Supporting Information

Construction of novel pH-sensitive hybrid micelles for enhanced extracellular stability and rapid intracellular drug release

Shaoping Yin[a], Liang Chang[a], Tie Li[a], Guangji Wang[b], Xiaochen Gu[c] and Juan Li[a,*]

[a] Department of Pharmaceutics, State Key Laboratory of Natural Medicines, China Pharmaceutical University, 24 Tong Jia Xiang, Nanjing, 210009, China

[b] Center of Pharmacokinetics, Key Laboratory of Drug Metabolism and Pharmacokinetics, China Pharmaceutical University, 24 Tong Jia Xiang, Nanjing, 210009, China

[c] College of Pharmacy, University of Manitoba, 750 McDermot Avenue, Winnipeg, MB, Canada R3E 0T5

Corresponding author:

Corresponding author: Prof. Juan Li*

China Pharmaceutical University, 24 Tong Jia Xiang, Nanjing, China 210009

Tel/Fax: +86-25-83271287

Email: lijuancpu@163.com

Figures and Table

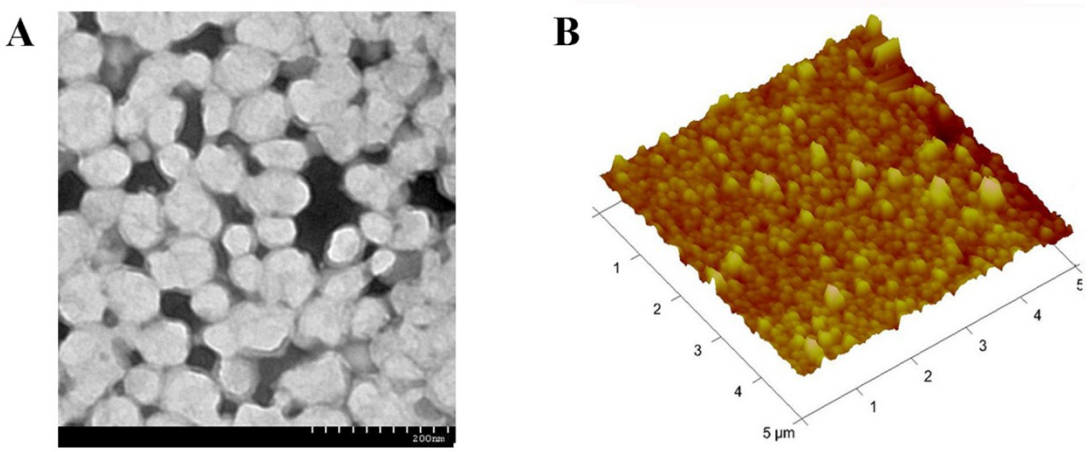

Fig. S1 Characterization of PTX@mPAL micelles. (A) Transmission electron microscopy (TEM) image, (B) atomic force microscope (AFM) image.

