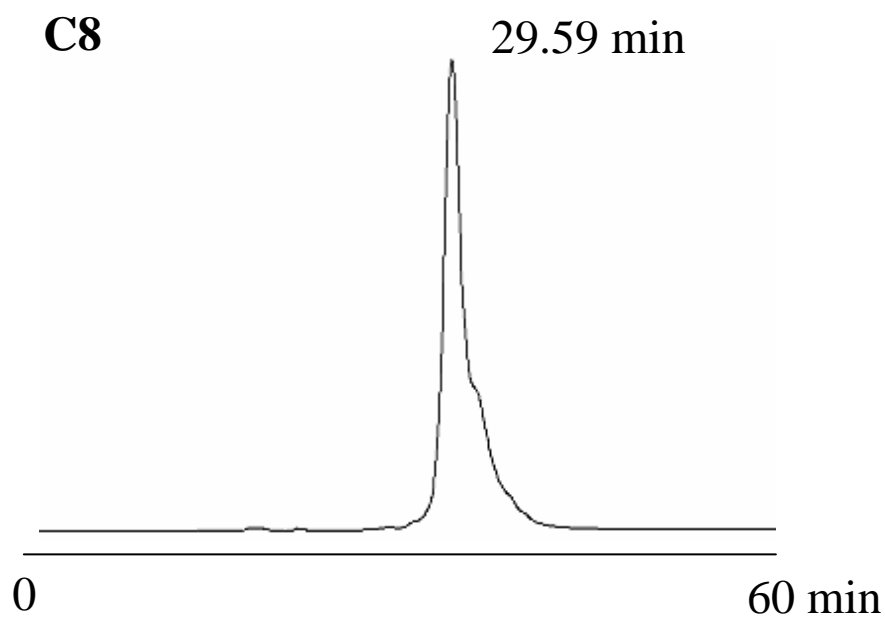
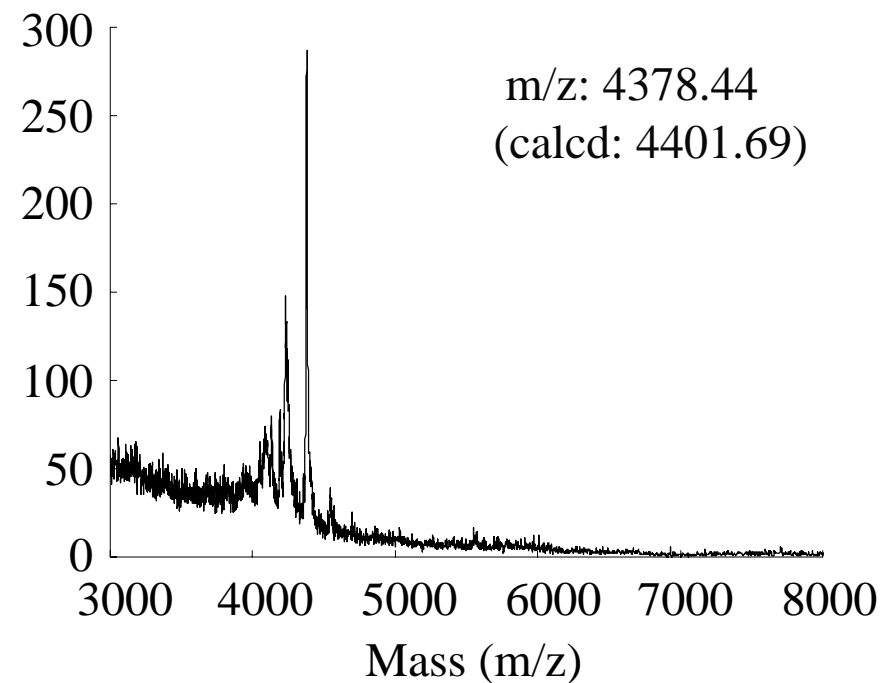
