Supporting Information

Folate Receptor Targeting and Cathepsin B-Sensitive Drug Delivery System for Selective Cancer Cell Death and Imaging

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1. General Methods

Anhydrous solvents were obtained from Sinopharm Ltd. ACS, HPLC grade solvents and 2-chlorotrityl chloride resin (1.40 mmol/g, 100-200 mesh) were purchased from Aladdin. Chemicals were purchased from Macklin. Folic acid (FA) was purchased from Civi Chem. 7-Ethyl-10-hydroxycamptothecin (SN38) was purchased from Knowshine Co. Peptides were purchased from GL Biochem Ltd. CA-074 Me, cathepsin B and biochemical reagent were purchased from Sigma Silica gel (particle size 100-200 mesh) and thin layer chromatography (TLC, layer thickness 0.20-0.25 mm) were obtained from Qingdao Haiyang Co. Deionized water was made using a Direct-Pure RO DI water system. All NMR spectra were measured on 300, 500 MHz Bruker NMR system. Mass spectra (MALDI-TOF MS) were measured on Shimadzu MALDI Axima-CFR instrument. Liquid chromatograph-mass spectrometer (LC-MS) were measured on a Agilent HP1100 instrument. Fluorescence spectra were measured on a Jasco FP-8200 instrument. Reversed-phase high performance liquid chromatography (RP-HPLC) analysis was carried out on a Shimadzu LC-16 system and run on a C18 column (250 × 4.6 mm, 5 μm particle size).

2. Experimental Procedures and Characterization Data

Alkyne-GFLG-COOH. Alkyne-GFLG-COOH was assembled on 2-chlorotrityl chloride resin (1000 mg, 1.0 mmol). Fmoc-Gly-OH (297.3 mg, 1.0 mmol, 1.0 equiv) and diisopropylethylamine (DIEA, 349 μL, 2.0 mmol, 2.0 equiv) was dissolved in HPLC *N,N′*-dimethylformamide (DMF) and sttirred 12 h. Fmoc amino acid (Fmoc-Leu-OH, Fmoc-Phe-OH, Fmoc-Gly-OH; 3 mmol, 3.0 equiv) and alkyne-linker–NH_2 (738.8 mg, 3 mmol, 3.0 equiv) was activated with 1-hydroxybenzotriazole (HOBt, 445.8 mg, 3.3 equiv) in 0.6 mL DMF and coupled in the presence *N,N′*-diisopropylcarbodiimide (DIC, 3.0 equiv) at room temperature for 4 h with shaking in turn. The Fmoc group was removed by treatment with 25% piperidine in DMF. Removal of the resin by using 5% trifluoroacetic acid (TFA) in 5 mL CH_2Cl_2 to give alkyne-GFLG-COOH (278.9 mg, 65%). **MALDI-TOF-MS** *m/z* calcd for $C_{30}H_{44}N_4Na\ O_{10}^+$ $[M+Na]^+$ 643.3, found 643.8.

Alkyne-GFLG-PABOH. Alkyne-GFLG-COOH (192.4 mg, 0.31 mmol) was dissolved in anhydrous DMF with an ice-bath. 2-(1H-benzotriazol-1-yl)-1,1,3,3-tetramethyluroni-um hexafluorophosphate (HBTU, 117.6 mg, 0.37 mmol), DIEA (0.13 mL, 0.74 mmol) was added to the solution and stirred for 10 min with an ice-bath. Added 4-aminobenzyl alcohol (PABOH, 45.8 mg, 0.37 mmol) to the solutions and stirred at room temperature for 4 h. Then added 50 mL of DI water to the solution. The solvent was extracted with ethyl acetate (EtOAc, 30 mL × 3). The organic layers were combined and washed with brine (50 mL) and dried with Na_2SO_4, followed by filtration and concentration using a rotary evaporator. Silica gel column chromatography was used to purify the residue, eluting with CH_2Cl_2:MeOH = 30:1 to 10:1 (TLC: CH_2Cl_2:MeOH = 12:1, R_f = 0.26) and obtaining the white solids of alkyne-GFLG-PABOH (86.1 mg, 38%). **^{1}H NMR** (500 MHz, DMSO-d_6) δ 0.85 (d, *J* = 6.5 Hz, 3 H), 0.90 (d, *J* = 6.5 Hz, 3 H), 1.52 (t, *J* = 7.2 Hz, 2 H), 1.61 (td, *J* = 13.2, 6.5 Hz, 1 H), 2.79 (dd, *J* = 13.8, 9.4 Hz, 1 H), 3.04 (dd, *J* = 13.8, 4.3 Hz, 1 H), 3.32 (d, *J* = 2.9 Hz, 4 H), 3.40 (t, *J* = 2.3 Hz, 1 H), 3.60–3.50 (m, 8 H), 3.65 (dd, *J* = 16.5, 5.7 Hz, 1 H), 3.77 (dd, *J* = 16.5, 5.8 Hz, 1 H), 3.87 (dd, *J* = 12.4, 7.2 Hz, 4 H), 4.16–4.10 (m, 2 H), 4.30 (dd, *J* = 15.0, 7.6 Hz, 1 H), 4.43 (d, *J* = 5.7 Hz, 2 H), 4.56 (td, *J* = 8.7, 4.7 Hz, 1 H), 5.08 (t, *J* = 5.7 Hz, 1H), 7.17 (t, *J* = 6.4 Hz, 1 H), 7.27 – 7.21 (m, 6 H), 7.56 (d, *J* = 8.2 Hz, 2 H), 7.79 (t, *J* = 5.4 Hz, 1 H), 8.20 – 8.08 (m, 3 H), 9.76 (s, 1 H); **^{13}C NMR** (126 MHz, DMSO-d_6) 22.13, 23.48, 24.57, 37.86, 41.10, 41.94, 43.13, 51.87, 54.26, 57.95, 63.07, 68.98, 69.96, 69.99, 70.22, 70.32, 70.73, 77.55, 80.80, 119.29, 126.72, 127.43, 128.50, 129.68, 137.89, 138.12, 167.82, 169.02, 170.05, 171.56, 172.73; **MALDI-TOF-MS** *m/z* calcd for $C_{37}H_{51}N_5\ Na\ O_{10}^+$ $[M+Na]^+$ 748.4, found 748.3.

