

Supplementary Information

Non-triggered sequentially-released liposomes enhance anti-breast cancer efficacy of STS and celastrol-based microemulsion

Ding Qu^{a,b}, Lixiang Wang^a, Yue Qin^b, Mengfei Guo^a, Jian Guo^a, Mengmeng Huang^{a,b},

Yuping Liu^{a,b}, Congyan Liu^{a,b}, Hui Li^c, Yan Chen^{a,b,*}

^a*Affiliated Hospital of Integrated Traditional Chinese and Western Medicine, Nanjing University of Chinese Medicine, Nanjing 210028, China*

^b*Jiangsu Provincial Academy of Traditional Chinese Medicine, Nanjing 210028, China*

^c*Institute of Chinese Materia Medica, China Academy of Chinese Medical Sciences, Beijing 100010, China*

*Corresponding author. Tel.: +86 25 52362155; Email: ychen202@hotmail.com (Y. Chen)

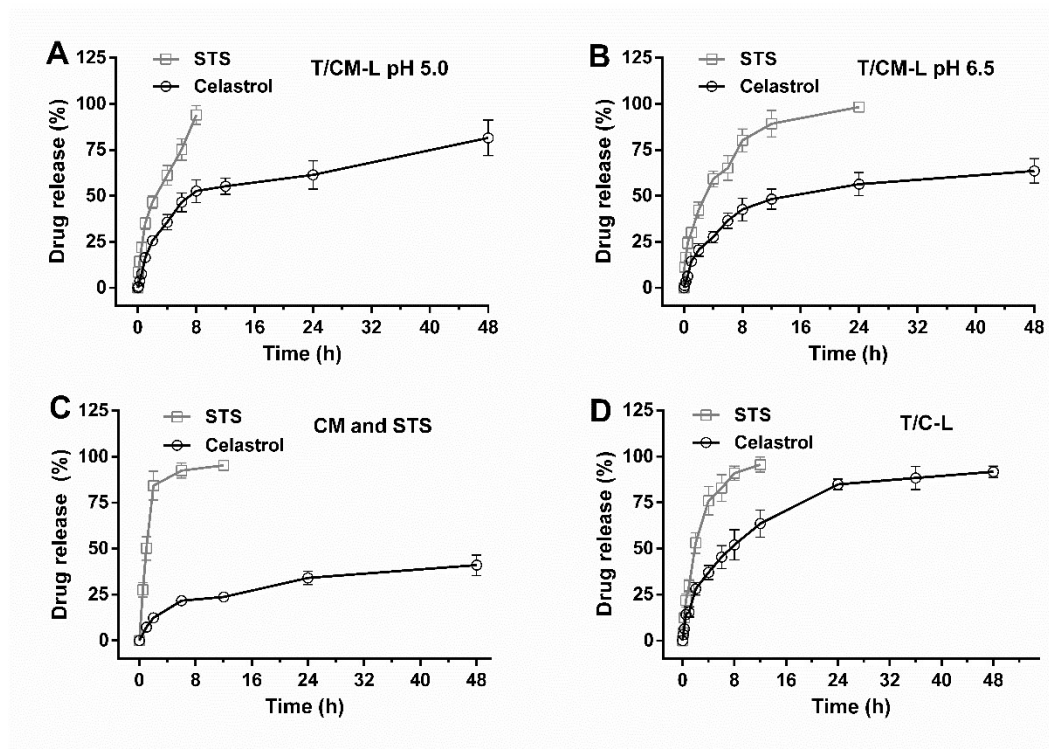


Fig. S1. *In vitro* accumulative drug release of T/CM-L at (A) pH 5.0 and (B) pH 6.5, (C) CM and STS and (D) T/C-L under PBS of pH 7.4 within 48 h. Data are represented as mean \pm SD; n = 3.

