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Article Supplementary Materials

High boron-loaded DNA-oligomers as a potential boron neutron capture therapy and antisense oligonucleotide dual-action anticancer agents

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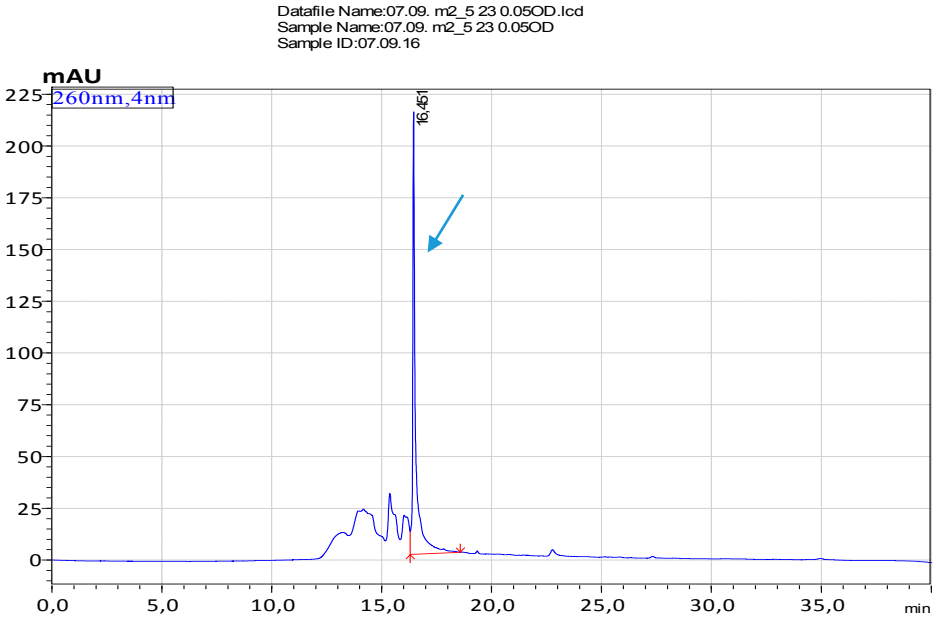
Content of the Supplementary Materials

