Supplemental information for:

Antitumor substitution-inert polynuclear platinum complexes stabilize G-quadruplex DNA and suppress G-quadruplex-mediated gene expression

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Supplementary Figures

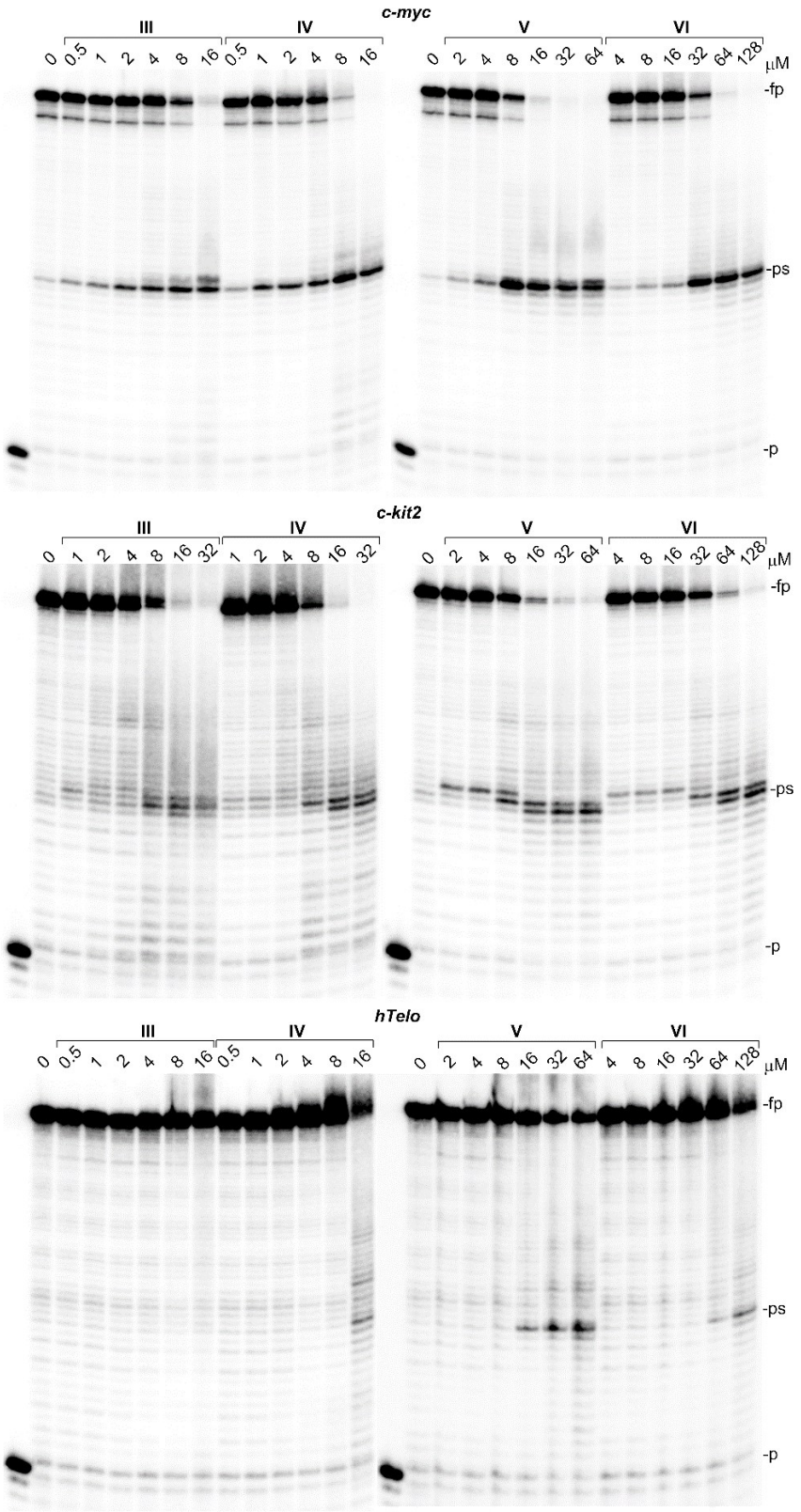

Fig. S1. Autoradiograms of 12% denaturing PAA gels for the *Taq* DNA polymerase stop assay on the templates containing *c-myc*, *c-kit2*, and *hTelo* G4-forming sequences in the presence of increasing concentrations of **III-VI**. *p*, *ps*, and *fp* correspond to primer, pausing site, and full-length product, respectively.

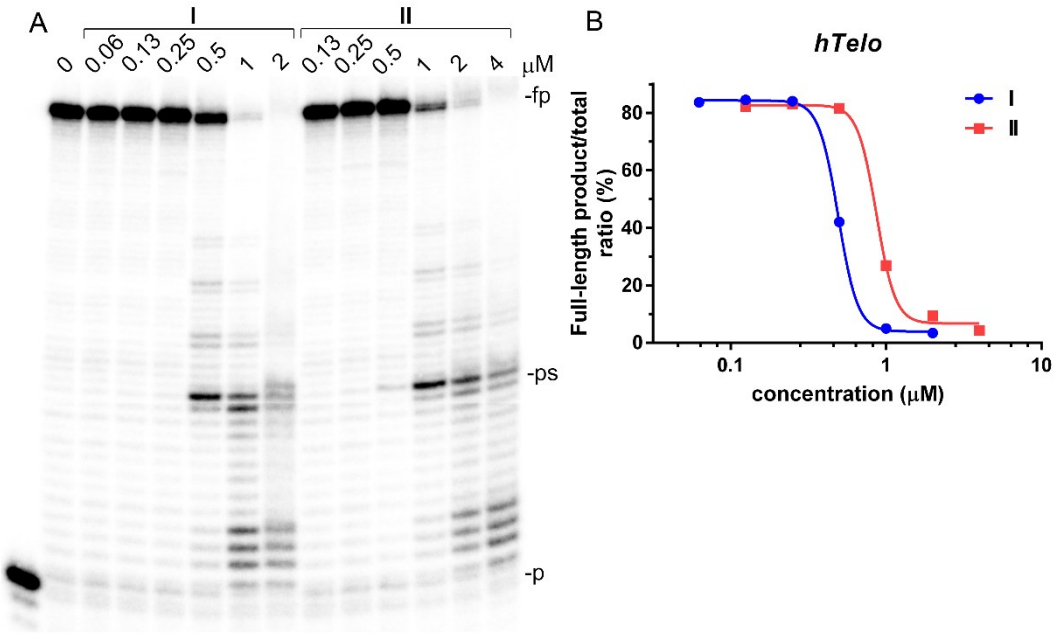

Fig. S2. (**A**) Autoradiogram of 12% denaturing PAA gel for the *Taq* DNA polymerase stop assay on the template containing *hTelo* G4-forming sequence with increasing concentrations of **I** and **II**. *p*, *ps*, and *fp* correspond to primer, pausing site, and full-length product, respectively (**B**) Graphical representation of the full-length product synthesis in the presence of increasing concentrations of **I** and **II**.

