

Supporting information

Enhanced drug toxicity by conjugation of platinum drugs to polymers with guanidine containing zwitterionic functional groups that mimic cell-penetrating peptides

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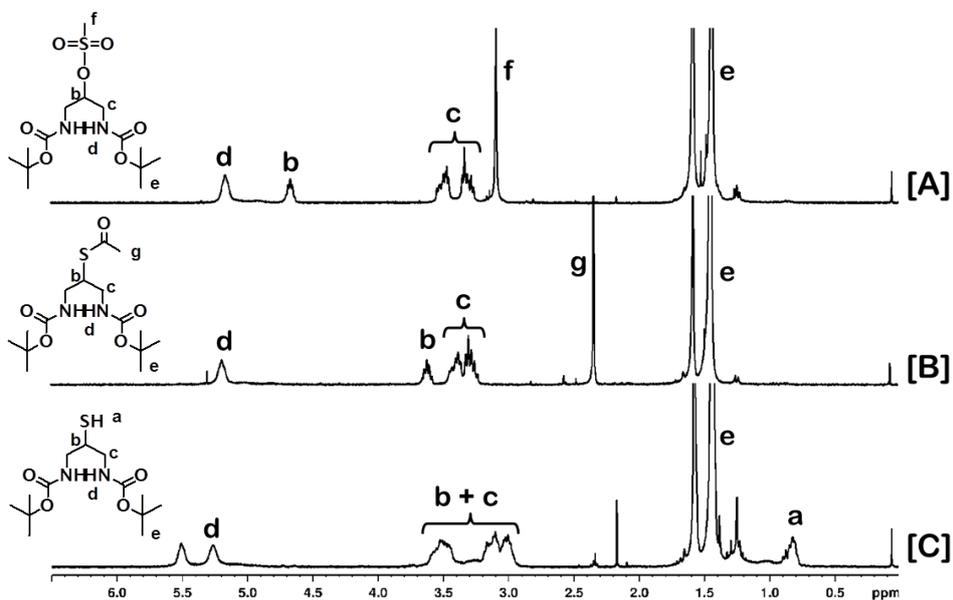


Figure S1 ^1H NMR of SH-DAP-BOC in CDCl_3 . [A] MS-DAP-BOC, [B] thiolacetyl-DAP-BOC and [C] SH-DAP-BOC.

