Supporting Information

Synthesis and evaluation of new 5-aminolevulinic acid derivatives as prodrugs of protoporphyrin for photodynamic therapy

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Table of contents

Synthesis and characterization

^{1}H, ^{13}C NMR spectra were measured on a Bruker 400 MHz spectrometer. Chemical shifts were reported as in units of parts per million (ppm), and J-values are in Hz. ESI-MS spectra were recorded on a Micromass triple quadrupole mass spectrometer. HRMS spectra were recorded on a Brucker Daltonics APEXIII 7.0 tesla FT mass spectrometer.

Figure S1-1. ^{1}H NMR (DMSO-d_6, 400 MHz) of **5a**

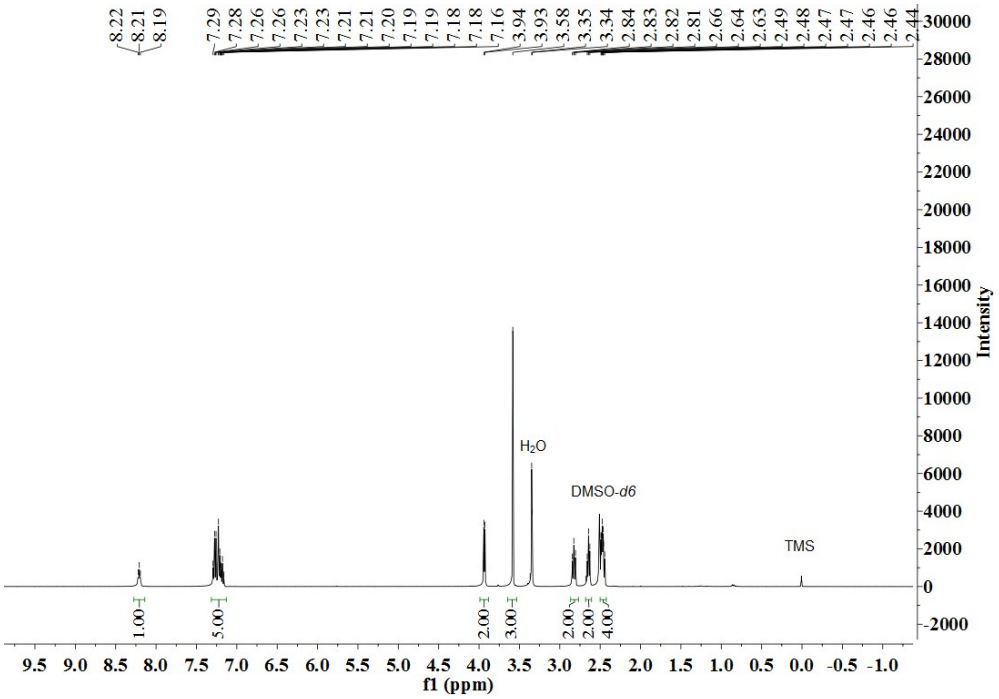


Figure S1-2. ^{13}C NMR (DMSO-d_6,100 MHz) of **5a**

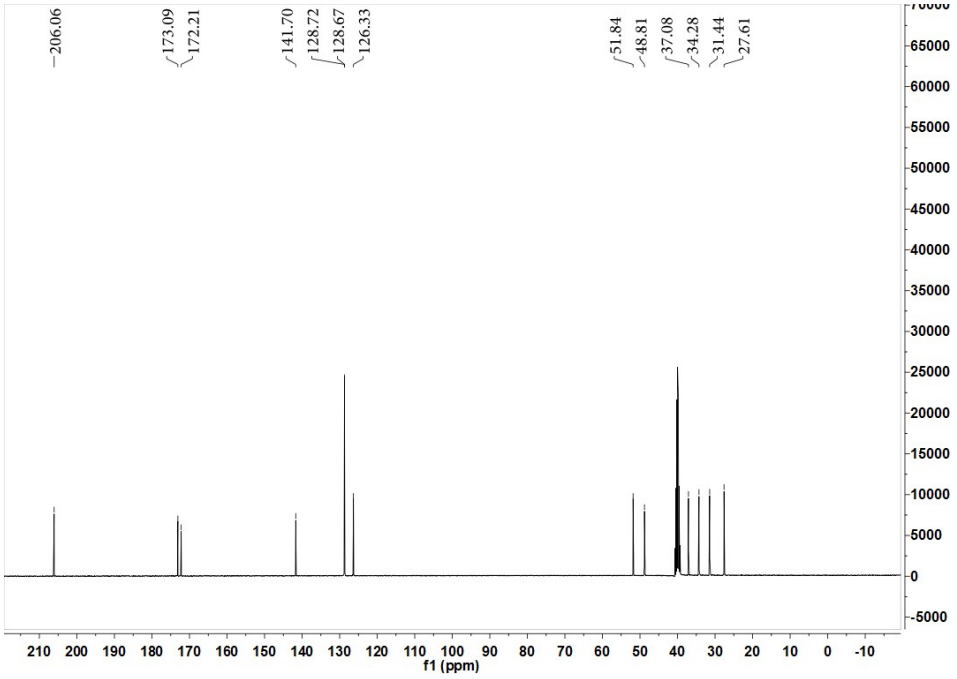


Figure S1-3. HRMS (MALDI-TOF) of **5a**

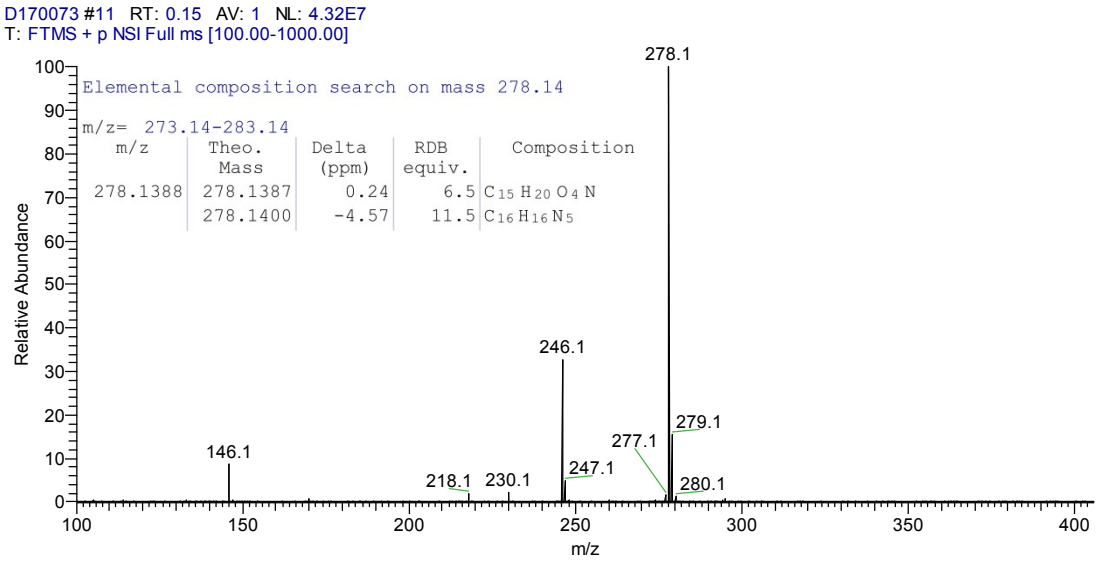


Figure S2-1. ^{1}H NMR ($CDCl_3$, 400 MHz) of **5b**

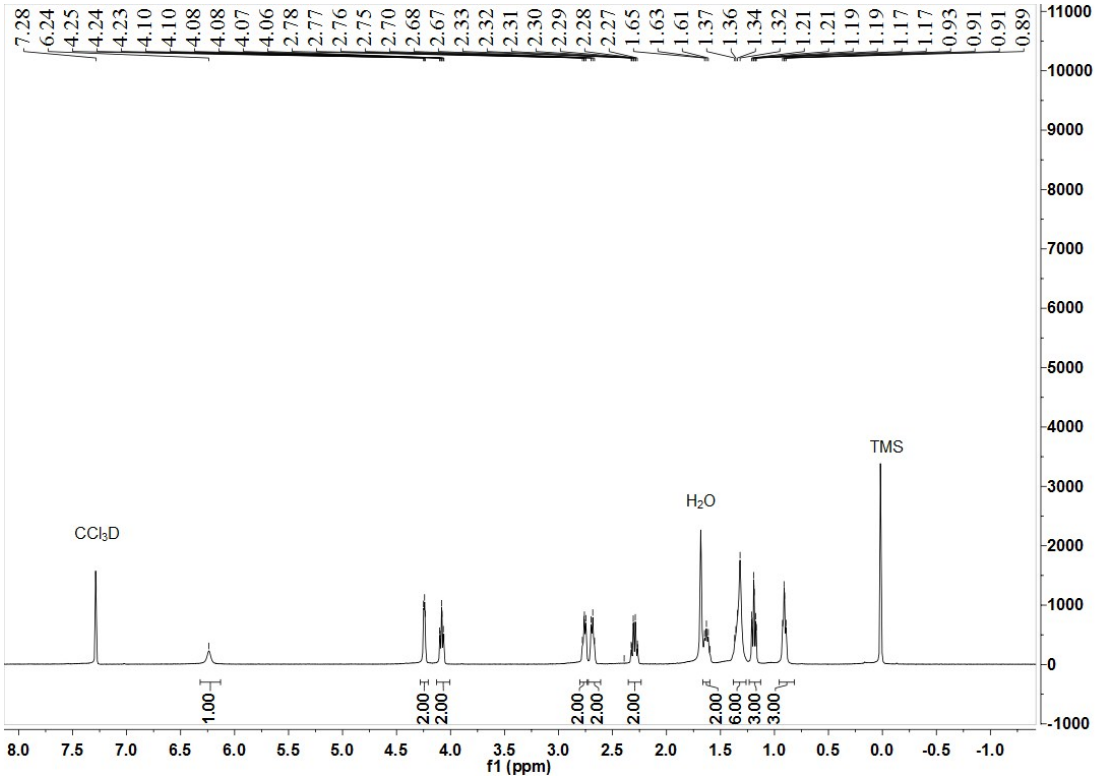


Figure S2-2. ^{13}C NMR ($CDCl_3$, 100 MHz) of **5b**

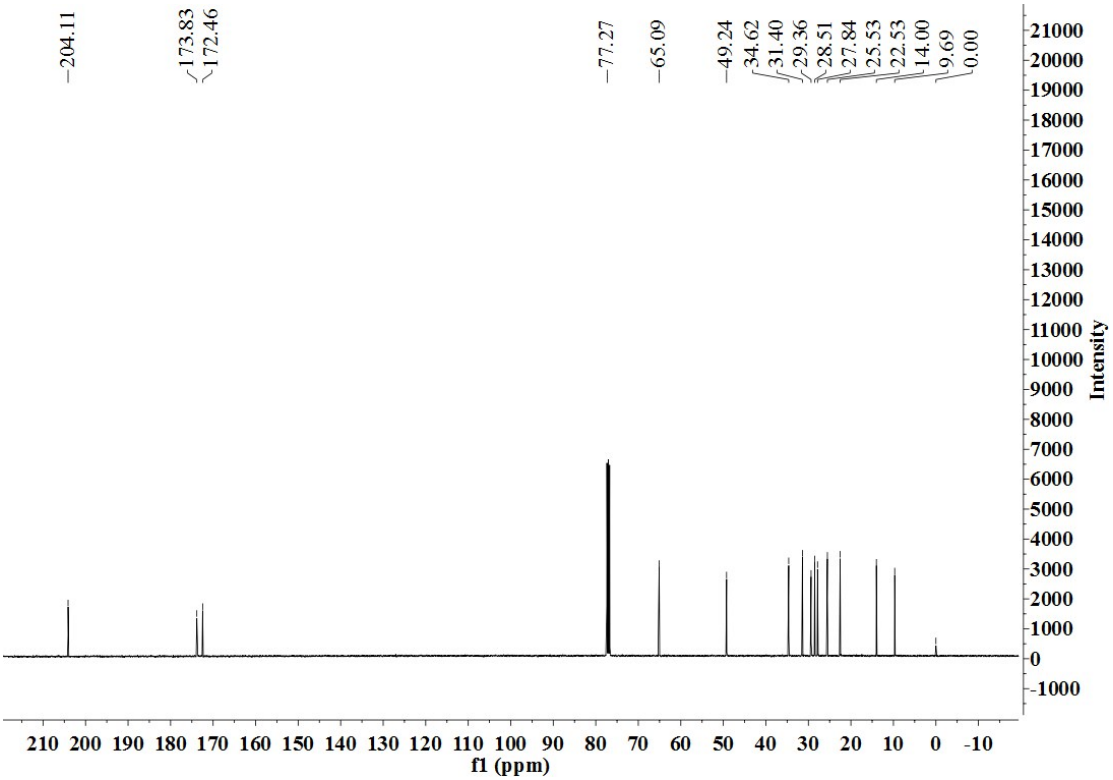


Figure S2-3. HRMS (MALDI-TOF) of **5b**

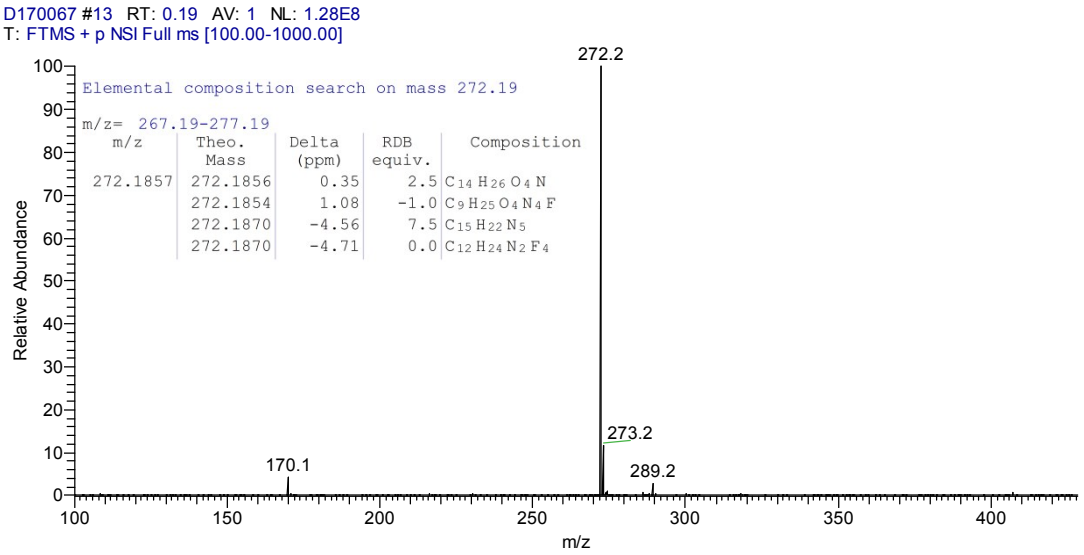


Figure S3-1. ^{1}H NMR ($CDCl_3$, 400 MHz) of **5c**

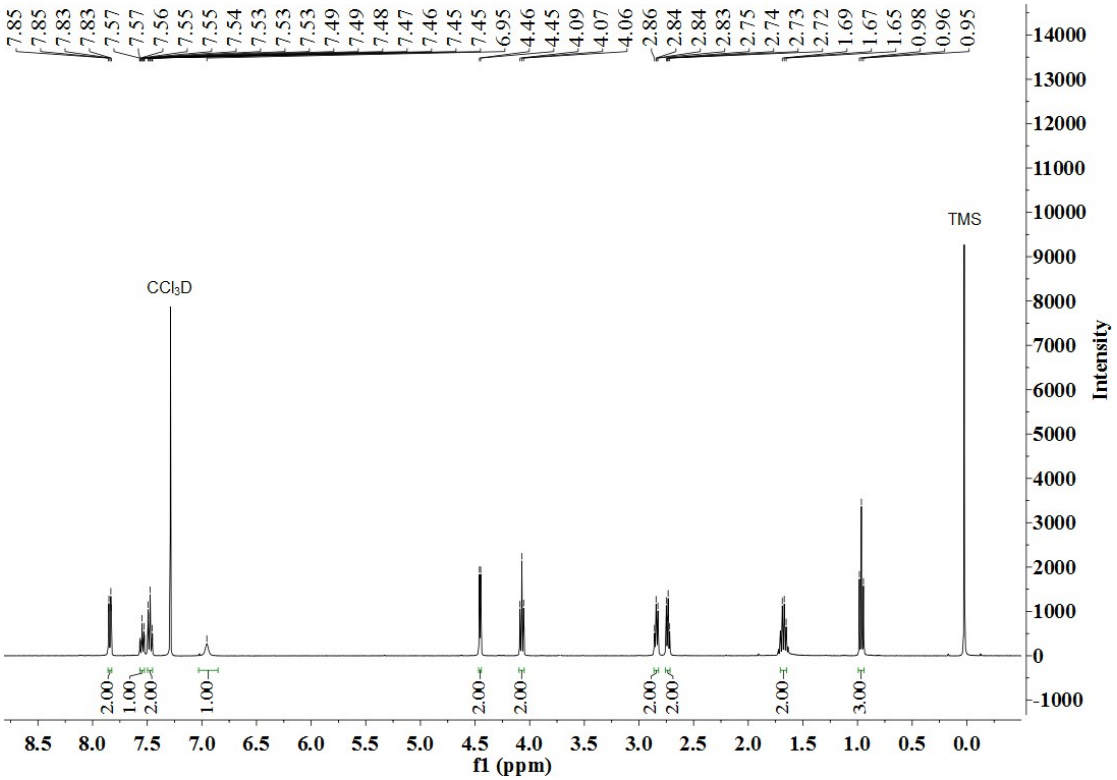


Figure S3-2. ^{13}C NMR ($CDCl_3$, 100 MHz) of **5c**