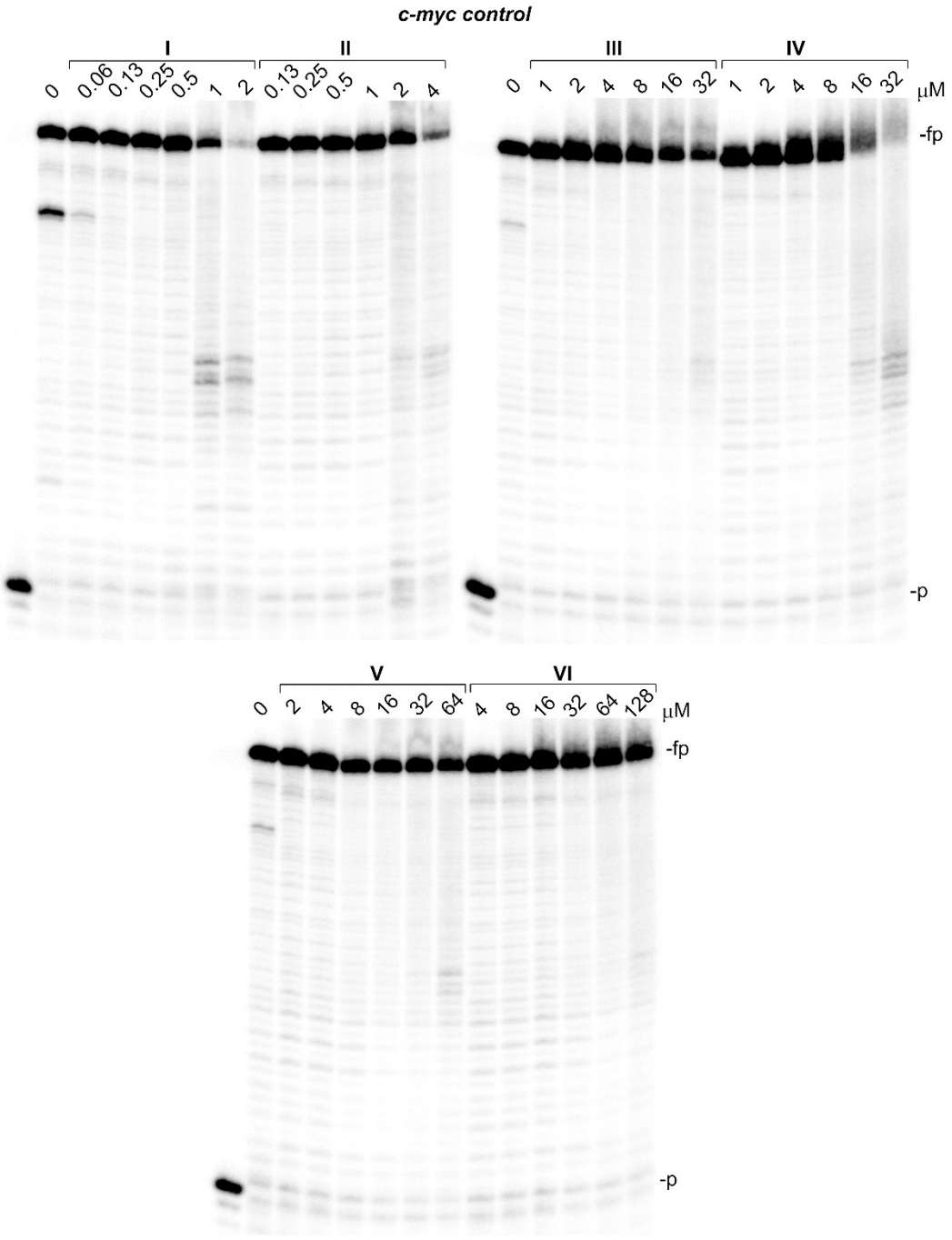

Fig. S3. Autoradiograms of 12% denaturing PAA gels for the *Taq* DNA polymerase stop assay on the *c-myc* ctrl template in the presence of increasing concentrations of **I-VI**. *p* and *fp* correspond to primer and full-length product, respectively.