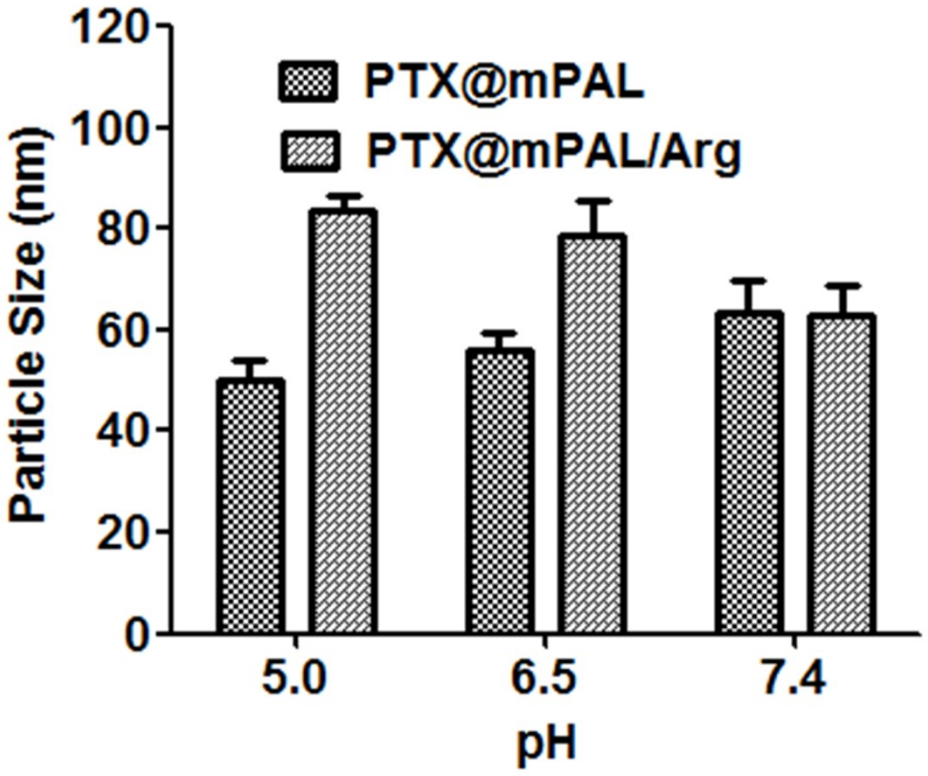

Fig. S2 Particle size changes of PTX loaded micelles according to pH values of pH 5.0, 6.5 and 7.4. (Mean ± SD, n=3).

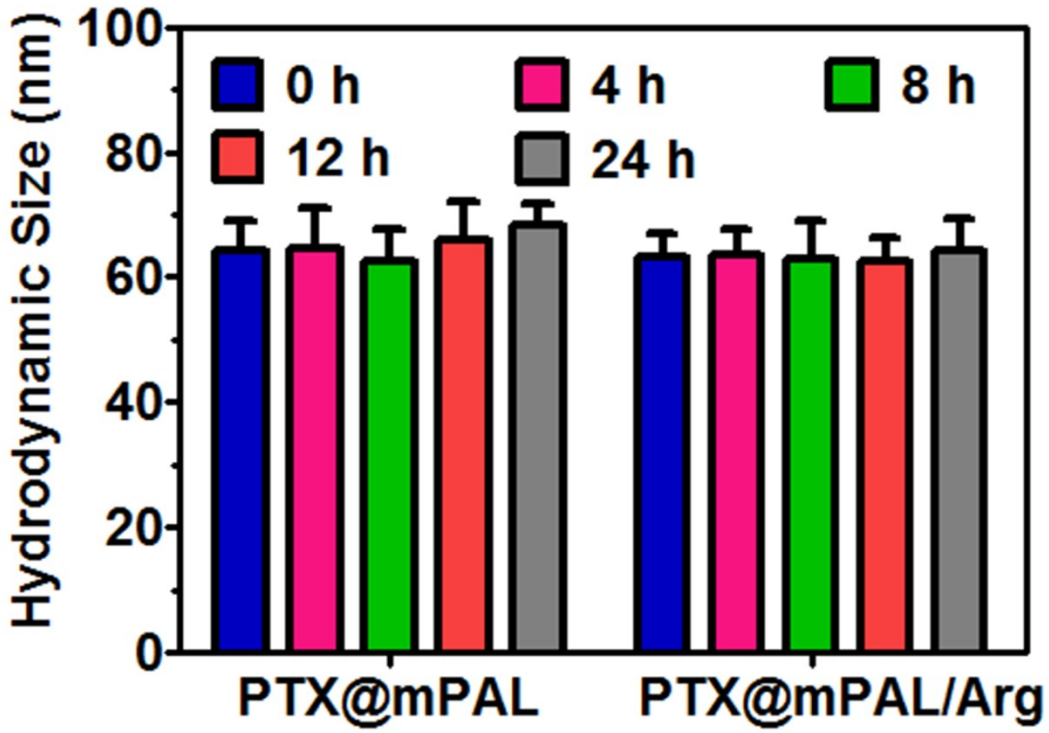

Fig. S3 Stability of PTX@mPAL and PTX@mPAL/Arg micelles against phosphate buffered saline (PBS) containing 10% fetal bovine serum (FBS) at 37 °C for 24 h.

