Supporting Information

Bioactive Saccharide-Conjugated Polypeptide Micelles for Acid-Triggered Doxorubicin Delivery

Steven, S.-S. Wang, Su-Chun How, Yun-Duan Chen, *Ya-Hui Tsai,* and Jeng-Shiung Jan*

Prof. J.-S. Jan, Yun-Duan Chen
Department of Chemical Engineering, National Cheng Kung University
No 1, University Rd., Tainan, 70101 Taiwan
E-mail: jsjan@mail.ncku.edu.tw

Prof. Steven S.-S. Wang, Su-Chun How
Department of Chemical Engineering, National Taiwan University
No. 1, Sec. 4, Roosevelt Road, Taipei 10617, Taiwan
E-mail: sswang@ntu.edu.tw

Dr. Y.-H. Tsai
Department of Surgery, Far Eastern Memorial Hospital
Pan-Chiao, New Taipei 220, Taiwan

Small angle X-ray scattering (SAXS) analysis

SAXS measurements were performed using a Bruker diffractometer (NanoSTAR U System, Bruker AXS Gmbh, Karlsruhe, Germany). The background subtracted data were desmeared against the beam length profile of the source. Samples were measured in a 1 mm quartz capillary at 25 ^{o}C. The scattering wavevector $q = 4\pi\lambda^{-1}\sin\theta$, defined by the scattering angle θ and λ, was calibrated with a standard sample of silver behenate. SAXS data were subtracted with the scattering from the same solutions without the polymers, and corrected for incoming flux, sample thickness and electronic noise of the detector. The particle solutions were concentrated to about 10 mg/mL of polypeptide concentration or higher by using ultrafiltration for SAXS measurements. Spherical block copolymer micelle model embedded in the Nanofit software was used to fit the SAXS patterns.

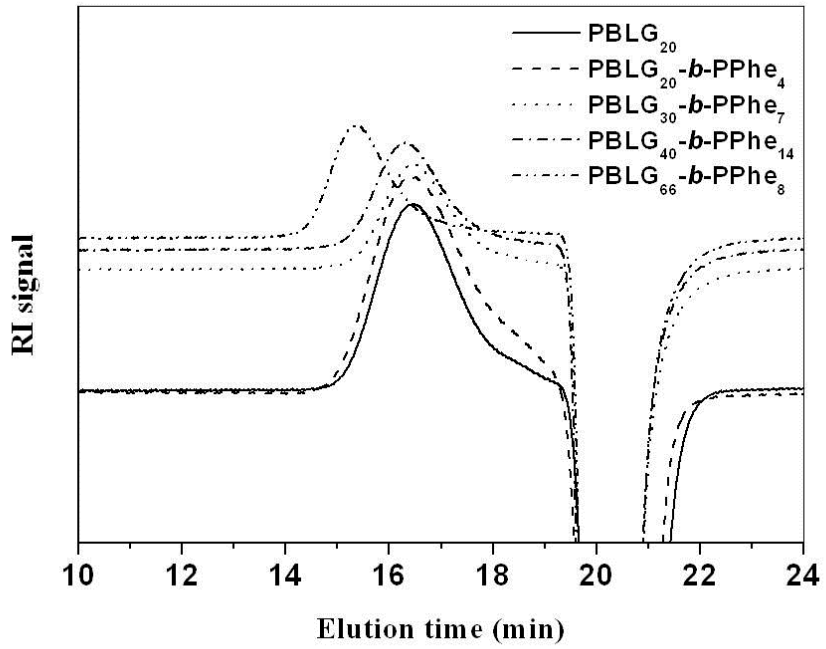

Figure S1. GPC results of PBLG and PBLG-*b*-PPhe polypeptides.

