SUPPLEMENTARY INFORMATION

for

Cancer-targeted Tri-block Copolymer Nanoparticles as Payload of Metal Complexes to Achieve Enhanced Cancer Theranosis

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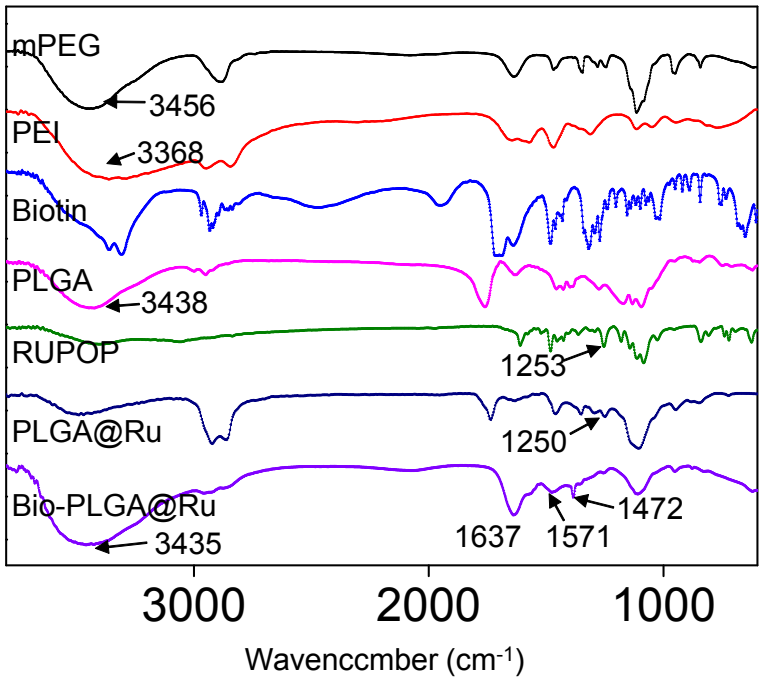

Figure S1. FT-IR spectra of mPEG, PEI, Biotin, PLGA, RuPOP and Bio-PLGA@Ru.

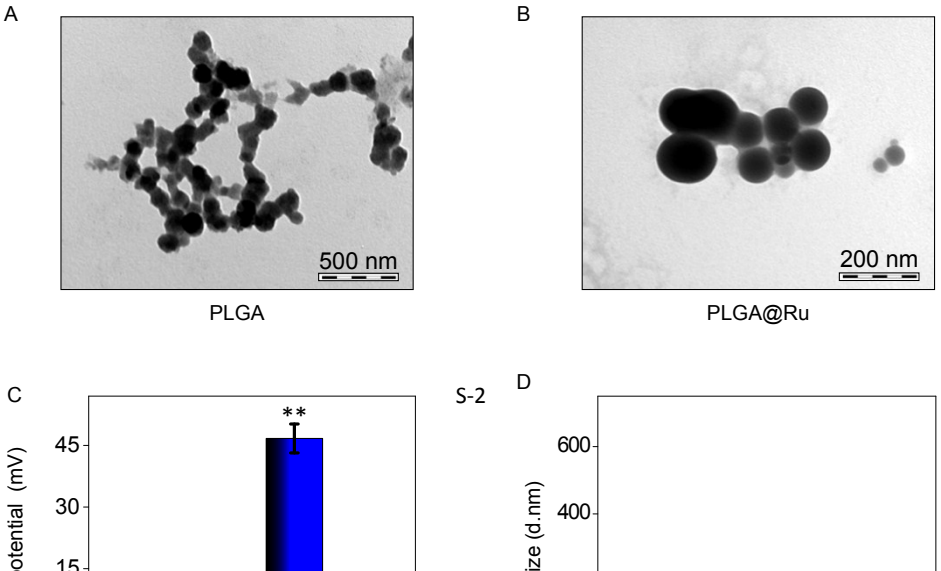

Figure S2. (A) TEM images of PLGA, scale bar = 500 nm. (B) PLGA@Ru, scale bar = 200 nm. (C) Zeta potential of PLGA, PLGA@Ru and Bio-PLGA@Ru in aqueous solution and Bio-PLGA@Ru in human plasma. (D) Size changes of Bio-PLGA@Ru in aqueous solution with an observation period of 12 days. (E) The hemolysis percentage and morphology of RBCs after the treatment of Bio-PLGA@Ru. The RBCs were treated with PLGA, RuPOP and Bio-PLGA@Ru(2 μM) respectively for 5 min or 120 min at 37℃ before the hemolysis analysis. Values expressed was means ± SD of triplets.