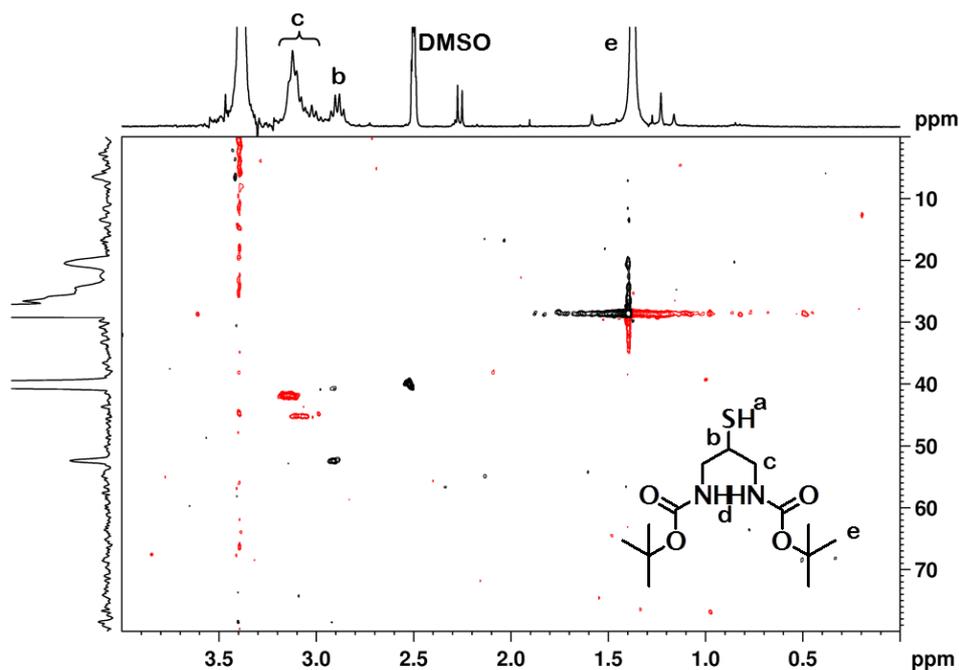


Figure S2 2D NMR (HSQC) of SH-DAP-BOC in DMSO-d_6

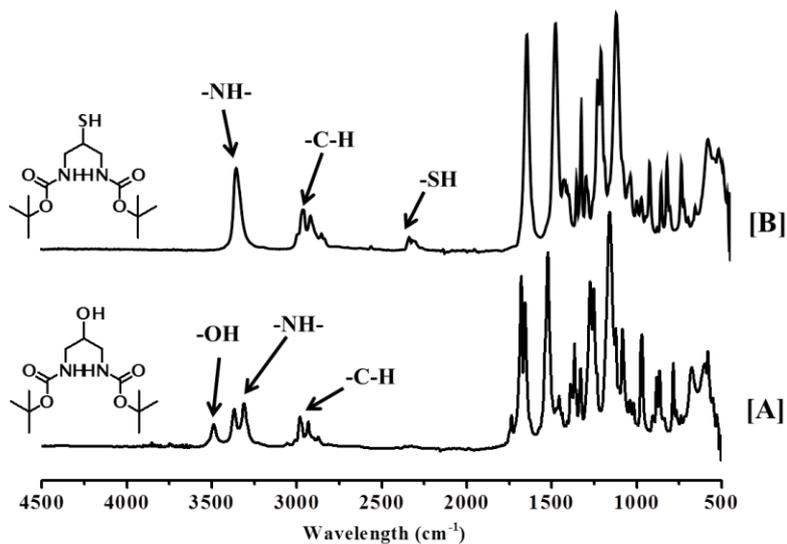


Figure S3 FT-IR spectrum of modification of hydroxyl functional group of DAP-BOC [A] into free-thiol moiety, SH-DAP-BOC [B].

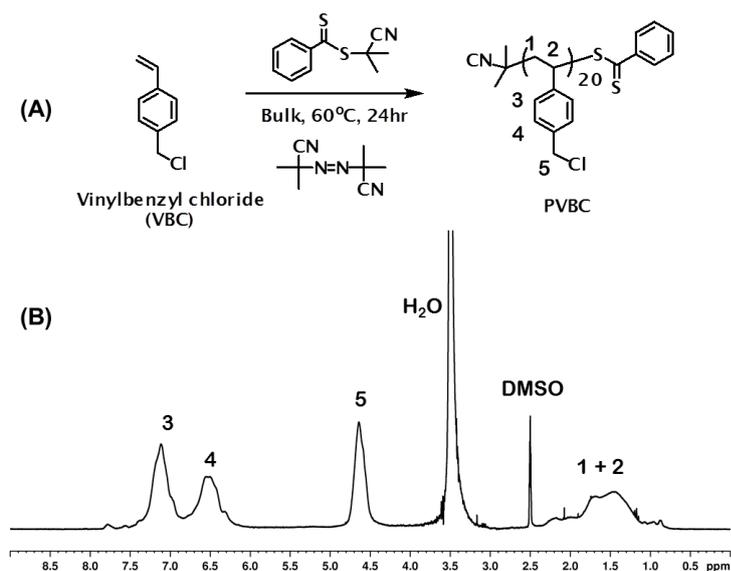


Figure S4 Reaction scheme of RAFT polymerisation [A] and the ^1H NMR of pure PVBC in DMSO-d_6

