Experimental Section

Materials and Methods

All chemicals were purchased from Acros, Aldrich Chemical Company or Alfa-Aesar and used as received. All organic solvents were purchased from Beijing Chemical Works and used as received. PS-PEG-COOH (Mn of backbone PS is 6500 and Mn of each branch is 4600) was purchased from Polymer Source Inc. (Quebec, Canada). UV-Vis absorption spectra were taken on a JASCO V-550 spectrophotometer. Fluorescence spectra were measured on a Hitachi F-4500 fluorometer equipped with a xenon lamp excitation source. The size of polymer was measured on a Nano ZS90 (Malvern, UK). SEM images were recorded on a Hitachi S-4800 scanning electron microscope. Photostability was measured with a fluorescence microscope (Olympus 1×71) with a mercury lamp (100 W) as a light source. MCF-7 cells were obtained from cell culture center of Institute of Basic Medical Sciences, Chinese Academy of Medical Sciences (Beijing, China). Fetal bovine serum (FBS) was purchased from Sijiqing Biological Engineering Materials (Hangzhou, China). DMEM (Dulbecco's modified Eagle medium) was purchased from HyClone/Thermofi sher (Beijing, China). LSCM images were taken with a laser scanning confocal microscope (FV1200-IX61, Olympus, Japan). The absorbance for MTT analysis was recorded on a microplate reader (BIO-TEK Synergy HT, USA) at a wavelength of 490 nm.

Preparation of OBSNs 1-3

200 μL of 1 mM **OBS** in THF mixed with 50 μL, 100 μL and 200 μL respectively of 2 mg/mL PS-PEG-COOH in THF were added into 4 mL of THF. The obtained THF

solution was rapidly poured to 10 mL of milli-Q water under ultrasonic condition. After 5-min ultrasonic oscillation, the resulting solution was bubbled by nitrogen to remove THF followed by concentrating the solution to 5 mL with continuous bubbling under 100 °C oil bath. Finally, the remained solution was filtrated by a 0.22 micron filter.

Cell culture

MCF-7 cells were cultured in DMEM supplemented with 10% FBS at 37 °C in a humified atmosphere containing 5% CO_2.

Cell viability assay

MCF-7 cells were seeded in 96-well U-bottom plates at a density of 5×10^3 cells/well until adherent, and then were incubated with **OBSNs 1-3** (0~20 μM) at 37 °C for 24 h. Subsequently, MTT (1 mg mL-1 in medium, 100 μL/well) was added to the wells after the supernatant was removed followed by incubation at 37 °C for 4 h. The supernatant was removed and 100 μL DMSO per well was added to dissolve the produced formazan. After shaking the plates for 2 min, absorbance values of the wells were read with a microplate reader at 490 nm. The cell viability rate (VR) was calculated according to the following equation:

$$VR = \frac{A}{A_0} \times 100\%$$

where A is the absorbance of the experimental group treated by **OBSNs 1-3** and A_0 is the absorbance of the control group without any treatment.

Confocal laser scanning microscopy (CLSM) characterization

(1) MCF-7 cells were treated with **OBSNs 1-3** respectively (4 μM) at 37 °C for 8 h.

After removal of the media with **OBSNs**, the cells were washed three times with PBS and 1 mL fresh culture medium was added followed by the characterization of CLSM.

(2) Colocalization characterization

6 dishes of MCF-7 cells in culture medium with a density of 5×10^4 cells/mL were incubated with **OBSNs 3** (4 μM) at 37 °C for 8 h. After removal of the media with **OBSNs 3**, the cells were washed three times with PBS followed by the addition of 1 μM different location dyes (DiD/MitoTracker/ERTracker/LysoTracker/GolgiTracker) in PBS at room temperature for 30 min respectively. For the case of PI, the cells were fixed with 4% paraformaldehyde at room temperature for 20 min after removing **OBSNs 3** followed by three-time washing with PBS and then were treated with 250 μg/mL RNAase for 30 min, and subsequently 5 μg/mL PI in PBS was added into the above cells. Finally, these 6 dished of cells were washed with PBS for three times after removing the dyes. The specimens were then examined by CLSM using a 405 nm laser for **OBSNs 3**, a 559 nm laser for PI/MitoTracker/ERTracker/LysoTracker/GolgiTracker and a 635 nm laser for DiD. The fluorescence of **OBSNs 3** was highlighted in green and the location dyes were all highlighted in red.

