Electronic Supplementary Information for Brønsted Acid-Catalyzed Solvent-Controlled Regioselective Thiolation of 2-Furylcarbinols

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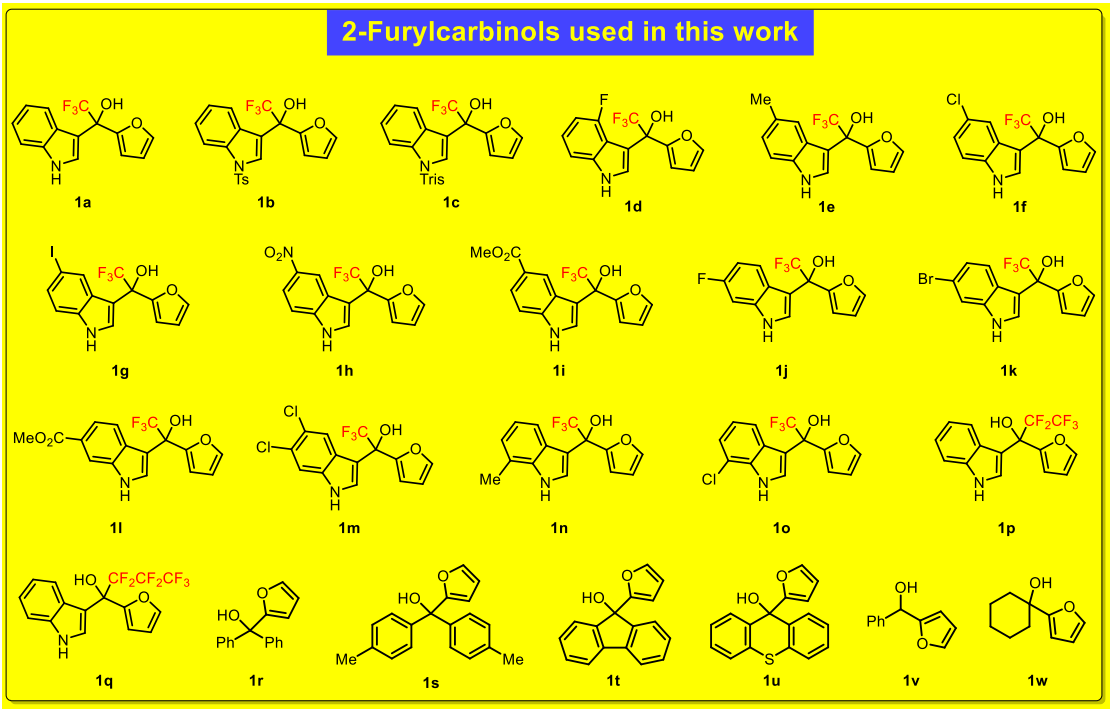
1. General information

1,2-dichloroethane, acetonitrile, and all thiols were purchased from commercial sources and used directly without further purification. An oil bath was used as the heating source for reactions that required heating. Analytical thin layer chromatography (TLC) was performed using pre-coated silica gel plate. Visualization was achieved by UV light (254 nm). Flash chromatography was performed using silica gel and gradient solvent system (Petroleum ether: EtOAc as eluent). ^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra were recorded in $CDCl_3$ or DMSO-d_6 on a 400 or 600 MHz NMR spectrometer. Chemical shifts (ppm) were recorded with tetramethylsilane (TMS) as the internal reference standard. Multiplicities are shown as the abbreviations: s (singlet), brs (broad singlet), d (doublet), t (triplet), q (quartet), dd (doublet of doublets), td (triplet of doublets), dt (doublet of triplet), or m (multiplet). The number of protons (*n*) for a given resonance is indicated by *n*H and coupling constants are reported as a *J* value in Hz. High resolution mass spectra (HRMS) were obtained on a LC/HRMS TOF spectrometer using simultaneous electrospray (ESI). Melting points were determined using a digital melting point apparatus.

2. Preparation and characterization of starting materials

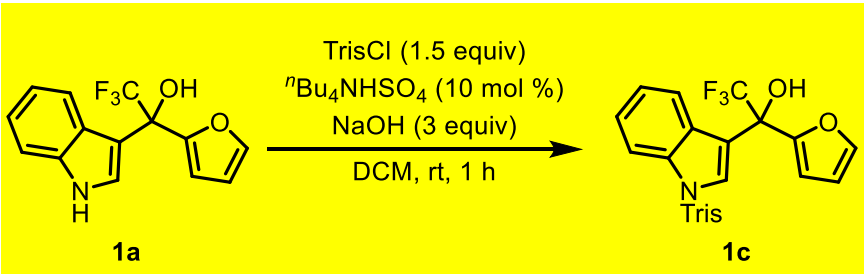
2.1. Synthesis of 2-furylcarbinols



Scheme S1. 2-furylcarbinols used in this work are listed.

2-furylcarbinols **1a**,[S1] **1b**,[S1] **1r**,[S2] **1s**,[S3] **1t**,[S4] **1u**,[S4] **1v**[S2] and **1w**[S5] are known compounds and prepared according to the literature procedures. 2-furylcarbinol **1c** used in this work as shown in Scheme S1 was prepared according to the general procedure A. Perfluoroalkylated 2-furyl(3-indolyl)methanols **1d-1q** used in this work as shown in Scheme S1 were prepared according to the general procedure B.

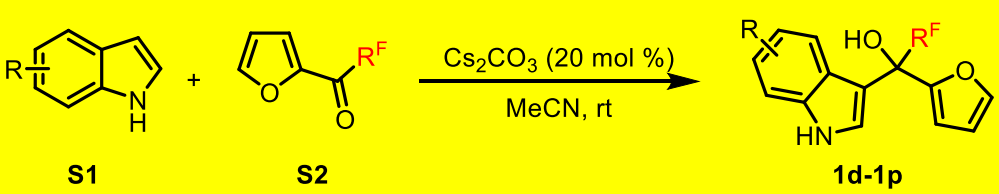
General procedure A for the preparation of starting materials 1c:



To a solution of 2-furylcarbinols **1a**[S1] (1 mmol, 1 equiv), tetrabutylammonium hydrogen sulfate (TBAHS) (0.1 mmol, 0.1 equiv), and sodium hydroxide (3 mmol, 3 equiv) in DCM (10 mL), was added 2,4,6-Triisopropylbenzenesulfonyl chloride (1.5 mmol, 1.5 equiv) at room temperature. The resulting mixture was stirred at room

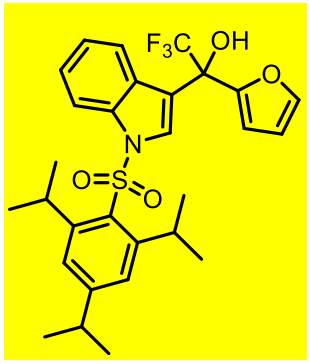
temperature for 1 h until full consumption of the starting material (as indicated by TLC). Upon completion, the reaction mixture was quenched with saturated brine solution and extracted with DCM (10 mL x 2), the combined organic layers were dried over $MgSO_4$, and concentrated under reduced pressure. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/ethyl acetate) to afford **1c**.

General procedure B for the preparation of starting materials 1d-1p:



To a solution of indole or its derivatives **S1** (3 mmol, 1 equiv) and perfluoroalkyl ketones **S2** (3.3 mmol, 1.1 equiv) in anhydrous CH_3CN (3 mL) was added Cs_2CO_3 (0.6 mmol, 0.2 equiv). The reaction mixture was stirred at room temperature for appropriate time until full consumption of the starting material (as indicated by TLC). Upon completion, the reaction mixture was quenched with saturated brine and extracted with EtOAc twice, dried over $MgSO_4$ and concentrated under reduced pressure. The residue was purified by flash column chromatography on silica to afford **1d-1p**.

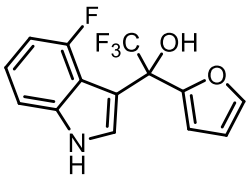
2,2,2-trifluoro-1-(furan-2-yl)-1-(1-((2,4,6-triisopropylphenyl)sulfonyl)-1*H*-indol-3-yl)ethan-1-ol (1c)



Column chromatography (petroleum ether/EtOAc = 50:1 to 16:1) to afford **1c** in 90% yield (492.9 mg, 1 mmol scale); colorless solid, mp 133–135 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.77 (s, 1H), 7.44–7.43 (m, 2H), 7.36 (d, *J* = 8.3 Hz, 1H), 7.21 (s, 2H), 7.17 (t, *J* = 7.6 Hz, 1H), 7.13 (t, *J* = 7.5 Hz, 1H), 6.54 (d, *J* = 3.2 Hz, 1H), 6.42 (dd, *J* = 3.2,

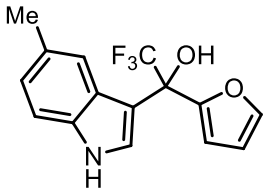
1.8 Hz, 1H), 4.21–4.12 (m, 2H), 3.33 (s, 1H), 2.95–2.89 (m, 1H), 1.26 (d, *J* = 6.9 Hz, 6H), 1.16 (d, *J* = 6.9 Hz, 6H), 1.15 (d, *J* = 6.9 Hz, 6H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 154.9, 151.5, 149.4, 143.5, 135.1, 131.1, 127.4, 125.6, 124.3, 124.2 (q, *J* = 286.0 Hz), 122.8, 121.6, 115.7, 112.3, 110.7, 110.4, 74.0 (q, *J* = 32.1 Hz), 34.2, 29.5, 24.4, 24.3, 23.4; **^{19}FNMR (376 MHz, $CDCl_3$)** δ -77.34 (s, 3F); **HRMS (ESI)** calcd for $C_{29}H_{33}F_3NO_4S$ $[M+H]^+$: 548.2077, found: 548.2058.

2,2,2-trifluoro-1-(4-fluoro-1*H*-indol-3-yl)-1-(furan-2-yl)ethan-1-ol (1d)



Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **1d** in 91% yield (272.3 mg, 1 mmol scale); yellow solid, mp 87–89 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.51 (s, 1H), 7.46 (s, 1H), 7.24 (s, 1H), 7.21–7.10 (m, 2H), 6.81 (dd, *J* = 12.0, 7.7 Hz, 1H), 6.42 (d, *J* = 8.4 Hz, 2H), 4.07 (s, 1H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 155.4 (d, *J* = 244.1 Hz), 150.6, 143.1, 139.0 (d, *J* = 11.0 Hz), 124.6, 124.4 (q, *J* = 286.0 Hz), 123.4 (d, *J* = 8.6 Hz), 114.0 (d, *J* = 19.8 Hz), 110.7 (d, *J* = 4.1 Hz), 110.7, 110.1, 107.9 (d, *J* = 3.5 Hz), 106.1 (d, *J* = 22.1 Hz), 73.9 (q, *J* = 31.6 Hz); **^{19}F NMR (565 MHz, $CDCl_3$)** δ -77.18 (d, *J* = 6.1 Hz, 3F), -115.33 – -115.44 (m, 1F); **HRMS (ESI)** calcd for $C_{14}H_{10}F_4NO_2$ $[M+H]^+$: 300.0642, found: 300.0655.

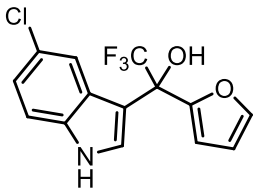
2,2,2-trifluoro-1-(furan-2-yl)-1-(5-methyl-1*H*-indol-3-yl)ethan-1-ol (1e)



Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **1e** in 96% yield (425.2 mg, 1.5 mmol scale); yelllow solid, mp: 70–72 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.17 (s, 1H), 7.49–7.45 (m, 1H), 7.2–7.23 (m, 3H), 7.04 (dd, *J* = 8.3, 0.6 Hz, 1H), 6.52 (d, *J* = 3.3 Hz, 1H), 6.43 (dd, *J* = 3.3, 1.8 Hz, 1H), 3.26 (s, 1H), 2.39 (s, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 150.5, 143.2, 134.6, 129.7, 125.5, 124.6 (q, *J* = 286.8

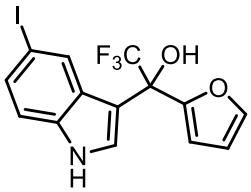
Hz), 124.3, 124.2, 120.3, 111.0, 110.5, 110.2, 74.4 (q, *J* = 31.4 Hz), 21.5; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -77.35 (s, 3F); **HRMS (ESI)** calcd for $C_{15}H_{12}F_3NNaO_2$ $[M+Na]^+$: 318.0712, found: 318.0698.

1-(5-chloro-1*H*-indol-3-yl)-2,2,2-trifluoro-1-(furan-2-yl)ethan-1-ol (1f)



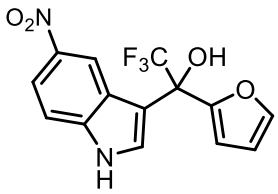
Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **1f** in 94% yield (445.1 mg, 1.5 mmol scale); yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.33 (s, 1H), 7.49–7.43 (m, 2H), 7.37 (d, *J* = 2.6 Hz, 1H), 7.29 (d, *J* = 8.7 Hz, 1H), 7.16 (dd, *J* = 8.7, 2.0 Hz, 1H), 6.52 (d, *J* = 3.3 Hz, 1H), 6.44 (dd, *J* = 3.4, 1.8 Hz, 1H), 3.22 (s, 1H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 149.9, 143.4, 134.6, 126.2, 126.0, 125.7, 124.5 (q, *J* = 285.6 Hz), 122.8, 120.1, 112.4, 111.0, 110.7, 110.3, 74.1 (q, *J* = 31.7 Hz); **^{19}F NMR (565 MHz, $CDCl_3$)** δ -77.40 (s, 3F); **HRMS (ESI)** calcd for $C_{14}H_9ClF_3NNaO_2$ $[M+Na]^+$: 338.0166, found: 338.0185.

2,2,2-trifluoro-1-(furan-2-yl)-1-(5-iodo-1*H*-indol-3-yl)ethan-1-ol (1g)



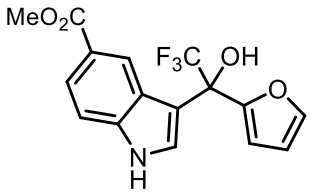
Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **1g** in 96% yield (394 mg, 1.3 mmol scale); yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.34 (s, 1H), 7.84 (s, 1H), 7.49–7.42 (m, 2H), 7.27 (d, *J* = 2.4 Hz, 1H), 7.13 (d, *J* = 8.6 Hz, 1H), 6.50 (d, *J* = 3.3 Hz, 1H), 6.44 (dd, *J* = 3.2, 1.8 Hz, 1H), 3.27 (s, 1H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 150.0, 143.4, 135.3, 131.0, 129.7, 127.8, 125.0, 124.5 (q, *J* = 286.2 Hz), 113.2, 111.0, 110.7, 110.3, 84.2, 74.2 (q, *J* = 31.5 Hz); **^{19}F NMR (565 MHz, $CDCl_3$)** δ -77.53 (s, 3F); **HRMS (ESI)** calcd for $C_{14}H_{10}ClF_3NO_2$ $[M+H]^+$: 316.0347, found: 316.0327.

2,2,2-trifluoro-1-(furan-2-yl)-1-(5-nitro-1*H*-indol-3-yl)ethan-1-ol (1h)



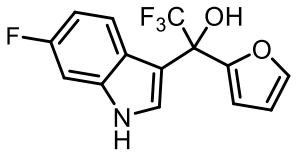
Column chromatography (petroleum ether/EtOAc = 12:1 to 5:1) to afford **1h** in 78% yield (381.7 mg, 1.5 mmol scale); yellow solid, mp 120–122 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 9.03 (s, 1H), 8.48 (s, 1H), 8.08 (dd, *J* = 9.0, 1.9 Hz, 1H), 7.51 (s, 1H), 7.46 (s, 1H), 7.43 (d, *J* = 9.0 Hz, 1H), 6.55 (d, *J* = 3.2 Hz, 1H), 6.48–6.41 (m, 1H), 3.57 (s, 1H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 149.7, 143.6, 142.2, 139.3, 127.5, 124.9, 124.4 (q, *J* = 286.0 Hz), 118.4, 118.1, 114.0, 111.6, 110.8, 110.4, 74.1 (q, *J* = 32.1 Hz); **^{19}F NMR (565 MHz, $CDCl_3$)** δ -77.74 (s, 3F); **HRMS (ESI)** calcd for $C_{14}H_{10}F_3N_2O_4$ $[M+H]^+$: 327.0587, found: 327.0560.

Methyl 3-(2,2,2-trifluoro-1-(furan-2-yl)-1-hydroxyethyl)-1*H*-indole-5-carboxylate (1i)



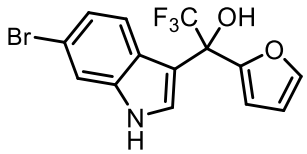
Column chromatography (petroleum ether/EtOAc = 20:1 to 10:1) to afford **1i** in 83% yield (422.4 mg, 1.5 mmol scale); colorless solid, mp 209–211 °C; **^{1}H NMR (600 MHz, DMSO-d_6)** δ 11.68 (s, 1H), 8.16 (s, 1H), 7.77–7.70 (m, 2H), 7.50 (d, *J* = 8.6 Hz, 1H), 7.47 (d, *J* = 2.3 Hz, 1H), 7.39 (s, 1H), 6.60–6.52 (m, 2H), 3.82 (s, 3H); **^{13}C NMR (150 MHz, DMSO-d_6)** δ 167.6, 152.0, 143.9, 139.4, 127.2, 125.6, 125.6 (q, *J* = 288.0 Hz), 123.9, 122.7, 121.1, 113.2, 112.2, 111.0, 109.8, 73.7 (q, *J* = 32.1 Hz), 52.2; **^{19}F NMR (565 MHz, DMSO-d_6)** δ -77.28 (s, 3F); **HRMS (ESI)** calcd for $C_{16}H_{12}F_3NNaO_4$ $[M+Na]^+$: 362.0611, found: 362.0623.

2,2,2-trifluoro-1-(6-fluoro-1*H*-indol-3-yl)-1-(furan-2-yl)ethan-1-ol (1j)



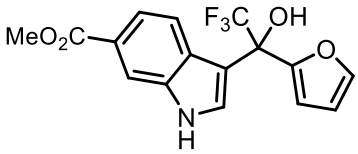
Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **1j** in 95% yield (284.3 mg, 1 mmol scale); pale-yellow solid, mp 79–81 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.29 (s, 1H), 7.46 (s, 1H), 7.38 (dd, *J* = 8.7, 5.4 Hz, 1H), 7.29 (s, 1H), 7.01 (dd, *J* = 9.2, 2.3 Hz, 1H), 6.85 (td, *J* = 9.4, 2.0 Hz, 1H), 6.52 (d, *J* = 2.9 Hz, 1H), 6.46–6.40 (m, 1H), 3.31 (s, 1H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 156.0 (d, *J* = 239.0 Hz), 150.2, 143.3, 136.3 (d, *J* = 12.3 Hz), 124.6, 124.5 (q, *J* = 286.4 Hz), 121.9, 121.7 (d, *J* = 9.5 Hz), 111.7, 110.6, 110.2, 109.3 (d, *J* = 24.3 Hz), 97.5 (d, *J* = 26.0 Hz), 74.2 (q, *J* = 31.9 Hz); **^{19}F NMR (565 MHz, $CDCl_3$)** δ -77.49 (d, *J* = 5.2 Hz, 3F), -120.23 – -120.31 (m, 1F); **HRMS (ESI)** calcd for $C_{14}H_{10}F_4NO_2$ $[M+H]^+$: 300.0642, found: 300.0630.

1-(6-bromo-1*H*-indol-3-yl)-2,2,2-trifluoro-1-(furan-2-yl)ethan-1-ol (1k)



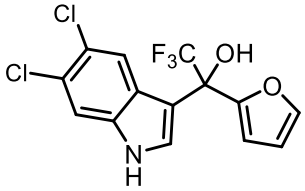
Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **1k** in 88% yield (380.3 mg, 1.2 mmol scale); yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.33 (s, 1H), 7.45 (s, 2H), 7.30 (d, *J* = 8.6 Hz, 1H), 7.26 (d, *J* = 2.5 Hz, 1H), 7.17 (dd, *J* = 8.6, 1.6 Hz, 1H), 6.51 (d, *J* = 3.2 Hz, 1H), 6.43 (dd, *J* = 3.2, 1.8 Hz, 1H), 3.39 (s, 1H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 150.1, 143.4, 137.0, 124.9, 124.5 (q, *J* = 286.1 Hz), 124.2, 123.7, 122.0, 116.1, 114.3, 111.7, 110.6, 110.3, 74.1 (q, *J* = 32.0 Hz); **^{19}F NMR (565 MHz, $CDCl_3$)** δ -77.47 (s, 3F); **HRMS (ESI)** calcd for $C_{14}H_9BrF_3NNaO_2$ $[M+Na]^+$: 381.9661, found: 381.9677.

Methyl 3-(2,2,2-trifluoro-1-(furan-2-yl)-1-hydroxyethyl)-1*H*-indole-6-carboxylate (1l)



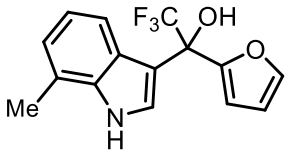
Column chromatography (petroleum ether/EtOAc = 20:1 to 10:1) to afford **1l** in 81% yield (274.8 mg, 1 mmol scale); white solid, mp 156–158 °C; **^{1}H NMR (600 MHz, DMSO-*d*$_6$)** δ 11.71 (s, 1H), 8.09 (s, 1H), 7.71 (s, 1H), 7.63 (d, *J* = 1.7 Hz, 1H), 7.57 (d, *J* = 8.5 Hz, 1H), 7.37 (d, *J* = 8.6 Hz, 2H), 6.58 (d, *J* = 3.0 Hz, 1H), 6.54 (s, 1H), 3.85 (s, 3H); **^{13}C NMR (150 MHz, DMSO-*d*$_6$)** δ 167.5, 152.1, 143.9, 136.1, 129.5, 129.2, 125.6 (q, *J* = 287.3 Hz), 122.8, 120.6, 120.1, 114.2, 112.5, 110.9, 109.8, 73.6 (q, *J* = 30.9 Hz), 52.3; **^{19}F NMR (565 MHz, DMSO-*d*$_6$)** δ -76.30 (s, 3F); **HRMS (ESI)** calcd for $C_{16}H_{12}F_3NNaO_4$ $[M+Na]^+$: 362.0611, found: 362.0629.

1-(5,6-dichloro-1*H*-indol-3-yl)-2,2,2-trifluoro-1-(furan-2-yl)ethan-1-ol (1m)



Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **1m** in 88% yield (400.5 mg, 1.3 mmol scale); pale-yellow solid, mp 110–112 °C; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.35 (s, 1H), 7.57 (s, 1H), 7.47 (s, 1H), 7.42 (s, 1H), 7.32 (d, *J* = 2.4 Hz, 1H), 6.50 (d, *J* = 3.3 Hz, 1H), 6.44 (dd, *J* = 3.2, 1.8 Hz, 1H), 3.33 (s, 1H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 149.8, 143.5, 135.0, 126.6, 126.1, 125.0, 124.6, 124.4 (q, *J* = 286.1 Hz), 121.9, 112.7, 111.4, 110.8, 110.4, 74.1 (q, *J* = 32.0 Hz); **^{19}F NMR (565 MHz, CDCl$_3$)** δ -77.64 (s, 3F); **HRMS (ESI)** calcd for $C_{14}H_9Cl_2F_3NO_2$ $[M+H]^+$: 349.9957, found: 349.9975.

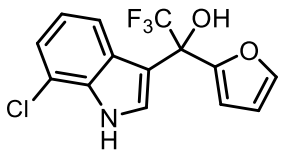
2,2,2-trifluoro-1-(furan-2-yl)-1-(7-methyl-1*H*-indol-3-yl)ethan-1-ol (1n)



Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **1n** in 96% yield (340.1 mg, 1.2 mmol scale); yellow solid, mp: 71–73 °C; **^{1}H NMR (600 MHz,**

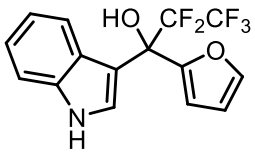
$CDCl_3$) δ 8.22 (s, 1H), 7.45 (s, 1H), 7.36 (d, *J* = 2.3 Hz, 1H), 7.32–7.27 (m, 1H), 7.05–6.99 (m, 2H), 6.54 (d, *J* = 3.3 Hz, 1H), 6.43 (dd, *J* = 3.1, 1.8 Hz, 1H), 3.24 (s, 1H), 2.48 (s, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 150.5, 143.2, 135.9, 124.8, 123.9, 123.0, 120.6, 120.5, 118.4, 112.1, 110.5, 110.2, 16.5; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -77.37 (s, 3F); **HRMS (ESI)** calcd for $C_{15}H_{12}F_3NNaO_2$ $[M+Na]^+$: 318.0712, found: 318.0721.

1-(7-chloro-1*H*-indol-3-yl)-2,2,2-trifluoro-1-(furan-2-yl)ethan-1-ol (1o)



Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **1o** in 91% yield (430.9 mg, 1.5 mmol scale); yellow solid, mp 84–86 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.54 (s, 1H), 7.46 (d, *J* = 1.0 Hz, 1H), 7.42 (d, *J* = 2.4 Hz, 1H), 7.36 (d, *J* = 8.1 Hz, 1H), 7.22 (d, *J* = 7.6 Hz, 1H), 7.02 (t, *J* = 7.9 Hz, 1H), 6.53 (d, *J* = 3.3 Hz, 1H), 6.43 (dd, *J* = 3.3, 1.8 Hz, 1H), 3.27 (s, 1H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 150.2, 143.4, 133.6, 126.7, 124.9, 124.5 (q, *J* = 286.1 Hz), 121.9, 121.2, 119.5, 116.7, 112.9, 110.6, 110.3, 74.3 (q, *J* = 28.1 Hz); **^{19}F NMR (565 MHz, $CDCl_3$)** δ -77.53 (s, 3F); **HRMS (ESI)** calcd for $C_{14}H_9ClF_3NNaO_2$ $[M+Na]^+$: 338.0166, found: 338.0183.

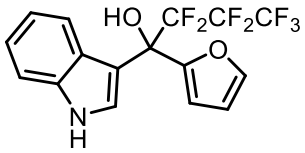
2,2,3,3,3-pentafluoro-1-(furan-2-yl)-1-(1*H*-indol-3-yl)propan-1-ol (1p)



Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **1p** in 93% yield (616.1 mg, 2 mmol scale); light-green solid, mp: 69–71 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.26 (s, 1H), 7.57 (d, *J* = 8.1 Hz, 1H), 7.45 (s, 1H), 7.42 (s, 1H), 7.37 (d, *J* = 8.2 Hz, 1H), 7.22 (t, *J* = 7.6 Hz, 1H), 7.10 (t, *J* = 7.6 Hz, 1H), 6.57 (d, *J* = 3.0 Hz, 1H), 6.42 (s, 1H), 3.30 (s, 1H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 150.6, 143.1, 136.3, 125.3, 124.4, 122.5, 120.9 (d, *J* = 3.2 Hz), 120.4, 111.8, 111.3, 110.6, 110.2, 74.6 (q, *J* = 26.1 Hz); **^{19}F NMR (565 MHz, $CDCl_3$)** δ -78.50 (s, 3F), -118.55 (d, *J* = 276.9 Hz, 1F), -

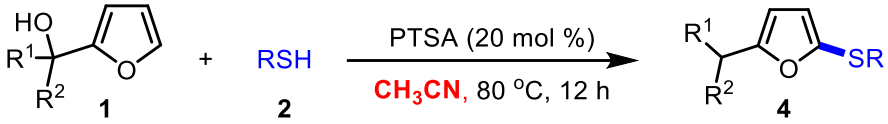
119.17 (d, *J* = 276.9 Hz, 1F); **HRMS (ESI)** calcd for $C_{15}H_{11}F_5NO_2$ $[M+H]^+$: 332.0704, found: 332.0717.

2,2,3,3,4,4,4-heptafluoro-1-(furan-2-yl)-1-(1*H*-indol-3-yl)butan-1-ol (1q)



Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **1q** in 90% yield (686.3 mg, 2 mmol scale); yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** 8.28 (s, 1H), 7.62 (d, *J* = 8.1 Hz, 1H), 7.46 (s, 1H), 7.41 (d, *J* = 2.4 Hz, 1H), 7.39 (d, *J* = 8.2 Hz, 1H), 7.21 (t, *J* = 7.6 Hz, 1H), 7.10 (t, *J* = 7.6 Hz, 1H), 6.55 (d, *J* = 3.3 Hz, 1H), 6.42 (dd, *J* = 3.0, 1.7 Hz, 1H), 3.33 (s, 1H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 150.7, 143.1, 136.3, 125.4, 124.5, 122.5, 121.1, 120.4, 111.8, 111.3, 110.6, 110.1, 75.6 (d, *J* = 28.0 Hz); **^{19}F NMR (565 MHz, $CDCl_3$)** δ -80.85 (t, *J* = 10.9 Hz, 3F), -114.90 – -115.17 (m, 2F), -122.77 (dd, *J* = 288.5, 4.7 Hz, 1F), -124.12 (dd, *J* = 288.7, 4.4 Hz, 1F); **HRMS (ESI)** calcd for $C_{16}H_{11}F_7NO_2$ $[M+H]^+$: 382.0673, found: 382.0689.

3. General procedure for the C5-selective thiolation of 2-furylcarbinols



To a solution of 2-furylcarbinol **1** (0.3 mmol) and thiol **2** (0.6 mmol, 2 equiv) in anhydrous CH_3CN was added $TsOH·H_2O$ (11.4 mg, 0.2 equiv.). The reaction mixture was stirred at 80 °C for 12 h until full consumption of the starting material (as indicated by TLC). Upon completion, the reaction mixture was quenched with saturated $NaHCO_3$ solution and extracted with EA (10 mL x 2). The combined organic phases were washed with brine and dried over $MgSO_4$. The solvent was removed under reduced pressure and the residue was purified purified by flash column chromatography on silica gel (eluent: petroleum ether/EtOAc) to give the products **4**.

3-(1-(dodecylthio)-2,2,2-trifluoro-1-(furan-2-yl)ethyl)-1*H*-indole (3aa)

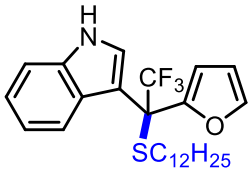
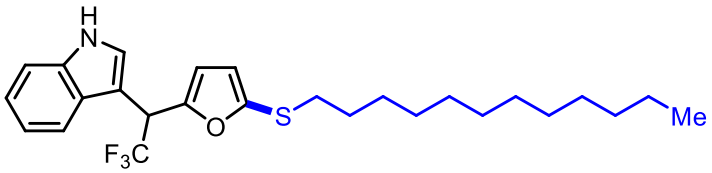


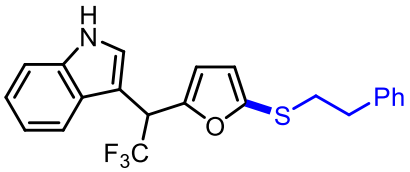
Table 1, entry 2 in main text. Column chromatography (petroleum ether/EtOAc = 50:1 to 25:1) to afford **3aa** as colorless oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.21 (s, 1H), 7.43 (s, 1H), 7.35 (d, *J* = 8.2 Hz, 2H), 7.24 (d, *J* = 8.1 Hz, 1H), 7.18 (t, *J* = 7.6 Hz, 1H), 7.01 (t, *J* = 7.6 Hz, 1H), 6.53 (d, *J* = 2.9 Hz, 1H), 6.42 (dd, *J* = 3.1, 1.7 Hz, 1H), 2.42–2.31 (m, 2H), 1.41–1.33 (m, 2H), 1.30–1.14 (m, 18H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 149.0, 142.9, 136.1, 126.4 (q, *J* = 283.3 Hz), 125.7, 125.0, 122.5, 121.2, 120.1, 111.2, 110.3, 110.3, 55.7 (q, *J* = 29.8 Hz), 31.9, 30.8, 29.6, 29.6, 29.4, 29.4, 29.1, 28.8, 28.6, 22.7, 14.1; **^{19}F NMR (376 MHz, $CDCl_3$)** δ -69.42 (s, 3F); **HRMS (ESI)** calcd for $C_{26}H_{35}F_3NOS$ $[M+H]^+$: 466.2386, found: 466.2375.

3-(1-(5-(dodecylthio)furan-2-yl)-2,2,2-trifluoroethyl)-1*H*-indole (4aa)



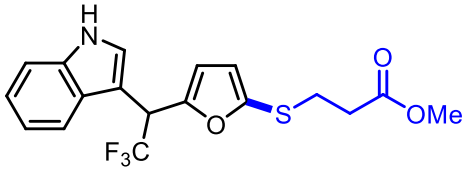
Column chromatography (petroleum ether/EtOAc = 25:1 to 12:1) to afford **4aa** in 92% yield (128.5 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.18 (s, 1H), 7.58 (d, *J* = 8.0 Hz, 1H), 7.38 (d, *J* = 8.1 Hz, 1H), 7.30 (d, *J* = 1.4 Hz, 1H), 7.25 (t, *J* = 7.5 Hz, 1H), 7.18 (t, *J* = 7.5 Hz, 1H), 6.47 (d, *J* = 3.1 Hz, 1H), 6.35 (d, *J* = 3.0 Hz, 1H), 5.08 (q, *J* = 8.8 Hz, 1H), 2.75 (t, *J* = 7.4 Hz, 2H), 1.61–1.54 (m, 2H), 1.36–1.23 (m, 18H), 0.92 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 151.1, 146.4, 135.8, 126.5, 125.3 (q, *J* = 280.7 Hz), 124.0, 122.6, 120.2, 118.7, 117.3, 111.3, 110.9, 107.4, 42.0 (q, *J* = 31.0 Hz), 36.1, 31.9, 29.7, 29.6 (d, *J* = 1.8 Hz), 29.6, 29.5, 29.3, 29.1, 28.4, 22.7, 14.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.47 (d, *J* = 8.7 Hz, 3F); **HRMS (ESI)** calcd for $C_{26}H_{35}F_3NOS$ $[M+H]^+$: 466.2386, found: 466.2396.

3-(2,2,2-trifluoro-1-(5-(phenethylthio)furan-2-yl)ethyl)-1*H*-indole (4ab)



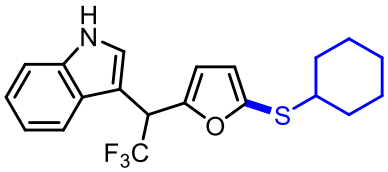
Column chromatography (petroleum ether/EtOAc = 25:1 to 12:1) to afford **4ab** in 96% yield (115.6 mg); pale-yellow solid, mp 73–75 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.16 (s, 1H), 7.63 (d, *J* = 8.0 Hz, 1H), 7.39 (d, *J* = 8.2 Hz, 1H), 7.34–7.17 (m, 6H), 7.13 (d, *J* = 7.2 Hz, 2H), 6.53 (d, *J* = 3.2 Hz, 1H), 6.41 (d, *J* = 3.0 Hz, 1H), 5.13 (q, *J* = 8.8 Hz, 1H), 3.01 (dd, *J* = 9.0, 6.7 Hz, 1H), 2.88 (dd, *J* = 9.0, 6.7 Hz, 1H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 151.3, 145.8, 139.9, 135.8, 128.5, 128.4, 126.4, 126.4, 125.3 (q, *J* = 280.7 Hz), 124.1, 122.6, 120.2, 118.7, 117.7, 111.4, 111.0, 107.1, 42.0 (q, *J* = 30.8 Hz), 37.1, 36.3; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.17 (d, *J* = 8.9 Hz, 3F); **HRMS (ESI)** calcd for $C_{22}H_{18}F_3NNaOS$ $[M+Na]^+$: 424.0953, found: 424.0966.

Methyl 3-((5-(2,2,2-trifluoro-1-(1*H*-indol-3-yl)ethyl)furan-2-yl)thio)propanoate (4ac)



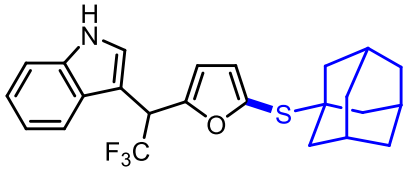
Column chromatography (petroleum ether/EtOAc = 10:1 to 5:1) to afford **4ac** in 83% yield (95.5 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.34 (s, 1H), 7.56 (d, *J* = 7.9 Hz, 1H), 7.38 (d, *J* = 8.1 Hz, 1H), 7.31 (s, 1H), 7.23 (t, *J* = 7.6 Hz, 1H), 7.16 (t, *J* = 7.3 Hz, 1H), 6.51 (d, *J* = 3.1 Hz, 1H), 6.36 (d, *J* = 3.0 Hz, 1H), 5.08 (q, *J* = 8.8 Hz, 1H), 3.68 (s, 3H), 2.97 (t, *J* = 7.0 Hz, 2H), 2.60 (t, *J* = 7.2 Hz, 2H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 172.0, 151.8, 144.9, 135.8, 126.4, 125.2 (q, *J* = 280.7 Hz), 124.2, 122.5, 120.1, 118.6, 118.6, 111.4, 111.0, 107.0, 51.8, 42.0 (q, *J* = 30.8 Hz), 34.6, 30.7; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.35 (d, *J* = 8.5 Hz, 3F); **HRMS (ESI)** calcd for $C_{18}H_{17}F_3NO_3S$ $[M+H]^+$: 384.0876, found: 384.0885.

