Supplementary information

EXPLORING THE CELLULAR ACTIVITY OF CAMPTOTHECIN-TRIPLE HELIX-FORMING OLIGONUCLEOTIDE CONJUGATES.

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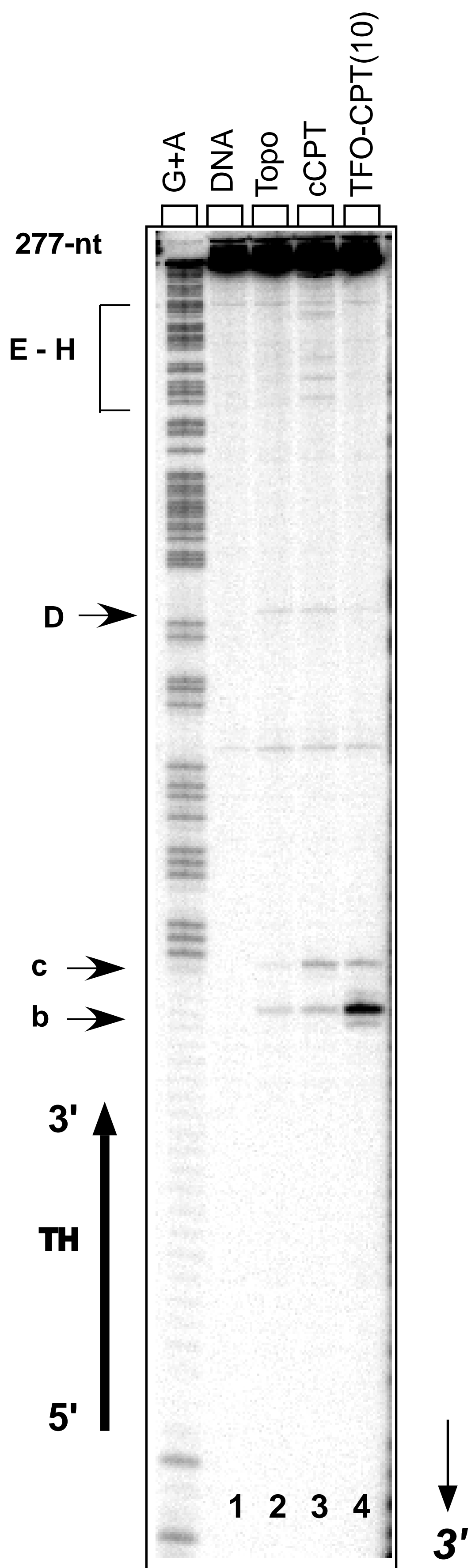
The plasmid pGL3Pr was bought from *Promega* (USA) and the target duplex WT was inserted between the *Hin*d III and *Nco* I sites (Figure 5A). The digestion of the plasmid by *Hin*d III and *Bst* BI sites yielded a 277-mer fragment suitable for 3'-end labeling by the Klenow polymerase (*Ozyme*, England) and a[^{32}P]ddATP (*Amersham*, U.S.A.), used for topoisomerase I cleavage assays. The detailed procedures for isolation, purification and labeling of this duplex DNA fragment have been described previously (8). Topoisomerase I cleavage assay was conducted and analyzed as described.

Figure legend

Fig. S1. Sequence analysis of the Topo I-mediated cleavage products on the 277-bp target duplex (50 nM) 3'-end radiolabeled on the oligopyrimidine-containing strand obtained by enzymatic cleavage of plasmid pWT used in cells. Adenine/guanine-specific Maxam-Gilbert chemical cleavage reactions were used as markers. The positions of the cleavage sites are indicated (sites *b* and *c*, in common with the *in vitro* target WT, and *D* to *H*), as the triple helix region and the nucleotide positions along the radiolabeled oligopyrimidine strand. Lane 1, duplex, incubated with topoisomerase I (lane 2) and in the presence of 5 mM cCPT (lane 3), or 0.5 mM TFO-L4-cCPT (lane 4).



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