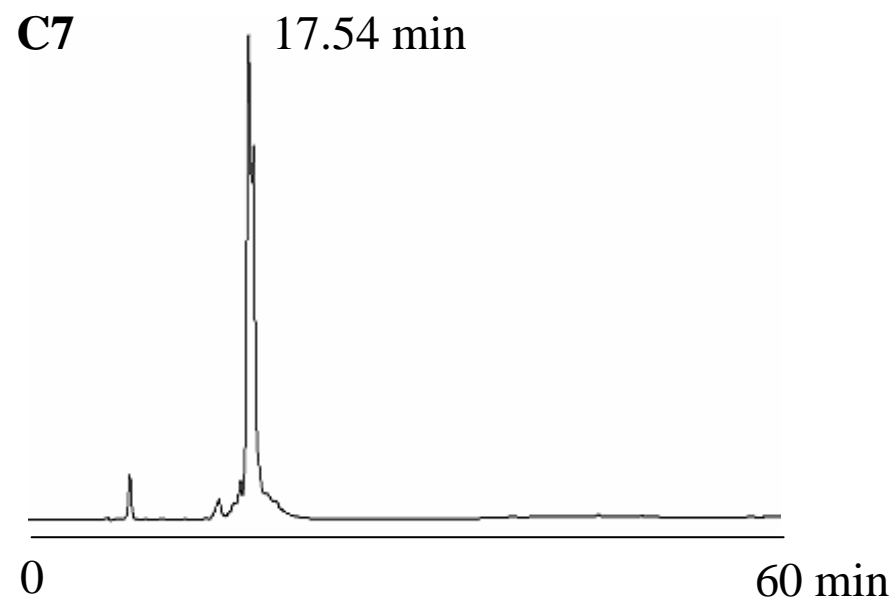
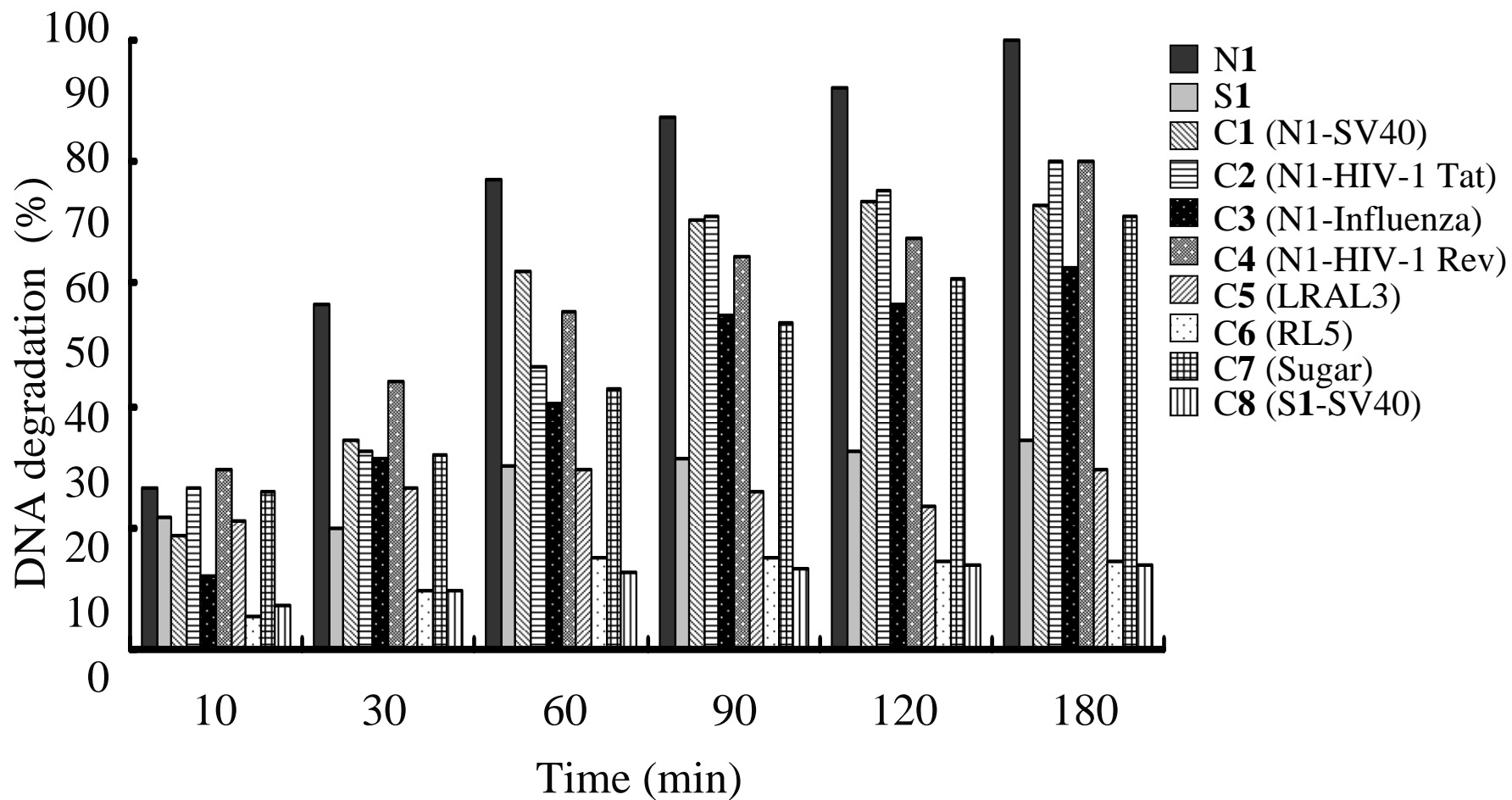