3-(1-(5-(cyclohexylthio)furan-2-yl)-2,2,2-trifluoroethyl)-1*H*-indole (4ad)



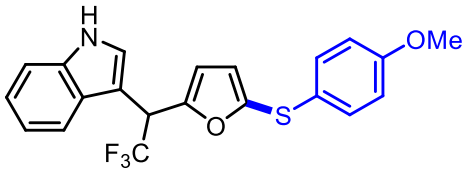
Column chromatography (petroleum ether/EtOAc = 25:1 to 12:1) to afford **4ad** in 92% yield (104.7 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.20 (s, 1H), 7.56 (d, *J* = 8.0 Hz, 1H), 7.38 (d, *J* = 8.1 Hz, 1H), 7.32 (d, *J* = 2.2 Hz, 1H), 7.24 (t, *J* = 7.4 Hz, 1H), 7.16 (t, *J* = 7.5 Hz, 1H), 6.50 (d, *J* = 3.2 Hz, 1H), 6.36 (d, *J* = 3.1 Hz, 1H), 5.08 (q, *J* = 8.9 Hz, 1H), 2.95–2.86 (m, 1H), 1.95–1.85 (m, 2H), 1.78–1.69 (m, 2H), 1.63–1.54 (m, 1H), 1.34–1.23 (m, 4H), 1.20–1.11 (m, 1H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 151.4, 145.3, 135.8, 126.5, 125.3 (q, *J* = 280.7 Hz), 124.0, 122.5, 120.2, 119.1, 118.7, 111.3, 110.9, 107.3, 48.1, 42.1 (q, *J* = 30.7 Hz), 33.4, 26.0, 25.5; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.38 (d, *J* = 8.8 Hz, 3F); **HRMS (ESI)** calcd for $C_{20}H_{20}F_3NNaOS$ $[M+Na]^+$: 402.1110, found: 402.1123.

3-(1-(5-(adamantan-1-ylthio)furan-2-yl)-2,2,2-trifluoroethyl)-1*H*-indole (4ae)



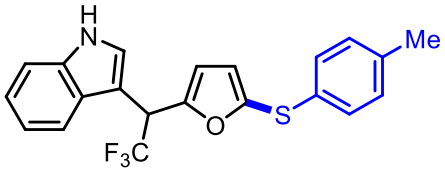
Column chromatography (petroleum ether/EtOAc = 50:1 to 16:1) to afford **4ae** in 99% yield (128.2 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.20 (s, 1H), 7.56 (d, *J* = 8.0 Hz, 1H), 7.37 (d, *J* = 8.1 Hz, 1H), 7.34 (d, *J* = 1.7 Hz, 1H), 7.23 (t, *J* = 7.5 Hz, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 6.53 (d, *J* = 3.1 Hz, 1H), 6.39 (d, *J* = 3.1 Hz, 1H), 5.09 (q, *J* = 8.8 Hz, 1H), 2.00 (s, 3H), 1.80 (d, *J* = 1.8 Hz, 6H), 1.65 (d, *J* = 12.3 Hz, 3H), 1.58 (d, *J* = 11.9 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 151.8, 144.0, 135.8, 126.5, 125.3 (q, *J* = 281.1 Hz), 124.0, 122.5, 120.9, 120.1, 118.7, 111.3, 110.9, 107.2, 50.0, 43.5, 42.1 (q, *J* = 30.6 Hz), 36.0, 30.0; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.27 (d, *J* = 8.9 Hz, 3F); **HRMS (ESI)** calcd for $C_{24}H_{25}F_3NOS$ $[M+H]^+$: 432.1603, found: 432.1615.

3-(2,2,2-trifluoro-1-(5-((4-methoxyphenyl)thio)furan-2-yl)ethyl)-1*H*-indole (4af)



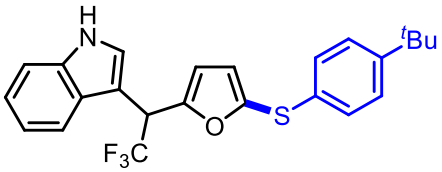
Column chromatography (petroleum ether/EtOAc = 16:1 to 8:1) to afford **4af** in 90% yield (108.9 mg); pale-yellow solid, mp 76–78 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.13 (s, 1H), 7.56 (d, *J* = 8.0 Hz, 1H), 7.35 (d, *J* = 8.2 Hz, 1H), 7.28–7.20 (m, 4H), 7.16 (t, *J* = 7.5 Hz, 1H), 6.80 (d, *J* = 8.8 Hz, 2H), 6.64 (d, *J* = 3.2 Hz, 1H), 6.43 (d, *J* = 3.2 Hz, 1H), 5.09 (q, *J* = 8.8 Hz, 1H), 3.78 (s, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 158.9, 152.0, 144.9, 135.8, 130.7, 126.4, 125.9, 125.2 (q, *J* = 280.7 Hz), 124.1, 122.5, 120.2, 118.7, 114.7, 111.3, 111.2, 107.0, 55.3, 42.1 (q, *J* = 30.8 Hz); **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.29 (d, *J* = 8.9 Hz, 3F); **HRMS (ESI)** calcd for $C_{21}H_{17}F_3NO_2S$ $[M+H]^+$: 404.0927, found: 404.0941.

3-(2,2,2-trifluoro-1-(5-(p-tolylthio)furan-2-yl)ethyl)-1*H*-indole (4ag)



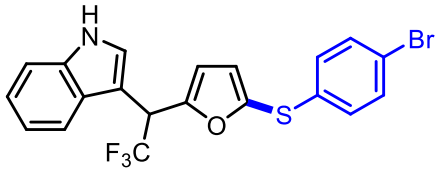
Column chromatography (petroleum ether/EtOAc = 25:1 to 12:1) to afford **4ag** in 93% yield (108.1 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.15 (s, 1H), 7.56 (d, *J* = 8.0 Hz, 1H), 7.37 (d, *J* = 8.2 Hz, 1H), 7.29–7.22 (m, 2H), 7.20–7.13 (m, 1H), 7.09 (d, *J* = 8.2 Hz, 2H), 7.05 (d, *J* = 8.1 Hz, 2H), 6.72–6.64 (m, 1H), 6.45 (d, *J* = 3.0 Hz, 1H), 5.09 (q, *J* = 8.8 Hz, 1H), 2.31 (s, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 152.32, 143.89, 136.42, 135.78, 132.35, 129.81, 127.89, 126.39, 125.22 (q, *J* = 280.7 Hz), 124.11, 122.56, 120.22, 119.80, 118.69, 111.35, 111.29, 107.02, 42.09 (q, *J* = 30.9 Hz), 20.91; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.35 (d, *J* = 8.2 Hz, 3F); **HRMS (ESI)** calcd for $C_{21}H_{17}F_3NOS$ $[M+H]^+$: 388.0977, found: 388.0957.

3-(1-(5-((4-(tert-butyl)phenyl)thio)furan-2-yl)-2,2,2-trifluoroethyl)-1*H*-indole (4ah)



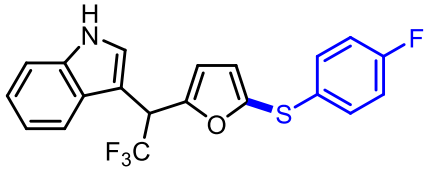
Column chromatography (petroleum ether/EtOAc = 50:1 to 16:1) to afford **4ah** in 86% yield (110.8 mg); pale-yellow solid, mp 116–118 °C; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.11 (s, 1H), 7.58 (d, *J* = 8.0 Hz, 1H), 7.37 (d, *J* = 8.2 Hz, 1H), 7.31–7.23 (m, 4H), 7.18 (t, *J* = 7.5 Hz, 1H), 7.12 (d, *J* = 8.4 Hz, 2H), 6.72 (d, *J* = 3.2 Hz, 1H), 6.49 (d, *J* = 3.2 Hz, 1H), 5.12 (q, *J* = 8.8 Hz, 1H), 1.33 (s, 9H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 152.4, 149.6, 143.6, 135.8, 132.6, 127.3, 126.4, 126.1, 125.2 (q, *J* = 280.4 Hz), 124.2, 122.5, 120.2, 120.1, 118.7, 111.4, 111.3, 106.9, 42.2 (q, *J* = 30.9 Hz), 34.4, 31.2; **^{19}F NMR (565 MHz, CDCl$_3$)** δ -68.25 (d, *J* = 8.9 Hz, 3F); **HRMS (ESI)** calcd for $C_{24}H_{22}F_3NNaOS$ $[M+Na]^+$: 452.1266, found: 452.1275.

3-(1-(5-((4-bromophenyl)thio)furan-2-yl)-2,2,2-trifluoroethyl)-1*H*-indole (4ai)



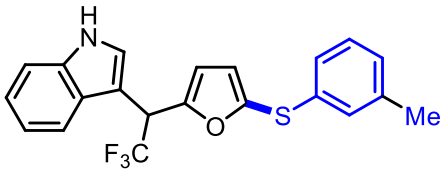
Column chromatography (petroleum ether/EtOAc = 50:1 to 16:1) to afford **4ai** in 98% yield (133.0 mg); yellow solid, mp 84–86 °C; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.18 (s, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.39 (d, *J* = 8.2 Hz, 1H), 7.34–7.30 (m, 2H), 7.28 (s, 1H), 7.26 (t, *J* = 7.6 Hz, 1H), 7.16 (t, *J* = 7.5 Hz, 1H), 6.96 (d, *J* = 8.5 Hz, 2H), 6.73 (d, *J* = 3.2 Hz, 1H), 6.48 (d, *J* = 3.2 Hz, 1H), 5.10 (q, *J* = 8.8 Hz, 1H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 152.9, 142.4, 135.8, 135.4, 132.0, 128.8, 126.3, 125.16 (q, *J* = 280.7 Hz), 124.1, 122.7, 120.7, 120.3, 120.1, 118.6, 111.4, 106.9, 42.2 (q, *J* = 30.8 Hz); **^{19}F NMR (565 MHz, CDCl$_3$)** δ -68.26 (d, *J* = 8.8 Hz, 3F); **HRMS (ESI)** calcd for $C_{20}H_{14}BrF_3NOS$ $[M+H]^+$: 451.9926, found: 451.9940.

3-(2,2,2-trifluoro-1-(5-((4-fluorophenyl)thio)furan-2-yl)ethyl)-1*H*-indole (4aj)



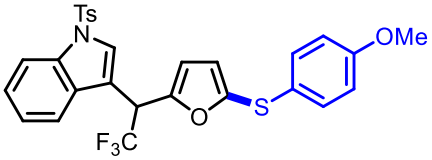
Column chromatography (petroleum ether/EtOAc = 25:1 to 12:1) to afford **4aj** in 98% yield (115.1 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.18 (s, 1H), 7.55 (d, *J* = 8.0 Hz, 1H), 7.38 (d, *J* = 8.1 Hz, 1H), 7.29–7.23 (m, 2H), 7.20–7.11 (m, 3H), 6.92 (t, *J* = 8.6 Hz, 2H), 6.70 (d, *J* = 3.1 Hz, 1H), 6.46 (d, *J* = 2.9 Hz, 1H), 5.10 (q, *J* = 8.7 Hz, 1H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 161.8 (d, *J* = 246.3 Hz), 152.6, 143.6, 135.8, 130.8, 129.9 (d, *J* = 8.0 Hz), 126.3, 125.2 (d, *J* = 280.5 Hz), 124.1, 122.6, 120.2, 119.9, 118.7, 116.1 (d, *J* = 22.2 Hz), 111.4 (d, *J* = 9.4 Hz), 106.9, 42.2 (q, *J* = 30.9 Hz); **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.30 (d, *J* = 8.8 Hz, 3F), -115.37 – -115.63 (m, 1F); **HRMS (ESI)** calcd for $C_{20}H_{14}F_4NOS$ $[M+H]^+$: 392.0727, found: 392.0745

3-(2,2,2-trifluoro-1-(5-(m-tolylthio)furan-2-yl)ethyl)-1*H*-indole (4ak)



Column chromatography (petroleum ether/EtOAc = 25:1 to 12:1) to afford **4ak** in 89% yield (103.4mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.11 (s, 1H), 7.60 (d, *J* = 8.0 Hz, 1H), 7.38 (d, *J* = 8.2 Hz, 1H), 7.28 (d, *J* = 3.0 Hz, 2H), 7.19 (t, *J* = 7.5 Hz, 1H), 7.15 (t, *J* = 7.6 Hz, 1H), 7.04–6.95 (m, 3H), 6.75 (d, *J* = 3.2 Hz, 1H), 6.49 (d, *J* = 3.2 Hz, 1H), 5.14 (q, *J* = 8.8 Hz, 1H), 2.28 (s, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 152.5, 143.2, 139.0, 136.0, 135.7, 128.9, 127.7, 127.1, 126.3, 125.2 (q, *J* = 280.8 Hz), 124.2, 124.1, 122.6, 120.36, 120.2, 118.6, 111.4, 106.9, 42.1 (q, *J* = 30.9 Hz), 21.2; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.29 (d, *J* = 8.7 Hz, 3F); **HRMS (ESI)** calcd for $C_{21}H_{17}F_3NOS$ $[M+H]^+$: 388.0977, found: 388.0989.

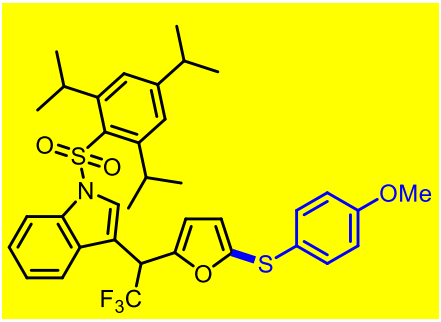
1-tosyl-3-(2,2,2-trifluoro-1-(5-(phenylthio)furan-2-yl)ethyl)-1*H*-indole (4bf)



Column chromatography (petroleum ether/EtOAc = 25:1 to 8:1) to afford **4bf** in 92% yield (153.9 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.98 (d, *J* = 8.4 Hz, 1H), 7.75–7.71 (m, 3H), 7.38 (d, *J* = 7.9 Hz, 1H), 7.33 (t, *J* = 7.8 Hz, 1H), 7.24–7.16 (m, 5H), 6.78 (d, *J* = 8.6 Hz, 2H), 6.60 (d, *J* = 3.1 Hz, 1H), 6.39 (d, *J* = 3.0 Hz, 1H),

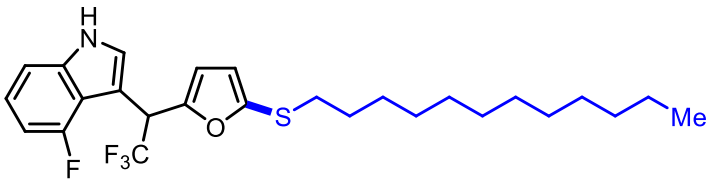
4.98 (q, *J* = 8.4 Hz, 1H), 3.76 (s, 3H), 2.31 (s, 3H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 159.1, 149.9, 145.9, 145.2, 134.8, 134.7, 131.1, 129.9, 129.3, 126.8, 125.9, 125.3, 125.1, 124.6 (q, *J* = 280.9 Hz), 123.5, 119.3, 118.4, 114.8, 113.7, 113.5, 111.7, 55.3, 41.8 (q, *J* = 31.1 Hz), 21.5; **^{19}F NMR (565 MHz, CDCl$_3$)** δ -68.06 (d, *J* = 8.5 Hz, 3F); **HRMS (ESI)** calcd for $C_{28}H_{22}F_3NNaO_4S_2$ $[M+Na]^+$: 580.0835, found: 580.0820.

3-(2,2,2-trifluoro-1-(5-((4-methoxyphenyl)thio)furan-2-yl)ethyl)-1-((2,4,6-triiso-propylphenyl)sulfonyl)-1*H*-indole (4cf)



Column chromatography (petroleum ether/EtOAc = 25:1 to 8:1) to afford **4cf** in 88% yield (176.8 mg); pale-yellow oil; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 7.70 (s, 1H), 7.44 (d, *J* = 7.5 Hz, 1H), 7.35 (d, *J* = 8.0 Hz, 1H), 7.25–7.15 (m, 6H), 6.80 (d, *J* = 8.6 Hz, 2H), 6.61 (d, *J* = 3.1 Hz, 1H), 6.43 (d, *J* = 3.0 Hz, 1H), 5.03 (q, *J* = 8.5 Hz, 1H), 4.20–4.10 (m, 2H), 3.77 (s, 3H), 2.95–2.87 (m, 1H), 1.25 (d, *J* = 6.9 Hz, 6H), 1.12 (d, *J* = 6.7 Hz, 6H), 1.09 (d, *J* = 6.7 Hz, 6H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 159.1, 154.8, 151.4, 150.3, 145.9, 134.7, 131.2, 128.6, 125.4, 125.2, 124.7 (q, *J* = 279.9 Hz), 124.6, 124.3, 122.8, 119.4, 118.4, 114.8, 112.4, 111.5, 111.4, 55.3, 41.9 (q, *J* = 31.1 Hz), 34.2, 29.5, 24.3, 23.4; **^{19}F NMR (376 MHz, CDCl$_3$)** δ -68.06 (d, *J* = 8.5 Hz, 3F); **HRMS (ESI)** calcd for $C_{36}H_{39}F_3NO_4S_2$ $[M+H]^+$: 670.2267, found: 670.2284.

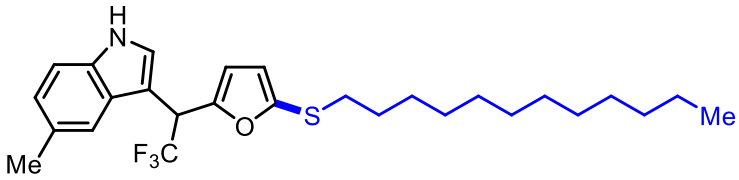
3-(1-(5-(dodecylthio)furan-2-yl)-2,2,2-trifluoroethyl)-4-fluoro-1*H*-indole (4da)



Column chromatography (petroleum ether/EtOAc = 50:1 to 25:1) to afford **4da** in 90% yield (130.6 mg); pale-yellow oil; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.33 (s, 1H), 7.36 (s, 1H), 7.16 (d, *J* = 8.1 Hz, 1H), 7.14–7.09 (m, 1H), 6.84–6.76 (m, 1H), 6.44 (d, *J* = 3.1

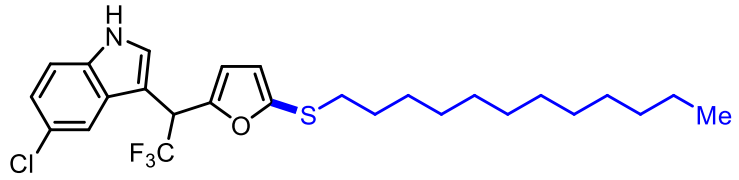
Hz, 1H), 6.32 (d, *J* = 3.0 Hz, 1H), 5.41 (q, *J* = 8.8 Hz, 1H), 2.75 (t, *J* = 7.3 Hz, 2H), 1.60–1.53 (m, 2H), 1.33–1.21 (m, 18H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 156.8 (d, *J* = 246.1 Hz), 151.1, 146.5, 138.1 (d, *J* = 11.0 Hz), 125.1 (q, *J* = 280.6 Hz), 124.1, 123.1 (d, *J* = 8.0 Hz), 117.3, 115.7 (d, *J* = 18.7 Hz), 110.9, 107.5 (d, *J* = 3.7 Hz), 105.9, 105.5 (d, *J* = 19.5 Hz), 42.0 (qd, *J* = 30.2, 3.0 Hz), 36.1, 31.9, 29.7, 29.6, 29.6, 29.6, 29.5, 29.3, 29.1, 28.4, 22.7, 14.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -69.14 (dd, *J* = 8.7, 2.1 Hz, 3F), -124.69 (d, *J* = 8.9 Hz, 1F); **HRMS (ESI)** calcd for $C_{26}H_{34}F_4NOS$ $[M+H]^+$: 484.2292, found: 484.2285.

3-(1-(5-(dodecylthio)furan-2-yl)-2,2,2-trifluoroethyl)-5-methyl-1*H*-indole (4ea)



Column chromatography (petroleum ether/EtOAc = 50:1 to 25:1) to afford **4ea** in 93% yield (133.8 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.13 (s, 1H), 7.34 (s, 1H), 7.28 (d, *J* = 8.7 Hz, 2H), 7.05 (d, *J* = 8.4 Hz, 1H), 6.44 (d, *J* = 3.2 Hz, 1H), 6.32 (d, *J* = 3.1 Hz, 1H), 5.03 (q, *J* = 8.9 Hz, 1H), 2.73 (t, *J* = 7.4 Hz, 2H), 2.45 (s, 3H), 1.61–1.51 (m, 3H), 1.33–1.21 (m, 17H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 151.2, 146.3, 134.1, 129.5, 126.8, 125.3 (q, *J* = 280.6 Hz), 124.2, 124.1, 118.3, 117.3, 111.0, 110.9, 106.8, 41.9 (q, *J* = 30.8 Hz), 36.1, 31.9, 29.7, 29.6, 29.6, 29.6, 29.5, 29.3, 29.1, 28.4, 22.7, 21.5, 14.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.51 (d, *J* = 8.9 Hz, 3F); **HRMS (ESI)** calcd for $C_{27}H_{36}F_3NNaOS$ $[M+Na]^+$: 502.2362, found: 502.2343.

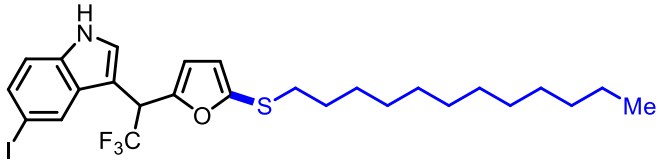
5-chloro-3-(1-(5-(dodecylthio)furan-2-yl)-2,2,2-trifluoroethyl)-1*H*-indole (4fa)



Column chromatography (petroleum ether/EtOAc = 50:1 to 12:1) to afford **4fa** in 88% yield (132.0 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.30 (s, 1H), 7.51 (s, 1H), 7.33 (d, *J* = 1.7 Hz, 1H), 7.29 (d, *J* = 8.6 Hz, 1H), 7.17 (dd, *J* = 8.6, 1.7 Hz, 1H), 6.46 (d, *J* = 3.2 Hz, 1H), 6.34 (d, *J* = 3.1 Hz, 1H), 4.98 (q, *J* = 8.8 Hz, 1H), 2.73 (t, *J* =

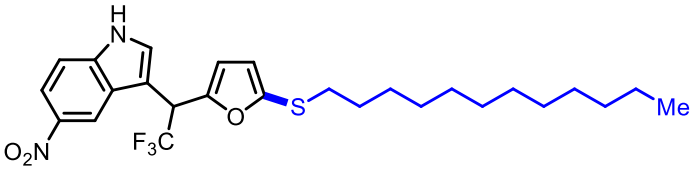
7.4 Hz, 2H), 1.60–1.49 (m, 2H), 1.29–1.22 (m, 18H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 150.5, 146.7, 134.2, 127.6, 126.1, 125.5, 123.0, 118.4, 117.3, 112.4, 111.0, 107.1, 42.0 (q, *J* = 31.9 Hz), 36.1, 31.9, 29.7, 29.6, 29.6, 29.5, 29.3, 29.1, 28.3, 22.7, 14.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.45 (d, *J* = 8.9 Hz, 3F); **HRMS (ESI)** calcd for $C_{26}H_{34}ClF_3NOS$ $[M+H]^+$: 500.1996, found: 500.2009

3-(1-(5-(dodecylthio)furan-2-yl)-2,2,2-trifluoroethyl)-5-iodo-1*H*-indole (4ga)



Column chromatography (petroleum ether/EtOAc = 50:1 to 12:1) to afford **4ga** in 88% yield (156.2 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.27 (s, 1H), 7.88 (s, 1H), 7.47 (dd, *J* = 8.5, 1.5 Hz, 1H), 7.28 (s, 1H), 7.15 (d, *J* = 8.5 Hz, 1H), 6.46 (d, *J* = 3.2 Hz, 1H), 6.33 (d, *J* = 3.2 Hz, 1H), 4.97 (q, *J* = 8.8 Hz, 1H), 2.74 (t, *J* = 7.4 Hz, 2H), 1.58–1.52 (m, 2H), 1.32–1.20 (m, 18H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 150.4, 146.7, 134.9, 131.0, 129.0, 127.7, 125.1 (q, *J* = 281.0 Hz), 124.9, 117.3, 113.3, 111.0, 106.8, 83.8, 41.9 (q, *J* = 30.7 Hz), 36.1, 31.9, 29.7, 29.6, 29.6, 29.6, 29.5, 29.3, 29.1, 28.4, 22.7, 14.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.47 (d, *J* = 8.9 Hz, 3F); **HRMS (ESI)** calcd for $C_{26}H_{33}F_3INNaOS$ $[M+Na]^+$: 614.1172, found: 614.1147.

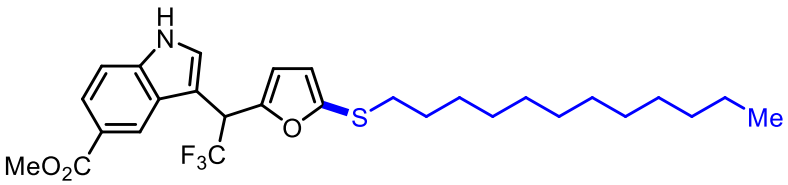
3-(1-(5-(dodecylthio)furan-2-yl)-2,2,2-trifluoroethyl)-5-nitro-1*H*-indole (4ha)



Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **4ha** in 81% yield (124.1 mg); colorless solid, mp 71–73 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.84 (s, 1H), 8.57 (d, *J* = 1.7 Hz, 1H), 8.16 (dd, *J* = 9.0, 2.0 Hz, 1H), 7.54 (d, *J* = 2.2 Hz, 1H), 7.48 (d, *J* = 9.0 Hz, 1H), 6.49 (d, *J* = 3.2 Hz, 1H), 6.42 (d, *J* = 3.2 Hz, 1H), 5.10 (q, *J* = 8.7 Hz, 1H), 2.76 (t, *J* = 7.4 Hz, 2H), 1.60–1.50 (m, 2H), 1.31–1.20 (m, 18H), 0.90 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 149.7, 147.2, 142.3, 138.8, 127.5, 126.1, 124.9 (q, *J* = 282.1 Hz), 118.3, 117.3, 116.3, 111.6, 111.3, 110.0, 41.9 (q, *J* =

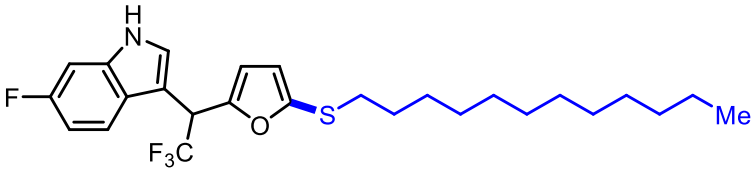
31.3 Hz), 36.1, 31.9, 29.7, 29.7, 29.6, 29.6, 29.5, 29.4, 29.1, 28.4, 22.7, 14.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.50 (d, *J* = 8.6 Hz, 3F); **HRMS (ESI)** calcd for $C_{26}H_{34}F_3N_2O_3S$ $[M+H]^+$: 511.2237, found: 511.2255.

Methyl 3-(1-(5-(dodecylthio)furan-2-yl)-2,2,2-trifluoroethyl)-1*H*-indole-5-carboxylate (4ia)



Column chromatography (petroleum ether/EtOAc = 50:1 to 16:1) to afford **4ia** in 87% yield (136.7 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.58 (s, 1H), 8.35 (s, 1H), 7.94 (d, *J* = 8.6 Hz, 1H), 7.45–7.36 (m, 2H), 6.44 (d, *J* = 3.2 Hz, 1H), 6.35 (d, *J* = 3.1 Hz, 1H), 5.10 (q, *J* = 8.8 Hz, 1H), 3.94 (s, 3H), 2.73 (t, *J* = 7.4 Hz, 2H), 1.57–1.49 (m, 2H), 1.29–1.20 (m, 18H), 0.88 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 167.9, 150.5, 146.8, 138.4, 126.3, 125.5, 125.1 (q, *J* = 280.7 Hz), 124.0, 122.4, 121.6, 117.3, 111.1, 111.1, 108.8, 52.0, 41.7 (q, *J* = 30.7 Hz), 36.0, 31.9, 29.7, 29.6, 29.6, 29.6, 29.5, 29.3, 29.1, 28.3, 22.7, 14.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.59 (d, *J* = 8.9 Hz, 3F); **HRMS (ESI)** calcd for $C_{28}H_{37}F_3NO_3S$ $[M+H]^+$: 524.2441, found: 524.2457.

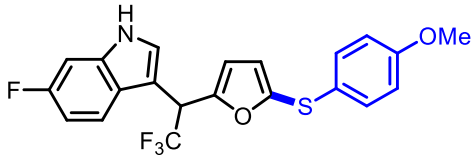
3-(1-(5-(dodecylthio)furan-2-yl)-2,2,2-trifluoroethyl)-6-fluoro-1*H*-indole (4ja)



Column chromatography (petroleum ether/EtOAc = 50:1 to 16:1) to afford **4ja** in 99% yield (143.6 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.21 (s, 1H), 7.45 (dd, *J* = 8.8, 5.2 Hz, 1H), 7.29 (d, *J* = 2.3 Hz, 1H), 7.07 (dd, *J* = 9.4, 2.2 Hz, 1H), 6.91 (td, *J* = 9.2, 2.3 Hz, 1H), 6.45 (d, *J* = 3.2 Hz, 1H), 6.33 (d, *J* = 3.2 Hz, 1H), 5.01 (q, *J* = 8.8 Hz, 1H), 2.72 (t, 2H), 1.53 (dt, *J* = 15.0, 7.4 Hz, 2H), 1.36–1.20 (m, 18H), 0.88 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 160.1 (d, *J* = 239.0 Hz), 150.7, 146.6, 135.8 (d, *J* = 12.4 Hz), 125.2 (q, *J* = 280.9 Hz), 124.4 (d, *J* = 3.0 Hz), 123.1, 119.7 (d, *J* = 10.2 Hz), 117.3, 110.9, 109.1 (d, *J* = 24.7 Hz), 107.6, 97.6 (d, *J* = 26.1 Hz), 42.1 (q,

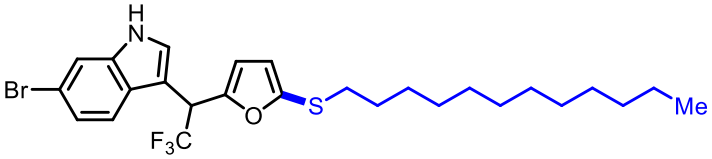
J = 30.9 Hz), 36.1, 31.9, 29.7, 29.64, 29.6, 29.6, 29.5, 29.3, 29.1, 28.4, 22.7, 14.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.47 (d, *J* = 8.7 Hz, 3F), -120.29 – -120.36 (m, 1F); **HRMS (ESI)** calcd for $C_{26}H_{33}F_4NNaOS$ $[M+Na]^+$: 506.2111, found: 506.2130.

6-fluoro-3-(2,2,2-trifluoro-1-(5-((4-methoxyphenyl)thio)furan-2-yl)ethyl)-1*H*-indole (4jf)



Column chromatography (petroleum ether/EtOAc = 25:1 to 8:1) to afford **4jf** in 88% yield (111.3 mg); colorless solid, mp 109–111 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.15 (s, 1H), 7.41 (dd, *J* = 8.7, 5.2 Hz, 1H), 7.23–7.16 (m, 3H), 7.03 (dd, *J* = 9.4, 2.0 Hz, 1H), 6.88 (td, *J* = 9.2, 2.2 Hz, 1H), 6.78 (d, *J* = 8.8 Hz, 2H), 6.62 (d, *J* = 3.2 Hz, 1H), 6.41 (d, *J* = 3.2 Hz, 1H), 5.01 (q, *J* = 8.8 Hz, 1H), 3.77 (s, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 160.1 (d, *J* = 239.0 Hz), 159.0, 151.6, 145.2, 135.8 (d, *J* = 12.4 Hz), 130.9, 125.7, 125.1 (q, *J* = 280.6 Hz), 124.5 (d, *J* = 3.1 Hz), 122.9, 119.7 (d, *J* = 10.0 Hz), 118.6, 114.7, 111.2, 109.1 (d, *J* = 24.6 Hz), 107.3, 97.6 (d, *J* = 26.1 Hz), 55.3, 42.2 (q, *J* = 31.0 Hz); **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.36 (d, *J* = 8.5 Hz, 3F), -109.39 – -127.94 (m, 1F); **HRMS (ESI)** calcd for $C_{21}H_{15}F_4NNaO_2S$ $[M+Na]^+$: 444.0652, found: 444.0635.

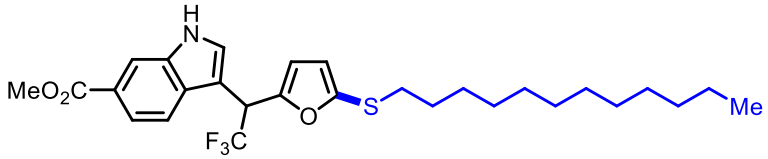
6-bromo-3-(1-(5-(dodecylthio)furan-2-yl)-2,2,2-trifluoroethyl)-1*H*-indole (4ka)



Column chromatography (petroleum ether/EtOAc = 50:1 to 16:1) to afford **4ka** in 88% yield (143.8 mg); yellow solid, mp 70–72 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.26 (s, 1H), 7.54 (s, 1H), 7.39 (d, *J* = 8.5 Hz, 1H), 7.30 (d, *J* = 2.0 Hz, 1H), 7.24 (dd, *J* = 8.6, 1.4 Hz, 1H), 6.44 (d, *J* = 3.2 Hz, 1H), 6.33 (d, *J* = 3.1 Hz, 1H), 5.00 (q, *J* = 8.8 Hz, 1H), 2.71 (t, *J* = 7.4 Hz, 2H), 1.57–1.49 (m, 2H), 1.31–1.21 (m, 18H), 0.88 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 150.6, 146.7, 136.6, 125.4, 125.1 (d, *J* = 282.4 Hz), 124.7, 123.6, 120.2, 117.3, 116.2, 114.3, 111.0, 107.7, 42.0 (q, *J* = 31.0 Hz), 36.1,

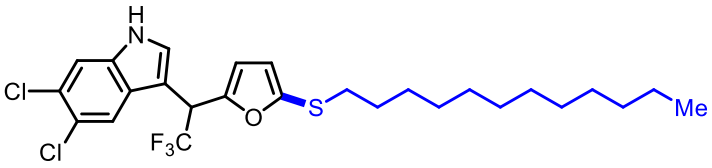
31.9, 29.7, 29.6, 29.6, 29.6, 29.5, 29.34, 29.1, 28.4, 22.7, 14.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.47 (d, *J* = 8.7 Hz, 3F); **HRMS (ESI)** calcd for $C_{26}H_{34}BrF_3NOS$ $[M+H]^+$: 544.1491, found: 544.1505.

Methyl 3-(1-(5-(dodecylthio)furan-2-yl)-2,2,2-trifluoroethyl)-1*H*-indole-6-carboxylate (4la)



Column chromatography (petroleum ether/EtOAc = 20:1 to 8:1) to afford **4la** in 97% yield (152.4 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.51 (s, 1H), 8.16 (d, *J* = 0.7 Hz, 1H), 7.83 (dd, *J* = 8.5, 1.4 Hz, 1H), 7.56 (d, *J* = 8.5 Hz, 1H), 7.50 (d, *J* = 2.6 Hz, 1H), 6.45 (d, *J* = 3.2 Hz, 1H), 6.34 (d, *J* = 3.2 Hz, 1H), 5.06 (q, *J* = 8.8 Hz, 1H), 3.94 (s, 3H), 2.71 (t, 2H), 1.56–1.48 (m, 2H), 1.31–1.19 (m, 18H), 0.88 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 167.9, 150.5, 146.7, 135.2, 130.0, 127.4, 124.3, 123.7 (q, *J* = 158.3 Hz), 121.2, 118.4, 117.3, 113.8, 111.0, 107.8, 52.0, 42.0 (q, *J* = 31.0 Hz), 36.0, 31.9, 29.7, 29.6, 29.6, 29.6, 29.5, 29.3, 29.1, 28.3, 22.7, 14.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.54 (d, *J* = 8.7 Hz, 3F); **HRMS (ESI)** calcd for $C_{28}H_{36}F_3NNaO_3S$ $[M+Na]^+$: 546.2260, found: 546.2240.

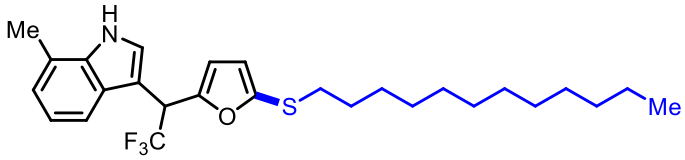
5,6-dichloro-3-(1-(5-(dodecylthio)furan-2-yl)-2,2,2-trifluoroethyl)-1*H*-indole (4ma)



Column chromatography (petroleum ether/EtOAc = 50:1 to 12:1) to afford **4ma** in 85% yield (136.3 mg); pale-yellow solid, mp 81–83 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.28 (s, 1H), 7.60 (s, 1H), 7.49 (s, 1H), 7.34 (d, *J* = 2.3 Hz, 1H), 6.46 (d, *J* = 3.2 Hz, 1H), 6.34 (d, *J* = 3.2 Hz, 1H), 4.95 (q, *J* = 8.7 Hz, 1H), 2.72 (t, *J* = 7.2 Hz ,2H), 1.56–1.49 (m, 2H), 1.33–1.21 (m, 18H), 0.88 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 150.1, 146.9, 134.6, 126.7, 126.2, 126.1, 125.0 (q, *J* = 280.3 Hz), 124.6, 120.1, 117.3, 112.8, 111.1, 107.3, 42.0 (q, *J* = 31.1 Hz), 36.1, 31.9, 29.7, 29.6, 29.6, 29.6, 29.5, 29.3,

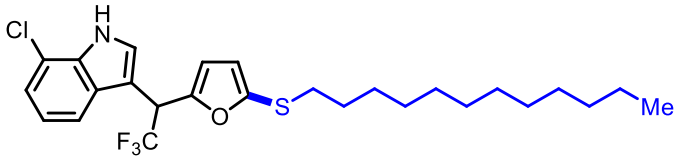
29.1, 28.3, 22.7, 14.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.48 (d, *J* = 8.8 Hz, 3F); **HRMS (ESI)** calcd for $C_{26}H_{33}Cl_2F_3NOS$ $[M+H]^+$: 534.1607, found: 534.1623.

