Supplementary Data

BODIPY-based Macromolecular Photosensitizer with Selective Recognition and Enhanced Anticancer Efficiency

Zhentan Lu,[a] Xinge Zhang*,[a] Zhongming Wu[b], Tingting Zhai,[a] Yinan Xue,[a] Lin Mei[a] and Chaoxing Li*[a]

[a] Key Laboratory of Functional Polymer Materials Ministry of Education, Institute of Polymer Chemistry, Nankai University, 94# Weijin Road, Tianjin 300071, China;

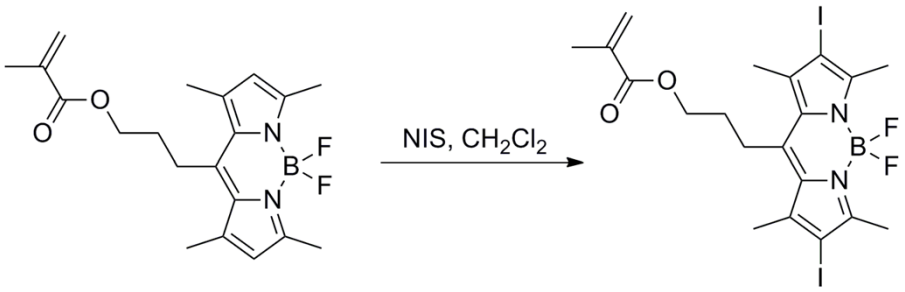
[b] 2011 Collaborative Innovation Center of Tianjin for Medical Epigenetics, Key Laboratory of Hormones and Development (Ministry of Health), Metabolic Diseases Hospital, Tianjin Medical University, Tianjin 300070, China.

* Corresponding author:

Tel: +86-22-23501645; Fax: +86-22-23505598

E-mail address: zhangxinge@nankai.edu.cn; lcx@nankai.edu.cn.

Synthesis of BODIPYMA-2I



Scheme S1. Synthesis of BODIPYMA-2I.

BODIPYMA and excess *N*-iodosuccinimide were dissolved in CH_2Cl_2. The mixture reacted under stirring at room temperature for 24 h, then CH_2Cl_2 was evaporated under vacuum. The residual product was purified by silica gel column chromatography eluted with ethyl acetate and petroleum ether to give a red solid with 95% yield.

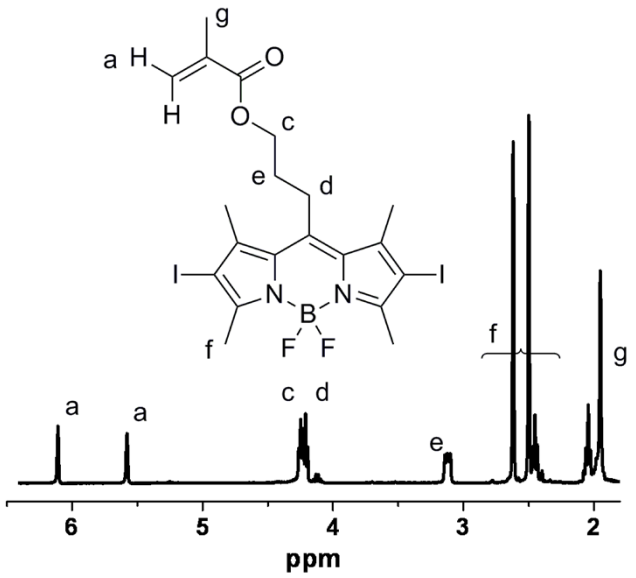


Figure S1. ^{1}H NMR spectrum of BODIPYMA-2I ($CDCl_3$ as solvent).

GPC profiles of polymers

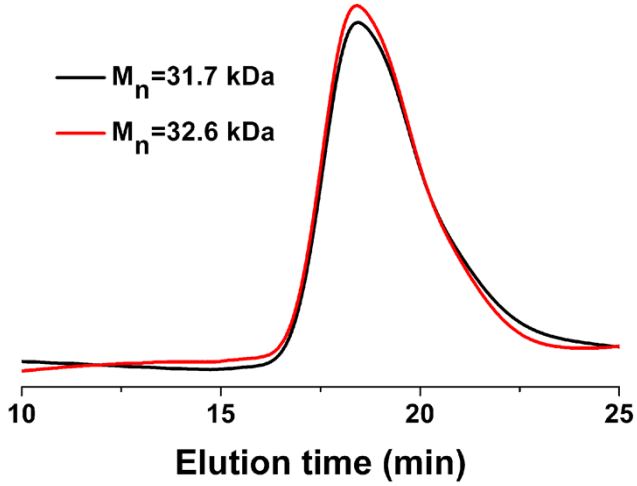


Figure S2. GPC profiles of p(AcGEMA-*co*-BODIPYMA) (black) and p(AcGEMA-*co*-BODIPYMA)-I (red).

THF was as an eluent and polystyrene was as a calibration standard.

