Electronic Supplementary Material (ESI) for Journal of Materials Chemistry B.

Supplementary Material

Title: Lipoic acid-mediated oral drug delivery system utilizing changes on cell surface thiol expression for the treatment of diabetes and inflammatory disease

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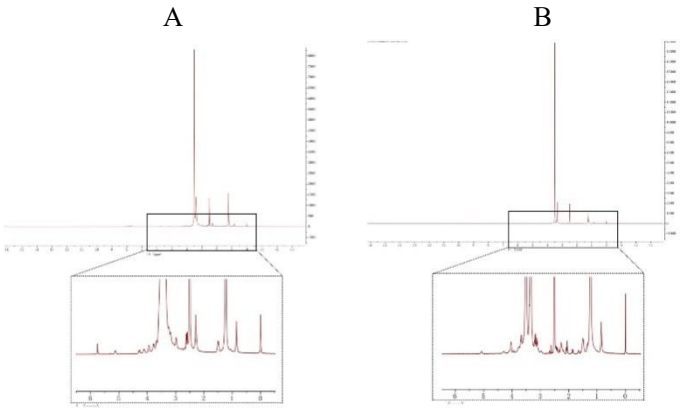

Figure S1. Proton nuclear magnetic resonance spectroscopy of DSPE-PEG-NH_2 (A) and DSPE-PEG-LA (B).

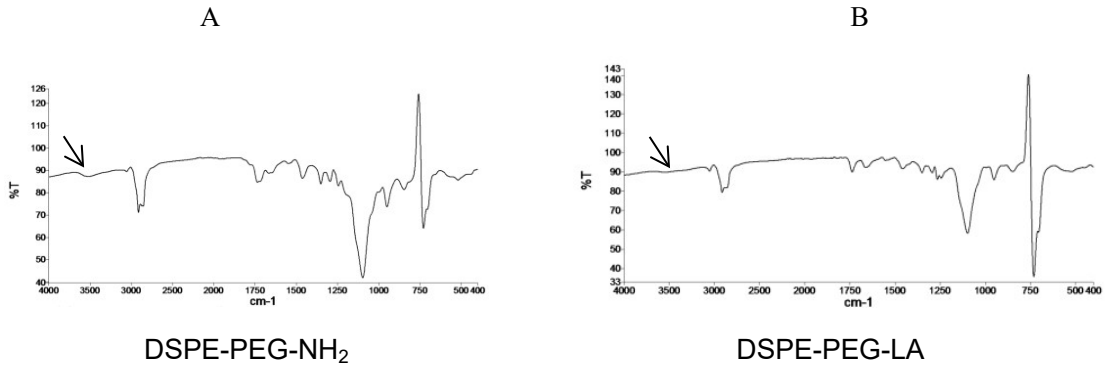

Figure S2. Infrared spectrum DSPE-PEG-NH_2 (A) and DSPE-PEG-LA(B).

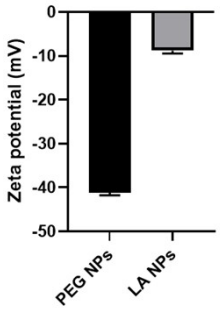

Fig. S3. The zeta potential of PEG NPs and LA NPs.

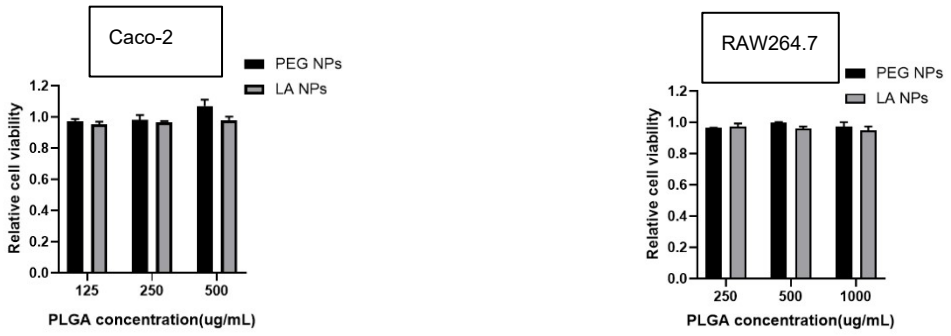

Figure S4. Toxicity of blank NPs on Caco-2 cells and RAW264.7 cells.