Fig. 1d. Characterization of Conjugate ODNs (C7 and C8).

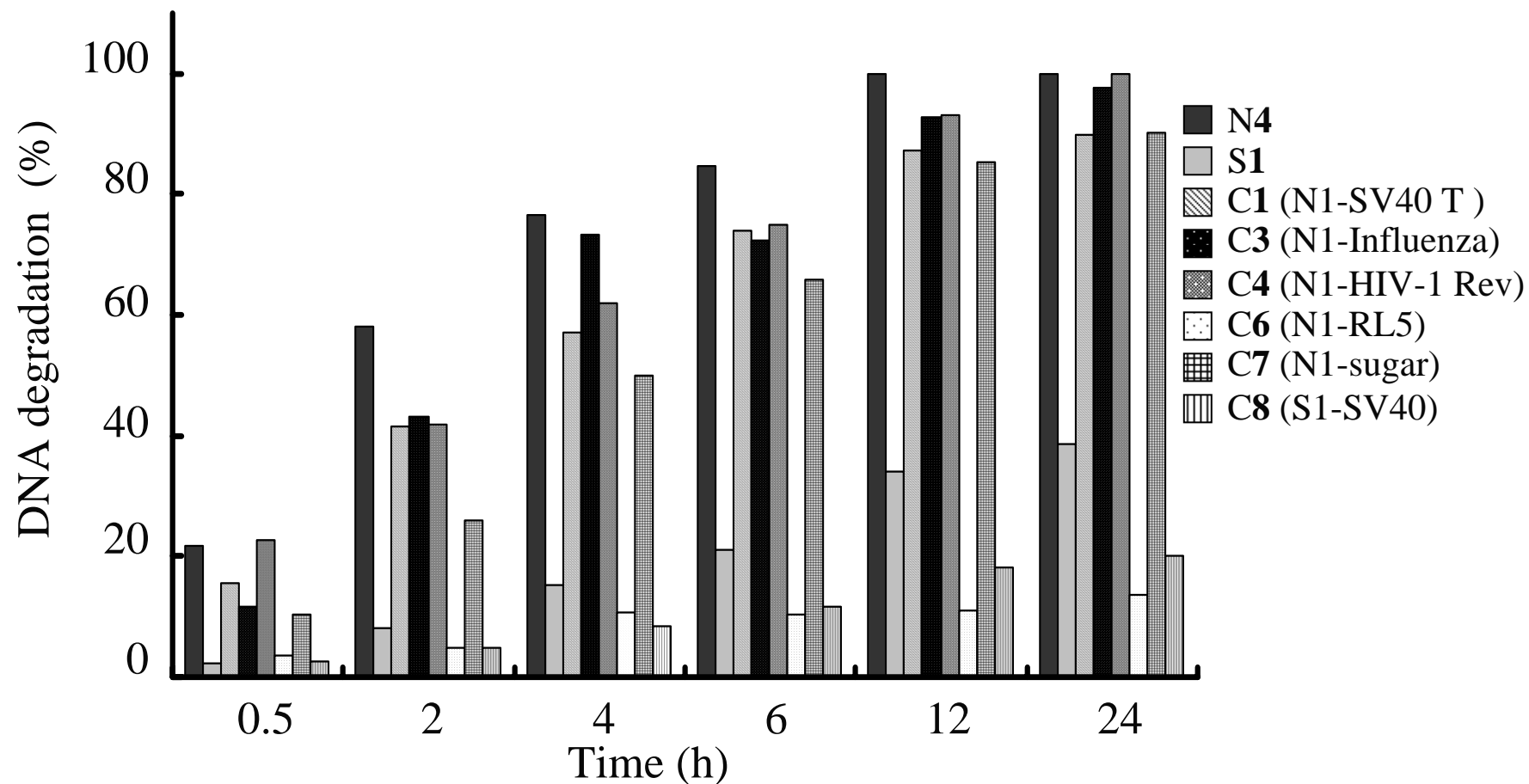
Table 1. Melting Temperatures of Conjugate ODN/ RNA

