Supporting information

Polymeric Micelles with Water-Insoluble Drug as Hydrophobic Moiety for Drug Delivery

Guolin Li[1,2§], Jinyao Liu[1§], Yan Pang[1], Ruibin Wang[3], Limin Mao[2], Deyue Yan[1], Xinyuan Zhu[1,3]*, Jian Sun[4]*

[§] These authors are joint first authors.

[1] *School of Chemistry and Chemical Engineering, State Key Laboratory of Metal Matrix Composites, Shanghai Jiao Tong University, 800 Dongchuan Road, Shanghai 200240, People's Republic of China*

[2] *Department of Oral and Maxillofacial Surgery, The First Affiliated Hospital of Harbin Medical University, 23 Youzheng Street, Nangang District, Harbin 150001, People's Republic of China*

[3] *Instrumental Analysis Center, Shanghai Jiao Tong University, 800 Dongchuan Road, Shanghai 200240, People's Republic of China*

[4] *Shanghai Key Laboratory of Stomatology, Department of Oral and Maxillofacial Surgery, The 9th People's Hospital, Shanghai Jiao Tong University School of Medicine, Shanghai 200011, People's Republic of China*

Email address: xyzhu@sjtu.edu.cn; jianjian60@yahoo.com

* To whom correspondence should be addressed. Tel.: +86-21-34205699; Fax: +86-21-34205722.

