SUPPPLEMENTARY INFORMATION

Synthesis and splice-redirecting activity of branched, arginine-rich peptide dendrimer conjugates of Peptide Nucleic Acid oligonucleotides

Amer Saleh[†], Andrey Arzumanov[†], Rachida Abes[§], David Owen[†], Bernard Lebleu[§] and Michael J. Gait†*

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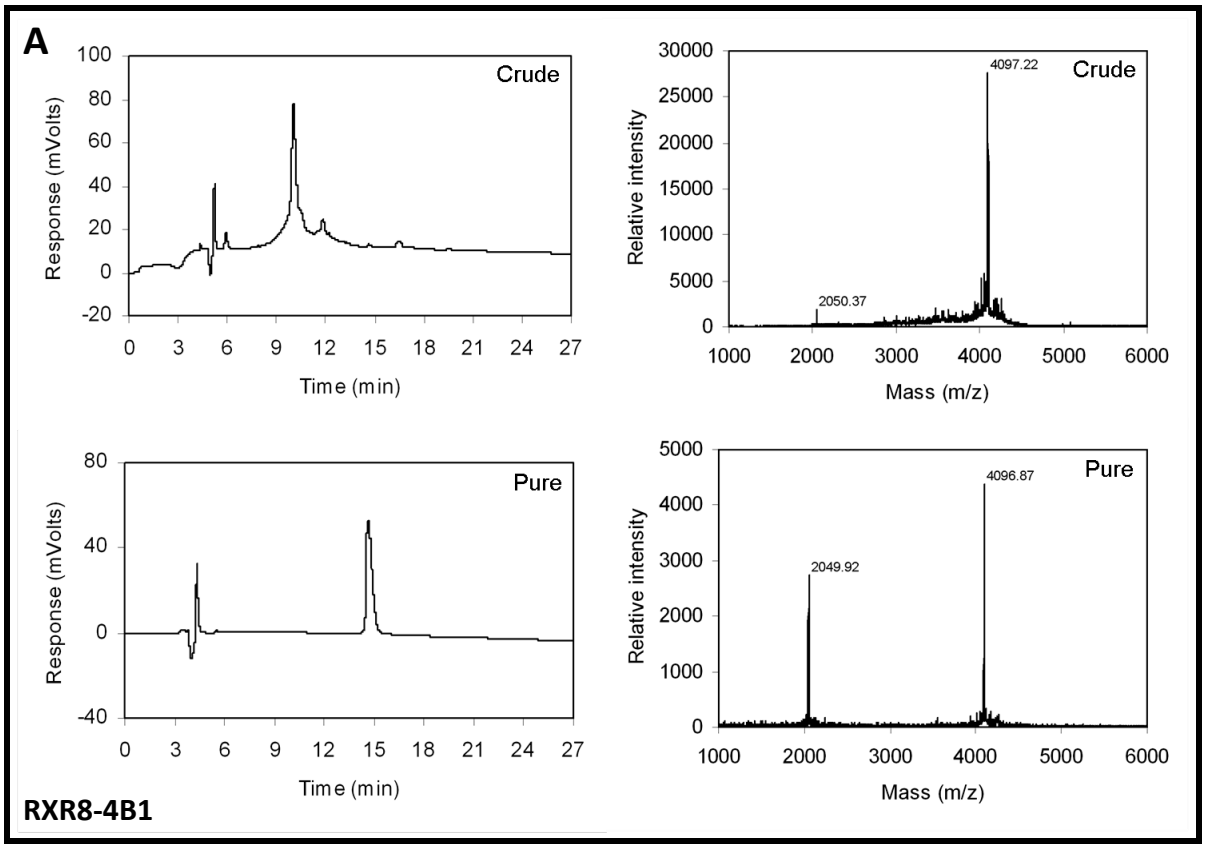
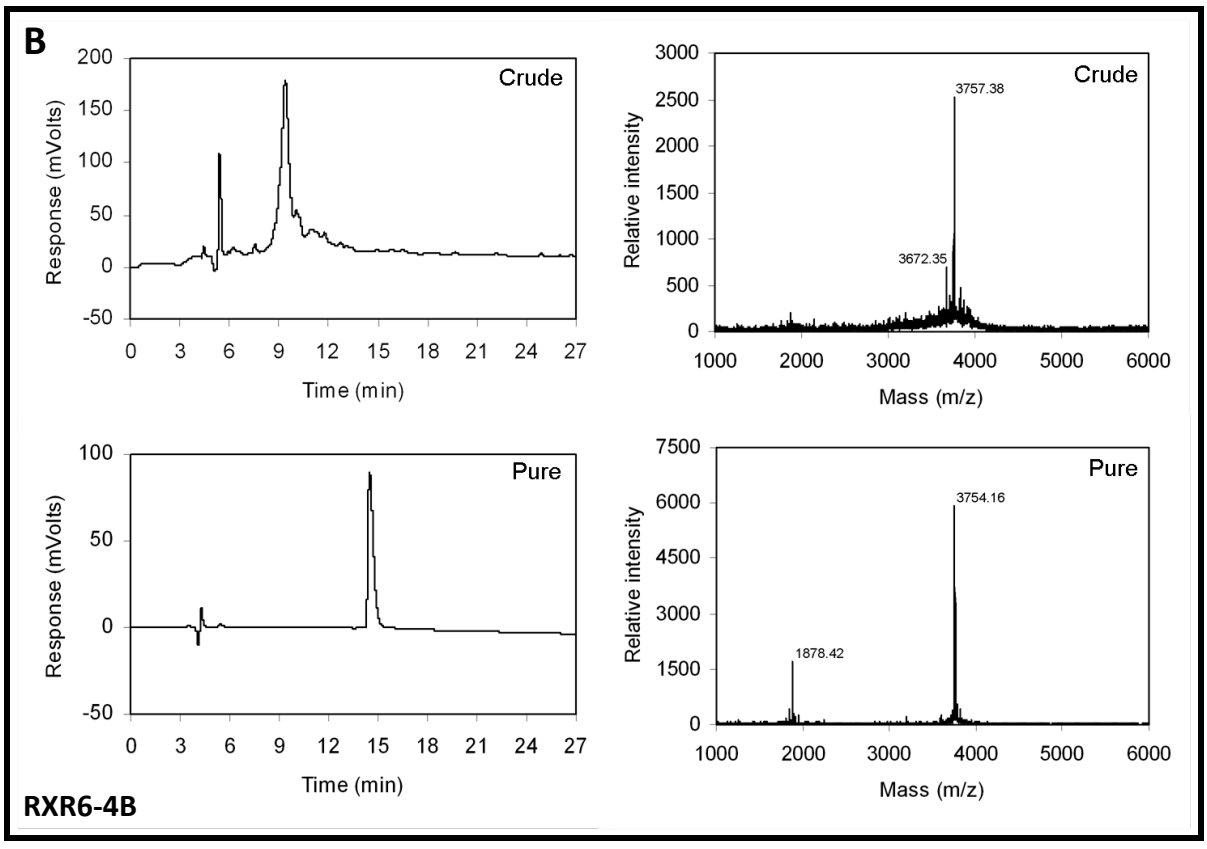

A
Crude
Response (mVolts)
Time (min)
Relative intensity
4097.22
2050.37
Mass (m/z)