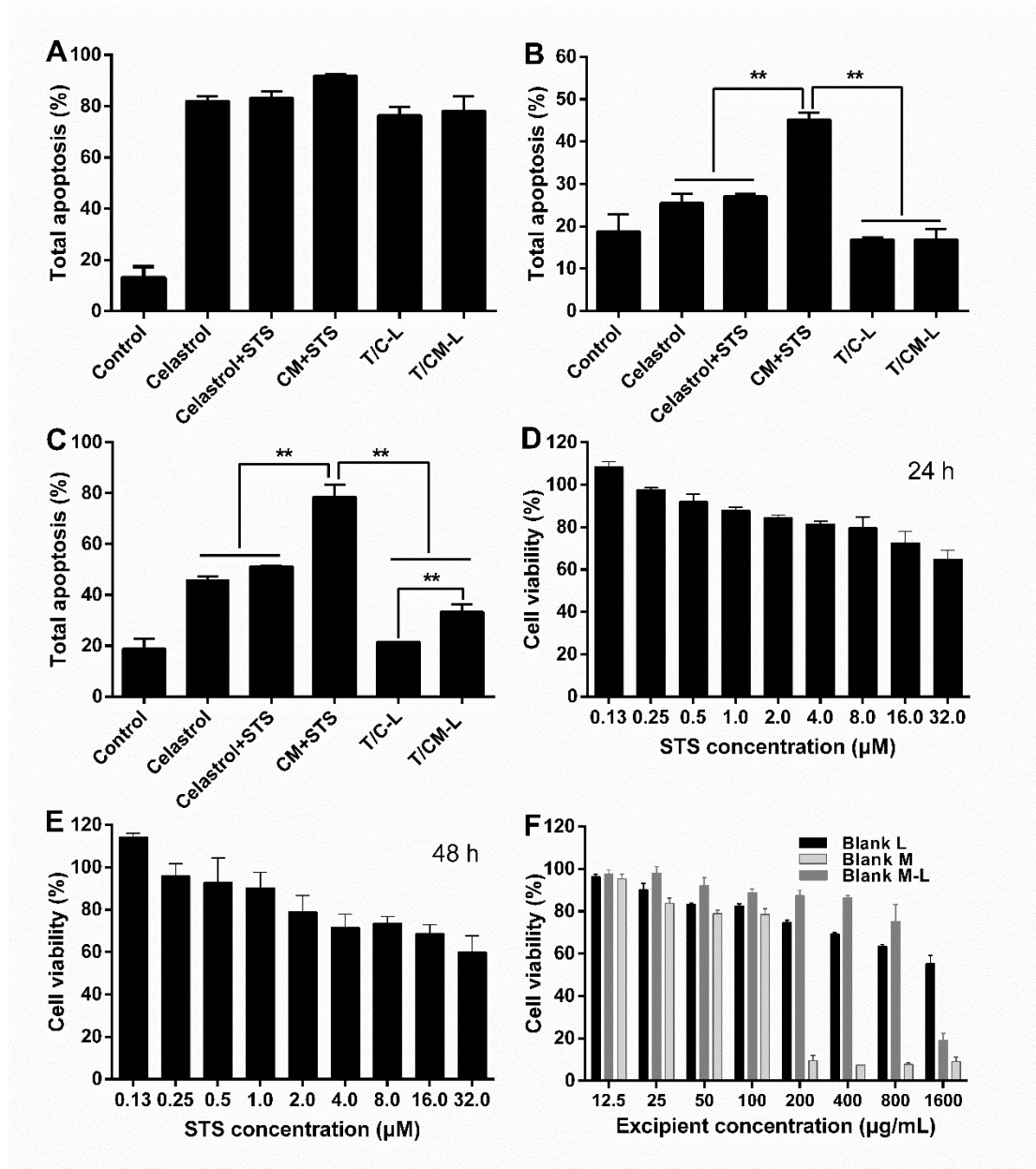


Fig. S2. Cell apoptosis and cytotoxicity. (A) Quantification of apoptosis ratio on MCF-7 cells treated with different formulations at a celastrol concentration of 2.5 $\mu\text{g/mL}$ for 10 h. Quantification of apoptosis ratio on MCF-7 cells treated with different formulations at a celastrol concentration of (B) 0.5 $\mu\text{g/mL}$ and (C) 1.0 $\mu\text{g/mL}$ for 5 h. Data are represented as mean \pm SD; $n = 3$. $**P < 0.01$. Cytotoxicity of STS against MCF-7 cells for (D) 24 h and (E) 48 h. Data are