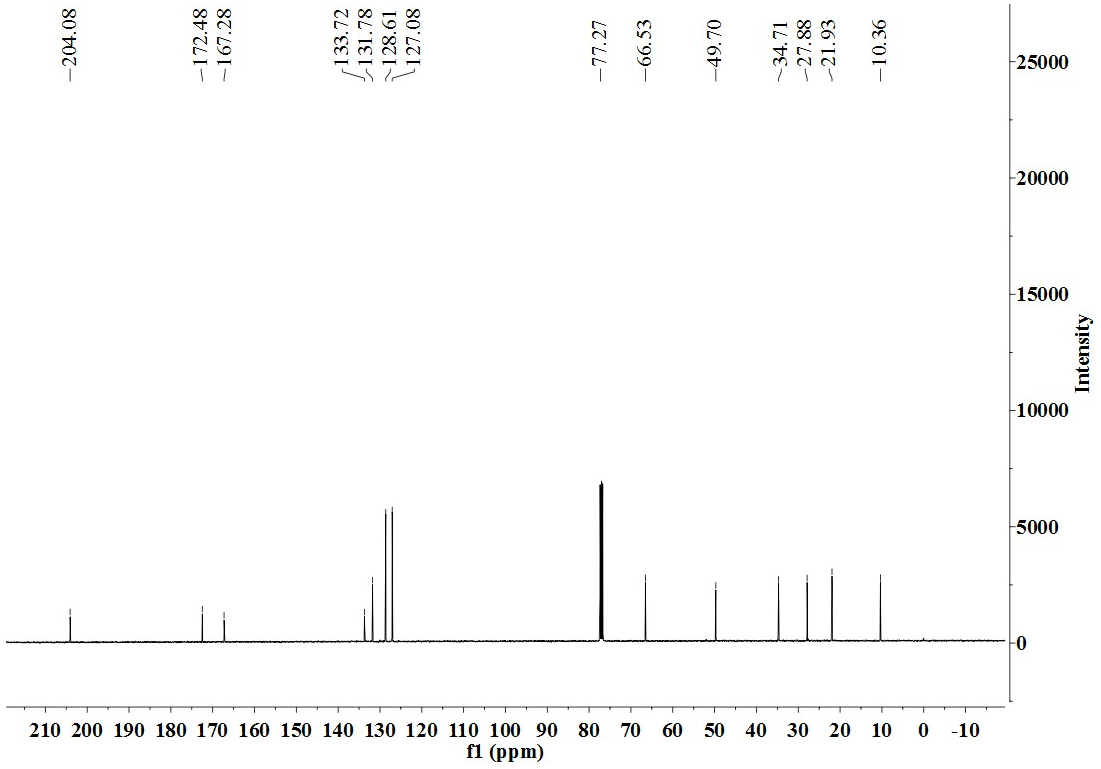


Figure S3-3. HRMS (MALDI-TOF) of **5c**

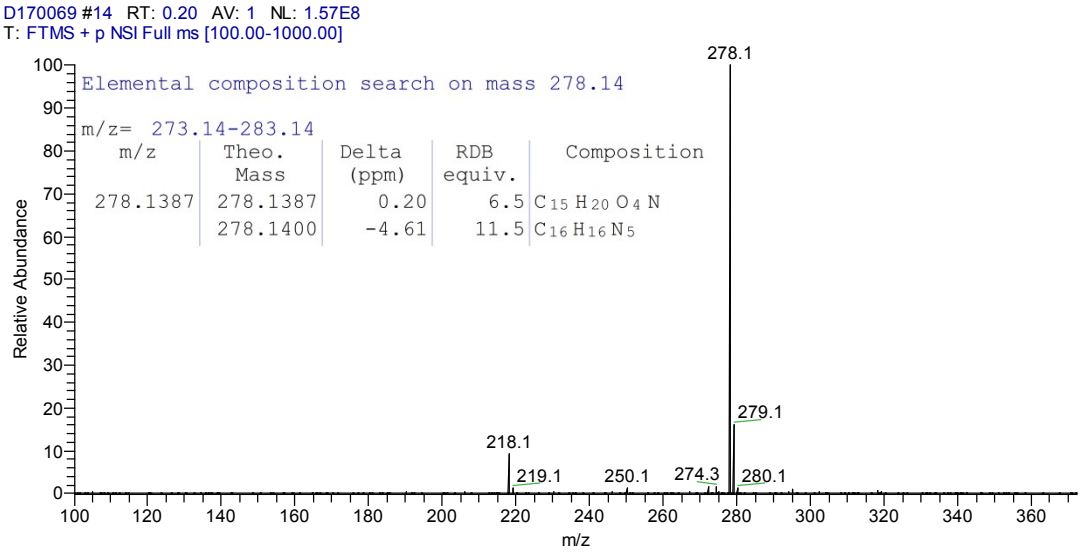


Figure S4-1. ^{1}H NMR ($CDCl_3$, 400 MHz) of **5d**

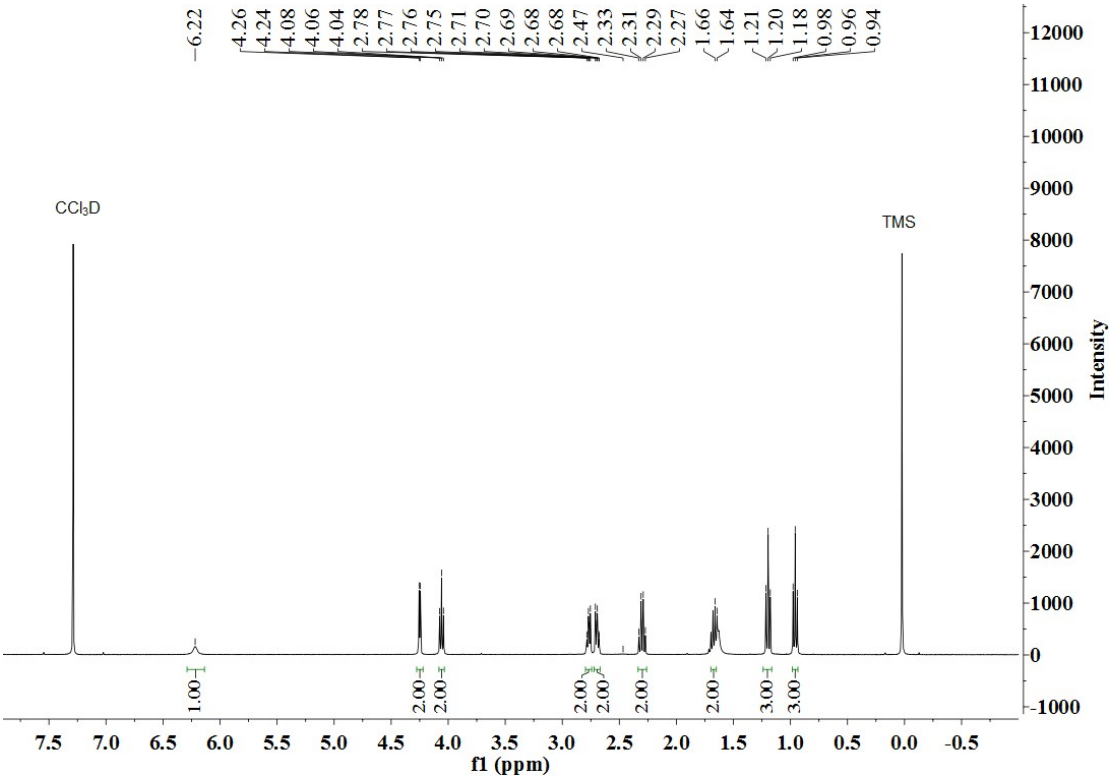


Figure S4-2. ^{13}C NMR ($CDCl_3$, 100 MHz) of **5d**

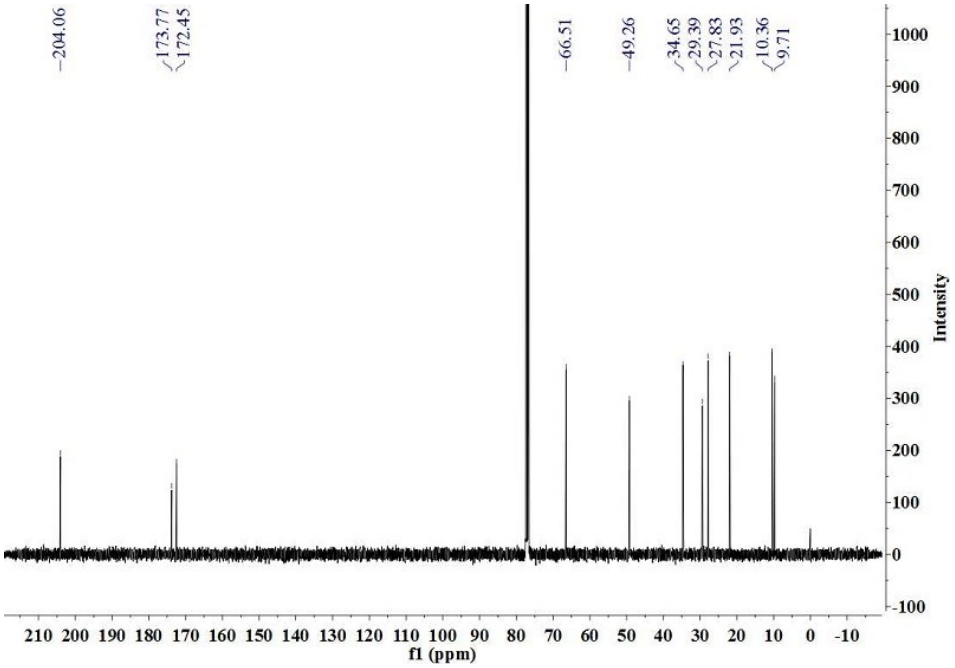


Figure S4-3. HRMS (MALDI-TOF) of **5d**

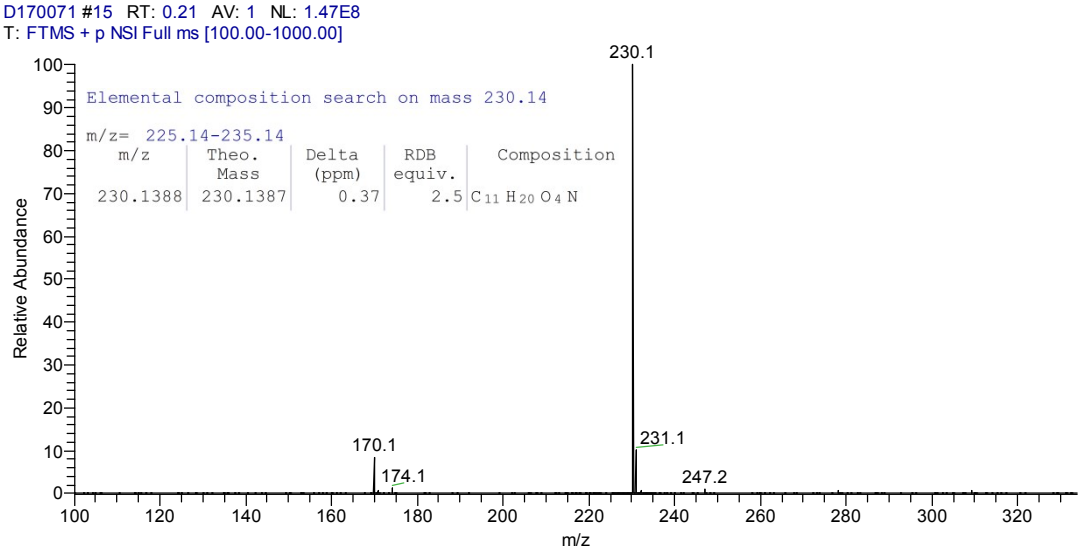


Figure S5-1. ^{1}H NMR ($CDCl_3$, 400 MHz) of **6a**

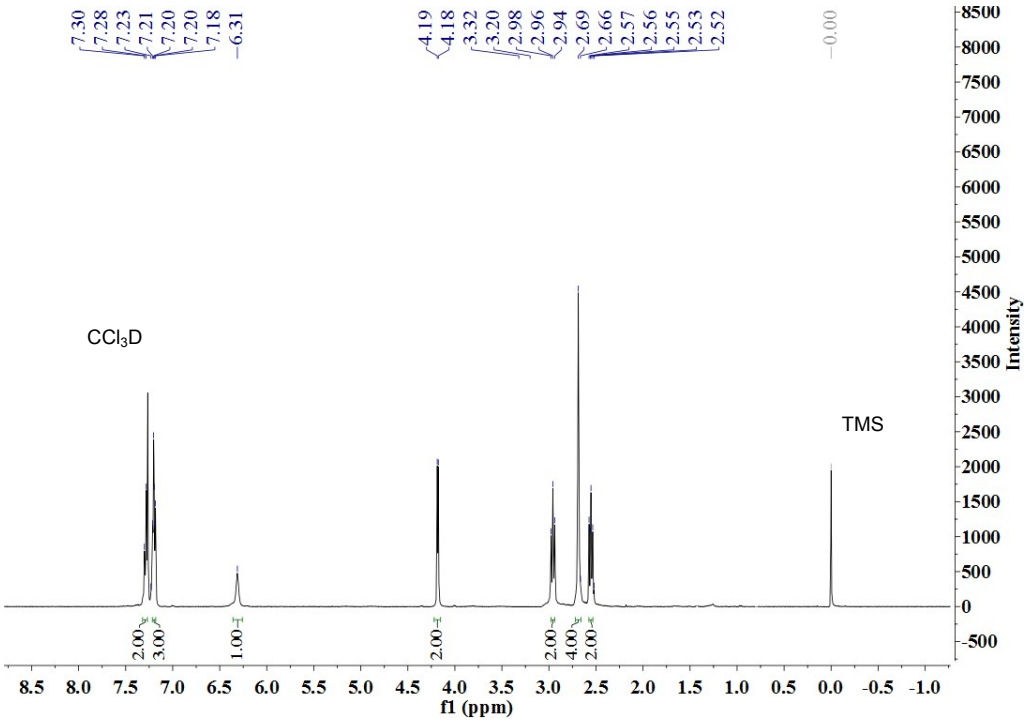


Figure S5-2. ^{13}C NMR ($CDCl_3$, 100 MHz) of **6a**

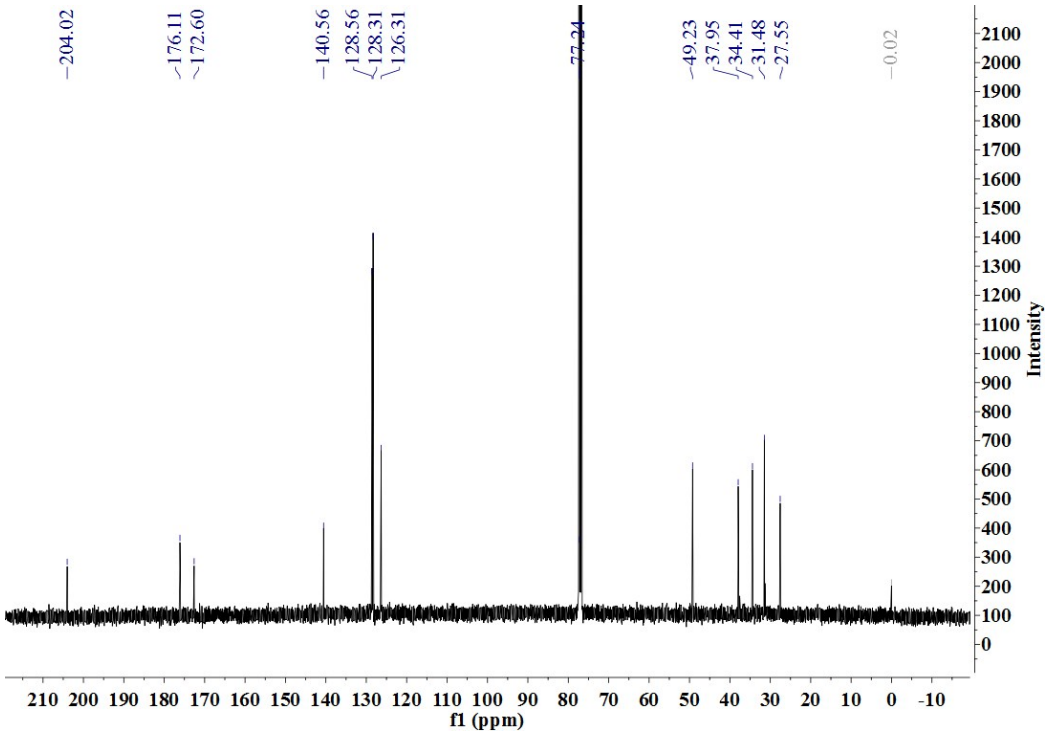


Figure S5-3. HRMS (MALDI-TOF) of **6a**

