Electronic Supplementary Material (ESI) for Polymer Chemistry.
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Fully synthetic macromolecular prodrug chemotherapeutics with EGFR targeting and controlled camptothecin release kinetics

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Supporting Information

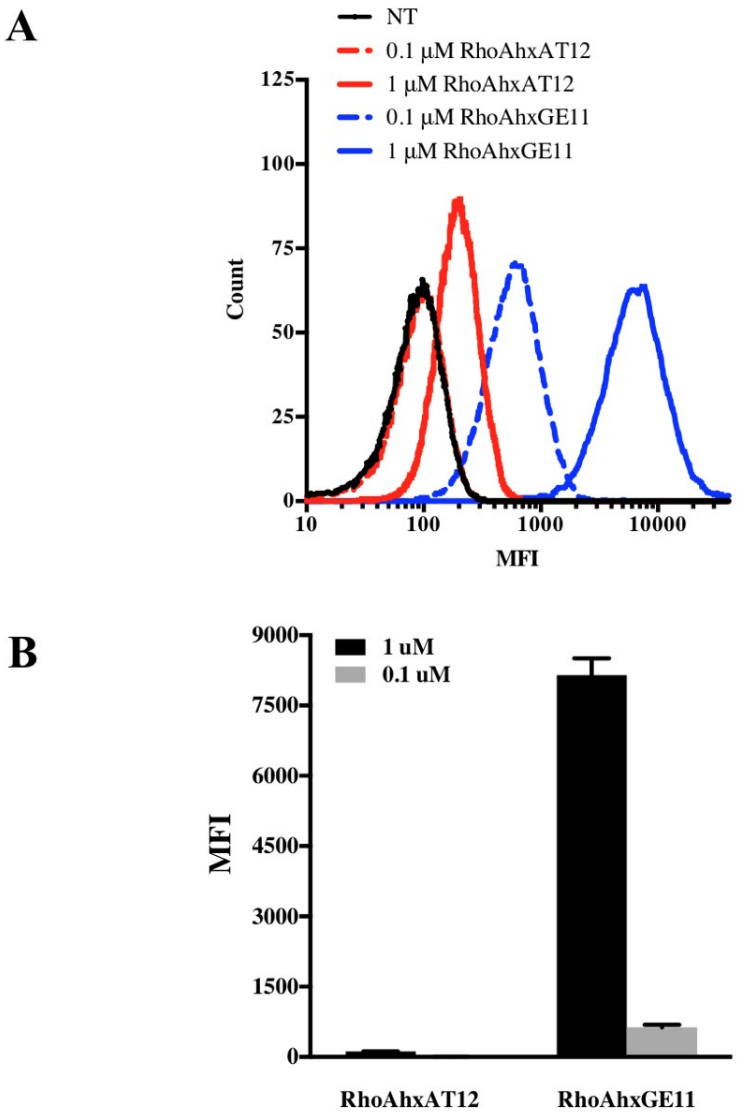


Figure S1: The GE11 peptide targets SKOV3 ovarian cancer cells. GE11 was synthesized with a six carbon spacer (aminohexanoic acid (Ahx)) and N-terminal rhodamine B fluorescent label (RhoAhxGE11). As a control, the unrelated peptide AT12 was also synthesized with Ahx and rhodamine B (RhoAhxAT12). SKOV3 cells were incubated RhoAhxGE11 RhoAhxAT12 at concentrations of 0.1 µM and 1 µM for 30 minutes at 4 °C. Cells were then washed, trypsinized,

and analyzed by flow cytometry for peptide binding. At 0.1 μM, RhoAhxGE11 bound to cells at a 158-fold higher level than RhoAhxAT12, whose binding was nearly undetectable. At 10 μM, RhoAhxGE11 binding was 70-fold higher than RhoAhxAT12. These results validate the ability of GE11 to target SKOV3 cells.

