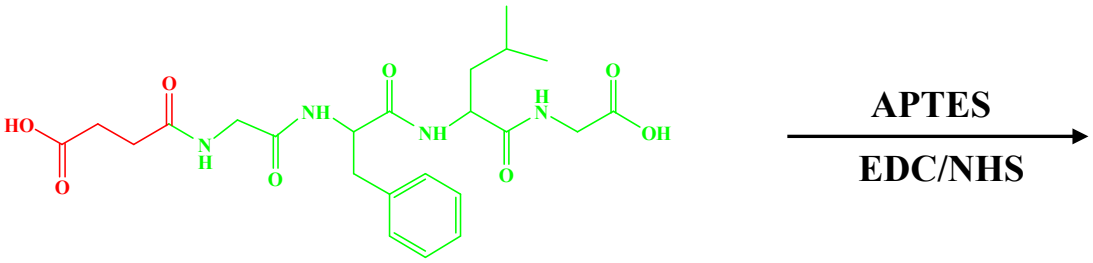
Electronic Supplementary Material (ESI) for New Journal of Chemistry.

Supporting Information

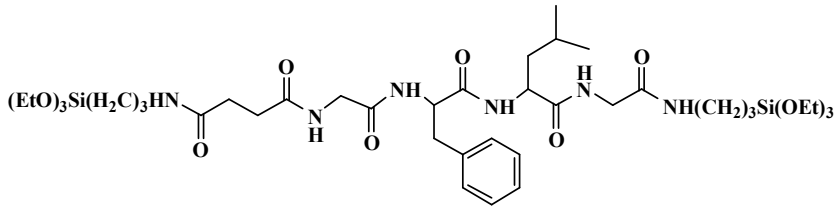
Enzyme and pH-Responsive Nanovehicles for Intracellular Drug Release and Photodynamic Therapy

Ting Zhang, Huiming Lin,* Na An, Ruihan Tong, Yuhua Chen and Fengyu Qu*
College of Chemistry and Chemical Engineering, Harbin Normal University, Harbin, 150025, P. R. China.

Tel (Fax): +86 451 88060653
E-mail: qufengyu@hrbnu.edu.cn and linhuiming@hrbnu.edu.



Succinic acid-Glycine-Phenylalanine-Leucine-Glycine (SGFLG)



Scheme S1. Schematic illustration of the synthetic approach of SGFLG-APTES linker.

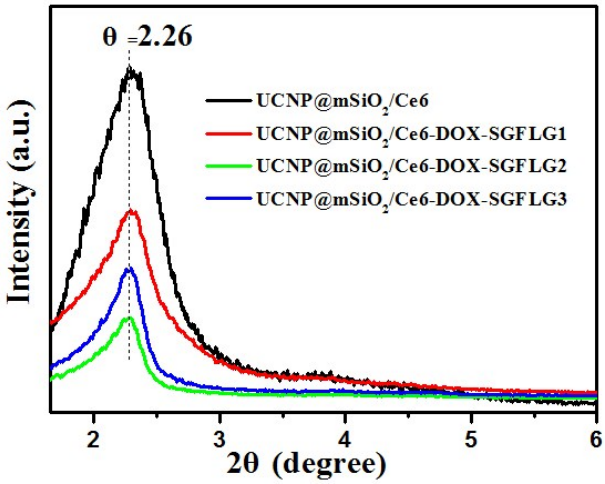


Fig. S1 Low-angle XRD patterns of these samples.

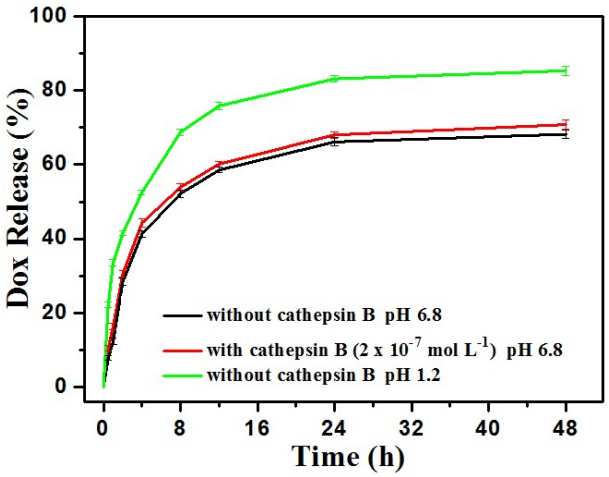


Fig. S2 Release profiles of DOX from UCNP@mSiO$_2$/Ce6-DOX in different condition.