Alkyne-GFLG-SN38. The alkyne-GFLG-PABOH (86.1 mg, 0.12 mmol) was dissolved with anhydrous CH_2Cl_2, added PBr_3 (6 μL, 0.06 mmol) in an ice-bath and stirred for 1 h. Used 5% $NaHCO_3$ to adjust the solution to pH = 9-10, The solution were added to 50 mL CH_2Cl_2 before being rinsed with DI water (50 mL × 3) and 50 mL brine. The CH_2Cl_2 phase was dried using anhydrous $NaSO_4$, followed by filtration and concentration using a rotary evaporator, obtaining the white solids (TLC: CH_2Cl_2:MeOH = 12:1, R_f = 0.45; 100.9 mg, 96.8%). To a stirred solution of SN38 (60.3 mg, 0.15 mmol), $CsCO_3$ (29.2 mg, 0.09 mmol) and 18-crown-6 (47.4 mg, 0.18 mmol) in 3 mL anhydrous DMF for 1 h, added the above white solids (100.9 mg, 0.13 mmol) into solution and stirred for 48 h in dark. The solutions were added to 100 mL DI water, the aqueous phase was extracted with EtOAc (50 mL × 3), The EtOAc phase was rinsed with 50 mL brine and dried using anhydrous $NaSO_4$, followed by filtration and concentration using a rotary evaporator. Silica gel column chromatography was used to purify the residue, eluting with CH_2Cl_2:MeOH = 40:1 to 9:1 (TLC: CH_2Cl_2:MeOH = 12:1, R_f = 0.32) and obtaining the yellow solids of alkyne-GFLG-SN38 (61.3 mg, 44%). **^{1}H NMR** (500 MHz, DMSO-d_6) δ 0.93–0.81 (m, 9 H), 1.27 (dd, *J* = 9.5, 5.1 Hz, 3 H), 1.55–1.49 (m, 2 H) , 1.67–1.58 (m, 1 H), 1.93–1.80 (m, 2 H), 2.82–2.72 (m, 1 H), 3.04 (dd, *J* = 13.9, 4.2 Hz, 1 H), 3.17 (d, *J* = 4.8 Hz, 2 H), 3.33 (s, 8 H), 3.41 (t, *J* = 2.3 Hz, 1 H), 3.59–3.47 (m, 10 H), 3.65 (dd, *J* = 16.5, 5.7 Hz, 1 H), 3.78 (dd, *J* = 16.5, 5.8 Hz, 1 H), 3.89 (s, 2 H), 4.11 (dd, *J* = 15.5, 3.7 Hz, 2 H), 4.30 (dd, *J* = 15.0, 7.5 Hz, 1 H), 4.56 (dd, *J* = 12.7, 8.9 Hz, 1 H), 5.29 (s, 2 H), 5.44–5.37 (m, 1 H), 6.49 (d, *J* = 6.7 Hz, 1 H), 7.18–7.13 (m, 1 H), 7.29–7.21 (m, 4 H), 7.50 (d, *J* = 8.4 Hz, 2 H), 7.61–7.54 (m, 2 H), 7.67 (d, *J* = 8.3 Hz, 2 H), 7.80 (t, *J* = 5.6 Hz, 1 H), 8.12–8.04 (m, 2 H), 8.18 (dd, *J* = 14.9, 6.7 Hz, 2 H), 9.90 (s, 1 H); **^{13}C NMR** (126 MHz, DMSO-d_6) δ 8.23, 13.92, 22.13, 23.47, 24.57, 29.47, 30.72, 37.86, 41.10, 41.94, 43.15, 49.07, 50.87, 51.86, 54.25, 57.95, 65.73, 68.97, 69.95, 69.98, 70.26, 70.72, 72.88, 77.55, 80.79, 96.49, 104.25, 119.52, 123.14, 126.70, 128.49, 128.88, 129.24, 129.68, 131.93, 138.11, 139.14, 144.94, 146.79, 150.55, 156.13, 157.69, 168.06, 169.01, 170.05, 171.56, 172.76, 173.01; **MALDI-TOF-MS** *m/z* calcd for $C_{59}H_{69}N_7NaO_{14}^+$ $[M+Na]^+$ 1122.5, found 1122.9. HPLC retention time: 29.8 min.

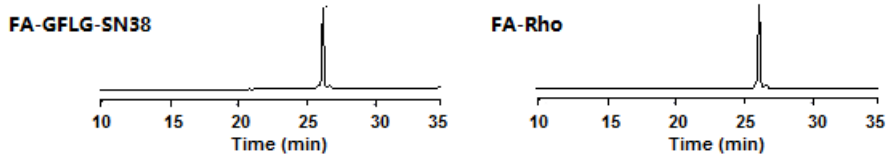
FA-GFLG-SN38. To a stirred solution of FA-N_3 (5.8 mg, 0.009 mmol) and alkyne-GFLG-SN38 (11.9 mg, 0.011 mmol) in 0.4 mL anhydrous DMSO, and was added with 0.1 mL aqueous solution of sodium ascorbate (1.8 mg, 0.009 mmol) and $CuSO_4$ (2.3 mg, 0.009 mmol). The reaction mixture was stirred at room temperature for overnight. The mixture were purificated to semi-preparative RP-HPLC with a gradient of 5–100% CH_3CN (0.1% TFA) in water (0.1% TFA) over 90 min and lyophilized, obtaining the yellow solids of FA-GFLG-SN38. **^{1}H NMR** (500 MHz, DMSO-d_6) δ 0.94–0.78 (m, 9H), 1.28–1.22 (m, 4H), 1.55–1.48 (m, 2H), 1.68–1.55 (m, 2H), 2.08–1.80 (m, 4H), 2.30–2.16 (m, 2H), 2.79 (dd, *J* = 13.7, 9.3 Hz, 1H) , 3.05 (dd, *J* = 13.9 4.2 Hz, 1H), 3.21-3.13 (m, 3H), 3.59–3.35 (m, 20H), 3.65 (dd, *J* = 16.5, 5.6 Hz, 2H), 3.78 (dd, *J* = 17.9, 4.6 Hz, 3H), 3.90 (d, *J* = 16.3 Hz, 4H), 4.06–4.01 (m, 1H), 4.42–4.13 (m, 12H), 4.59–4.48 (m, 7H), 5.29 (s, 3H), 5.43 (s, 1H), 6.64 (dd, *J* = 8.6, 3.1 Hz, 1H), 7.15 (s, 1H), 7.22 (d, *J* = 3.3 Hz, 3H), 7.27 (s, 1H), 7.50 (d, *J* = 8.4 Hz, 2H), 7.61–7.54 (m, 2H), 7.66 (dd, *J* = 16.7, 7.4 Hz, 3H), 7.80 (t, *J* = 5.6 Hz, 1H), 7.91–7.83 (m, 1H), 7.99–7.92 (m, 1H), 8.04 (d, *J* = 4.3 Hz, 1H), 8.10 (dd, *J* = 17.1, 8.7 Hz, 2H), 8.23–8.14 (m, 2H), 8.68 (s, 1H), 9.91 (s, 1H); **^{13}C NMR** (126 MHz, DMSO-d_6) δ 8.22, 13.91, 22.11, 22.71, 23.48, 24.56, 30.73, 30.88, 32.41, 37.85, 39.00, 40.58, 40.90, 41.95, 43.16, 46.31, 49.76, 49.99, 51.88, 54.29, 63.97, 65.73, 66.21, 69.16, 69.42, 69.51, 69.99, 70.06, 70.12, 70.21, 70.30, 70.71, 72.88, 96.50, 104.25, 111.68, 115.66, 118.01, 118.74, 119.53, 120.36, 121.90, 122.98, 124.62, 126.60, 128.28, 128.41, 128.48, 128.86, 129.22, 129.67, 131.77, 131.92, 138.10, 139.14, 144.25, 144.37, 144.93, 146.78, 148.72, 150.12, 150.55, 151.15, 153.80, 157.33, 157.69, 158.54, 158.81, 168.07, 169.03, 170.07, 171.57, 172.17, 172.76, 173.00, 174.27, 174.54; **MALDI-TOF-MS** *m/z* calcd for $C_{86}H_{104}N_{18}NaO_{22}^+$ $[M+Na]^+$ 1763.7, found 1763.7. HPLC retention time: 26.4 min.