3-(1-(5-(dodecylthio)furan-2-yl)-2,2,2-trifluoroethyl)-7-methyl-1*H*-indole (4na)



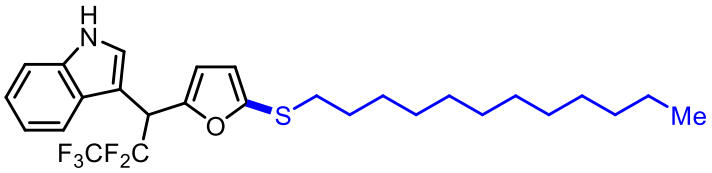
Column chromatography (petroleum ether/EtOAc = 50:1 to 16:1) to afford **4na** in 96% yield (138.1 mg); pale-yellow solid, mp 50–52 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.15 (s, 1H), 7.42 (d, *J* = 7.9 Hz, 1H), 7.33 (d, *J* = 2.3 Hz, 1H), 7.09 (t, *J* = 7.5 Hz, 1H), 7.04 (d, *J* = 7.1 Hz, 1H), 6.45 (d, *J* = 3.2 Hz, 1H), 6.34 (d, *J* = 3.2 Hz, 1H), 5.06 (q, *J* = 8.9 Hz, 1H), 2.74 (t, *J* = 7.4 Hz, 2H), 2.49 (s, 3H), 1.60–1.50 (m, 2H), 1.33–1.25 (m, 18H), 0.91 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 151.1, 146.4, 135.4, 126.1, 125.3 (d, *J* = 280.5 Hz), 123.7, 123.1, 120.5, 120.4, 117.3, 116.4, 110.9, 107.8, 42.1 (q, *J* = 30.5 Hz), 36.1, 31.9, 29.7, 29.6, 29.6, 29.6, 29.5, 29.3, 29.1, 28.4, 22.7, 16.5, 14.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.41 (d, *J* = 8.9 Hz, 3F);**HRMS (ESI)** calcd for $C_{27}H_{37}F_3NOS$ $[M+H]^+$: 480.2542, found: 480.2560.

7-chloro-3-(1-(5-(dodecylthio)furan-2-yl)-2,2,2-trifluoroethyl)-1*H*-indole (4oa)



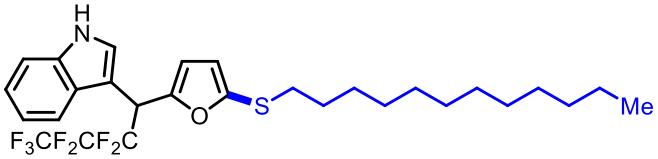
Column chromatography (petroleum ether/EtOAc = 50:1 to 25:1) to afford **4oa** in 99% yield (148.5 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.46 (s, 1H), 7.45 (d, *J* = 8.0 Hz, 1H), 7.39 (d, *J* = 2.1 Hz, 1H), 7.23 (d, *J* = 7.6 Hz, 1H), 7.08 (t, *J* = 7.8 Hz, 1H), 6.44 (d, *J* = 3.2 Hz, 1H), 6.33 (d, *J* = 3.2 Hz, 1H), 5.02 (q, *J* = 8.8 Hz, 1H), 2.71 (t, *J* = 7.4 Hz, 2H), 1.56–1.47 (m, 2H), 1.30–1.20 (m, 18H), 0.88 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 150.5, 146.7, 133.2, 127.9, 124.7, 121.9, 121.0, 117.5, 117.3, 116.9, 111.0, 108.6, 42.2 (q, *J* = 30.9 Hz), 36.0, 31.9, 29.7, 29.6, 29.6, 29.6, 29.5, 29.3, 29.1, 28.4, 22.7, 14.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.48 (d, *J* = 8.8 Hz, 3F); **HRMS (ESI)** calcd for $C_{26}H_{33}ClF_3NNaOS$ $[M+Na]^+$: 522.1816, found: 522.1800.

3-(1-(5-(dodecylthio)furan-2-yl)-2,2,3,3,3-pentafluoropropyl)-1*H*-indole (4pa)



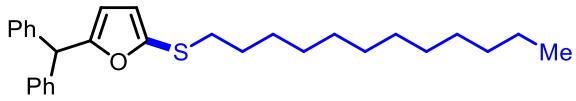
Column chromatography (petroleum ether/EtOAc = 50:1 to 16:1) to afford **4pa** in 83% yield (128.4 mg); pale-yellow solid, mp 69–71 °C; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.23 (s, 1H), 7.64 (d, *J* = 7.9 Hz, 1H), 7.42 (s, 1H), 7.39 (d, *J* = 8.1 Hz, 1H), 7.24 (t, *J* = 7.5 Hz, 1H), 7.19 (t, *J* = 7.5 Hz, 1H), 6.44 (d, *J* = 2.9 Hz, 1H), 6.36 (d, *J* = 2.9 Hz, 1H), 5.08 (t, *J* = 16.3 Hz, 1H), 2.75 (t, *J* = 7.4 Hz, 2H), 1.63–1.52 (m, 2H), 1.42–1.20 (m, 18H), 0.90 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 150.5, 146.5, 135.6, 126.6, 124.5, 122.6, 120.2, 118.6, 117.4, 111.3, 106.6, 39.2 (t, *J* = 23.4 Hz), 36.1, 31.9, 29.7, 29.6, 29.6, 29.5, 29.3, 29.1, 28.4, 22.7, 14.1; **^{19}F NMR (565 MHz, CDCl$_3$)** δ -81.97 (s, 3F), -115.68 (dd, *J* = 267.6, 15.0 Hz, 1F), -116.85 (dd, *J* = 267.5, 17.8 Hz, 1F); **HRMS (ESI)** calcd for $C_{27}H_{35}F_5NOS$ $[M+H]^+$: 516.2354, found: 516.2373.

3-(1-(5-(dodecylthio)furan-2-yl)-2,2,3,3,4,4,4-heptafluorobutyl)-1*H*-indole (4qa)



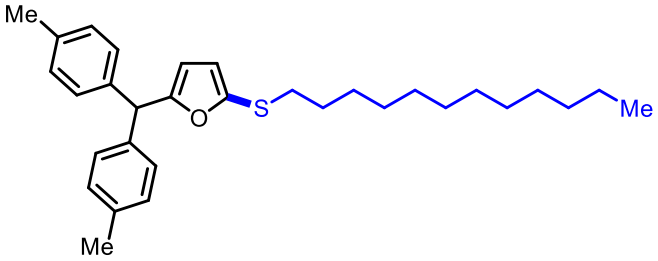
Column chromatography (petroleum ether/EtOAc = 50:1 to 16:1) to afford **4qa** in 87% yield (147.6 mg); yellow oil; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.23 (s, 1H), 7.66 (d, *J* = 7.9 Hz, 1H), 7.42 (s, 1H), 7.39 (d, *J* = 8.1 Hz, 1H), 7.24 (t, *J* = 7.6 Hz, 1H), 7.19 (t, *J* = 7.5 Hz, 1H), 6.44 (d, *J* = 3.0 Hz, 1H), 6.36 (d, *J* = 3.0 Hz, 1H), 5.17 (t, *J* = 15.6 Hz, 1H), 2.75 (t, *J* = 7.4 Hz, 2H), 1.61–1.53 (m, 2H), 1.33–1.23 (m, 18H), 0.90 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 150.4, 146.5, 135.6 126.6, 124.7, 122.6, 120.3, 118.6, 117.4, 111.4, 111.3, 106.6, 39.2 (t, *J* = 23.8 Hz), 36.1, 31.9, 29.7, 29.6, 29.6, 29.5, 29.3, 29.1, 28.4, 22.7, 14.1; **^{19}F NMR (565 MHz, CDCl$_3$)** δ -80.59 (t, *J* = 10.6 Hz, 3F), -111.81 – -112.57 (m, 1F), -113.10 – -113.90 (m,1F), -124.52 (dd, *J* = 289.7, 10.2 Hz, 1F), -125.18 (dd, *J* = 289.8, 9.9 Hz, 1F); **HRMS (ESI)** calcd for $C_{28}H_{35}F_7NOS$ $[M+H]^+$: 566.2322, found: 566.2337.

2-benzhydryl-5-(dodecylthio)furan (4ra)



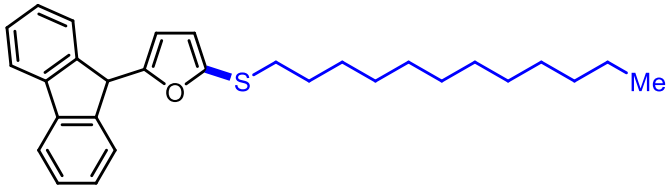
Column chromatography (petroleum ether/EtOAc = 100:1 to 50:1) to afford **4ra** in 99% yield (129.1 mg); colorless oil; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 7.34–7.29 (m, 4H), 7.28–7.23 (m, 2H), 7.21–7.17 (m, 4H), 6.44 (d, *J* = 1.9 Hz, 1H), 5.90 (d, *J* = 3.1 Hz, 1H), 5.47 (s, 1H), 2.71 (t, *J* = 7.4 Hz, 2H), 1.61–1.53 (m, 2H), 1.35–1.22 (m, 18H), 0.92 (t, *J* = 6.3 Hz, 3H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 159.4, 145.2, 141.5, 128.7, 128.4, 126.7, 117.3, 110.2, 51.1, 36.2, 31.9, 29.7, 29.6, 29.6, 29.5, 29.3, 29.1, 28.4, 22.7, 14.1; **HRMS (ESI)** calcd for $C_{29}H_{38}NaOS$ $[M+Na]^+$: 457.2536, found: 457.2540.

2-(di-p-tolylmethyl)-5-(dodecylthio)furan (4sa)



Column chromatography (petroleum ether/EtOAc = 100:1 to 50:1) to afford **4sa** in 99% yield (137.4 mg); colorless oil; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 7.14 (d, *J* = 8.0 Hz, 4H), 7.09 (d, *J* = 8.1 Hz, 4H), 6.45 (d, *J* = 3.1 Hz, 1H), 5.91 (d, *J* = 3.0 Hz, 1H), 5.41 (s, 1H), 2.73 (t, *J* = 7.8 Hz, 2H), 2.37 (s, 6H), 1.63–1.55 (m, 2H), 1.40–1.26 (m, 18H), 0.95 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 159.8, 145.0, 138.7, 136.1, 129.0, 128.5, 117.3, 109.9, 50.4, 36.2, 31.9, 29.7, 29.7, 29.6, 29.6, 29.5, 29.3, 29.2, 28.4, 22.7, 21.0, 14.1; **HRMS (ESI)** calcd for $C_{31}H_{42}NaOS$ $[M+Na]^+$: 485.2849, found: 485.2835.

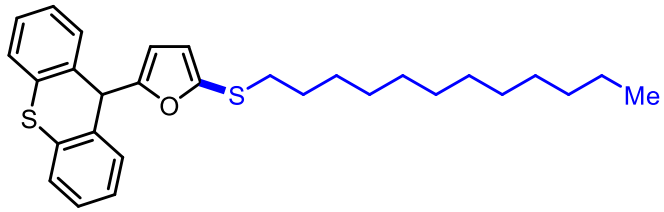
2-(dodecylthio)-5-(9*H*-fluoren-9-yl)furan (4ta)



Column chromatography (petroleum ether/EtOAc = 100:1 to 50:1) to afford **4ta** in 85% yield (110.3 mg); pale-yellow solid, mp 59–61 °C; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 7.79 (d, *J* = 7.6 Hz, 2H), 7.63 (d, *J* = 7.5 Hz, 2H), 7.42 (t, *J* = 7.5 Hz, 2H), 7.33 (td, *J* = 7.4, 0.9 Hz, 2H), 6.39 (d, *J* = 3.1 Hz, 1H), 5.91 (dd, *J* = 3.1, 0.7 Hz, 1H), 5.22 (s, 1H), 2.76

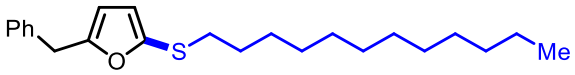
(t, *J* = 7.4, 2H), 1.65–1.57 (m, 2H), 1.33–1.23 (m, 18H), 0.90 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 156.8, 145.4, 143.7, 140.9, 127.8, 127.3, 125.4, 120.1, 117.6, 107.2, 47.6, 36.3, 31.9, 29.9, 29.7, 29.6, 29.60, 29.5, 29.3, 29.2, 28.5, 22.7, 14.1; **HRMS (ESI)** calcd for $C_{29}H_{37}OS_2$ $[M+H]^+$: 433.2560, found: 433.2553.

2-(dodecylthio)-5-(9*H*-thioxanthen-9-yl)furan (4ua)



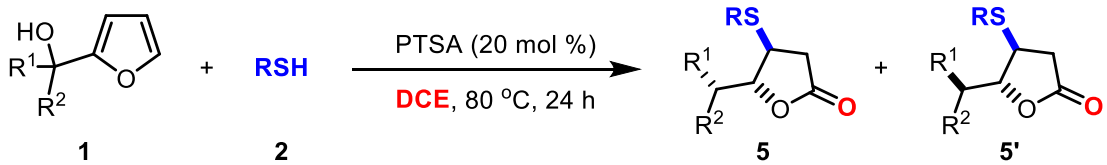
Column chromatography (petroleum ether) to afford **4ua** in 47% yield (65.5 mg); pale-yellow solid, mp 60–62 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.44–7.39 (m, 4H), 7.28–7.23 (m, 4H), 6.29 (d, *J* = 3.1 Hz, 1H), 5.66 (d, *J* = 3.1 Hz, 1H), 5.36 (s, 1H), 2.62 (t, *J* = 7.4 Hz, 2H), 1.49–1.44 (m, 2H), 1.29–1.20 (m, 18H), 0.90 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 155.5, 145.3, 134.4, 132.8, 129.4, 127.2, 126.8, 126.6, 117.2, 108.8, 48.0, 36.1, 31.9, 29.8, 29.7, 29.6, 29.6, 29.5, 29.3, 29.1, 28.4, 22.7, 14.1; **HRMS (ESI)** calcd for $C_{29}H_{37}OS_2$ $[M+H]^+$: 465.2280, found: 465.2298.

2-benzyl-5-(dodecylthio)furan (4va)



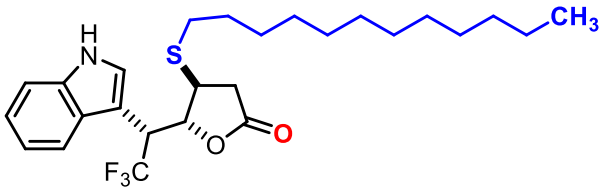
Column chromatography (petroleum ether) to afford **4va** in 61% yield (65.6 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.33–7.28 (m, 2H), 7.25–7.21 (m, 3H), 6.40 (d, *J* = 3.1 Hz, 1H), 5.95 (d, *J* = 3.1 Hz, 1H), 3.96 (s, 2H), 2.71 (t, *J* = 7.8 Hz, 2H), 1.58–1.53 (m, 2H), 1.33–1.22 (m, 18H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 157.6, 144.5, 137.7, 128.7, 128.5, 126.6, 117.8, 108.2, 36.3, 34.9, 31.9, 29.8, 29.7, 29.7, 29.6, 29.5, 29.4, 29.2, 28.4, 22.7, 14.1; **HRMS (ESI)** calcd for $C_{23}H_{34}NaOS$ $[M+Na]^+$: 381.2223, found: 381.2233

4. General procedure for the synthesis of lactone-based sulfides



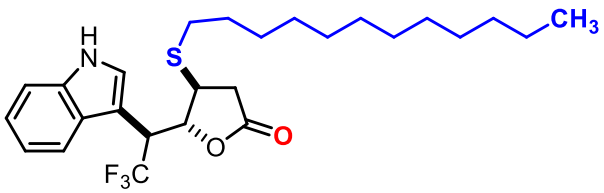
To a solution of 2-furylcarbinol **1** (0.3 mmol) and thiol **2** (0.6 mmol, 2 equiv) in anhydrous DCE was added $TsOH \cdot H_2O$ (11.4 mg, 0.2 equiv.). The reaction mixture was stirred at 80 °C for 24 h until full consumption of the starting material (as indicated by TLC). Upon completion, the reaction mixture was quenched with saturated $NaHCO_3$ solution and extracted with EA (10 mL x 2). The combined organic phases were washed with brine and dried over $MgSO_4$. The solvent was removed under reduced pressure and the residue was purified purified by flash column chromatography on silica gel (eluent: petroleum ether/EtOAc) to give the products **5** and **5'**.

(4*S,5*R**)-4-(dodecylthio)-5-((*R**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)ethyl)dihydrofuran-2(3*H*)-one (5aa)**



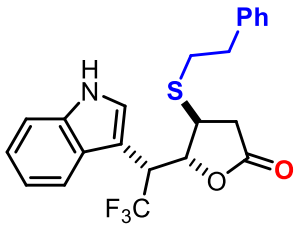
Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **5aa** in 42% yield (60.9 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.55 (s, 1H), 7.61 (d, *J* = 7.9 Hz, 1H), 7.44 (d, *J* = 8.1 Hz, 1H), 7.36 (d, *J* = 2.1 Hz, 1H), 7.27 (t, *J* = 7.5 Hz, 1H), 7.21 (t, *J* = 7.4 Hz, 1H), 4.89 (d, *J* = 7.7 Hz, 1H), 4.20 (q, *J* = 9.7 Hz, 1H), 2.98 (q, *J* = 8.9 Hz, 1H), 2.52–2.47 (m, 2H), 2.45 (d, *J* = 9.1 Hz, 2H), 1.56–1.46 (m, 2H), 1.31–1.20 (m, 18H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.4, 135.3, 128.0, 125.7 (q, *J* = 281.8 Hz), 125.6, 122.8, 120.6, 117.4, 111.7, 102.1, 80.7, 42.6 (q, *J* = 28.4 Hz), 41.7, 36.7, 31.9, 31.6, 29.6, 29.6, 29.5, 29.4, 29.3, 29.1, 28.7, 22.7, 14.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.35 (d, *J* = 9.7 Hz, 3F); **HRMS (ESI)** calcd for $C_{26}H_{37}F_3NO_2S$ $[M+H]^+$: 484.2492, found: 484.2477.

(4*S,5*R**)-4-(dodecylthio)-5-((*S**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)ethyl)dihydrofuran-2(3*H*)-one (5aa')**



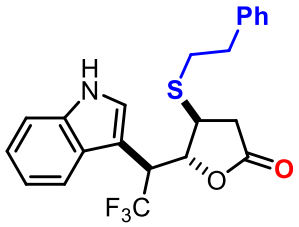
Column chromatography (petroleum ether/EtOAc = 25:1 to 8:1) to afford **5aa'** in 37% yield (53.7 mg); pale-yellow solid, mp 70–72 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.50 (s, 1H), 7.62 (d, *J* = 8.0 Hz, 1H), 7.41 (d, *J* = 8.1 Hz, 1H), 7.29 (s, 1H), 7.26 (t, *J* = 7.5 Hz, 1H), 7.20 (t, *J* = 7.5 Hz, 1H), 4.97 (dd, *J* = 6.3, 2.9 Hz, 1H), 4.05–3.97 (m, 1H), 3.43 (dt, *J* = 8.6, 3.1 Hz, 1H), 2.58 (dd, *J* = 18.4, 8.9 Hz, 1H), 2.38–2.24 (m, 3H), 1.34–1.18 (m, 20H), 0.89 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.2, 135.8, 126.6, 125.7 (q, *J* = 280.6 Hz), 123.8, 123.2, 120.8, 118.4, 111.6, 104.9, 83.9, 45.3 (q, *J* = 27.3 Hz), 40.8, 35.5, 31.9, 31.0, 29.6, 29.6, 29.5, 29.4, 29.3, 29.1, 29.0, 287, 22.7, 14.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.38 (d, *J* = 9.2 Hz, 3F); **HRMS (ESI)** calcd for $C_{26}H_{37}F_3NO_2S$ $[M+H]^+$: 484.2492, found: 484.2485.

(4*S,5*R**)-4-(phenethylthio)-5-((*R**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)ethyl)dihydrofuran-2(3*H*)-one (5ab)**



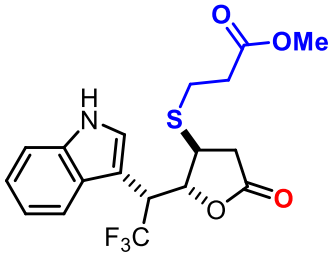
Column chromatography (petroleum ether/EtOAc = 25:1 to 6:1) to afford **5ab** in 32% yield (40.3 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.53 (s, 1H), 7.57 (d, *J* = 7.9 Hz, 1H), 7.44 (d, *J* = 8.1 Hz, 1H), 7.33 (s, 1H), 7.30–7.24 (m, 3H), 7.24–7.19 (m, 2H), 7.06 (d, *J* = 7.3 Hz, 2H), 4.86 (d, *J* = 8.1 Hz, 1H), 4.15 (q, *J* = 9.7 Hz, 1H), 2.93 (q, *J* = 8.9 Hz, 1H), 2.84–2.73 (m, 4H), 2.38 (dd, *J* = 17.8, 9.4 Hz, 1H), 2.32 (dd, *J* = 17.9, 8.8 Hz, 1H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.2, 139.3, 135.3, 128.6, 128.4, 127.9, 126.7, 125.6, 122.9, 120.7, 117.4, 111.7, 102.0, 80.8, 42.5 (q, *J* = 28.7 Hz), 42.1, 36.5, 36.2, 33.2; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.28 (d, *J* = 9.6 Hz, 3F); **HRMS (ESI)** calcd for $C_{22}H_{20}F_3NNaO_2S$ $[M+Na]^+$: 442.1059, found: 442.1049.

(4*S,5*R**)-4-(phenethylthio)-5-((*S**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)ethyl)dihydrofuran-2(3*H*)-one (5ab')**



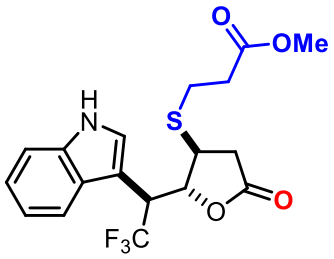
Column chromatography (petroleum ether/EtOAc = 25:1 to 5:1) to afford **5ab'** in 43% yield (54.1 mg); pale-yellow oil; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.42 (s, 1H), 7.61 (d, *J* = 8.0 Hz, 1H), 7.41 (d, *J* = 8.1 Hz, 1H), 7.31–7.19 (m, 6H), 7.00 (d, *J* = 7.2 Hz, 2H), 4.94 (dd, *J* = 6.5, 3.1 Hz, 1H), 4.04–3.94 (m, 1H), 3.39 (dt, *J* = 8.8, 3.3 Hz, 1H), 2.67–2.49 (m, 5H), 2.32 (dd, *J* = 18.5, 3.6 Hz, 1H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 174.0, 139.5, 135.7, 128.5, 128.3, 126.6, 126.6, 123.8, 123.2, 120.9, 118.3, 111.7, 104.8, 84.0, 45.3 (q, *J* = 27.5 Hz), 41.2, 35.6, 35.5, 32.4; **^{19}F NMR (565 MHz, CDCl$_3$)** δ -65.44 (d, *J* = 9.2 Hz, 3F); **HRMS (ESI)** calcd for $C_{22}H_{20}F_3NNaO_2S$ $[M+Na]^+$: 442.1059, found: 442.1040.

Methyl 3-(((2*R,3*S**)-5-oxo-2-((*R**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)ethyl)tetrahy-drofuran-3-yl)thio)propanoate (5ac)**



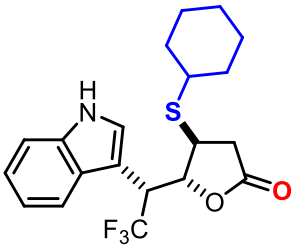
Column chromatography (petroleum ether/EtOAc = 25:1 to 5:1) to afford **5ac** in 21% yield (25.3 mg); pale-yellow oil; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.54 (s, 1H), 7.64 (d, *J* = 7.9 Hz, 1H), 7.44 (d, *J* = 8.1 Hz, 1H), 7.36 (s, 1H), 7.27 (t, *J* = 7.5 Hz, 1H), 7.22 (t, *J* = 7.5 Hz, 1H), 4.90 (d, *J* = 7.7 Hz, 1H), 4.21 (q, *J* = 9.6 Hz, 1H), 3.69 (s, 3H), 3.06 (q, *J* = 8.4 Hz, 1H), 2.78 (t, *J* = 6.9 Hz, 2H), 2.55 (td, *J* = 6.8, 2.8 Hz, 2H), 2.42 (d, *J* = 8.9 Hz, 2H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 174.1, 171.7, 135.3, 127.9, 125.7 (q, *J* = 280.6 Hz), 125.7, 122.9, 120.7, 117.4, 111.7, 102.0, 80.7, 52.0, 42.8 (q, *J* = 28.4 Hz), 42.0, 36.5, 34.5, 26.5; **^{19}F NMR (565 MHz, CDCl$_3$)** δ -68.30 (d, *J* = 9.7 Hz, 3F); **HRMS (ESI)** calcd for $C_{18}H_{18}F_3NNaO_4S$ $[M+Na]^+$: 424.0801, found: 424.0790.

Methyl 3-(((2*R,3*S**)-5-oxo-2-((*S**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)ethyl)tetrahydrofuran-3-yl)thio)propanoate (5ac')**



Column chromatography (petroleum ether/EtOAc = 25:1 to 4:1) to afford **5ac'** in 22% yield (26.5 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.54 (s, 1H), 7.62 (d, *J* = 8.0 Hz, 1H), 7.41 (d, *J* = 8.1 Hz, 1H), 7.31 (d, *J* = 2.1 Hz, 1H), 7.26 (t, *J* = 7.5 Hz, 1H), 7.21 (t, *J* = 7.5 Hz, 1H), 4.96 (dd, *J* = 6.6, 3.0 Hz, 1H), 4.06–3.96 (m, 1H), 3.65 (s, 3H), 3.46 (dt, *J* = 8.8, 3.3 Hz, 1H), 2.65–2.49 (m, 3H), 2.40–2.20 (m, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 173.8, 171.8, 135.8 126.6, 123.9, 123.2, 120.9, 118.3, 111.7, 104.7, 83.7, 51.9, 45.3 (q, *J* = 27.3 Hz), 41.1, 35.4, 33.8, 25.9; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.20 (d, *J* = 9.7 Hz, 3F); **HRMS (ESI)** calcd for $C_{18}H_{18}F_3NNaO_4S$ $[M+Na]^+$: 424.0801, found: 424.0811.

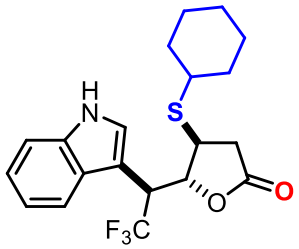
(4*S,5*R**)-4-(cyclohexylthio)-5-((*R**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)ethyl)dihydrofuran-2(3*H*)-one (5ad)**



Column chromatography (petroleum ether/EtOAc = 25:1 to 8:1) to afford **5ad** in 25% yield (29.8 mg); yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.58 (s, 1H), 7.61 (d, *J* = 7.9 Hz, 1H), 7.44 (d, *J* = 8.1 Hz, 1H), 7.36 (d, *J* = 2.3 Hz, 1H), 7.29–7.23 (m, 1H), 7.23–7.17 (m, 1H), 4.84 (dd, *J* = 8.7, 1.0 Hz, 1H), 4.22 (q, *J* = 9.6 Hz, 1H), 3.03 (q, *J* = 8.9 Hz, 1H), 2.61–2.42 (m, 3H), 1.88–1.82 (m, 1H), 1.80–1.65 (m, 3H), 1.62–1.52 (m, 1H), 1.35–1.10 (m, 5H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.5, 135.3, 128.0, 125.8 (q, *J* = 280.2 Hz), 125.6, 122.76, 120.4, 117.5, 111.7, 102.1, 80.9, 44.7, 42.3 (q, *J* = 28.3

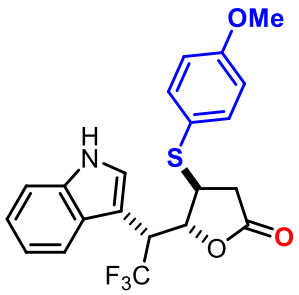
Hz), 40.4, 38.0, 34.4, 33.6, 25.8, 25.7, 25.4; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.40 (d, *J* = 9.7 Hz, 3F)**; HRMS (ESI)** calcd for $C_{20}H_{23}F_3NO_2S$ $[M+H]^+$: 398.1396, found: 398.1410.

(4*S,5*R**)-4-(cyclohexylthio)-5-((*S**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)ethyl)dihydrofuran-2(3*H*)-one (5ad')**



Column chromatography (petroleum ether/EtOAc = 25:1 to 7:1) to afford **5ad'** in 33% yield (39.3 mg); pale-yellow solid, mp 78–80 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.54 (s, 1H), 7.63 (d, *J* = 8.0 Hz, 1H), 7.42 (d, *J* = 8.1 Hz, 1H), 7.30 (d, *J* = 1.5 Hz, 1H), 7.26 (t, *J* = 7.5 Hz, 1H), 7.21 (t, *J* = 7.3 Hz, 1H), 4.94 (dd, *J* = 6.9, 3.3 Hz, 1H), 4.03–3.92 (m, 1H), 3.53–3.42 (m, 1H), 2.70 (dd, *J* = 18.4, 8.9 Hz, 1H), 2.37 (dd, *J* = 18.4, 3.8 Hz, 1H), 2.33–2.23 (m, 1H), 1.74–1.43 (m, 6H), 1.15–1.01 (m, 4H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.3, 135.8, 126.5, 125.7 (q, *J* = 280.4 Hz), 123.9, 123.1, 120.8, 118.3, 111.7, 105.0, 84.3, 45.3 (q, *J* = 27.6 Hz), 43.4, 39.5, 36.2, 33.6, 32.8, 25.8, 25.6, 25.4; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.26 (d, *J* = 9.1 Hz, 3F)**; HRMS (ESI)** calcd for $C_{20}H_{23}F_3NO_2S$ $[M+H]^+$: 398.1396, found: 398.1408.

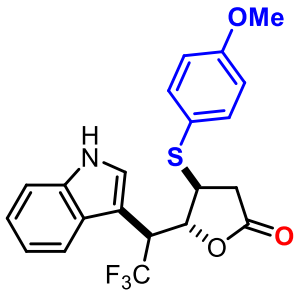
(4*S,5*R**)-4-((4-methoxyphenyl)thio)-5-((*R**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)-ethyl)dihydrofuran-2(3*H*)-one (5af)**



Column chromatography (petroleum ether/EtOAc = 25:1 to 5:1) to afford **5af** in 42% yield (53.1 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.56 (s, 1H), 7.47–7.37 (m, 4H), 7.30 (s, 1H), 7.28–7.23 (m, 1H), 7.18 (t, *J* = 7.5 Hz, 1H), 6.93 (d, *J* = 8.6

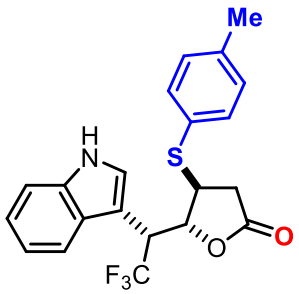
Hz, 2H), 4.96 (d, *J* = 8.0 Hz, 1H), 4.11 (q, *J* = 9.7 Hz, 1H), 3.85 (s, 3H), 3.18 (q, *J* = 8.9 Hz, 1H), 2.43–2.29 (m, 2H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 174.0, 161.0, 137.6, 135.2, 127.9, 125.8 (q, *J* = 280.3 Hz), 125.7, 122.7, 120.5, 119.8, 117.5, 115.3, 111.6, 101.9, 80.7, 55.4, 44.8, 42.4 (q, *J* = 28.6 Hz), 34.4; **^{19}F NMR (565 MHz, CDCl$_3$)** δ -68.50 (d, *J* = 9.8 Hz, 3F); **HRMS (ESI)** calcd for $C_{21}H_{18}F_3NNaO_3S$ $[M+Na]^+$: 444.0852, found: 444.0866.

(4*S,5*R**)-4-((4-methoxyphenyl)thio)-5-((*S**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)-ethyl)dihydrofuran-2(3*H*)-one (5af')**



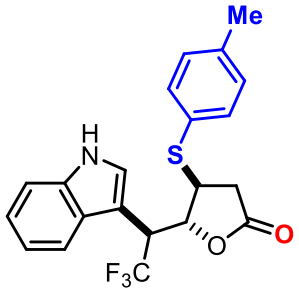
Column chromatography (petroleum ether/EtOAc = 25:1 to 4:1) to afford **5af'** in 36% yield (45.4 mg); yellow solid, mp 81–83 °C; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.40 (s, 1H), 7.52 (d, *J* = 8.0 Hz, 1H), 7.40 (d, *J* = 8.2 Hz, 1H), 7.26 (t, *J* = 7.5 Hz, 1H), 7.22–7.14 (m, 3H), 7.02 (d, *J* = 2.0 Hz, 1H), 6.78 (d, *J* = 8.7 Hz, 2H), 4.95 (dd, *J* = 6.8, 2.9 Hz, 1H), 3.97–3.88 (m, 1H), 3.80 (s, 3H), 3.64 (dt, *J* = 8.4, 3.2 Hz, 1H), 2.56 (dd, *J* = 18.5, 8.6 Hz, 1H), 2.39 (dd, *J* = 18.5, 3.5 Hz, 1H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 174.0, 160.6, 136.6, 135.7, 126.6, 125.6 (q, *J* = 281.0 Hz), 123.6, 123.0, 121.3, 120.7, 118.2, 114.9, 111.6, 104.8, 82.7, 55.4, 45.2, 44.9 (q, *J* = 27.4 Hz), 34.5; **^{19}F NMR (565 MHz, CDCl$_3$)** δ -65.68 (d, *J* = 9.1 Hz, 3F); **HRMS (ESI)** calcd for $C_{21}H_{18}F_3NNaO_3S$ $[M+Na]^+$: 444.0852, found: 444.0870.

(4*S,5*R**)-4-(p-tolylthio)-5-((*R**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)ethyl)dihydro-furan-2(3*H*)-one (5ag)**



Column chromatography (petroleum ether/EtOAc = 25:1 to 8:1) to afford **5ag** in 28% yield (34.1 mg); pale-yellow solid, mp 77–79 °C; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.52 (s, 1H), 7.44–7.34 (m, 4H), 7.30 (d, *J* = 2.0 Hz, 1H), 7.25 (t, *J* = 7.4 Hz, 1H), 7.20 (d, *J* = 7.9 Hz, 2H), 7.17 (t, *J* = 7.4 Hz, 1H), 4.97 (d, *J* = 7.9 Hz, 1H), 4.10 (q, *J* = 9.7 Hz, 1H), 3.24 (q, *J* = 8.9 Hz, 1H), 2.44–2.31 (m, 5H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 174.0, 140.0, 136.0, 135.2, 130.5, 127.9, 126.2, 125.7 (q, *J* = 280.4 Hz), 125.7, 122.7, 120.5, 117.5, 111.6, 101.9, 80.8, 44.6, 42.5 (q, *J* = 28.6 Hz), 34.6, 21.2; **^{19}F NMR (565 MHz, CDCl$_3$)** δ -68.48 (d, *J* = 9.7 Hz, 3F)**; HRMS (ESI)** calcd for $C_{21}H_{19}F_3NO_2S$ $[M+H]^+$: 406.1083, found: 406.1070.

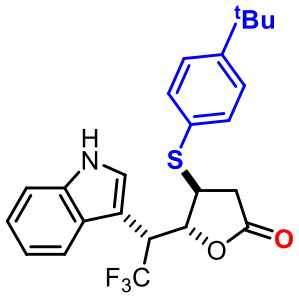
(4*S,5*R**)-4-(p-tolylthio)-5-((*S**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)ethyl)dihydro-furan-2(3*H*)-one (5ag')**



Column chromatography (petroleum ether/EtOAc = 25:1 to 7:1) to afford **5ag'** in 28% yield (34.1 mg); pale-yellow oil; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.40 (s, 1H), 7.52 (d, *J* = 8.0 Hz, 1H), 7.41 (d, *J* = 8.2 Hz, 1H), 7.26 (t, *J* = 7.6 Hz, 1H), 7.18 (t, *J* = 7.5 Hz, 1H), 7.11 (d, *J* = 8.0 Hz, 2H), 7.06 (d, *J* = 7.9 Hz, 3H), 4.95 (dd, *J* = 6.5, 2.8 Hz, 1H), 3.98–3.90 (m, 1H), 3.75–3.68 (m, 1H), 2.57 (dd, *J* = 18.5, 8.6 Hz, 1H), 2.40 (dd, *J* = 18.5, 3.6 Hz, 1H), 2.34 (s, 3H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 173.9, 139.3, 135.7, 134.3, 130.1, 127.4, 126.6, 123.7, 123.0, 120.7, 118.2, 111.6, 82.8, 44.0 (q, *J* = 28.0

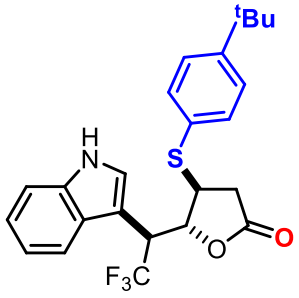
Hz), 44.8, 34.8, 21.2; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.61 (d, *J* = 8.9 Hz, 3F); **HRMS (ESI)** calcd for $C_{21}H_{19}F_3NO_2S$ $[M+H]^+$: 406.1083, found: 406.1073.

