

Electronic Supplementary Information

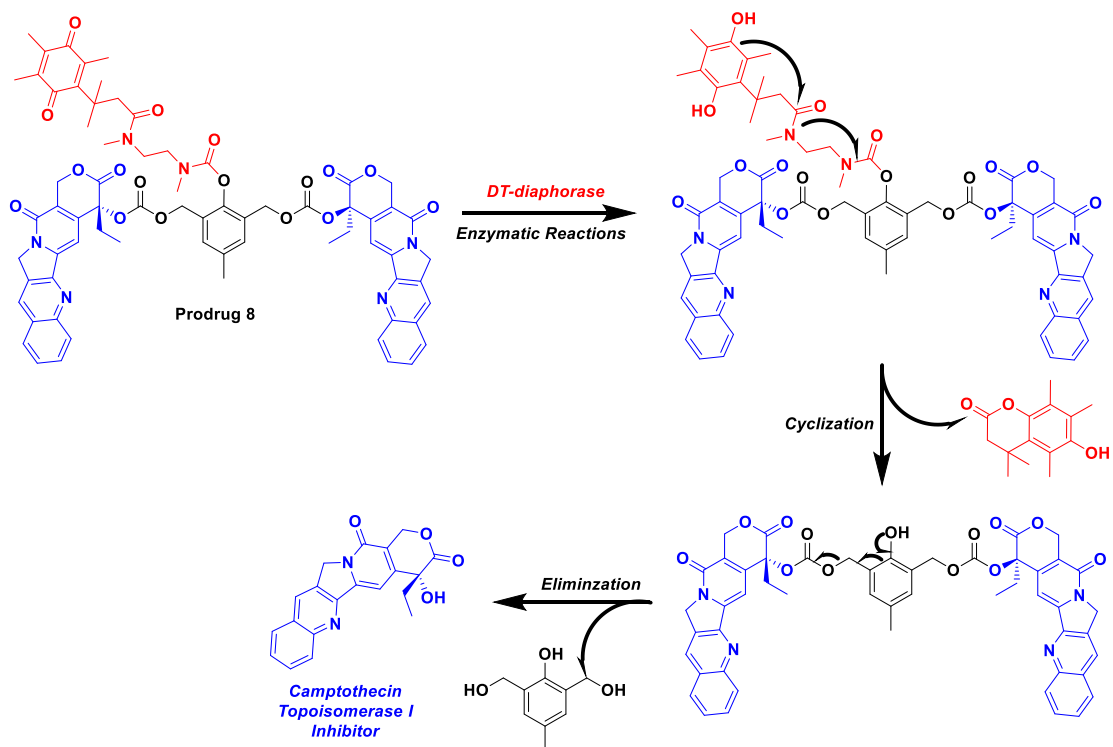
A self-immolative and DT-diaphorase-activatable prodrug for drug-release tracking and therapy

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Scheme S1. The release of active and fluorescent CPT moieties from the prodrug (**8**) as activated by DT-diaphorase.