Alkyne-Rho. Alkyne-linker-COOH (74.1 mg, 0.30 mmol) and Rhodamine B-pip (150.0 mg, 0.30 mmol) in 50 mL anhydrous CH_2Cl_2, and was added HBTU (114.0 mg, 0.30 mmol) and DIEA (126.0 μL, 0.72 mmol). The reaction

mixture was stirred at room temperature for 4 h. The solution were rinsed with DI water (50 mL × 3) and 50 mL brine. The CH_2Cl_2 phase was dried using anhydrous $NaSO_4$, followed by filtration and concentration using a rotary evaporator. Silica gel column chromatography was used to purify the residue, eluting with CH_2Cl_2:MeOH = 40:1 to 5:1 (TLC: CH_2Cl_2:MeOH = 12:1, R_f = 0.56) and obtaining the pink solids of alkyne-Rho (171.1 mg, 87.2%). **^{1}H NMR** (500 MHz, DMSO-d_6) δ 1.21 (dd, J = 13.2, 6.3 Hz, 9H), 3.33 (t, J = 38.1 Hz, 18H), 3.51 (s, 10H), 3.65 (q, J = 6.8 Hz, 6H), 4.11 (s, 2H), 6.95 (d, J = 1.9 Hz, 1H), 7.11 (d, J = 8.4 Hz, 1H), 7.16 (d, J = 9.5 Hz, 1H), 7.35 (t, J = 7.6 Hz, 1H), 7.45 (t, J = 7.6 Hz, 1H), 7.54–7.50 (m, 1H), 7.63 (d, J = 8.2 Hz, 1H) , 7.71 (dd, J = 6.1, 2.8 Hz, 1H) , 7.76 (p, J = 6.6 Hz, 1H), 7.91 (d, J = 8.4 Hz, 1H); **^{13}C NMR** (126 MHz, DMSO-d_6) δ 12.90, 45.87, 57.95, 68.97, 69.94, 69.99, 70.16, 70.24, 77.57, 80.78, 96.38, 110.40, 113.51, 114.74, 119.37, 124.53, 127.98, 130.24, 131.18, 132.25, 135.70, 155.59, 157.54, 167.89; **MALDI-TOF-MS** m/z calcd for $C_{43}H_{55}N_4O_7^+$ $[M]^+$ 739.4, found 739.8. HPLC retention time: 31.6 min.

FA-Rho. To a stirred solution of FA-N_3 (3.0 mg, 0.005 mmol) and alkyne-Rho (6.2 mg, 0.006 mmol) in 0.4 mL anhydrous DMSO, and was added with 0.1 mL aqueous solution of sodium ascorbate (0.9 mg, 0.005 mmol) and $CuSO_4$ (1.2 mg, 0.005 mmol). The reaction mixture was stirred at room temperature for overnight. The mixture were purificated to semi-preparative RP-HPLC with a gradient of 5–100% CH_3CN (0.1% TFA) in water (0.1% TFA) over 90 min and lyophilized, obtaining the pink solids of FA-Rho. **^{1}H NMR** (500 MHz, DMSO-d_6) δ 1.20 (t, J = 6.8 Hz, 12H), 2.08–1.83 (m, 2H), 2.22 (ddd, J = 15.0, 13.7, 7.3 Hz, 2H) , 3.20–3.13 (m, 2H) , 3.27 (d, J = 22.3 Hz, 4H) , 3.39–3.33 (m, 4H) , 3.54–3.42 (m, 20H) , 3.64 (d, J = 7.0 Hz, 8H) , 3.81–3.77 (m, 2H), 4.10 (s, 3H) , 4.31–4.24 (m, 3H) , 4.35 (dd, J = 11.3, 5.5 Hz, 3H) , 4.52–4.46 (m, 6H) , 6.69–6.55 (m, 2H) , 6.94 (s, 2H), 7.10 (s, 2H) , 7.15 (d, J = 9.5 Hz, 2H) , 7.55–7.51 (m, 1H) , 7.68–7.59 (m, 2H) , 7.72–7.68 (m, 1H) , 7.77–7.73 (m, 2H) , 7.89–7.83 (m, 1H) , 7.98–7.92 (m, 1H) , 8.03 (s, 1H), 8.17 (dd, J = 17.3, 7.5 Hz, 1H), 8.67 (s, 1H); **^{13}C NMR** (126 MHz, DMSO-d_6) δ 12.88, 27.02, 30.95, 32.41, 38.99, 40.91,45.86, 49.76, 52.68, 63.96, 69.16, 69.41, 69.52, 69.84, 69.99, 70.06, 70.13, 70.17, 70.20, 70.25, 96.38, 111.67, 113.50, 114.72, 115.51, 117.85, 119.99, 121.89, 124.68, 127.97, 128.41, 129.25, 129.43, 130.23, 130.31, 130.89, 131.18, 132.25, 135.69, 144.23, 148.77, 151.16, 153.83, 155.58, 156.05, 157.53, 158.52, 158.79, 167.89, 172.15, 174.28, 174.54; **MALDI-TOF-MS** m/z calcd for $C_{70}H_{90}N_{15}O_{15}^+$ $[M]^+$ 1380.6, found 1380.4. HPLC retention time: 26.5 min.

Compounds analysis by RP-HPLC. Analytic RP-HPLC with a gradient of 5–100% CH_3CN (0.1% TFA) in water (0.1% TFA) over 60min (C18 column, 250 × 4.6 mm, 5 μm particle size; flow rate,1mL/min; detection at 254 nm).



3. Release of SN38 from FA-GFLG-SN38 by recombinant cathepsin B.

0.40 mg of FA-GFLG-SN38 was dissolved in DMSO (22.9 μL) to make a 10 mM solution. Cathepsin B (EC 3.4.22.1, 25 units) from bovine spleen was dissolved in 2 mL of 10 mM PBS buffer (pH = 7.0). A solution of cathepsin B (5.0 μL) was added to dithiothreitol (DTT, 12 μL, 30 mM) and ethylene diamine tetraacetic acid (EDTA, 6 μL, 15 mM) at room temperature and activated for 15 min. In parallel, FA-GFLG-SN38 (0.5 μL, 10 mM) was added to 32 μL of 25 mM acetate containing 1 mM EDTA (pH 5.0) and activated cathepsin B (18 μL), incubated at 37 °C for 1 h, 2 h. The mobile phase used for elution with a gradient of 5–100% CH_3CN (0.1% TFA) in water (0.1% TFA) at a flow rate of 1 mL/min over 60 min. The data were collected at λ_{max} = 254 nm.

Release of SN38 from FA-GFLG-SN38 by cathepsin B was further monitored by using a fluorometer in 37 °C for 2 h. FA-GFLG-SN38 (1.5 μL, 10 mM) was dissolved in acetate (3 mL, 25 mM) containing 1 mM EDTA (pH 5.0) to make a 5 μM solution. Cathepsin B (40 μL) was activated with DTT (20 μL, 30 mM) and EDTA (10 μL, 15 mM). In parallel, added 35 μL activated cathepsin B to the above 5 μM solution. The third group of 5 μM solution with activated cathepsin B (35 μL) and CA-074 Me (20 μL, 50 mM). The data were collected at λ_{ex} = 365 nm, λ_{em} = 540 nm.