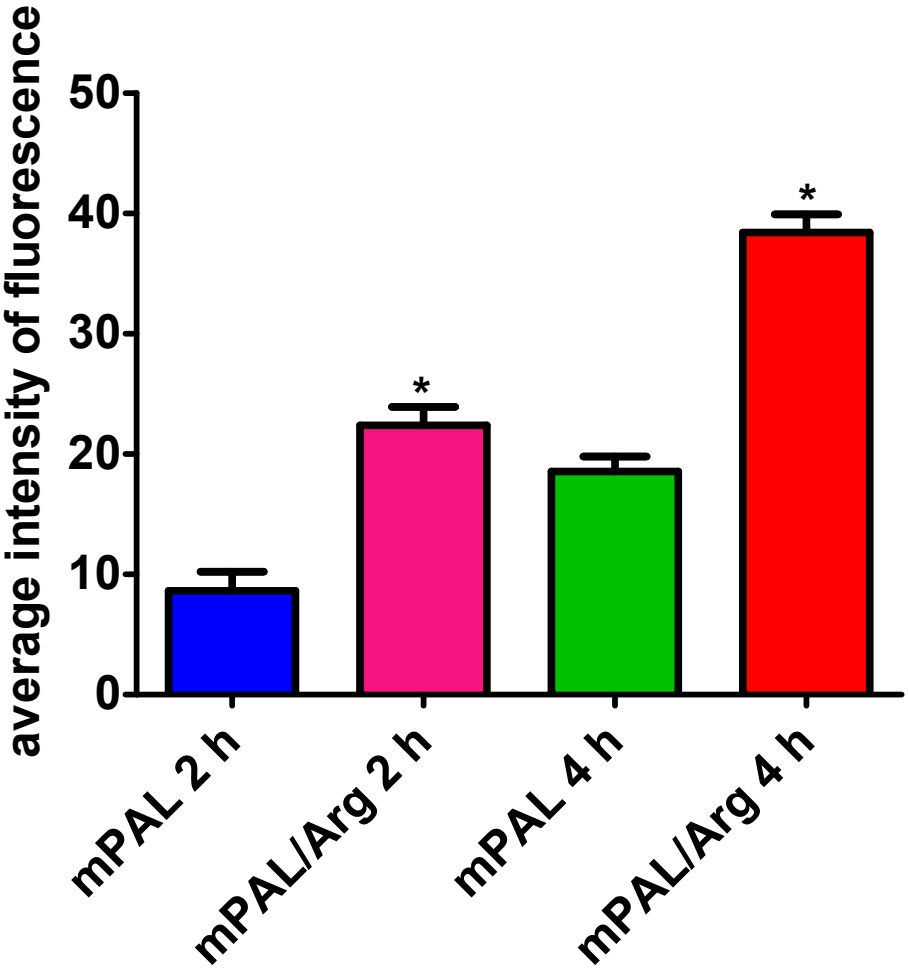

Fig. S4 The average intensity of coumarin (C6) fluorescence for confocal laser scanning microscopy (CLSM) images of HepG2 cells incubated with C6 labled mPAL and mPAL/Arg micelles for 2 and 4 h. (Mean ± SD, n=3, **P*<0.05 compared to mPAL at the same time).

Table S1 IC_{50} (μg/mL) values of PTX preparations and Taxol vehicles against A549 and HepG2 cells after incubation for 48 h (mean ± SD, n=3).

PTX preparations	IC_{50} (μg/mL)	
	A549	HepG2
Taxol	0.19±0.08	0.23±0.07
PTX@mPAL	1.76±0.42*	0.33±0.12
PTX@mPAL/Arg	0.67±0.13*	0.20±0.04
Taxol vehicle	1.15±0.28	0.78±0.12

*p<0.01, significant difference from Taxol.