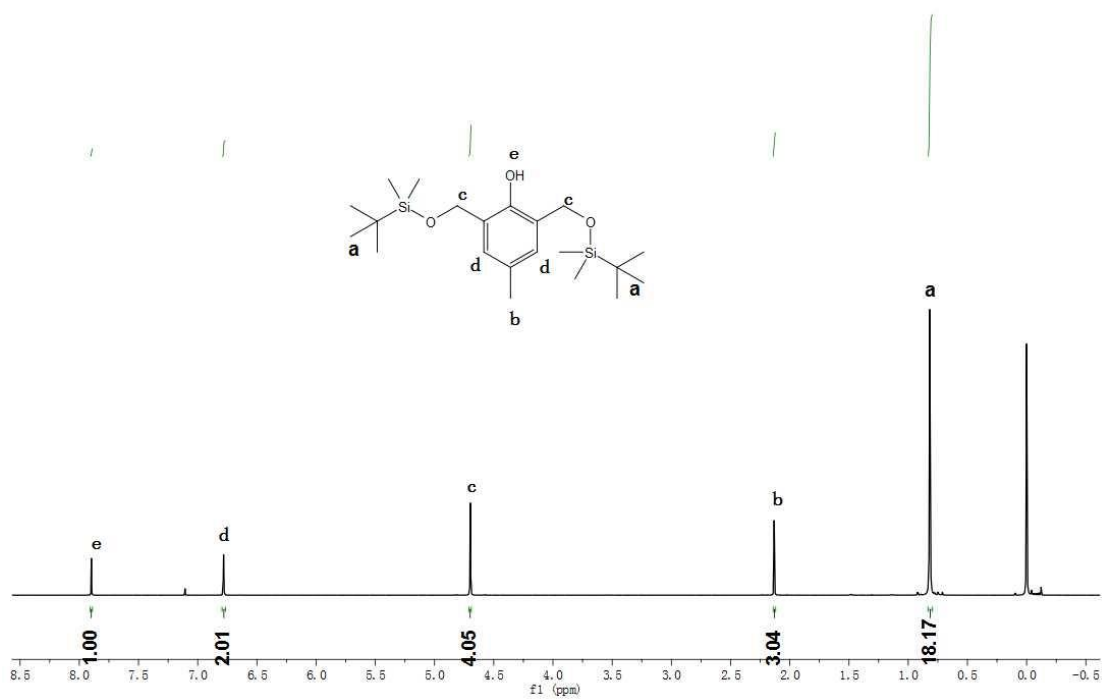


Fig. S1. ¹H NMR spectrum of **4** (in CDCl₃).

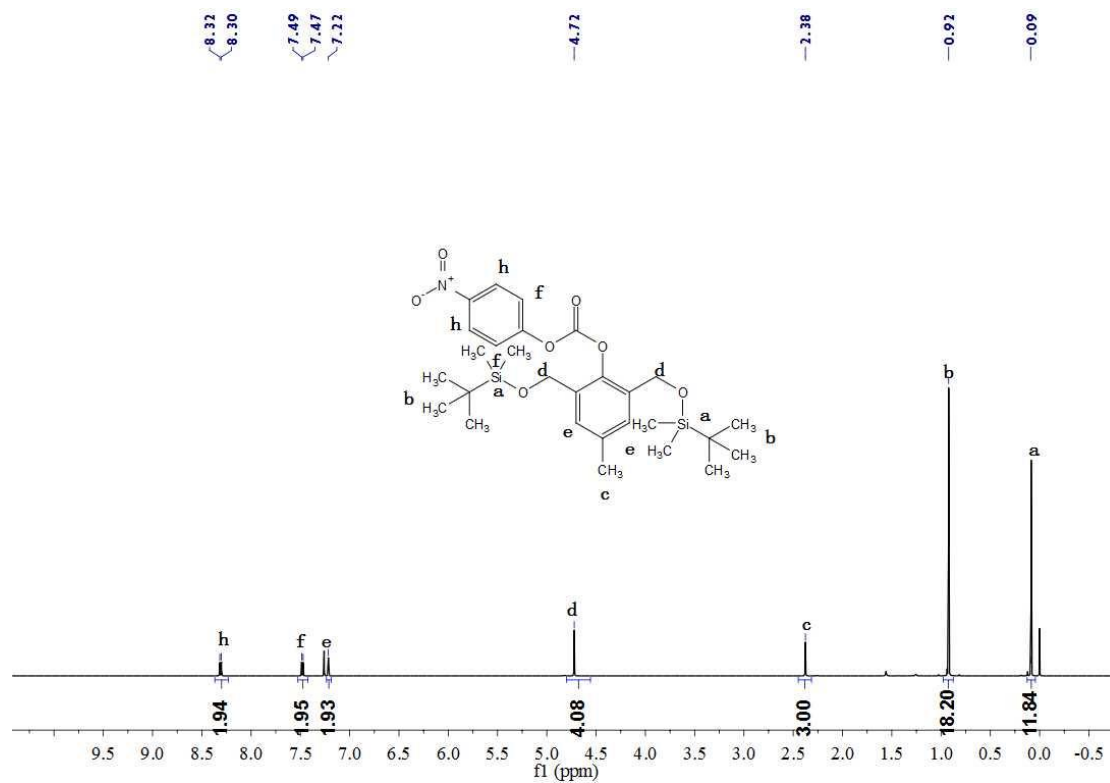


Fig. S2. $^1\text{H NMR}$ spectrum of **5** (in CDCl_3).

