

Dual-responsive TPGS crosslinked nanocarriers to overcome multidrug resistance

Li Li^{a1}, Tao Liu^{b1}, Jia-Xin Liao^a, Zhe-Yi Zhang^a, Daibo Song^a, Guan-Hai Wang^{a*}

^aSchool of Pharmacy, Guangdong Medical University, Dongguan, 523808, China

^bDepartment of Otolaryngology-Head and Neck Surgery, Guangdong Provincial People's Hospital, Guangdong Academy of Medical Sciences, Guangzhou 510080, China

*To whom correspondences should be addressed: wangguanhai@gdmu.edu.cn (Wang), Tel/fax: 0086-0769-22896322

¹ These authors contributed equally to this work.

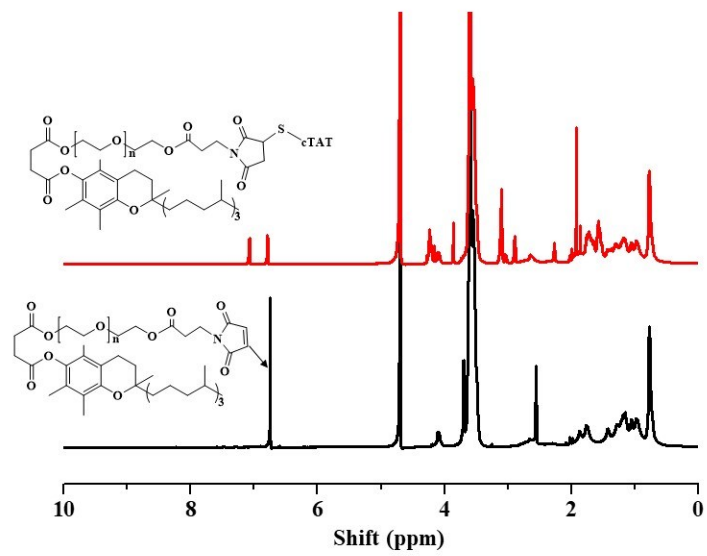


Fig. S1. ¹H NMR of Mal-TPGS and cTAT-TPGS (D₂O)

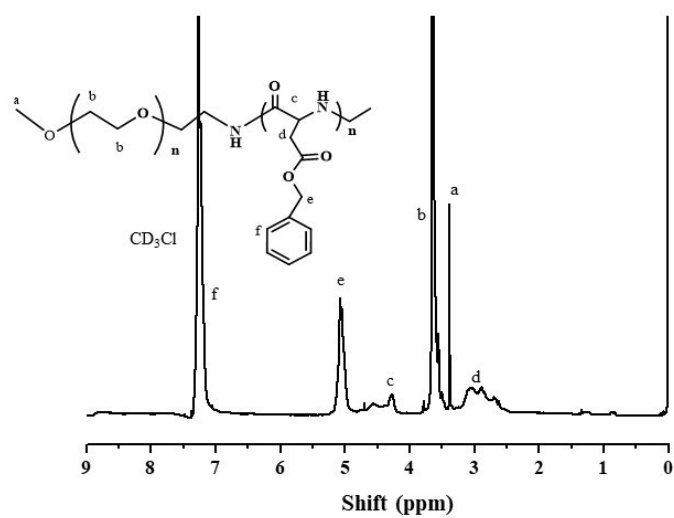


Fig. S2. ¹H NMR of PEG-*b*-Poly(aspartic acid-NCA) (DMSO-*d*₆).