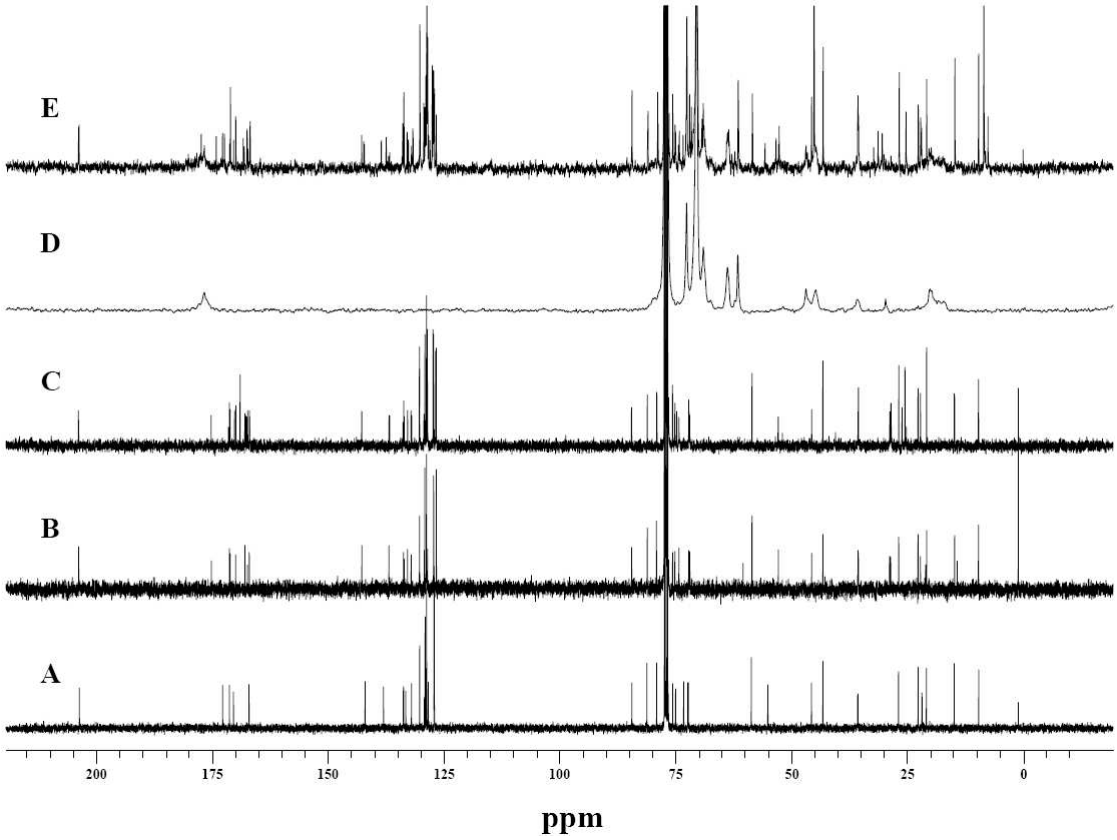

Figure S1. ^{13}C NMR spectra of (A) PTX, (B) PTX-2'-hemisuccinate, (C) PTX-NHS, (D) HPEE, and (E) HPEE-PTX_6.

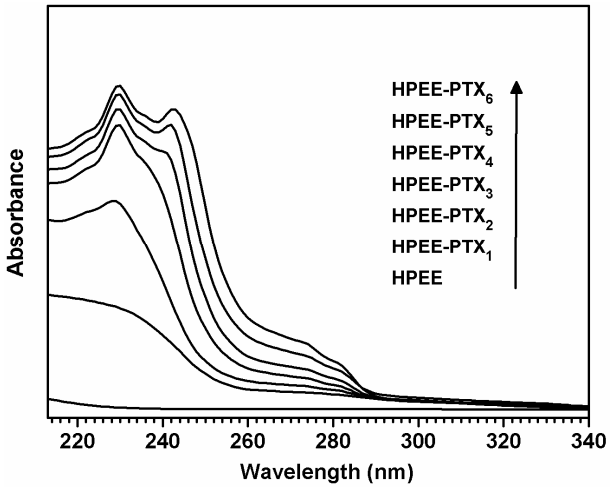

Figure S2. UV-Vis absorption spectra of HPEE and HPEE-PTX with different PTX content.

