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## Supplementary material

## Simple weak-acid derivatives of paclitaxel for remote loading into liposomes and improved therapeutic effect

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Scheme S1 The synthetic route of PTX-SA (A), PTX-GA (B), PTX-DA (C).

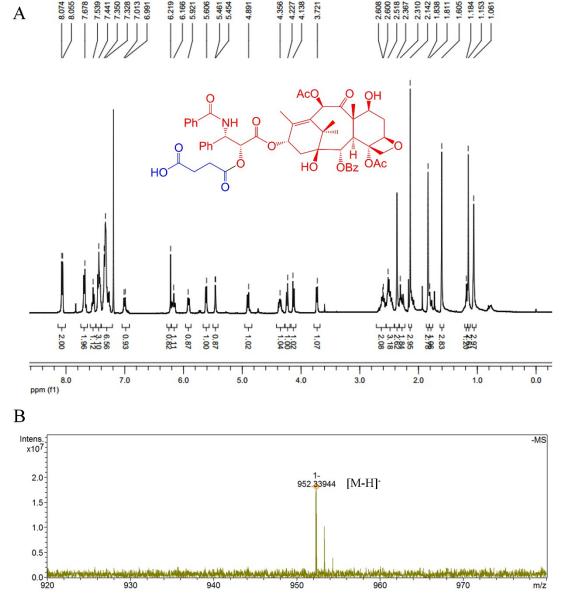


Fig. S1 Structure confirmation of PTX-SA. (A) <sup>1</sup>H NMR, (B) MS.

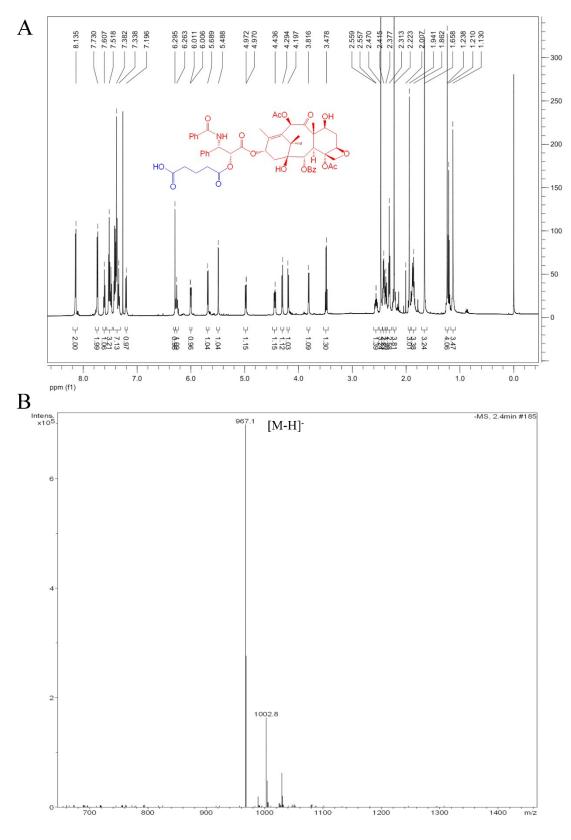


Fig. S2 Structure confirmation of PTX-GA. (A) <sup>1</sup>H NMR, (B) MS.

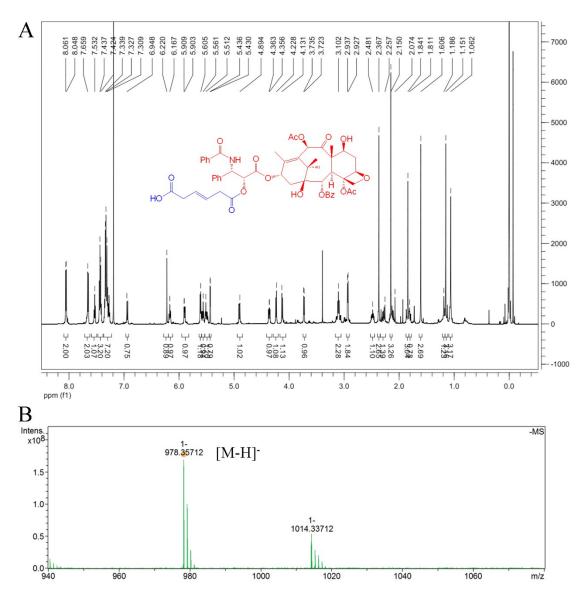
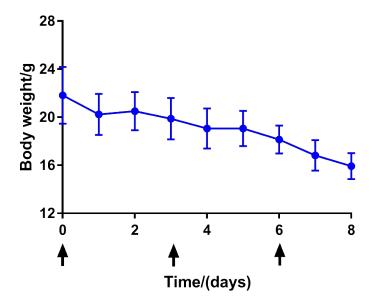


Fig. S3 Structure confirmation of PTX-DA. (A) <sup>1</sup>H NMR, (B) MS.



**Fig. S4** The photograph of non-gradient liposomes (left) and gradient liposomes (right) after drug loading.



**Fig. S5** Body weight changing after intravenously injecting Taxol (30mg/kg) for three times.