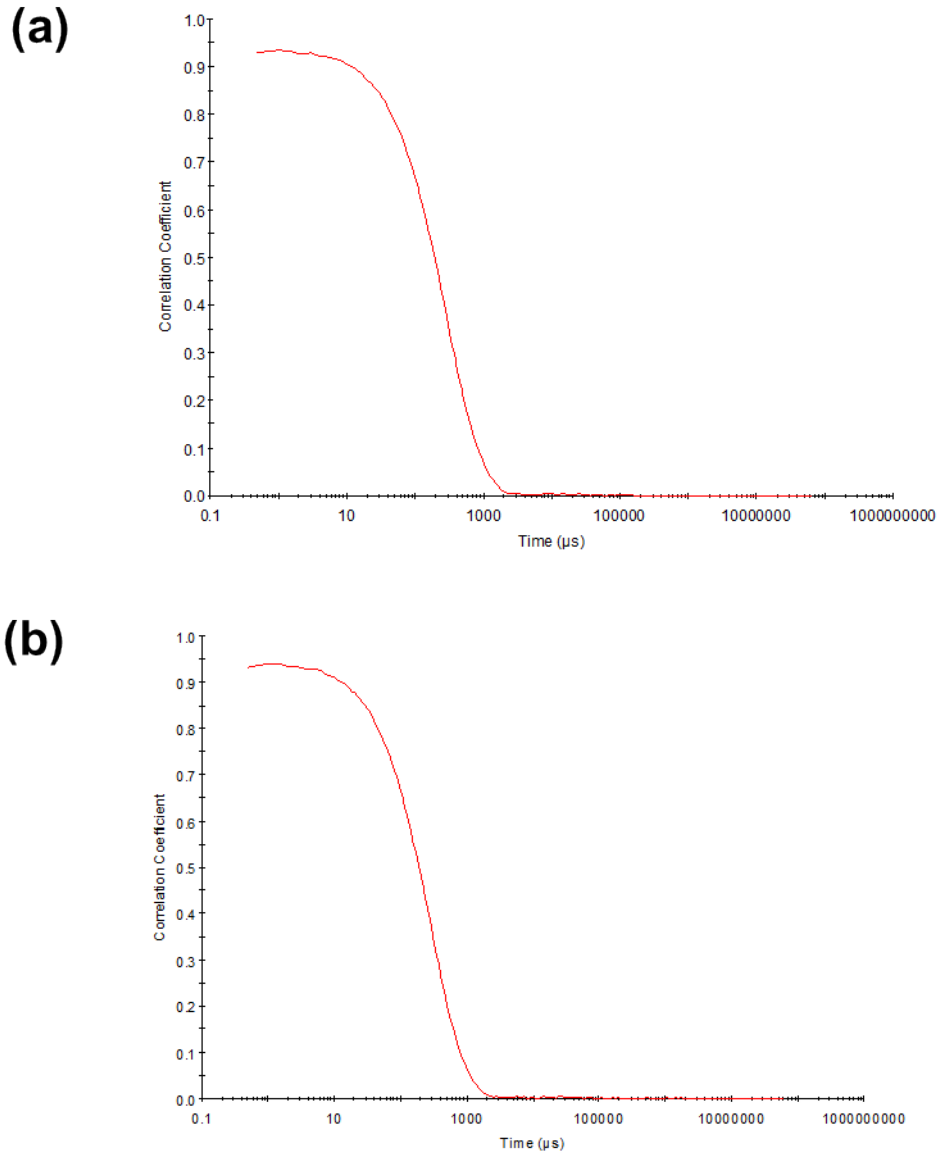

Figure S2. Decay time of PGA_{20}-*b*-$PPhe_4$ and PGA_{40}-*b*-$PPhe_{14}$ assemblies.