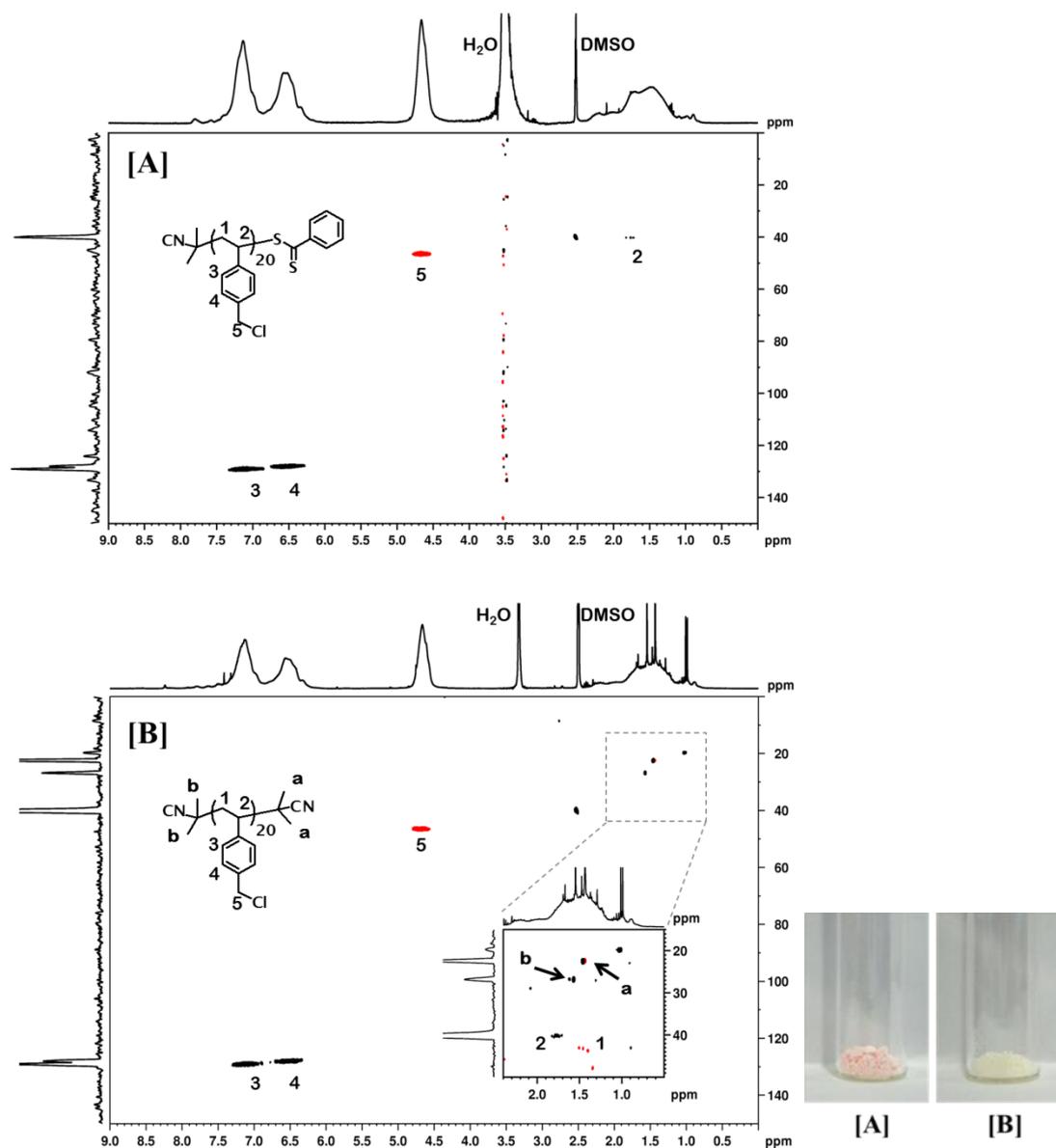


Figure S5 HSQC of before [A] and after [B] RAFT-endgroup removal

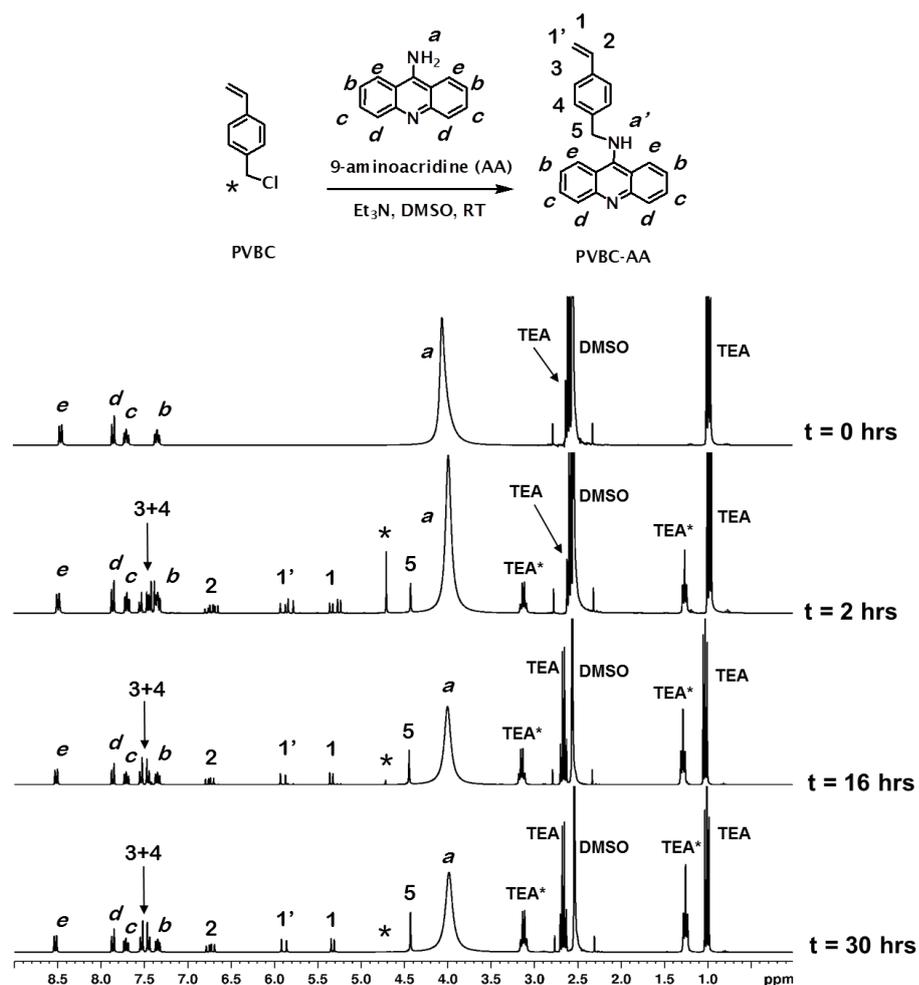


Figure S6 Attachment of intercalating agent, 9-aminoacridine (AA), on vinylbenzyl chloride (VBC) monomer in the presence of triethylamine (TEA) in DMSO-d₆ over 30 hours at ambient temperature. TEA = Et₃N, TEA* = Et₃NH⁺Cl salt; the spectra at t=0 shows AA only

