Apoptosis inducing, conformationally constrained, dimeric peptide analogs of KLA with sub-micromolar cell penetrating abilities

Supporting Information

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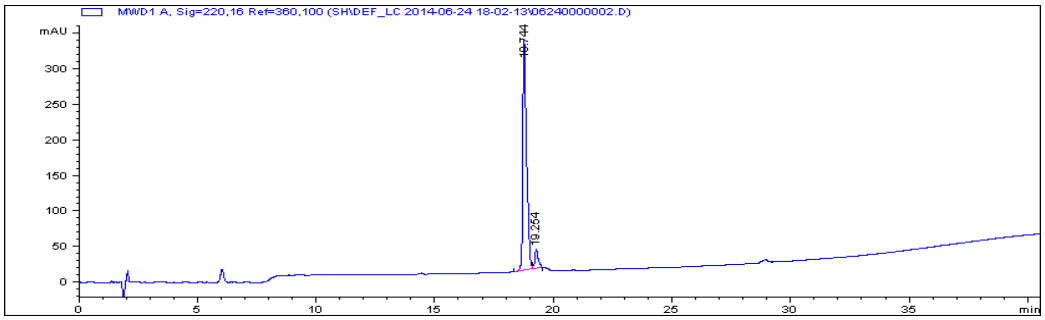
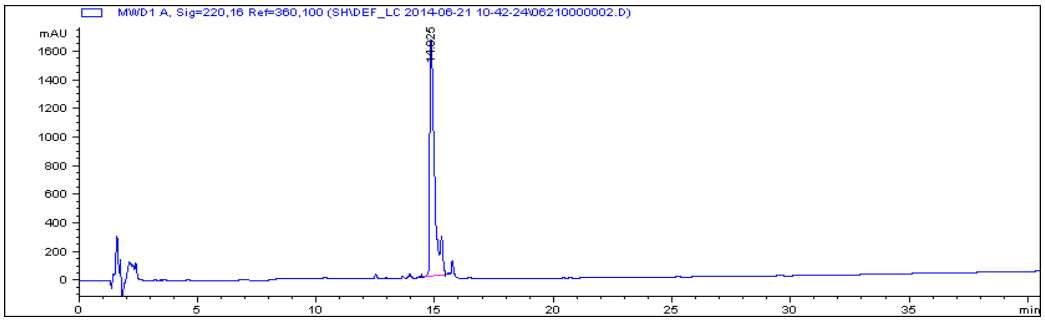
Figure S1. Chromatograms of the peptides. A Zorbax C_{18} (3 μm, 4.6 x 150 mm) column was used as the stationary phase. For the mobile phase, buffer A (water with 0.1% v/v TFA) and buffer B (acetonitrile with 0.1% v/v TFA) were used as a gradient. The gradient conditions are as follows: 5 min, 5% B followed by linear gradient 5-70% B over 25 min. Each peptide was labeled on a respective chromatogram.

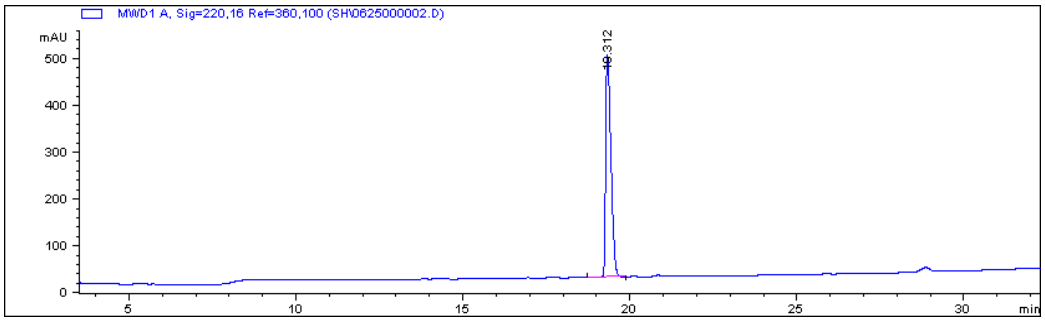
KLA (>93% purity)