(4*S,5*R**)-4-((4-(tert-butyl)phenyl)thio)-5-((*R**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)-ethyl)dihydrofuran-2(3*H*)-one (5ah)**



Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **5ah** in 32% yield (43.0 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.50 (s, 1H), 7.45–7.39 (m, 5H), 7.37 (d, *J* = 8.0 Hz, 1H), 7.32 (s, 1H), 7.25 (t, *J* = 7.3 Hz, 1H), 7.16 (t, *J* = 7.5 Hz, 1H), 4.98 (d, *J* = 8.1 Hz, 1H), 4.09 (q, *J* = 9.7 Hz, 1H), 3.25 (q, *J* = 9.0 Hz, 1H), 2.40 (dd, *J* = 9.4, 3.1 Hz, 2H), 1.35 (s, 9H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.0, 153.1, 135.2, 135.2, 127.9, 126.8, 126.2, 125.8, 125.7 (q, *J* = 279.9 Hz), 122.7, 120.5, 117.5, 111.6, 101.9, 80.8, 44.5, 42.5 (q, *J* = 28.2 Hz), 34.8, 34.7, 31.2; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.53 (d, *J* = 9.5 Hz, 3F); **HRMS (ESI)** calcd for $C_{24}H_{24}F_3NNaO_2S$ $[M+Na]^+$: 470.1372, found: 470.1360.

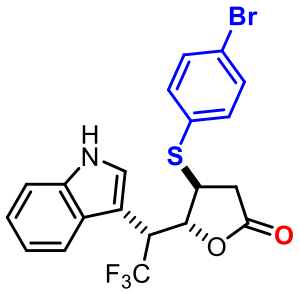
(4*S,5*R**)-4-((4-(tert-butyl)phenyl)thio)-5-((*S**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)-ethyl)dihydrofuran-2(3*H*)-one (5ah')**



Column chromatography (petroleum ether/EtOAc = 25:1 to 7:1) to afford **5ah'** in 31% yield (41.6 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.32 (s, 1H), 7.54 (d, *J* = 8.0 Hz, 1H), 7.41 (d, *J* = 8.2 Hz, 1H), 7.31–7.25 (m, 3H), 7.20 (t, *J* = 7.5 Hz, 1H), 7.16 (d, *J* = 8.4 Hz, 2H), 7.07 (d, *J* = 2.1 Hz, 1H), 4.94 (dd, *J* = 6.4, 3.2 Hz, 1H), 4.01–

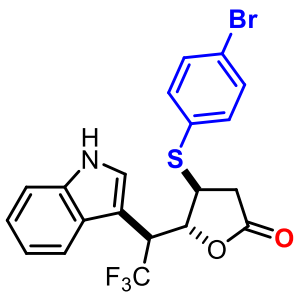
3.90 (m, 1H), 3.80–3.70 (m, 1H), 2.56 (dd, *J* = 18.5, 8.5 Hz, 1H), 2.41 (dd, *J* = 18.5, 3.8 Hz, 1H), 1.31 (s, 9H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 173.8, 152.4, 135.6, 134.0, 127.6, 126.7, 126.4, 123.6, 123.1, 120.8, 118.2, 111.6, 82.8, 44.9 (q, *J* = 27.1 Hz), 44.7, 34.9, 34.7, 31.2; **^{19}F NMR (565 MHz, CDCl$_3$)** δ -65.67 (d, *J* = 9.1 Hz, 3F); **HRMS (ESI)** calcd for $C_{24}H_{24}F_3NNaO_2S$ $[M+Na]^+$: 470.1372, found: 470.1382.

(4*S,5*R**)-4-((4-bromophenyl)thio)-5-((*R**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)-ethyl)dihydrofuran-2(3*H*)-one (5ai)**



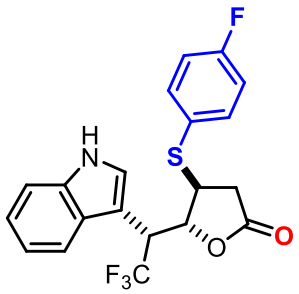
Column chromatography (petroleum ether/EtOAc = 25:1 to 7:1) to afford **5ai** in 30% yield (42.3 mg); yellow oil; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.50 (s, 1H), 7.48 (d, *J* = 8.3 Hz, 2H), 7.42 (d, *J* = 8.2 Hz, 1H), 7.36 (d, *J* = 8.0 Hz, 1H), 7.32 (s, 1H), 7.26 (t, *J* = 8.6 Hz, 3H), 7.17 (t, *J* = 7.5 Hz, 1H), 4.96 (d, *J* = 7.8 Hz, 1H), 4.08 (q, *J* = 9.6 Hz, 1H), 3.30 (q, *J* = 8.6 Hz, 1H), 2.39 (d, *J* = 9.1 Hz, 2H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 173.5, 135.7, 135.3, 132.9, 129.6, 125.7, 125.6 (q, *J* = 279.6 Hz), 123.9, 122.9, 120.7, 117.3, 111.7, 101.8, 80.6, 44.7, 42.7 (q, *J* = 28.5 Hz), 35.1; **^{19}F NMR (565 MHz, CDCl$_3$)** δ -68.40 (d, *J* = 8.7 Hz, 3F); **HRMS (ESI)** calcd for $C_{20}H_{16}BrF_3NO_2$ $[M+H]^+$: 470.0032, found: 470.0046.

(4*S,5*R**)-4-((4-bromophenyl)thio)-5-((*S**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)-ethyl)dihydrofuran-2(3*H*)-one (5ai')**



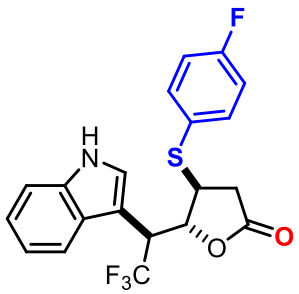
Column chromatography (petroleum ether/EtOAc = 25:1 to 6:1) to afford **5ai'** in 33% yield (46.6 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.51 (s, 1H), 7.50 (d, *J* = 8.0 Hz, 1H), 7.42 (d, *J* = 8.2 Hz, 1H), 7.35–7.23 (m, 3H), 7.19 (t, *J* = 7.5 Hz, 1H), 7.04 (s, 1H), 6.94 (d, *J* = 8.4 Hz, 2H), 4.92 (dd, *J* = 7.5, 2.5 Hz, 1H), 3.98–3.86 (m, 1H), 3.73 (dt, *J* = 8.4, 2.5 Hz, 1H), 2.69 (dd, *J* = 18.5, 8.6 Hz, 1H), 2.41 (dd, *J* = 18.5, 3.0 Hz, 1H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 173.7, 135.7, 134.9, 132.3, 130.5, 126.4, 123.7, 123.1, 123.1, 120.8, 118.0, 111.7, 104.4, 82.8, 45.1 (q, *J* = 27.4 Hz), 45.0, 34.5; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.49 (d, *J* = 8.9 Hz, 3F); **HRMS (ESI)** calcd for $C_{20}H_{16}BrF_3NO_2$ $[M+H]^+$: 470.0032, found: 470.0050.

(4*S*,*5*R)-4-((4-fluorophenyl)thio)-5-((*R**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)-ethyl)dihydrofuran-2(3*H*)-one (5aj)**



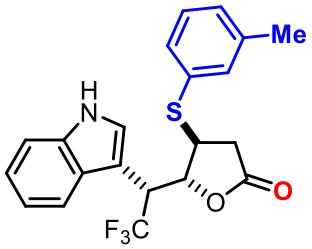
Column chromatography (petroleum ether/EtOAc = 25:1 to 7:1) to afford **5aj** in 24% yield (29.5 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.46 (s, 1H), 7.47–7.38 (m, 4H), 7.33 (s, 1H), 7.26 (t, *J* = 7.8 Hz, 1H), 7.18 (t, *J* = 7.5 Hz, 1H), 7.08 (t, *J* = 8.5 Hz, 2H), 4.95 (d, *J* = 7.8 Hz, 1H), 4.08 (q, *J* = 9.6 Hz, 1H), 3.25 (q, *J* = 8.9 Hz, 1H), 2.37 (d, *J* = 9.2 Hz, 2H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 173.6, 163.6 (q, *J* = 251.3 Hz), 137.2 (d, *J* = 8.5 Hz), 135.9 (d, *J* = 8.4 Hz), 135.3, 127.8, 125.7, 125.3, 122.8, 120.6, 117.3, 117.0 (d, *J* = 21.9 Hz), 116.7 (d, *J* = 22.1 Hz), 111.7, 101.8, 80.6, 44.9, 42.6 (q, *J* = 28.1 Hz), 34.9 (d, *J* = 19.8 Hz); **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.45 (d, *J* = 9.7 Hz, 3F), -110.35 – -110.45 (m, 1F); **HRMS (ESI)** calcd for $C_{20}H_{16}F_4NO_2S$ $[M+H]^+$: 410.0832, found: 410.0839.

(4*S,5*R**)-4-((4-fluorophenyl)thio)-5-((*S**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)-ethyl)dihydrofuran-2(3*H*)-one (5aj')**



Column chromatography (petroleum ether/EtOAc = 25:1 to 6:1) to afford **5aj'** in 21% yield (25.8 mg); pale-yellow oil;**^{1}HNMR (600 MHz, CDCl$_3$)** δ 8.47 (s, 1H), 7.52 (d, *J* = 8.0 Hz, 1H), 7.41 (d, *J* = 8.2 Hz, 1H), 7.28 (d, *J* = 7.3 Hz, 1H), 7.21–7.13 (m, 3H), 7.04 (s, 1H), 6.92 (t, *J* = 8.4 Hz, 2H), 4.93 (d, *J* = 7.0 Hz, 1H), 3.97–3.88 (m, 1H), 3.69 (d, *J* = 8.5 Hz, 1H), 2.60 (dd, *J* = 18.5, 8.6 Hz, 1H), 2.39 (dd, *J* = 18.5, 2.8 Hz, 1H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 173.7, 163.2 (d, *J* = 250.2 Hz), 136.4 (d, *J* = 8.5 Hz), 135.7, 126.5, 126.3 (d, *J* = 3.3 Hz), 125.5 (q, *J* = 280.8 Hz), 123.6, 123.1, 120.8, 118.1, 116.5 (d, *J* = 21.9 Hz), 111.7, 104.5, 82.7, 45.3, 45.1 (q, *J* = 27.4 Hz), 34.5; **^{19}F NMR (565 MHz, CDCl$_3$)** δ -65.63 (d, *J* = 9.0 Hz, 3F), -111.16 – -111.26 (m, 1F); **HRMS (ESI)** calcd for $C_{20}H_{16}F_4NO_2S$ $[M+H]^+$: 410.0832, found: 410.0850.

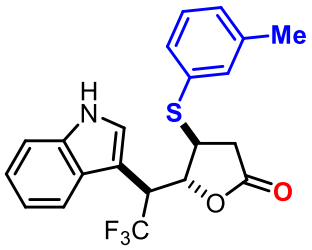
(4*S,5*R**)-4-(m-tolylthio)-5-((*R**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)ethyl)dihydro-furan-2(3*H*)-one (5ak)**



Column chromatography (petroleum ether/EtOAc = 25:1 to 8:1) to afford **5ak** in 29% yield (35.3 mg); pale-yellow oil; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.54 (s, 1H), 7.41 (d, *J* = 8.2 Hz, 1H), 7.36 (d, *J* = 8.0 Hz, 1H), 7.31 (s, 1H), 7.30–7.21 (m, 5H), 7.16 (t, *J* = 7.4 Hz, 1H), 5.00 (d, *J* = 8.0 Hz, 1H), 4.06 (q, *J* = 9.7 Hz, 1H), 3.29 (q, *J* = 8.9 Hz, 1H), 2.41 (dd, *J* = 9.3, 2.6 Hz, 2H), 2.35 (s, 3H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 174.0, 140.0, 135.5, 135.2, 131.8, 130.3, 129.8, 129.5, 127.9, 125.7, 125.7 (q, *J* = 279.5 Hz), 122.7, 120.5, 117.4, 111.6, 101.9, 80.9, 44.5, 42.6 (q, *J* = 28.5 Hz), 34.9, 21.2; **^{19}F NMR**

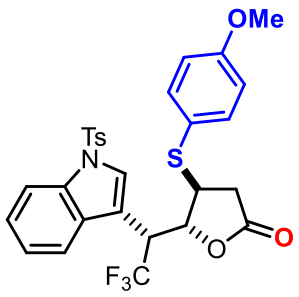
(565 MHz, $CDCl_3$) δ -68.52 (d, *J* = 8.2 Hz, 3F); **HRMS (ESI)** calcd for $C_{21}H_{19}F_3NO_2S$ $[M+H]^+$: 406.1083, found: 406.1070.

(4*S,5*R**)-4-(m-tolylthio)-5-((*S**)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)ethyl)dihydrofuran-2(3*H*)-one (5ak')**



Column chromatography (petroleum ether/EtOAc = 25:1 to 7:1) to afford **5ak'** in 22% yield (26.8 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.37 (s, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.41 (d, *J* = 8.2 Hz, 1H), 7.27 (t, *J* = 7.5 Hz, 1H), 7.19 (t, *J* = 7.5 Hz, 1H), 7.16–7.10 (m, 2H), 7.04 (d, *J* = 2.2 Hz, 1H), 7.01 (d, *J* = 5.7 Hz, 2H), 4.94 (dd, *J* = 6.8, 3.0 Hz, 1H), 3.98–3.90 (m, 1H), 3.76 (dt, *J* = 8.4, 3.3 Hz, 1H), 2.61 (dd, *J* = 18.5, 8.5 Hz, 1H), 2.42 (dd, *J* = 18.5, 3.6 Hz, 1H), 2.27 (s, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 173.9, 139.3, 135.6, 134.5, 131.0, 130.8, 129.6, 129.2, 126.7, 123.6, 123.1, 120.8, 118.1, 111.6, 104.7, 82.8, 77.2, 44.9 (q, *J* = 27.5 Hz), 44.8, 34.9, 21.1; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.71 (d, *J* = 9.1 Hz, 3F); **HRMS (ESI)** calcd for $C_{21}H_{19}F_3NO_2S$ $[M+H]^+$: 406.1083, found: 406.1077.

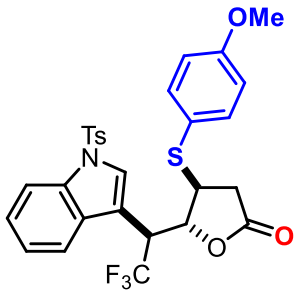
(4*S,5*R**)-4-((4-methoxyphenyl)thio)-5-((*R**)-2,2,2-trifluoro-1-(1-tosyl-1*H*-indol-3-yl)ethyl)dihydrofuran-2(3*H*)-one (5bf)**



Column chromatography (petroleum ether/EtOAc = 15:1 to 8:1) to afford **5bf** in 62% yield (107.1 mg); colorless solid, mp 159–161 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.02 (d, *J* = 8.3 Hz, 1H), 7.78–7.68 (m, 3H), 7.36 (t, *J* = 8.3 Hz, 3H), 7.32 (d, *J* = 7.8 Hz, 1H), 7.26 (t, *J* = 7.5 Hz, 1H), 7.22 (d, *J* = 8.1 Hz, 2H), 6.91 (d, *J* = 8.5 Hz, 2H), 4.86 (d,

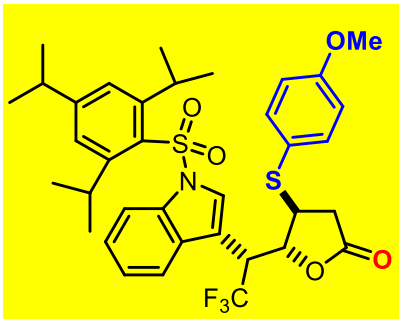
J = 8.5 Hz, 1H), 4.04 (q, *J* = 9.0 Hz, 1H), 3.83 (s, 3H), 2.91 (q, *J* = 9.0 Hz, 1H), 2.40–2.21 (m, 5H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 172.7, 161.1, 145.3, 137.7, 134.6, 134.3, 130.4, 130.0 127.7, 126.9, 125.4, 123.8, 119.2, 118.4, 115.3, 113.9, 108.8, 79.7, 55.4, 44.7, 42.0 (q, *J* = 31.4 Hz), 34.0, 21.5; **^{19}F NMR (565 MHz, CDCl$_3$)** δ -68.00 (d, *J* = 8.9 Hz, 3F); **HRMS (ESI)** calcd for $C_{28}H_{25}F_3NO_5S_2$ $[M+H]^+$: 576.1121, found: 576.1108.

(4*S,5*R**)-4-((4-methoxyphenyl)thio)-5-((*S**)-2,2,2-trifluoro-1-(1-tosyl-1*H*-indol-3-yl)ethyl)dihydrofuran-2(3*H*)-one (5bf')**



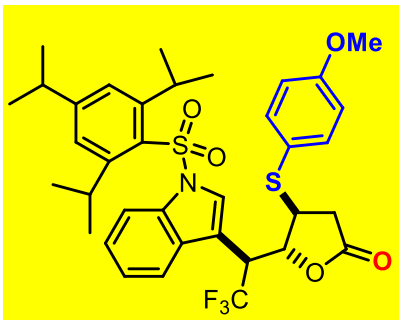
Column chromatography (petroleum ether/EtOAc = 15:1 to 7:1) to afford **5bf'** in 22% yield (38.0 mg); colorless solid, mp 160–162 °C; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.00 (d, *J* = 8.4 Hz, 1H), 7.73 (d, *J* = 8.4 Hz, 2H), 7.55 (s, 1H), 7.42 (d, *J* = 8.0 Hz, 1H), 7.38 (t, *J* = 7.8 Hz, 1H), 7.28 (t, *J* = 7.5 Hz, 1H), 7.15 (d, *J* = 8.2 Hz, 2H), 7.10 (d, *J* = 8.7 Hz, 2H), 6.77 (d, *J* = 8.7 Hz, 2H), 4.86 (dd, *J* = 6.4, 3.7 Hz, 1H), 3.88–3.78 (m, 4H), 3.52–3.46 (m, 1H), 2.42–2.31 (m, 2H), 2.28 (s, 3H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 173.1, 160.7, 145.5, 136.5, 134.7, 134.6, 130.0, 129.4, 126.8, 125.7, 124.0, 120.7, 119.0, 115.1, 113.9, 111.5, 81.8, 55.3, 45.1, 44.8 (d, *J* = 28.2 Hz), 34.5, 21.5. **^{19}F NMR (565 MHz, CDCl$_3$)** δ -65.40 (d, *J* = 8.7 Hz, 3F); **HRMS (ESI)** calcd for $C_{28}H_{25}F_3NO_5S_2$ $[M+H]^+$: 576.1121, found: 576.1110.

(4*S,5*R**)-4-((4-methoxyphenyl)thio)-5-((*R**)-2,2,2-trifluoro-1-(1-((2,4,6-triiso-propylphenyl)sulfonyl)-1*H*-indol-3-yl)ethyl)dihydrofuran-2(3*H*)-one (5cf)**



Column chromatography (petroleum ether/EtOAc = 50:1 to 16:1) to afford **5cf** in 60% yield (123.8 mg); colorless solid, mp 154–156 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.62 (s, 1H), 7.44–7.35 (m, 4H), 7.25–7.20 (m, 2H), 7.20 (s, 2H), 6.91 (d, *J* = 8.7 Hz, 2H), 4.85 (d, *J* = 9.1 Hz, 1H), 4.17–4.06 (m, 3H), 3.84 (s, 3H), 3.10 (dd, *J* = 19.7, 9.1 Hz, 1H), 2.98–2.86 (m, 1H), 2.53 (dd, *J* = 17.8, 8.6 Hz, 1H), 2.42 (dd, *J* = 17.8, 11.1 Hz, 1H), 1.25 (d, *J* = 6.9 Hz, 6H), 1.12 (d, *J* = 6.7 Hz, 6H), 1.07 (d, *J* = 6.7 Hz, 6H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 172.3, 161.1, 155.0, 151.4, 137.6, 134.4, 130.8, 129.6, 126.6, 125.3 (q, *J* = 280.6 Hz), 124.8, 124.3, 123.0, 119.3, 118.8, 115.3, 112.6, 106.8, 79.8, 55.4, 44.7, 41.9 (d, *J* = 29.4 Hz), 34.2 (d, *J* = 5.8 Hz), 29.5, 24.3 (d, *J* = 9.6 Hz), 23.3; **^{19}F NMR (376 MHz, $CDCl_3$)** δ -67.96 (s, 3F); **HRMS (ESI)** calcd for $C_{36}H_{41}F_3NO_5S_2$ $[M+H]^+$: 688.2373, found: 688.2361.

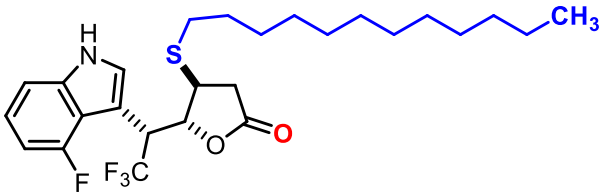
(4*S,5*R**)-4-((4-methoxyphenyl)thio)-5-((*S**)-2,2,2-trifluoro-1-(1-((2,4,6-triiso-propylphenyl)sulfonyl)-1*H*-indol-3-yl)ethyl)dihydrofuran-2(3*H*)-one (5cf')**



Column chromatography (petroleum ether/EtOAc = 50:1 to 14:1) to afford **5cf'** in 18% yield (37.1 mg); colorless solid, mp 161–163 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.56 (s, 1H), 7.47–7.42 (m, 1H), 7.32–7.27 (m, 1H), 7.25–7.20 (m, 4H), 7.18 (s, 2H), 6.82 (d, *J* = 8.7 Hz, 2H), 4.90 (dd, *J* = 6.3, 3.6 Hz, 1H), 4.14–4.05 (m, 2H), 3.90–3.83 (m, 1H), 3.81 (s, 3H), 3.65–3.59 (m, 1H), 2.96–2.84 (m, 1H), 2.67 (dd, *J* = 18.5, 8.6 Hz, 1H), 2.45 (dd, *J* = 18.5, 4.3 Hz, 1H), 1.23 (dd, *J* = 6.9, 1.5 Hz, 6H), 1.16 (d, *J* = 6.7 Hz,

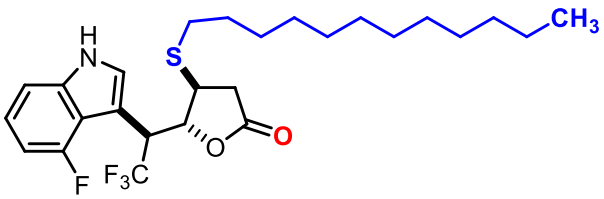
6H), 1.02 (d, *J* = 6.7 Hz, 6H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 173.2, 160.7, 155.0, 151.5, 136.7, 134.5, 130.9, 128.6, 125.1 (q, *J* = 280.4 Hz), 125.1, 124.9, 124.4, 123.2, 120.6, 119.1, 115.2, 112.5, 109.7, 82.0, 55.3, 45.2, 44.6 (q, *J* = 26.8 Hz), 34.3 (d, *J* = 34.0 Hz), 29.6, 24.3 (d, *J* = 6.8 Hz), 23.4 (d, *J* = 4.1 Hz); **^{19}F NMR (376 MHz, $CDCl_3$)** δ -64.98 (d, *J* = 8.6 Hz, 3F); **HRMS (ESI)** calcd for $C_{36}H_{41}F_3NO_5S_2$ $[M+H]^+$: 688.2373, found: 688.2390.

(4*S,5*R**)-4-(dodecylthio)-5-((*R**)-2,2,2-trifluoro-1-(4-fluoro-1*H*-indol-3-yl)ethyl)-dihydrofuran-2(3*H*)-one (5da)**



Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **5da** in 25% yield (37.6 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.89 (s, 1H), 7.32 (d, *J* = 1.9 Hz, 1H), 7.23 (d, *J* = 8.2 Hz, 1H), 7.18–7.11 (m, 1H), 6.84 (dd, *J* = 11.7, 7.8 Hz, 1H), 4.96 (d, *J* = 6.7 Hz, 1H), 4.57 (q, *J* = 9.6 Hz, 1H), 3.19 (q, *J* = 8.9 Hz, 1H), 2.55 (t, *J* = 7.4 Hz, 2H), 2.45–2.34 (m, 2H), 1.57–1.48 (m, 2H), 1.37–1.21 (m, 18H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 175.0, 156.6 (d, *J* = 244.3 Hz), 137.9 (d, *J* = 10.6 Hz), 126.2, 125.6 (q, *J* = 280.8 Hz), 123.1 (d, *J* = 8.0 Hz), 116.6 (d, *J* = 17.4 Hz), 108.1 (d, *J* = 3.4 Hz), 105.7 (d, *J* = 19.3 Hz), 100.1, 81.7, 44.32–43.69 (m), 41.6, 36.5, 31.9, 31.7, 29.6, 29.5, 29.5, 29.4, 29.3, 29.1, 28.7, 22.7, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.74 (d, *J* = 9.7 Hz, 3F), -125.78 (s, 1F); **HRMS (ESI)** calcd for $C_{26}H_{36}F_4NO_2S$ $[M+H]^+$: 502.2397, found: 502.2385.

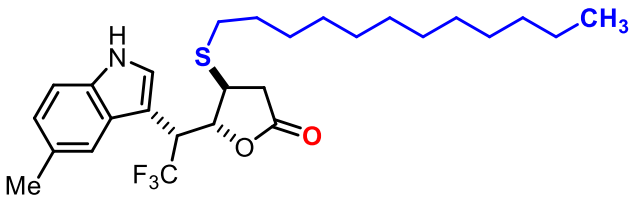
(4*S,5*R**)-4-(dodecylthio)-5-((*S**)-2,2,2-trifluoro-1-(4-fluoro-1*H*-indol-3-yl)ethyl)-dihydrofuran-2(3*H*)-one (5da')**



Column chromatography (petroleum ether/EtOAc = 25:1 to 9:1) to afford **5da'** in 40% yield (60.2 mg); yellow solid, mp 58–60 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.87 (s,

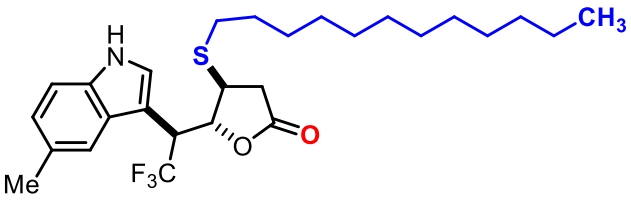
1H), 7.30 (s, 1H), 7.22 (d, *J* = 8.2 Hz, 1H), 7.18–7.12 (m, 1H), 6.84 (dd, *J* = 11.6, 7.8 Hz, 1H), 4.84 (dd, *J* = 8.2, 3.0 Hz, 1H), 4.36–4.25 (m, 1H), 3.46 (dt, *J* = 8.6, 3.4 Hz, 1H), 3.00 (dd, *J* = 18.4, 8.8 Hz, 1H), 2.48 (dd, *J* = 18.4, 3.6 Hz, 1H), 2.32–2.23 (m, 1H), 2.23–2.15 (m, 1H), 1.34–1.12 (m, 20H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.5, 156.5 (d, *J* = 244.3 Hz), 138.13 (d, *J* = 10.8 Hz), 125.6 (q, *J* = 280.6 Hz), 123.8, 123.4 (d, *J* = 8.1 Hz), 115.9 (d, *J* = 18.2 Hz), 108.0 (d, *J* = 3.4 Hz), 105.8 (d, *J* = 19.4 Hz), 103.6, 84.5, 45.1 (q, *J* = 29.1 Hz), 40.9, 35.3, 31.9, 31.0, 29.6, 29.5, 29.4, 29.3, 29.0, 28.9, 28.6, 22.7, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.78 (d, *J* = 8.7 Hz, 3F), -125.16 (s, 1F); **HRMS (ESI)** calcd for $C_{26}H_{36}F_4NO_2S$ $[M+H]^+$: 502.2397, found: 502.2383.

(4*S,5*R**)-4-(dodecylthio)-5-((*R**)-2,2,2-trifluoro-1-(5-methyl-1*H*-indol-3-yl)ethyl)-dihydrofuran-2(3*H*)-one (5ea)**



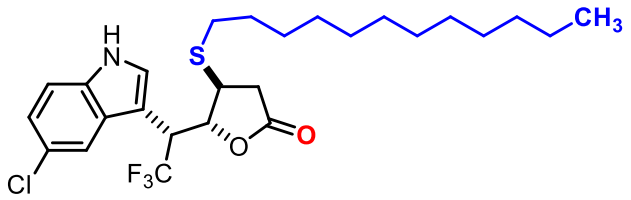
Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **5ea** in 32% yield (47.8 mg); colorless solid, mp 86–88 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.46 (s, 1H), 7.37 (s, 1H), 7.35–7.28 (m, 2H), 7.09 (d, *J* = 8.3 Hz, 1H), 4.88 (d, *J* = 8.1 Hz, 1H), 4.17 (q, *J* = 9.7 Hz, 1H), 3.00 (q, *J* = 8.8 Hz, 1H), 2.53–2.47 (m, 5H), 2.44 (d, *J* = 9.1 Hz, 2H), 1.57–1.47 (m, 2H), 1.38–1.20 (m, 18H), 0.89 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.5, 133.6, 129.9, 128.3, 125.8 (q, *J* = 279.7 Hz), 125.7, 124.4, 116.9, 111.4, 101.5, 80.8, 42.6 (q, *J* = 28.8 Hz), 41.7, 36.7, 31.9, 31.6, 29.7, 29.6, 29.5, 29.4, 29.3, 29.1, 28.8, 22.7, 21.6, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.35 (d, *J* = 9.6 Hz, 3F); **HRMS (ESI)** calcd for $C_{27}H_{38}F_3NNaO_2S$ $[M+Na]^+$: 520.2468, found: 520.2480.

(4*S,5*R**)-4-(dodecylthio)-5-((*S**)-2,2,2-trifluoro-1-(5-methyl-1*H*-indol-3-yl)-ethyl)dihydrofuran-2(3*H*)-one (5ea')**



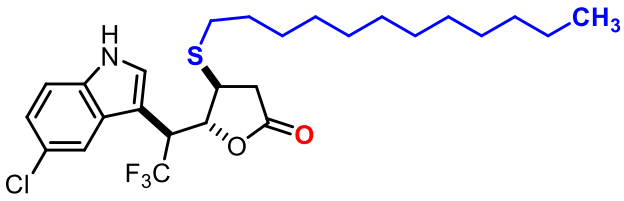
Column chromatography (petroleum ether/EtOAc = 25:1 to 8:1) to afford **5ea'** in 36% yield (53.7 mg); colorless solid, mp 84–86 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.40 (s, 1H), 7.38 (s, 1H), 7.30 (d, *J* = 8.3 Hz, 1H), 7.24 (d, *J* = 2.3 Hz, 1H), 7.09 (d, *J* = 8.3 Hz, 1H), 4.96 (dd, *J* = 6.7, 2.9 Hz, 1H), 4.00–3.92 (m, 1H), 3.42 (dt, *J* = 8.8, 3.1 Hz, 1H), 2.63 (dd, *J* = 18.4, 8.9 Hz, 1H), 2.47 (s, 3H), 2.38–2.33 (m, 1H), 2.32–2.23 (m, 2H), 1.33–1.18 (m, 20H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.3, 134.1, 130.2, 126.9, 124.8, 123.8, 117.8, 111.31, 104.3, 84.0, 45.3 (q, *J* = 27.2 Hz), 40.9, 35.5, 31.9, 30.9, 29.6, 29.6, 29.5, 29.4, 29.3, 29.1, 29.0, 28.7, 22.7, 21.6, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.49 (d, *J* = 9.2 Hz, 3F); **HRMS (ESI)** calcd for $C_{27}H_{38}F_3NNaO_2S$ $[M+Na]^+$: 520.2468, found: 520.2485.

(4*S,5*R**)-5-((*R**)-1-(5-chloro-1*H*-indol-3-yl)-2,2,2-trifluoroethyl)-4-(dodecyl-thio)dihydrofuran-2(3*H*)-one (5fa)**



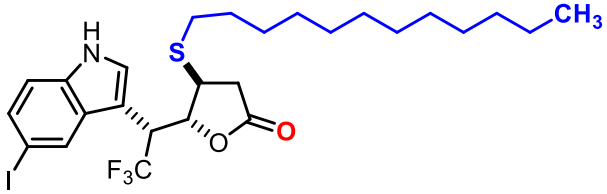
Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **5fa** in 32% yield (49.7 mg); colorless solid, mp 82–84 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.88 (s, 1H), 7.58 (d, *J* = 1.4 Hz, 1H), 7.38–7.33 (m, 2H), 7.21 (dd, *J* = 8.6, 1.8 Hz, 1H), 4.87 (d, *J* = 8.6 Hz, 1H), 4.14 (q, *J* = 9.6 Hz, 1H), 2.95 (q, *J* = 9.0 Hz, 1H), 2.55–2.45 (m, 4H), 1.55–1.48 (m, 2H), 1.32–1.22 (m, 18H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.5, 133.7, 129.1, 127.0, 126.5, 123.2, 116.9, 112.9, 101.7, 80.6, 42.4 (q, *J* = 28.6 Hz), 41.8, 36.9, 31.9, 31.8, 29.7, 29.6, 29.5, 29.4, 29.3, 29.0, 28.8, 22.7, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.34 (d, *J* = 9.7 Hz, 3F); **HRMS (ESI)** calcd for $C_{26}H_{35}ClF_3NNaO_2S$ $[M+Na]^+$: 540.1921, found: 540.1908.

(4*S,5*R**)-5-((*S**)-1-(5-chloro-1*H*-indol-3-yl)-2,2,2-trifluoroethyl)-4-(dodecyl-thio)-dihydrofuran-2(3*H*)-one (5fa')**



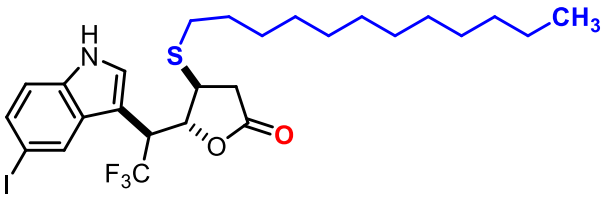
Column chromatography (petroleum ether/EtOAc = 25:1 to 8:1) to afford **5fa'** in 31% yield (48.2 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.73 (s, 1H), 7.59 (d, *J* = 1.6 Hz, 1H), 7.37–7.31 (m, 2H), 7.21 (dd, *J* = 8.7, 1.9 Hz, 1H), 4.91 (dd, *J* = 6.5, 3.5 Hz, 1H), 3.98–3.89 (m, 1H), 3.45–3.37 (m, 1H), 2.68 (dd, *J* = 18.5, 8.9 Hz, 1H), 2.41 (dd, *J* = 18.5, 4.1 Hz, 1H), 2.36–2.25 (m, 2H), 1.36–1.15 (m, 20H), 0.88 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.2, 134.2, 127.7, 126.6, 125.5 (q, *J* = 280.5 Hz), 125.5, 123.5, 117.8, 112.8, 104.7, 83.7, 45.1 (q, *J* = 27.4 Hz), 41.0, 35.7, 31.9, 31.1, 29.6, 29.5, 29.4, 29.3, 29.0, 29.0, 28.6, 22.7, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.31 (d, *J* = 9.1 Hz, 3F)**; HRMS (ESI)** calcd for $C_{26}H_{35}ClF_3NNaO_2S$ $[M+Na]^+$: 540.1921, found: 540.1902.

(4*S,5*R**)-4-(dodecylthio)-5-((*R**)-2,2,2-trifluoro-1-(5-iodo-1*H*-indol-3-yl)ethyl)-dihydrofuran-2(3*H*)-one (5ga)**



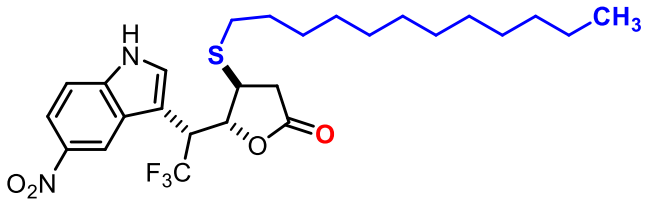
Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **5ga** in 33% yield (60.3 mg); pale-yellow solid, mp 77–79 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.77 (s, 1H), 7.94 (s, 1H), 7.51 (d, *J* = 8.4 Hz, 1H), 7.31 (s, 1H), 7.22 (d, *J* = 8.5 Hz, 1H), 4.84 (d, *J* = 8.6 Hz, 1H), 4.14 (q, *J* = 9.5 Hz, 1H), 2.92 (q, *J* = 9.0 Hz, 1H), 2.56–2.43 (m, 4H), 1.59–1.46 (m, 2H), 1.33–1.19 (m, 18H), 0.88 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.4, 134.4, 131.2, 130.6, 126.4, 126.3, 113.7, 101.4, 84.1, 80.5, 42.2 (q, *J* = 28.6 Hz), 41.9, 37.0, 32.0, 31.9, 29.8, 29.60, 29.5, 29.4, 29.3, 29.1, 28.8, 22.7, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.31 (d, *J* = 9.5 Hz, 3F); **HRMS (ESI)** calcd for $C_{26}H_{36}F_{31}NO_2S$ $[M+H]^+$: 610.1458, found: 610.1474.