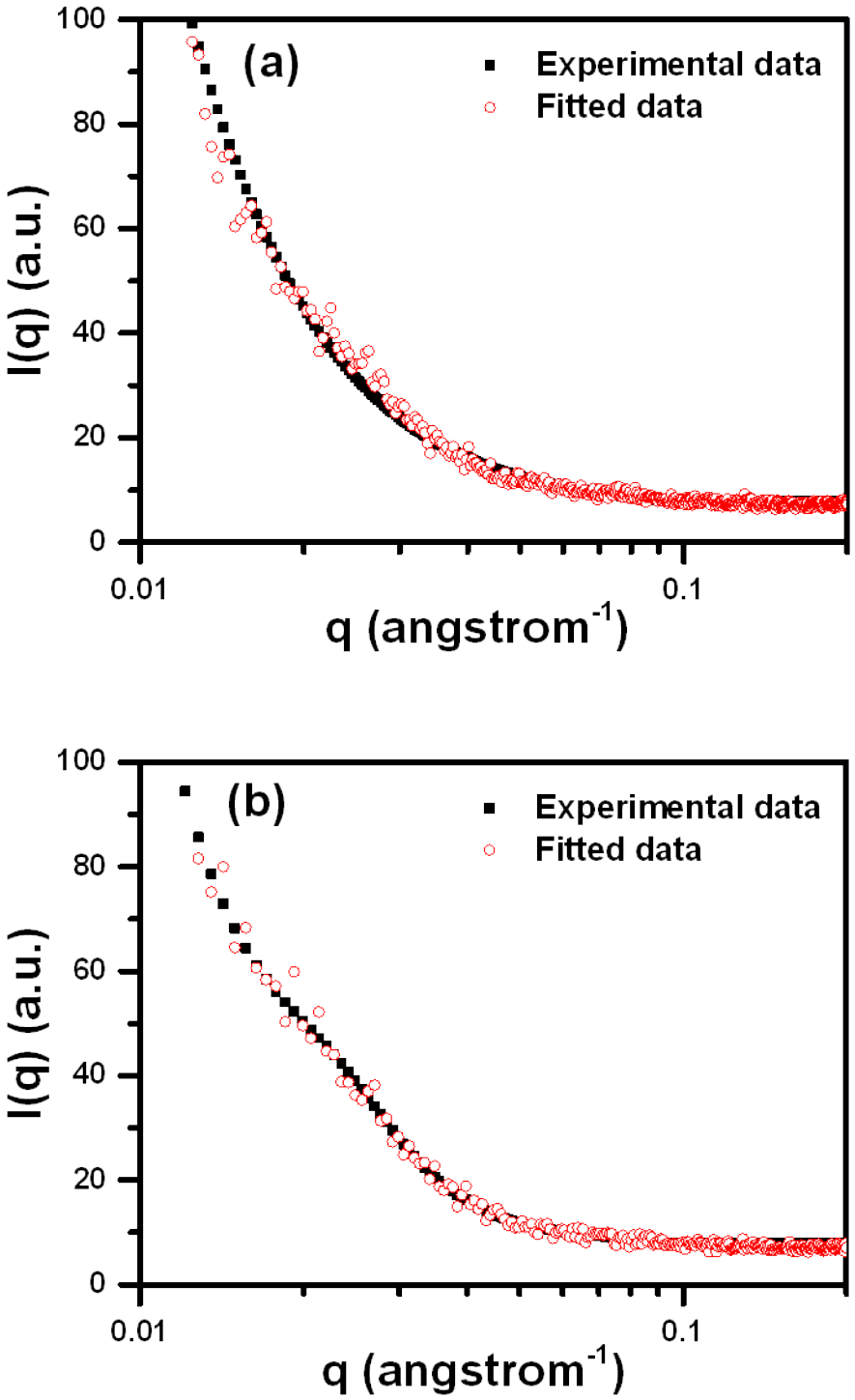

Figure S3. SAXS patterns of (a) Lac-PGA$_{20}$-*b*-PPhe$_4$ and (b) Lac-PGA$_{40}$-*b*-PPhe$_{14}$ assemblies. Spherical block copolymer micelle model was used to fit the SAXS patterns.