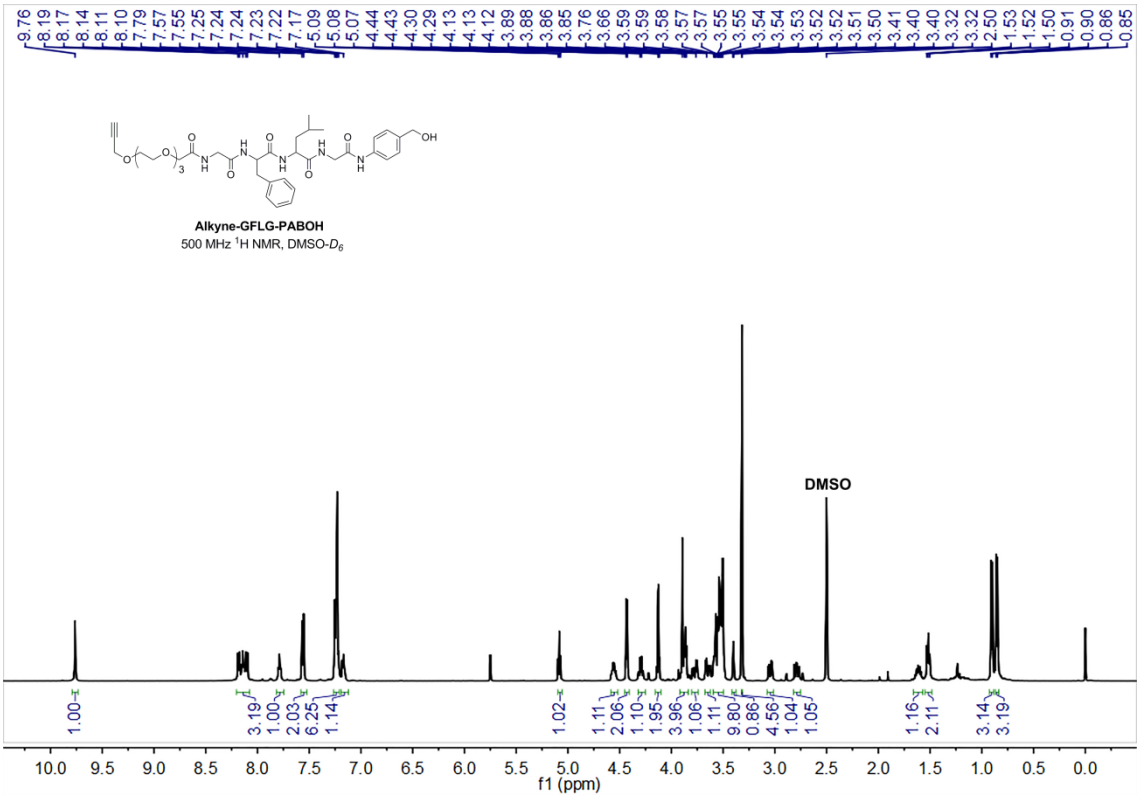
4. Biochemical Methods

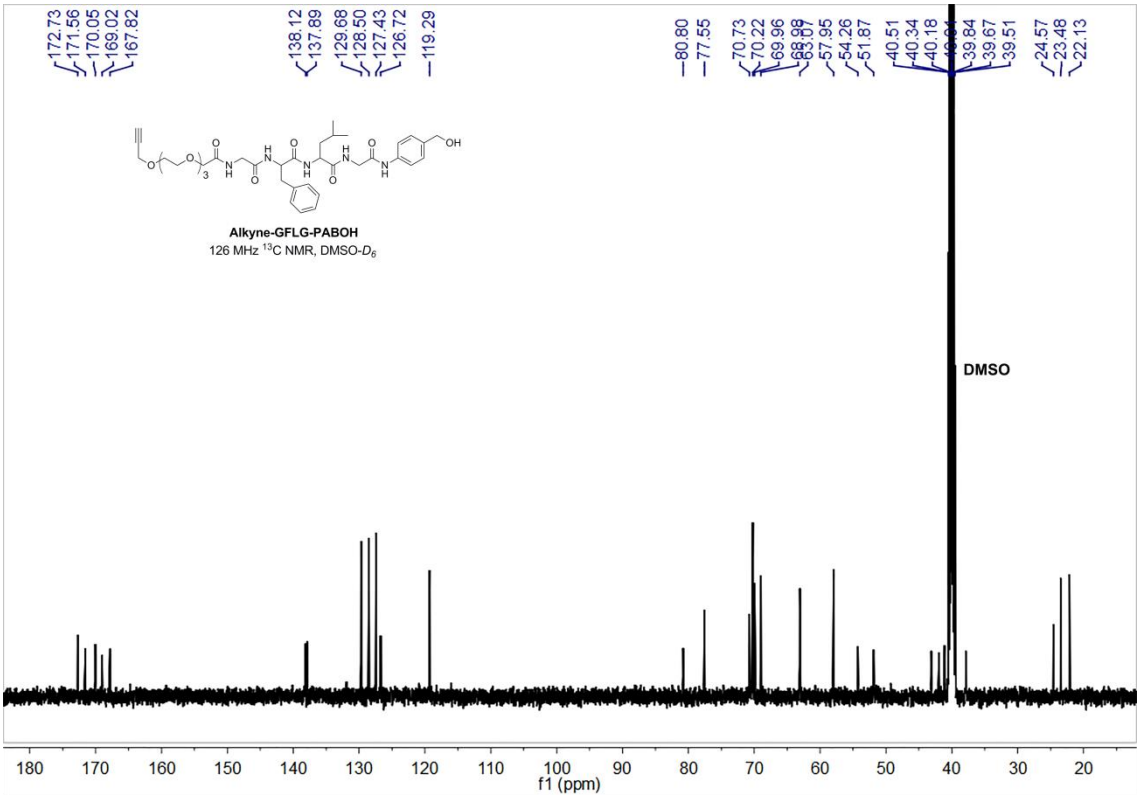
Incubation of cells with FA-GFLG-SN38 and FA-Rho. HeLa (human cervical carcinoma cell), HepG2 (human hepatoma cells), 16-HBE (human bronchial epithelial cells), A549 (human non-small cell lung cancer cell) and SK-Hep-1 (human hepatoma cells) cells were purchased from ATCC (Manassas, VA) and maintained in DMEM media respectively from Gibico (NY, USA) supplemented with 10% fetal bovine serum, 100 μg/mL penicillin and streptomycin (Solarbio, BJ, China). All cells were cultured under 5% CO_2 and 95% air atmosphere at 37 °C.

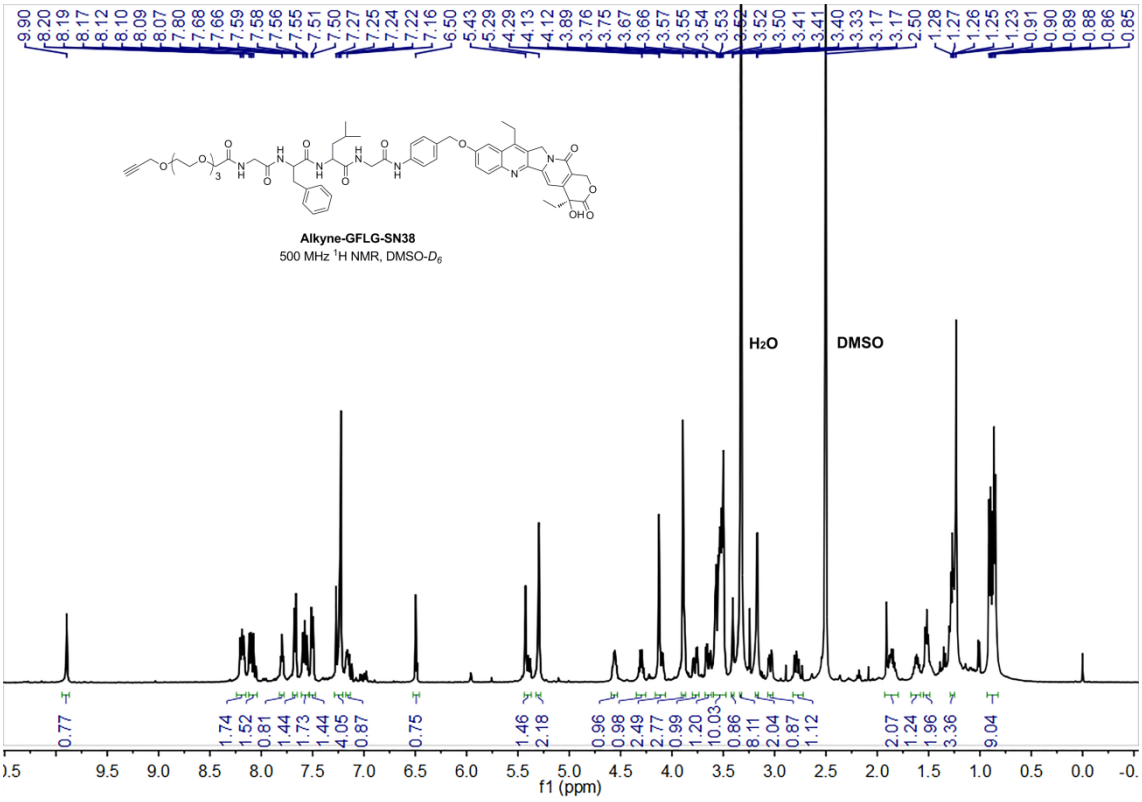
***In vitro* cytotoxicity testing by MTT assay.** For MTT(3-(4,5-Dimethylthiazol-2-yl)-2,5-Diphenyltetrazolium bromide) assay, SK-Hep-1, Hela, Siha, A549 and 16HBE cells were seeded in a 96-well plate at a density of 1×10^3 cells per well. After 24 h incubation, the growth media were removed and the cells were incubated with 60 μL growth media containing 0, 0.05, 0.1, 0.2, 0.5, 1, 2, 5, 10, 20 μM compound FA-GFLG-SN38 or SN38 for 72 h. Subsequently, 10μL of MTT (5 mg/mL) were added to each well and incubated for 4 h. 200 μL of DMSO was added to each well with vigorous mixing and further incubated for 10 min at room temperature. The optical density (OD) was recorded at 560 nm, and the percent viability was calculated relative to the untreated control after subtracting the background.