(4*S,5*R**)-4-(dodecylthio)-5-((*S**)-2,2,2-trifluoro-1-(5-iodo-1*H*-indol-3-yl)ethyl)-dihydrofuran-2(3*H*)-one (5ga')**



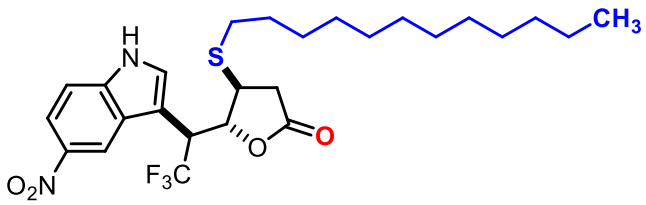
Column chromatography (petroleum ether/EtOAc = 25:1 to 7:1) to afford **5ga'** in 28% yield (51.2 mg); yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.68 (s, 1H), 7.95 (s, 1H), 7.50 (d, *J* = 8.5 Hz, 1H), 7.27 (s, 1H), 7.20 (d, *J* = 8.5 Hz, 1H), 4.93–4.87 (m, 1H), 3.97–3.87 (m, 1H), 3.43–3.36 (m, 1H), 2.70 (dd, *J* = 18.4, 8.9 Hz, 1H), 2.42 (dd, *J* = 18.4, 3.7 Hz, 1H), 2.38–2.24 (m, 2H), 1.36–1.17 (m, 20H), 0.88 (t, *J* = 6.8 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.1, 134.9, 131.5, 129.1, 127.1, 125.5 (q, *J* = 281.8 Hz), 124.8, 113.6, 104.4, 84.3, 83.7, 45.0 (q, *J* = 27.5 Hz), 41.0, 35.7, 31.9, 31.1, 29.6, 29.5, 29.4, 29.3, 29.1, 29.0, 28.7, 22.7, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.34 (d, *J* = 8.9 Hz, 3F); **HRMS (ESI)** calcd for $C_{26}H_{36}F_{31}NO_2S$ $[M+H]^+$: 610.1458, found: 610.1477.

(4*S,5*R**)-4-(dodecylthio)-5-((*R**)-2,2,2-trifluoro-1-(5-nitro-1*H*-indol-3-yl)ethyl)-dihydrofuran-2(3*H*)-one (5ha)**



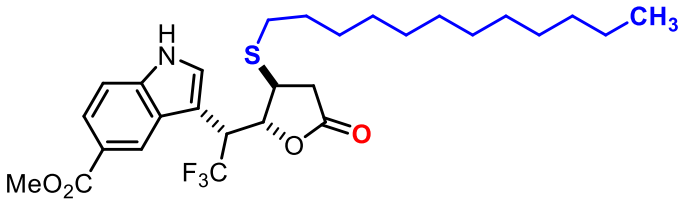
Column chromatography (petroleum ether/EtOAc = 18:1 to 8:1) to afford **5ha** in 46% yield (73.0 mg); yellow solid, mp 107–109 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 9.04 (s, 1H), 8.62 (d, *J* = 2.0 Hz, 1H), 8.20 (dd, *J* = 9.0, 2.1 Hz, 1H), 7.58 (d, *J* = 2.3 Hz, 1H), 7.53 (d, *J* = 9.0 Hz, 1H), 4.87 (dd, *J* = 9.0, 1.0 Hz, 1H), 4.28 (q, *J* = 9.4 Hz, 1H), 2.89 (q, *J* = 9.0 Hz, 1H), 2.62–2.52 (m, 2H), 2.50 (t, *J* = 7.5 Hz, 2H), 1.55–1.43 (m, 2H), 1.31–1.19 (m, 18H), 0.88 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.4, 142.5, 138.4, 129.0, 127.6, 125.4 (q, *J* = 281.4 Hz), 118.4, 114.8, 112.1, 104.7, 80.3, 42.3 (q, *J* = 29.0 Hz), 42.0, 36.9, 31.9, 31.8, 29.7, 29.6, 29.5, 29.4, 29.3, 29.0, 28.7, 22.6, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.42 (d, *J* = 9.5 Hz, 3F); **HRMS (ESI)** calcd for $C_{26}H_{36}F_3N_2O_4S$ $[M+H]^+$: 529.2342, found: 529.2332.

(4*S,5*R**)-4-(dodecylthio)-5-((*S**)-2,2,2-trifluoro-1-(5-nitro-1*H*-indol-3-yl)ethyl)-dihy-drofuran-2(3*H*)-one (5ha')**



Column chromatography (petroleum ether/EtOAc = 18:1 to 7:1) to afford **5ha'** in 38% yield (60.3 mg); pale-yellow solid, mp 88–90 °C; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 9.44 (s, 1H), 8.64 (s, 1H), 8.15 (d, *J* = 9.0 Hz, 1H), 7.55 (s, 1H), 7.51 (d, *J* = 9.0 Hz, 1H), 4.93 (d, *J* = 1.8 Hz, 1H), 4.20–4.09 (m, 1H), 3.53–3.44 (m, 1H), 2.78 (dd, *J* = 18.4, 8.9 Hz, 1H), 2.49 (dd, *J* = 18.4, 4.8 Hz, 1H), 2.43–2.33 (m, 2H), 1.33–1.13 (m, 20H), 0.87 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 174.2, 142.4, 138.8, 127.6, 126.3, 118.5, 115.8, 112.1, 107.6, 83.6, 44.6 (q, *J* = 30.1 Hz), 41.1, 36.1, 31.9, 31.2, 29.6, 29.5, 29.4, 29.3, 29.1, 29.0, 28.7, 22.6, 14.1;**^{19}F NMR (565 MHz, CDCl$_3$)** δ -65.09 (d, *J* = 9.1 Hz, 3F); **HRMS (ESI)** calcd for $C_{26}H_{36}F_3N_2O_4S$ $[M+H]^+$: 529.2342, found: 529.2355.

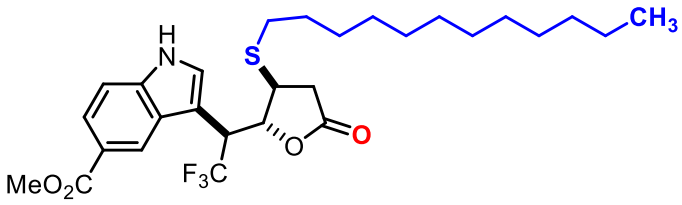
Methyl 3-((*R)-1-((2*R**,3*S**)-3-(dodecylthio)-5-oxotetrahydrofuran-2-yl)-2,2,2-tri-fluoroethyl)-1*H*-indole-5-carboxylate (5ia)**



Column chromatography (petroleum ether/EtOAc = 20:1 to 10:1) to afford **5ia** in 30% yield (48.8 mg); pale-yellow solid, mp 82–84 °C; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 9.17 (s, 1H), 8.39 (s, 1H), 7.97 (dd, *J* = 8.6, 0.9 Hz, 1H), 7.47 (d, *J* = 8.6 Hz, 1H), 7.43 (d, *J* = 1.7 Hz, 1H), 4.89 (d, *J* = 8.3 Hz, 1H), 4.28 (q, *J* = 9.5 Hz, 1H), 3.95 (s, 3H), 2.95 (q, *J* = 8.9 Hz, 1H), 2.54–2.43 (m, 4H), 1.55–1.43 (m, 2H), 1.33–1.15 (m, 18H), 0.87 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 174.5, 167.7, 137.9, 127.7, 127.1, 125.6 (q, *J* = 280.0 Hz), 124.1, 122.7, 120.2, 111.6, 103.4, 80.6, 52.0, 42.3 (q, *J* = 28.8 Hz), 41.9, 36.9, 31.9, 31.8, 29.7, 29.6, 29.5, 29.4, 29.3, 29.0, 28.7, 22.6, 14.1;**^{19}F NMR (565**

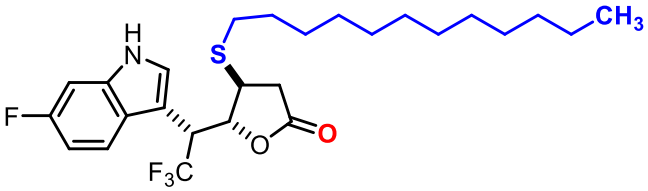
MHz, $CDCl_3$) δ -68.38 (d, *J* = 9.6 Hz, 3F); **HRMS (ESI)** calcd for $C_{28}H_{38}F_3NNaO_4S$ $[M+Na]^+$: 564.2366, found: 564.2380.

Methyl 3-((*S)-1-((2*R**,3*S**)-3-(dodecylthio)-5-oxotetrahydrofuran-2-yl)-2,2,2-trifluoroethyl)-1*H*-indole-5-carboxylate (5ia')**



Column chromatography (petroleum ether/EtOAc = 20:1 to 7:1) to afford **5ia'** in 30% yield (48.8 mg); yellow solid, mp 132–134 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 9.06 (s, 1H), 8.39 (s, 1H), 7.96 (d, *J* = 8.6 Hz, 1H), 7.45 (d, *J* = 8.6 Hz, 1H), 7.41 (d, *J* = 2.2 Hz, 1H), 4.92 (dd, *J* = 6.7, 3.6 Hz, 1H), 4.11–4.02 (m, 1H), 3.94 (s, 3H), 3.47–3.37 (m, 1H), 2.74 (dd, *J* = 18.4, 8.9 Hz, 1H), 2.42 (dd, *J* = 18.4, 4.1 Hz, 1H), 2.36–2.23 (m, 2H), 1.32–1.13 (m, 20H), 0.87 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.2, 167.8, 138.4, 126.4, 125.5, 124.3, 122.9, 121.1, 111.5, 106.4, 83.7, 52.1, 44.9 (q, *J* = 27.3 Hz), 41.0, 35.7, 31.9, 31.1, 29.6, 29.5, 29.4, 29.3, 29.0, 29.0, 28.6, 22.6, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.41 (d, *J* = 8.9 Hz, 3F); **HRMS (ESI)** calcd for $C_{28}H_{38}F_3NNaO_4S$ $[M+Na]^+$: 564.2366, found: 564.2355.

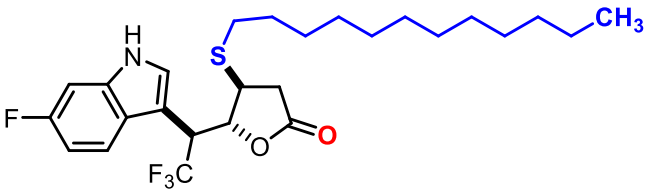
(4*S,5*R**)-4-(dodecylthio)-5-((*R**)-2,2,2-trifluoro-1-(6-fluoro-1*H*-indol-3-yl)-ethyl)dihydrofuran-2(3*H*)-one (5ja)**



Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **5ja** in 35% yield (52.7 mg); pale-yellow solid, mp 87–89 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.59 (s, 1H), 7.52 (dd, *J* = 8.7, 5.0 Hz, 1H), 7.33 (s, 1H), 7.12 (dd, *J* = 9.3, 2.1 Hz, 1H), 6.97 (td, *J* = 9.2, 2.2 Hz, 1H), 4.86 (d, *J* = 8.5 Hz, 1H), 4.14 (q, *J* = 9.5 Hz, 1H), 2.95 (q, *J* = 8.9 Hz, 1H), 2.53–2.41 (m, 4H), 1.55–1.45 (m, 2H), 1.33–1.24 (m, 18H), 0.88 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.3, 160.2 (d, *J* = 239.5 Hz), 135.3 (d, *J* = 12.3 Hz), 125.9, 124.5, 118.4 (d, *J* = 11.0 Hz), 109.6, 109.5, 102.4, 98.0 (d, *J* = 26.2

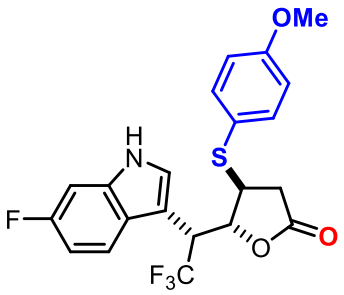
Hz), 80.5, 42.6 (q, *J* = 28.9 Hz), 41.7, 36.7, 31.9, 31.6, 29.7, 29.6, 29.5, 29.4, 29.3, 29.1, 28.7, 22.7, 14.1;**^{19}F NMR (565 MHz, CDCl$_3$)** δ -68.35 (d, *J* = 9.5 Hz, 3F), -119.90 – -120.03 (m, 1F); **HRMS (ESI)** calcd for $C_{26}H_{36}F_4NO_2S$ $[M+H]^+$: 502.2397, found: 502.2384.

(4*S,5*R**)-4-(dodecylthio)-5-((*S**)-2,2,2-trifluoro-1-(6-fluoro-1*H*-indol-3-yl)-ethyl)dihydrofuran-2(3*H*)-one (5ja')**



Column chromatography (petroleum ether/EtOAc = 25:1 to 8:1) to afford **5ja'** in 34% yield (51.2 mg); pale-yellow oil; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.69 (s, 1H), 7.54 (dd, *J* = 8.8, 5.0 Hz, 1H), 7.28 (d, *J* = 2.1 Hz, 1H), 7.09 (dd, *J* = 9.2, 2.1 Hz, 1H), 6.96 (td, *J* = 9.1, 2.1 Hz, 1H), 4.97 (dd, *J* = 6.2, 3.1 Hz, 1H), 4.04–3.95 (m, 1H), 3.44 (dt, *J* = 8.7, 3.3 Hz, 1H), 2.57 (dd, *J* = 18.5, 8.9 Hz, 1H), 2.39–2.26 (m, 3H), 1.37–1.14 (m, 20H), 0.88 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 174.3, 160.3 (q, *J* = 239.8 Hz), 135.9 (d, *J* = 12.5 Hz), 125.6 (q, *J* = 280.8 Hz), 124.3, 123.1, 119.4 (d, *J* = 10.1 Hz), 109.7 (d, *J* = 24.8 Hz), 104.9, 98.0 (d, *J* = 26.1 Hz), 83.9, 45.3 (q, *J* = 27.5 Hz), 40.8, 35.6, 31.9, 31.0, 29.6, 29.6, 29.5, 29.4, 29.3, 29.1, 29.0, 28.6, 22.6, 14.1;**^{19}F NMR (565 MHz, CDCl$_3$)** δ -65.36 (d, *J* = 9.2 Hz, 3F), -119.35 – -119.44 (m, 1F); **HRMS (ESI)** calcd for $C_{26}H_{36}F_4NO_2S$ $[M+H]^+$: 502.2397, found: 502.2386.

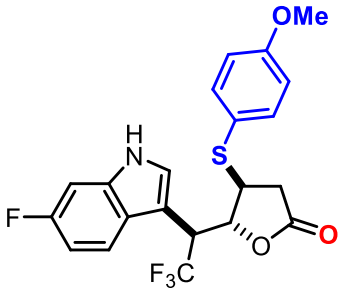
(4*S,5*R**)-4-((4-methoxyphenyl)thio)-5-((*R**)-2,2,2-trifluoro-1-(6-fluoro-1*H*-indol-3-yl)ethyl)dihydrofuran-2(3*H*)-one (5jf)**



Column chromatography (petroleum ether/EtOAc = 25:1 to 7:1) to afford **5jf** in 40% yield (52.7 mg); yellow oil; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 8.64 (s, 1H), 7.41 (d, *J* =

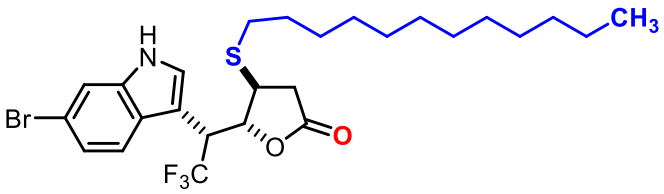
8.6 Hz, 2H), 7.29 (dd, *J* = 8.7, 5.0 Hz, 1H), 7.26 (s, 1H), 7.08 (dd, *J* = 9.3, 1.8 Hz, 1H), 6.95–6.89 (m, 3H), 4.94 (d, *J* = 8.2 Hz, 1H), 4.05 (q, *J* = 9.8 Hz, 1H), 3.84 (s, 3H), 3.14 (q, *J* = 9.1 Hz, 1H), 2.40 (d, *J* = 9.5 Hz, 2H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 173.9, 161.0, 160.1 (d, *J* = 239.3 Hz), 137.5, 135.3 (d, *J* = 12.6 Hz), 126.0, 125.7 (q, *J* = 280.1 Hz), 124.4, 119.8, 118.5 (d, *J* = 10.6 Hz), 115.3, 109.4 (d, *J* = 24.7 Hz), 102.1, 97.9 (d, *J* = 26.1 Hz), 80.7, 55.4, 44.9, 42.4 (q, *J* = 29.3 Hz), 34.4; **^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.49 (d, *J* = 8.8 Hz, 3F), -119.85 – -120.15 (m, 1F)**; HRMS (ESI)** calcd for $C_{21}H_{18}F_4NO_3S$ $[M+H]^+$: 440.0938, found: 440.0920.

(4*S,5*R**)-4-((4-methoxyphenyl)thio)-5-((*S**)-2,2,2-trifluoro-1-(6-fluoro-1*H*-indol-3-yl)ethyl)dihydrofuran-2(3*H*)-one (5jf')**



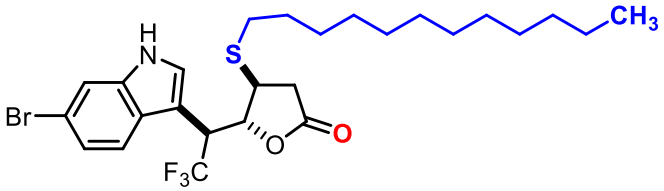
Column chromatography (petroleum ether/EtOAc = 25:1 to 5:1) to afford **5jf'** in 31% yield (40.9 mg); yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.49 (s, 1H), 7.45–7.38 (m, 1H), 7.20 (d, *J* = 8.4 Hz, 2H), 7.07 (dd, *J* = 9.2, 2.0 Hz, 1H), 7.04 (s, 1H), 6.93 (t, *J* = 9.1 Hz, 1H), 6.78 (d, *J* = 8.5 Hz, 2H), 4.94 (dd, *J* = 6.4, 2.5 Hz, 1H), 3.93–3.84 (m, 1H), 3.80 (s, 3H), 3.63 (dt, *J* = 8.3, 3.2 Hz, 1H), 2.59–2.50 (m, 1H), 2.39 (dd, *J* = 18.5, 3.5 Hz, 1H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 173.9, 160.6, 160.2 (d, *J* = 239.3 Hz), 136.6, 135.7 (d, *J* = 13.1 Hz), 124.1, 123.1, 121.2, 119.3 (d, *J* = 10.0 Hz), 114.9, 109.7, 109.6, 105.0, 97.9 (d, *J* = 26.3 Hz), 82.7, 55.4, 45.2, 45.0 (q, *J* = 27.3 Hz), 34.5;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.51 (d, *J* = 8.8 Hz, 3F), -119.36 – -119.61 (m, 1F); **HRMS (ESI)** calcd for $C_{21}H_{18}F_4NO_3S$ $[M+H]^+$: 440.0938, found: 440.0928.

(4*S,5*R**)-5-((*S**)-1-(6-bromo-1*H*-indol-3-yl)-2,2,2-trifluoroethyl)-4-(dodecylthio)dihydrofuran-2(3*H*)-one (5ka)**



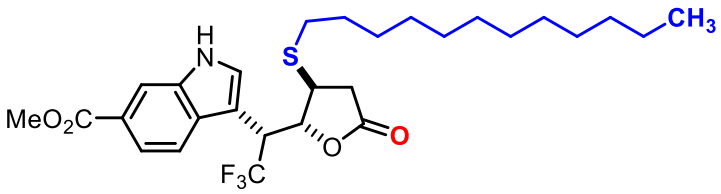
Column chromatography (petroleum ether/EtOAc = 25:1 to 9:1) to afford **5ka** in 30% yield (50.6 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.78 (s, 1H), 7.60 (s, 1H), 7.47 (d, *J* = 8.5 Hz, 1H), 7.35–7.28 (m, 2H), 4.86 (d, *J* = 8.5 Hz, 1H), 4.15 (q, *J* = 9.6 Hz, 1H), 2.93 (q, *J* = 9.0 Hz, 1H), 2.53–2.44 (m, 4H), 1.53–1.45 (m, 2H), 1.37–1.19 (m, 18H), 0.88 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.4, 136.1, 126.9, 126.2, 125.6 (q, *J* = 280.2 Hz), 123.9, 118.7, 116.3, 114.7, 102.4, 80.5, 42.4 (q, *J* = 28.3 Hz), 41.7, 36.7, 31.9, 31.6, 29.6, 29.6, 29.6, 29.5, 29.4, 29.3, 29.1, 28.7, 22.7, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.35 (d, *J* = 9.5 Hz); **HRMS (ESI)** calcd for $C_{26}H_{35}BrF_3NNaO_2S$ $[M+Na]^+$: 584.1416, found: 584.1405.

(4*S,5*R**)-5-((*S**)-1-(6-bromo-1*H*-indol-3-yl)-2,2,2-trifluoroethyl)-4-(dodecyl-thio)dihydrofuran-2(3*H*)-one (5ka')**



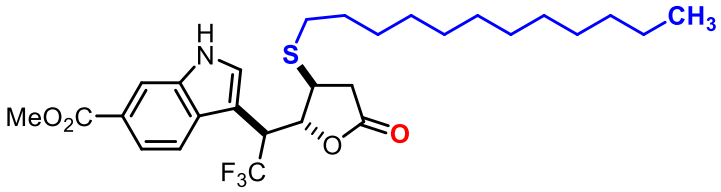
Column chromatography (petroleum ether/EtOAc = 25:1 to 8:1) to afford **5ka'** in 30% yield (50.6 mg); yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.69 (s, 1H), 7.58 (s, 1H), 7.49 (d, *J* = 8.5 Hz, 1H), 7.29 (d, *J* = 10.3 Hz, 2H), 4.94 (dd, *J* = 5.9, 3.2 Hz, 1H), 4.03–3.94 (m, 1H), 3.45–3.37 (m, 1H), 2.58 (dd, *J* = 18.5, 8.9 Hz, 1H), 2.40–2.27 (m, 3H), 1.32–1.15 (m, 20H), 0.88 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.2, 136.6, 125.5, 124.6, 124.2, 119.7, 116.7, 114.6, 105.1, 83.8, 45.2 (q, *J* = 27.7 Hz), 40.8, 35.7, 31.9, 31.0, 29.6, 29.6, 29.5, 29.4, 29.3, 29.1, 29.0, 28.7, 22.7, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.31 (d, *J* = 9.1 Hz); **HRMS (ESI)** calcd for $C_{26}H_{35}BrF_3NNaO_2S$ $[M+Na]^+$: 584.1416, found: 584.1408.

Methyl 3-((*R)-1-((2*R**,3*S**)-3-(dodecylthio)-5-oxotetrahydrofuran-2-yl)-2,2,2-tri-fluoroethyl)-1*H*-indole-6-carboxylate (5la)**



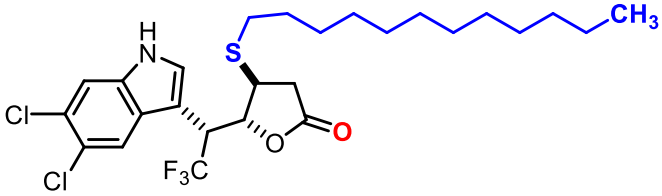
Column chromatography (petroleum ether/EtOAc = 20:1 to 9:1) to afford **5la** in 39% yield (63.4 mg); colorless solid, mp 60–62 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 9.64 (s, 1H), 8.24 (s, 1H), 7.89 (dd, *J* = 8.5, 1.3 Hz, 1H), 7.63 (d, *J* = 8.5 Hz, 1H), 7.55 (d, *J* = 2.5 Hz, 1H), 4.89 (dd, *J* = 8.5, 0.9 Hz, 1H), 4.23 (q, *J* = 9.5 Hz, 1H), 3.96 (s, 3H), 2.95 (q, *J* = 9.1 Hz, 1H), 2.54–2.43 (m, 4H), 1.53–1.43 (m, 2H), 1.32–1.17 (m, 18H), 0.88 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.5, 168.0, 134.8, 131.5, 129.2, 125.6 (q, *J* = 280.2 Hz), 124.3, 121.5, 117.0, 114.3, 102.3, 80.6, 52.1, 42.4 (q, *J* = 28.1 Hz), 41.8, 36.7, 31.9, 31.7, 29.6, 29.6, 29.6, 29.5, 29.4, 29.3, 29.0, 28.7, 22.6, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.37 (d, *J* = 9.5 Hz); **HRMS (ESI)** calcd for $C_{28}H_{38}F_3NNaO_4S$ $[M+Na]^+$: 564.2366, found: 564.2381.

Methyl 3-((*S)-1-((2*R**,3*S**)-3-(dodecylthio)-5-oxotetrahydrofuran-2-yl)-2,2,2-trifluoroethyl)-1*H*-indole-6-carboxylate (5la')**



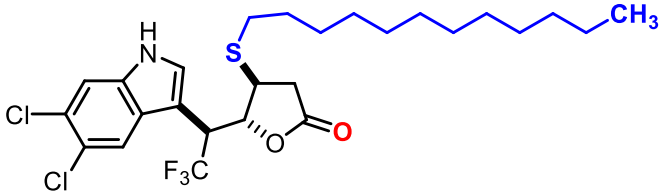
Column chromatography (petroleum ether/EtOAc = 20:1 to 8:1) to afford **5la'** in 35% yield (56.8 mg); colorless solid, mp 102–104 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 9.45 (s, 1H), 8.22 (s, 1H), 7.87 (dd, *J* = 8.5, 1.2 Hz, 1H), 7.66 (d, *J* = 8.5 Hz, 1H), 7.49 (d, *J* = 2.4 Hz, 1H), 4.96 (dd, *J* = 6.2, 3.4 Hz, 1H), 4.13–4.02 (m, 1H), 3.94 (s, 3H), 3.49–3.38 (m, 1H), 2.62 (dd, *J* = 18.5, 8.9 Hz, 1H), 2.43–2.23 (m, 3H), 1.31–1.14 (m, 20H), 0.87 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.3, 167.9, 135.2, 130.1, 127.5, 124.6, 121.5, 118.1, 114.2, 105.0, 83.8, 52.1, 45.1 (q, *J* = 27.4 Hz), 40.9, 35.7, 31.8, 31.0, 29.6 (d, *J* = 0.9 Hz), 29.5, 29.4, 29.3, 29.0, 29.0, 28.6, 22.6, 14.0;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.23 (d, *J* = 9.1 Hz); **HRMS (ESI)** calcd for $C_{28}H_{38}F_3NNaO_4S$ $[M+Na]^+$: 564.2366, found: 564.2353.

(4*S,5*R**)-5-((*R**)-1-(5,6-dichloro-1*H*-indol-3-yl)-2,2,2-trifluoroethyl)-4-(dodecylthio)dihydrofuran-2(3*H*)-one (5ma)**



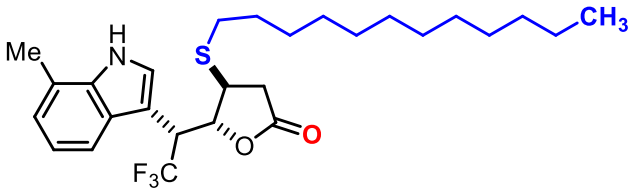
Column chromatography (petroleum ether/EtOAc = 25:1 to 16:1) to afford **5ma** in 42% yield (69.6 mg); pale-yellow solid, mp 77–79 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 9.06 (s, 1H), 7.69 (s, 1H), 7.55 (s, 1H), 7.36 (d, *J* = 2.2 Hz, 1H), 4.86 (d, *J* = 8.8 Hz, 1H), 4.13 (q, *J* = 9.5 Hz, 1H), 2.93 (q, *J* = 9.1 Hz, 1H), 2.60–2.45 (m, 4H), 1.57–1.46 (m, 2H), 1.33–1.21 (m, 18H), 0.88 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.6, 134.1, 127.8, 127.6, 126.8, 125.5 (q, *J* = 281.4 Hz), 125.0, 118.5, 113.4, 101.8, 80.4, 42.3 (q, *J* = 29.1 Hz), 41.8, 36.9, 31.9, 31.8, 29.7, 29.6, 29.5, 29.4, 29.3, 29.0, 28.7, 22.6, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.32 (d, *J* = 8.9 Hz); **HRMS (ESI)** calcd for $C_{26}H_{35}Cl_2F_3NO_2S$ $[M+H]^+$: 552.1712, found: 552.1721.

(4*S,5*R**)-5-((*S**)-1-(5,6-dichloro-1*H*-indol-3-yl)-2,2,2-trifluoroethyl)-4-(dodecylthio)dihydrofuran-2(3*H*)-one (5ma')**



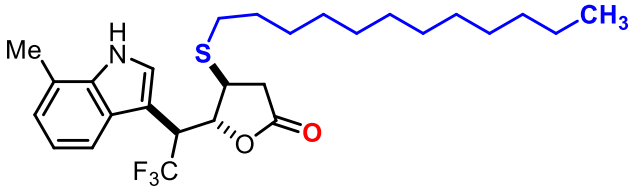
Column chromatography (petroleum ether/EtOAc = 25:1 to 12:1) to afford **5ma'** in 36% yield (59.7 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.86 (s, 1H), 7.71 (s, 1H), 7.53 (s, 1H), 7.34 (d, *J* = 1.9 Hz, 1H), 4.91 (dd, *J* = 6.1, 3.8 Hz, 1H), 4.01–3.88 (m, 1H), 3.47–3.34 (m, 1H), 2.69 (dd, *J* = 18.5, 8.9 Hz, 1H), 2.43 (dd, *J* = 18.5, 4.3 Hz, 1H), 2.39–2.27 (m, 2H), 1.33–1.17 (m, 20H), 0.88 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.3, 134.6, 127.1, 126.3, 126.1, 125.1, 119.5, 113.3, 104.7, 83.6, 45.0 (q, *J* = 27.2 Hz), 41.0, 35.8, 31.9, 31.1, 29.6, 29.5, 29.4, 29.3, 29.1, 29.0, 28.7, 22.6, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.25 (d, *J* = 9.0 Hz); **HRMS (ESI)** calcd for $C_{26}H_{35}Cl_2F_3NO_2S$ $[M+H]^+$: 552.1712, found: 552.1715.

(4*S,5*R**)-4-(dodecylthio)-5-((*R**)-2,2,2-trifluoro-1-(7-methyl-1*H*-indol-3-yl)-ethyl)-dihydrofuran-2(3*H*)-one (5na)**



Column chromatography (petroleum ether/EtOAc = 25:1 to 12:1) to afford **5na** in 30% yield (44.8 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.40 (s, 1H), 7.44 (d, *J* = 7.9 Hz, 1H), 7.38 (d, *J* = 2.4 Hz, 1H), 7.13 (t, *J* = 7.5 Hz, 1H), 7.07 (d, *J* = 7.1 Hz, 1H), 4.87 (dd, *J* = 8.2, 1.2 Hz, 1H), 4.17 (q, *J* = 9.6 Hz, 1H), 2.98 (q, *J* = 8.9 Hz, 1H), 2.52 (s, 3H), 2.51–2.46 (m, 2H), 2.44 (d, *J* = 9.1 Hz, 2H), 1.53–1.47 (m, 2H), 1.35–1.22 (m, 18H), 0.88 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.5, 134.9, 127.6, 125.3, 123.3, 121.0, 120.8, 115.00, 102.5, 80.8, 42.8 (q, *J* = 29.5 Hz), 41.7, 36.7, 31.9, 31.6, 29.6, 29.6, 29.4, 29.3, 29.1, 28.7, 22.7, 16.5, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.36 (d, *J* = 9.0 Hz); **HRMS (ESI)** calcd for $C_{27}H_{38}F_3NNaO_2S$ $[M+Na]^+$: 520.2468, found: 520.2480.

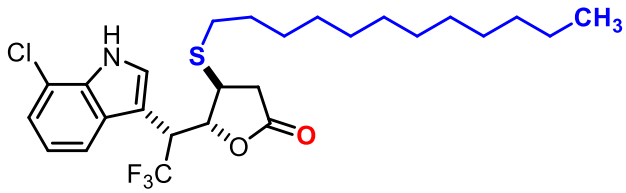
(4*S,5*R**)-4-(dodecylthio)-5-((*S**)-2,2,2-trifluoro-1-(7-methyl-1*H*-indol-3-yl)ethyl)dihydrofuran-2(3*H*)-one (5na')**



Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **5na'** in 34% yield (50.8 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.51 (s, 1H), 7.47 (d, *J* = 8.0 Hz, 1H), 7.30 (d, *J* = 2.4 Hz, 1H), 7.13 (t, *J* = 7.6 Hz, 1H), 7.07 (d, *J* = 7.1 Hz, 1H), 4.98 (dd, *J* = 6.6, 2.8 Hz, 1H), 4.03–3.94 (m, 1H), 3.45 (dt, *J* = 8.8, 3.1 Hz, 1H), 2.66–2.58 (m, 1H), 2.50 (s, 3H), 2.35 (dd, *J* = 18.5, 3.4 Hz, 1H), 2.32–2.24 (m, 2H), 1.35–1.11 (m, 20H), 0.90 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.4, 135.4, 126.2, 125.7 (q, *J* = 280.9 Hz), 123.6, 123.5, 121.0, 120.9, 116.0, 105.3, 84.0, 45.4 (q, *J* = 26.9 Hz), 40.8, 35.5, 31.9, 30.9, 29.6, 29.6, 29.5, 29.4, 29.3, 29.1, 29.0,

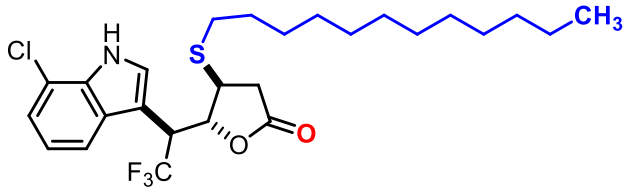
28.6, 22.7, 16.5, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.36 (d, *J* = 9.1 Hz); **HRMS (ESI)** calcd for $C_{27}H_{38}F_3NNaO_2S$ $[M+Na]^+$: 520.2468, found: 520.2483.

(4*S,5*R**)-5-((*R**)-1-(7-chloro-1*H*-indol-3-yl)-2,2,2-trifluoroethyl)-4-(dodecylthio)dihydrofuran-2(3*H*)-one (5oa)**



Column chromatography (petroleum ether/EtOAc = 25:1 to 12:1) to afford **5oa** in 34% yield (52.8 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.92 (s, 1H), 7.53 (d, *J* = 8.0 Hz, 1H), 7.44 (d, *J* = 2.3 Hz, 1H), 7.27 (d, *J* = 7.5 Hz, 1H), 7.14 (t, *J* = 7.8 Hz, 1H), 4.87 (d, *J* = 8.6 Hz, 1H), 4.18 (q, *J* = 9.5 Hz, 1H), 2.94 (q, *J* = 9.0 Hz, 1H), 2.57–2.44 (m, 4H), 1.53–1.45 (m, 2H), 1.33–1.23 (m, 18H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.2, 132.7, 129.4, 126.4, 125.6 (q, *J* = 279.3 Hz), 122.2, 121.4, 117.3, 116.2, 103.3, 80.4, 42.6 (q, *J* = 28.4 Hz), 41.7, 36.7, 31.9, 31.6, 29.7, 29.6, 29.5, 29.4, 29.3, 29.0, 28.7, 22.7, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -68.33 (d, *J* = 9.5 Hz); **HRMS (ESI)** calcd for $C_{26}H_{35}ClF_3NNaO_2S$ $[M+Na]^+$: 540.1921, found: 540.1912.

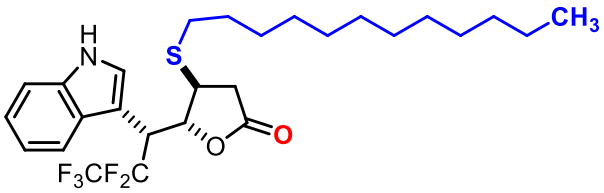
(4*S,5*R**)-5-((*S**)-1-(7-chloro-1*H*-indol-3-yl)-2,2,2-trifluoroethyl)-4-(dodecylthio)dihydrofuran-2(3*H*)-one (5oa')**



Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **5oa'** in 31% yield (48.2 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.76 (s, 1H), 7.54 (d, *J* = 8.0 Hz, 1H), 7.38 (d, *J* = 2.1 Hz, 1H), 7.27 (d, *J* = 7.5 Hz, 1H), 7.14 (t, *J* = 7.8 Hz, 1H), 4.95 (dd, *J* = 6.3, 3.3 Hz, 1H), 4.05–3.96 (m, 1H), 3.42 (dt, *J* = 8.5, 3.5 Hz, 1H), 2.61 (dd, *J* = 18.5, 8.9 Hz, 1H), 2.38 (dd, *J* = 18.5, 3.8 Hz, 1H), 2.35–2.26 (m, 2H), 1.31–1.14 (m, 20H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.0, 133.1, 128.0, 126.4, 124.6, 122.5, 121.6, 117.2, 106.1, 83.6, 45.4 (q, *J* = 27.6 Hz), 40.8,

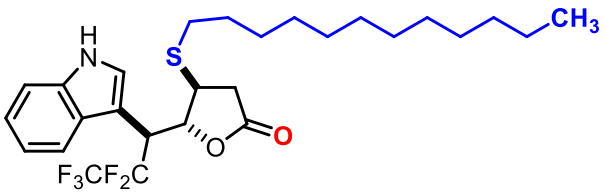
35.6, 31.9, 31.0, 29.6, 29.5, 29.4, 29.3, 29.1, 29.0, 28.6, 22.7, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -65.34 (d, *J* = 9.1 Hz); **HRMS (ESI)** calcd for $C_{26}H_{35}ClF_3NNaO_2S$ $[M+Na]^+$: 540.1921, found: 540.1909.