represented as mean \pm SD; $n = 6$. (F) Antiproliferative effect of blank carrier toward L-02 cells for 48 h. Data are represented as mean \pm SD; $n = 6$.

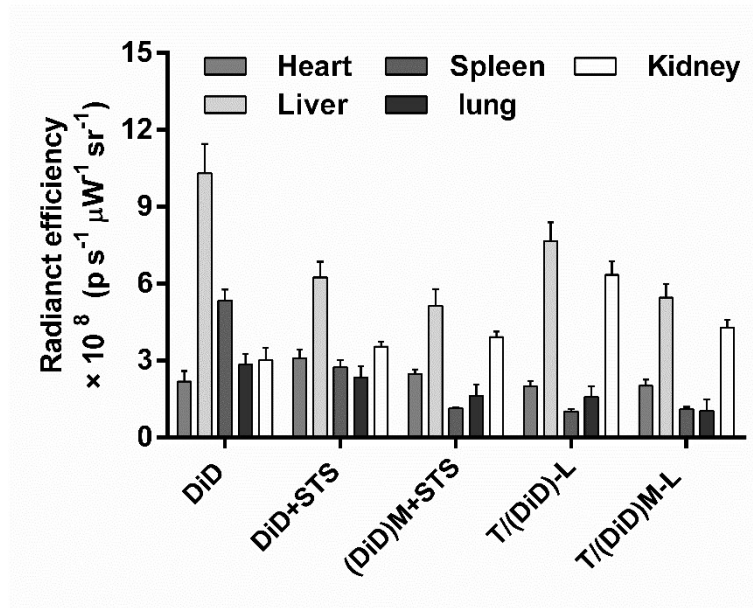


Fig. S3. Quantification of distribution of fluorescence in main normal organs after 24 h of the administration. Data are represented as mean \pm SD; n = 3.

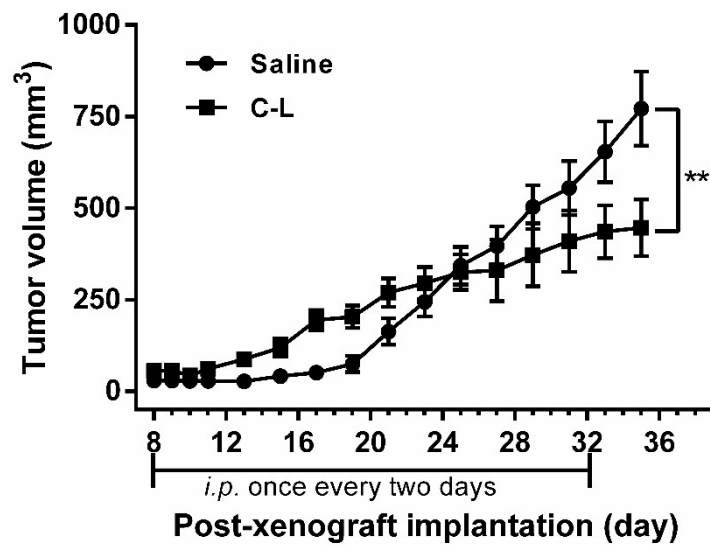


Fig. S4. Curve of the tumor growth of mice treated with C-L during the observation period. Data are represented as mean \pm SD; n = 6. ** P < 0.01.