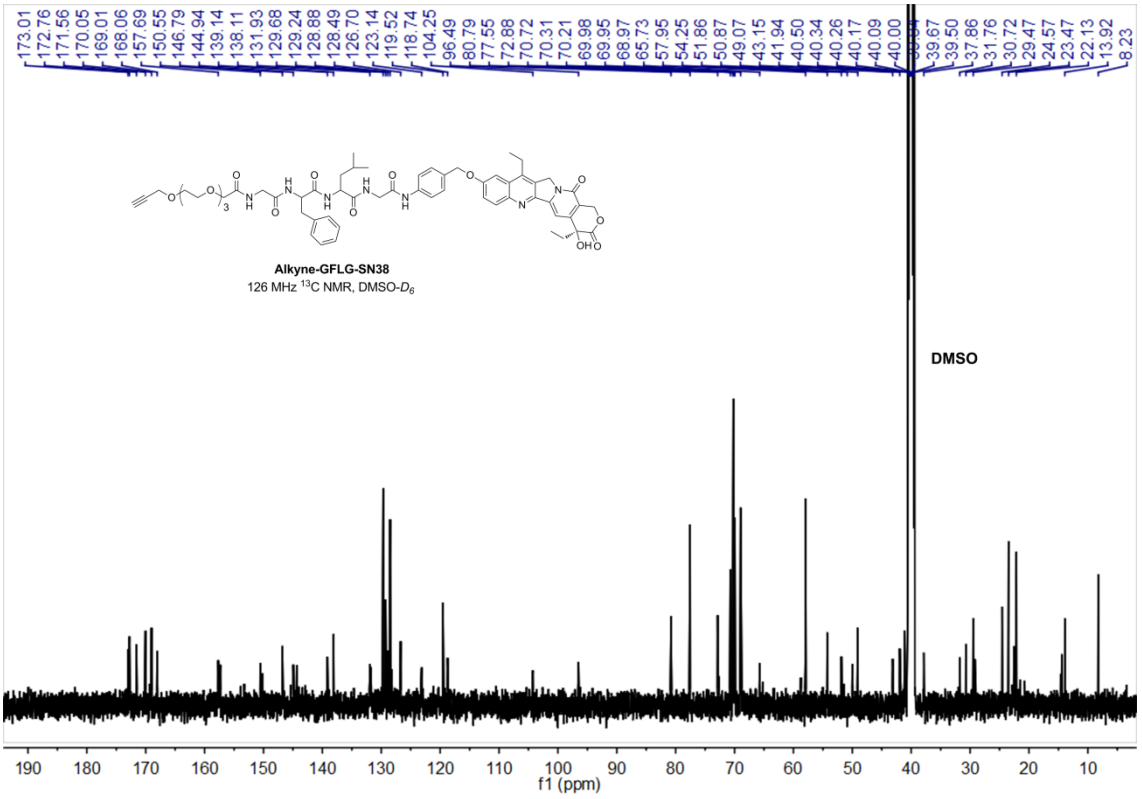
Quantitation of fluorescence intensity of cells incubated with FA-GFLG-SN38 and FA-Rho. 16HBE, A549, SK-Hep-1 cells were seeded on a cover slip in a 6-well plate at a density of 3×10^4 cells per well in culture media. After 24 h, the cells were incubated with 5 μM FA-GFLG-SN38 or FA-Rho in the culture media for 24 h at 37 °C. After rinsing twice with phosphate buffered saline (PBS), the cells were fixed with 4% paraformaldehyde for 15 min at room temperature, followed by washing twice with PBS and permeabilized with 0.4%(v/v) triton X-100 for 15 min. After washing twice with PBS, added 2 mL of Hoechst 33342 (1 μg/mL) and incubated for 15 min. Then cells were washed twice with ultrapure water to remove the salts and mounted on the slides. For competition experiments, the cells were incubated with 3mM FA in the culture media for 1 h at 37 °C, Without washing, 5 μM FA-GFLG-SN38 or FA-Rho was added and incubated for 24 h at 37 °C. For inhibition of CTSB, the cells were incubated with 20 μM CA-074 Me in the culture media for 24 h at 37 °C, Without washing, 5 μM FA-GFLG-SN38 or FA-Rho was added and incubated for 24 h at 37 °C. The cells were examined with confocal microscope (Nikon) at 60 X magnification.