(4*S,5*R**)-4-(dodecylthio)-5-((*R**)-2,2,3,3,3-pentafluoro-1-(1*H*-indol-3-yl)propyl)-dihydrofuran-2(3*H*)-one (5pa)**



Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **5pa** in 27% yield (43.2 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.63 (s, 1H), 7.56 (s, 1H), 7.43 (d, *J* = 8.1 Hz, 1H), 7.34 (s, 1H), 7.26 (t, *J* = 7.5 Hz, 1H), 7.21 (t, *J* = 7.5 Hz, 1H), 5.00 (d, *J* = 8.2 Hz, 1H), 4.21 (d, *J* = 25.5 Hz, 1H), 2.94 (q, *J* = 8.6 Hz, 1H), 2.54–2.35 (m, 4H), 1.57–1.43 (m, 2H), 1.32–1.24 (m, 18H), 0.89 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.6, 135.2, 127.7, 126.2, 122.8, 120.6, 116.9, 111.7, 101.2, 80.1, 41.7, 40.0–39.2 (m), 36.6, 31.9, 31.6, 29.6, 29.6, 29.5, 29.4, 29.3, 29.0, 28.7, 22.7, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -82.03 (s, 3F), -114.73 (d, *J* = 267.0 Hz, 1F), -119.90 (dd, *J* = 267.3, 24.6 Hz, 1F); **HRMS (ESI)** calcd for $C_{27}H_{36}F_5NNaO_2S$ $[M+Na]^+$: 556.2279, found: 556.2296.

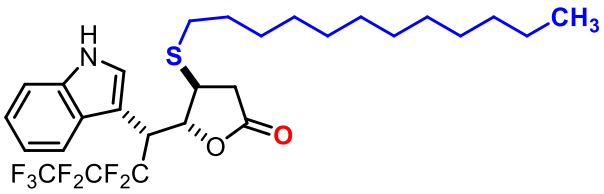
(4*S,5*R**)-4-(dodecylthio)-5-((*S**)-2,2,3,3,3-pentafluoro-1-(1*H*-indol-3-yl)propyl)-dihydrofuran-2(3*H*)-one (5pa')**



Column chromatography (petroleum ether/EtOAc = 25:1 to 8:1) to afford **5pa'** in 23% yield (36.8 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.63 (s, 1H), 7.56 (s, 1H), 7.43 (d, *J* = 8.1 Hz, 1H), 7.34 (s, 1H), 7.26 (t, *J* = 7.5 Hz, 1H), 7.21 (t, *J* = 7.5 Hz, 1H), 5.00 (d, *J* = 8.2 Hz, 1H), 4.21 (d, *J* = 25.5 Hz, 1H), 2.94 (q, *J* = 8.6 Hz, 1H), 2.54–2.35 (m, 4H), 1.57–1.43 (m, 2H), 1.32–1.24 (m, 18H), 0.89 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.6, 135.2, 127.7, 126.2, 122.8, 120.6, 116.9, 111.7,

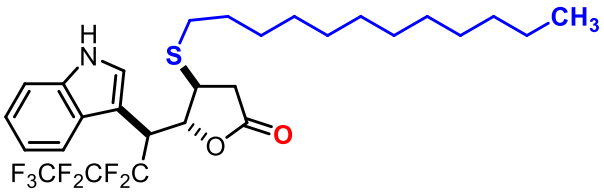
101.2, 80.1, 41.7, 39.8–39.2 (m), 36.6, 31.9, 31.6, 29.6, 29.6, 29.5, 29.4, 29.3, 29.0, 28.7, 22.7, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -81.63 (s, 3F), -111.12 (d, *J* = 271.3 Hz, 1F), -118.71 (d, *J* = 208.4 Hz, 1F); **HRMS (ESI)** calcd for $C_{27}H_{36}F_5NNaO_2S$ $[M+Na]^+$: 556.2279, found: 556.2290.

(4*S,5*R**)-4-(dodecylthio)-5-((*R**)-2,2,3,3,4,4,4-heptafluoro-1-(1*H*-indol-3-yl)butyl)dihydrofuran-2(3*H*)-one (5qa)**



Column chromatography (petroleum ether/EtOAc = 25:1 to 10:1) to afford **5qa** in 27% yield (47.3 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.59 (s, 1H), 7.56 (s, 1H), 7.44 (d, *J* = 8.1 Hz, 1H), 7.36 (s, 1H), 7.26 (t, *J* = 7.4 Hz, 1H), 7.21 (t, *J* = 7.2 Hz, 1H), 5.00 (d, *J* = 8.2 Hz, 1H), 4.38–4.25 (m, 1H), 2.94 (dd, *J* = 17.2, 8.6 Hz, 1H), 2.54–2.35 (m, 4H), 1.53–1.46 (m, 2H), 1.34–1.23 (m, 18H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.5, 135.1, 127.8, 126.3, 122.8, 120.7, 117.0, 111.7, 101.2, 80.1, 41.7, 39.9–39.4 (m), 36.6, 31.9, 31.6, 29.6, 29.6, 29.6, 29.4, 29.3, 29.1, 28.7, 22.7, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -80.56 (s, 3F), -112.15 (d, *J* = 275.3 Hz, 1F), -115.14 (d, *J* = 272.8 Hz, 1F), -123.31 – -124.09 (m, 1F), -124.84 (dd, *J* = 289.6, 11.9 Hz, 1F)**; HRMS (ESI)** calcd for $C_{28}H_{36}F_7NNaO_2S$ $[M+Na]^+$: 606.2247, found: 606.2230.

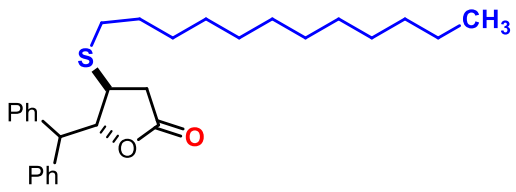
(4*S,5*R**)-4-(dodecylthio)-5-((*S**)-2,2,3,3,4,4,4-heptafluoro-1-(1*H*-indol-3-yl)-butyl)-dihydrofuran-2(3*H*)-one (5qa')**



Column chromatography (petroleum ether/EtOAc = 25:1 to 8:1) to afford **5qa'** in 19% yield (33.3 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.51 (s, 1H), 7.62 (d, *J* = 7.9 Hz, 1H), 7.41 (d, *J* = 8.1 Hz, 1H), 7.26 (t, *J* = 7.3 Hz, 2H), 7.23–7.18 (m, 1H), 5.09 (dd, *J* = 5.5, 2.3 Hz, 1H), 4.18–4.05 (m, 1H), 3.44 (dt, *J* = 8.6, 2.8 Hz, 1H), 2.45

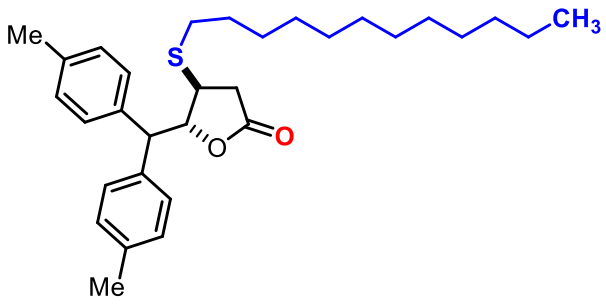
(dd, *J* = 18.5, 8.9 Hz, 1H), 2.37–2.25 (m, 3H), 1.32–1.18 (m, 20H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.3, 135.7, 126.5, 124.7, 123.2, 121.0, 118.4, 111.6, 103.6, 83.7, 43.5–42.3 (m), 41.0, 35.6, 31.9, 31.0, 29.6, 29.6, 29.6, 29.4, 29.3, 29.1, 29.0, 28.7, 22.7, 14.1;**^{19}F NMR (565 MHz, $CDCl_3$)** δ -80.35 – -80.72 (m, 3F), -108.42 – -109.33 (m, 1F), -114.18 (d, *J* = 184.9 Hz, 1F), -122.35 (d, *J* = 289.8 Hz, 1F), -125.42 (d, *J* = 287.6 Hz, 1F); **HRMS (ESI)** calcd for $C_{28}H_{36}F_7NNaO_2S$ $[M+Na]^+$: 606.2247, found: 606.2228.

(4*S,5*R**)-5-benzhydryl-4-(dodecylthio)dihydrofuran-2(3*H*)-one (5ra)**



Column chromatography (petroleum ether/EtOAc = 50:1 to 16:1) to afford **5ra** in 75% yield (101.9 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.39 (d, *J* = 7.5 Hz, 2H), 7.36–7.29 (m, 6H), 7.28–7.22 (m, 2H), 5.07 (t, *J* = 5.0 Hz, 1H), 4.28 (d, *J* = 4.7 Hz, 1H), 3.28 (dt, *J* = 8.6, 5.8 Hz, 1H), 2.55 (dd, *J* = 18.1, 8.6 Hz, 1H), 2.51–2.41 (m, 3H), 1.54–1.43 (m, 2H), 1.35–1.26 (m, 18H), 0.91 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 174.6, 140.7, 138.6, 129.4, 128.7, 128.6, 128.4, 127.2, 127.0, 86.5, 54.0, 41.6, 36.3, 31.8, 31.1, 29.6, 29.6, 29.5, 29.4, 29.3, 29.3, 29.1, 28.7, 22.6, 14.1; **HRMS (ESI)** calcd for $C_{29}H_{41}O_2S$ $[M+H]^+$: 453.2822, found: 453.2802.

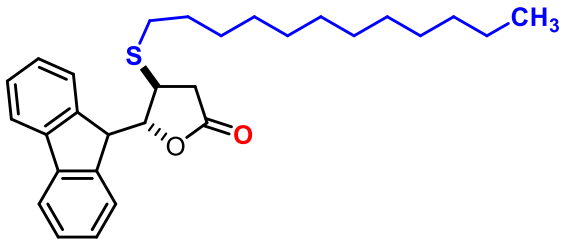
(4*S,5*R**)-5-(di-p-tolylmethyl)-4-(dodecylthio)dihydrofuran-2(3*H*)-one (5sa)**



Column chromatography (petroleum ether/EtOAc = 100:1 to 25:1) to afford **5sa** in 77% yield (111.1 mg); pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.27 (d, *J* = 8.1 Hz, 2H), 7.21 (d, *J* = 8.1 Hz, 2H), 7.16–7.10 (m, 4H), 5.04 (t, *J* = 4.9 Hz, 1H), 4.21 (d, *J* = 4.7 Hz, 1H), 3.30 (dt, *J* = 8.6, 5.8 Hz, 1H), 2.54 (dd, *J* = 18.1, 8.7 Hz, 1H), 2.49–2.41

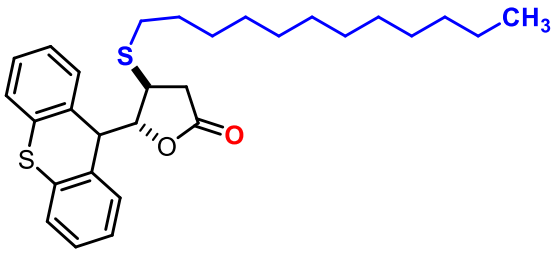
(m, 3H), 2.33 (s, 3H), 2.32 (s, 3H), 1.55–1.45 (m, 2H), 1.40–1.25 (m, 18H), 0.92 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 174.7, 137.9, 136.7, 136.5, 135.8, 129.3, 129.3, 129.2, 128.2, 86.8, 53.3, 41.5, 36.2, 31.8, 31.0, 29.6, 29.6, 29.5, 29.4, 29.3, 29.3, 29.1, 28.7, 22.6, 20.9, 20.9, 14.1; **HRMS (ESI)** calcd for $C_{31}H_{45}O_2S$ $[M+H]^+$: 481.3135, found: 481.3122.

(4*S,5*R**)-4-(dodecylthio)-5-(9*H*-fluoren-9-yl)dihydrofuran-2(3*H*)-one (5ta)**



Column chromatography (petroleum ether/EtOAc = 100:1 to 33:1) to afford **5ta** in 61% yield (82.5 mg); pale-yellow solid, mp 57–59 °C; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 7.78 (t, *J* = 7.0 Hz, 2H), 7.59 (d, *J* = 7.5 Hz, 1H), 7.56 (d, *J* = 7.5 Hz, 1H), 7.44 (td, *J* = 7.5, 2.8 Hz, 2H), 7.35 (td, *J* = 7.4, 0.8 Hz, 1H), 7.30 (td, *J* = 7.5, 0.8 Hz, 1H), 5.21 (dd, *J* = 4.3, 3.0 Hz, 1H), 4.51 (d, *J* = 4.3 Hz, 1H), 2.32–2.16 (m, 3H), 1.99–1.92 (m, 1H), 1.90–1.81 (m, 1H), 1.36–1.19 (m, 14H), 1.11–0.97 (m, 6H), 0.89 (t, *J* = 4.3 Hz, 3H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 175.4, 142.0, 141.7, 141.3, 140.7, 128.4, 128.3, 127.7, 127.4, 125.8, 125.1, 120.3, 120.2, 88.4, 50.4, 37.6, 37.2, 31.9, 31.0, 29.6, 29.6, 29.5, 29.4, 29.3, 28.9, 28.7, 28.5, 22.6, 14.1; **HRMS (ESI)** calcd for $C_{29}H_{38}NaO_2S$ $[M+Na]^+$: 473.2485, found: 473.2500.

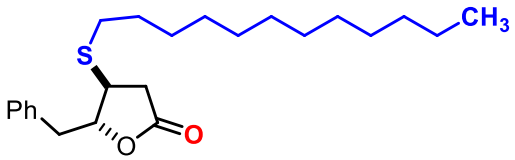
(4*S,5*R**)-4-(dodecylthio)-5-(9*H*-thioxanthen-9-yl)dihydrofuran-2(3*H*)-one (5ua)**



Column chromatography (petroleum ether/EtOAc = 50:1 to 12:1) to afford **5ua** in 66% yield (95.5 mg); pale-yellow oil; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 7.43–7.37 (m, 2H), 7.36–7.23 (m, 6H), 4.82 (dd, *J* = 7.0, 2.0 Hz, 1H), 4.29 (d, *J* = 7.0 Hz, 1H), 3.64 (dt, *J* = 8.9, 2.3 Hz, 1H), 2.45 (dd, *J* = 18.5, 9.1 Hz, 1H), 2.23 (dd, *J* = 18.5, 2.8 Hz, 1H),

2.07–1.99 (m, 1H), 1.98–1.89 (m, 1H), 1.31–1.10 (m, 20H), 0.89 (t, *J* = 6.9 Hz, 3H); **^{13}C NMR (150 MHz, CDCl$_3$)** 175.0, 132.7, 132.0, 131.9, 130.9, 130.6, 130.6, 128.1, 127.77, 127.0, 126.9, 126.8, 126.7, 87.0, 52.2, 39.6, 35.4, 31.9, 30.8, 29.6, 29.6, 29.6, 29.5, 29.3, 29.1, 29.1, 28.8, 22.7, 14.1; **HRMS (ESI)** calcd for $C_{29}H_{38}NaO_2S_2$ $[M+H]^+$: 483.2386, found: 483.2400.

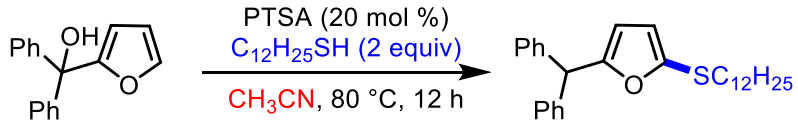
(4*S,5*R**)-5-benzyl-4-(dodecylthio)dihydrofuran-2(3*H*)-one (5va)**



Column chromatography (petroleum ether/EtOAc = 100:1 to 33:1) to afford **5va** in 54% yield (61.0 mg); pale-yellow oil; **^{1}H NMR (600 MHz, CDCl$_3$)** δ 7.32 (t, *J* = 7.4 Hz, 2H), 7.27–7.23 (m, 3H), 4.54 (dd, *J* = 11.4, 6.1 Hz, 1H), 3.21 (dd, *J* = 14.8, 7.6 Hz, 1H), 3.11 (dd, *J* = 14.4, 4.9 Hz, 1H), 2.99 (dd, *J* = 14.4, 6.3 Hz, 1H), 2.76 (dd, *J* = 17.9, 8.6 Hz, 1H), 2.50–2.42 (m, 3H), 1.53–1.46 (m, 2H), 1.33–1.24 (m, 18H), 0.88 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, CDCl$_3$)** δ 174.5, 135.4, 129.6, 128.6, 127.1, 85.4, 42.2, 39.4, 36.7, 31.8, 31.3, 29.6, 29.6, 29.5, 29.5, 29.4, 29.3, 29.1, 28.7, 22.6, 14.1; **HRMS (ESI)** calcd for $C_{23}H_{37}O_2S$ $[M+H]^+$: 377.2509, found: 377.2499.

5. Gram-scale synthesis and further transformations

5.1 Gram-scale synthesis of 4ra

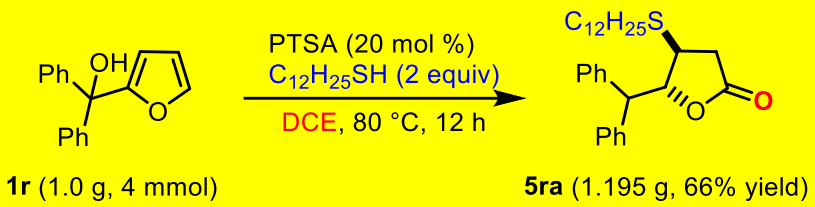


1r (1.0 g, 4 mmol) **4ra** (1.565 g, 90% yield)

To a solution of **1r** (1.0 g, 4 mmol), $C_{12}H_{25}SH$ (1.916 mL, 8 mmol) in anhydrous CH_3CN (40 mL) was added $TsOH·H_2O$ (152.2 mg, 0.2 equiv). The reaction mixture was stirred at 80 °C for 12 h until full consumption of the starting material (as indicated by TLC). Upon completion, the reaction mixture was quenched with saturated $NaHCO_3$ solution and extracted with EA (50 mL x 2). The combined organic phases were washed with brine and dried over $MgSO_4$. The solvent was removed under reduced pressure and the residue was purified purified by flash column chromatography on silica gel (eluent: petroleum ether/EtOAc= 100:1 to 50:1) to give the products **4ra** (1.565 g, 90%

yield).

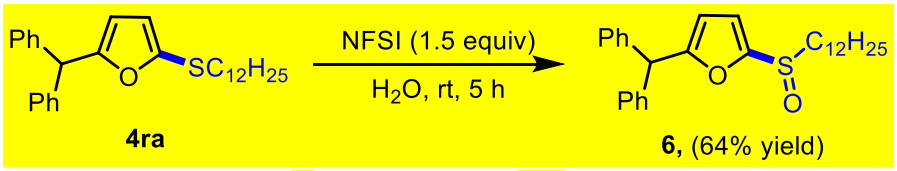
5.2 Gram-scale synthesis of 5ra



1r (1.0 g, 4 mmol) **5ra** (1.195 g, 66% yield)

To a solution of **1r** (1.001 g, 4 mmol), $C_{12}H_{25}SH$ (1.916 mL, 8 mmol) in anhydrous CH_3CN (40 mL) was added $TsOH·H_2O$ (152.2 mg, 0.2 equiv). The reaction mixture was stirred at 80 °C for 12 h until full consumption of the starting material (as indicated by TLC). Upon completion, the reaction mixture was quenched with saturated $NaHCO_3$ solution and extracted with EA (100 mL x 2). The combined organic phases were washed with brine and dried over $MgSO_4$. The solvent was removed under reduced pressure and the residue was purified purified by flash column chromatography on silica gel (eluent: petroleum ether/EtOAc= 50:1 to 16:1) to give the products **5ra** (1.195 g, 66% yield).

5.3 Synthetic transformation of 4ra

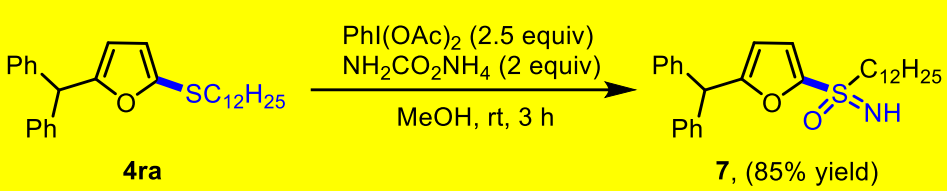


4ra **6,** (64% yield)

Following literature procedure,[S6] to a solution of **4ra** (87 mg, 0.2 mmol) in H_2O (2 mL) was added NFSI (95 mg, 0.3 mmol). The reaction mixture was stirred at room temperature for 2 h until full consumption of the starting material based on TLC analysis. Upon completion, the reaction mixture was extracted with EtOAc (10 mL x 2), the combined organic layers were washed with brine, and dried over $MgSO_4$. After filtration and concentration, the residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/EtOAc = 25:1 to 6:1) to afford the sulfoxide product **6** (57.7 mg) in 64% yield as pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.33–7.28 (m, 4H), 7.27–7.23 (m, 2H), 7.18–7.13 (m, 4H), 6.87 (d, *J* = 3.4 Hz, 1H), 6.02 (dd, *J* = 3.4, 0.7 Hz, 1H), 5.52 (s, 1H), 3.15 (ddd, *J* = 12.6, 9.5, 5.6 Hz, 1H), 3.06 (ddd, *J* = 12.8, 9.6, 6.2 Hz, 1H), 1.62–1.55 (m, 1H), 1.54–1.45 (m, 1H), 1.35–1.23 (m, 18H), 0.89 (t, *J* = 7.0 Hz, 3H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 161.7, 150.7, 140.6,

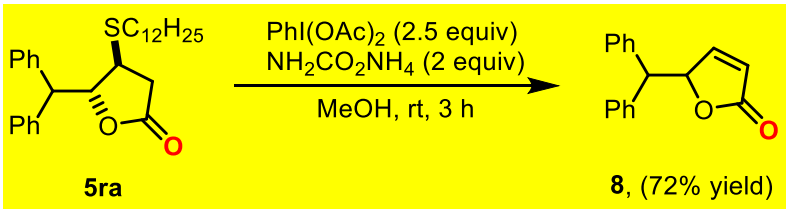
140.4, 128.6, 128.5, 128.5, 127.0, 127.0, 117.1, 110.1, 52.4, 50.9, 31.8, 29.5, 29.5, 29.4, 29.2, 29.0, 28.5, 22.6, 22.4, 14.0; **HRMS (ESI)** calcd for $C_{29}H_{39}O_2S$ $[M+H]^+$: 451.2665, found: 451.2650.

5.4 Synthetic transformation of 4ra



Following literature procedure,[S7] to a solution of **4ra** (87 mg, 0.2 mmol) and $NH_2CO_2NH_4$ (31 mg, 0.4 mmol) in MeOH (2 mL) was added $PhI(OAc)_2$ (161 mg, 0.5 mmol). The reaction mixture was stirred at room temperature for 3 h until full consumption of the starting material based on TLC analysis. Upon completion, the reaction mixture was quenched with $NaHCO_3$ and extracted with EtOAc, the combined organic layers were washed with brine, and dried over $MgSO_4$. After filtration and concentration, the residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/EtOAc = 25:1 to 5:1) to afford **7** (79.2 mg) in 85% yield as pale-yellow oil; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.34–7.28 (m, 4H), 7.28–7.23 (m, 2H), 7.16–7.13 (m, 4H), 6.88 (d, *J* = 3.4 Hz, 1H), 6.02 (d, *J* = 3.3 Hz, 1H), 5.51 (s, 1H), 3.12 (ddd, *J* = 12.9, 9.5, 5.6 Hz, 1H), 3.04 (ddd, *J* = 12.8, 9.6, 6.2 Hz, 1H), 1.67 (s, 1H), 1.60–1.55 (m, 1H), 1.50–1.45 (m, 1H), 1.34–1.18 (m, 18H), 0.89 (t, *J* = 7.0 Hz, 3H);**^{13}C NMR (150 MHz, $CDCl_3$)** δ 161.8, 150.7, 140.7, 140.5, 128.7, 128.6, 128.6, 127.1, 127.1, 117.2, 110.2, 52.5, 51.0, 31.9, 29.6, 29.5, 29.3, 29.12, 28.6, 22.7, 22.5, 14.1; **HRMS (ESI)** calcd for $C_{29}H_{40}NO_2S$ $[M+H]^+$: 466.2774, found: 466.2793.

5.5 Synthetic transformation of 5ra

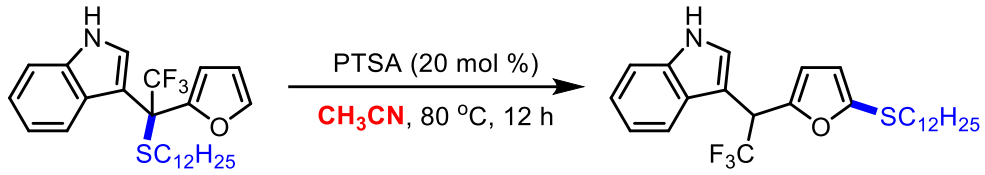


To a solution of **5ra** (91 mg, 0.2 mmol) and $NH_2CO_2NH_4$ (31 mg, 0.4 mmol) in MeOH (2 mL) at room temperature was added $PhI(OAc)_2$ (161 mg, 0.5 mmol). The resulting

reaction mixture was stirred at room temperature for 3 h until full consumption of the starting material based on TLC analysis. Upon completion, the reaction mixture was quenched with $NaHCO_3$ and extracted with EtOAc, the combined organic layers were washed with brine, and dried over $MgSO_4$. After filtration and concentration, the residue was purified by flash column chromatography on silica gel (eluent: petroleum ether/EtOAc = 25:1 to 5:1) to afford **8** (36.0 mg) in 72% yield as colorless solid, mp: 72–74 °C; **^{1}H NMR (600 MHz, $CDCl_3$)** δ 7.36–7.26 (m, 10H), 7.25–7.21 (m, 1H), 6.05 (dd, *J* = 5.8, 2.0 Hz, 1H), 5.73 (dt, *J* = 7.7, 1.7 Hz, 1H), 4.13 (d, *J* = 7.7 Hz, 1H);**^{13}C NMR (150 MHz, $CDCl_3$)** δ 172.6, 155.6, 139.9, 139.1, 128.9, 128.5, 128.4, 128.3, 127.4, 127.2, 122.2, 84.5, 54.9; **HRMS (ESI)** calcd for $C_{17}H_{15}O_2$ $[M+H]^+$: 251.1067, found: 251.1080.

6. Control experiments with 3aa and 4aa

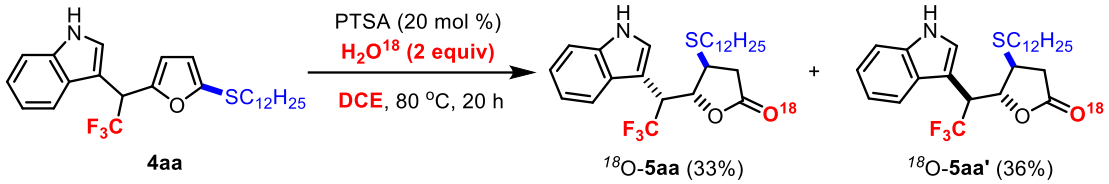
6.1 Control experiment with 3aa



3aa **4aa,** (95% yield)

To a solution of **3aa** (46.6 mg, 0.1 mmol) in anhydrous CH_3CN (1 mL) was added $TsOH·H_2O$ (3.8 mg, 0.02 mmol). The reaction mixture was stirred at 80 °C for 12 h until full consumption of the starting material (as indicated by TLC). Upon completion, the reaction mixture was quenched with saturated $NaHCO_3$ solution and extracted with EA (5 mL x 2). The combined organic phases were washed with brine and dried over $MgSO_4$. The solvent was removed under reduced pressure and the residue was purified purified by flash column chromatography on silica gel (eluent: petroleum ether/EtOAc= 25:1 to 8:1) to give the products **4aa** (44.1 mg, 95% yield).

6.2 Control experiment with 4aa



To a solution of **4aa** (93 g, 0.2 mmol) in anhydrous DCE (2 mL) was added H_2O^{18} (8 μL, 0.4 mmol) and TsOH·H_2O (7.6 mg, 0.04 mmol). The reaction mixture was stirred at 80 °C for 20 h until full consumption of the starting material (as indicated by TLC). Upon completion, the reaction mixture was quenched with saturated $NaHCO_3$ solution and extracted with EA (10 mL x 2). The combined organic phases were washed with brine and dried over $MgSO_4$. The solvent was removed under reduced pressure and the residue was purified purified by flash column chromatography on silica gel (eluent: petroleum ether/EtOAc= 50:1 to 16:1) to give the products ^{18}O-**5aa** in 33% yield (31 mg) as yellow oil and ^{18}O-**5aa'** in 36% yield (34 mg) as pale-yellow solid, mp 68–70 °C.