Herein, the release profiles of DOX from UCNP@mSiO$_2$/Ce6-DOX (without surface layer) in pH 6.8 and 1.2 without cathepsin B have been added as shown in Fig. S2. The release can reach 68.23 ± 1.1 % (pH 6.8) and 85.4 ± 1.2 % (pH 1.2) at 48 h. The difference (17.17%) of the two release amount shown in Fig. S2 is far less than that (73.9%) in Fig. 6D of UCNP@mSiO$_2$/Ce6-DOX-SGFLG2 in pH 6.8 and 1.2. Furthermore, there is negligible difference between the release of UCNP@mSiO$_2$/Ce6-DOX in pH 6.8 without and with cathepsin B. Based on the above investigation, it is believed that the pH and enzyme sensitive release as shown in Fig. 6 is attributed to the SGFLG linkers.

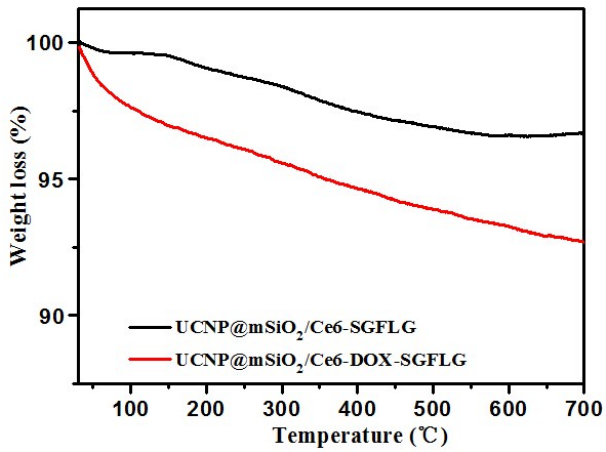


Fig. S3 TGA analysis of UCNP@$mSiO_2$/Ce6-SGFLG and UCNP@$mSiO_2$/Ce6-DOX-SGFLG2.

TG experiments of UCNP@$mSiO_2$/Ce6-SGFLG and UCNP@$mSiO_2$/Ce6-DOX-SGFLG2 have been carried out. It is believed that the difference of TG is ascribed to the DOX loading. And 3.7 wt % DOX loading (TG) agrees with the loading effect (3.8 %) calculated from UV-Vis (Table 2).

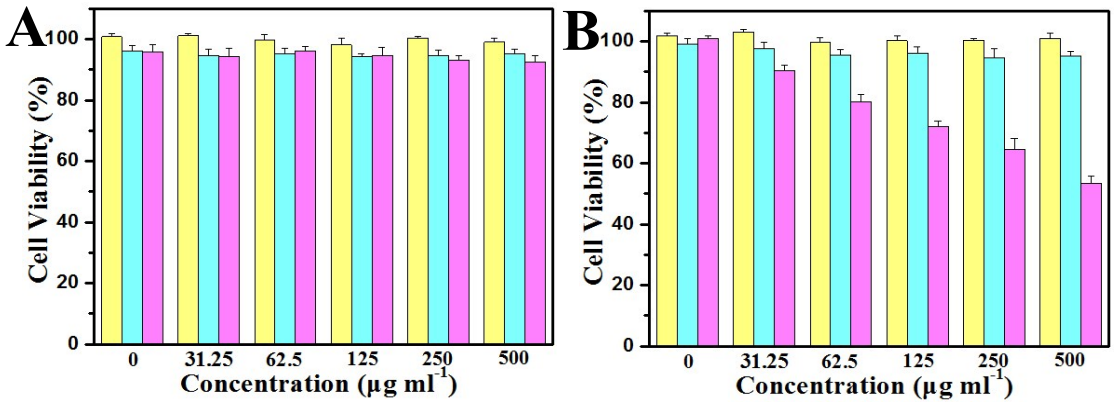


Fig. S4 MTT cell viability assay of control (yellow), UCNP@mSiO$_2$/Ce6-SGFLG-Tf (blue) and UCNP@mSiO$_2$/Ce6-DOX-SGFLG-Tf (pink) on (A) L02 and (B) HeLa cells for 24 h incubation.

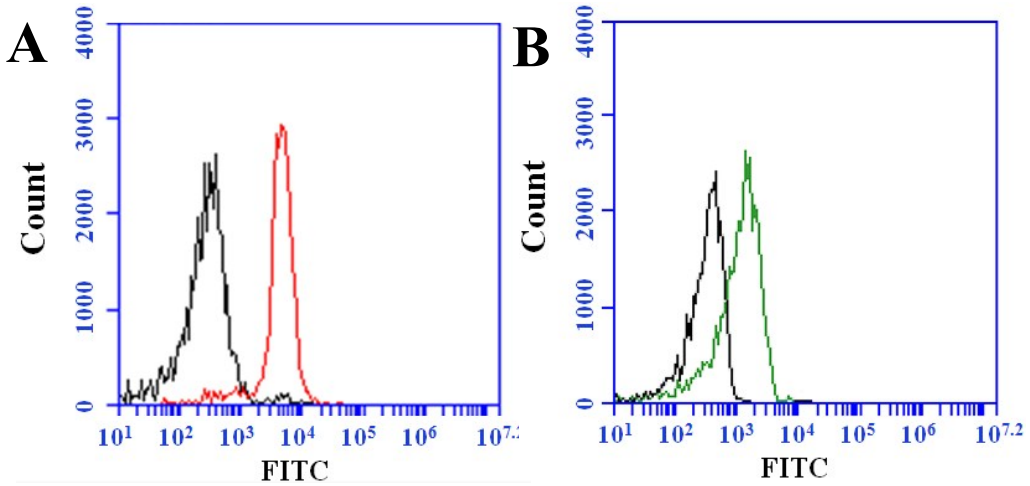


Fig. S5 Flow cytometry analysis of the (A) HeLa (red) and (B) L02 (green) cells incubated with FITC modified UCNP@mSiO$_2$/Ce6-DOX-SGFLG and UCNP@mSiO$_2$/Ce6-DOX-SGFLG-Tf for 3 h.