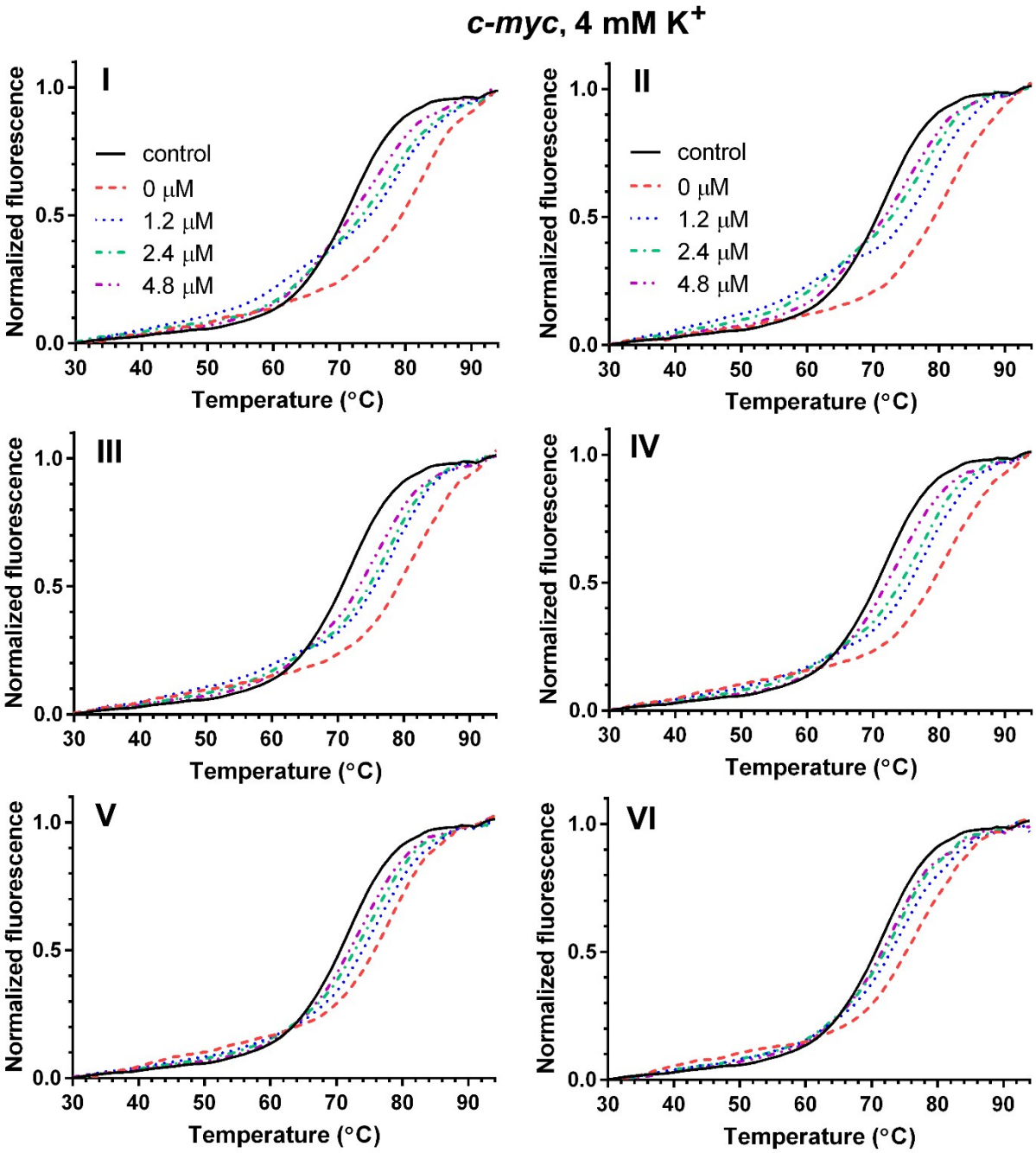

Fig. S4. Fluorescence melting curves for the fluorescent labeled *c-myc* G4 (0.4 μM) in the presence of 0.8 μM **I-VI** and increasing concentrations of the competitor duplex 26-ds. The buffer conditions were 4 mM potassium phosphate (pH 7) and the temperature gradient was 0.7 ºC/min. The concentrations of 26-ds are indicated in the Fig. 3.

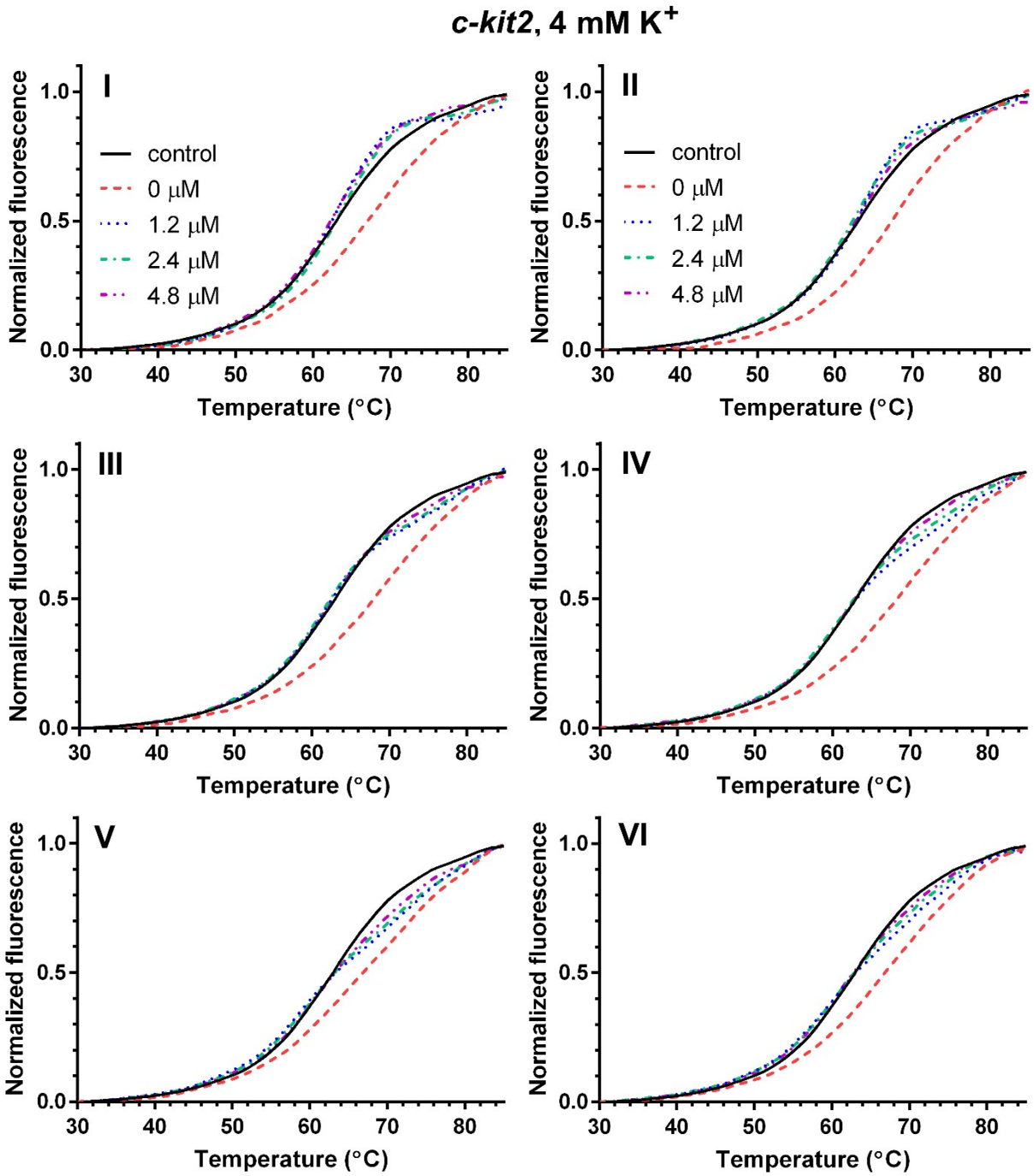

Fig. S5. Fluorescence melting curves for the fluorescent labeled *c-kit2* G4 (0.4 μM) in the presence of 0.8 μM **I-VI** and increasing concentrations of the competitor duplex 26-ds. The buffer conditions were 4 mM potassium phosphate (pH 7) and the temperature gradient was 0.7 ºC/min. The concentrations of 26-ds are indicated in the Fig. 3.