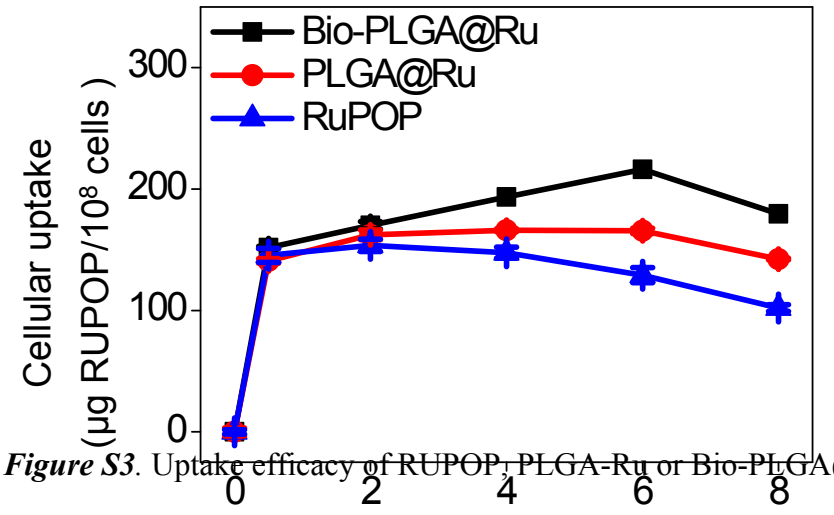

Figure S3. Uptake efficacy of RUPOP, PLGA-Ru or Bio-PLGA@Ru (10 μM) in HepG2 cells for 8 h.

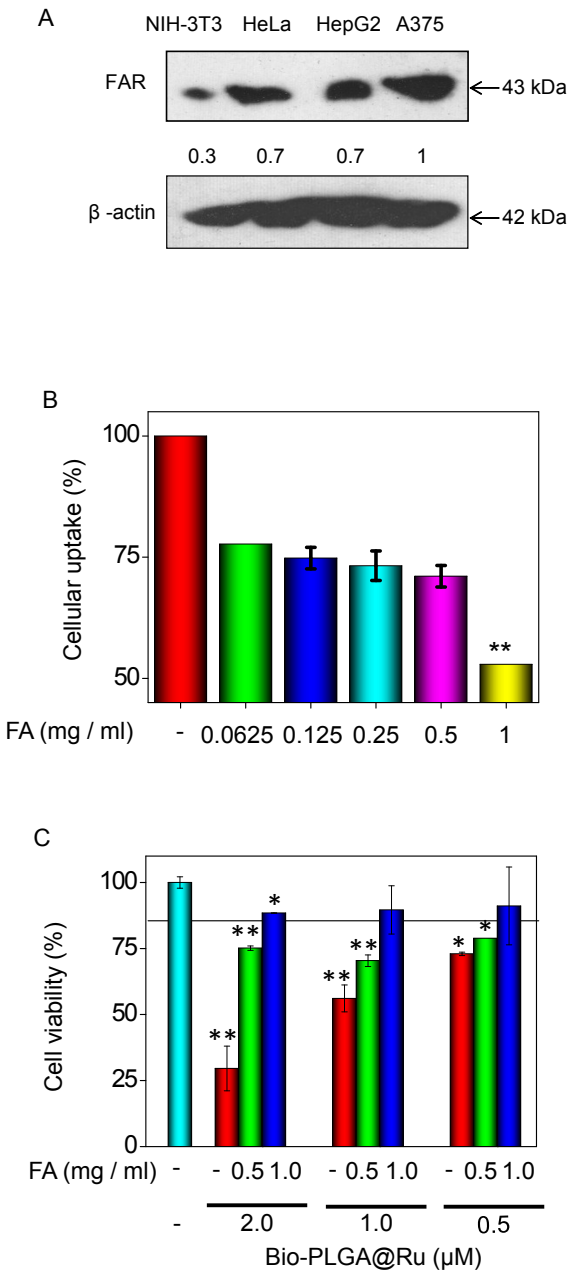

Figure S4. (A)Expression level of FARs in NIH-3T3, HeLa, HepG2, and A375 cells. β-actin was used as loading control. (B) Uptake efficacy of Bio-PLGA@Ru (4 μM) in HepG2 cells after incubated with different concentrations of FA for 2 h. (C) Viability of HepG2 cells after treated with different concentrations of FA and Bio-PLGA-Ru for 72 h.