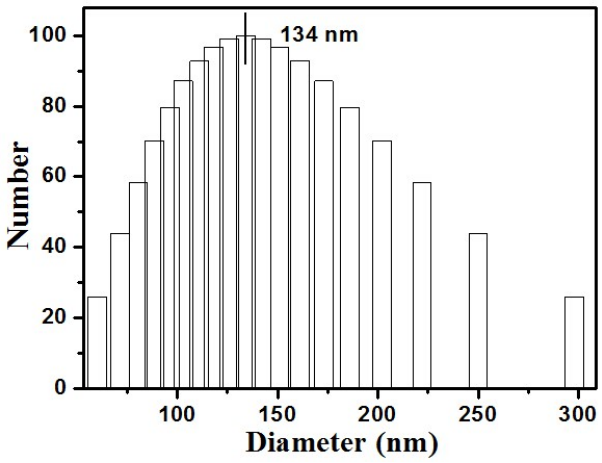


Fig. S6. The dynamic light scattering of UCNP@mSiO$_2$/Ce6-DOX-SGFLG.

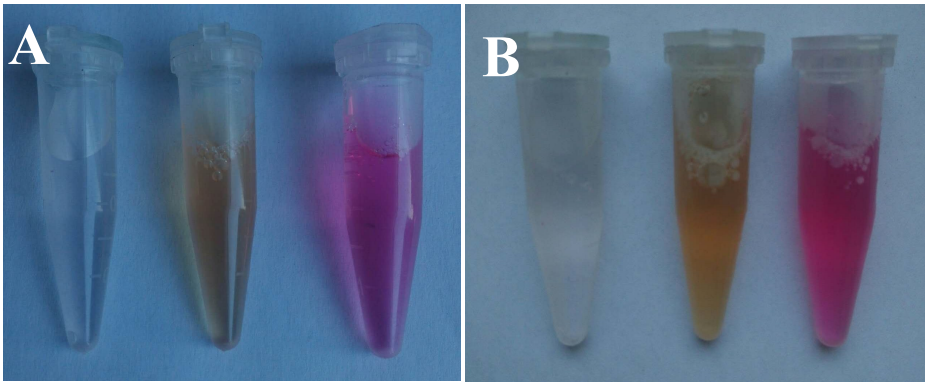


Fig. S7. The photos of UCNP@mSiO$_2$/Ce6-DOX@SGFLGs nanoparticles dispersing in PBS, serum, and DMEM with serum after ultrasonic (A) and after 48h left (B).

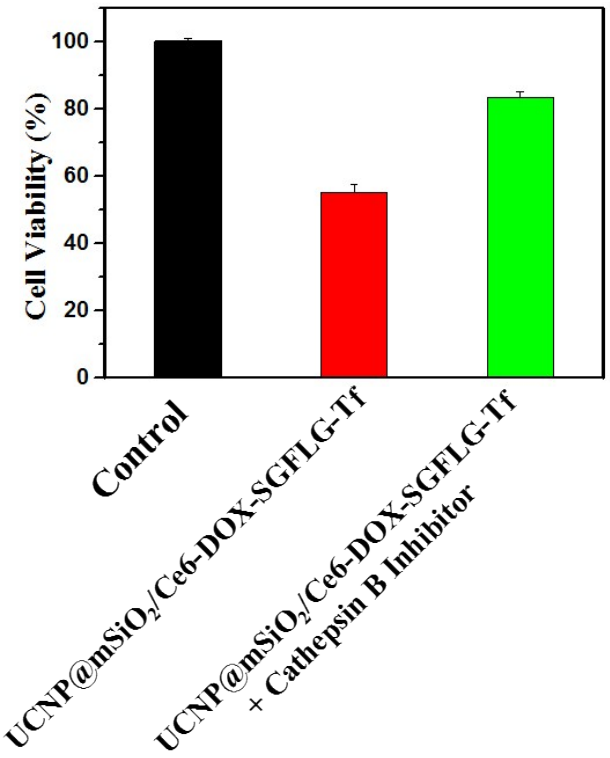


Fig. S8. Cell viability of HeLa cells incubated UCNP@mSiO$_2$/Ce6-DOX-SGFLG-Tf without and with Cathepsin B inhibititor.