1. **Figure S1.** RP-HPLC profiles of oligonucleotides **4** (A) and **5** (B), which contain 2′-*O*-propargyluridine (**U**$_{Pr}$) and oligonucleotides **6** (C) and **7** (D), which are modified with metallacarborane cluster nucleoside units (**U**$_{B}$).
2. **Figure S2.** Infrared spectra of the oligonucleotides **4** (A) and **5** (B), and enlarged spectra of **6**,**7**, **11** and **12** within the B-H diagnostic signals (2200–2800 cm^{-1} region) (C).
3. **Figure S3.** Concentration-dependent silencing activities of control oligonucleotide **13** (5′-d(ATGAAGGTTCAATCTGATTTT) (1-200 nM), metallacarborane **11** and their mixture (**13**+**11**), as determined by a pEGFP-EGFR/RFP dual fluorescence assay in HeLa cells.
4. **Figure S4.** Analysis of ROS generation in HeLa cells by oligonucleotides **1** and **13**, and by ferrocene and oligonucleotide **1**.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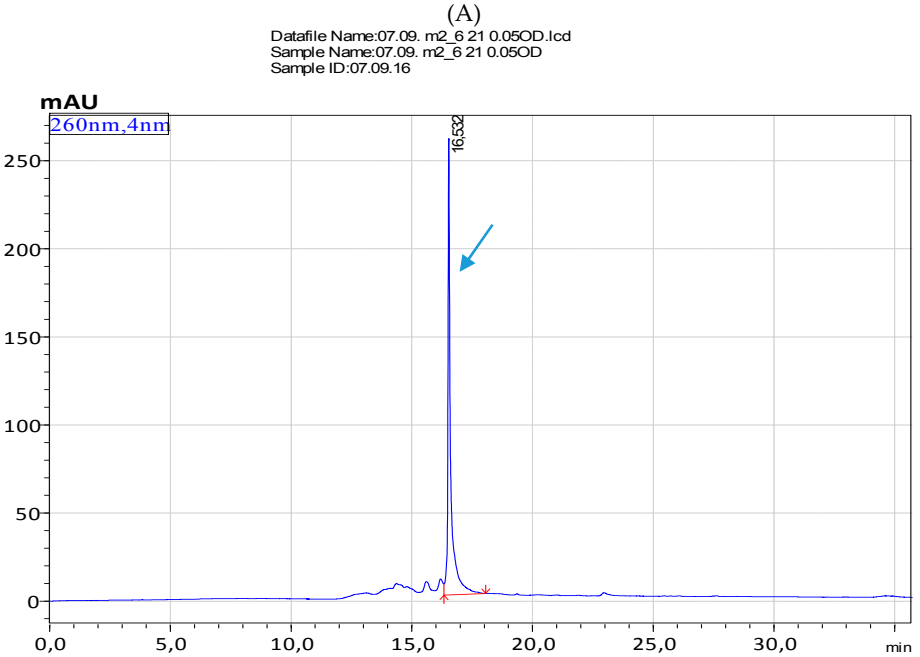
(A)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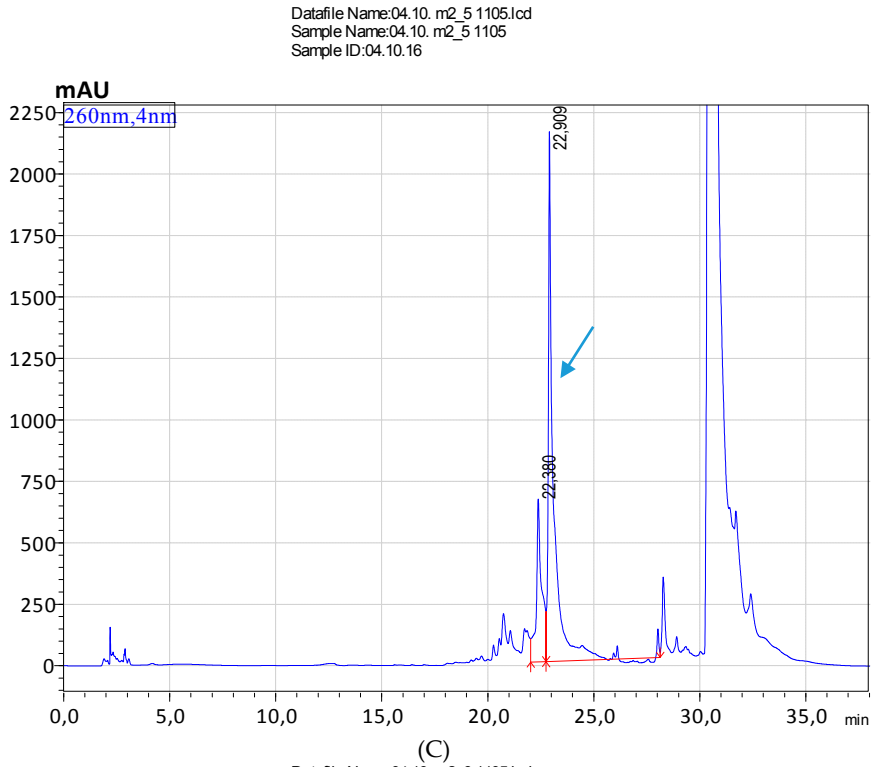
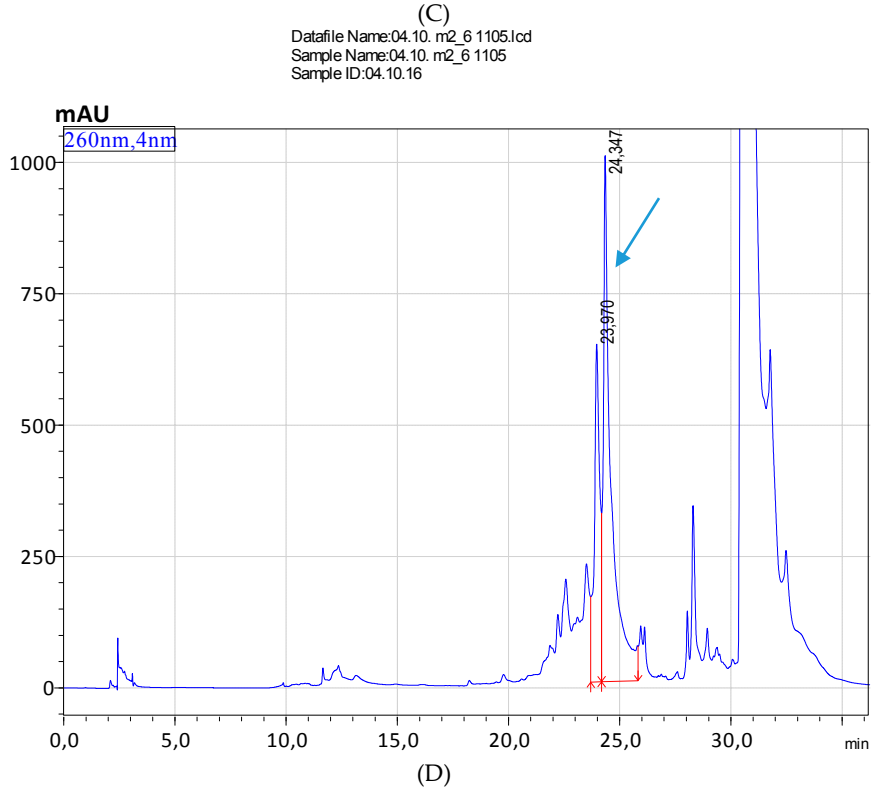
(B)