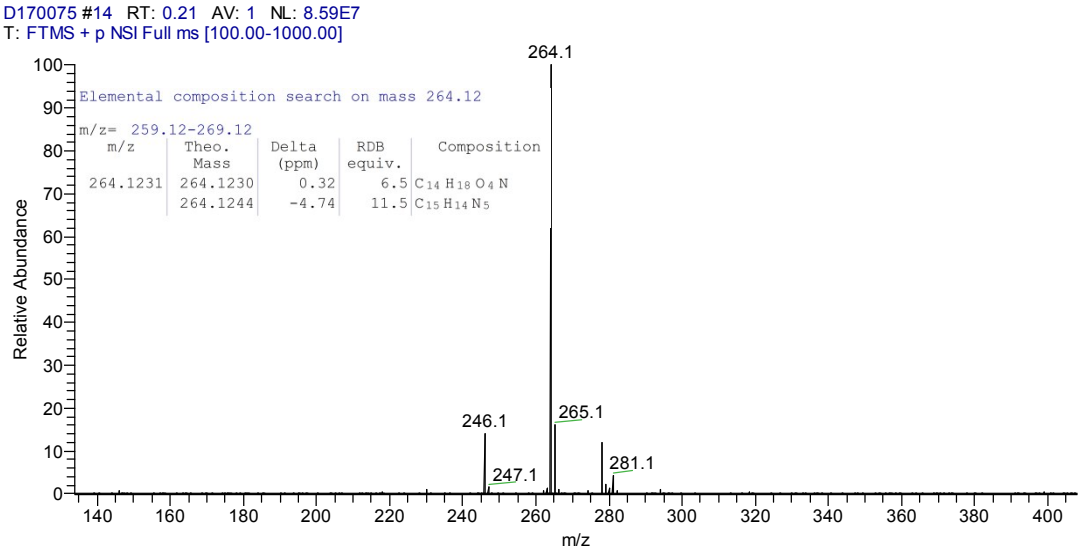


Figure S6-1. ^{1}H NMR ($CDCl_3$, 400 MHz) of **7a**

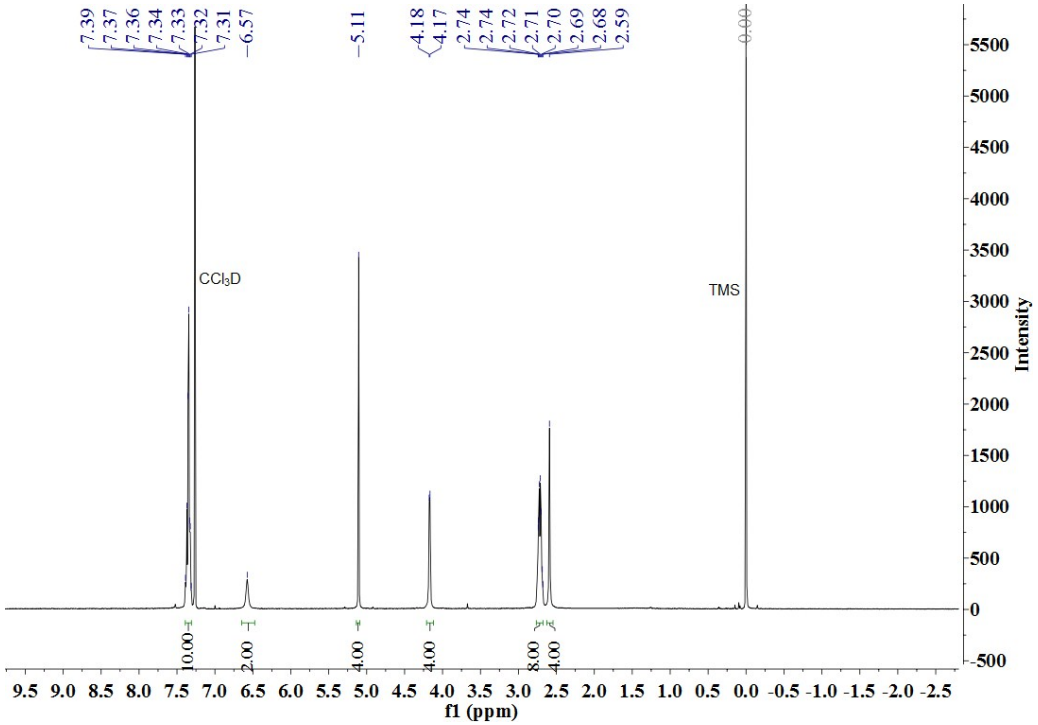


Figure S6-2. ^{13}C NMR ($CDCl_3$, 100 MHz) of **7a**

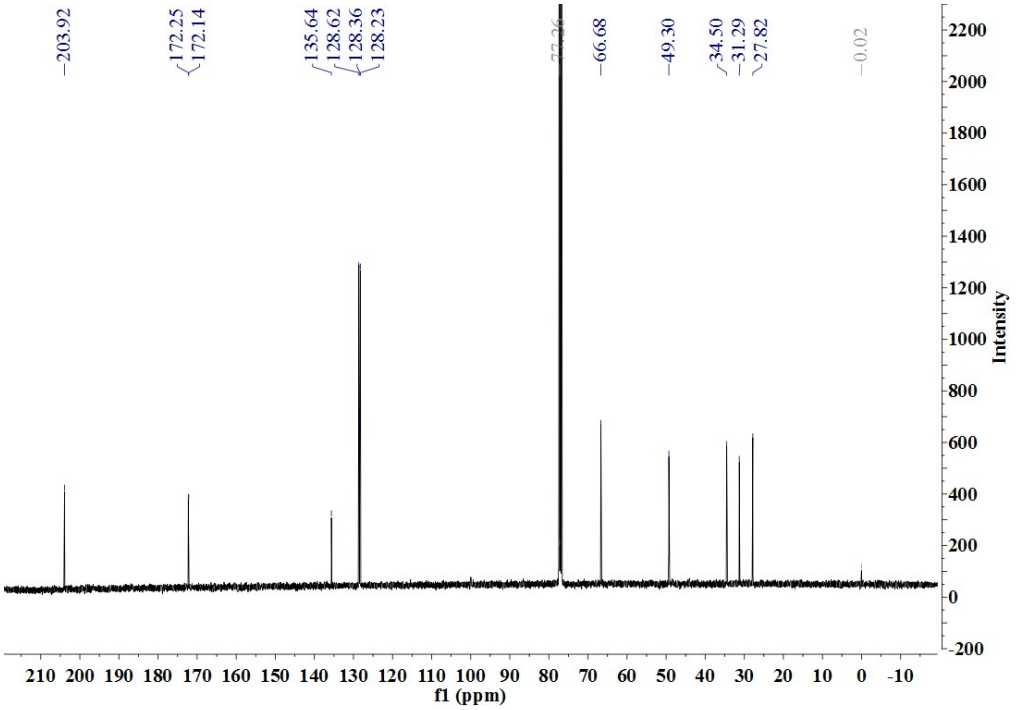


Figure S6-3. HRMS (MALDI-TOF) of **7a**

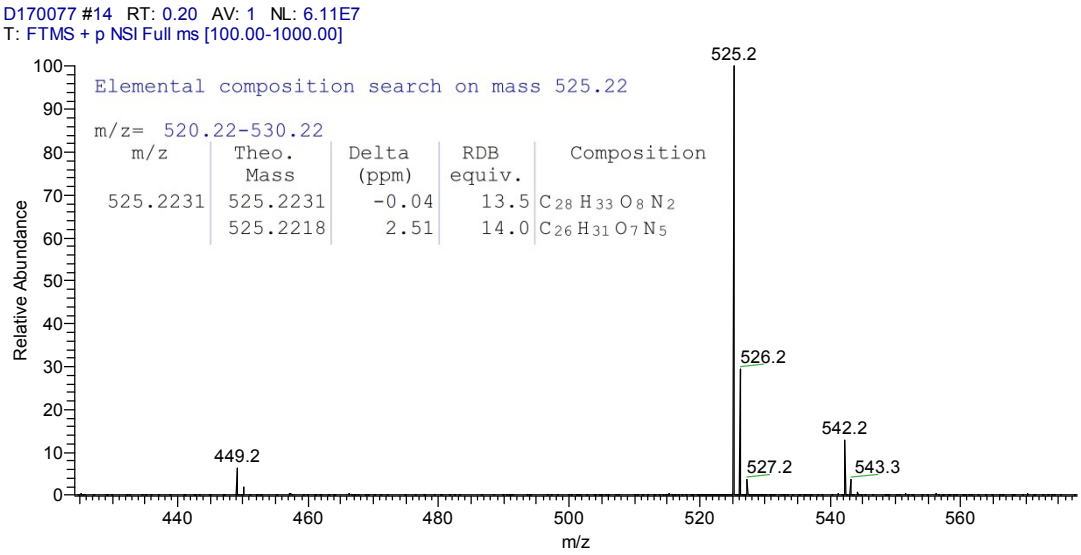


Figure S7-1. ^{1}H NMR ($CDCl_3$, 400 MHz) of **9a**

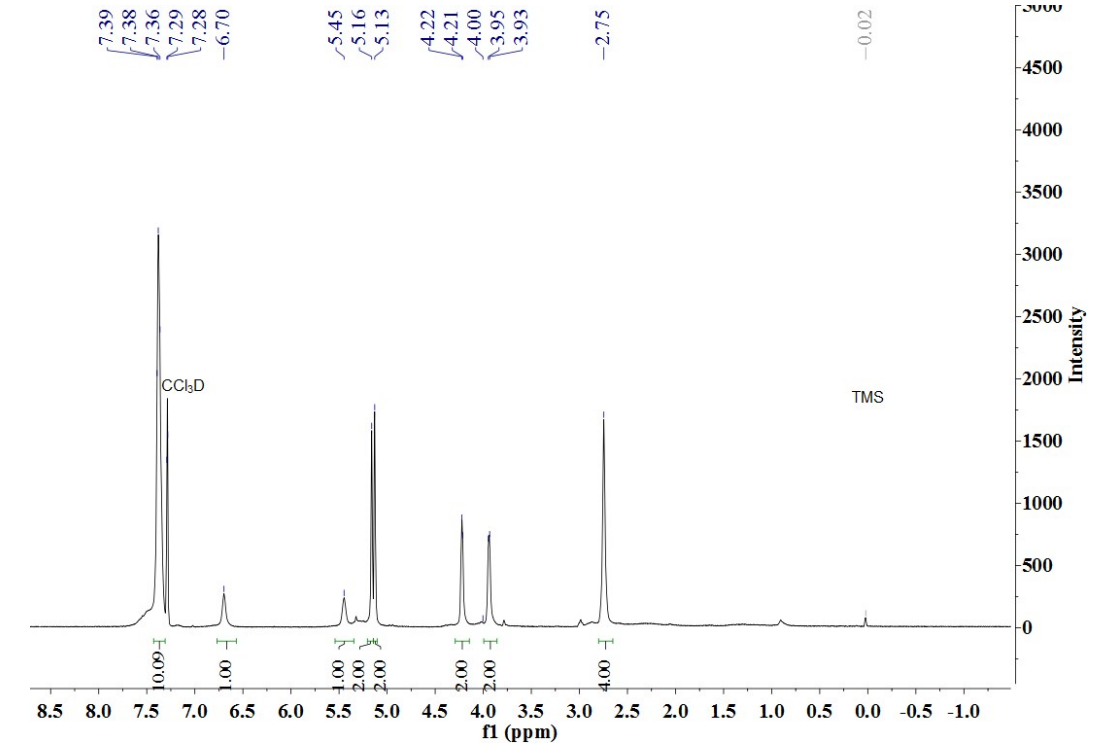


Figure S7-2. ^{13}C NMR ($CDCl_3$, 100 MHz) of **9a**

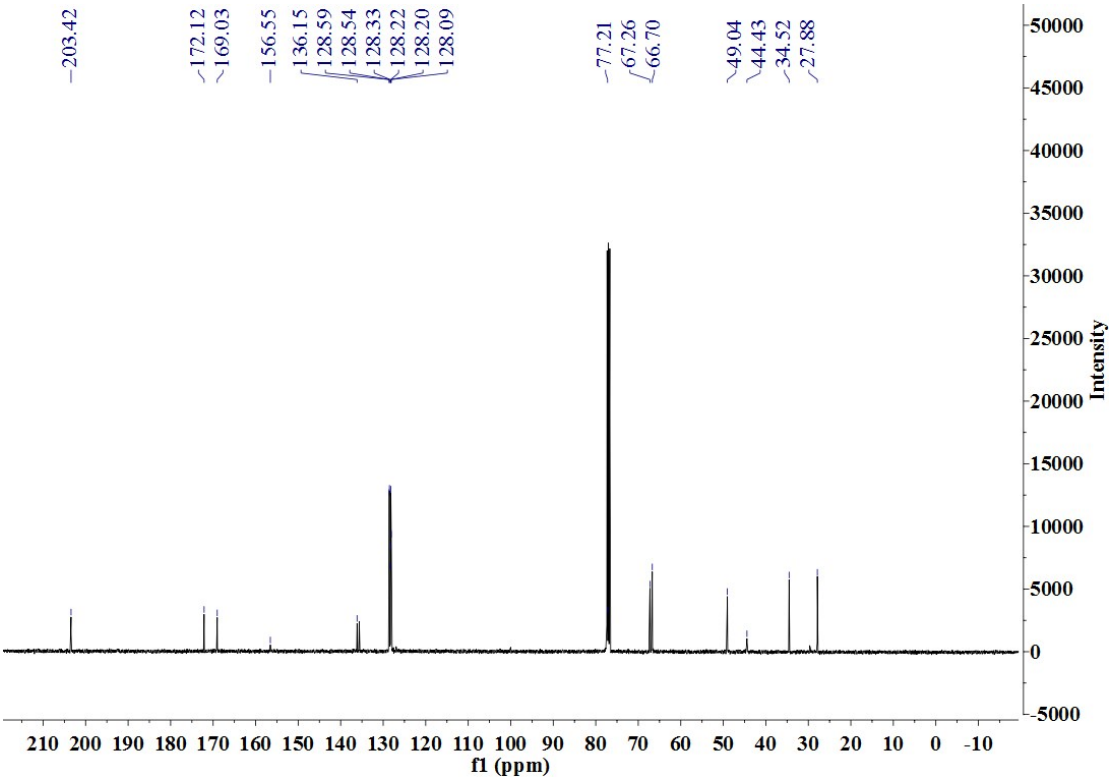


Figure S7-3. HRMS (MALDI-TOF) of **9a**

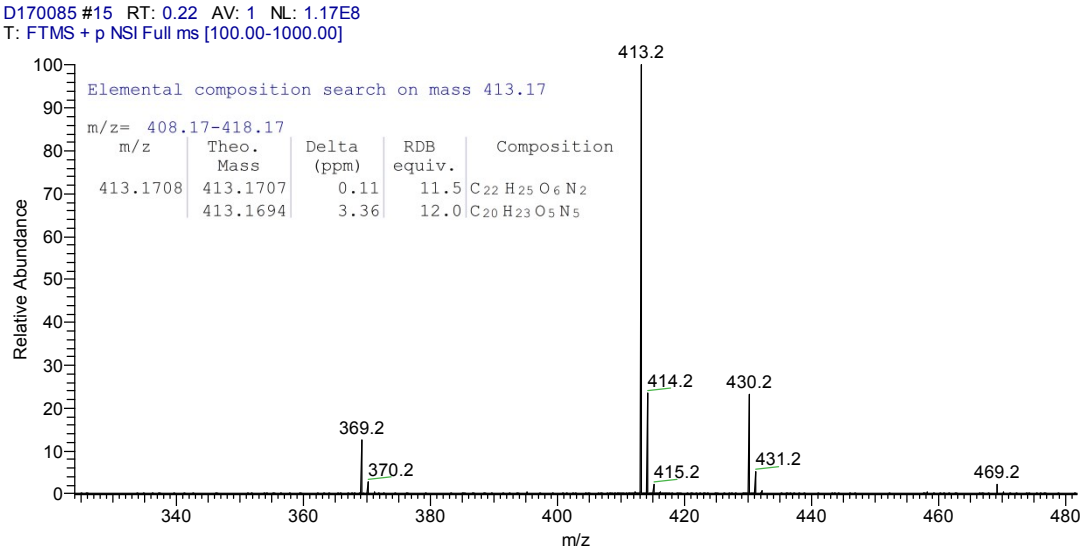


Figure S8-1. ^{1}H NMR ($CDCl_3$, 400 MHz) of **9b**