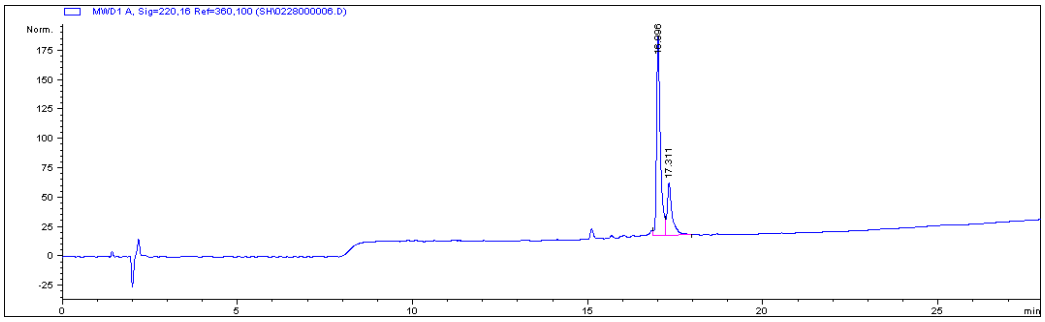
monomer A (>93% purity)



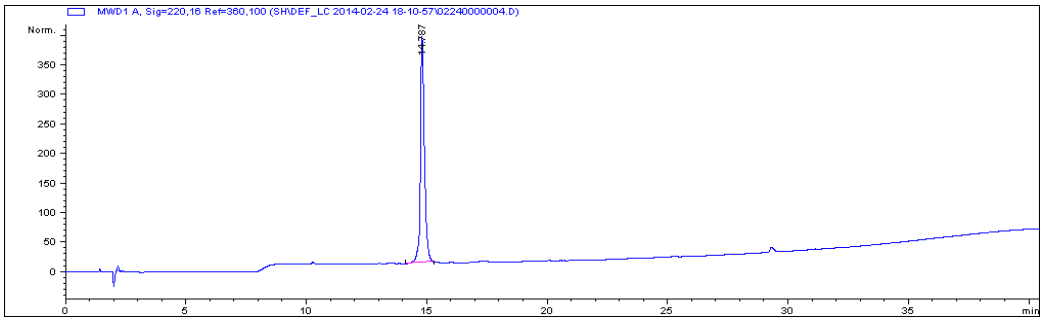
monomer B (>98% purity)



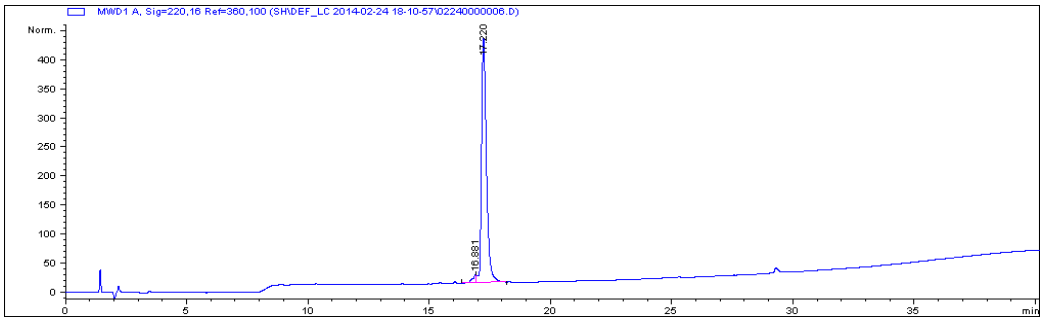
monomer C (>94% purity)



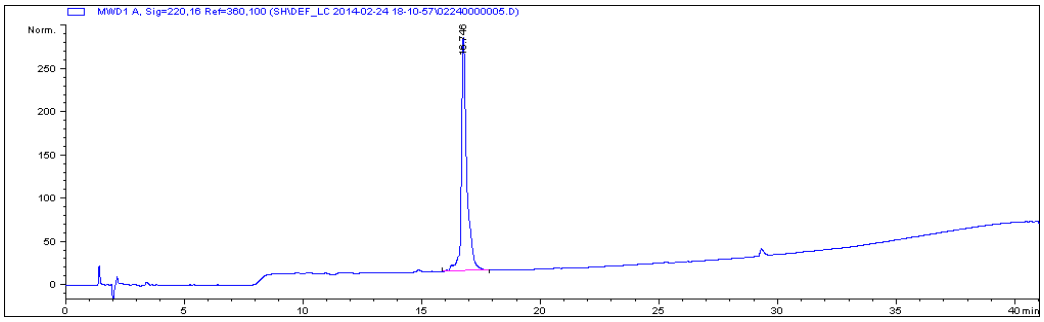
dimer A (>99% purity)