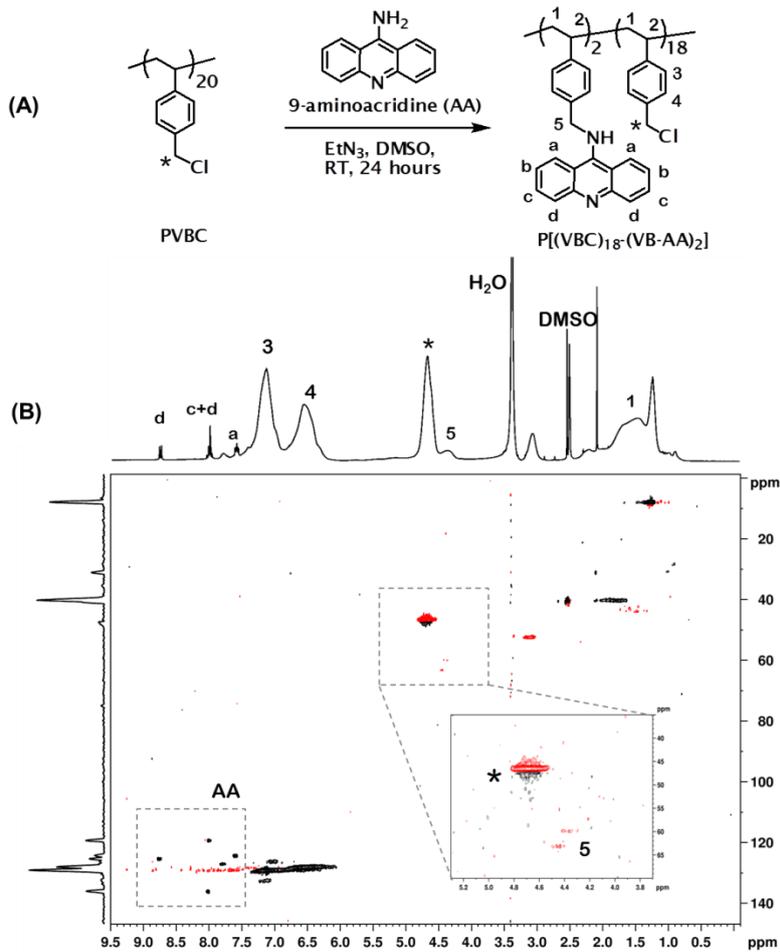


Figure S7 2D HSQC NMR in DMSO- d_6 of PVBC after reaction with the intercalating agent, 9-aminoacridine (AA), $P[(VBC)_{18}\text{-}(VB-AA)_2]$

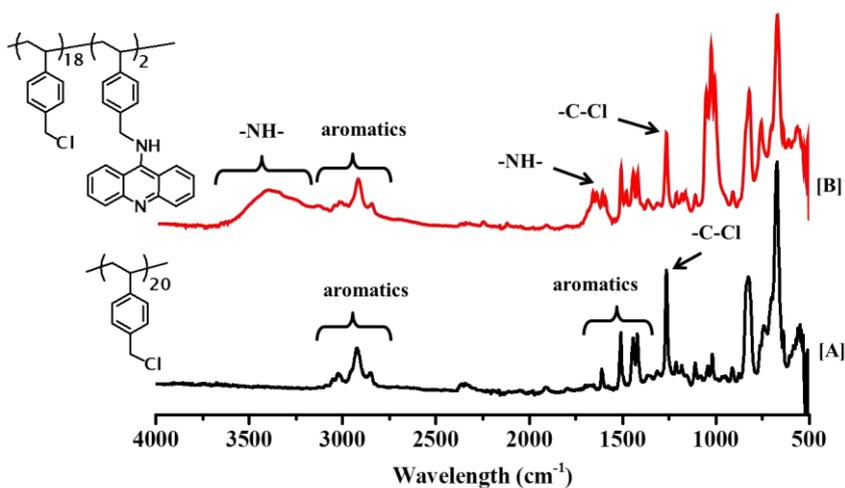


Figure S8 FT-IR spectra of PVBC [A] and PVBC attached 9-aminoacridine, $P[(VBC)_{18}\text{-}(VB-AA)_2]$ [B]

