## **Supporting Information**



**Fig. S1.** *In vivo* noninvasive photoluminescent imaging of P4 (*i.v.* dose: 50 mg/kg, without AuNP) injected intravenously into KB tumor-bearing nude mice. Fluorescence images were obtained at 1, 2, 4, 8, and 12 h post-injection. The tumor site is indicated by a dashed circle.

Fig. S1 showed negligible accumulation of P4 without AuNP in the KB tumor-bearing nude mice.



**Fig. S2.** The total photon counts (fluorescence intensities) per centimeter squared per steradian  $(p/s/cm^2/sr)$  of organs excised (at 12 h post-injection) from KB tumor-bearing nude mice treated with of each AuNP-P (*i.v.* dose: equivalent Ce6 0.5 mg/kg) or free Ce6 (*i.v.* dose: 2.5 mg/kg) (n=3). A 12-bit CCD camera (Image Station 4000 MM; Kodak, New Haven, CT, USA) equipped with a special C mount lens and a long-wave emission filter (600-700 nm; Omega Optical, USA) were used to obtain the total photon counts (fluorescence intensities) per centimeter squared per steradian ( $p/s/cm^2/sr$ ) of organs (tumor, liver, heart, lung, kidney, spleen) excised from KB tumor-bearing nude mice at 12 h post-injection.<sup>14</sup>

When compared to free Ce6, the relative high accumulation of AuNP-P4 and AuNP-P3 in the tumor was found.



**Fig. S3.** (a) Confocal images of KB tumor cells treated using free Ce6 (10  $\mu$ g/mL) or AuNP-P4 (equivalent Ce6 10  $\mu$ g/mL) at 37 °C for 4 h. The treated cells were examined using a confocal laser-scanning microscope (CarlZeiss Meta LSM510, Germany).

The data demonstrated the high uptake of AuNP-P4 in KB tumor cells.