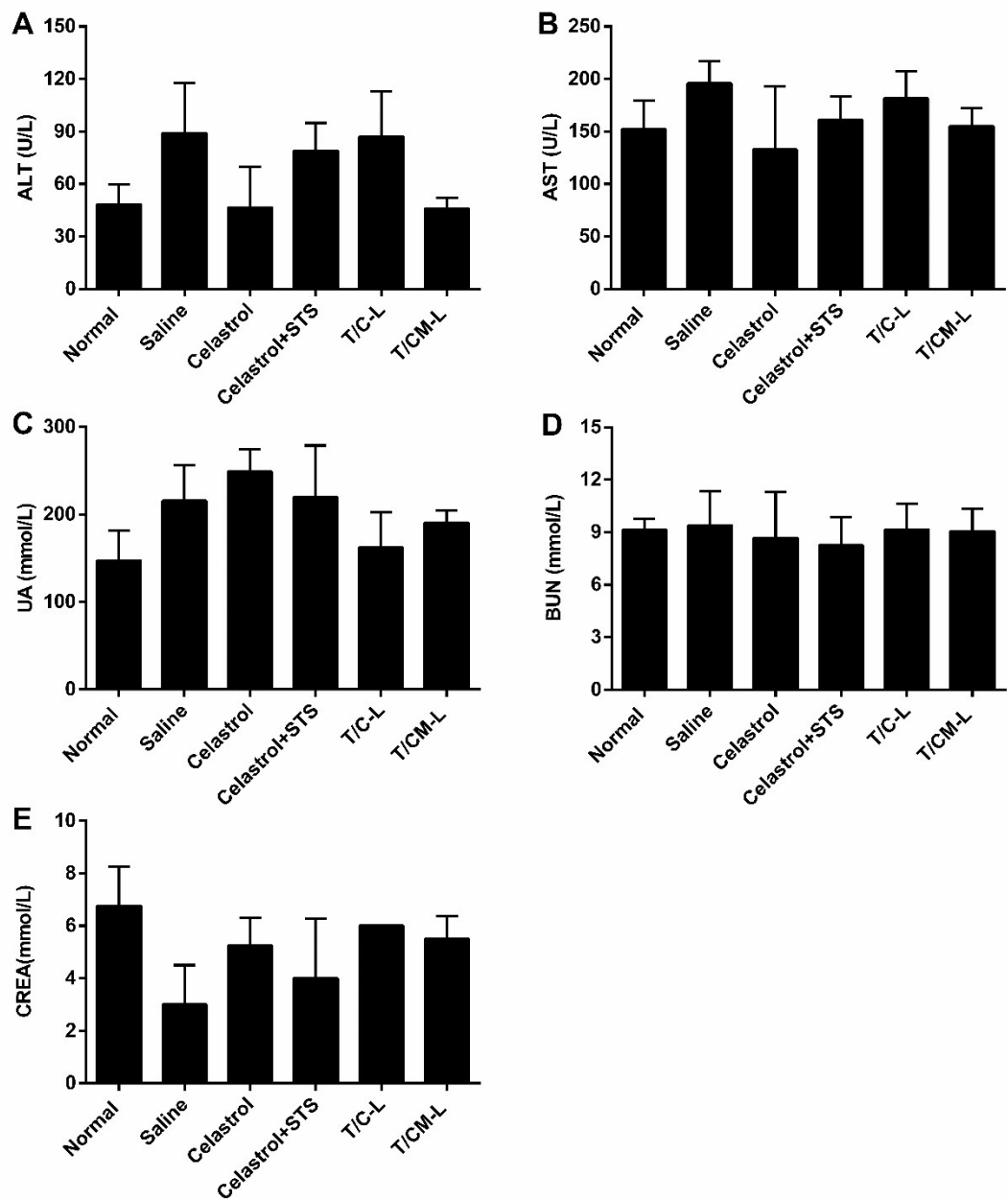


Fig. S5. Evaluation on the liver and kidney function. Serum level of (A) ALT, (B) AST, (C) UA, (D) BUN and (E) CREA of mice after 24 h of the last administration. Data are represented as mean \pm SD; n = 6.