Duplex	Peptide / Sugar	Type	Tm()		Tm()	
			+ Mg ²⁺	- Mg ²⁺	+Mg ²⁺	-Mg ²⁺
R1/N1	-----		44.00	37.50	-----	-----
R1/C1	SV40 T antigen	(NLS)	44.00	40.00	± 0.00	+2.50
R1/C2	HIV-1 Tat	(NLS)	45.00	40.50	+1.00	+3.00
R1/C3	Influenza virus	(NLS)	43.00	39.00	-1.00	+1.50
R1/C4	HIV-1 Rev	(NES)	44.00	39.00	± 0.00	+1.50
R1/C5	α-helix	Engineered	44.50	41.50	+0.50	+4.00
R1/C7	Galactosamine	Sugar	45.00	39.50	+1.00	+2.00
R1/S1	-----		36.50	32.00	-----	-----
R1/C8	SV40 T antigen	(NLS)	37.50	32.50	+1.00	+0.50



Conditions; [conjugate DNA] = 1 μ M, [DNase 1] = 100 unit, incubated for 0min, 5min, 10min, 20min, 30min, 45min, 60min, 90min, 120min and 180min at 37 $^{\circ}$ C, analyzed by RP-HPLC.

Fig. 2. Resistance Against DNase 1 Digestion of Conjugate ODNs.



Conditions; DNA or conjugate (1 μ M) with 10% fetal bovine serum (FBS) were incubated for 0 h, 0.5 h, 1 h, 2 h, 4 h, 6 h, 12 h and 24h at 37°C. DNA degradations were analyzed by RP-HPLC.

Fig. 3 Degradation of Conjugate ODNs in 10% Serum.