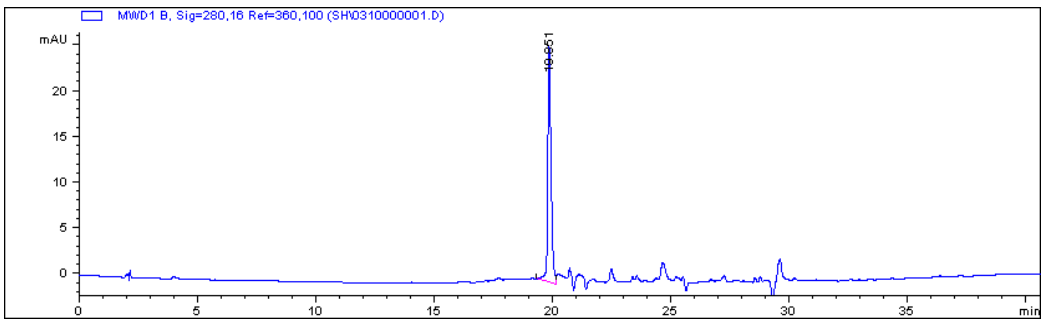
dimer B (>97% purity)



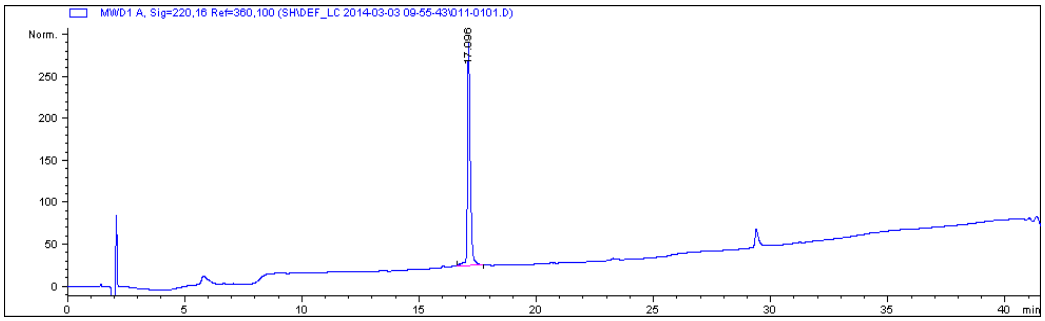
dimer C (>99% purity)



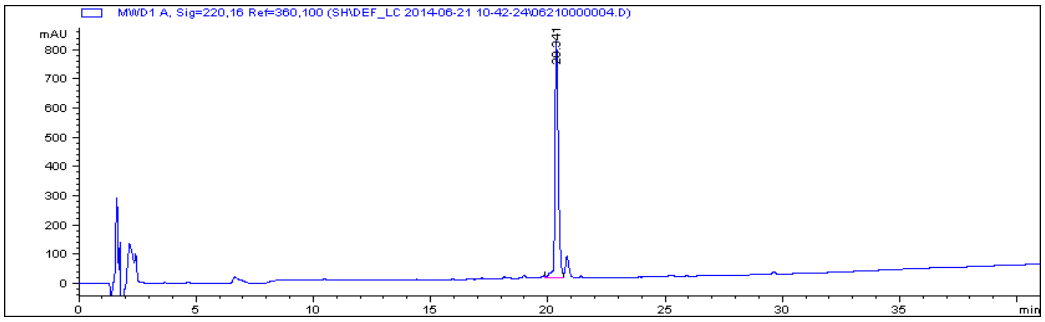
5-TAMRA-KLA (>93% purity)



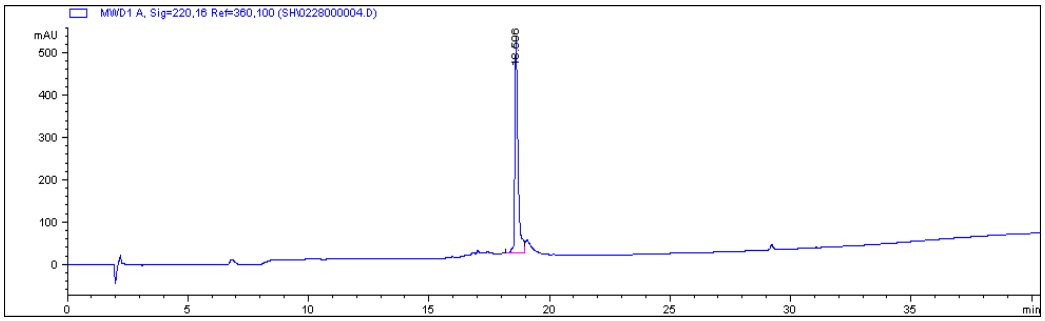
5-TAMRA-monomer A (>99% purity)



