

Supporting Information

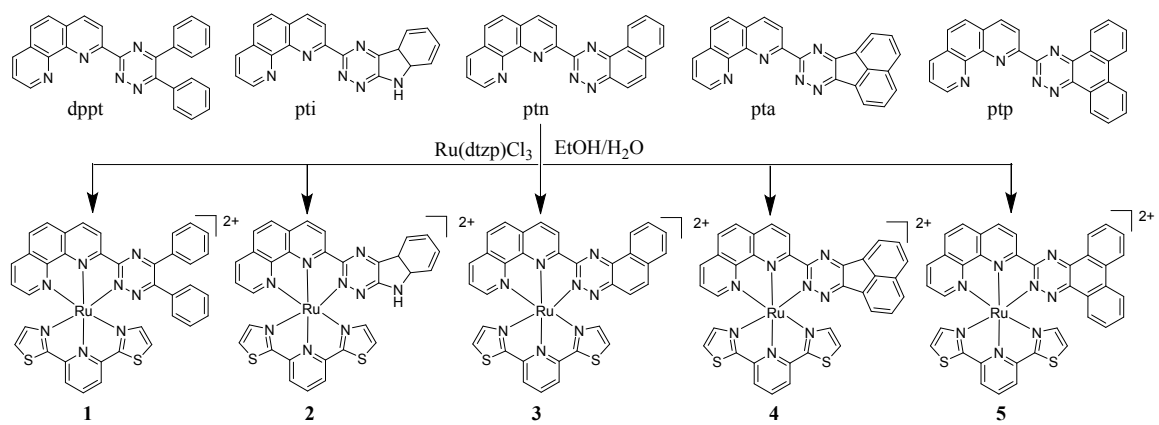
**Dual inhibition of topoisomerases I and II α by ruthenium(II)
complexes containing asymmetric tridentate ligands**

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Scheme S1. Synthetic routes of complexes.

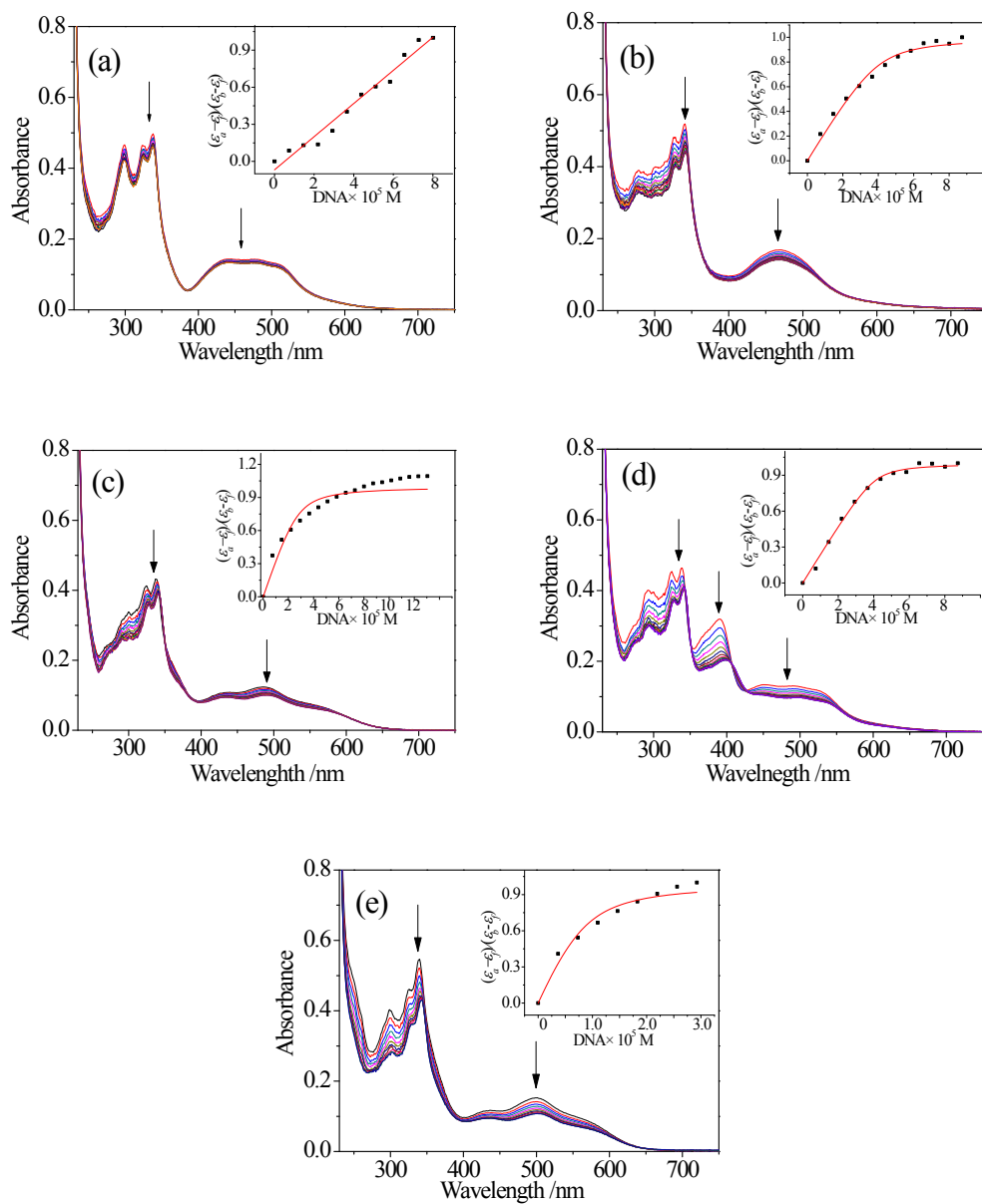


Fig. S1. Absorption spectra of complexes **1** (a), **2** (b), **3** (c), **4** (d) and **5** (e) in Tris-HCl buffer upon addition of CT-DNA ($[\text{Ru}] = 10 \mu\text{M}$, $[\text{DNA}] = 0\text{-}200 \mu\text{M}$). Arrows indicate the change in absorbance upon increasing the DNA concentration.