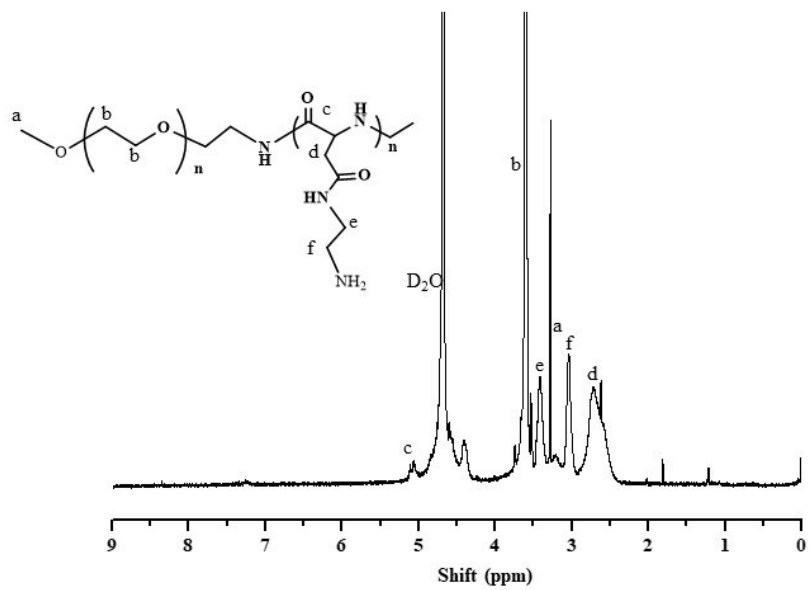


Fig. S3. ¹H NMR of PEG-*b*-Poly(aspartic acid-amine) (D₂O)

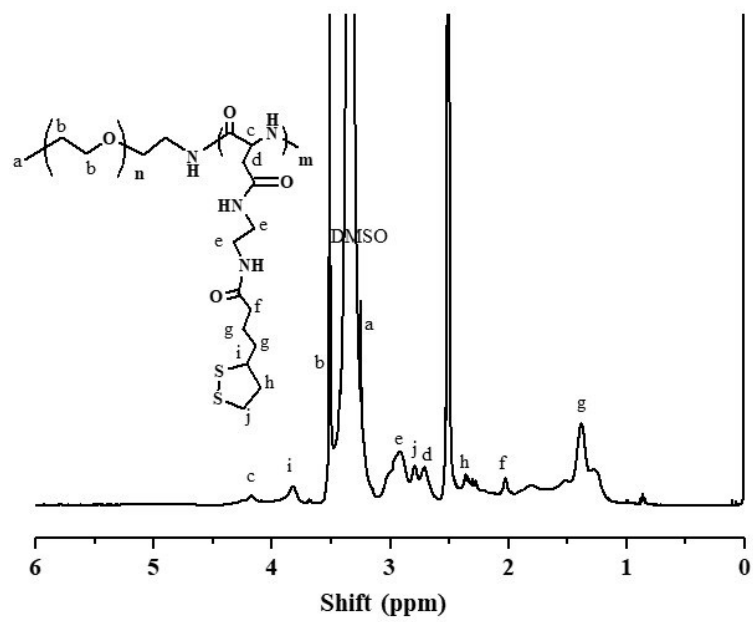


Fig. S4. ¹H NMR of PEG-*b*-Poly(aspartic acid) (DMSO-*d*₆).

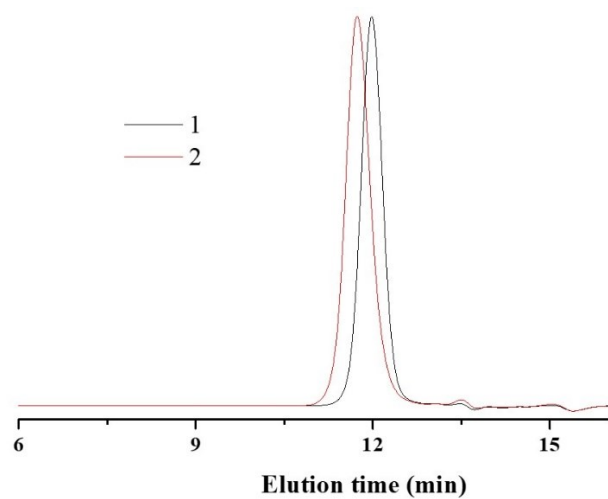


Fig. S5. The GPC traces of Folate-PEG-*b*-Poly(aspartic acid-amine) (1) and Folate-PEG-*b*-Poly(aspartic acid-NCA) (2) in DMF.