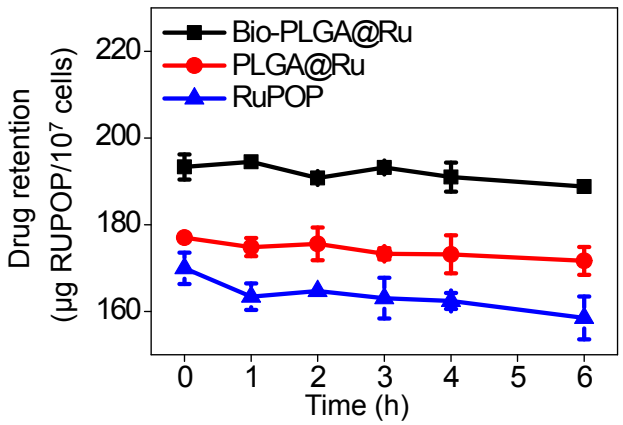

Figure S5. Time course determination of the retention efficacy of RuPOP in HepG2. The retention efficacy of RuPOP was measured by quantifying the fluorescence of RuPOP with the excitation and emission wavelength set at 479 nm and 599 nm respectively.

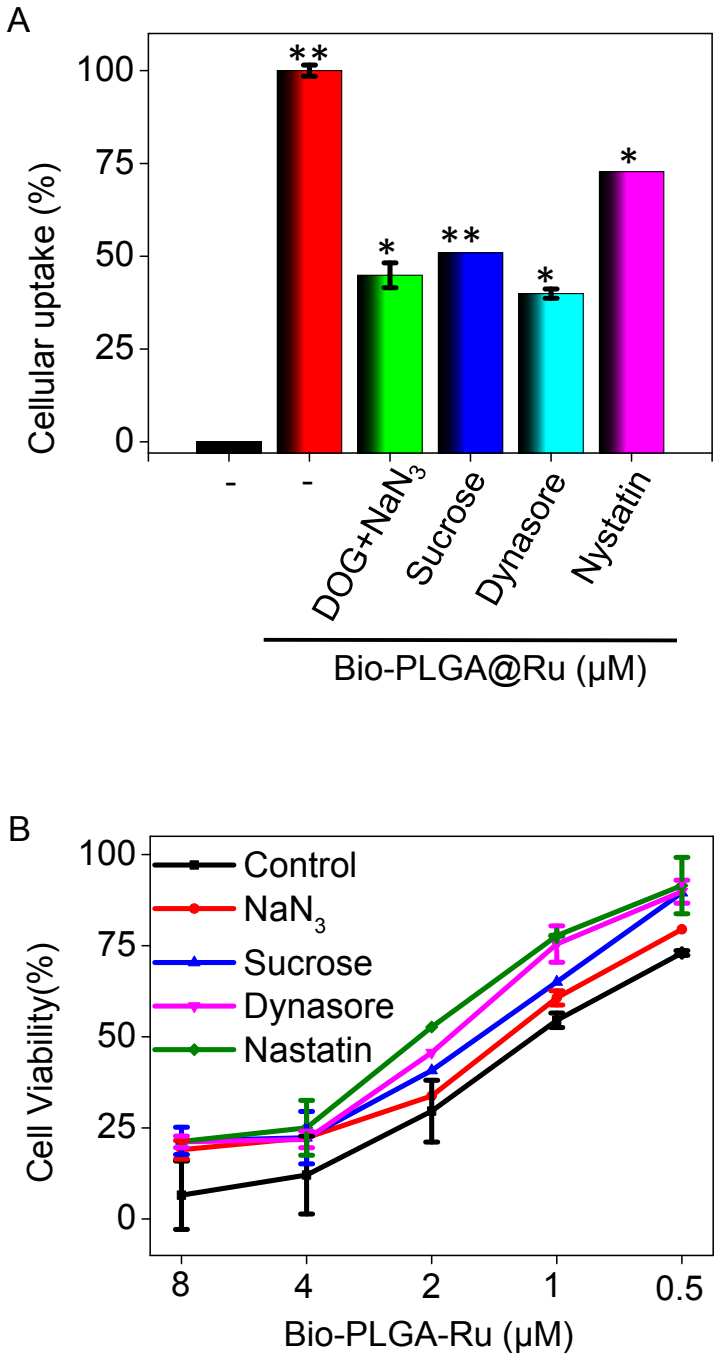

Figure S6. Cellular uptake (A) and cytotoxicities (B) of Bio-PLGA@Ru in HepG2 cells after incubated with different endocytosis inhibitors.