(3) Continuous imaging of cells under cultural condition

MCF-7 cells were first incubated with 4 μM **OBSNs 3** for 8 h. After the removal of **OBSNs 3**, fresh culture media was added into the dish. Then images were taken at an internal of 20 min using a 405 nm laser and total 31 images were collected.

Endocytosis inhibition experiment

MCF-7 cell were first incubated respectively with chlorpromazine (10 μg/mL), dynasore (80 μM), mystatin (5 mg/mL) and sucrose (0.45 M) at 37 °C and without any inhibitors at 4 °C in DMEM in the absence of FBS for 1 h. Then **OBSNs 3** were added into the cells above with a final concentration of 4 μM and the cells were simultaneously treated with the same inhibition conditions as mentioned above for 8 h. Finally the cells were washed three times by PBS followed by CLSM characterization.

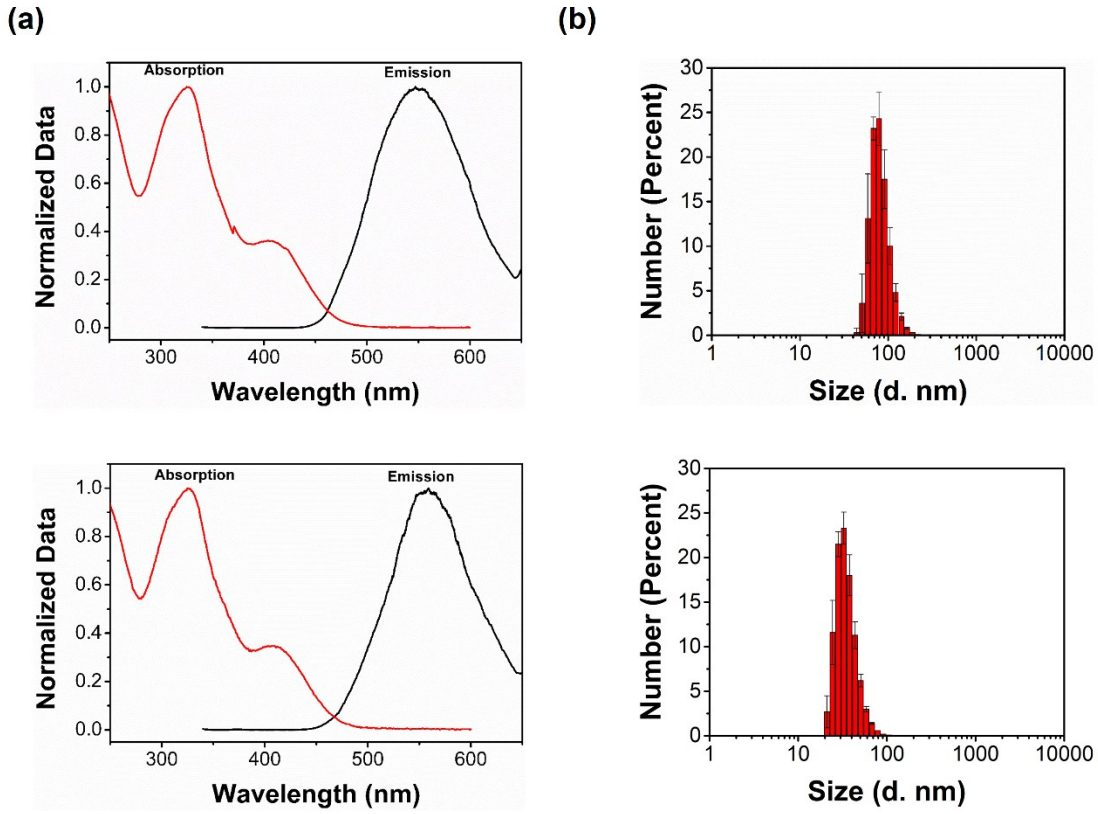

Figure S1. (a) Absorption and emission spectra of **OBSNs 1** (upper panel) and **OBSNs 2** (lower panel). (b) Hydrodynamic diameters of **OBSNs 1** (upper panel) and **OBSNs 2** (lower panel) determined by dynamic light scattering.

