Electronic Supplementary Information (ESI)

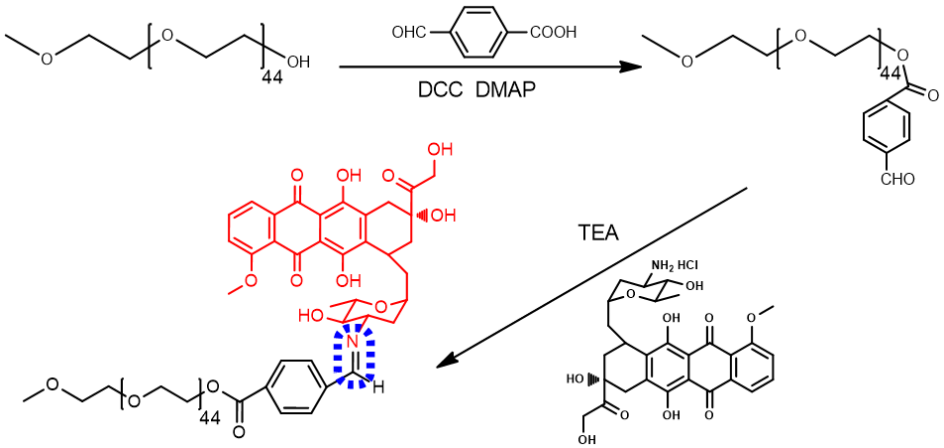
Combinatorial targeting polymeric micelles for anti-tumor drug delivery

Saina Yang,[a] Feiyan Zhu,[b] Qian Wang,[a] Fuxin Liang,[a] Xiaozhong Qu,[b]* Zhihua Gan[c]* and Zhenzhong Yang[a]*

[a] *State Key Laboratory of Polymer Physics and Chemistry, Institute of Chemistry, Chinese Academy of Sciences, Beijing 100190, China.* [b] *College of Materials Science and Opto-Electronic Technology, University of Chinese Academy of Sciences, Beijing 100049, China.* [c] *College of Life Science and Technology, Beijing University of Chemical Technology, Beijing 100029, China.*
** Corresponding Authors. Email: quxz@iccas.ac.cn (X.Q.), zhgan@mail.buct.edu.cn (Z.G.) and yangzz@iccas.ac.cn (Z.Y.)*

1. Synthesis of PEG-DOX and PEO-b-PCL

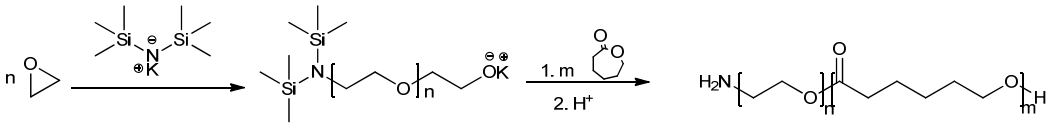
1) Synthesis of benzoic-imine linked PEGylated doxorubicin



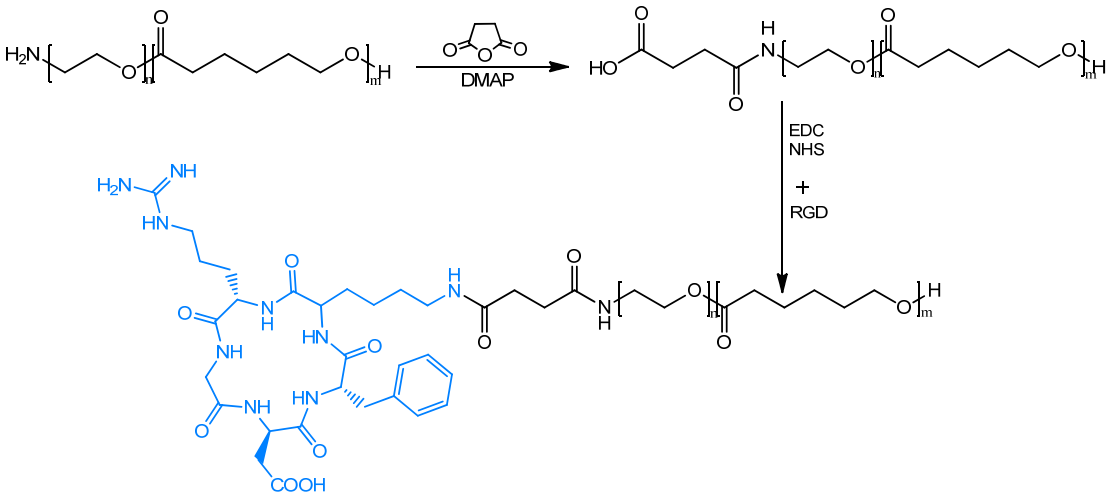
PEG-DOX

Scheme S1. Synthesis route of PEG-DOX. Blue circle indicates the low-pH labile imine bond.