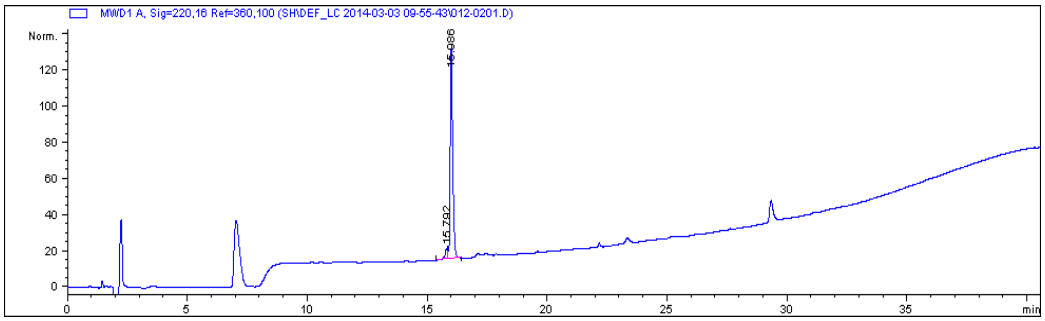
5-TAMRA-monomer B (>92% purity)



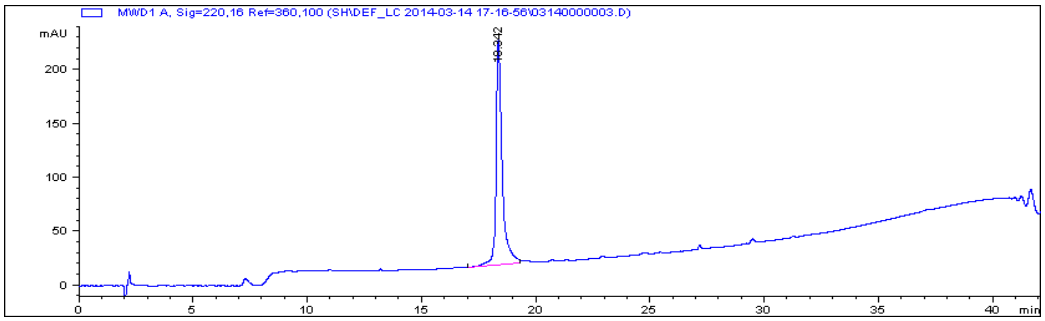
5-TAMRA-monomer C (>92% purity)



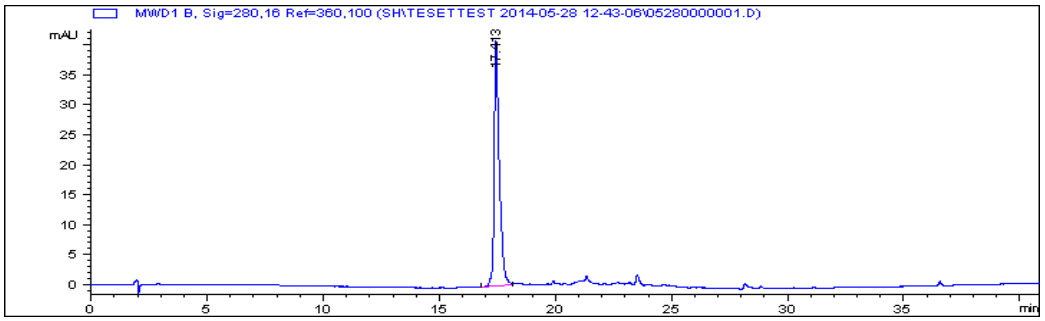
5-TAMRA-dimer A (>94% purity)



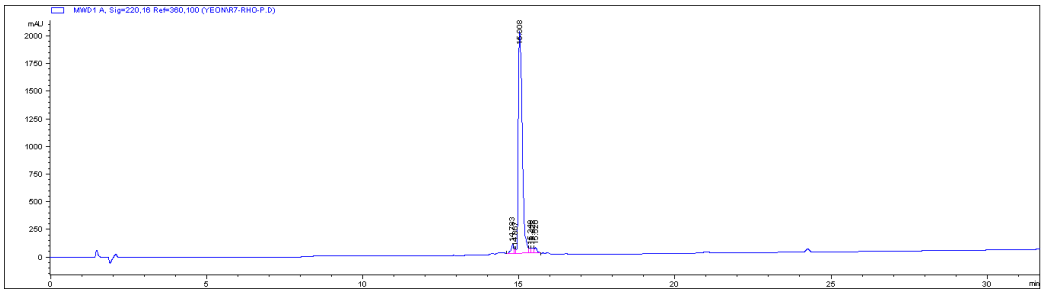
5-TAMRA-dimer B (>99% purity)