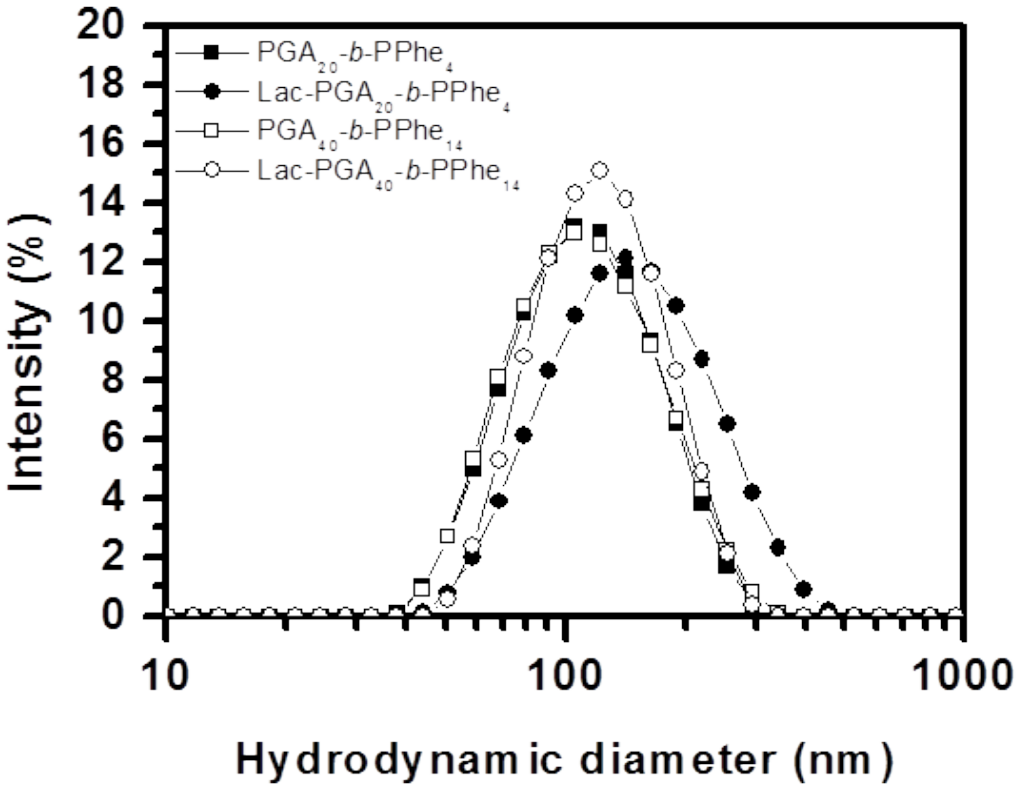

Figure S4. Particle size distribution of saccharide-free micelles and saccharide-conjugated micelles (2 mg/mL).