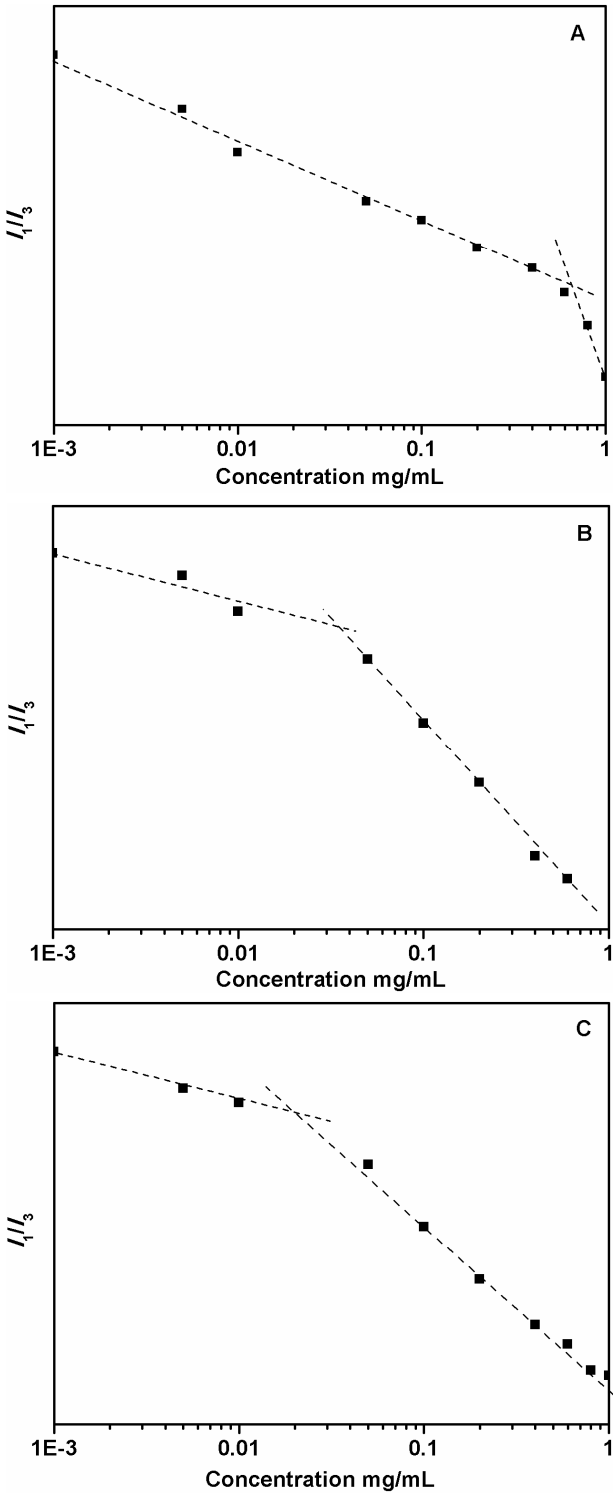

Figure S3. Pyrene I_1/I_3 emission intensity ratio as a function of polymer concentration for (A) HPEE-PTX_1, (B) HPEE-PTX_2, and (C) HPEE-PTX_3.

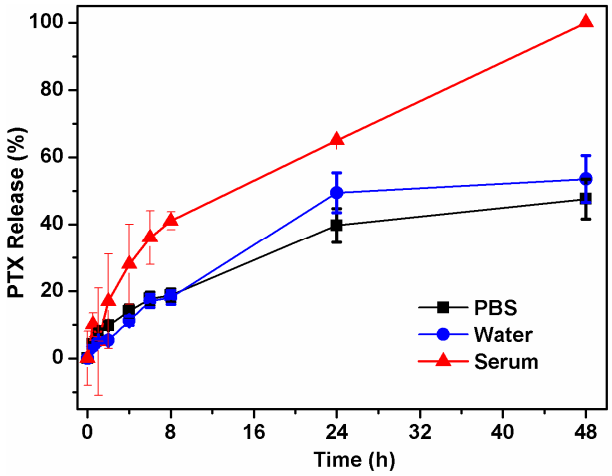

Figure S4. Cumulative release curves of PTX from HPEE-PTX_2 micelles over 48 h at 37 °C with PBS, water or serum as the release medium. Data are presented as the average ± standard deviation (n = 3).