5-TAMRA-dimer C (>98% purity)



5-TAMRA-R7 (5-TAMRA-RRRRRRR-NH_2, >99% purity)



5-TAMRA-penetratin (5-TAMRA-RQIKIWFQNRRMKWKK-NH_2, >95% purity)

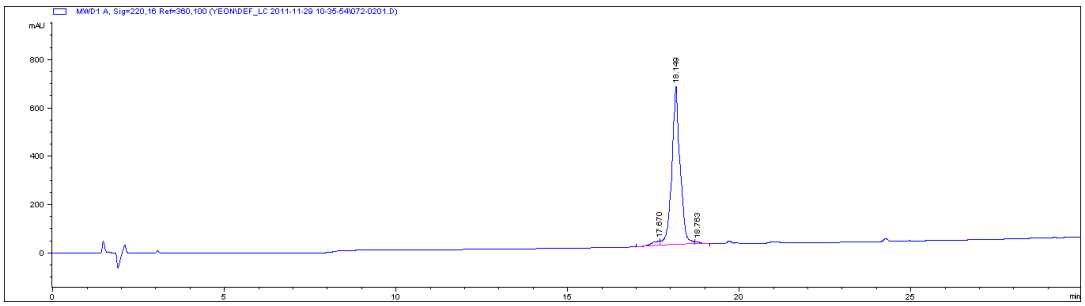


Figure S2. CD spectrum of the peptides (A and C) in 10 mM sodium phosphate (pH 7.4) and (B and D) in the same buffer containing 50% TFE.

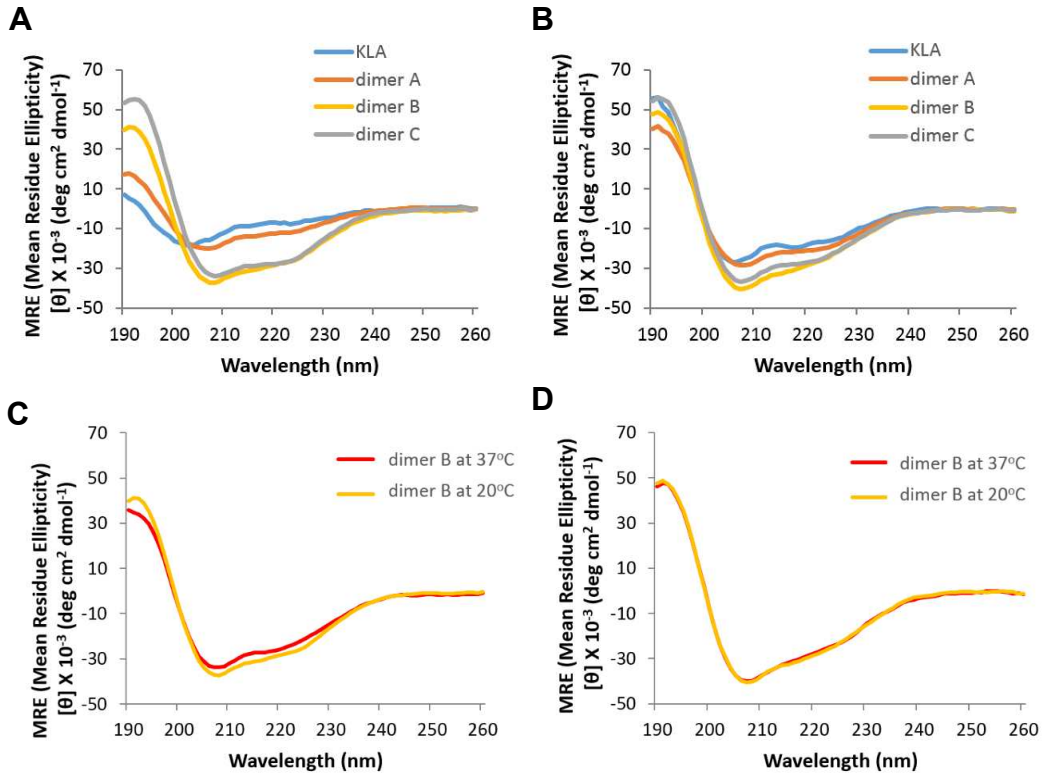


Figure S3. Confocal microscopy images of JC-1 staining of HeLa cells. 1 μM of valinomycin was used as a positive control. 0.1 μM of dimer **B** showed not significant changes of membrane potential.