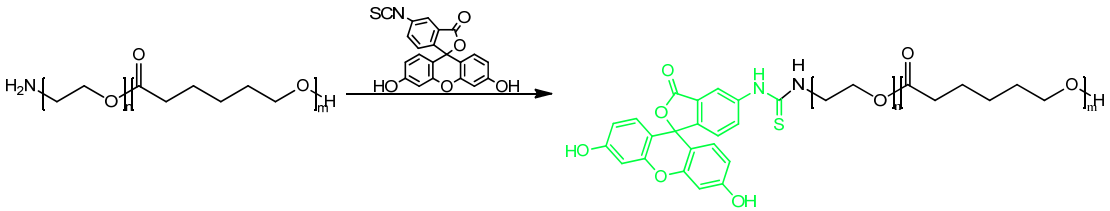
2) Synthesis of PEO-b-PCL



(a) H_2N-PEO-b-PCL



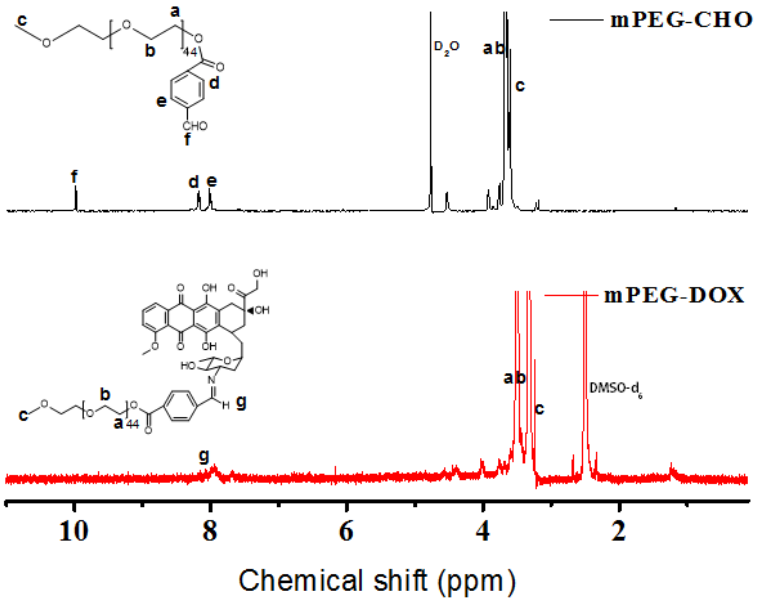
(b) cRGD-PEO-b-PCL



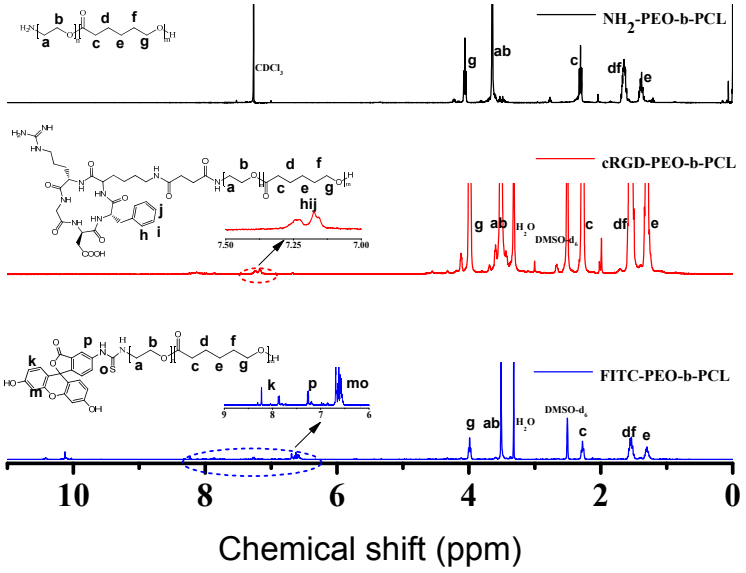
(c) FITC-PEO-b-PCL

Scheme S2. Synthesis route of c(RGDfK) functionalized PEO-b-PCL (cRGD-PEO-b-PCL) and FITC labeled PEO-b-PCL (FITC-PEO-b-PCL).

2. Supplementary figures



(a)



(b)

Figure S1. ^{1}H NMR spectra of (a) PEG-CHO in D_2O and PEG-DOX in DMSO-*d*$_6$ *(Zhu L. et al., Langmuir 2012, 28, 11988-11996.)*, (b) H_2N-PEO-b-PCL in $CDCl_3$, cRGD-PEO-b-PCL and FITC-PEO-b-PCL in DMSO-*d*$_6$.