The generation of singlet oxygen of BODIPYMA-2I in DMSO

In order to test the generation of $^1O_2$ of BODIPYMA-2I in organic solvent, a solution of DMSO containing 1, 3-diphenylisobenzofuran (DPBF, $^1O_2$ quencher) (7.5 × 10^{-2} μM/mL) and BODIPYMA-2I (20 nmol/mL) was prepared, then the solution was transferred into a glass cuvette, placed in the spectrophotometer and irradiated under white light (irradiance 1.5 mW/cm^2 at 400-800 nm) at room temperature. The rate of singlet oxygen production was determined by measuring the decrease of DPBF absorbance at 410 nm at fixed time intervals. Irradiation was also carried out on a DPBF-DMSO solution in the absence of photosensitizer and illumination (negative control).

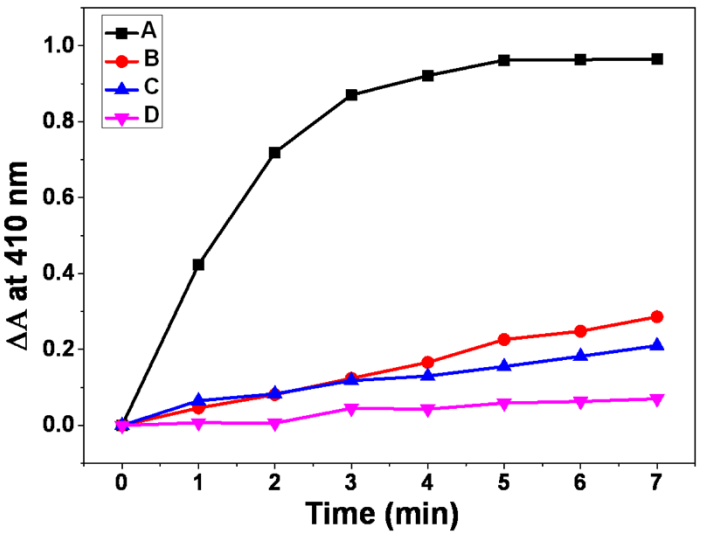


Figure S3. The decrease of DPBF absorption at 410 nm against time in the DMSO: A) the DPBF solution with photosensitizer and was irradiated under white light; B) the DPBF solution with photosensitizer under dark; C) the DPBF solution was irradiated under white light but without photosensiter; D) negative control.

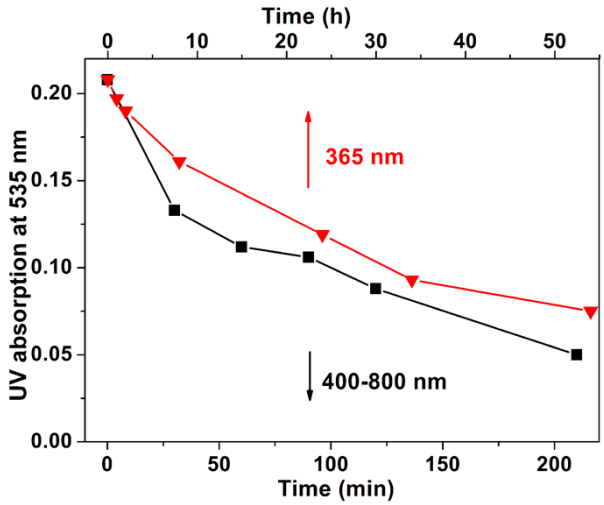


Figure S4. Stability of the BODIPY-based macromolecular photosensitizer in PBS under UV and white light.

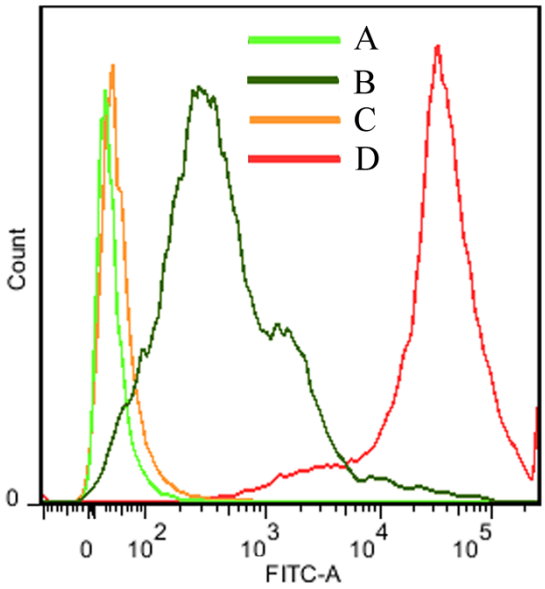


Figure S5. Flow cytometry histograms of NIH3T3 and HepG2 cells. A (NIH3T3) and C (HepG2) were negative control. B (NIH3T3) and D (HepG2) were treated with 5 nmol/mL p(GEMA-*co*-BODIPYMA) for 30 min.

The results in Figure S5 show that fluorescence intensity on NIH3T3 cells was just 1% of HepG2 cells. The result was similar to that determined by CLSM images.