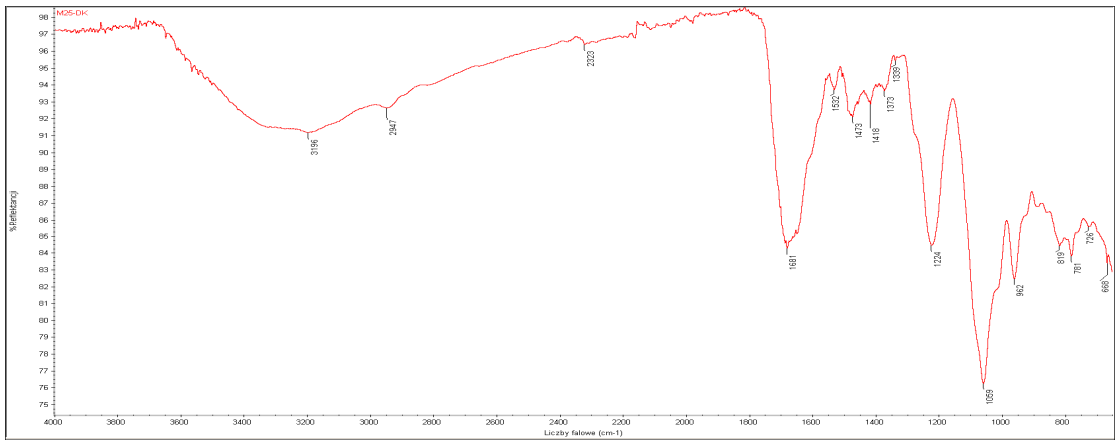


(C)



(D)