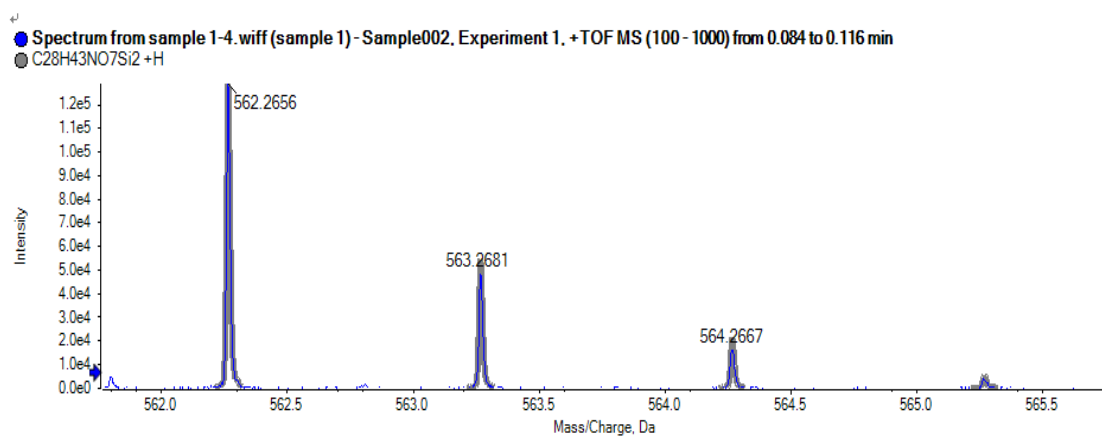


Fig. S3. Mass spectrum of **5**. For **5**: HR-MS (ESI): calcd for $\text{C}_{28}\text{H}_{43}\text{NO}_7\text{Si}_2$ ($[\text{M}+\text{H}]^+$) 562.2651, found: 562.2656.