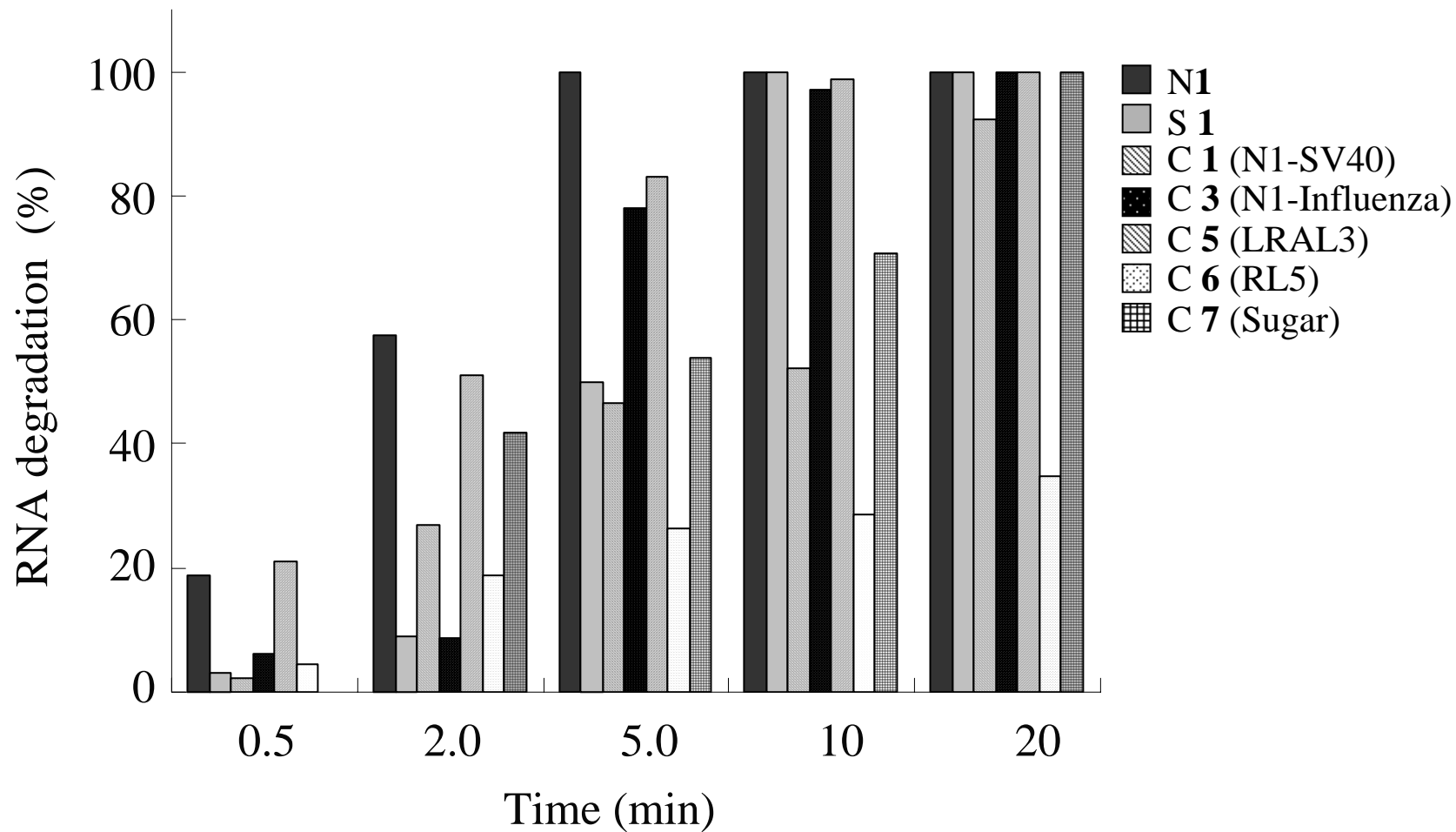


Fig. 4. RNase H activity of Conjugate ODNs and RNA Complex