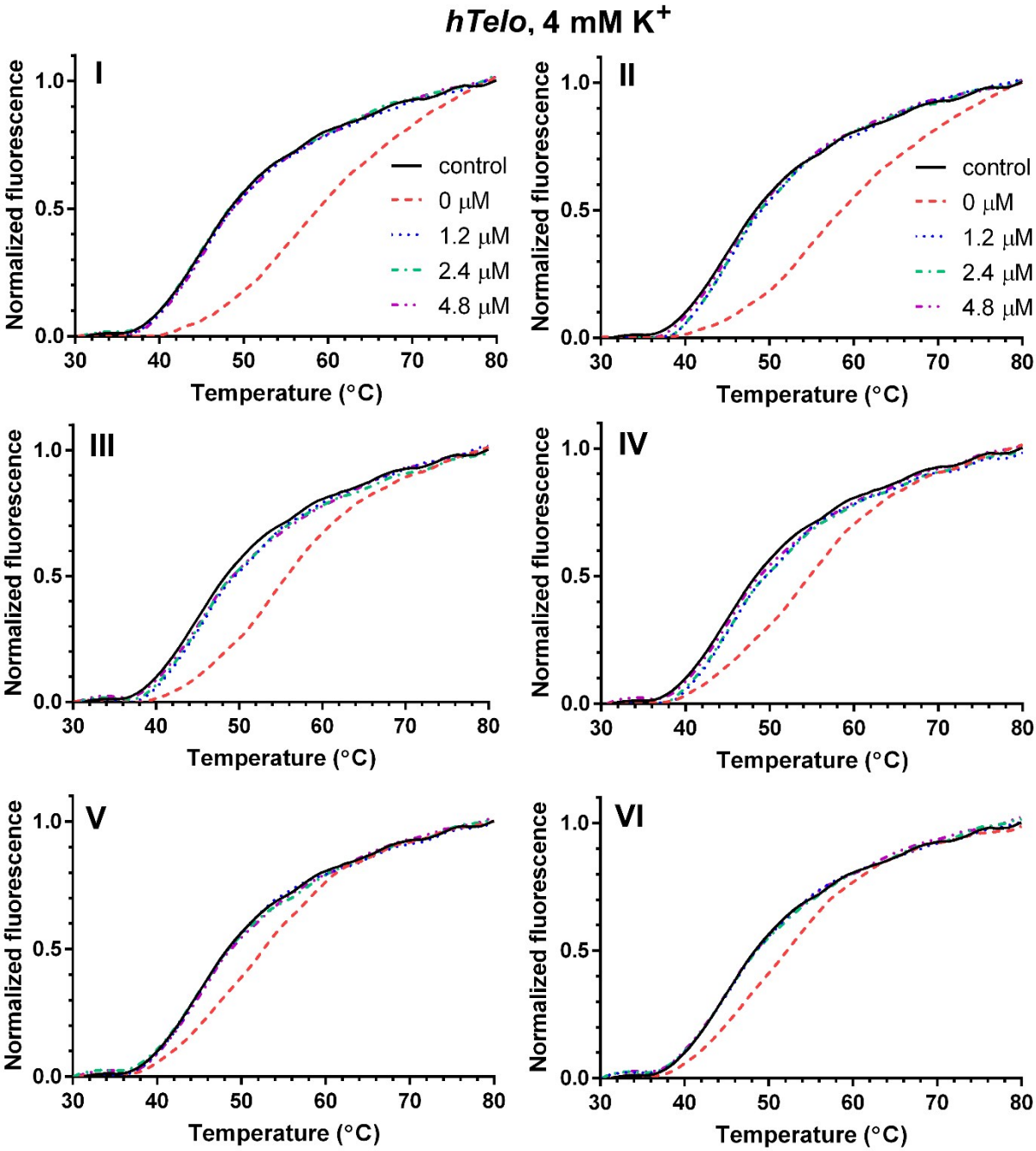

Fig. S6. Fluorescence melting curves for the fluorescent labeled *hTelo* G4 (0.4 μM) in the presence of 0.8 μM **I-VI** and increasing concentrations of the competitor duplex 26-ds. The buffer conditions were 4 mM potassium phosphate (pH 7) and the temperature gradient was 0.7 ºC/min. The concentrations of 26-ds are indicated in the Fig. 3.