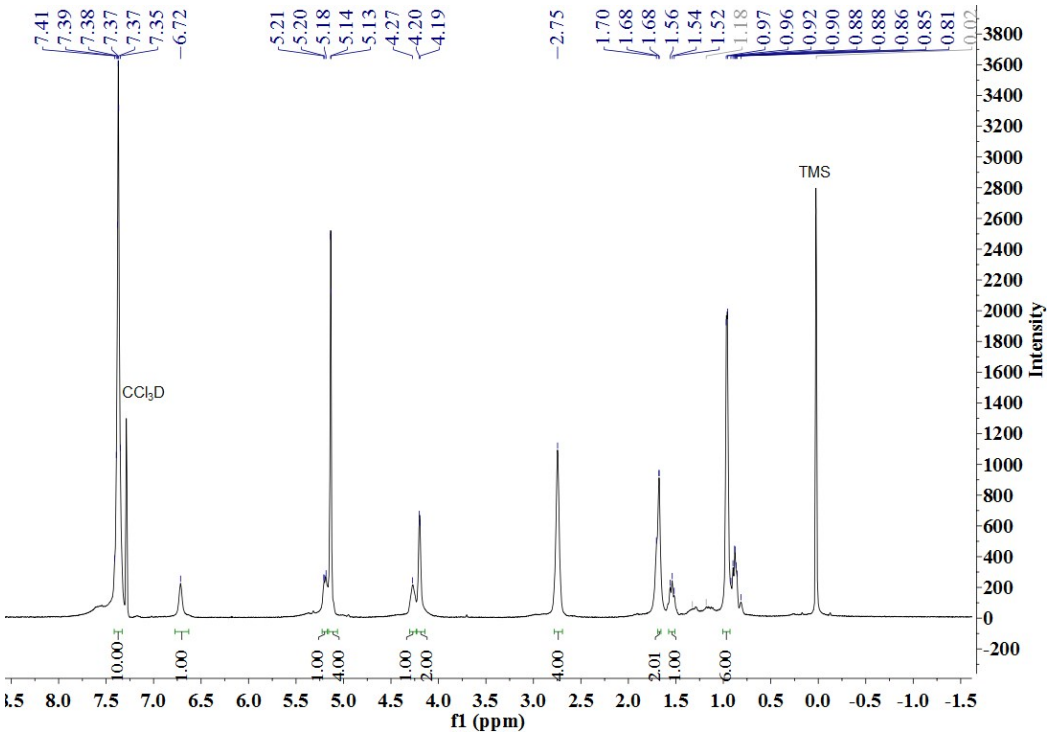


Figure S8-2. ^{13}C NMR ($CDCl_3$, 100 MHz) of **9b**

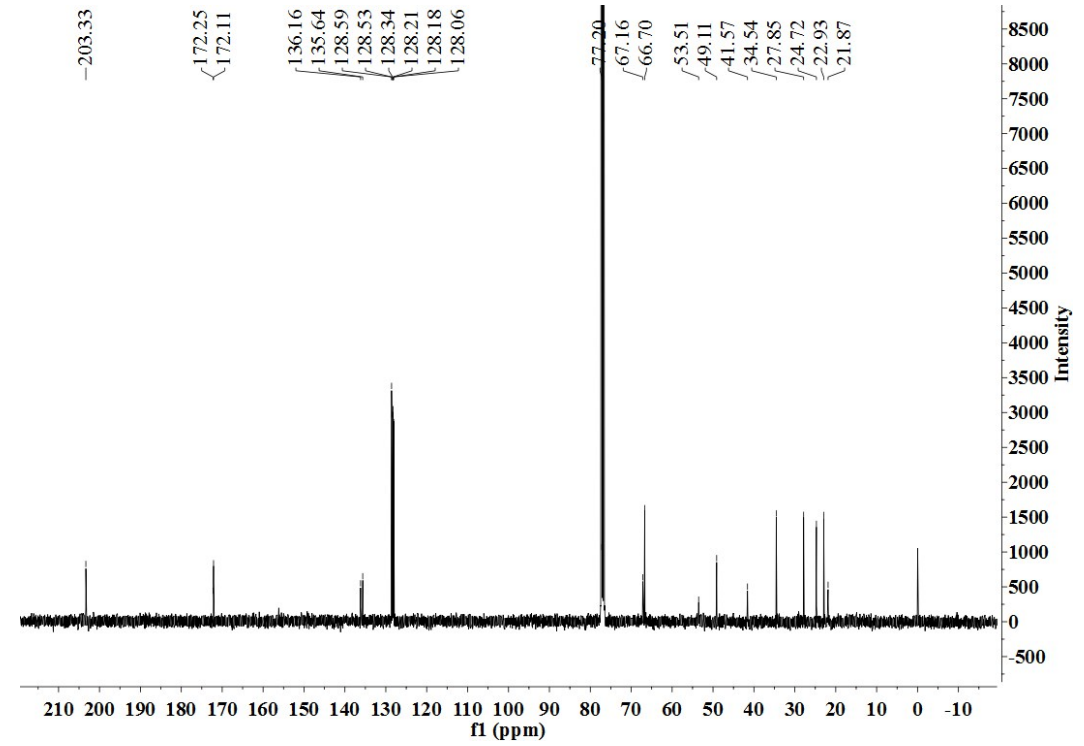


Figure S8-3. HRMS (MALDI-TOF) of **9b**

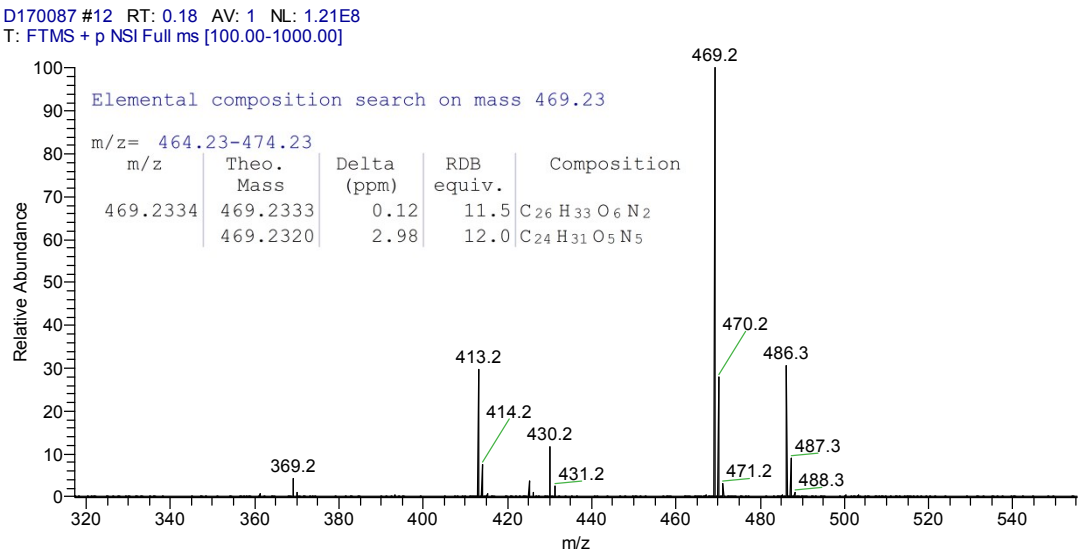


Figure S9-1. ^{1}H NMR ($CDCl_3$, 400 MHz) of **9c**

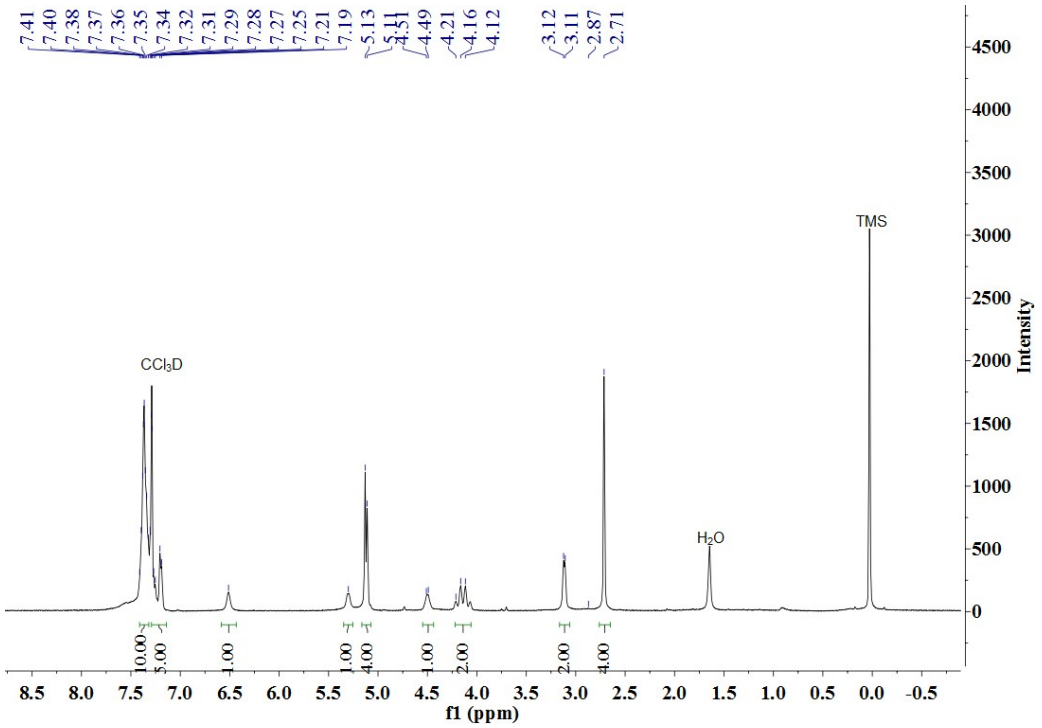


Figure S9-2. ^{13}C NMR (DMSO-*d6*, 100 MHz) of **9c**

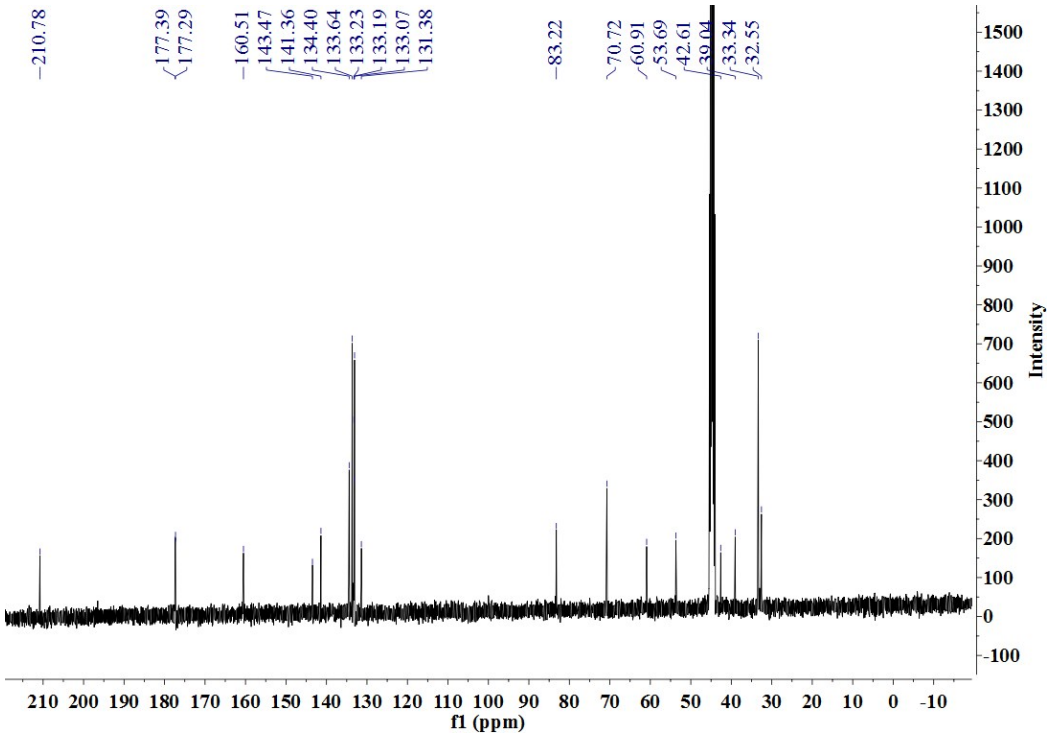


Figure S9-3. HRMS (MALDI-TOF) of **9c**

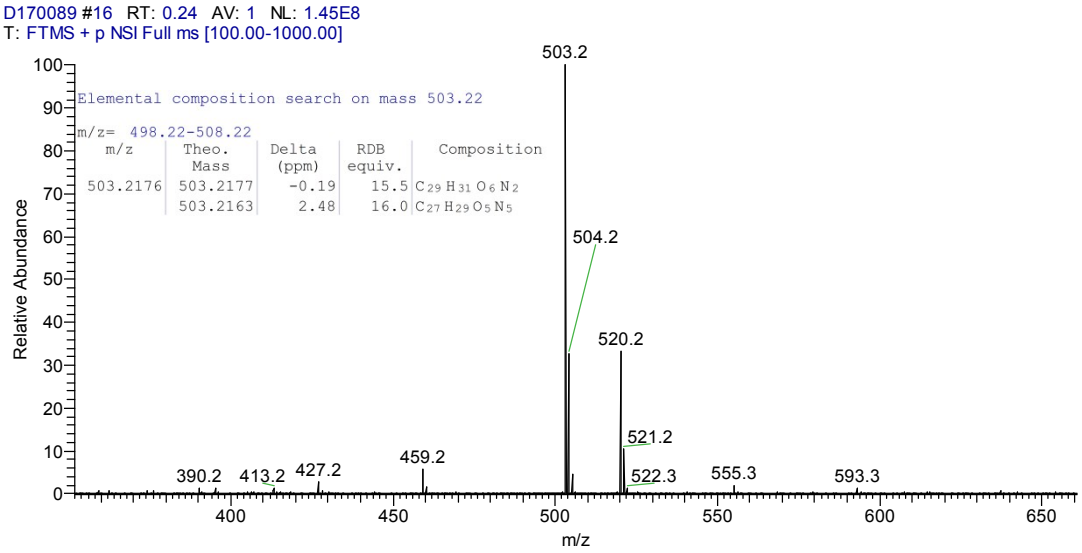


Figure S10-1. ^{1}H NMR ($CDCl_3$, 400 MHz) of **11a**

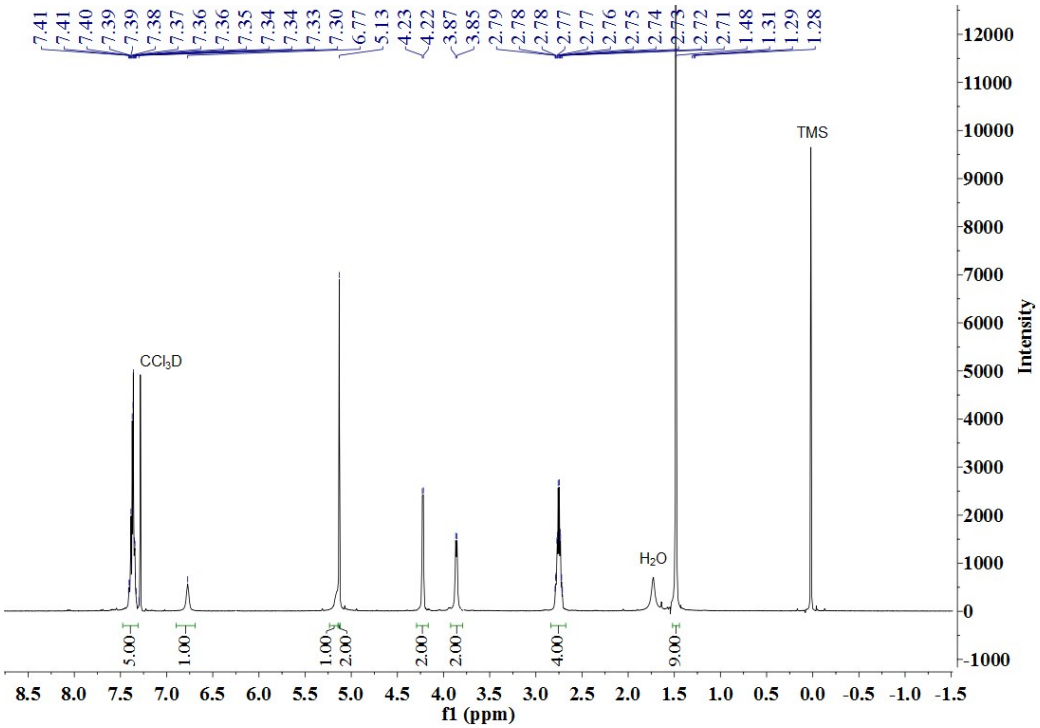


Figure S10-2. ^{13}C NMR ($CDCl_3$, 100 MHz) of **11a**