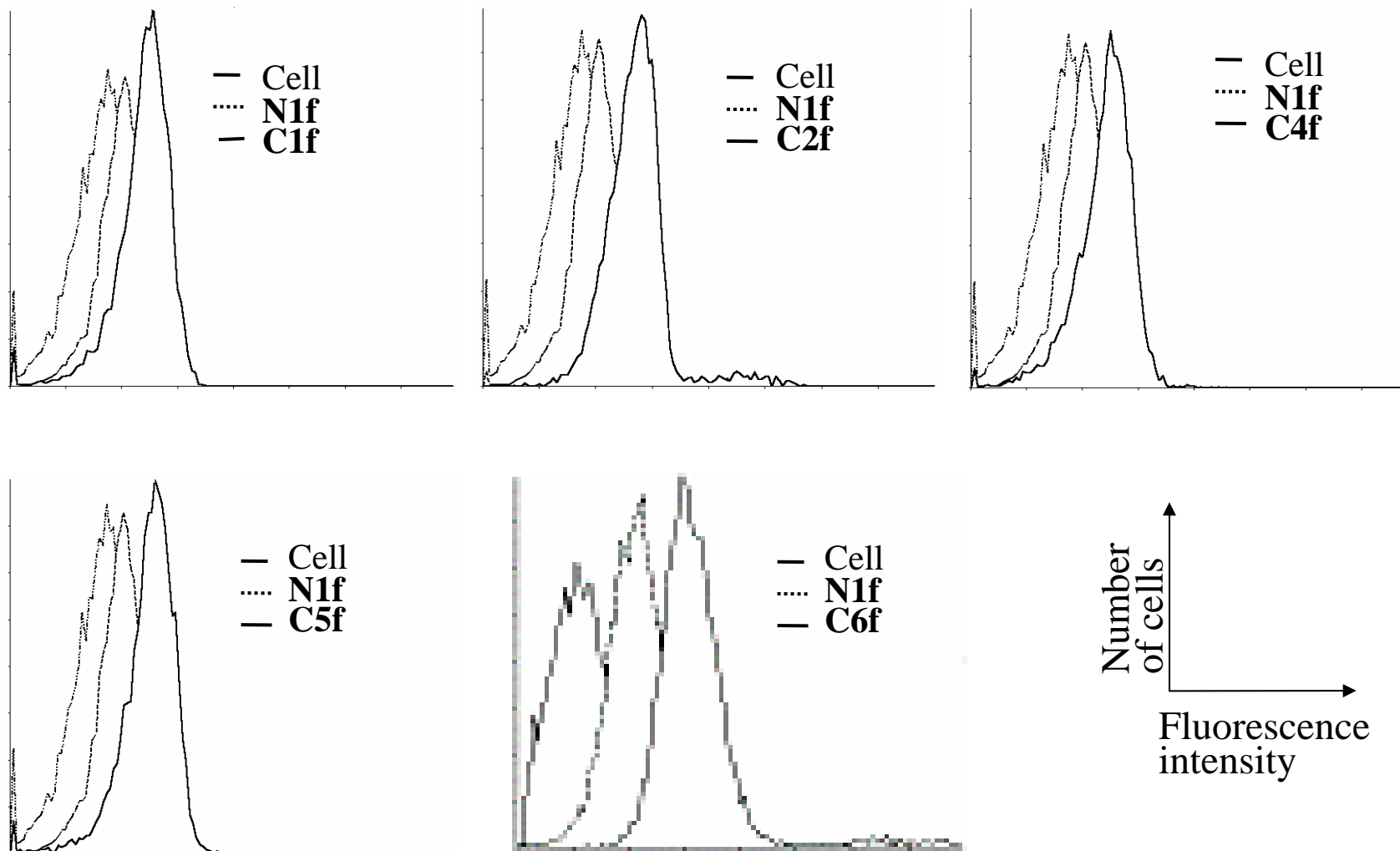
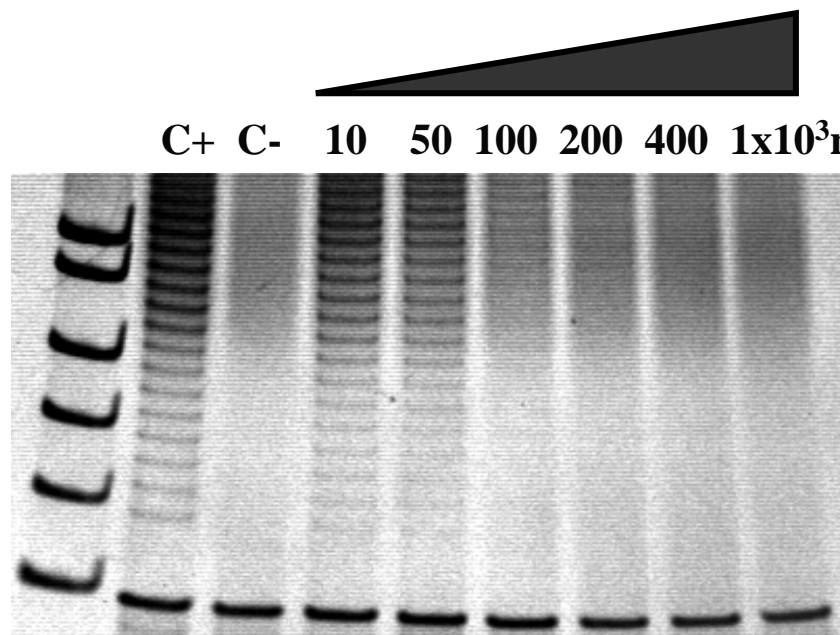