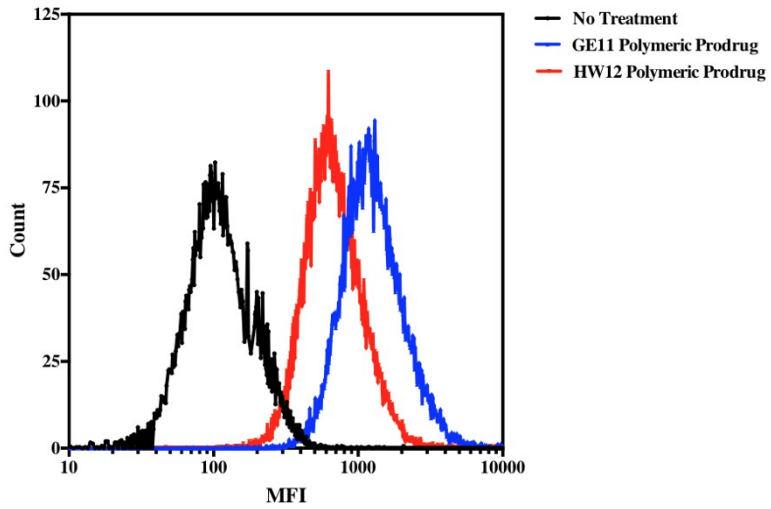
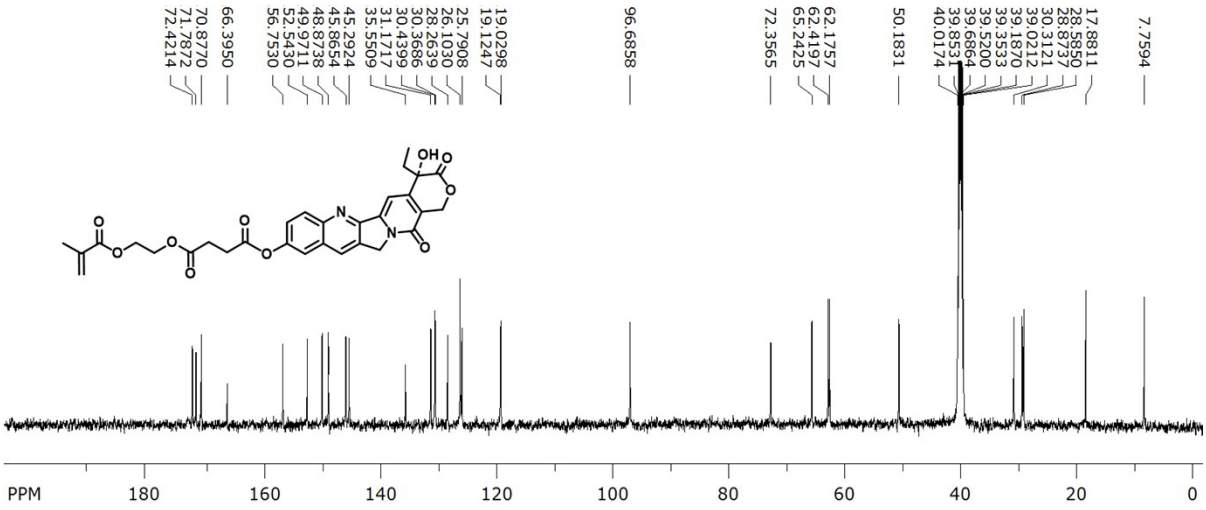
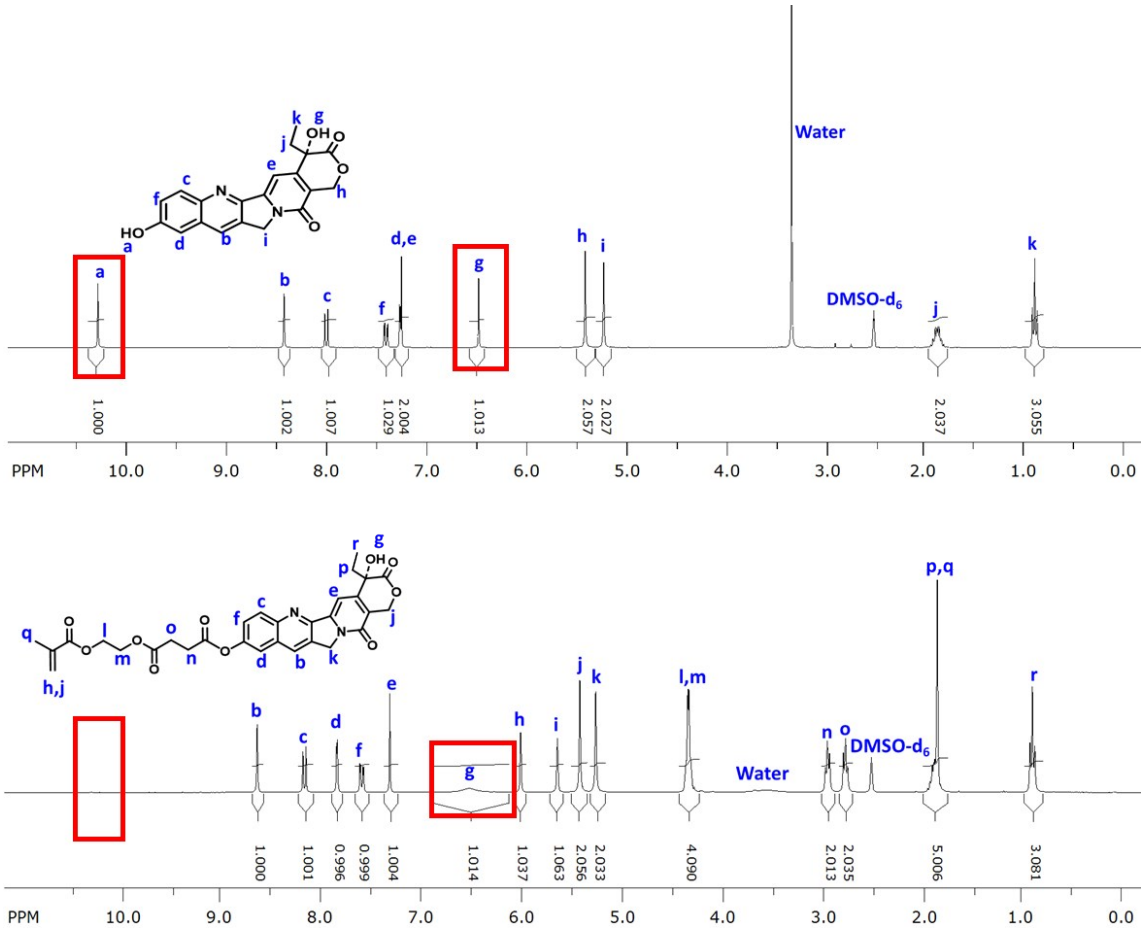