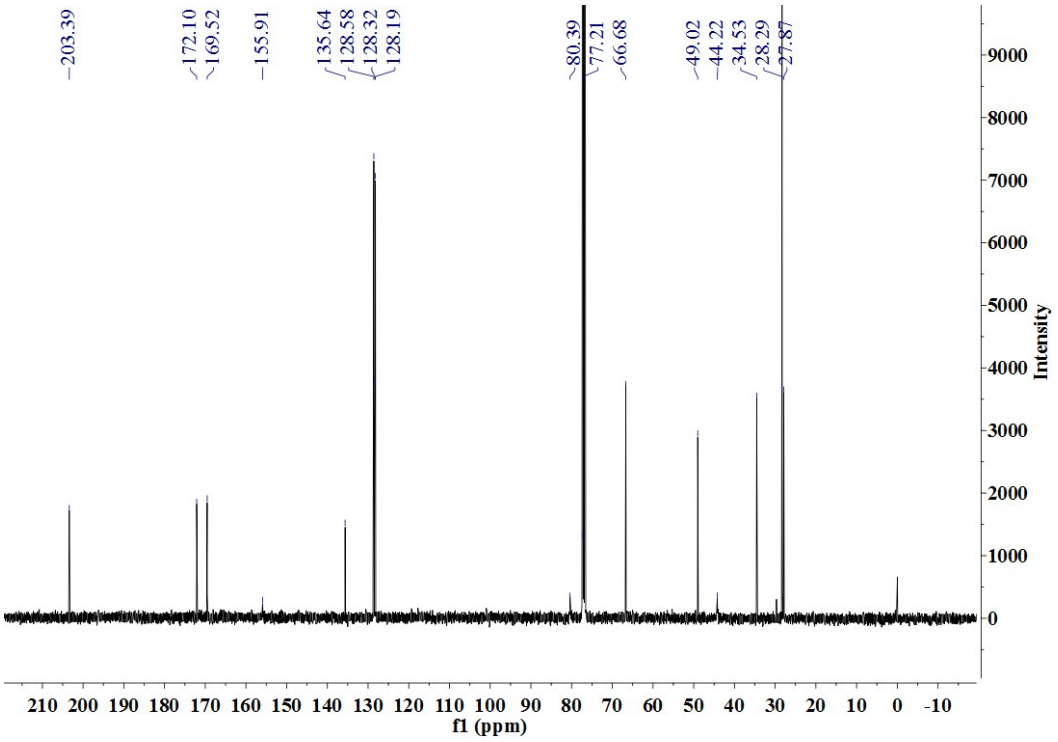


Figure S10-3. HRMS (MALDI-TOF) of **11a**

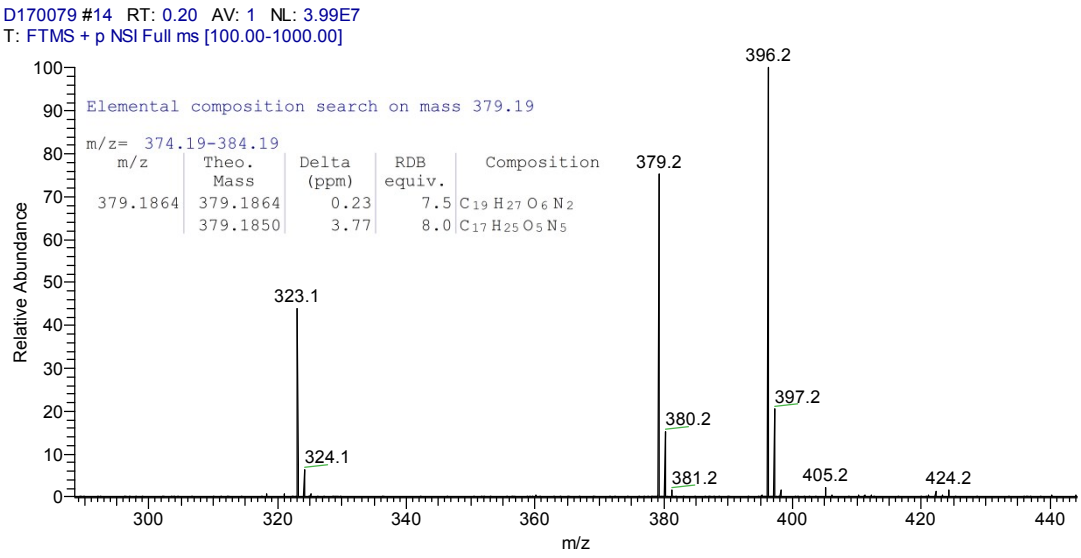


Figure S11-1. ^{1}H NMR ($CDCl_3$, 400 MHz) of **11b**

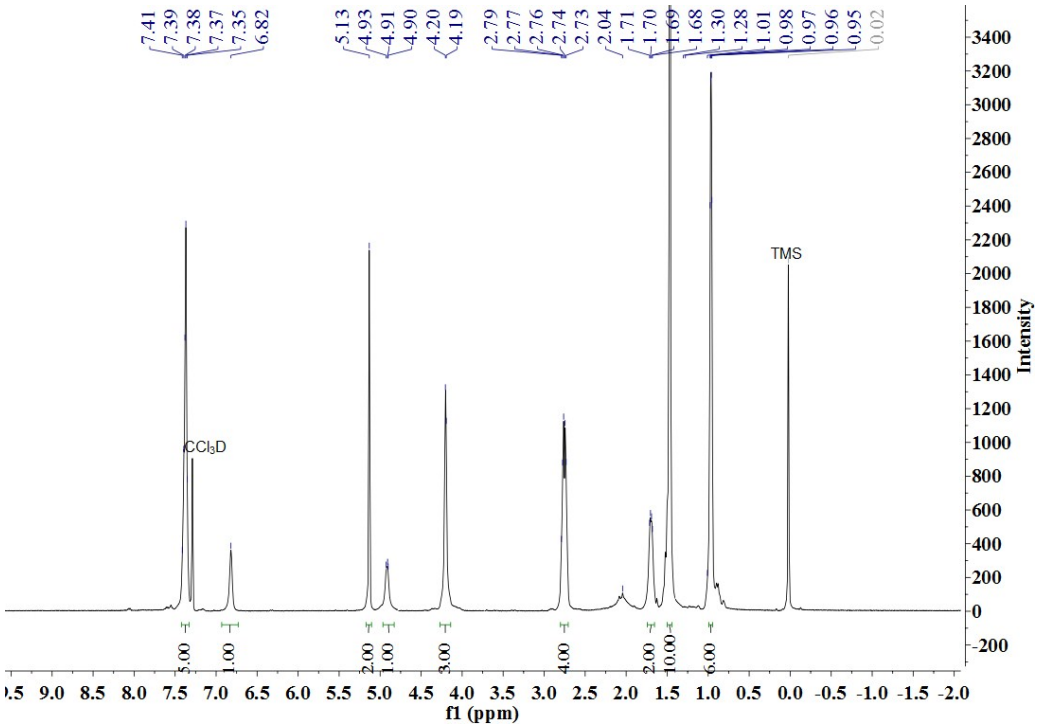


Figure S11-2. ^{13}C NMR ($CDCl_3$, 100 MHz) of **11b**

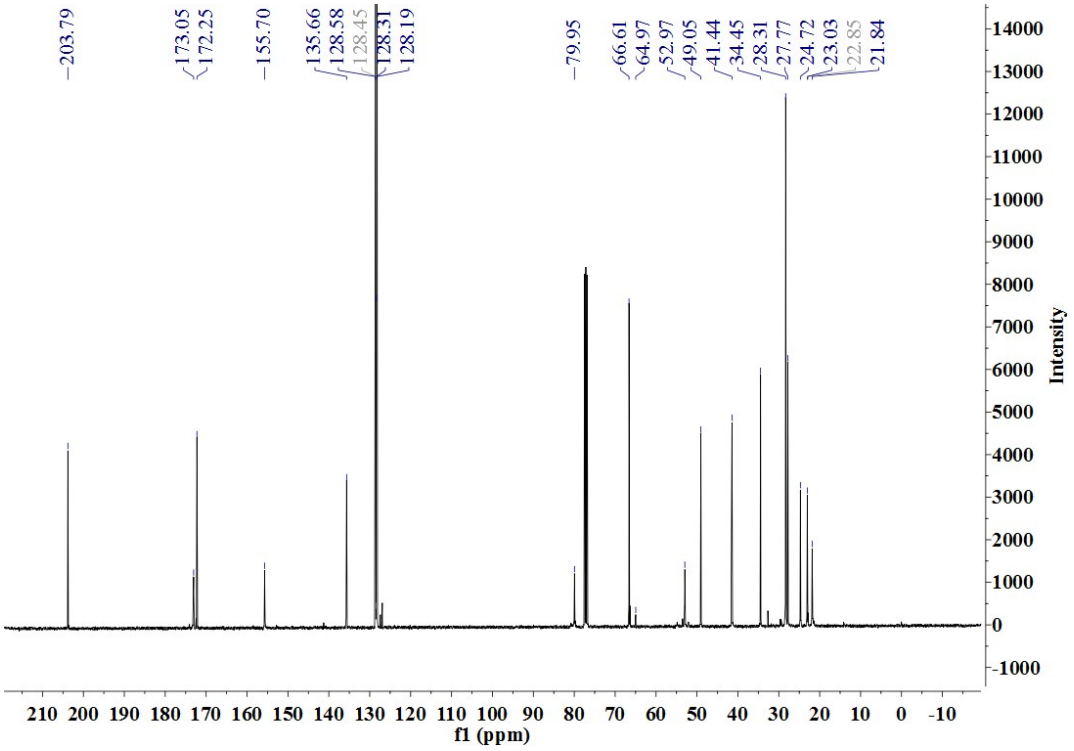


Figure S11-3. HRMS (MALDI-TOF) of **11b**

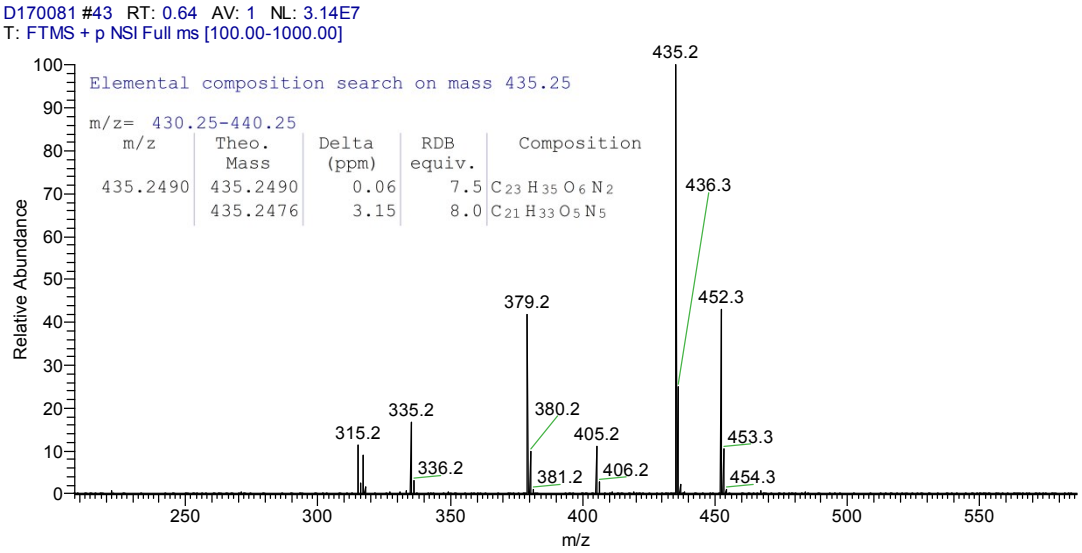


Figure S12-1. ^{1}H NMR ($CDCl_3$, 400 MHz) of **11c**

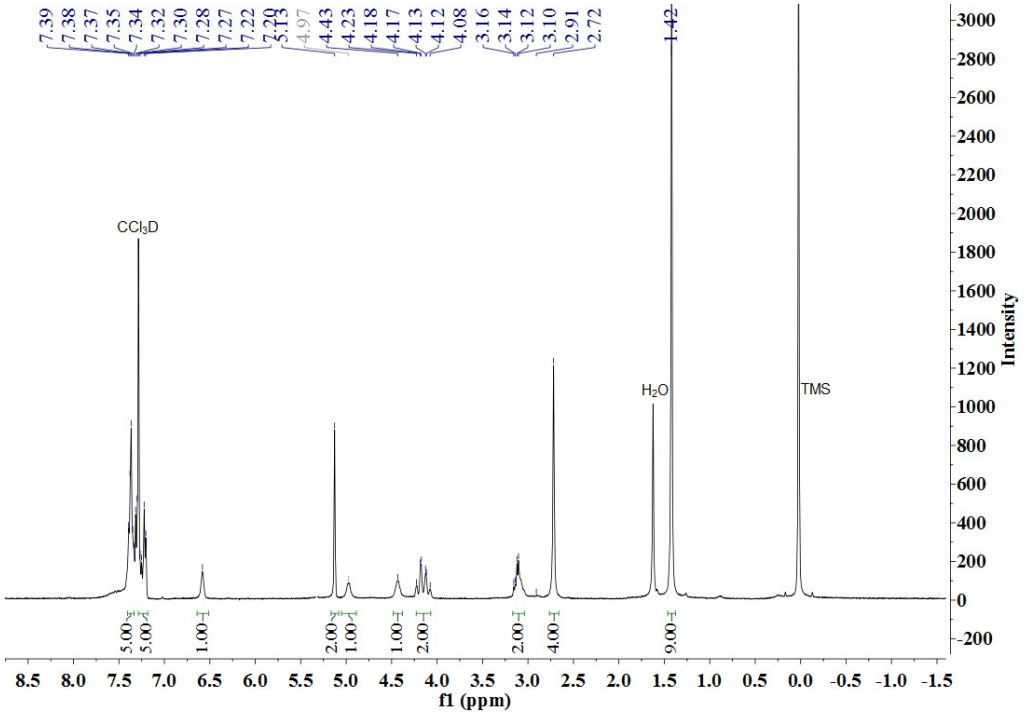


Figure S12-2. ^{13}C NMR (DMSO-*d6*, 100 MHz) of **11c**

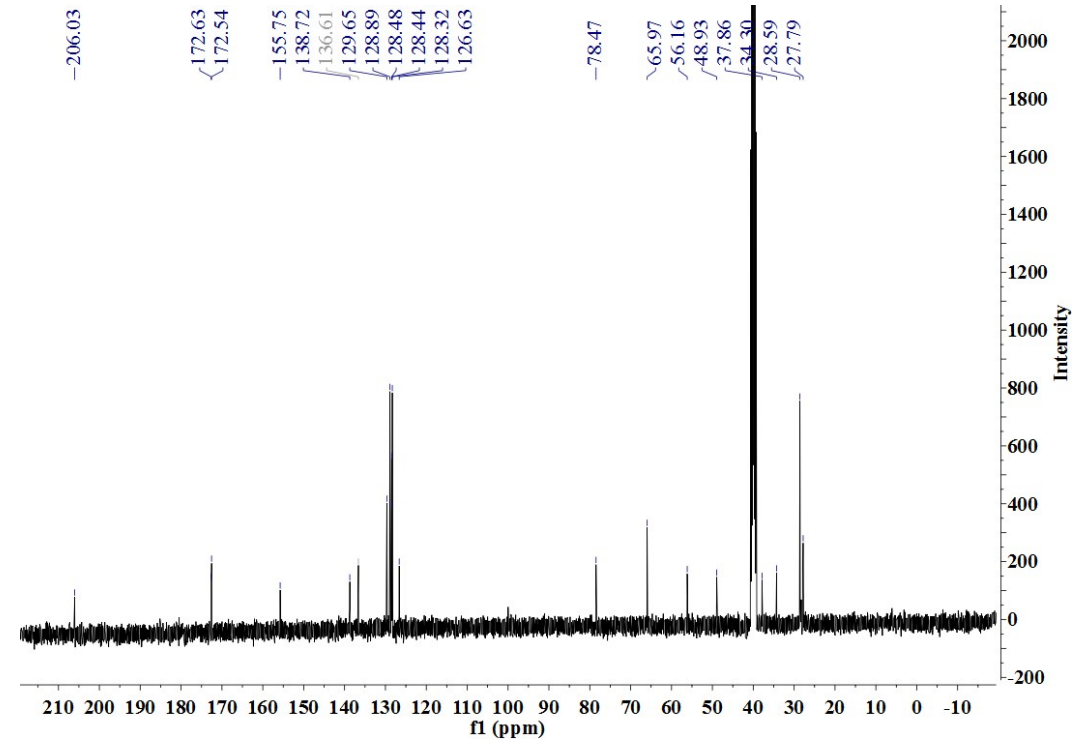


Figure S12-3. HRMS (MALDI-TOF) of **11c**