Figure S1. RP-HPLC profiles of oligonucleotides **4** (A) and **5** (B), which contain 2′-*O*-propargyluridine (**U**$_{Pr}$) and oligonucleotides **6** (C) and **7** (D), which are modified with metallacarborane cluster nucleoside units (**U**$_{B}$). Peaks of the collected compounds are indicated by arrows.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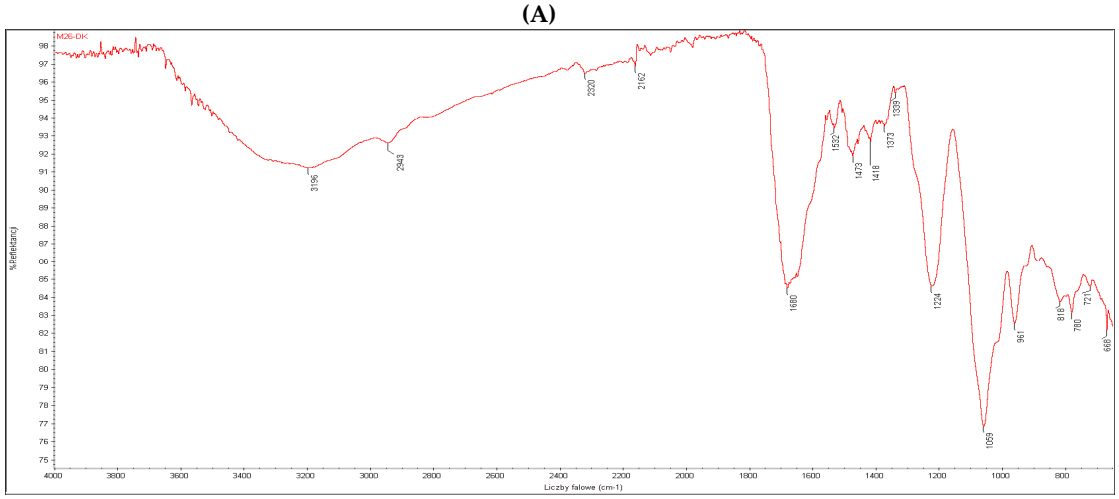
962
816
781
726
668

(A)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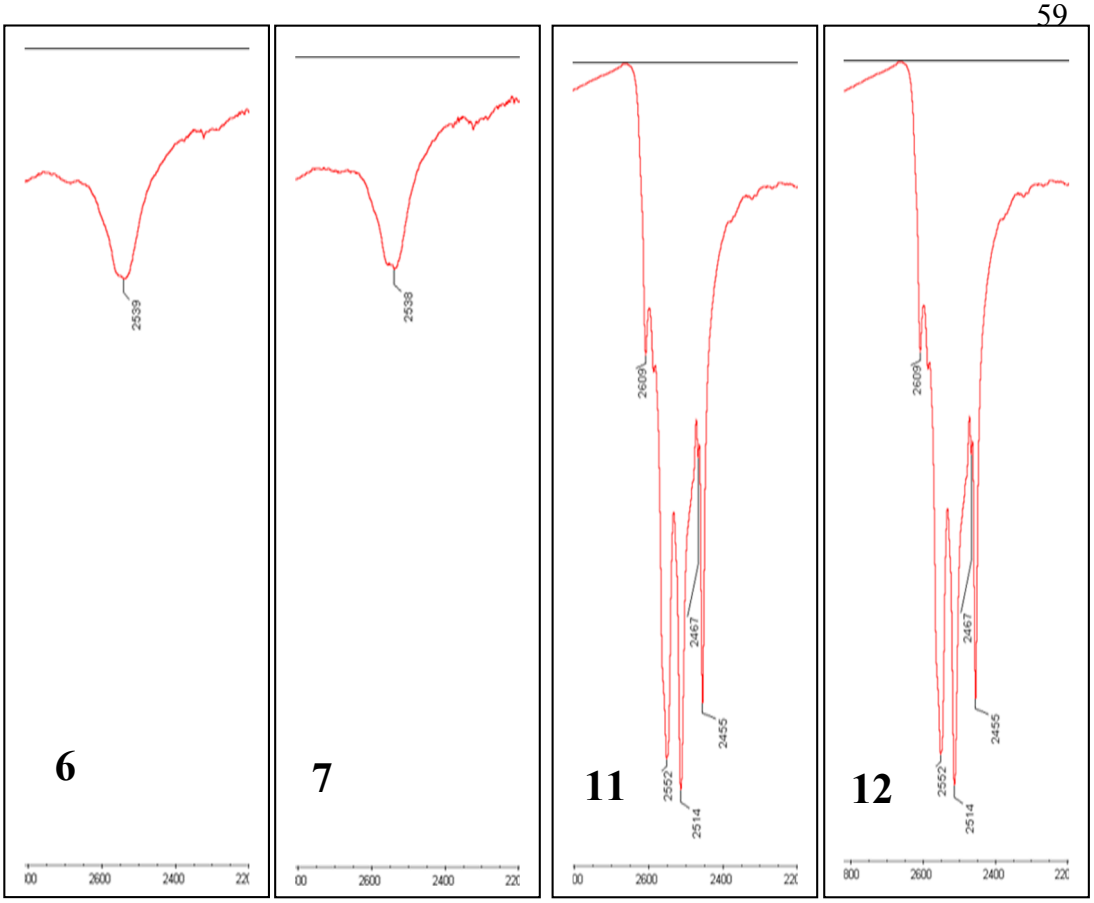
(B)