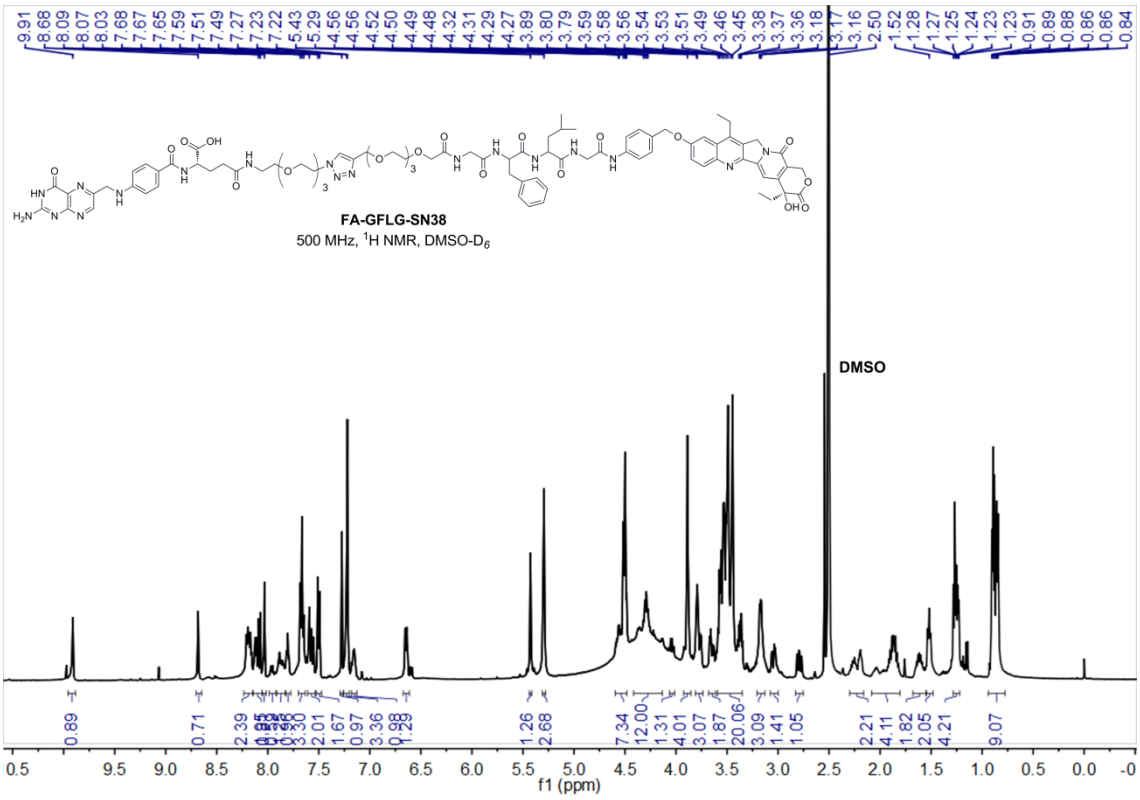
5. NMR Spectra

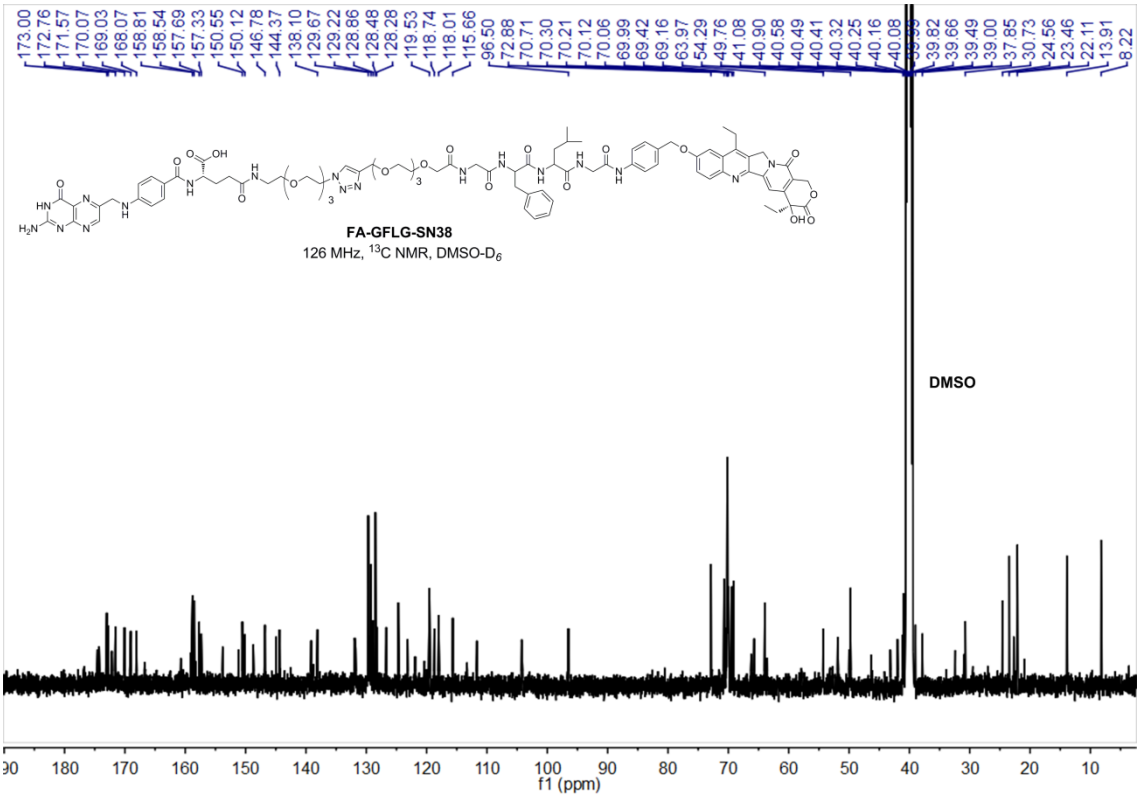


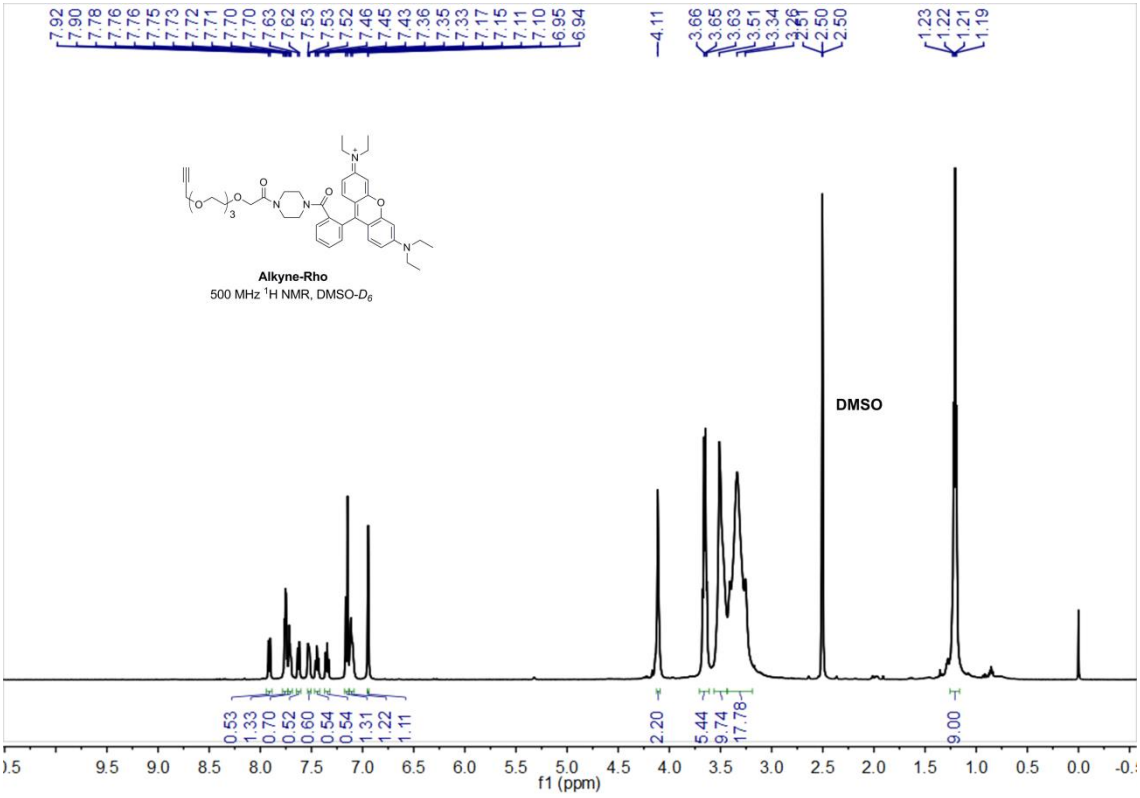


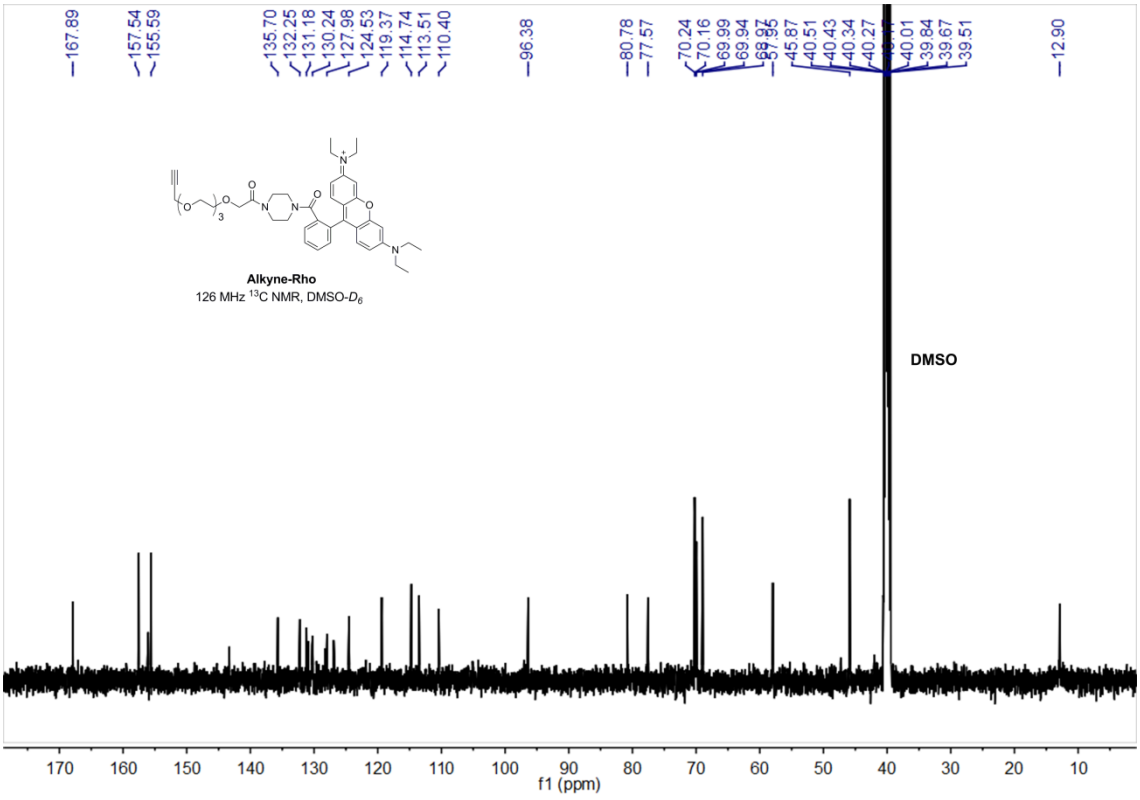

Alkyne-GFLG-SN38
500 MHz ^{1}H NMR, DMSO-D_6