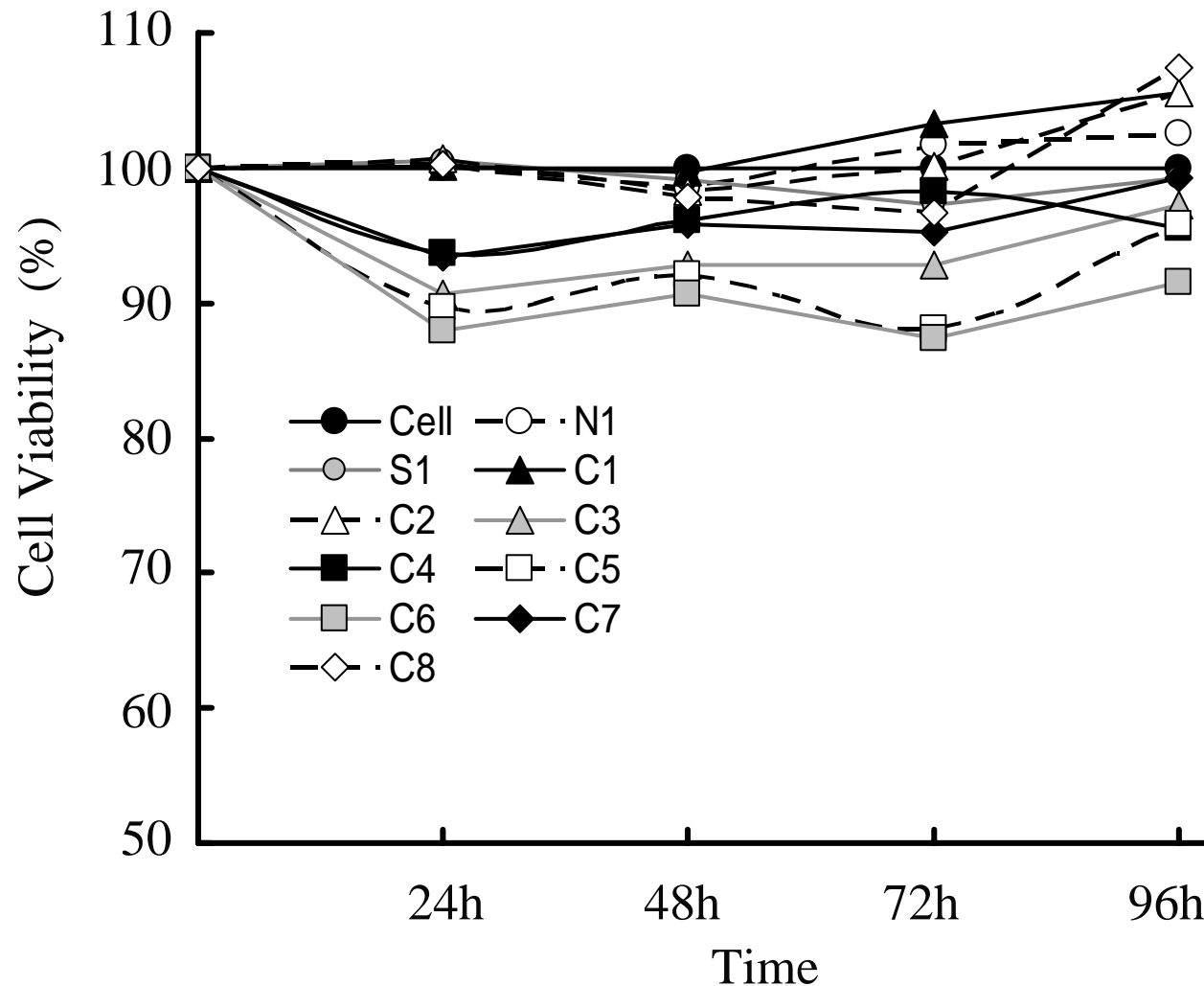
Fig. 5. Membrane Permeability of Conjugate ODNs Detected by Flow Cytometry.



Conditions: cellular extract (Jurkat) = 0.5×10^6 cells/ml, C+, positive control; C-, negative control; line2-6, conjugate AS (10, 50, 100, 200 and 400 nM) were incubated for 10 min at r.t., telomerase reaction was performed for 30 min at 30 °C.

ODN (nM)	Structures	IC ₅₀
N1	-----	1030
S1	-----	25
C1	N1-SV40 (NLS)	55
C2	N1-HIV-1 Tat (NLS)	65
C3	N1-Influenza (NLS)	60
C4	N1-HIV-1 Rev (NES)	98
C5	N1-(LRLA) ₃	65
C6	N1-(RL) ₅	155
C7	N1-Galactosamine	115
C8	S1-SV40 (NLS)	0.5

Fig. 6. Inhibition of Telomerase Activity by Conjugate ODNs in Cell Lysate.



Reaction conditions: Conjugate ODN ($5\mu\text{M}$) were incubated with Jurkat cell (0.5×10^6 cells/ ml) at 37°C under $5\% \text{CO}_2$. Cell viability was determined by a tripan blue stain and celltiter-Glo™ luminescent cell viability assay (Promega) which based on quantitation of the ATP in cells.

Fig. 7. Cytotoxicity of Conjugate ODNs Against Jurkat Cell Line.