Pure
4096.87
2049.92
RXR8-4B1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Crude
Response (mVolts)
Time (min)
Relative intensity
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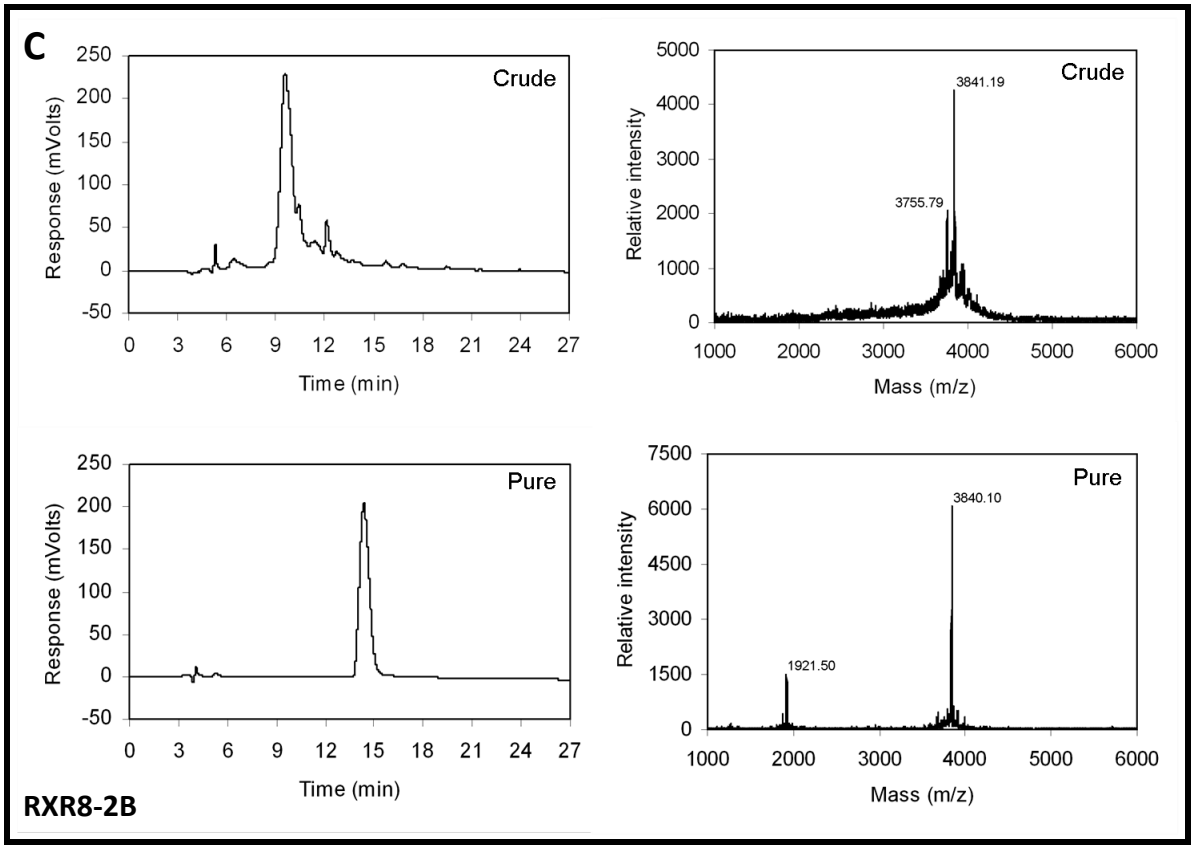
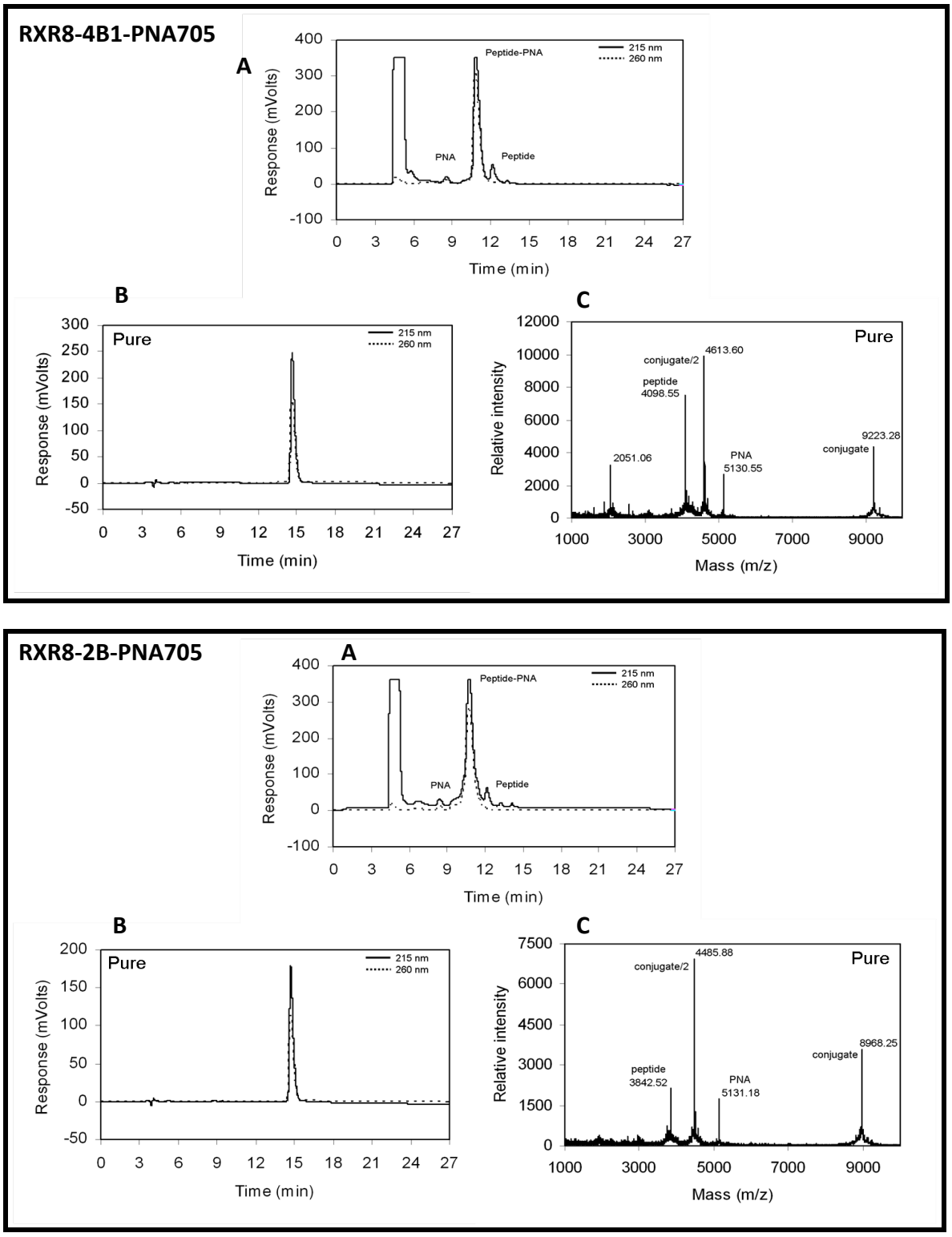
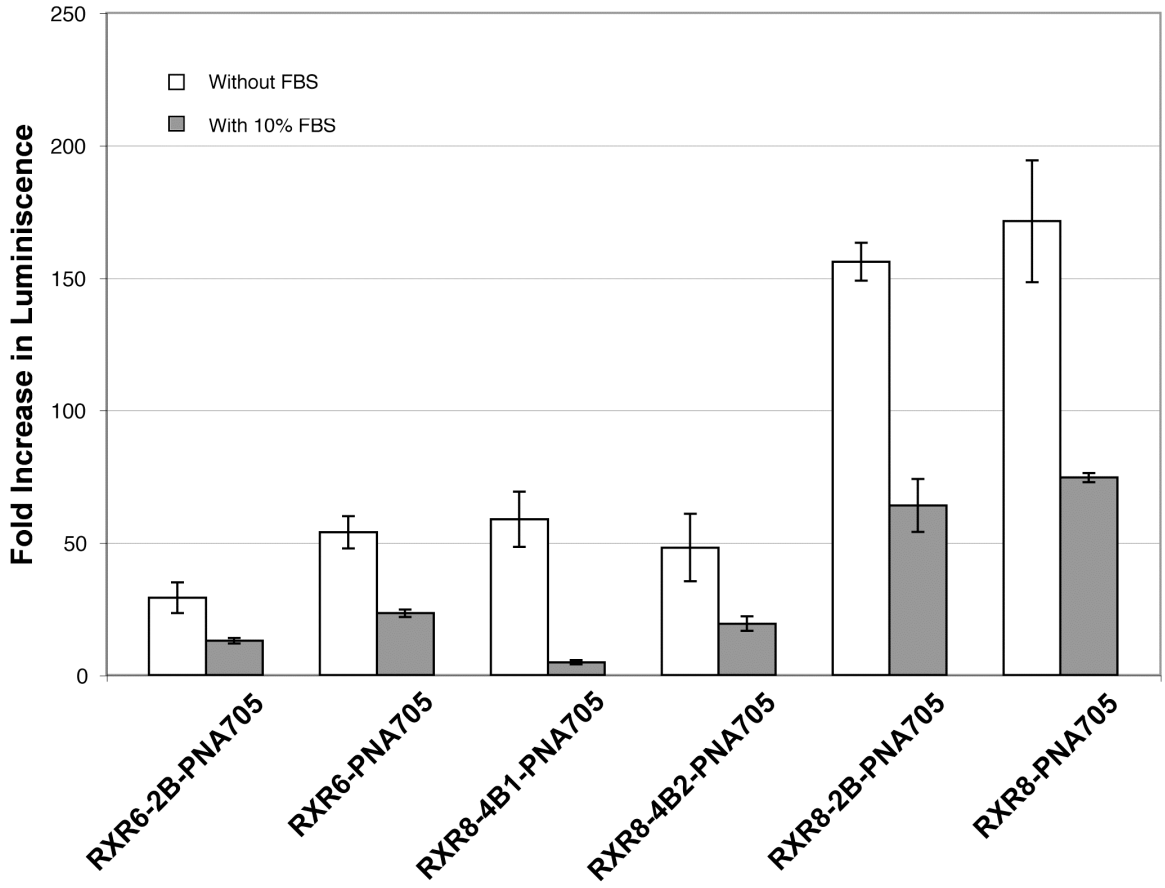
3672.35
Mass (m/z)
Pure
3754.16
1878.42
RXR6-4B



Supplementary Figure 1. HPLC traces (left) and MALDI-TOF mass spectra (right) of crude products and purified peptides (**A**) RXR8-4B1, (**B**) RXR6-4B and (**C**) RXR8-2B. Each crude peptide was purified by RP-HPLC on a 10 x 250 mm C18 column (buffer A: 0.1% TFA, buffer B: 90% acetonitrile, 10% solvent A) using 15-50% B in 25 minutes. The purified peptide was analysed by RP-HPLC on a 4.6 x 250 mm C18 column (buffer A: 0.1% TFA, buffer B: 90% acetonitrile, 10% solvent A) using 10-40% B in 25 minutes.



Supplementary Figure 2. Synthesis of conjugates RXR8-4B1-PNA705 (**Top panel**) and RXR8-2B-PNA705 (**Bottom panel**) **A**) Reversed-phase HPLC of crude products on a 10 x 250 mm C18 column (buffer A: 0.1% TFA, buffer B: 90% acetonitrile, 10% solvent A) using 15-40% B in 25 minutes. (**B**) Analysis of the purified conjugate by RP-HPLC on a 4.6 x 250 mm C18 column (buffer A: 0.1% TFA, buffer B: 90% acetonitrile, 10% solvent A) using 10-40% B in 25 minutes. (**C**) MALDI-TOF mass spectrum of the conjugate.



Supplementary Figure 3. Fold increase in luminescence obtained for selected peptide-PNA705 conjugates in the luciferase assay. Peptide-PNA conjugates (1.2 μM) were incubated for 4 h in 0.35 ml OptiMEM medium with exponentially growing HeLa pLuc705 cells (1.25 x 10^5 cells/well seeded and cultivated overnight) in 24 wells plates either with or without 10% fetal bovine serum (FBS). The medium was removed, 1 ml complete medium (DMEM plus 10% FBS) was added and incubation continued for 20 h. The luciferase assay was carried out as described in the Experimental Procedures.