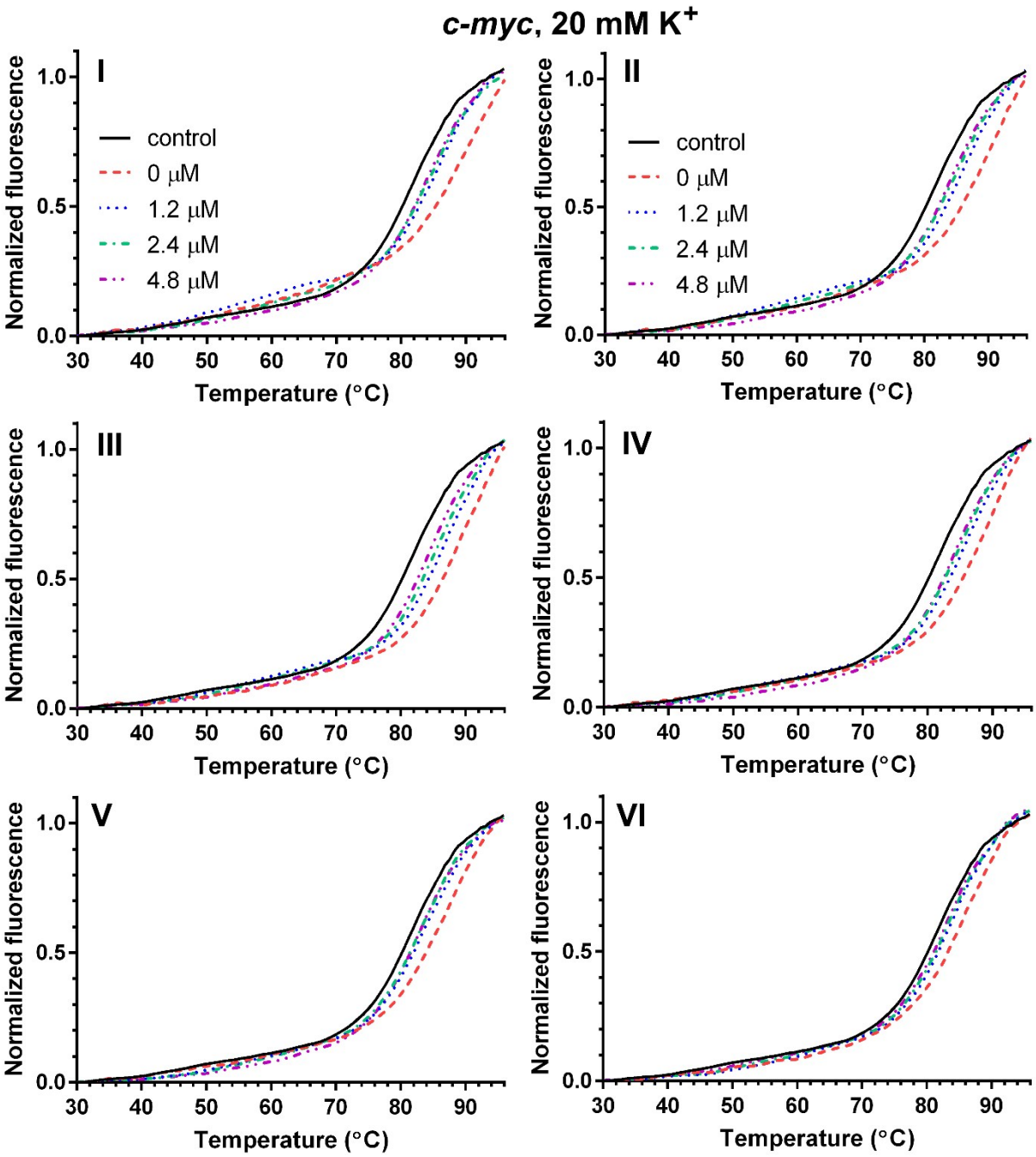

Fig. S7. Fluorescence melting curves for the fluorescent labeled *c-myc* G4 (0.4 μM) in the presence of 0.8 μM **I-VI** and increasing concentrations of the competitor duplex 26-ds. The buffer conditions were 10 mM potassium phosphate (pH 7) and 10 mM KCl and the temperature gradient was 0.7 ºC/min. The concentrations of 26-ds are indicated in the Fig. 3.

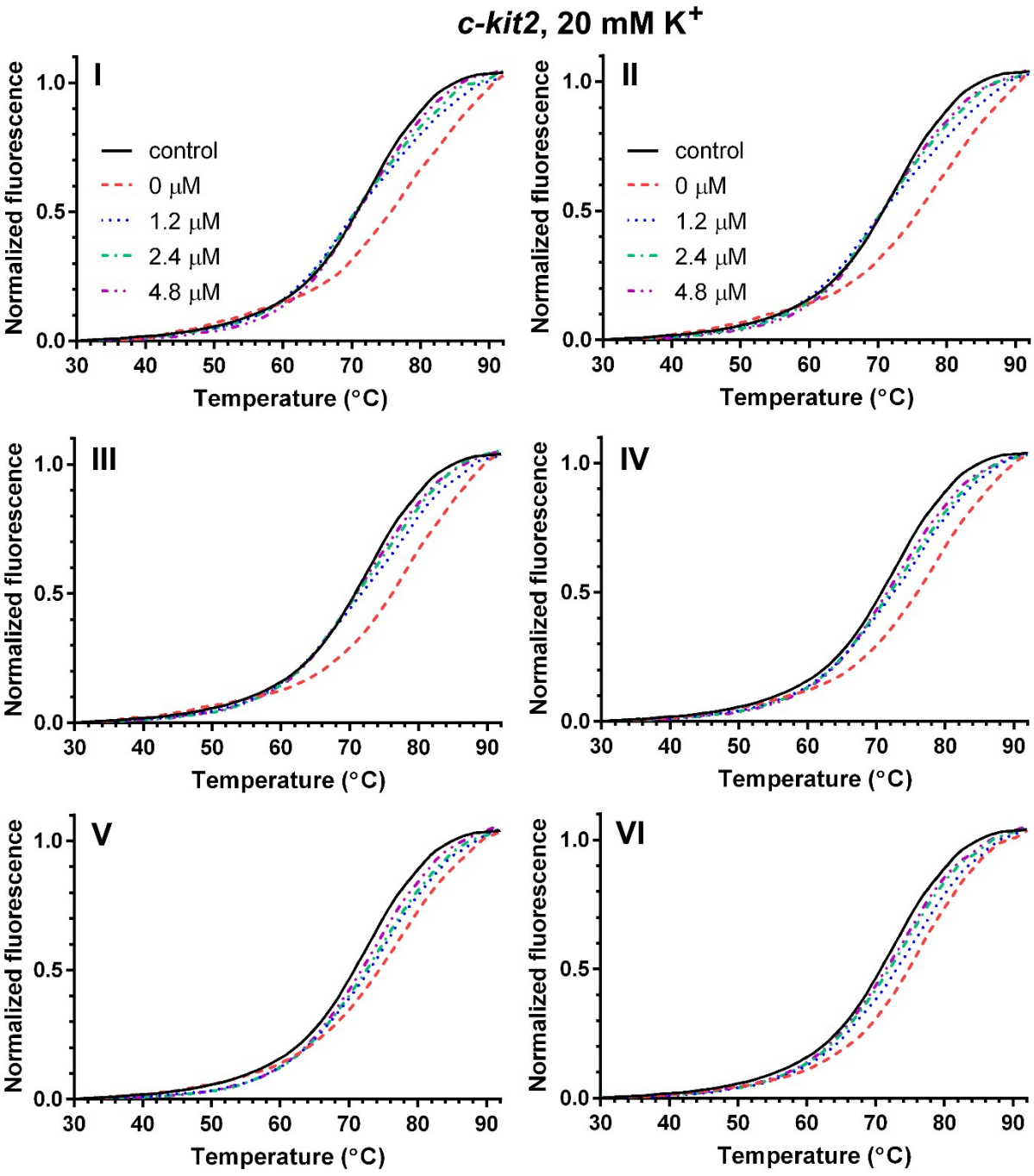

Fig. S8. Fluorescence melting curves for the fluorescent labeled *c-kit2* G4 (0.4 μM) in the presence of 0.8 μM **I-VI** and increasing concentrations of the competitor duplex 26-ds. The buffer conditions were 10 mM potassium phosphate (pH 7) and 10 mM KCl and the temperature gradient was 0.7 ºC/min. The concentrations of 26-ds are indicated in the Fig. 3.