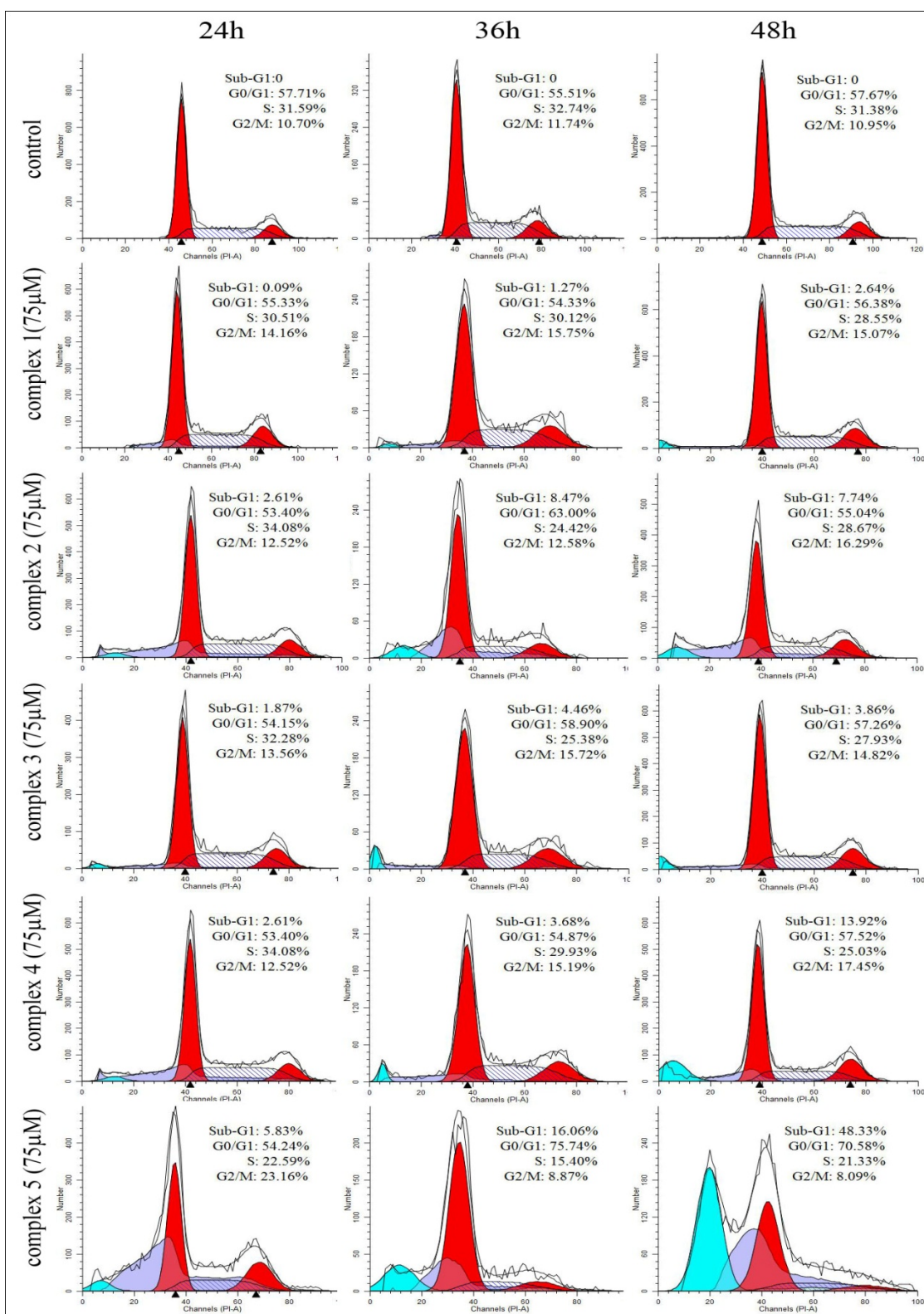


Fig. S2. The effects of complexes 1-5 on cell cycle progression in HeLa cells. Cells were treated with 75 μM of Ru(II) complexes for 24 h, 36 h, 48 h, respectively.

Table S1. DNA-binding constants and hypochromism of the Ru(II) complexes **1-5**.

complex	$H\%$	K_b
1	7.38	$(1.70 \pm 0.10) \times 10^4$
2	16.49	$(1.50 \pm 0.53) \times 10^5$
3	24.43	$(1.03 \pm 0.49) \times 10^6$
4	25.62	$(4.30 \pm 1.33) \times 10^6$
5	28.57	$(5.70 \pm 2.71) \times 10^6$

Table S2. Ruthenium concentrations determined in HeLa cells after 24 h exposure to complexes **1-5** by ICP-MS.^a

complex	Ru (pg/cell)		
	nucleus	cytoplasm	mitochondria
1	1.972	1.032	0.983
2	6.851	1.865	3.113
3	3.798	2.576	1.893
4	3.831	2.162	1.405
5	6.233	1.673	2.764

^a The results are the means of three independent samples.