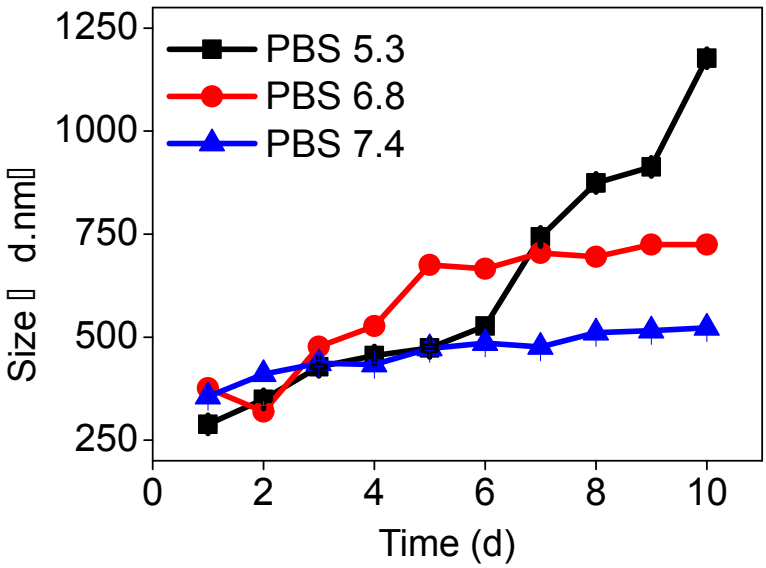

Figure S7. Size changes of Bio-PLGA@Ru in PBS solution at different pHs (pH 5.3. 6.8 and 7.4) for 10 h.

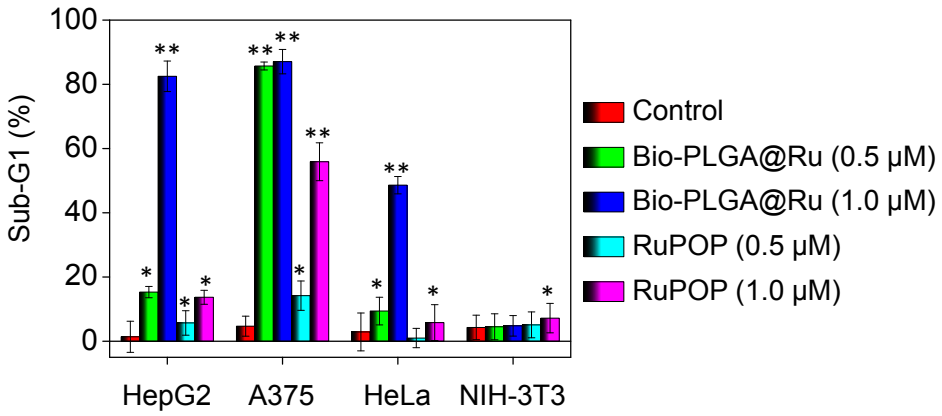

Figure S8. Quantitative analysis of Sub-G1 proportion in HepG2, A375, HeLa and NIH-3T3 cells after treated with different concentrations of Bio-PLGA@Ru and RuPOP for 48 h.