7. ^{1}H, ^{13}C and ^{19}F NMR spectra

Figure S1 ^{1}H NMR (600 MHz, $CDCl_3$) of 1c

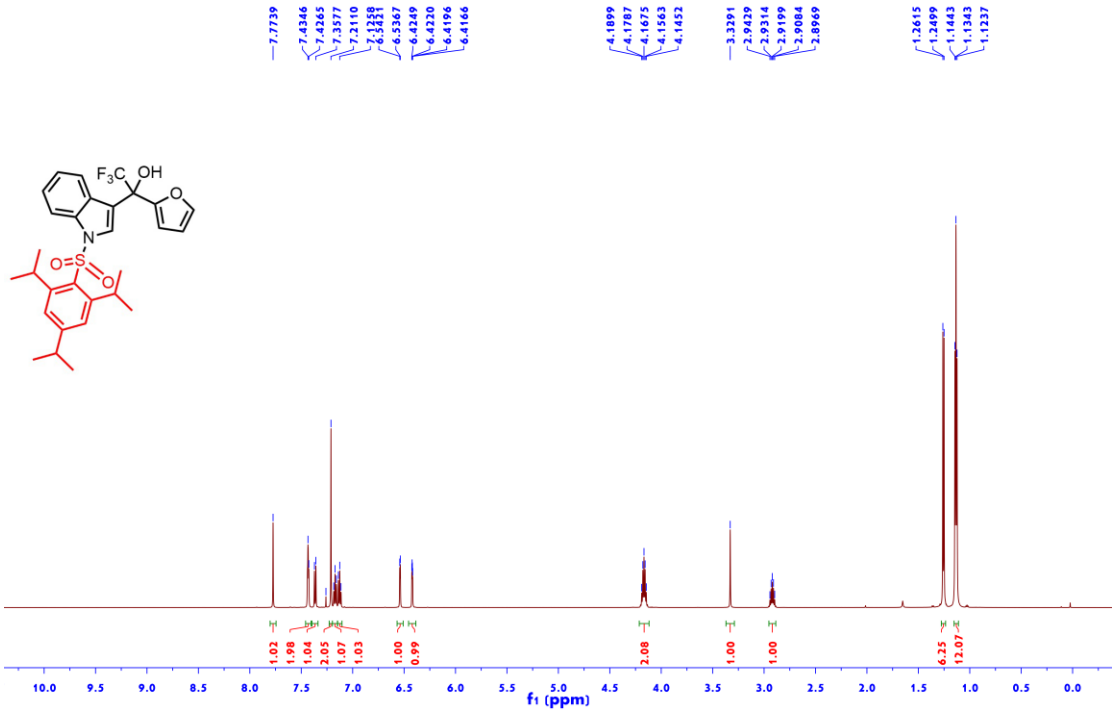


Figure S2 ^{13}C NMR (150 MHz, $CDCl_3$) of 1c

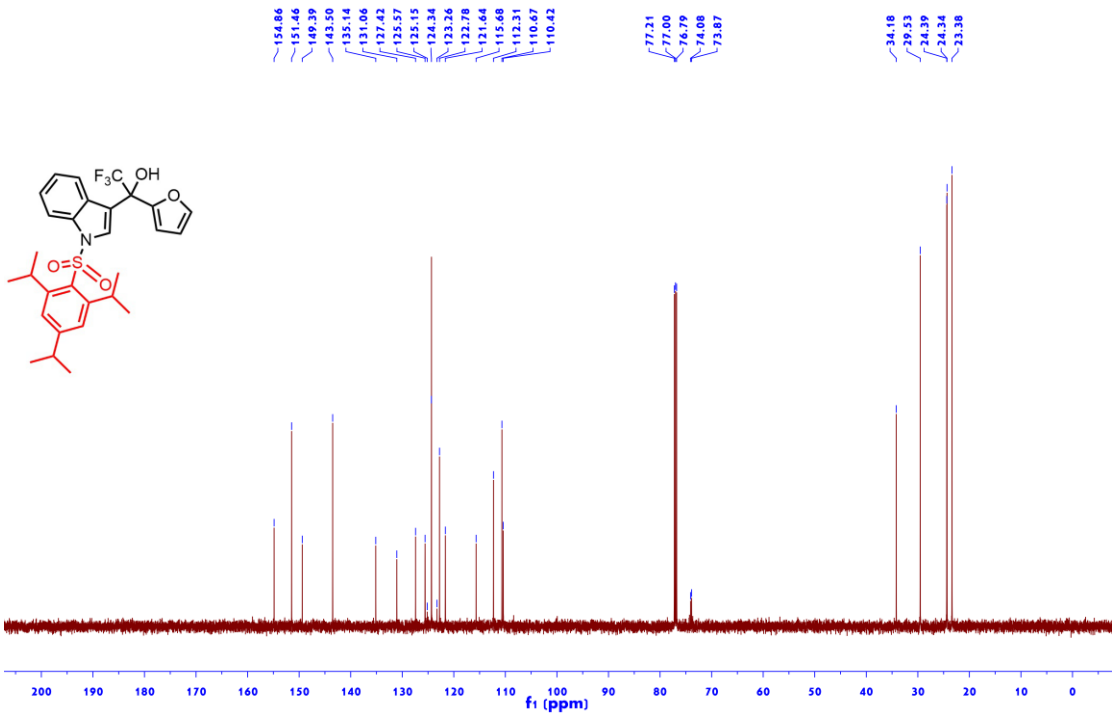


Figure S3 ^{19}F NMR (376 MHz, $CDCl_3$) of 1c

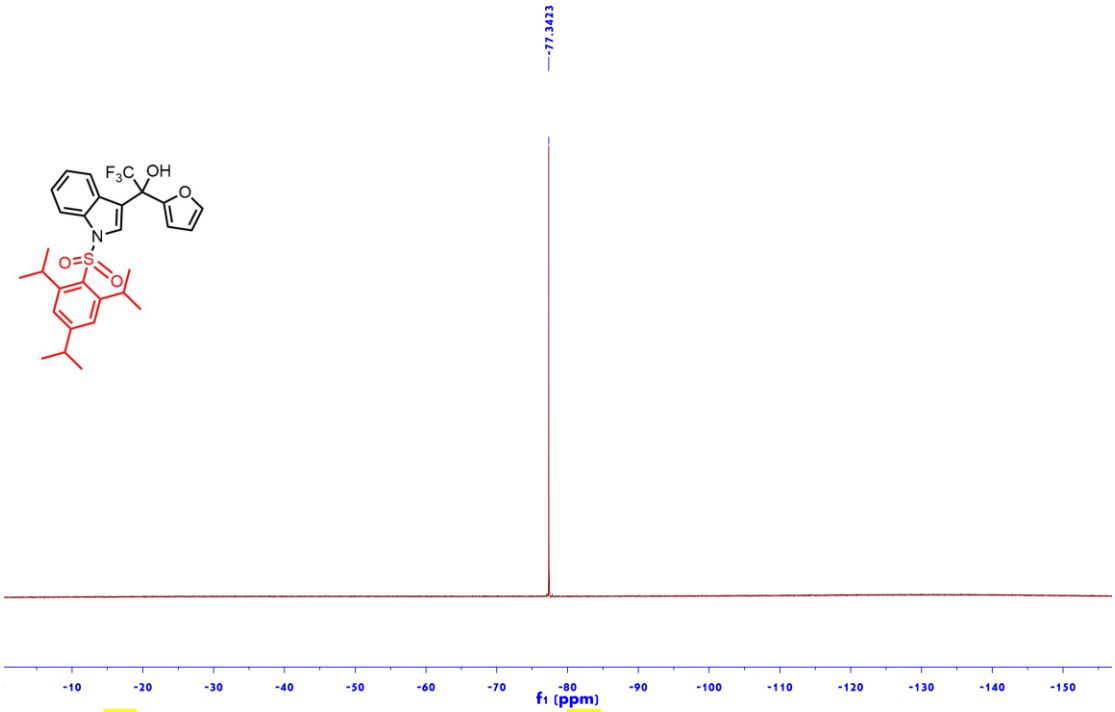


Figure S4 ^{1}H NMR (600 MHz, $CDCl_3$) of 1d

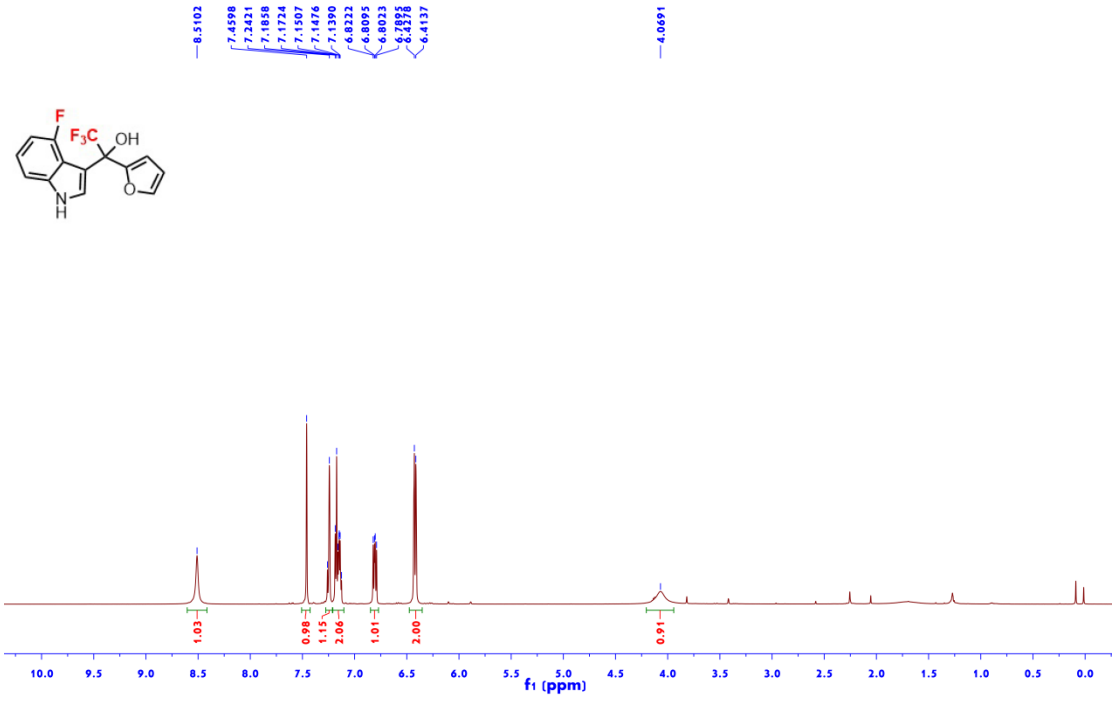


Figure S5 ^{13}C NMR (150 MHz, $CDCl_3$) of 1d

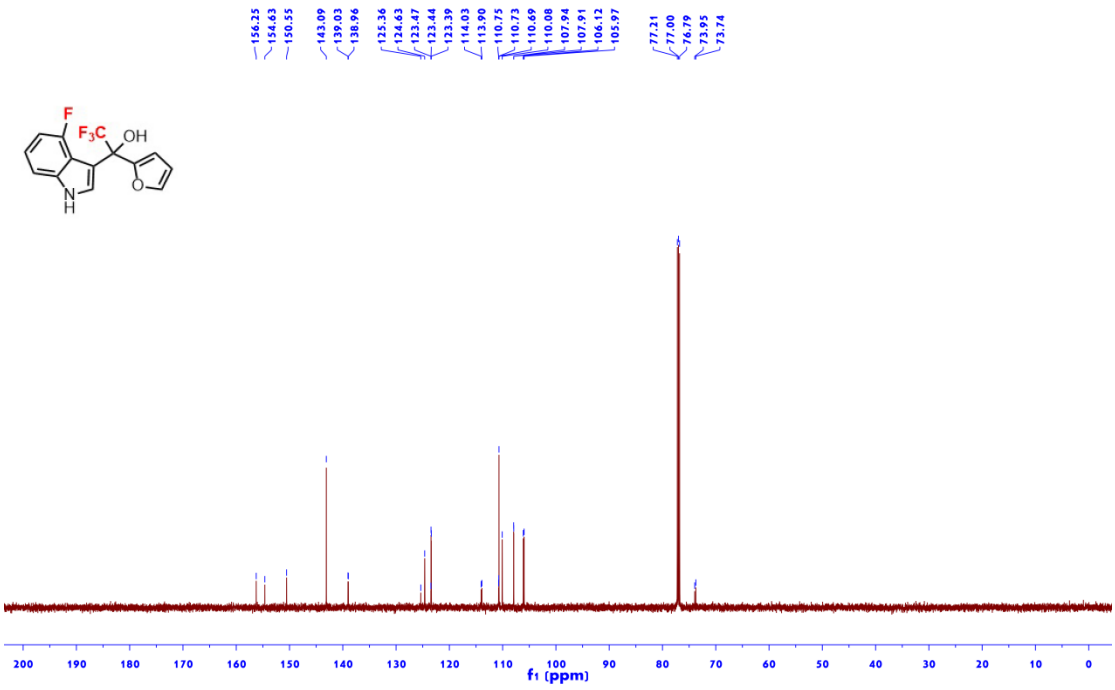


Figure S6 ^{19}F NMR (565 MHz, $CDCl_3$) of 1d

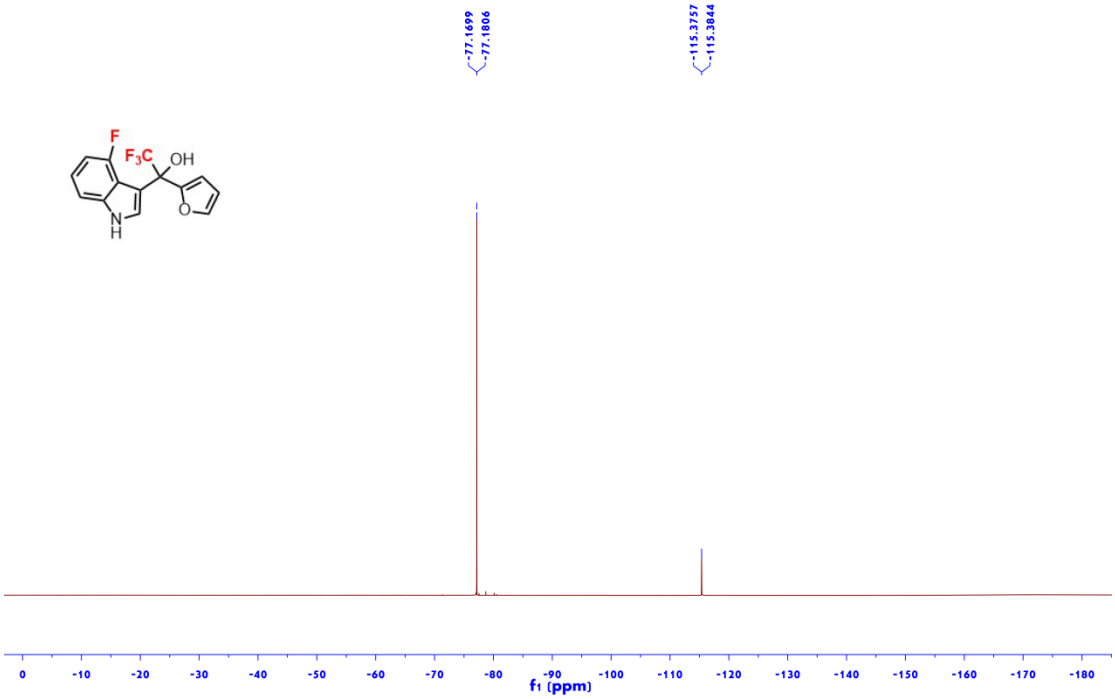


Figure S7 ^{1}H NMR (600 MHz, $CDCl_3$) of 1e

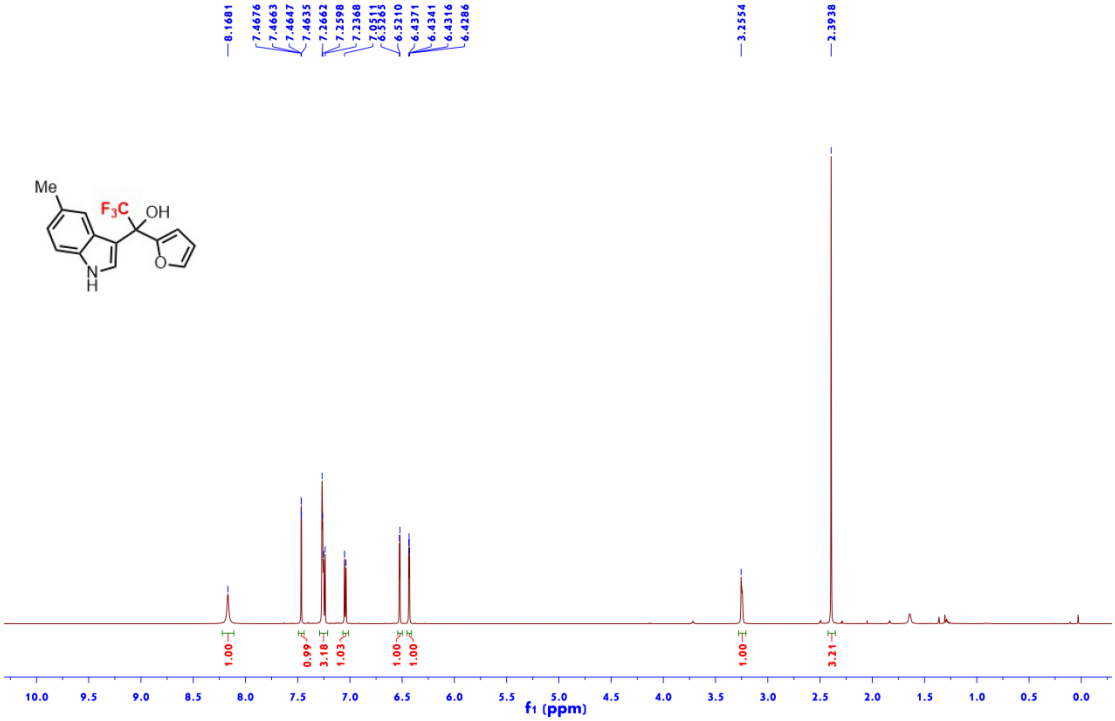


Figure S8 ^{13}C NMR (150 MHz, $CDCl_3$) of 1e

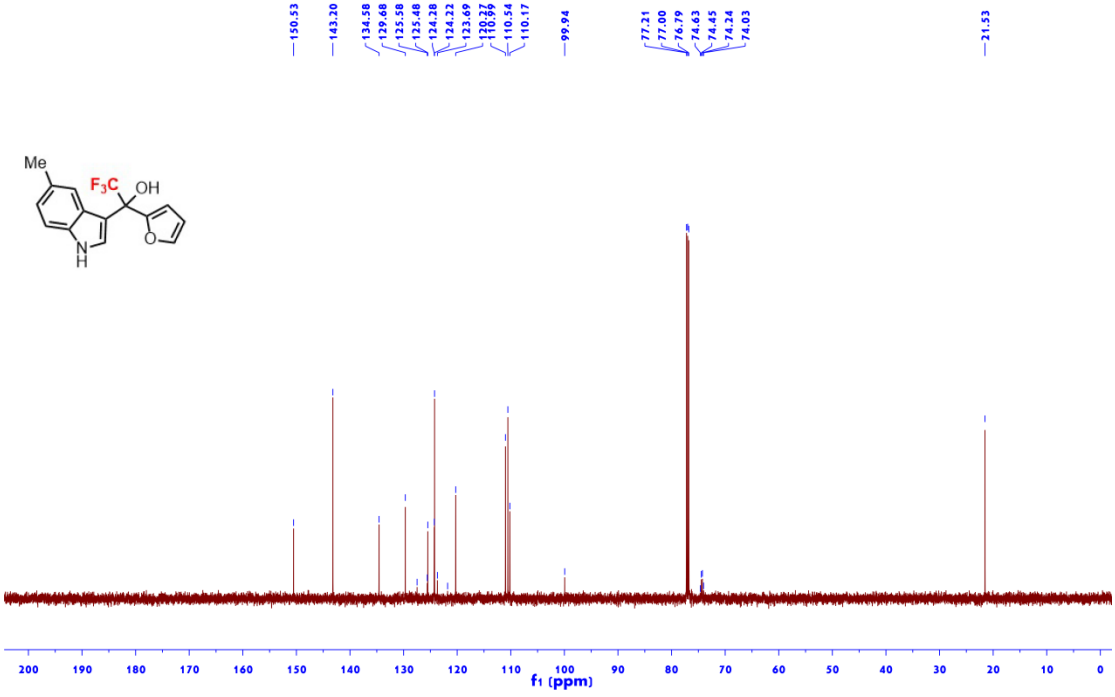


Figure S9 ^{19}F NMR (565 MHz, $CDCl_3$) of 1e

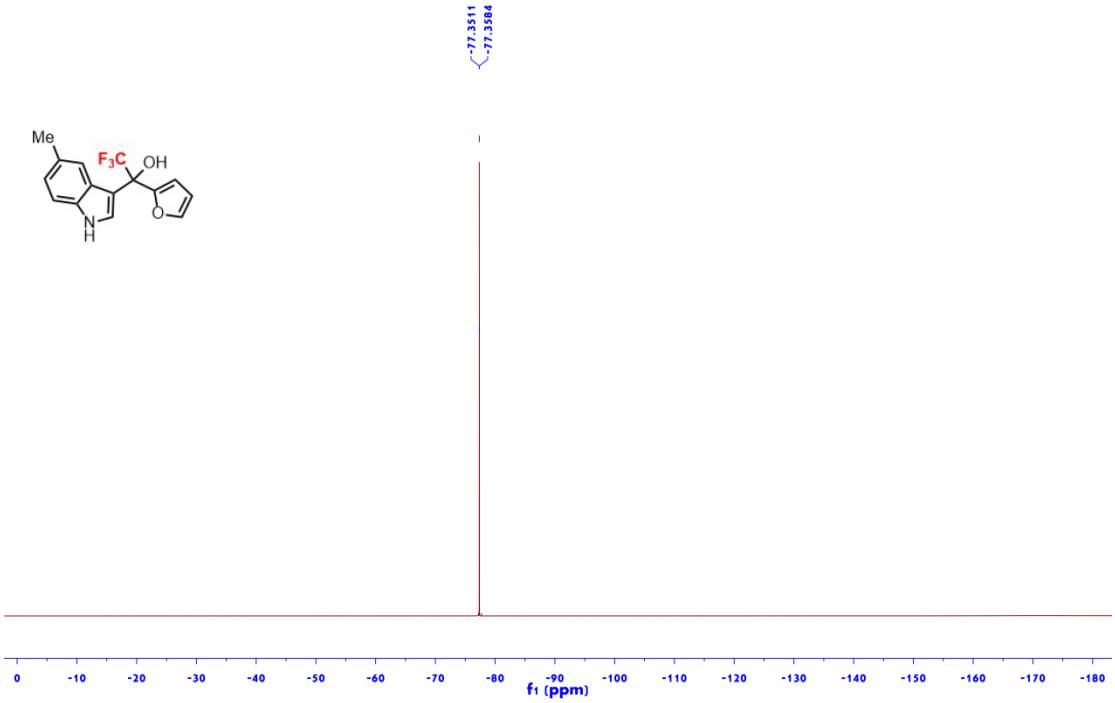


Figure S10 ^{1}H NMR (600 MHz, $CDCl_3$) of 1f

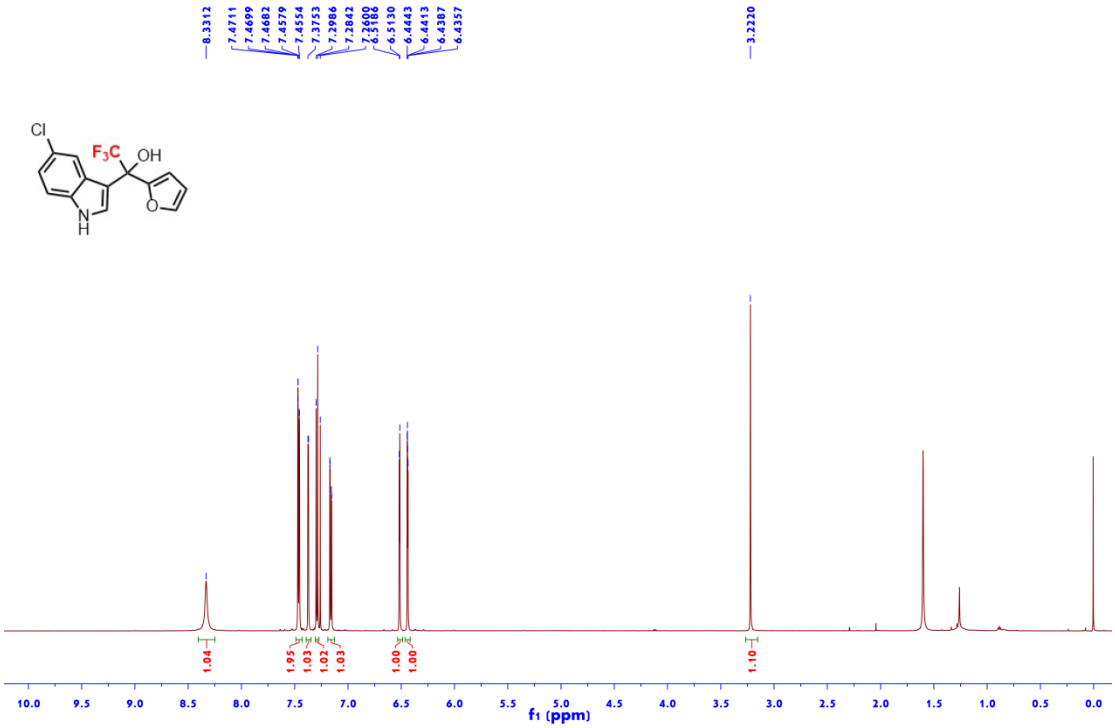


Figure S11 ^{13}C NMR (150 MHz, $CDCl_3$) of 1f

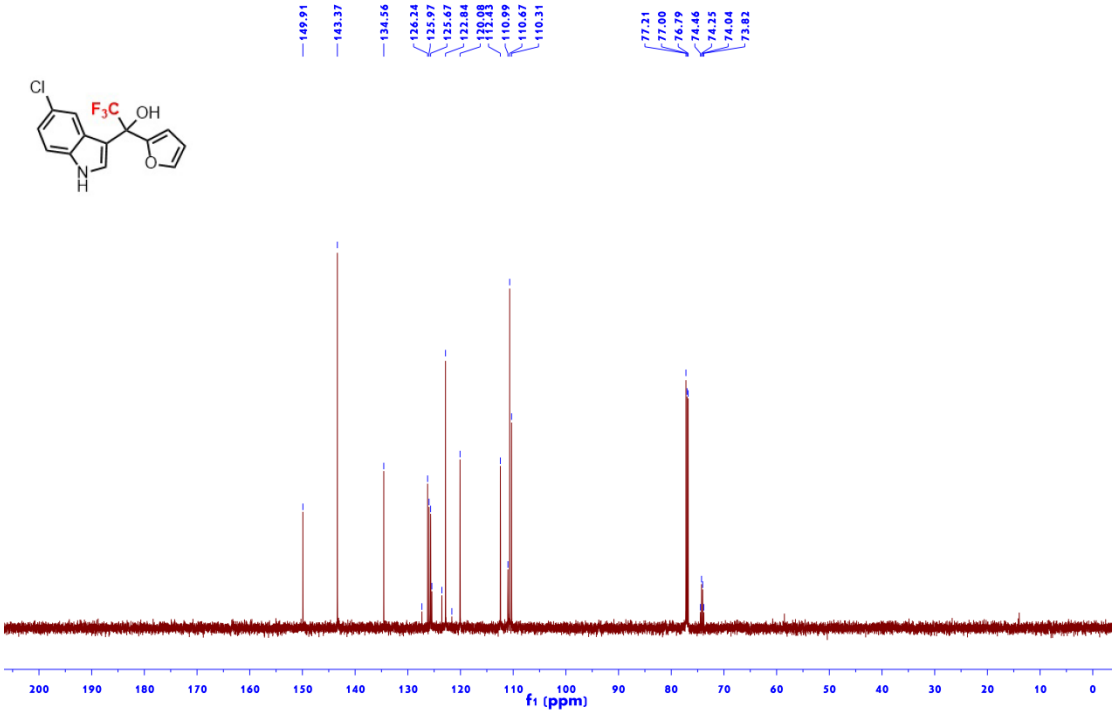


Figure S12 ^{19}F NMR (565 MHz, $CDCl_3$) of 1f

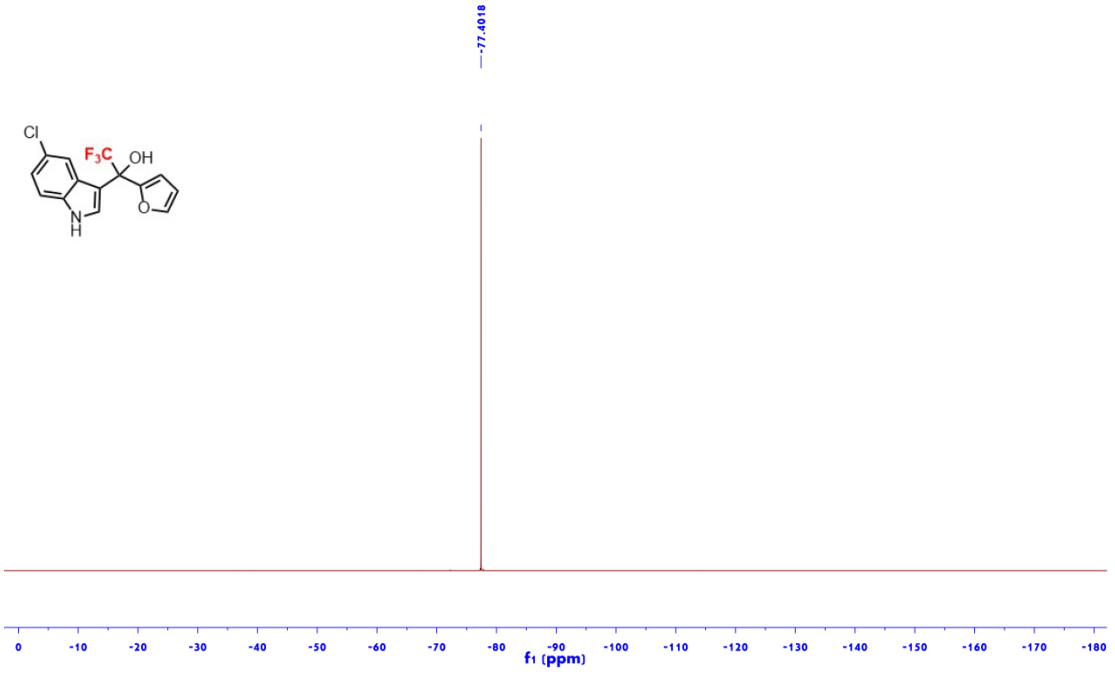


Figure S13 ^{1}H NMR (600 MHz, $CDCl_3$) of 1g

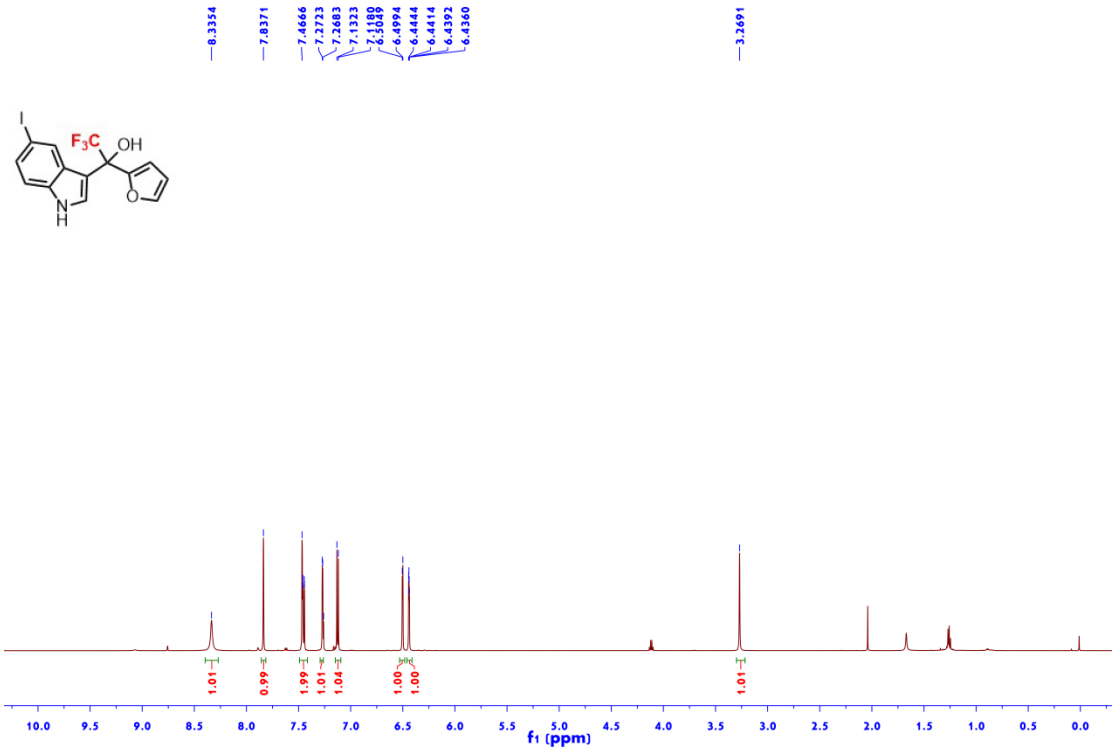


Figure S14 ^{13}C NMR (150 MHz, $CDCl_3$) of 1g

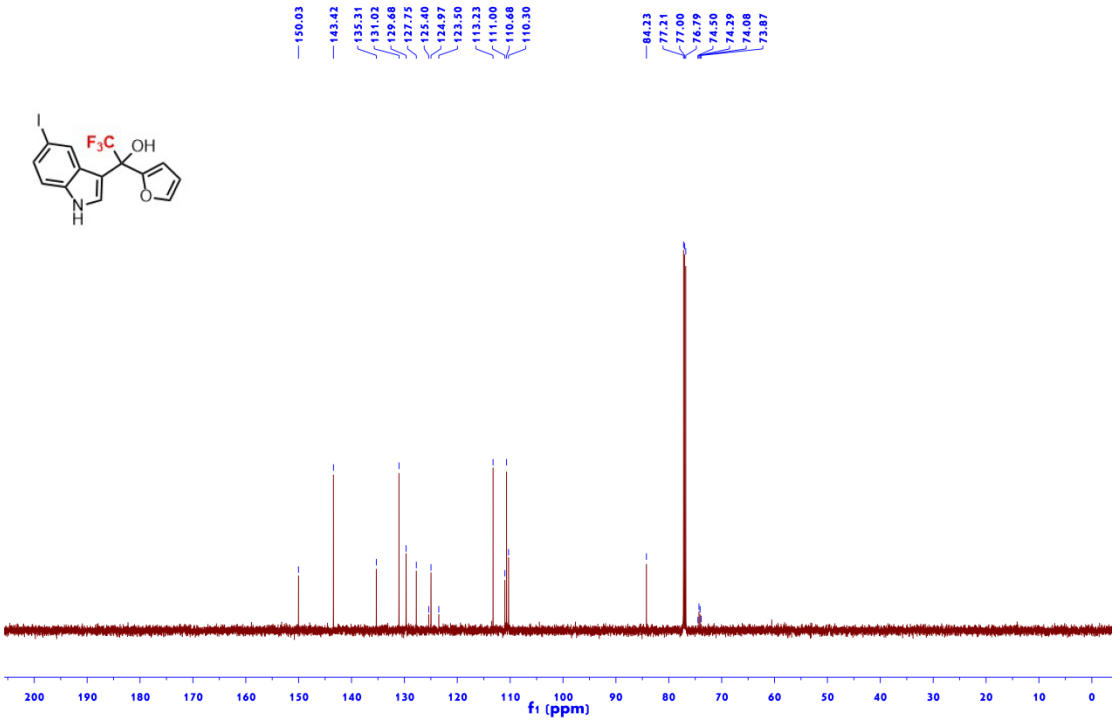


Figure S15 ^{19}F NMR (565 MHz, $CDCl_3$) of 1g

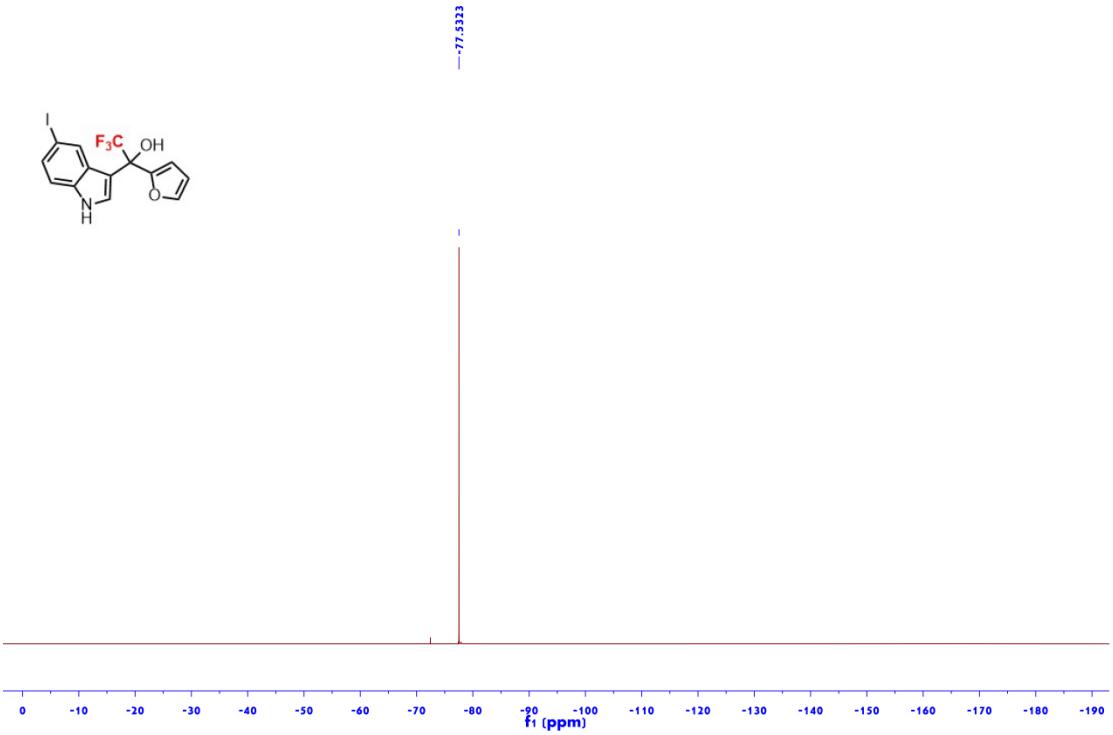


Figure S16 ^{1}H NMR (600 MHz, $CDCl_3$) of 1h

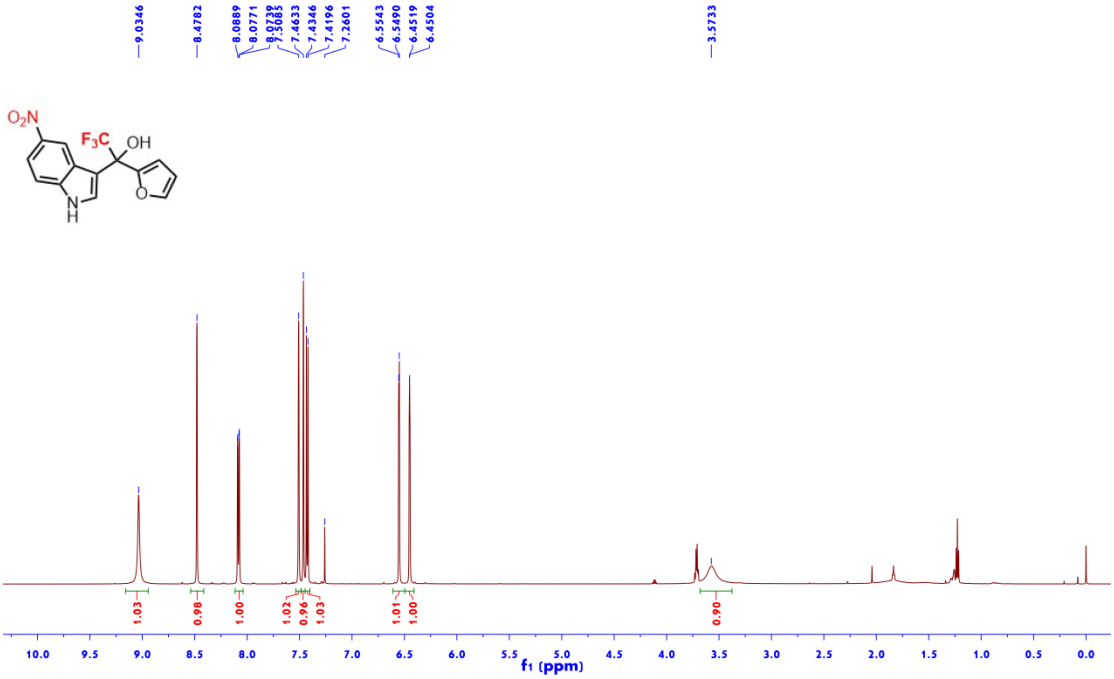