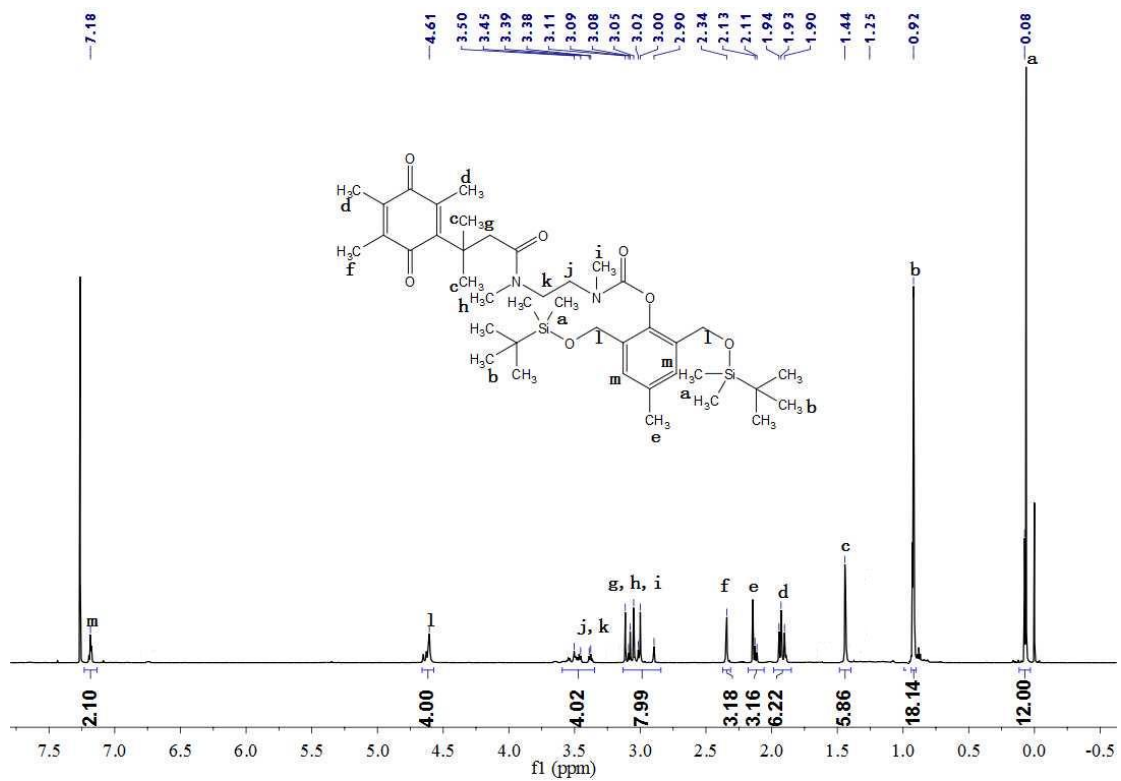


Fig. S4. ^1H NMR spectrum of **6** (in CDCl_3).

● Spectrum from sample 1-5.wiff (sample 1) - Sample003, Experiment 1, +TOF MS (100 - 1000) from 0.083 to 0.115 min
 ● C₄₀H₆₆N₂O₇Si₂ +H

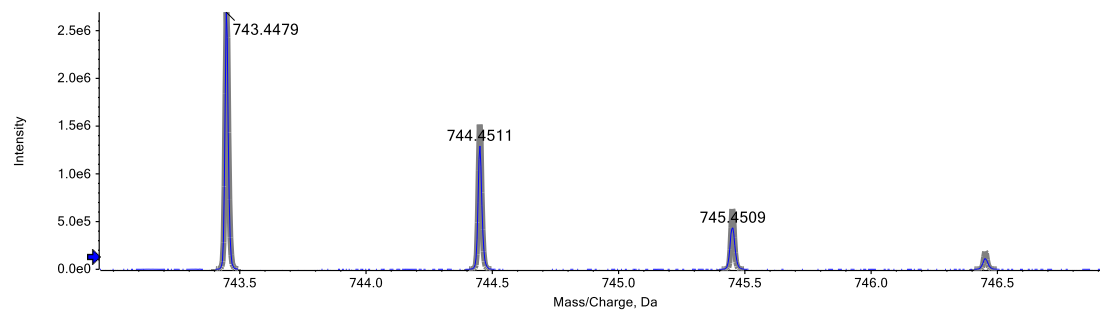


Fig. S5. Mass spectrum of **6**. HR-MS (ESI) calcd for C₄₀H₆₆N₂O₇Si₂ ([M+H]⁺) 743.4481, found:743.4479.