Tab. S1 Characteristics of non-crosslinked and crosslinked micelles

	Non-crosslinked micelles			Crosslinked micelles		
	Size (nm)	CMC (mg/L)	DPI	Size (nm)	Zeta potential (mV)	DPI
PPAL	80.3	6.9	0.12	70.2	-4.9	0.12
4:1	65.2	14.8	0.15	53.1	-16.5	0.21
2:1	50.2	14.7	0.30	42.6	-18.8	0.32
cTAT-TPGS	28.6	29.3	0.22		-23.1	

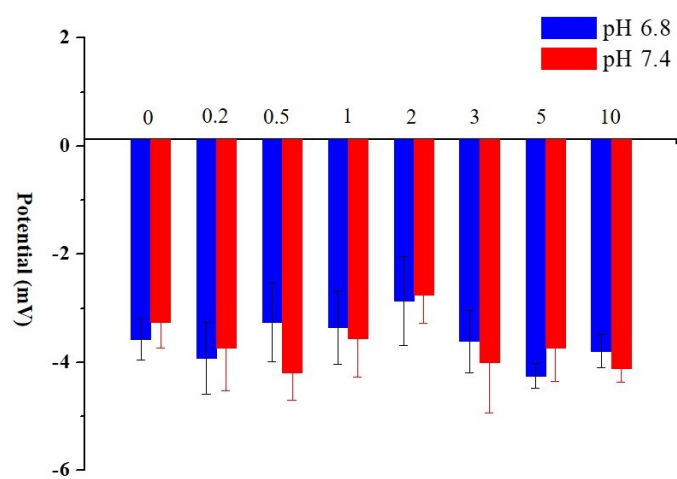


Fig. S6. Zeta-potential change at pH 7.4 and 6.8 of non-crosslinked micelles of PPAL .

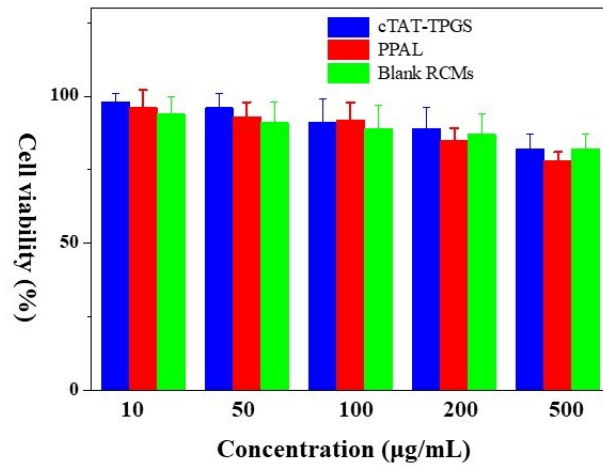


Fig. S7. Cell viabilities of MCF-7/ADR cells treated with different blank micelles groups after 24 h.

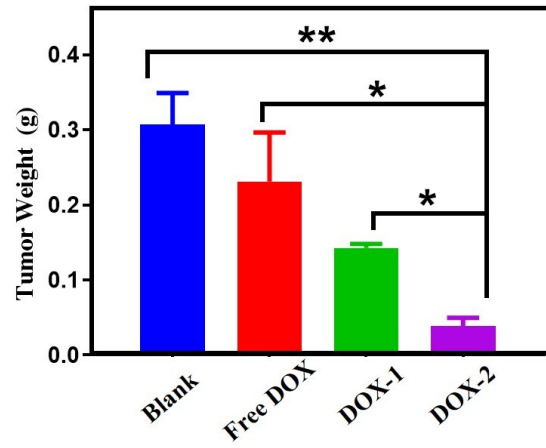


Fig.S8. Body weight changes of nude mice following different treatments within 19 days. 1. Blank RCMs, 2. Free DOX, 3. DOX-1 (PPAL@DOX), 4. DOX-2 (RCMs@DOX). *P < 0.05, **P < 0.01.