(C)

Figure S2. Infrared spectra of the oligonucleotides **4** (A) and **5** (B), and enlarged spectra of **6**,**7**, **11** and **12** within the B-H diagnostic signals (2200–2800 cm^{-1} region) (C).

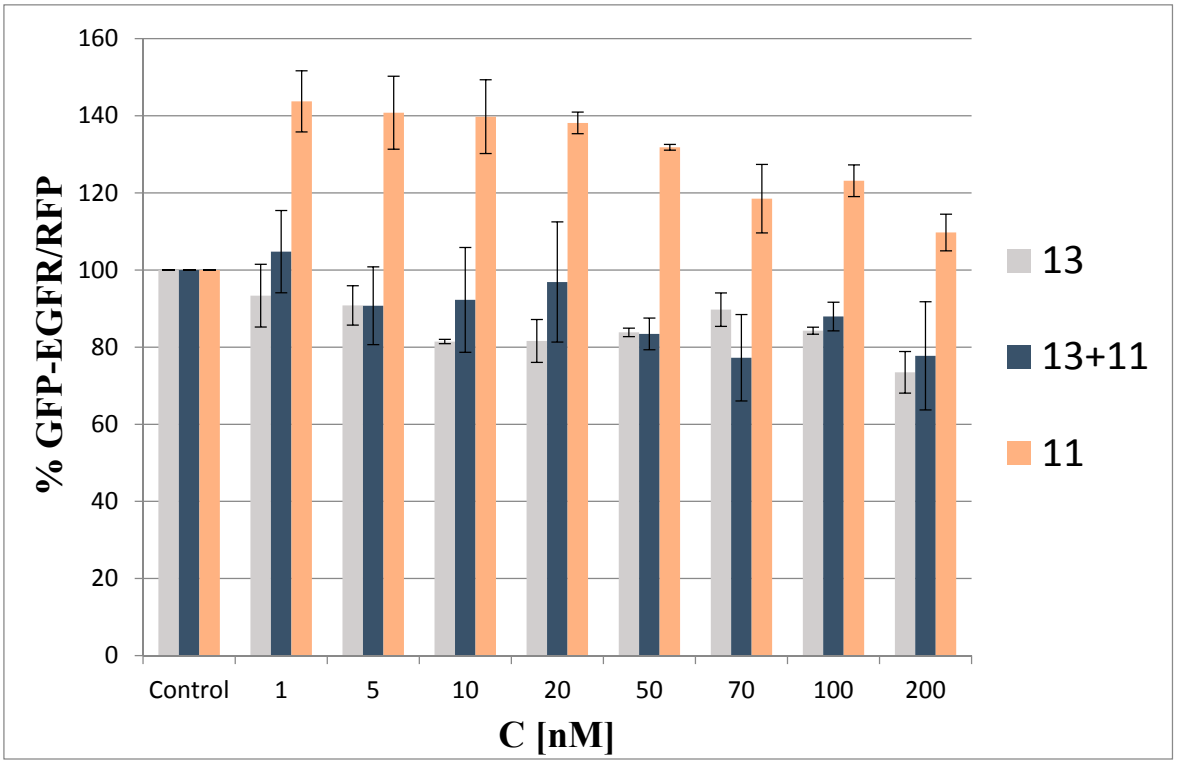


Figure S3. Concentration-dependent silencing activities of control oligonucleotide **13** (5′-d(ATGAAGGTTCAATCTGATTTT) (1-200 nM), metallacarborane **11** and their mixture (**13+11**), as determined by a pEGFP-EGFR/RFP dual fluorescence assay in HeLa cells. The cells were transfected with the pEGFP-EGFR and pDsRED-N1 plasmids and then treated (in the presence of Lipofectamine) with oligonucleotide **13** at concentrations ranging from 1-200 nM. Metallacarborane **11** was added to the cells upon oligonucleotide transfection was finished and medium was exchanged. The cells were incubated for the next 48 h. The relative EGFP-EGFR/RFP fluorescence of the cells transfected with the plasmids only was assessed as 100 %. The results are mean values from three independent experiments.

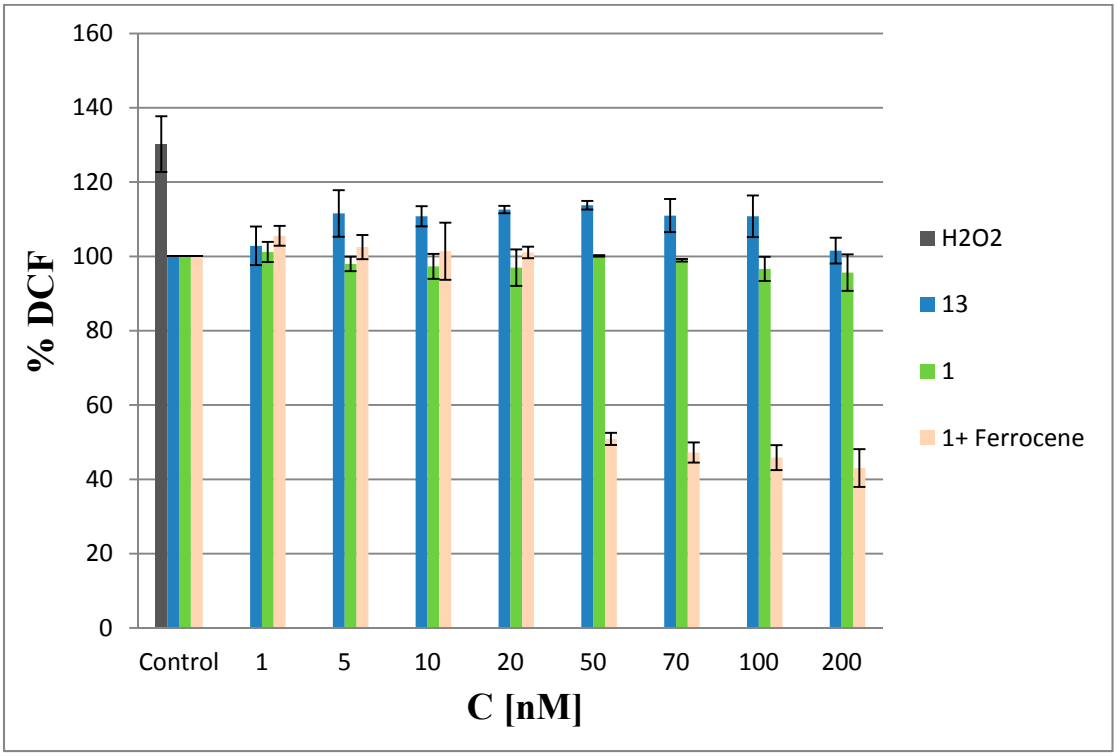


Figure S4. Analysis of ROS generation in HeLa cells by oligonucleotides **1** and **13**, and by ferrocene and oligonucleotide **1**. Cells were transfected with increasing amounts of non-modified oligonucleotide **1** or with control non-active oligonucleotides **13** (5′-d(ATGAAGGTTCAATCTGATTTT) (1-200 nM, 48 h) in the presence of Lipofectamine 2000, or with oligomer **1** in the same concentrations but with addition of ferrocene of 5-fold higher concentration (5-1000 nM). The non-transfected cells and cells treated with 1000 nM H_2O_2 (marked as H_2O_2) were used as controls. The results are mean values from three independent experiments.