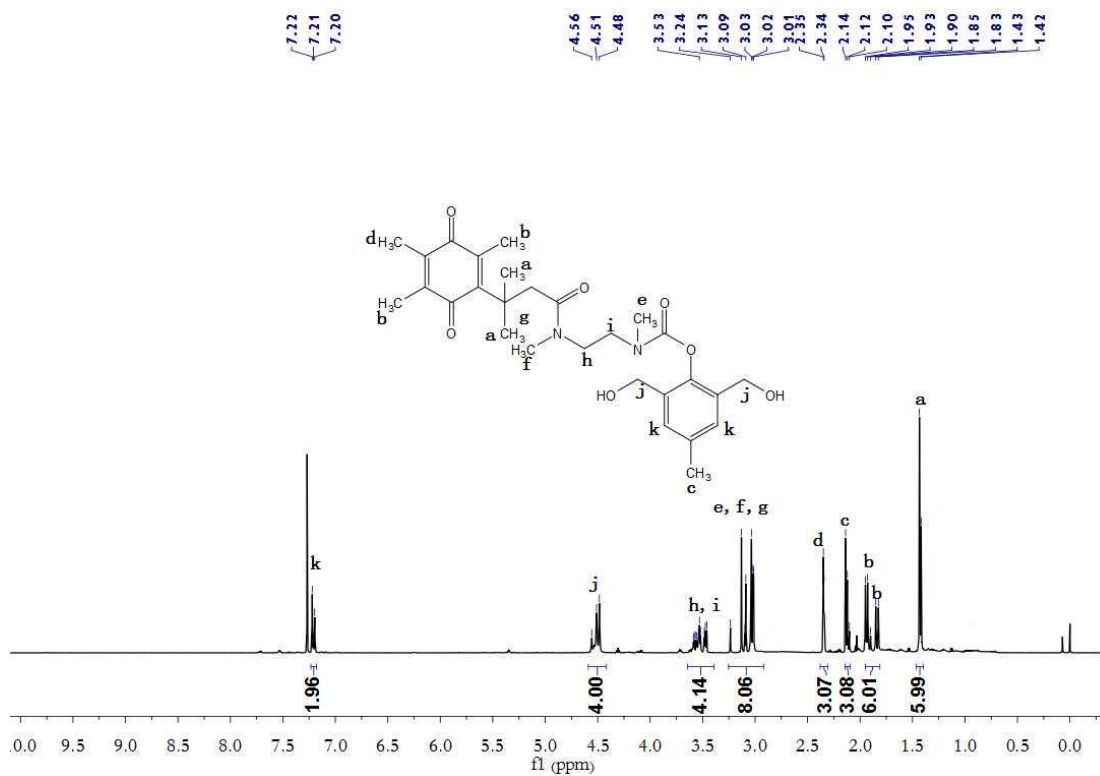


Fig. S6. ¹H NMR spectrum of **7** (in CDCl₃).

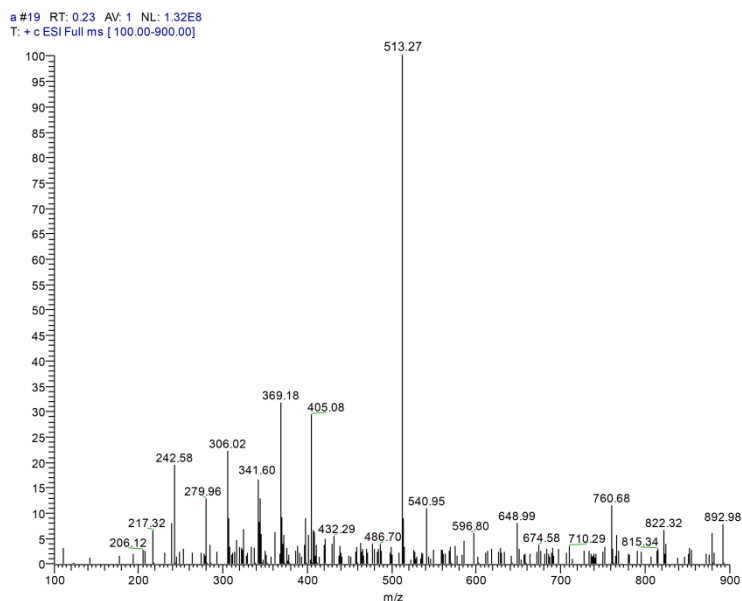


Fig. S7. Mass spectrum of **7**. MS(ESI) m/z : calcd for $C_{28}H_{38}N_2O_7$ ([M]) 514.2679, 513.27[M-H].