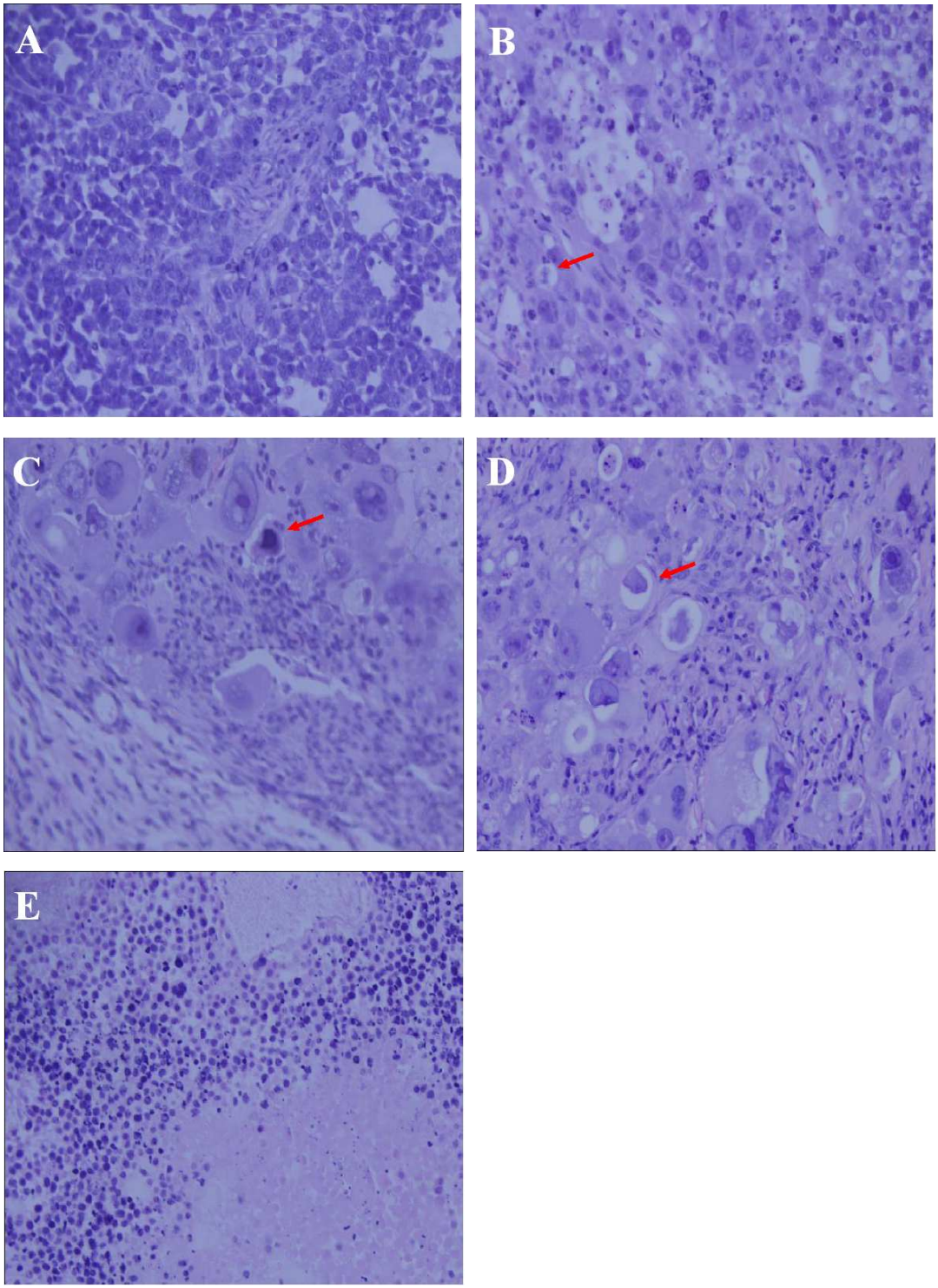

Figure S5. Pathological section of H&E staining of oral squamous carcinoma Tca8113 implant tumors (A) negative control, (B) HPEE-PTX_2 15 mg/kg, (C) HPEE-PTX_2 30 mg/kg, (D) HPEE-PTX_2 45 mg/kg, (E) positive control PTX 15 mg/kg, the structure marked by red arrow is apoptotic body (×200).

Table S1. Characterization Data of HPEE and HPEE-PTX Micelles

Entry	Average diameter [a] (nm)	Polydispersity index [a]	Zeta potential (mV)
HPEE	11 ± 1	0.31	-2.1 ± 1.2
HPEE-PTX_1	50 ± 5	0.23	-2.1 ± 1.1
HPEE-PTX_2	80 ± 3	0.21	-1.7 ± 0.8
HPEE-PTX_3	120 ± 4	0.32	-3.2 ± 1.1

[a] The sizes and polydispersity indexes were determined by DLS. Data are presented as the average ± standard deviation (n = 3).

Table S2. Release Kinetic Parameters in Different Solutions

Sample code	k	n	Correlation coefficient
serum	9.95	0.63	0.9711
water	6.85	0.52	0.9854
PBS	4.82	0.65	0.9627

Table S3. Statistics of Necrosis and Falling-Off Tumors from Nude Mice

HPEE-PTX_2 Group	Quantity [a]	Find time [b]	Category [c]
30 mg/kg	1	15th	Tca8113×1
30 mg/kg	1	22th	MCF-7×1
45 mg/kg	4	12th	MCF-7×1, Tca8113×3
45 mg/kg	3	19th	MCF-7×1, Tca8113×2

[a] Statistics of falling-off tumors; [b] The 1st day was the day in which nude mice were treated at the initial time; [c] Category of the falling-off tumors.