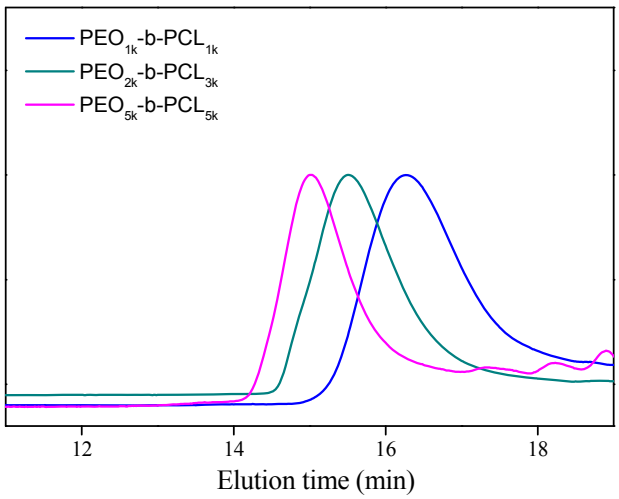


Figure S2. GPC traces of H_2N-PEO-b-PCLs eluted by THF at a flow rate of 1.0 mL/min.

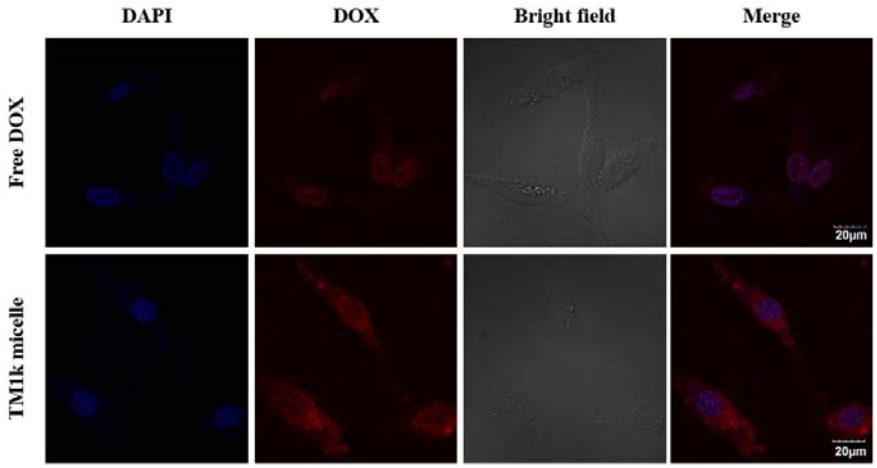
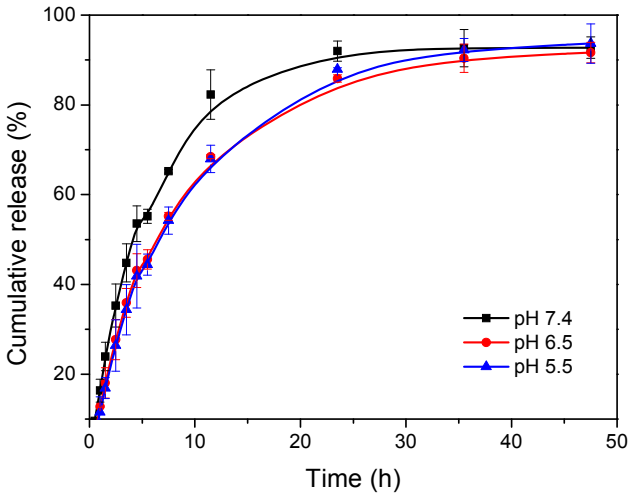
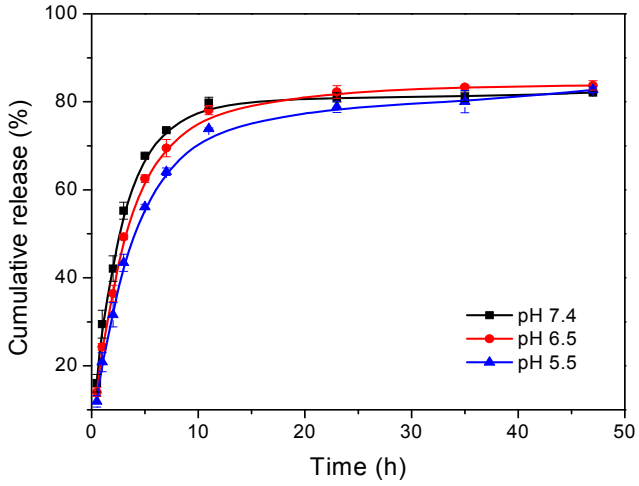


Figure S3. LCSM images of U87MG cells treated by free DOX and TM1k micelles (20 μg/mL equiv of DOX) at pH 6.5 for 12 h.



(a)



(b)

Figure S4. Cumulative release of PTX from the cRGD-PEO_{1k}-b-PCL_{1k} (a) and cRGD-PEO_{2k}-b-PCL_{2k} (b) micelles at different pH. The media contains 0.1 % v/v of Tween 80 to facilitate the solution of PTX.