H2O
DMSO
f1 (ppm)

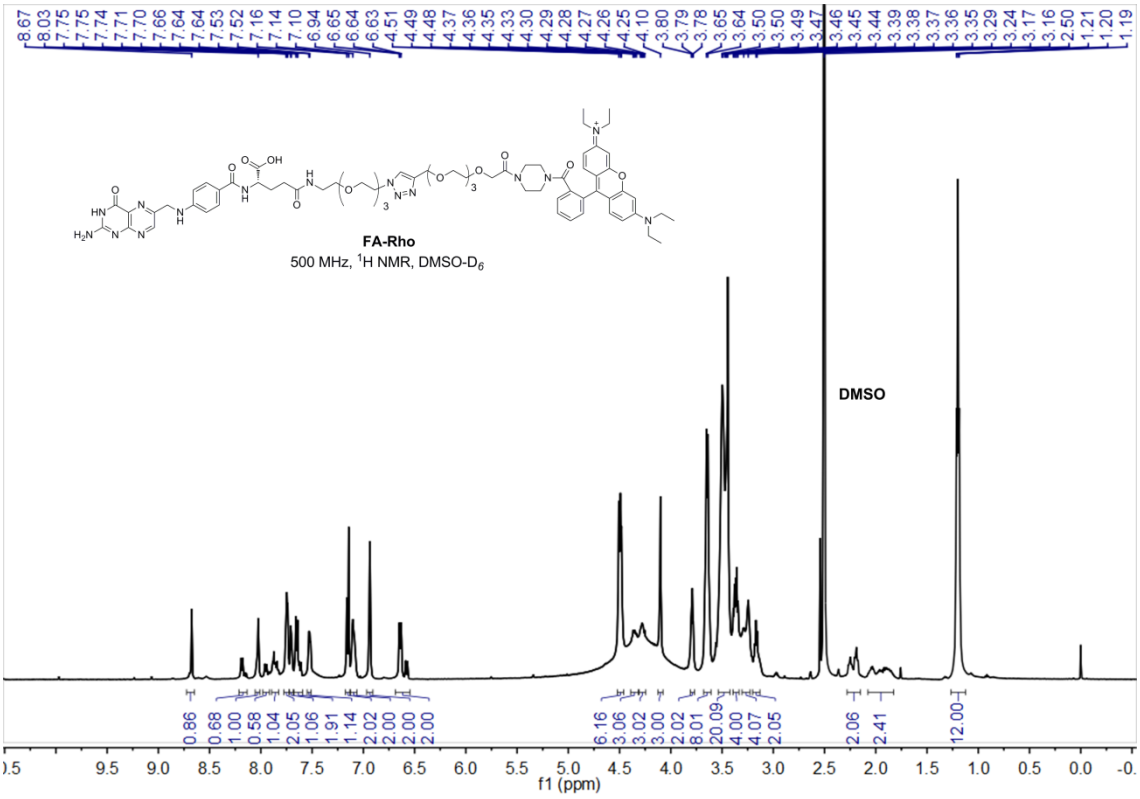

Alkyne-GFLG-SN38
126 MHz ^{13}C NMR, DMSO-D_6
DMSO
f1 (ppm)

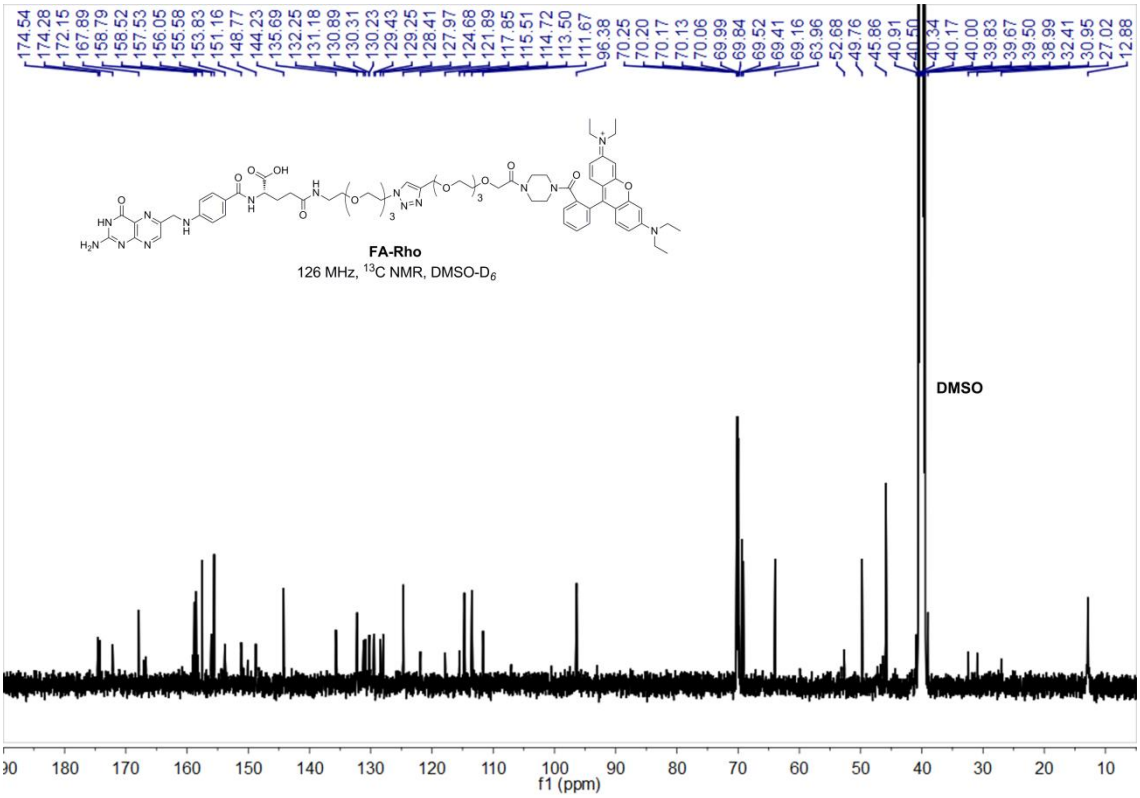

FA-GFLG-SN38
500 MHz, ^{1}H NMR, DMSO-D_6
DMSO
f1 (ppm)