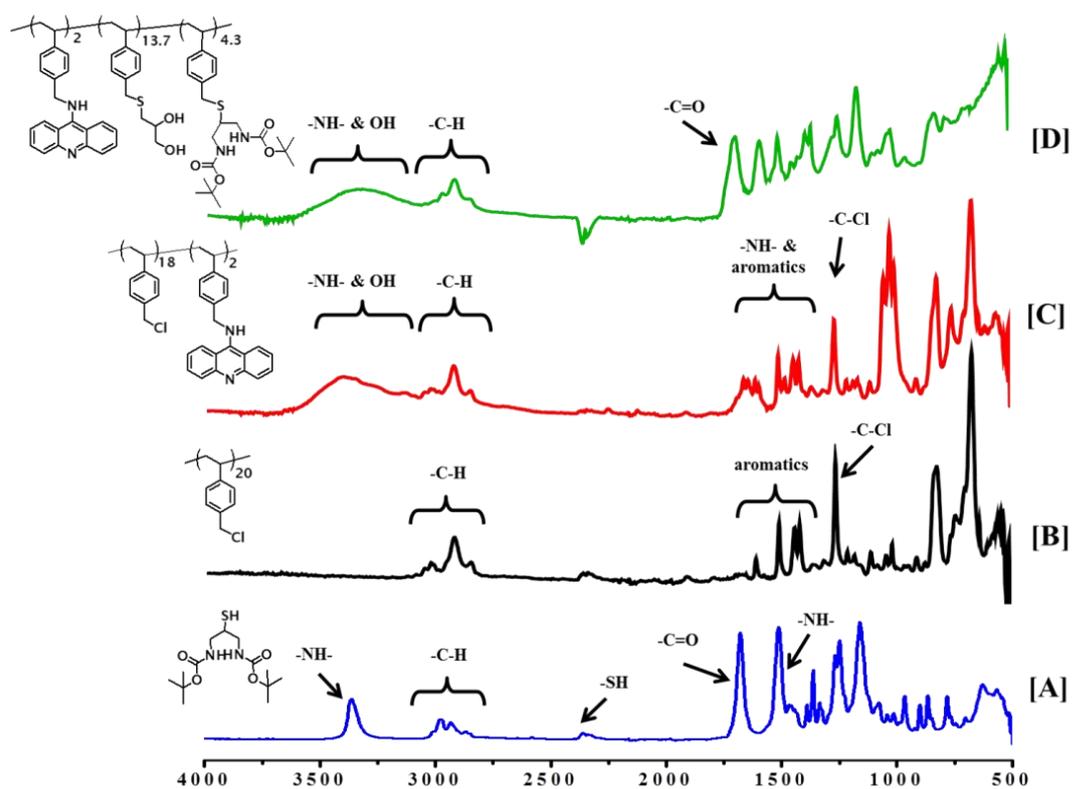


Figure S9 FT-IR spectra of SH-DAP-BOC [A], PVBC [B], P[VBC₁₈-(VBC-AA)₂] [C] and P[(VBC-AA)₂-(VBC-TG)_{13.7}-(VBC-SH-DAP-BOC)_{4.3}] [D].

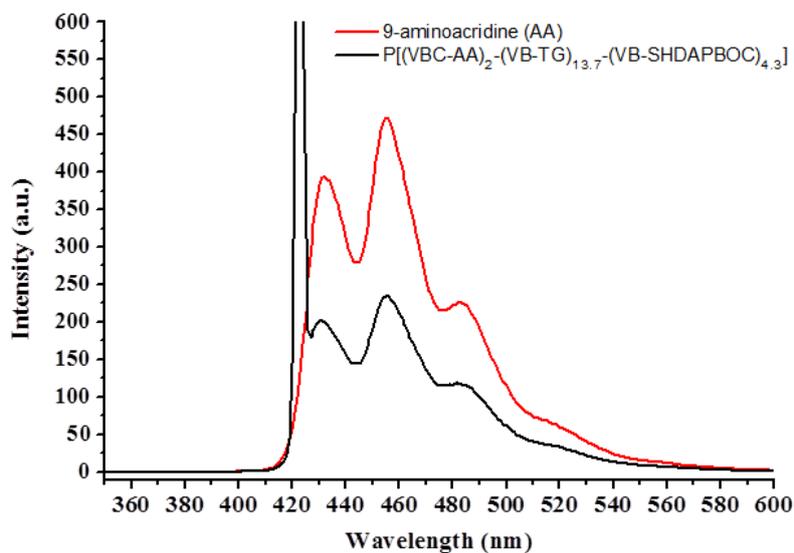


Figure S10 Fluorescence emission spectra of P[(VBC-AA)₂-(VBC-TG)_{13.7}-(VBC-SH-DAP-BOC)_{4.3}] (red curve) and AA (black curve, [AA] = 0.0001 mg/ml) in deionised water. (Excitation and emission wavelengths are λ_{ex} = 422 nm and λ_{em} = 455 nm respectively)