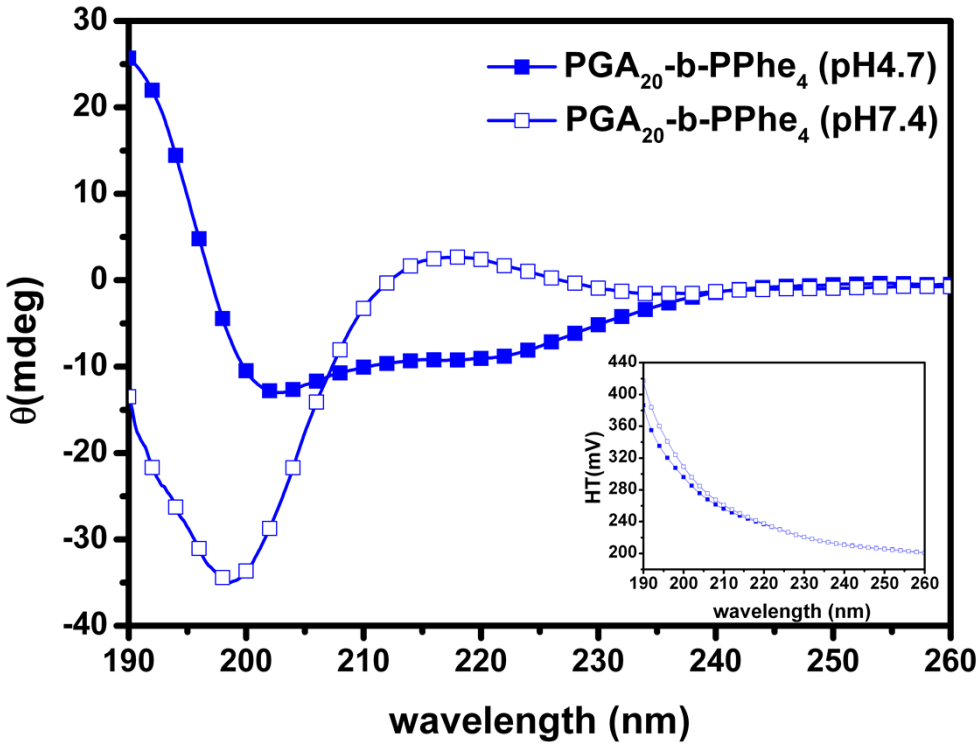

Figure S5. CD spectra of PGA_{20}-b-$PPhe_4$ micelles at pH 4.7 (solid squares) and 7.4 (open squares). The polymer concentration was 0.1 mg/mL. The inset is the high tension voltage (HT) versus wavelength plot (All the HT value were less than 440 mV).