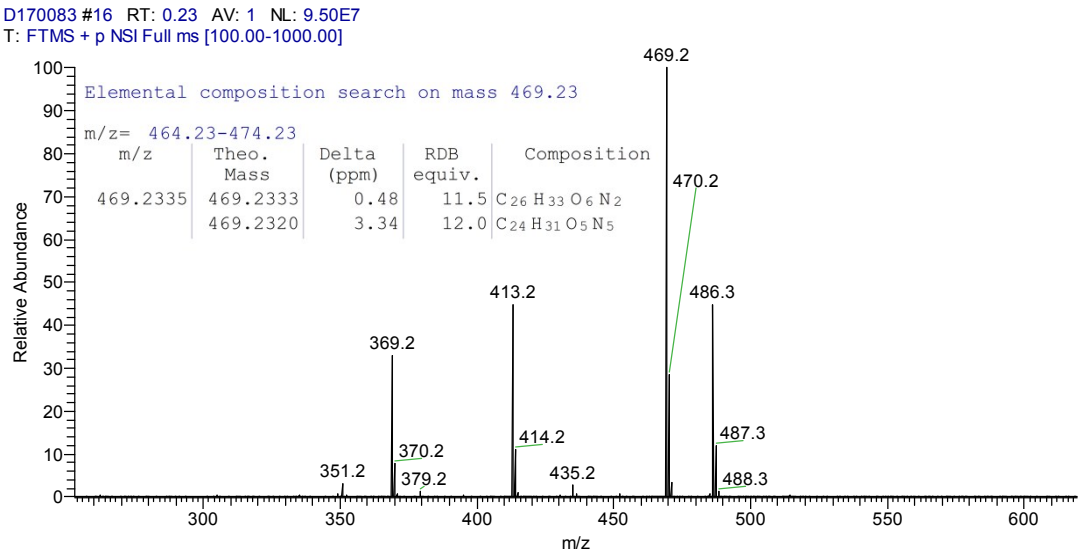


Figure S13-1. ^{1}H NMR ($CDCl_3$, 400 MHz) of **13a**

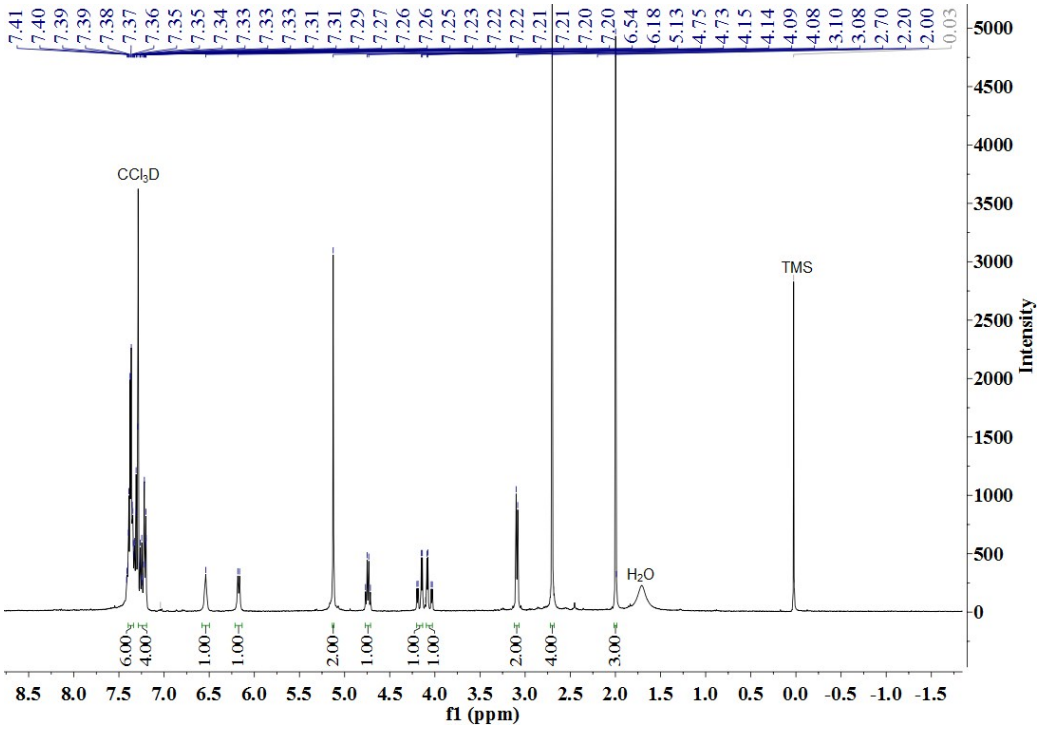


Figure S13-2. ^{13}C NMR (DMSO-*d6*, 100 MHz) of **13a**

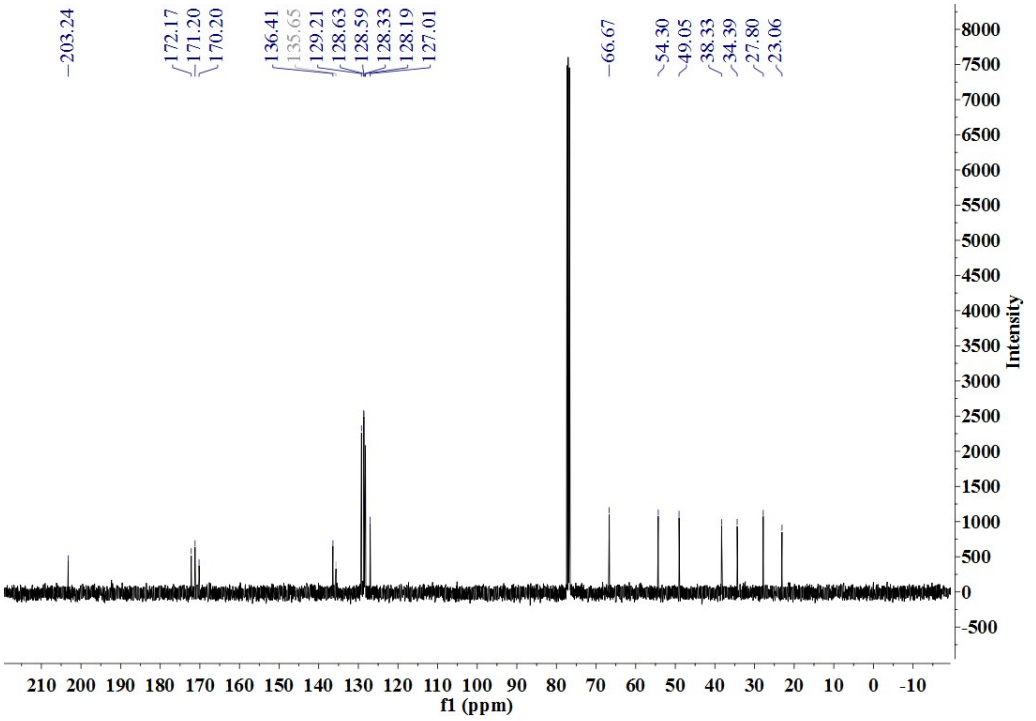
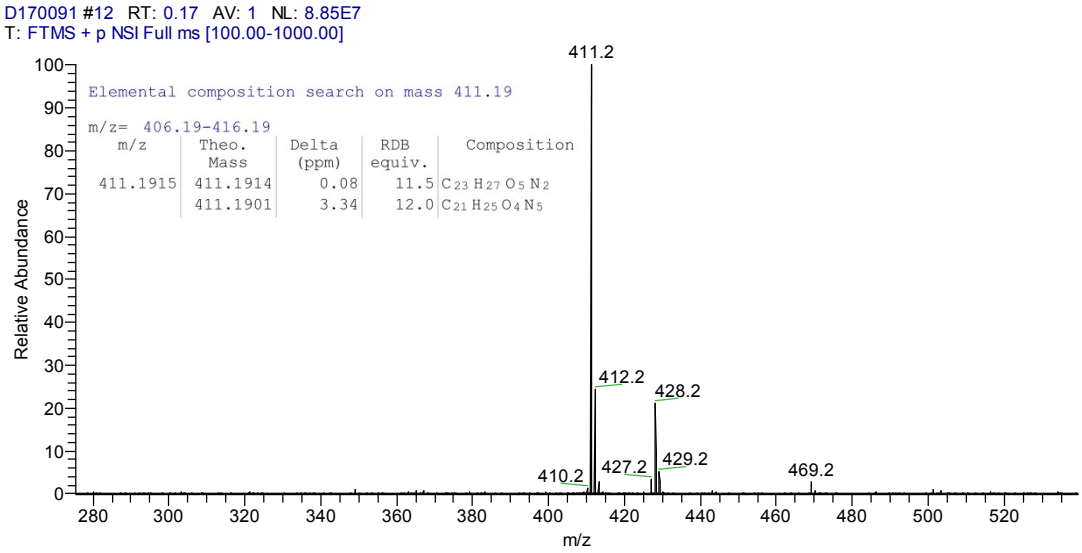


Figure S13-3. HRMS (MALDI-TOF) of **13a**



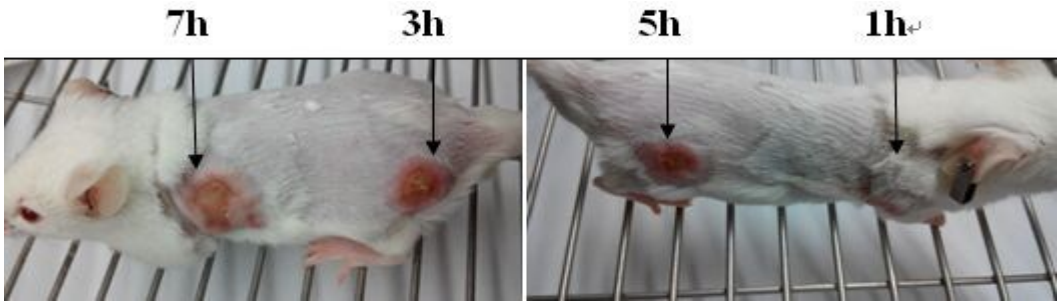


Figure S14 Efficacy of **11c** against sarcoma cell in Kunming mice bearing S 180 cells. Images of mice bearing S 180 tumors at 15 mg/kg before and following PDT at 1 h, 3 h, 5 h, and 7 h on the 5th day.

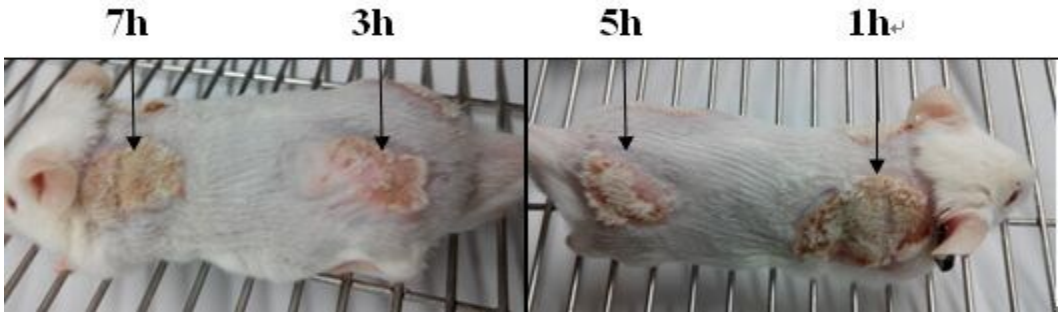