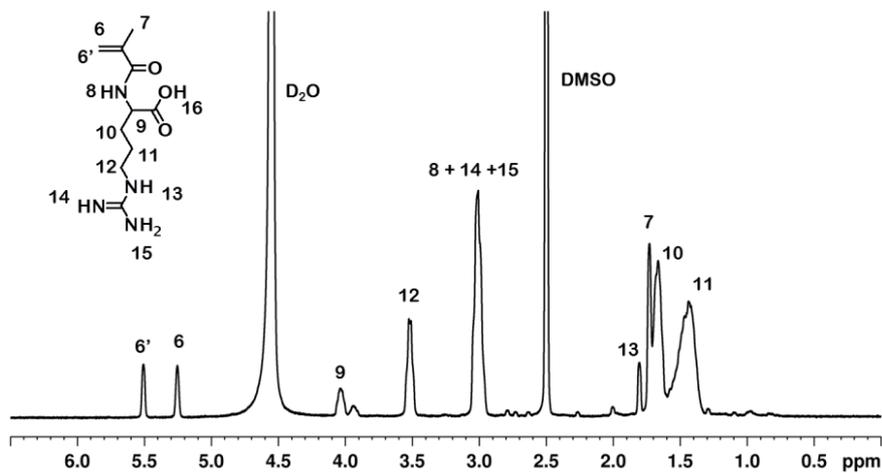


Figure S11 ^1H NMR of methacrylate based arginine monomer (MA-ZWI) in DMSO- d_6

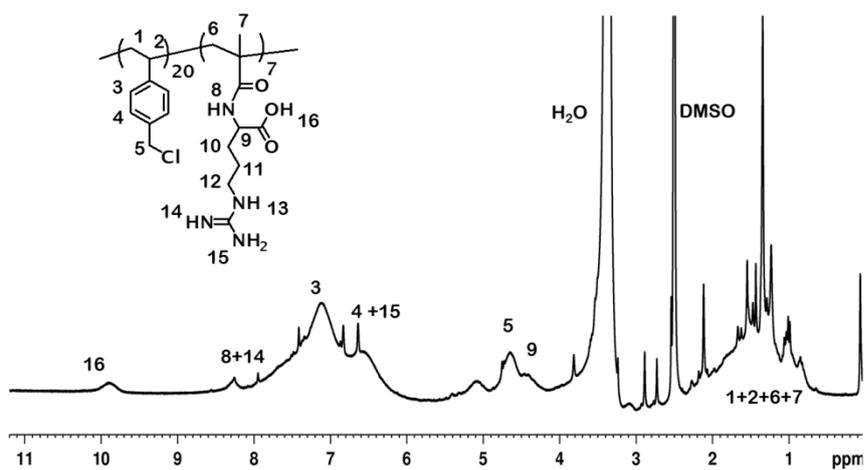


Figure S12. ^1H NMR of zwitterion polymer, $P(\text{VBC})_{20}\text{-}b\text{-}P(\text{MA-ZWI})_7$ in DMSO- d_6 . The conversion was determined by comparing the signals corresponding to polystyrene (5, $\text{CH}_2\text{-Cl}$, 2H) with the signal corresponding to the zwitterionic polymer (9, C-H, 1H)