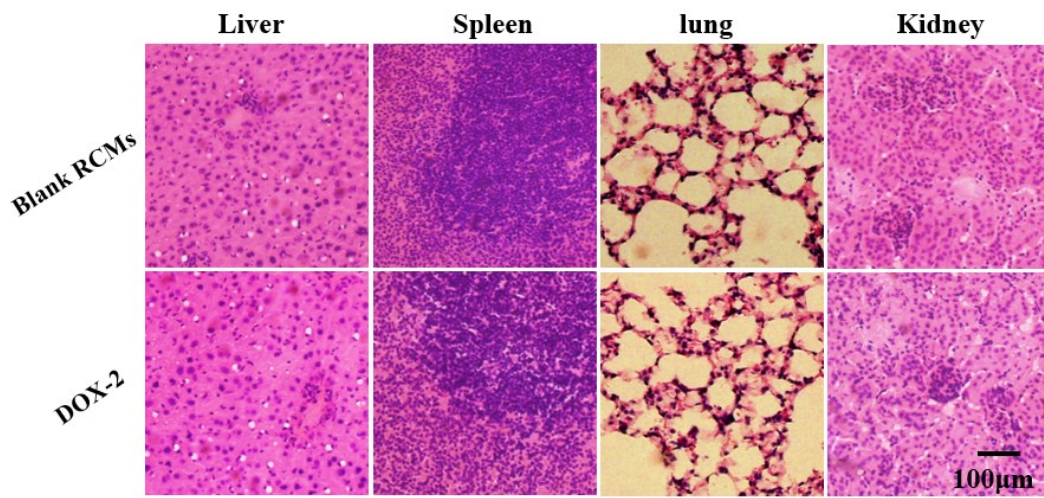


Fig. S9. The images of different tissues with blank RCMs and DOX-2 (RCMs @DOX) observed by the H&E staining.

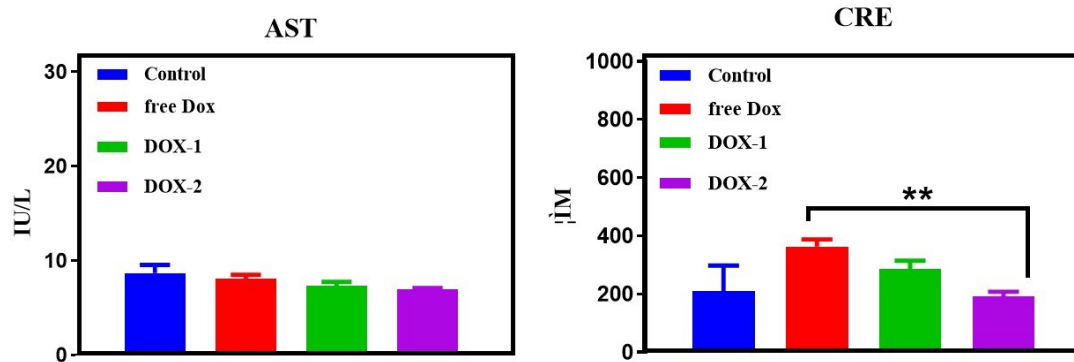


Fig. S10. Changes of aspartate transferase (AST) (A) and creatinine (CRE) (B) after treatment with 1. Blank CM (Blank RCMs), 2. Free DOX, 3. DOX-1 (PPAL@DOX), 4. DOX-2 (RCMs@DOX), respectively. Blood samples were gathered at injection after 15 days. *P < 0.05, **P < 0.01.