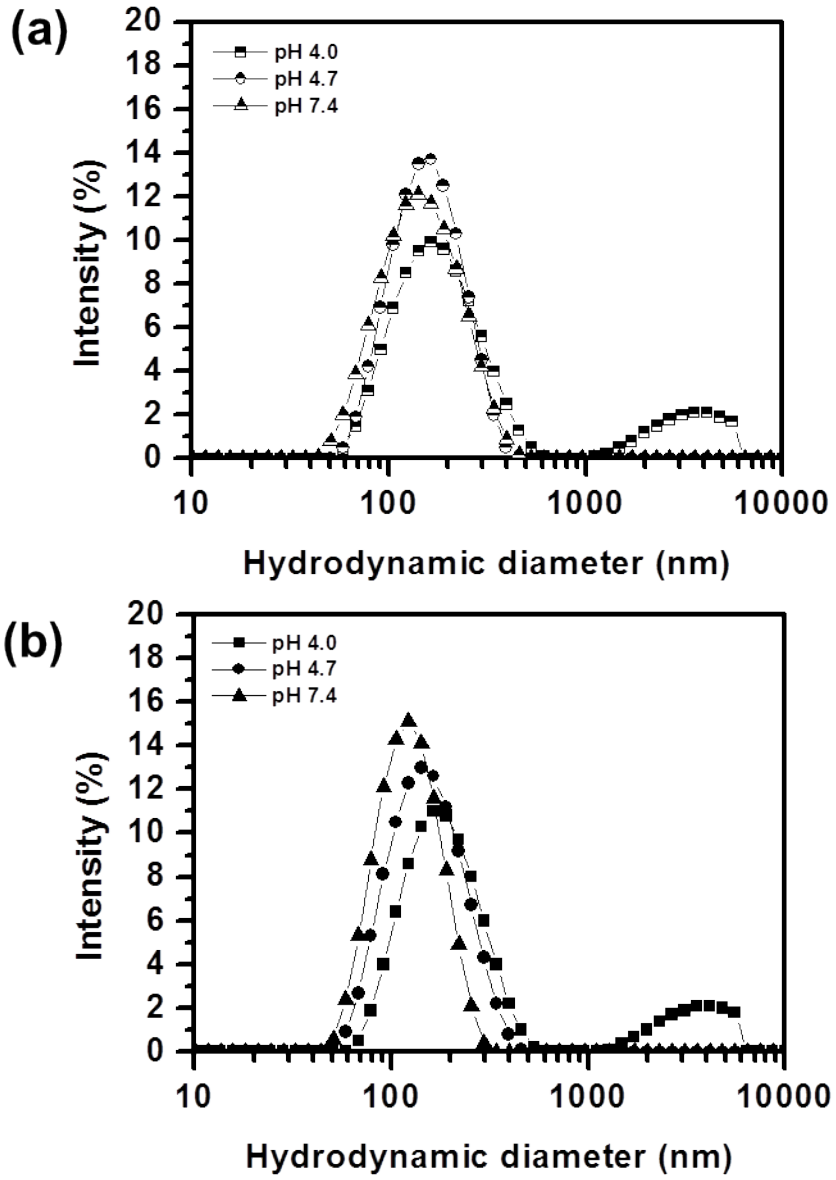

Figure S6. Particle size distributions of (a) Lac-PGA_{20}-*b*-$PPhe_4$ and (b) Lac-PGA_{40}-*b*-$PPhe_{14}$ micelles at different pH values.

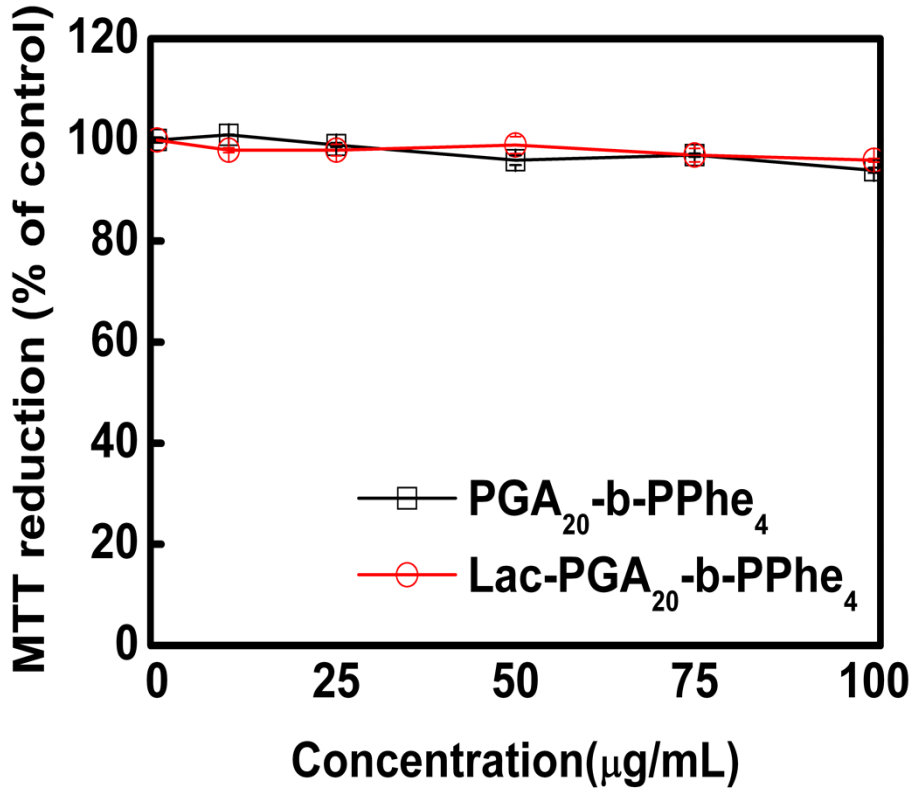

Figure S7. Cell viabilities of PGA_{20}-*b*-$PPhe_4$ (black open squares) and Lac-PGA_{20}-*b*-$PPhe_4$ (red open circles) micelles as a function of polypeptide concentration.