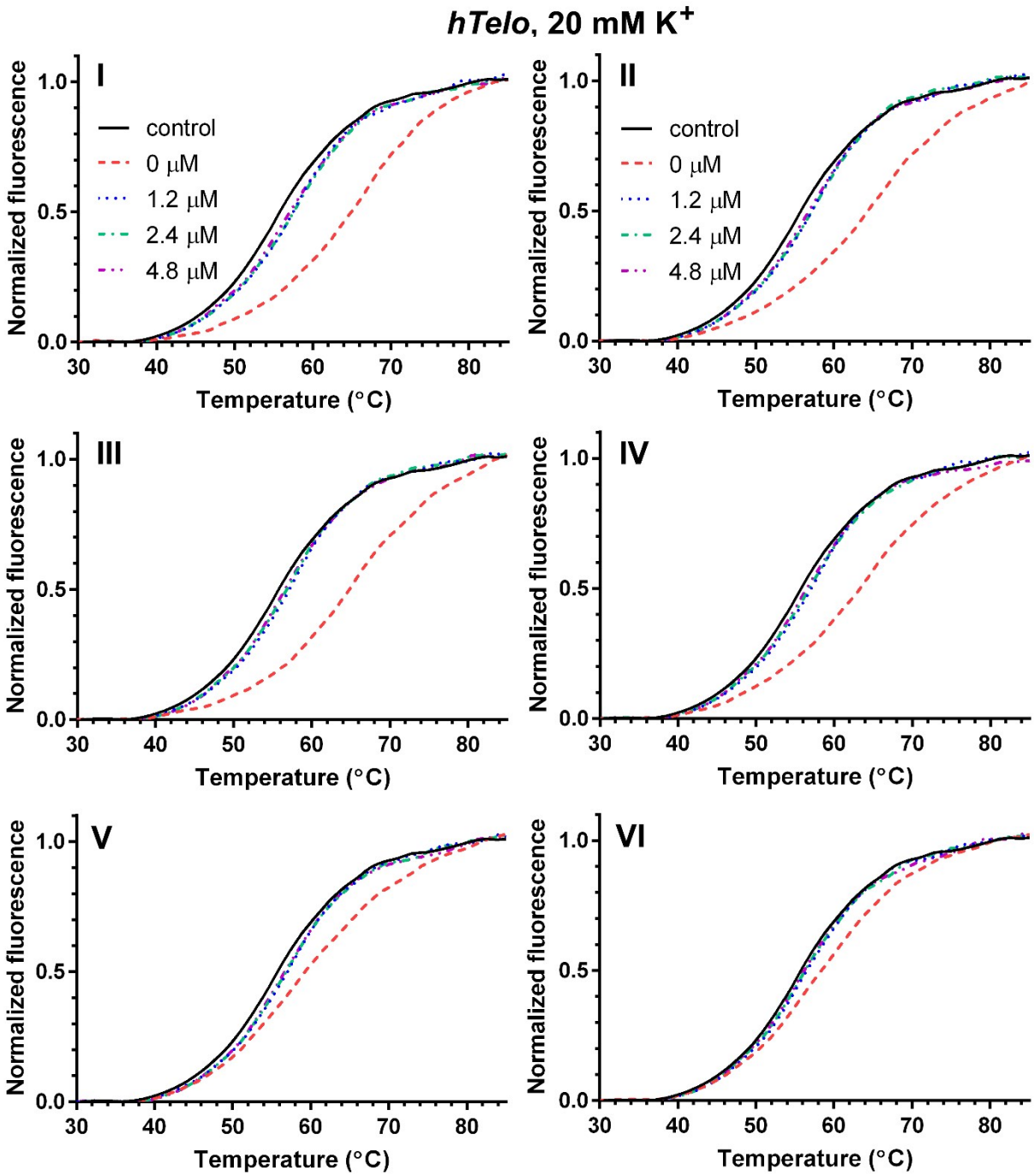

Fig. S9. Fluorescence melting curves for the fluorescent labeled *hTelo* G4 (0.4 μM) in the presence of 0.8 μM **I-VI** and increasing concentrations of the competitor duplex 26-ds. The buffer conditions were 10 mM potassium phosphate (pH 7) and 10 mM KCl and the temperature gradient was 0.7 ºC/min. The concentrations of 26-ds are indicated in the Fig. 3.