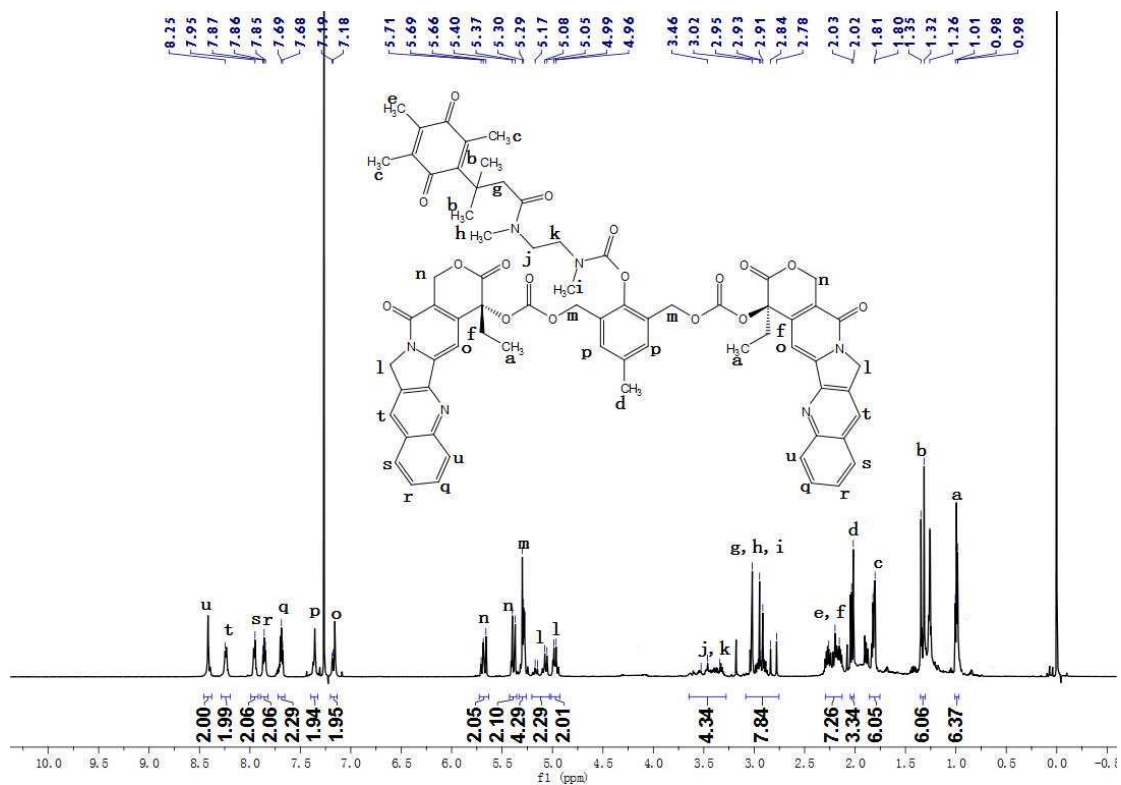


Fig. S8. ^1H NMR spectrum of **8** (in CDCl_3).

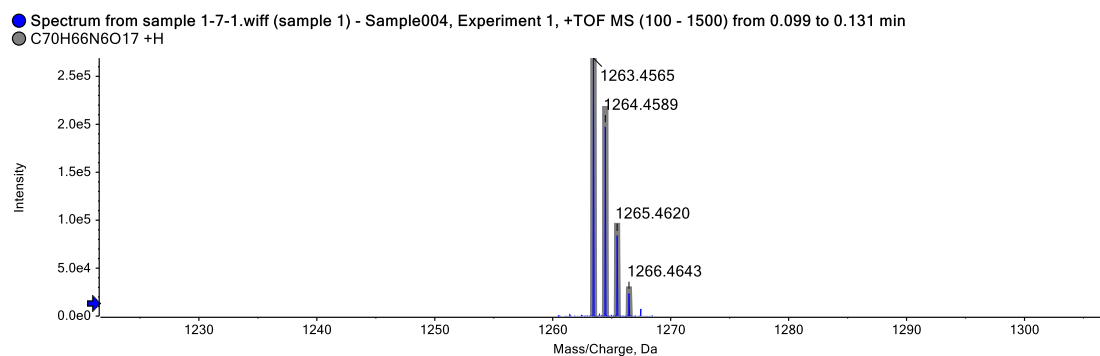


Fig. S9. Mass spectrum of **8**. HR-MS (ESI): calcd for C₇₀H₆₆N₆O₁₇ ([M+H]⁺) 1263.4557, found: 1263.4565.

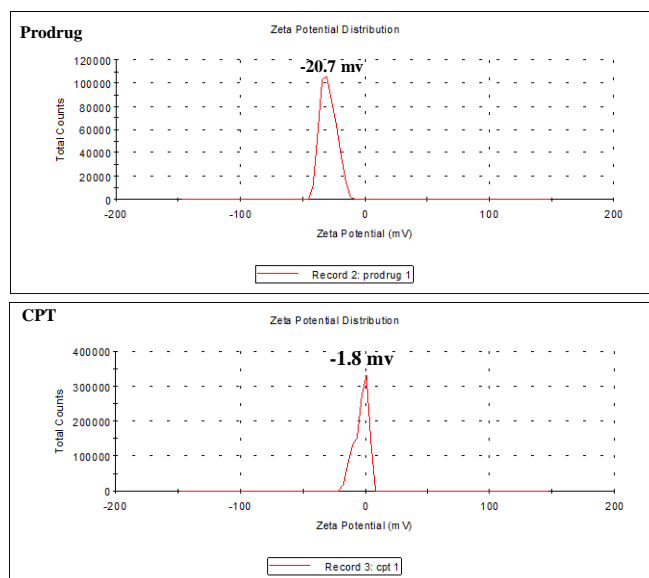


Fig. S10. The zeta potential of the prodrug (5 μM) and CPT (5 μM) in distilled water solution (containing 1% DMSO).