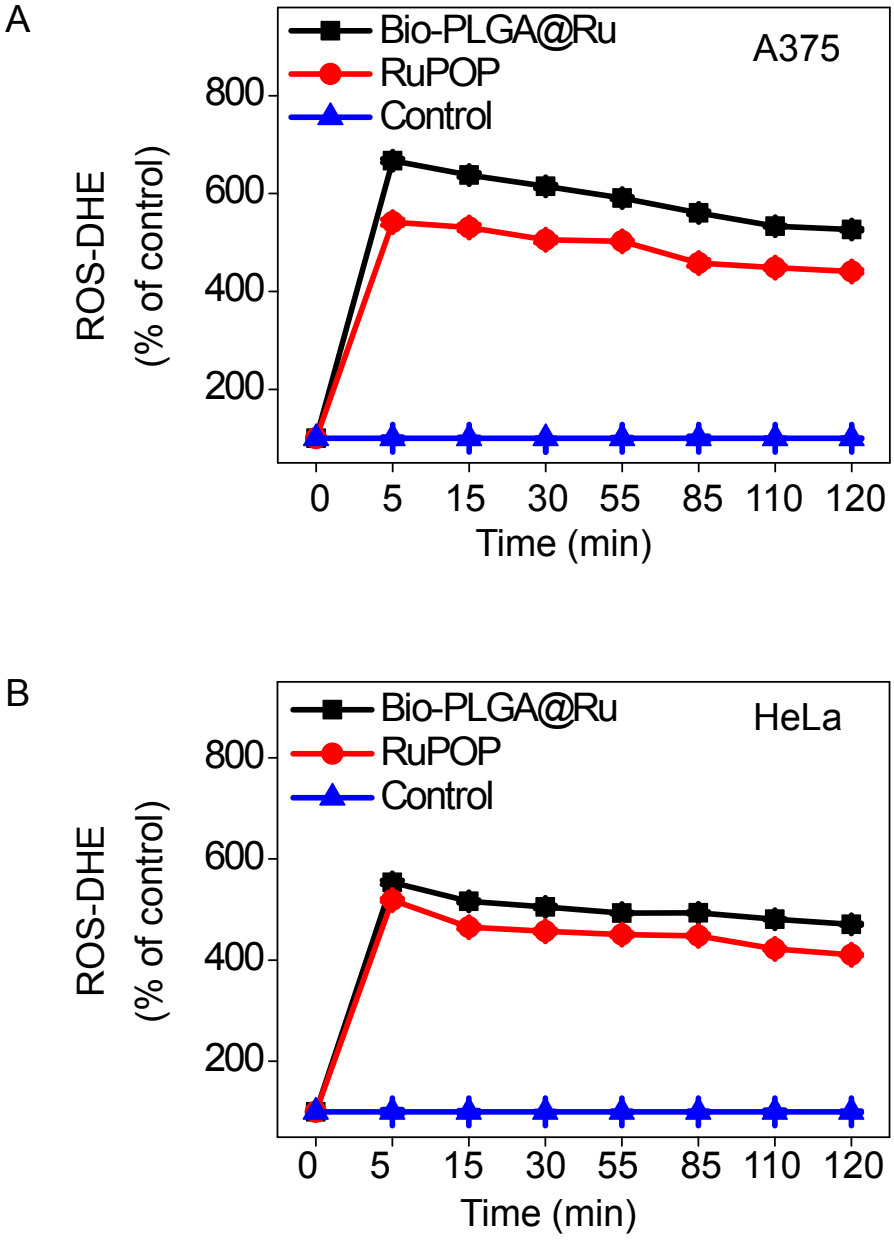

Figure S9. The quantification of the intracellular ROS level in A375 (A) and HeLa (B) cells after treated with the same concentrations of Bio-PLGA@Ru and RuPOP. The ROS level was quantified by measuring the fluorescence of DHE in cells (excitation and emission wavelength set at 300 nm and 610 nm).

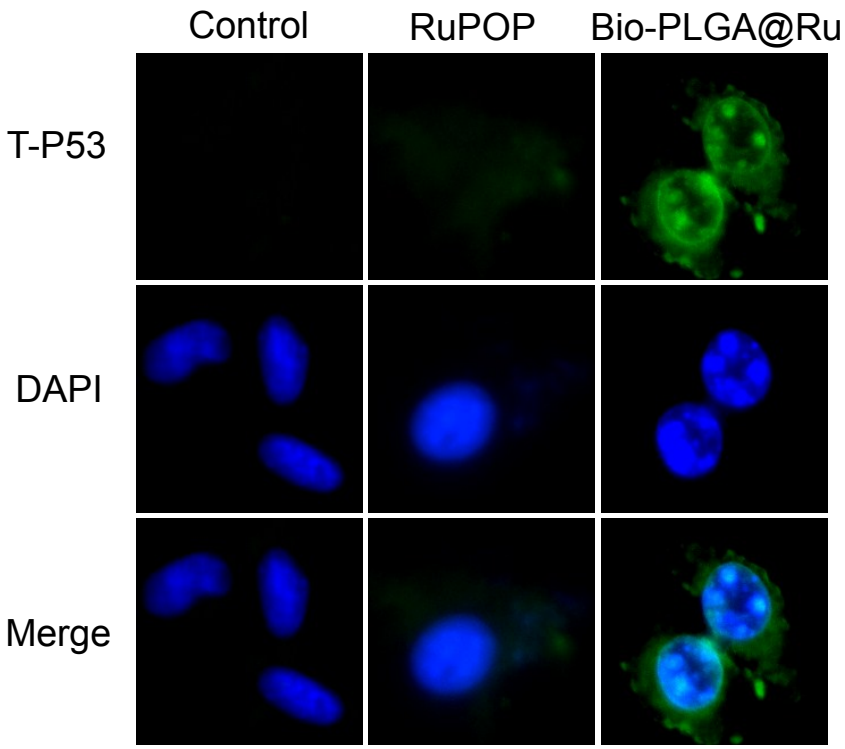

Figure S10. Immunofluorescent images of the expression of total-p53 in HepG2 cells caused by RuPOP and Bio-PLGA@Ru.