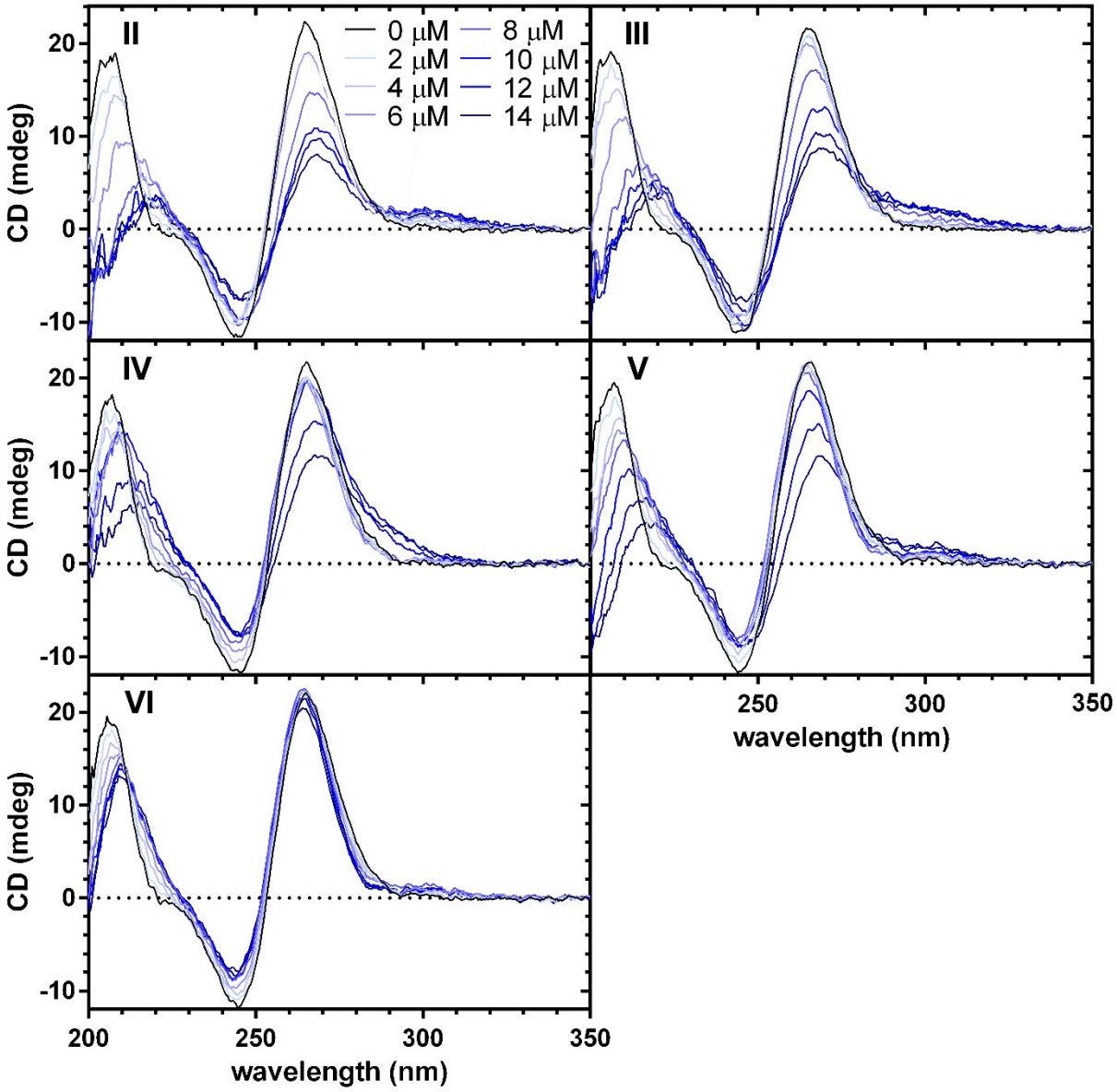

Fig. S10. CD spectra of 2.5 μM *c-myc* G4 in the presence of increasing concentrations of **II-VI**. The concentrations of **II-VI** are indicated in the Fig. 4A. The buffer conditions were 10 mM potassium phosphate (pH 7) and 30 mM KCl.

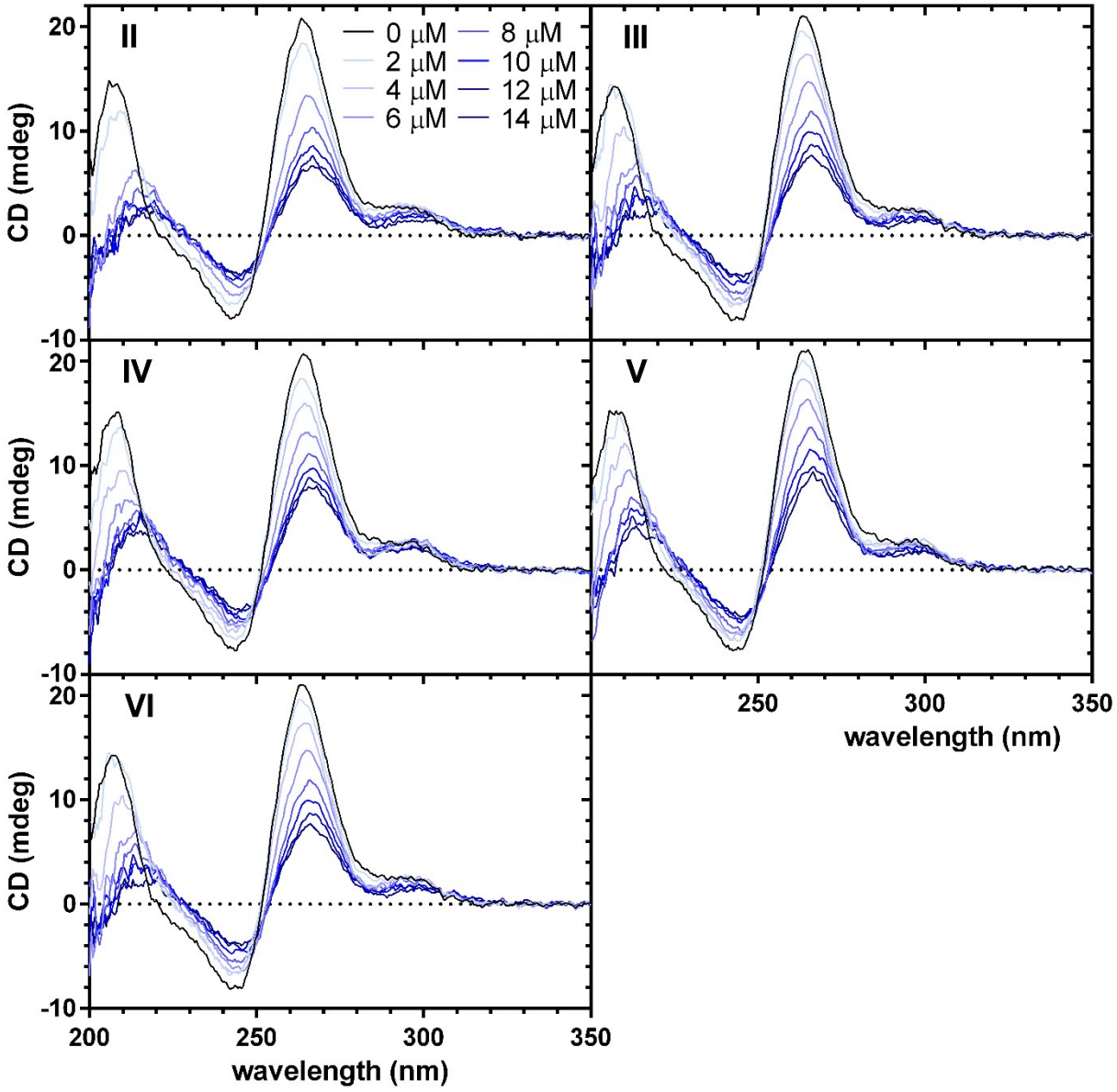

Fig. S11. CD spectra of 2.5 μM *c-kit2* G4 in the presence of increasing concentrations of **II-VI**. The concentrations of **II-VI** are indicated in the Fig. 4A. The buffer conditions were 10 mM potassium phosphate (pH 7) and 30 mM KCl.