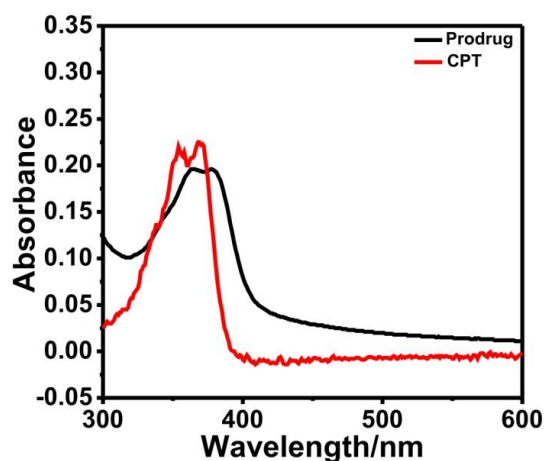


Fig. S11. Absorption spectra of the prodrug (5 μM) and CPT (5 μM) in pH 7.4 PBS buffered water solution (containing 1% DMSO).

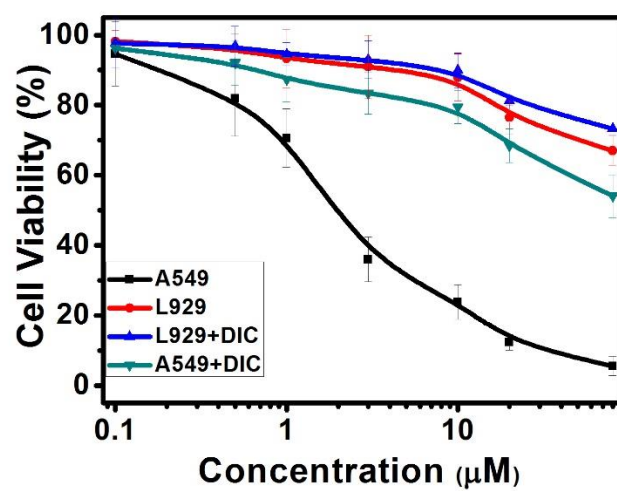


Fig. S12. Cell viabilities for A549 and L929 cell lines upon being co-treated with 15 µM dicoumarol and the prodrug of varied concentrations for 24 h.

Table 1 Solubility of the prodrug and CPT in different solvents ^a

| Compound ^b | Water | Acet | EA | DMSO | DMF | DCM | MeOH |
|-----------------------|-----------------------|------------------|------------------|------------------|------------------|-------------------|------------------|
| Prodrug | Sparingly soluble | Soluble | Soluble | Soluble | Soluble | Soluble | Soluble |
| CPT | Very slightly soluble | Slightly soluble | Slightly soluble | Slightly soluble | Slightly soluble | Sparingly soluble | Slightly soluble |

a: All the solubility data were determined according to U.S. Pharmacopeial Convention (USP) Reference Standards (*Reference Tables / Description and Solubility 1098*), see Appendix 1 below.

b: All measurements were obtained at 20 °C.

Appendix 1 U.S. Pharmacopeial Convention (USP) Reference Standards (*Reference Tables / Description and Solubility 1098*)

| Descriptive Term | Parts of Solvent Required for 1 Part of Solute |
|-------------------------------------|---|
| Very soluble | Less than 1 |
| Freely soluble | From 1 to 10 |
| Soluble | From 10 to 30 |
| Sparingly soluble | From 30 to 100 |
| Slightly soluble | From 100 to 1000 |
| Very slightly soluble | From 1000 to 10000 |
| Practically insoluble, or Insoluble | 10000 and over |