Figure S15 Efficacy of **9c** against sarcoma cell in Kunming mice bearing S 180 cells. Images of mice bearing S 180 tumors at 15 mg/kg before and following PDT at 1 h, 3 h, 5 h, and 7 h on the 5th day.

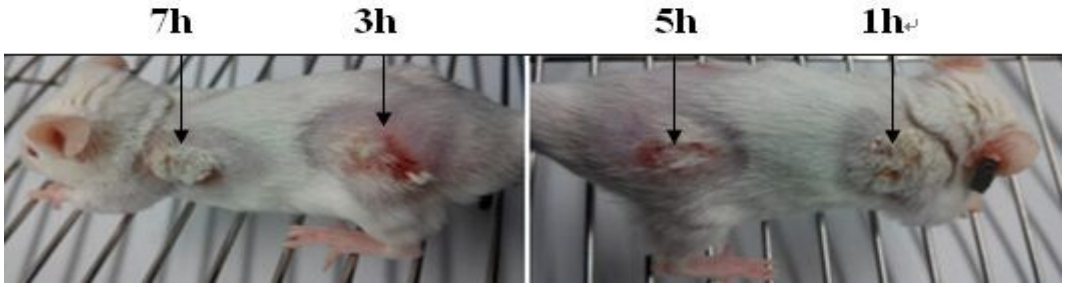


Figure S16 Efficacy of **11b** against sarcoma cell in Kunming mice bearing S 180 cells. Images of mice bearing S 180 tumors at 15 mg/kg before and following PDT at 1 h, 3 h, 5 h, and 7 h on the 5th day.

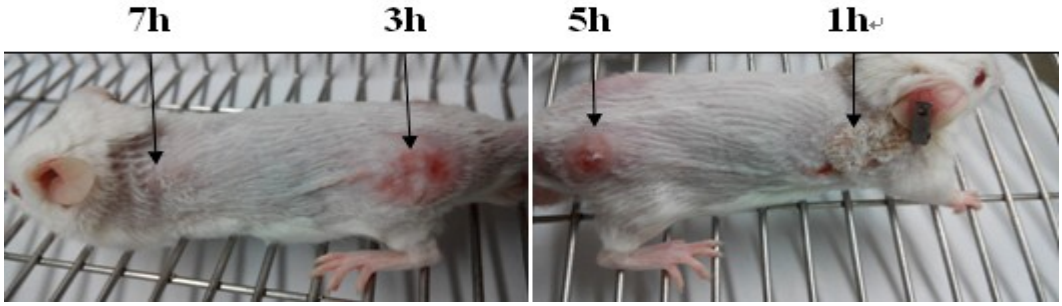


Figure S17 Efficacy of **9b** against sarcoma cell in Kunming mice bearing S 180 cells. Images of mice bearing S 180 tumors 15 mg/kg before and following PDT at 1 h, 3 h, 5 h, and 7 h on the 5th day.