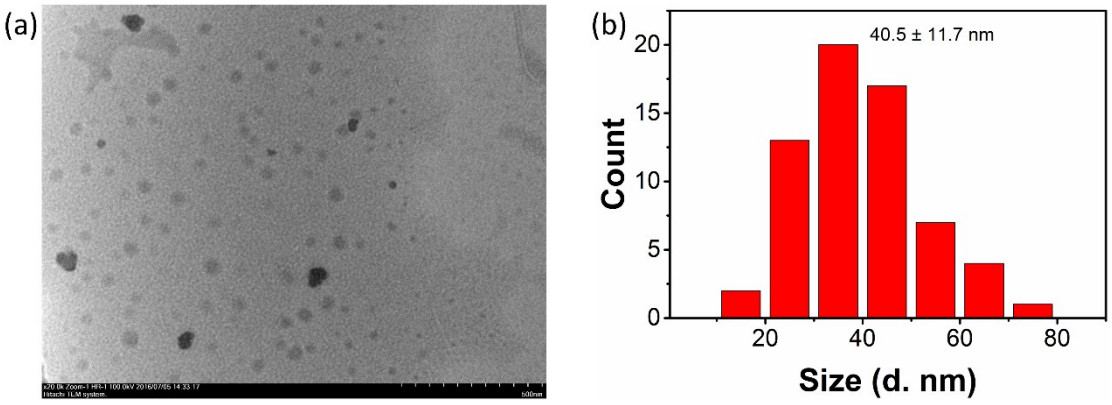

Figure S2. (a) TEM image of OBSNs 3. (b) The dispersion histogram of OBSNs 3 obtained by TEM image.

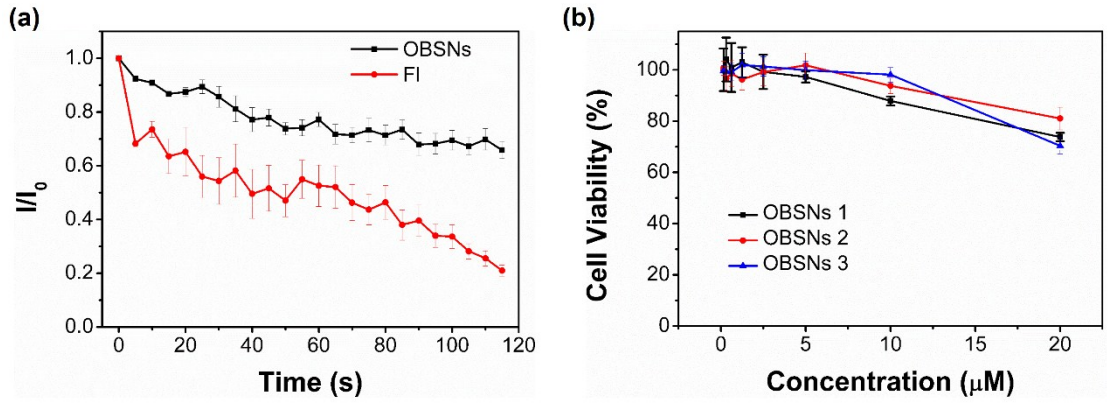

Figure S3. (a) Photostability of **OBSNs** under a mercury lamp (100 W) irradiation with an exciter of 380/30 nm and an emitter of 525/30 nm. (b) Cell viability of MCF-7 cells after incubation with **OBSNs 1-3**. [**OBSNs**] = 0~20 μM.