FA-GFLG-SN38
126 MHz, ^{13}C NMR, DMSO-D_6
DMSO
f1 (ppm)

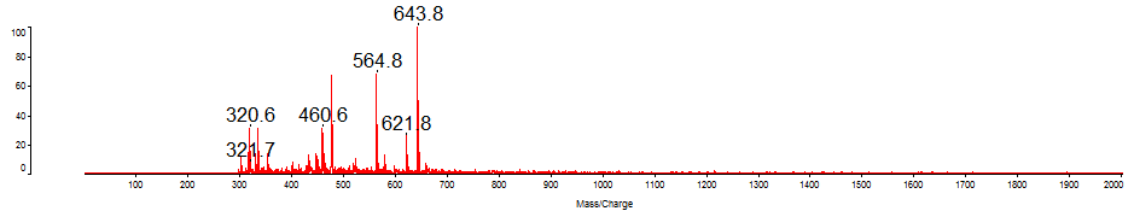

Alkyne-Rho
500 MHz ^{1}H NMR, DMSO-D_6
DMSO
f1 (ppm)


Alkyne-Rho
126 MHz ^{13}C NMR, DMSO-D_6
DMSO
f1 (ppm)

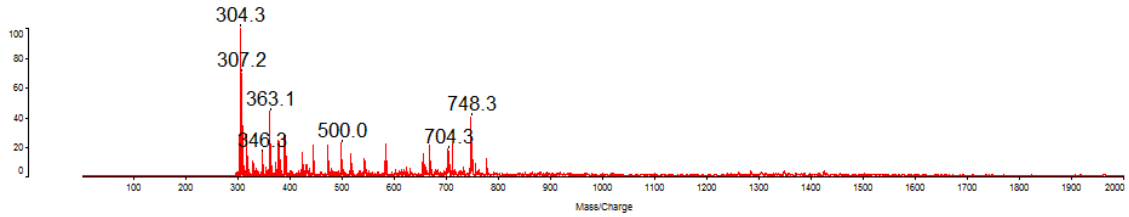

FA-Rho
500 MHz, ^{1}H NMR, DMSO-D_6
DMSO
f1 (ppm)


FA-Rho
126 MHz, ^{13}C NMR, DMSO-D_6
DMSO
f1 (ppm)

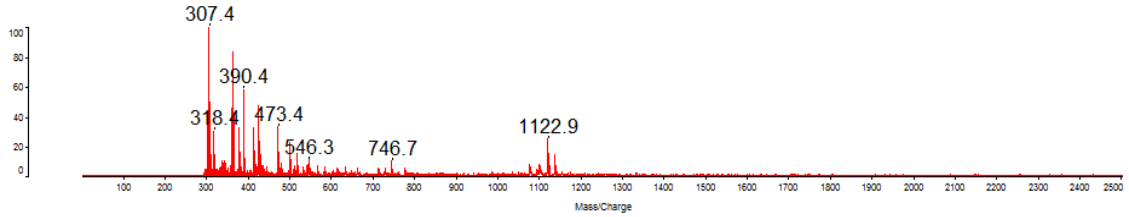
MALDI-TOF-MS data of Alkyne-GFLG-COOH.



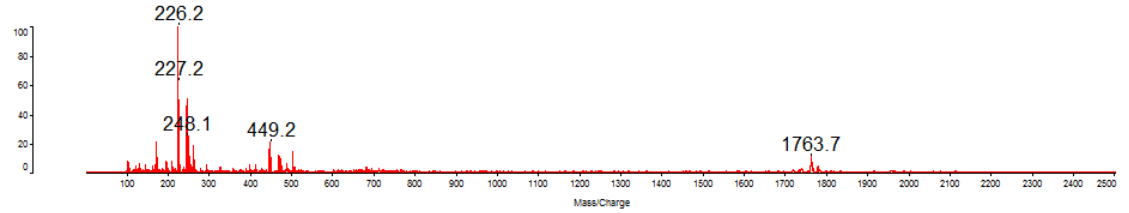
MALDI-TOF-MS data of Alkyne-GFLG-PABOH.



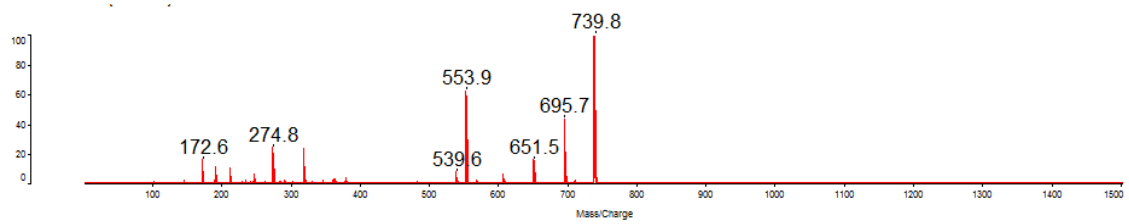
MALDI-TOF-MS data of Alkyne-GFLG-SN38.



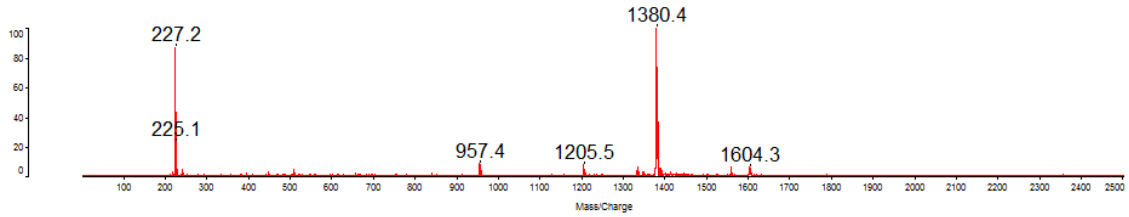
MALDI-TOF-MS data of FA-GFLG-SN38.



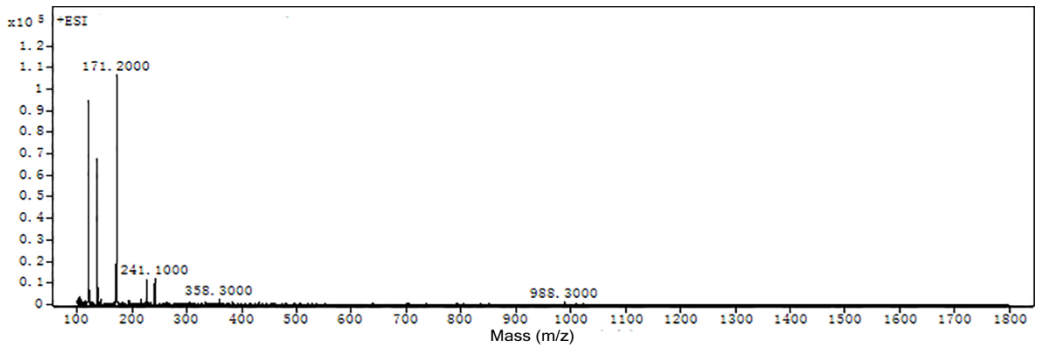
MALDI-TOF-MS data of Alkyne-Rho.



MALDI-TOF-MS data of FA-Rho.



MS data of Leu-Gly.



MALDI-TOF-MS data of FA-linker-Gly-Phe-OH.