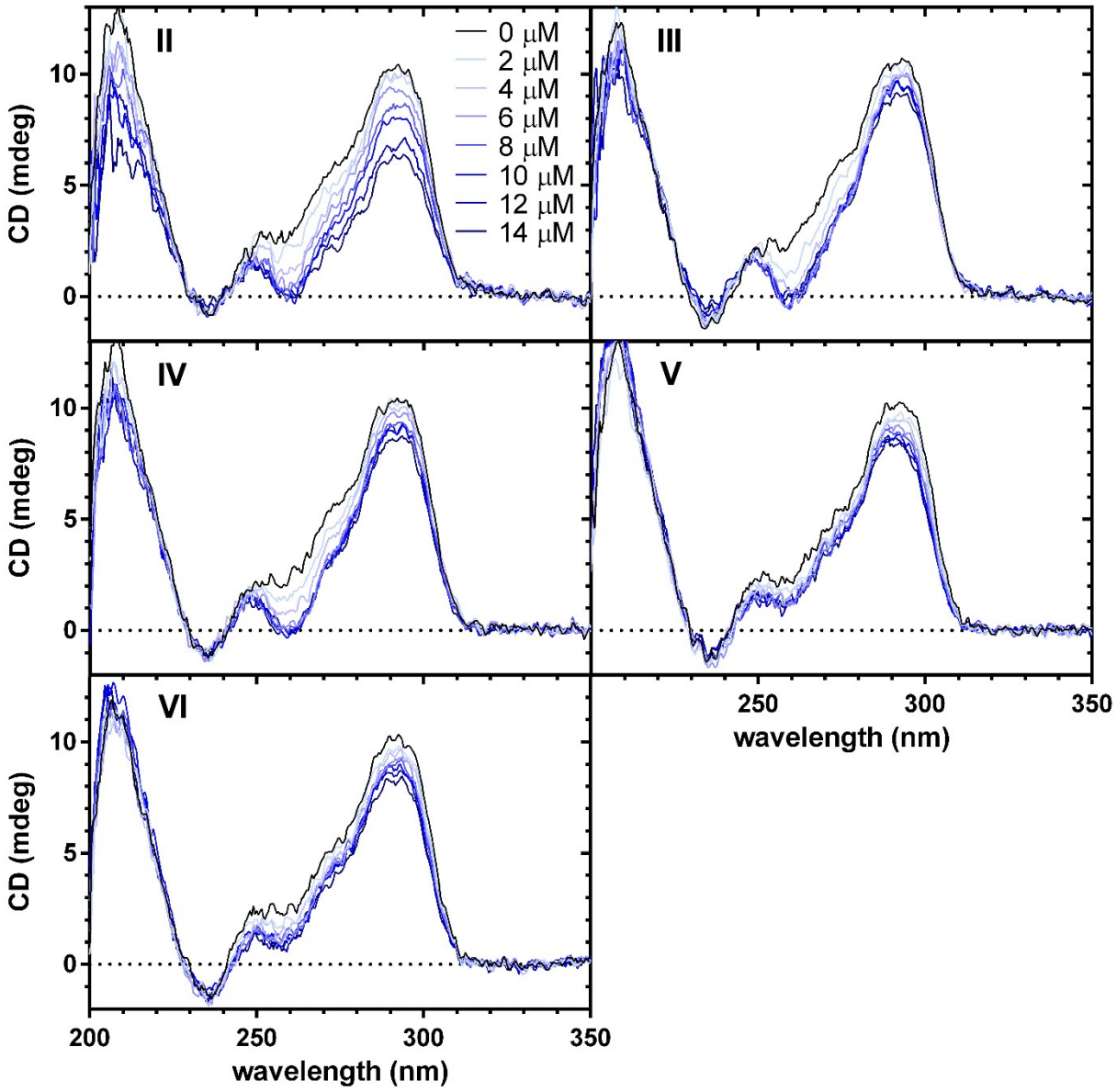

Fig. S12. CD spectra of 2.5 μM *hTelo* G4 in the presence of increasing concentrations of **II-VI**. The concentrations of **II-VI** are indicated in the Fig. 4A. The buffer conditions were 10 mM potassium phosphate (pH 7) and 30 mM KCl.

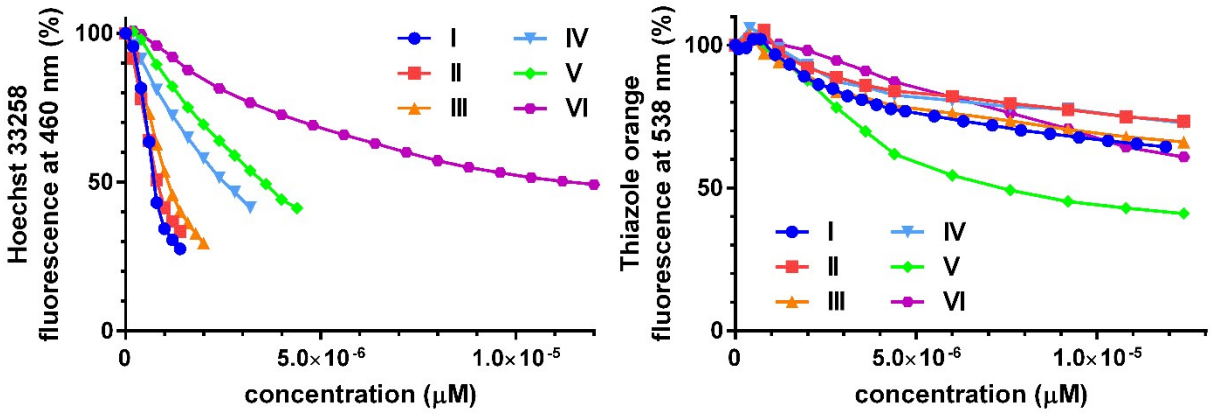

Fig. S13. Fluorescence response of the mixture of 0.25 μM *c-myc* G4 and 0.25 μM Hoechst 33258 (left panel), or TO (right panel) in the presence of increasing concentrations of **I**-**VI**. The buffer conditions were 10 mM potassium phosphate buffer (pH 7) and 30 mM KCl.

Table S1. Antiproliferative effects of the investigated SI-PPCs expressed as IC_{50} [μM][a] as determined with MTT assay after a 72 h treatment

	MDA-231	HCT116
Tetraplatin NC (**I**)	7 ± 1	3.4 ± 0.9
Triplatin NC (**II**)	12 ± 2	5.9 ± 0.9
Diplatin NC (**IV**)	41 ± 7	20 ± 4
Triplatin NC-A (**III**)	60 ± 10	28 ± 5
AH-59 (**V**)	140 ± 20	69 ± 4
AH-64 (**VI**)	> 200	170 ± 20

[a] The results are expressed as mean values ± SD from three independent measurements.