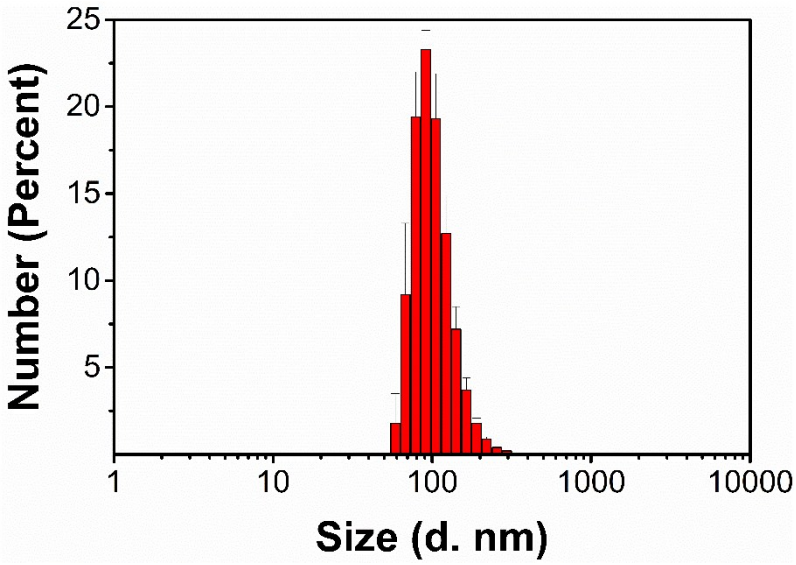

Figure S4. Hydrophobic diameter of **OBSNs 3** in cell culture after incubated for 8 h.

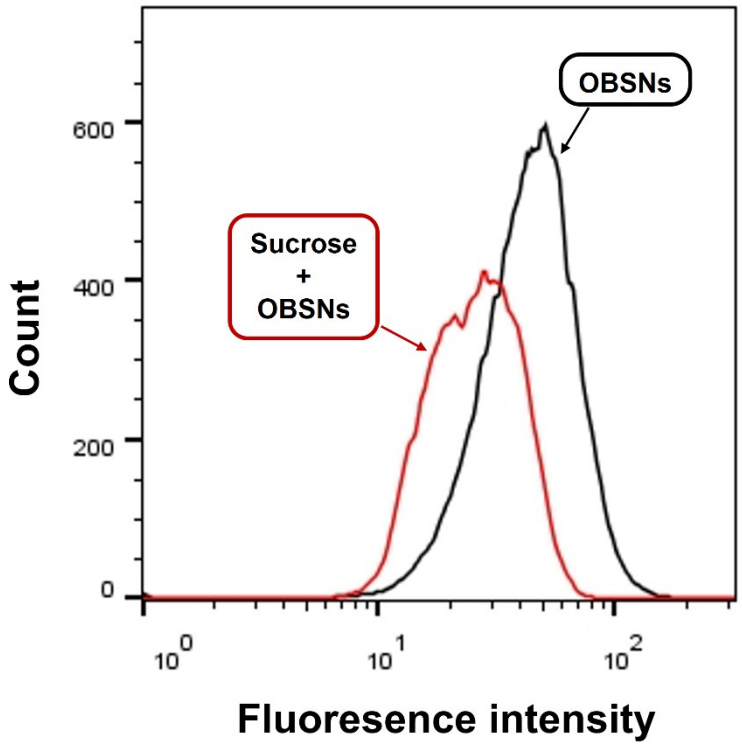

Figure S5. Flow cytometry detection of the fluorescence of MCF-7 cells treated with **OBSNs 3** alone and **OBSNs 3** in the presence of sucrose.

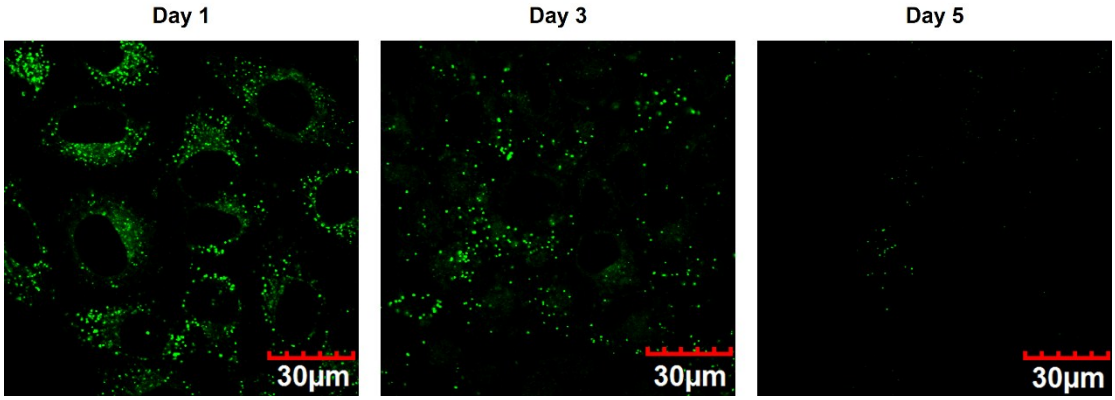

Figure S6. CLSM images of MCF-7 stained with **OBSNs 3** after the removal of cell culture containing **OBSNs 3**.