Figure S2. GE11 enhances SKOV3 targeting of the polymeric camptothecin prodrug. To measure the targeting effect of GE11 within the context of the diblock polymeric prodrug system, SKOV3 cells were incubated with the fluorescently labeled GE11-targeted prodrug polymer or the HW12 control at a concentration of 1 μM polymer for 15 min at 37 °C. Cells were then washed, trypsinized and polymer binding was measured by flow cytometry. The GE11-targeted polymer bound at approximately 2-fold higher levels than the HW12 polymer. This targeting effect is consistent with the increase in cytotoxic activity observed in Figure X.

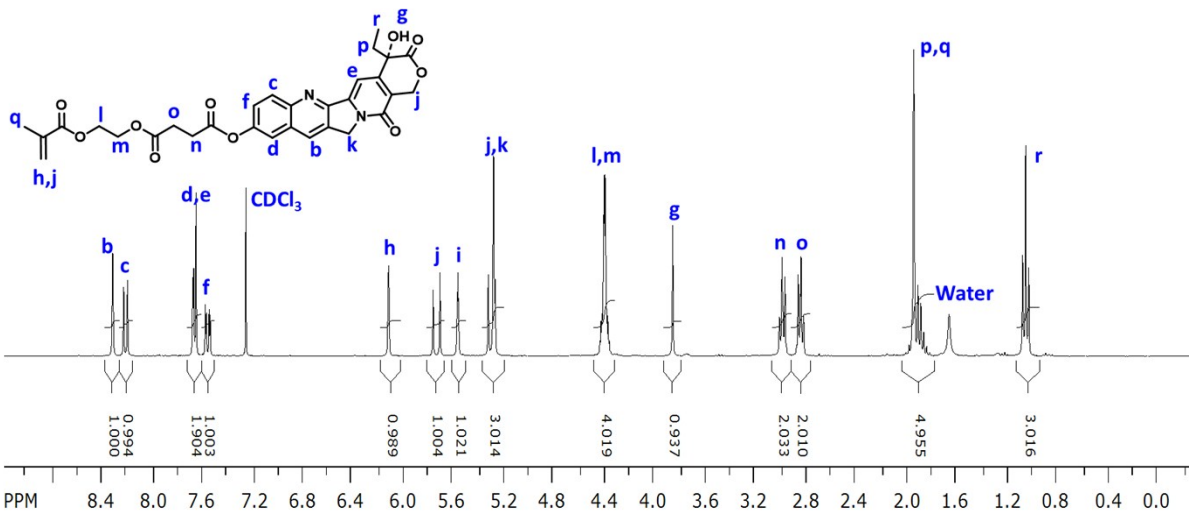


13C NMR (125MHz, DMSO-d_6, ppm): δ 172.4, 171.8, 170.9, 166.4, 156.8, 152.5, 150.0, 148.9, 145.9, 145.3, 135.6, 131.2, 130.4, 128.3, 126.1, 125.8, 119.1, 119.0, 96.7, 72.4, 65.2, 62.4, 62.2, 50.2, 30.3, 28.9, 28.6, 17.9, 7.6

Figure S3. 13C NMR of 10-hydroxyCam-SMA in DMSO-d6.



^{1}H NMR spectrums of 10-Cam (top) and 10-Cam-SMA (bottom) in DMSO-d_6.



^{1}H NMR spectrum of 10-Cam-SMA in $CDCl_3$