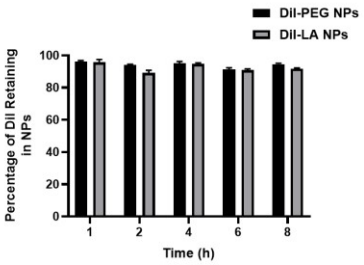

Figure S5. Cumulative amounts of DiI released from DiI-PEG NPs and DiI-LA NPs in PBS (pH 6.8) for 8 h.

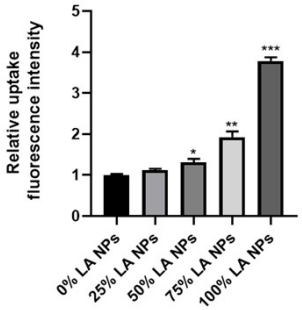

Figure S6. Uptake of LA NPs with different LA modification ratios on Caco-2 cells.

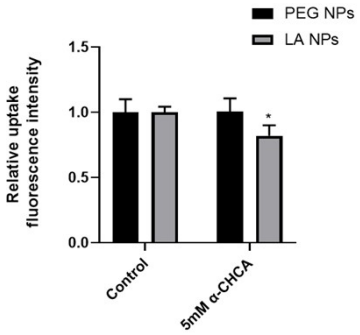

Figure S7. Effect of a broad-spectrum inhibitor of monocarboxylic acid transporter, α-cyanocinnamic acid on the uptake of NPs on Caco-2 cells.

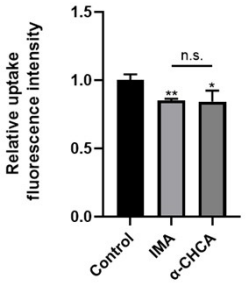

Figure S8. The impact of the thiol oxidant IMA or the monocarboxylate transporter inhibitor α-CHCA on the uptake of LA NPs on Caco-2 cells.

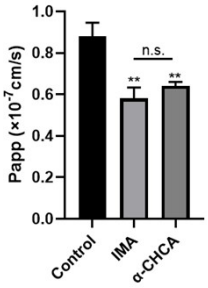

Figure S9. The impact of the thiol oxidant IMA or the monocarboxylate transporter inhibitor α-CHCA on the transcytosis of LA NPs on Caco-2 cells.

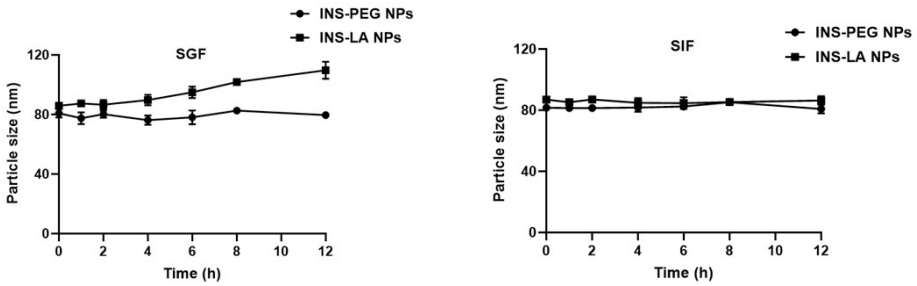

Figure S10. Size change of INS-PEG NPs and INS-LA NPs after incubation with SGF (pH 1.2), SIF (pH 6.8) within 12 h.