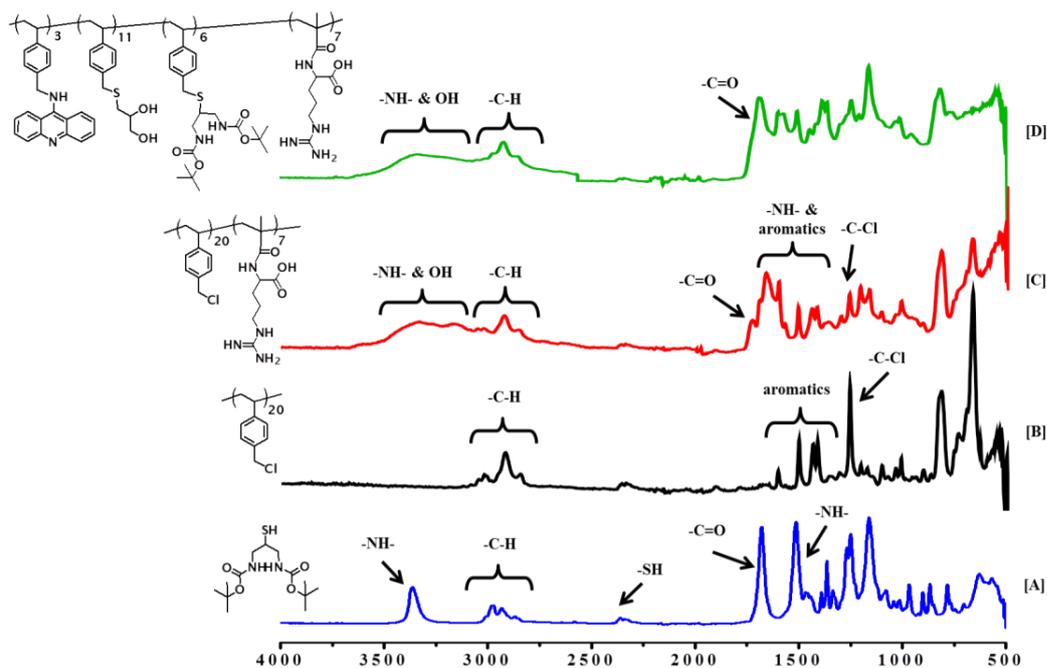


Figure S13. FT-IR spectra of thiol-chloride products based on $P(VBC)_{20}$ - b - $P(MA-ZWI)_7$

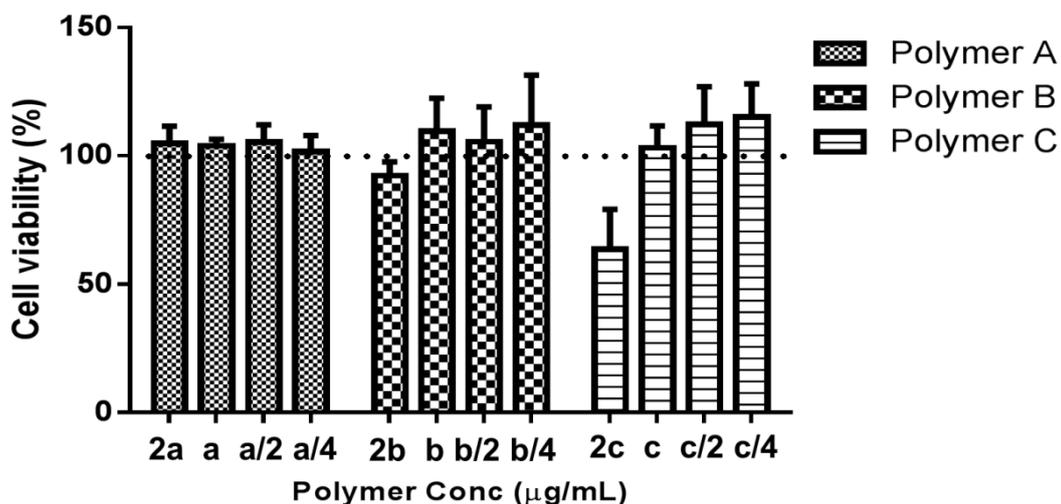


Figure S14. Cytotoxicity of Polymer A, B and C to A2780 cells. a, b, c are the polymer concentrations corresponding to 10 µM Pt. a = 0.138 mg/mL, b = 0.122 mg/mL, c = 0.189 mg/mL. Polymer A was non-toxic to A2780 at all concentrations (0.276 mg/mL to 0.035 mg/mL); Polymer B at 0.244 mg/mL was slightly toxic to the cells while it was non-toxic when the concentration decreased; 0.378 mg/mL of Polymer C killed about 40% cells, however, it became non-toxic from 0.189 mg/mL.