## **Supporting Information**

## Intestinal oligopeptide transporter PepT1-targeted polymeric micelles for further enhancing oral absorption of water-insoluble agents

Yao Jin, Qi Liu, Chuhang Zhou, Xinping Hu, Leqi Wang, Shidi Han, Yuanhang Zhou, Yan

Liu\*

Beijing Key Laboratory of Molecular Pharmaceutics and New Drug Delivery Systems,

School of Pharmaceutical Sciences, Peking University, Beijing 100191, China

\*Corresponding author. Phone: 86 10 82801508; e-mail: yanliu@bjmu.edu.cn



**Fig. S1** (A) The TLC results of Gly-Sar (GS) and Boc-Gly-Sar (BGS) heated with hair dryer (left) and far infrared light (right). BGS was colorless at lower temperature and colored at higher temperature. (B) The TLC results of Boc-Gly-Sar (BGS), PEG (P) and Boc-Gly-Sar-PEG-OH (BGSP). Anisaldehyde (left) and iodine (right) were used as color reagent. (C) The TLC results of Boc-Gly-Sar-PEG-b-PLA (BGSPP) and Gly-Sar-PEG-*b*-PLA (GSPP). Ninhydrin (left) and iodine (right) were used as color reagent.



0.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 ppm

Fig. S2 <sup>1</sup>H-NMR spectrum of mPEG-*b*-PLA in CDCl<sub>3</sub>.



Fig. S3 Plot of  $I_{335}/I_{333}$  vs ln(1/C) of mPEG-b-PLA.



Fig. S4 The apparent zeta potential of C6/PP-PMs (A) and C6/GS-PP-PMs (B).



**Fig. S5** The effect of Gly-Sar on the transmembrane transport of C6/GS-PP-PMs (n=3). <sup>ns</sup>p>0.05.



**Fig. S6** The effect of Gly-Sar on the uptake of C6/GS-PP-PMs by Caco-2 cells. Incubation of C6/GS-PP-PMs and free Gly-Sar with Caco-2 cells for 1 h (A) and 20 min (B). \**p*<0.05.