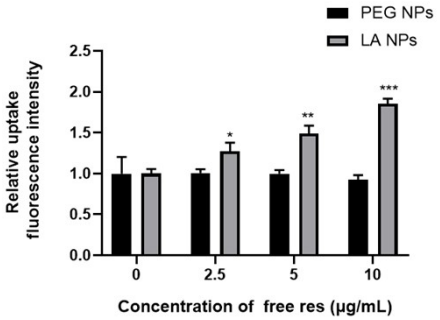

Figure S11. Effect of different concentrations of free resveratrol on the uptake of NPs on RAW264.7 cells.

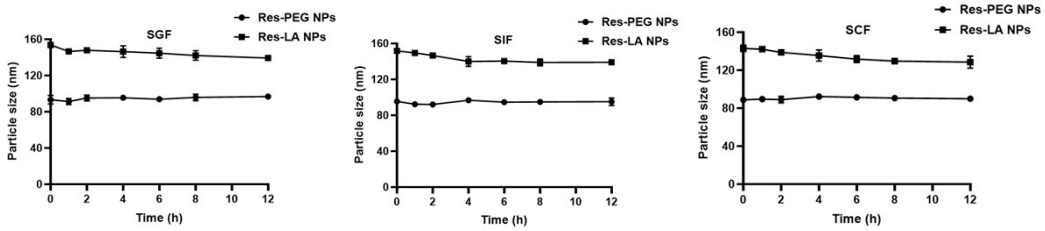

Figure S12. Size change of Res-PEG NPs and Res-LA NPs after incubation with SGF (pH 1.2), SIF (pH 6.8), SCF (pH 7.8) within 12 h.

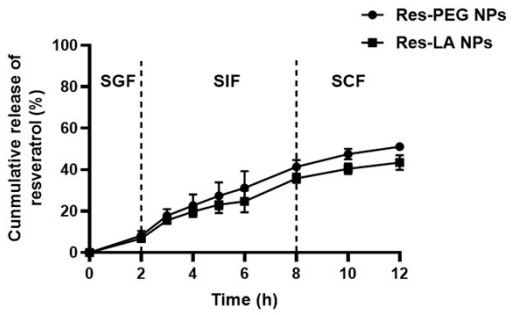

Figure S13. Cumulative amounts of Res released from Res-PEG NPs and Res-LA NPs in SGF (pH 1.2, without enzymes), SIF (pH 6.8, without enzyme) and SCF (pH 7.8, without enzyme).

Parallel group	Group 1	Group 2	Group 3
Actual amino concentration of DSPE-PEG-NH_2 (mg/mL)	0.329	0.322	0.308
Percentage content of DSPE-PEG-LA (w/w)	67.1%	67.8%	69.2%
Average percentage content of DSPE-PEG-LA (Mean ± SD) (w/w)		68.0±0.87%	

Table S1. Indirect determination of the content of DSPE-PEG-LA in the obtaind product by ninhydrin method.

Samples	Size(nm)	PDI	Zeta potential (mV)	EE%	DL%
INS-PEG NPs	91.49±1.61	0.27±0.02	-24.02±0.29	45.71±1.54	9.95±0.20
INS-LA NPs	92.68±2.90	0.28±0.01	-35.33±2.24	43.59±0.26	10.54±0.34
RES-PEG NPs	107.83±2.37	0.28±0.01	-43.90±0.54	51.91±1.02	6.05±1.09
RES-LA NPs	150.47±1.15	0.24±0.01	-11.30±0.86	52.57±1.59	5.93±0.28

Table S2. Size, PDI, zeta potential, encapsulation efficiency and drug loading of insulin-loaded NPs and resveratrol-loaded NPs.

count scores	weight loss (%)	fecal character	blood in stool
0	none	normal	negative
1	1-5		+
2	6-10	semi-loose stool	++
3	10-20		+++
4	>20	loose stools	++++

Table S3. Disease activity index (DAI) scoring criteria for colitis mice model.