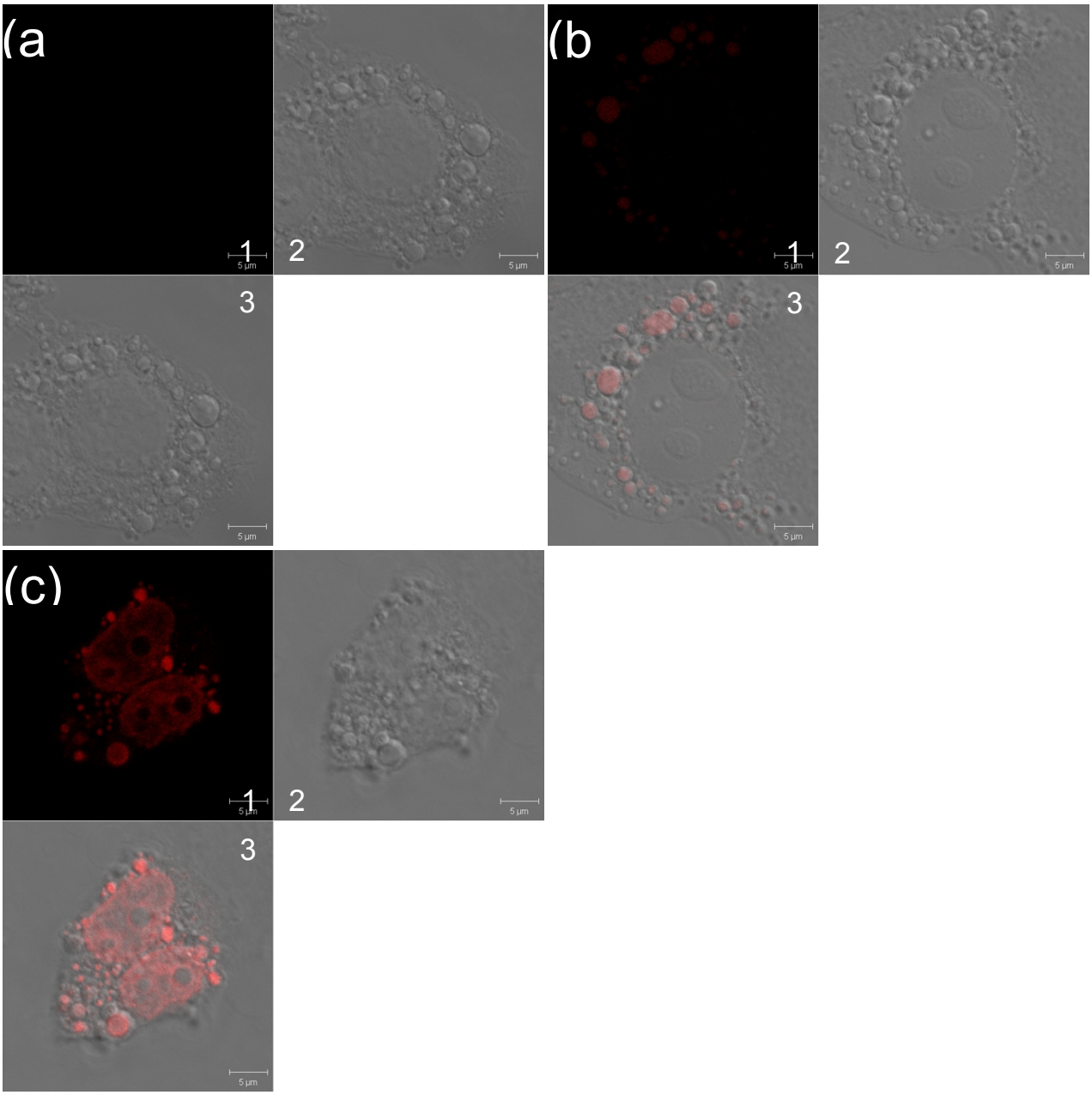

Figure S8. CLSM images of (a) control (HepG2 cells), (b) HepG2 cells incubated with DOX-loaded Lac-PGA_{20}-b-$PPhe_4$ (2 μ g/mL) for 1 h, and (c) DOX-loaded Lac-PGA_{20}-b-$PPhe_4$ for 6 h. 1: DOX channel, 2: bright field, and 3: overlaid images. The scale bars correspond to 5 μ m.

