

Supplemental Figure S1: Concentration-response curves for the positive control veliparib (A) and the non-specific control tetra-n-octylamonium bromide (B) in the presence and the absence of 20 nM CPT in the screening.



Supplemental Figure S2: Cellular viability curves in the presence of compound 2-5 and various concentrations of CPT (indicated beside each curve in nanomolar unit) in hTDP1 (A, C, E, G, I) and TDP1-/- cells (B, D, F, H, J).



Supplemental Figure S3: Evaluation of TDP1 inhibition activity of the hit compounds in gel-based assay. Recombinant TDP1 (10 pM) was mixed with Compounds 1-5 and the TDP1 inhibitor-positive control AM-8-3 at different concentration (12.3, 37, 111, 333 µM). Recombinant TDP1 generates N14P products (14nt oligonucleotide with a 3'-phosphate end) from N14Y products (14nt oligonucleotide with a 3'-phosphotyrosine) if not inhibited (see the second lane from left).