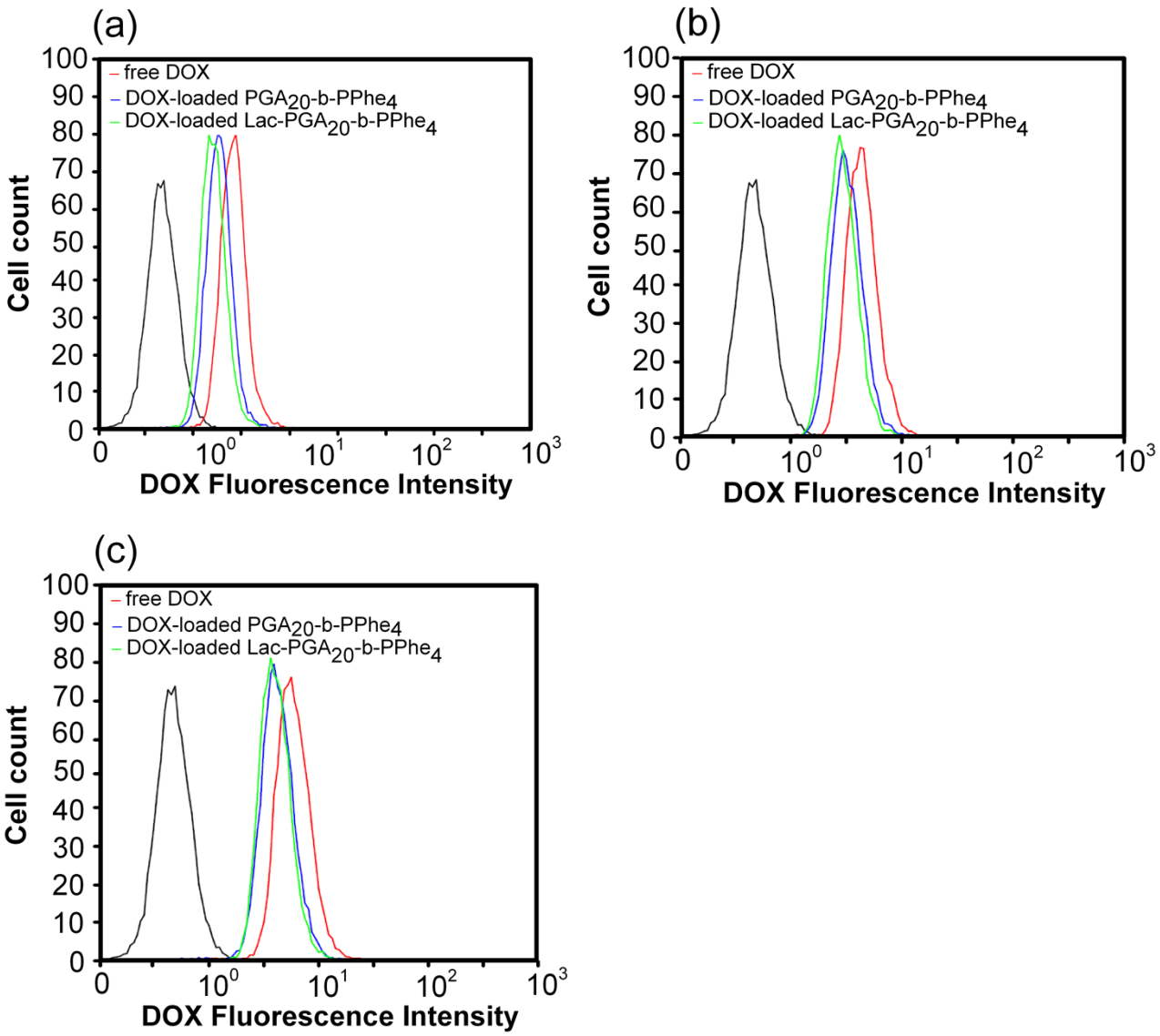

Figure S9. DOX fluorescence intensity of L929 cells incubated with the free DOX, DOX-loaded PGA_{20}-*b*-$PPhe_4$, and DOX-loaded Lac-PGA_{20}-*b*-$PPhe_4$ for (a) 1, (b) 2, and (c) 4 h measured by flow cytometry. The concentration of DOX used was 6 μ g/mL.