Figure S17 ^{13}C NMR (150 MHz, $CDCl_3$) of 1h

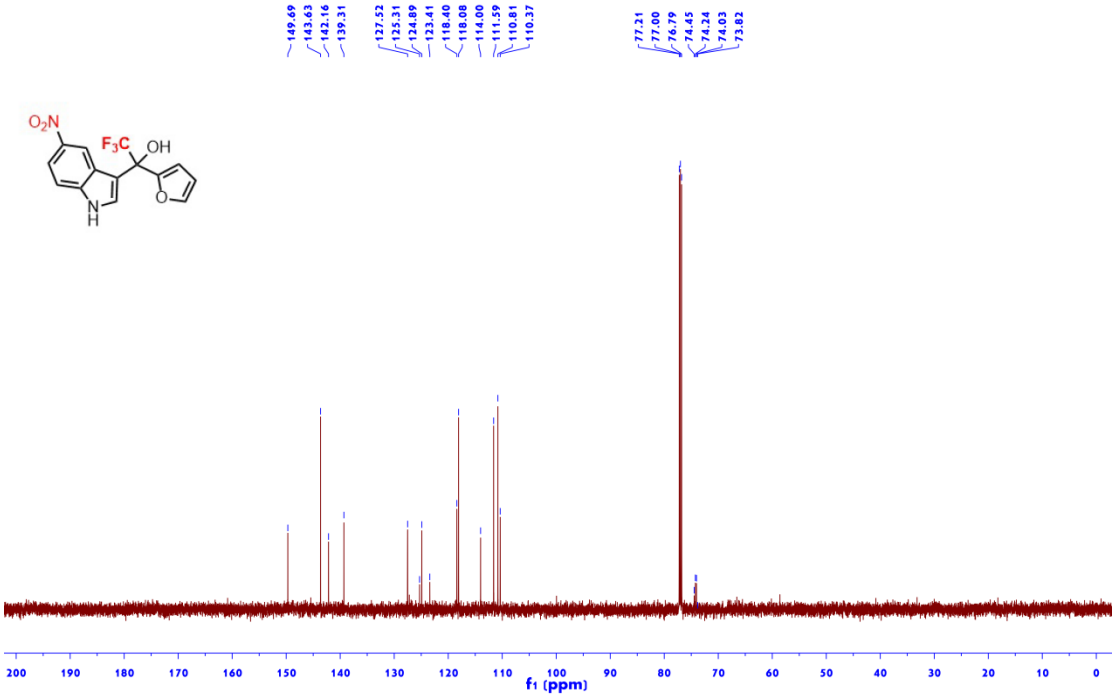


Figure S18 ^{19}F NMR (565 MHz, $CDCl_3$) of 1h

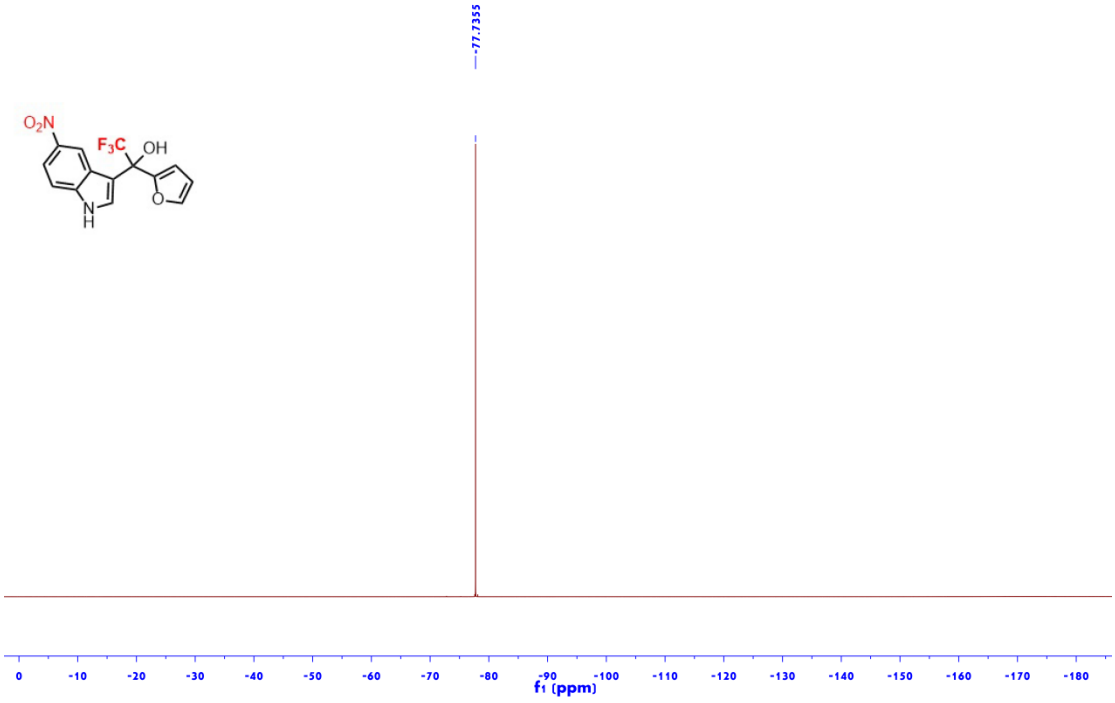


Figure S19 ^{1}H NMR (600 MHz, DMSO-d_6) of 1i

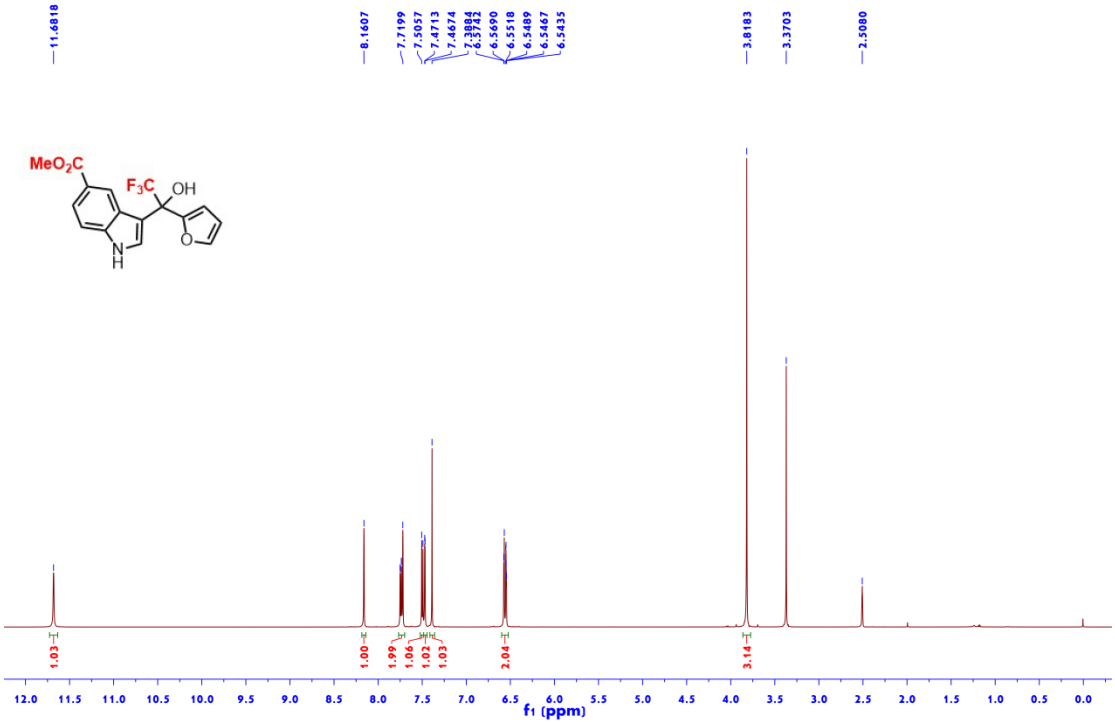


Figure S20 ^{13}C NMR (150 MHz, DMSO-d_6) of 1i

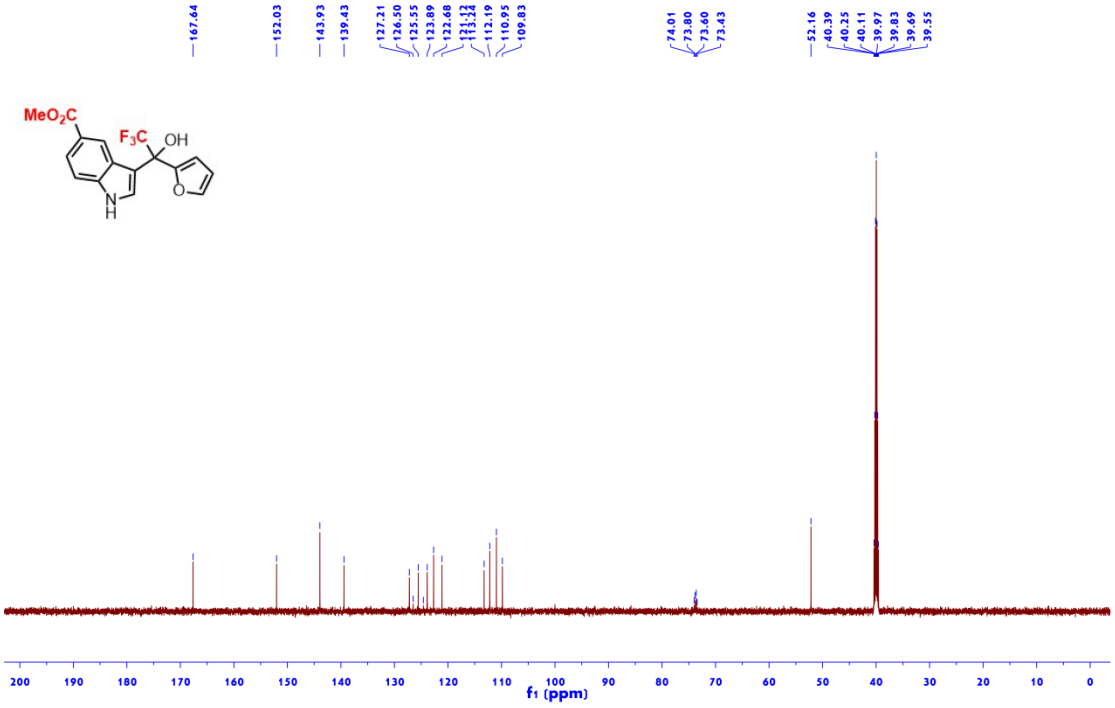


Figure S21 ^{19}F NMR (565 MHz, DMSO-*d6*) of 1i

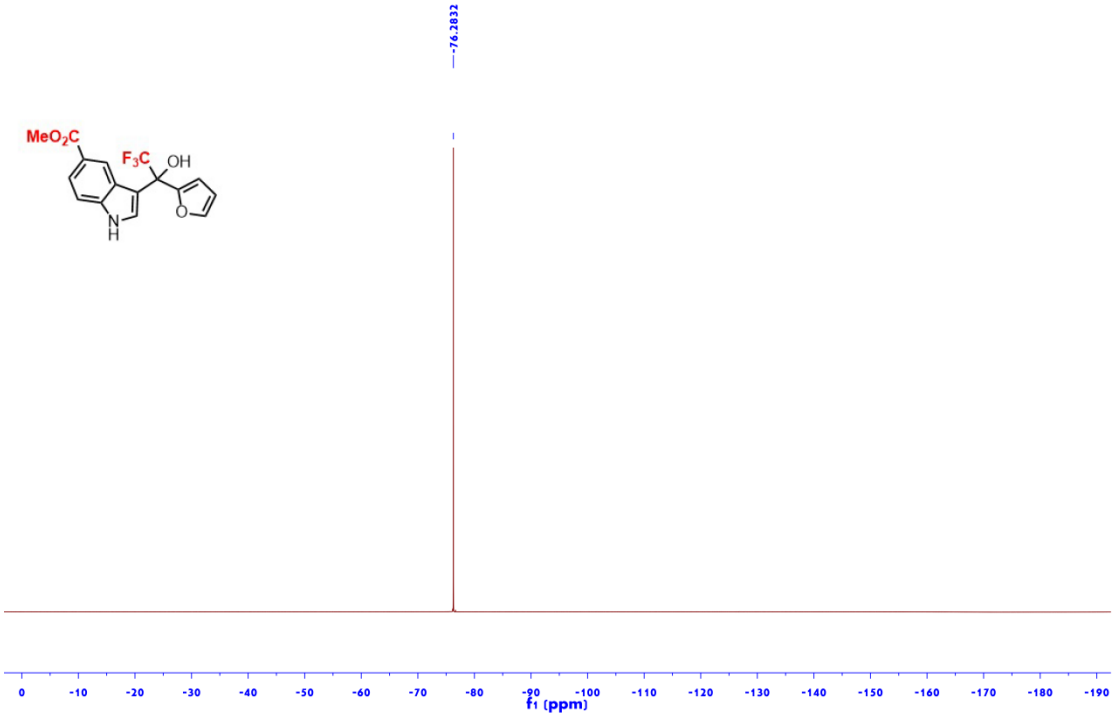


Figure S22 ^{1}H NMR (600 MHz, $CDCl_3$) of 1j

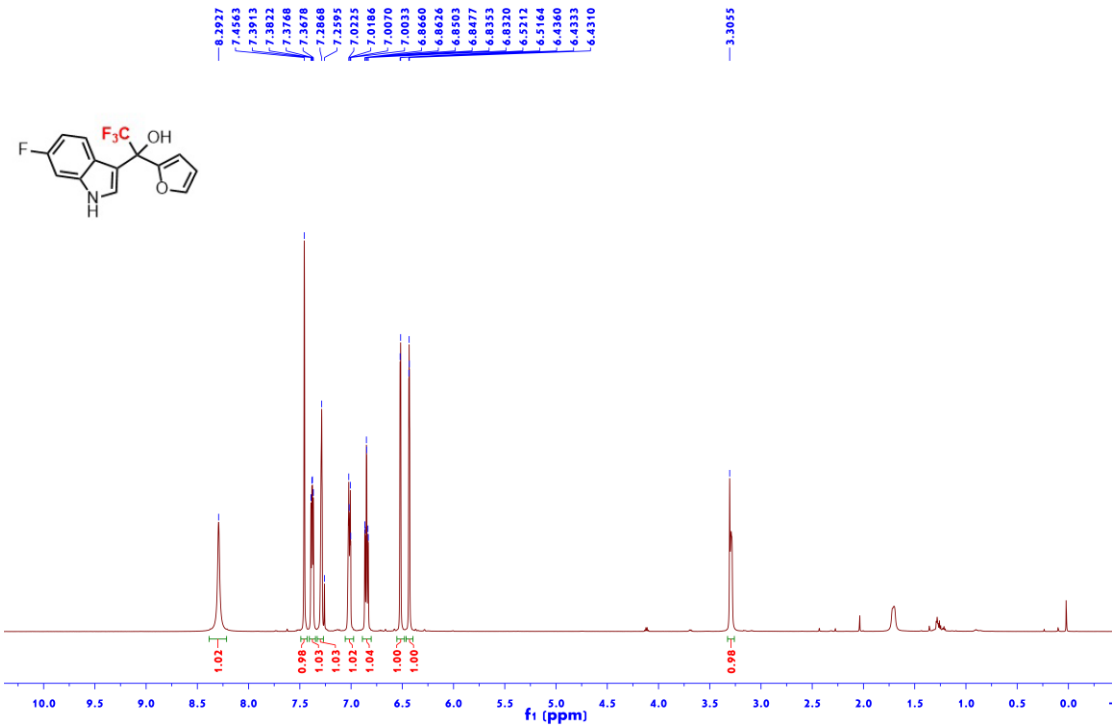


Figure S23 ^{13}C NMR (150 MHz, $CDCl_3$) of 1j

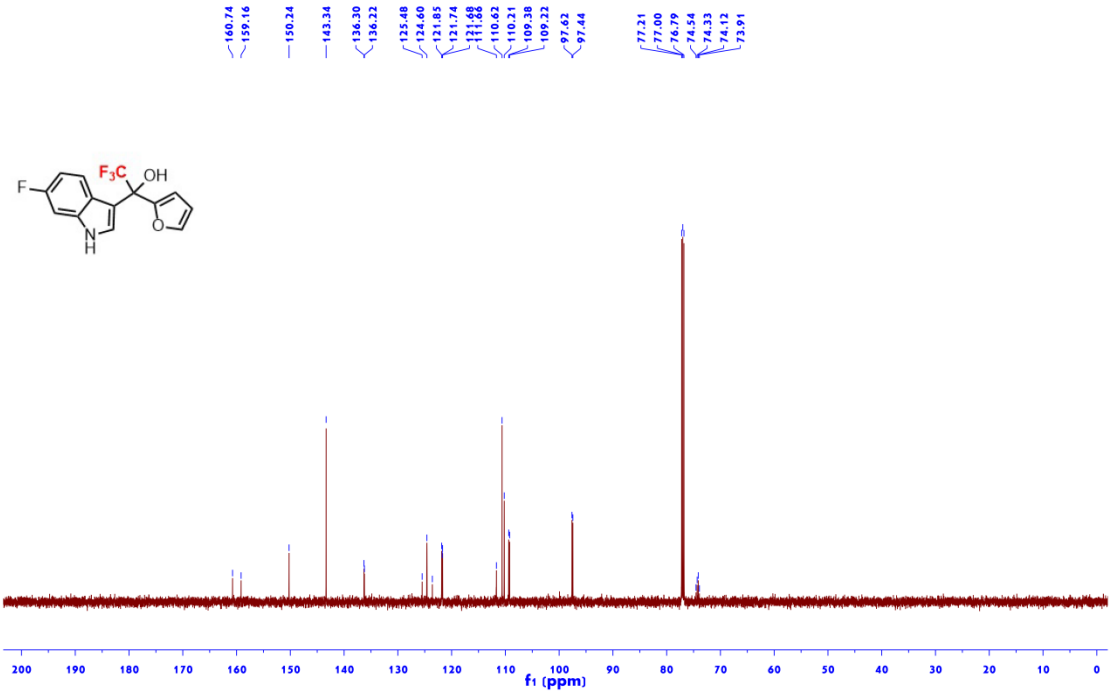


Figure S24 ^{19}F NMR (565 MHz, $CDCl_3$) of 1j

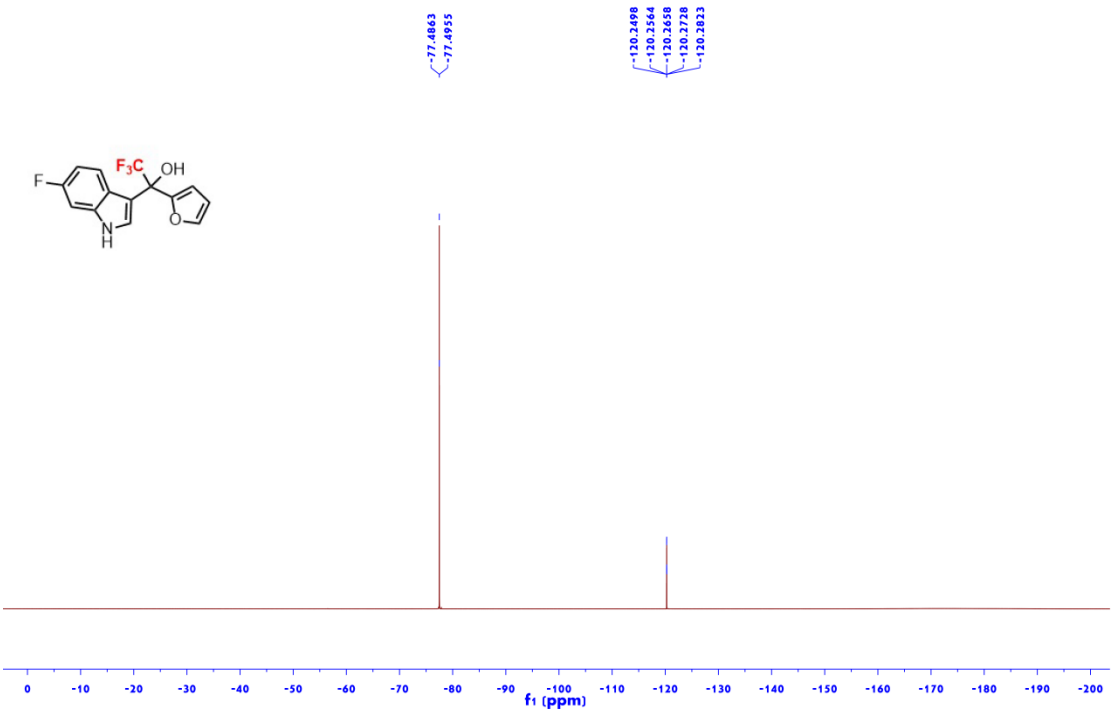


Figure S25 ^{1}H NMR (600 MHz, $CDCl_3$) of 1k

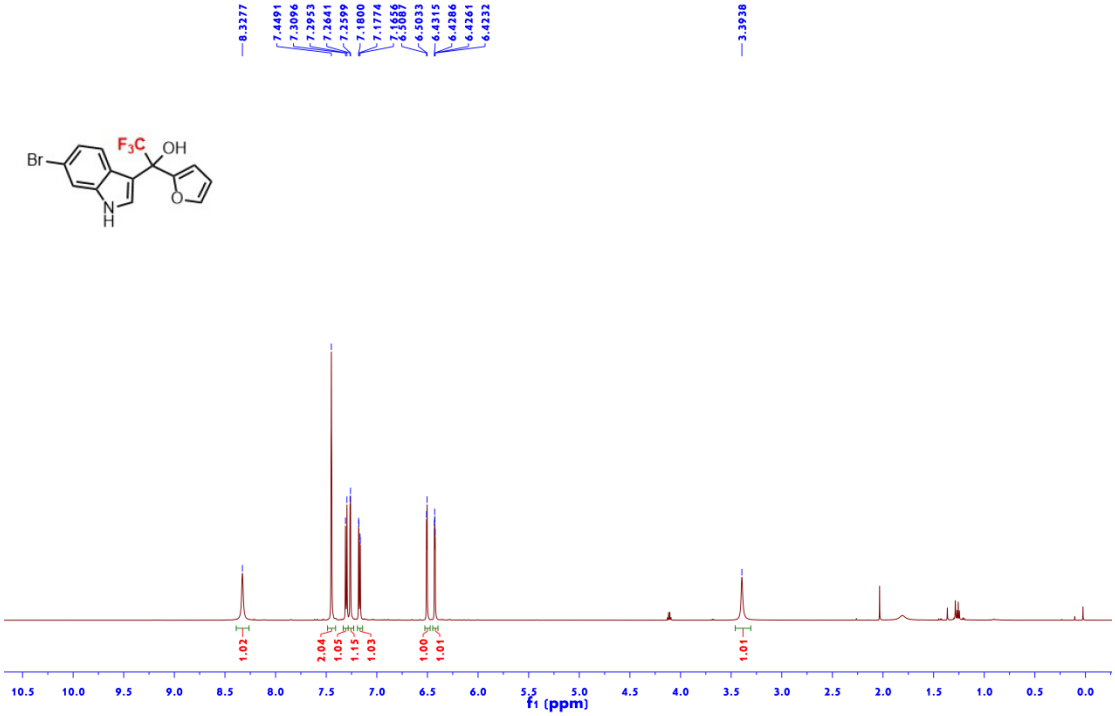


Figure S26 ^{13}C NMR (150 MHz, $CDCl_3$) of 1k

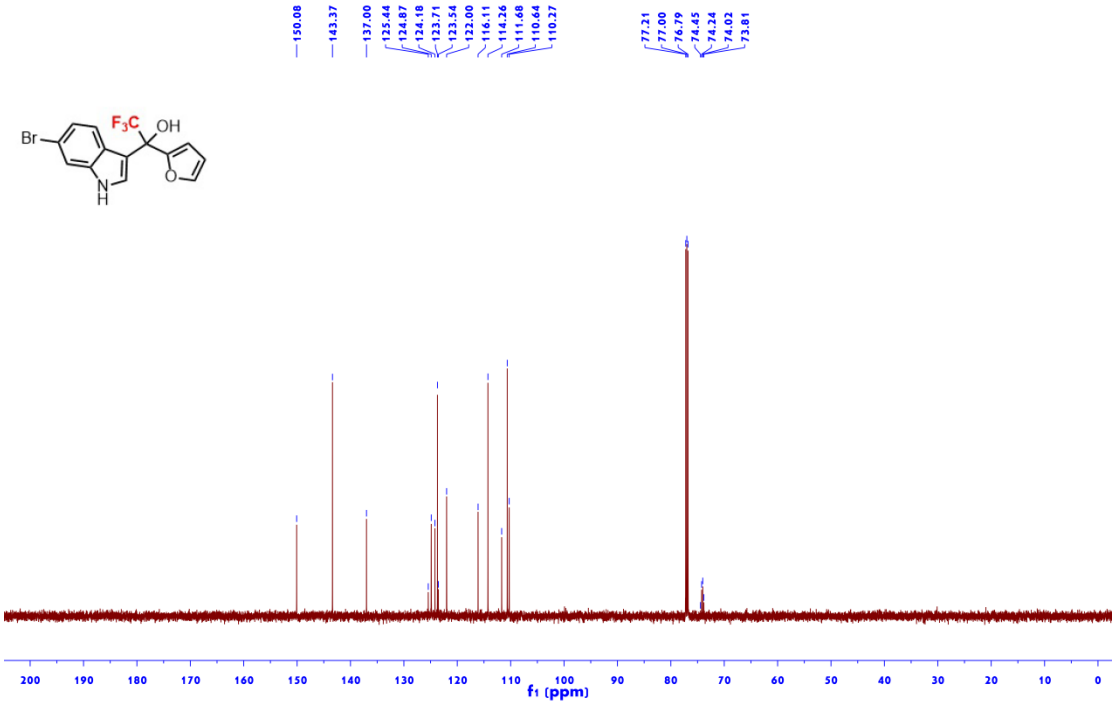


Figure S27 ^{19}F NMR (565 MHz, $CDCl_3$) of 1k

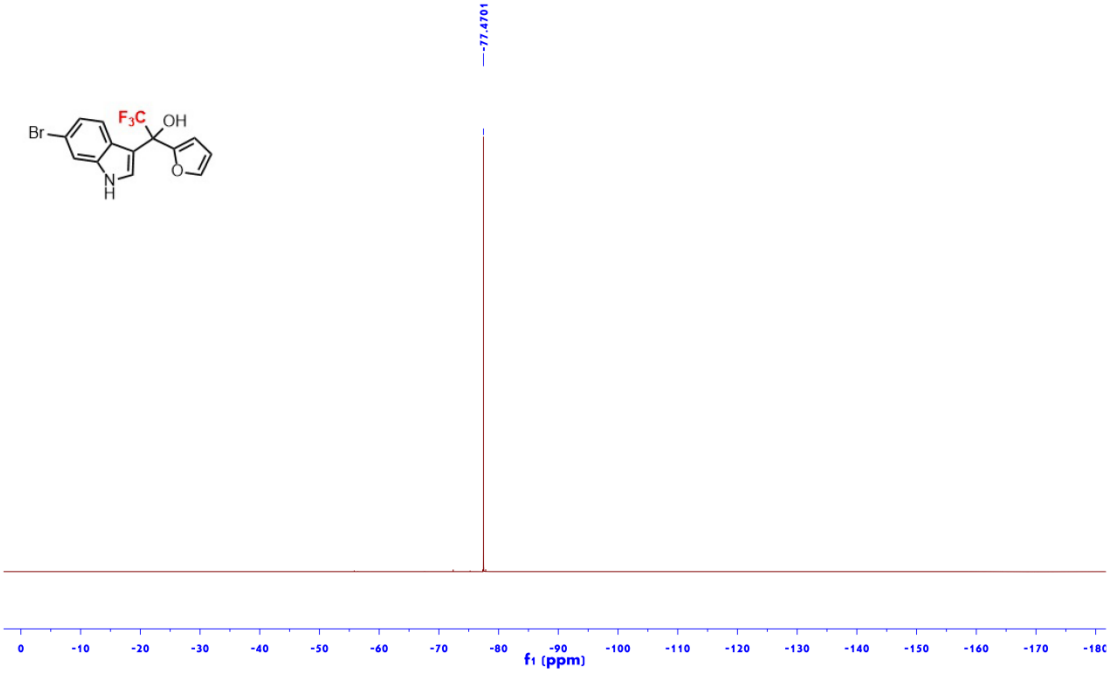


Figure S28 ^{1}H NMR (600 MHz, DMSO-d_6) of 1l

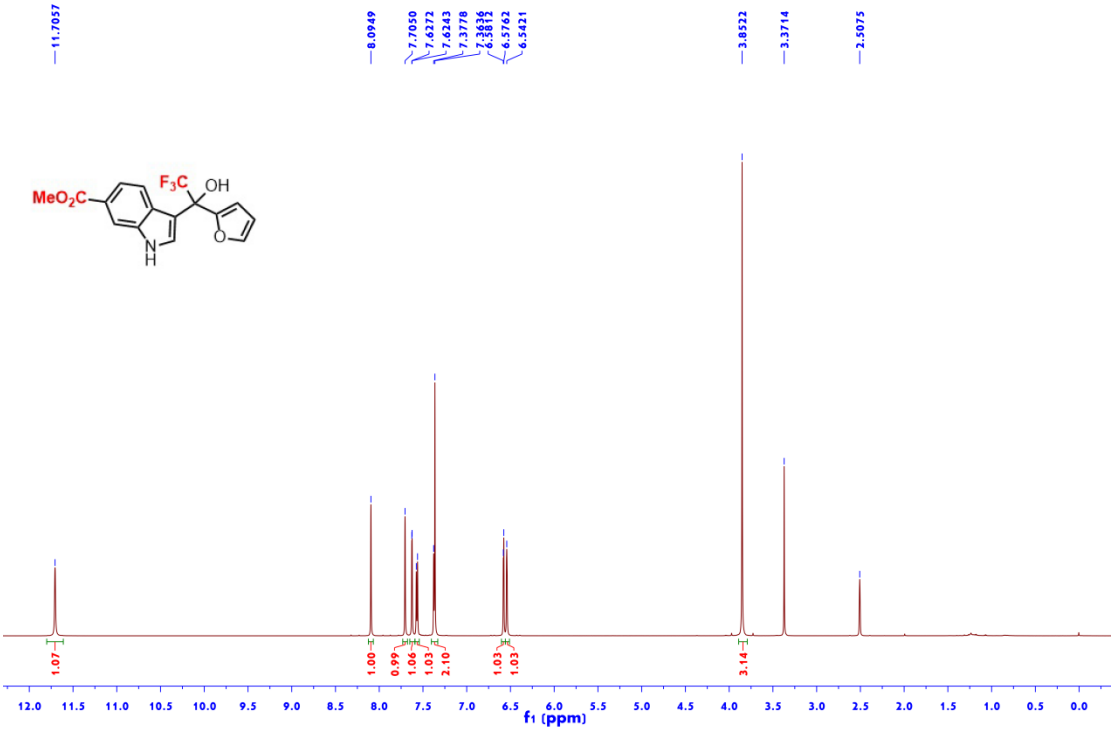


Figure S29 ^{13}C NMR (150 MHz, DMSO-*d6*) of 1l

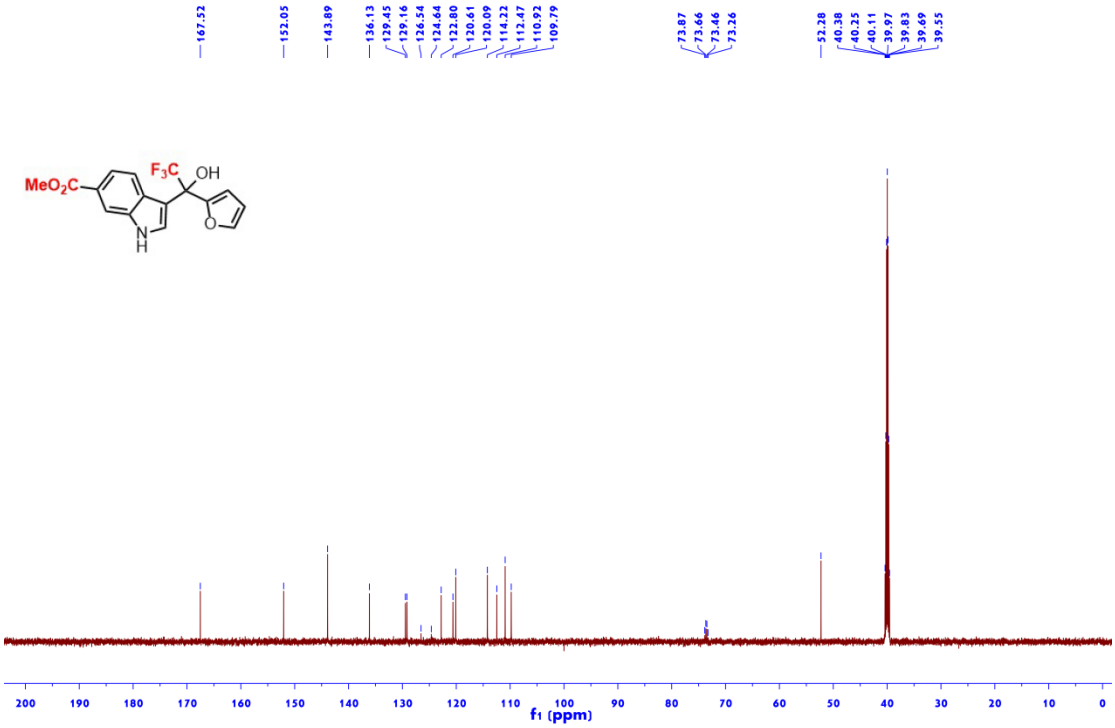


Figure S30 ^{19}F NMR (565 MHz, DMSO-*d6*) of 1l

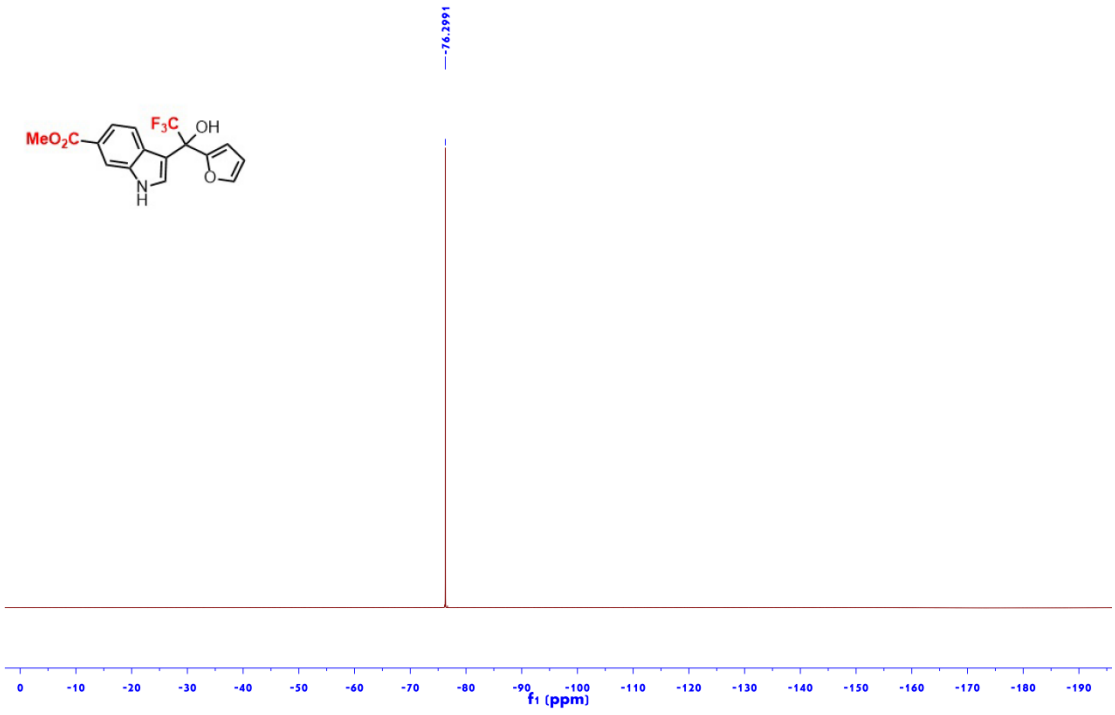


Figure S31 ^{1}H NMR (600 MHz, $CDCl_3$) of 1m

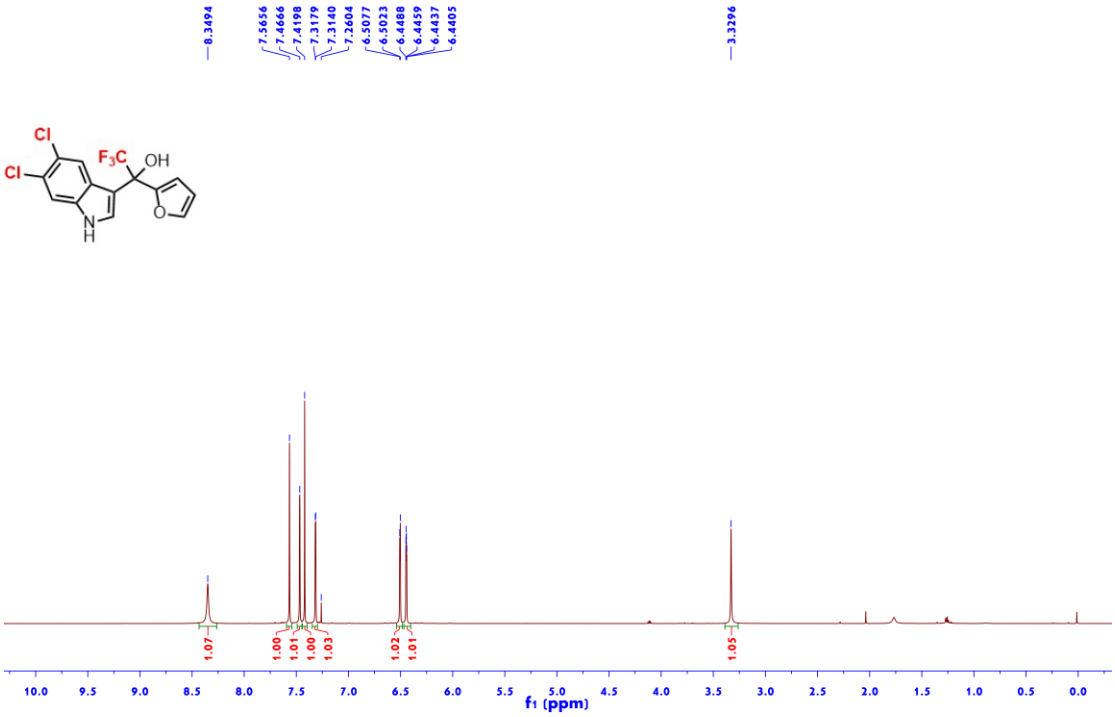


Figure S32 ^{13}C NMR (150 MHz, $CDCl_3$) of 1m

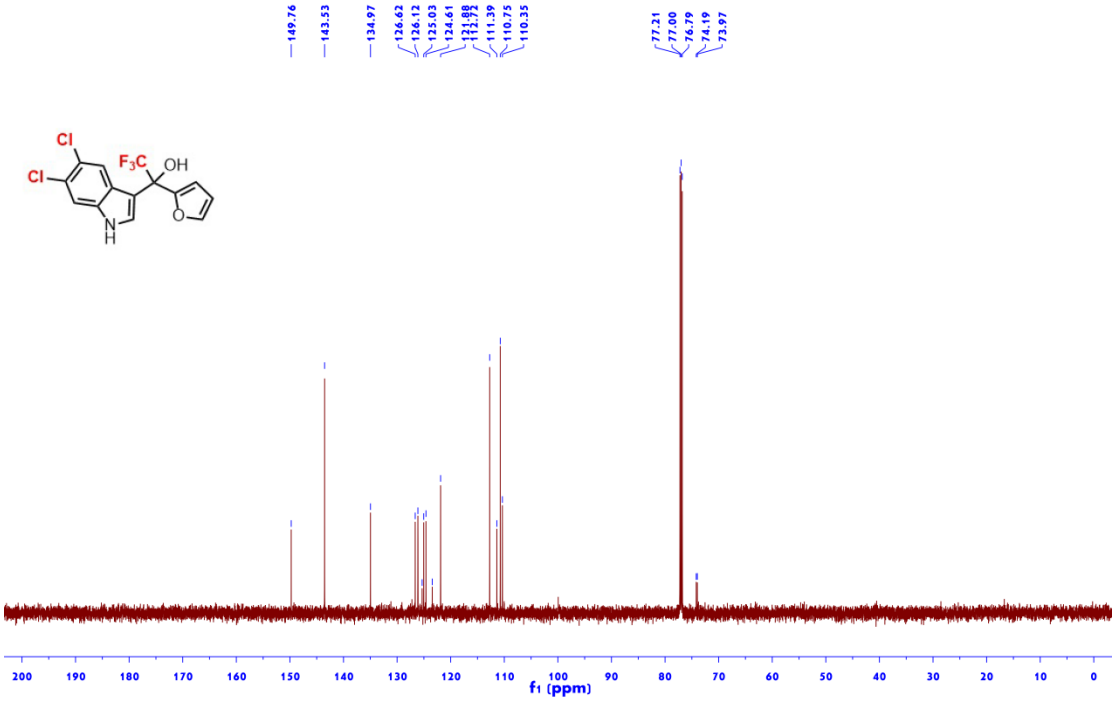


Figure S33 ^{19}F NMR (565 MHz, $CDCl_3$) of 1m

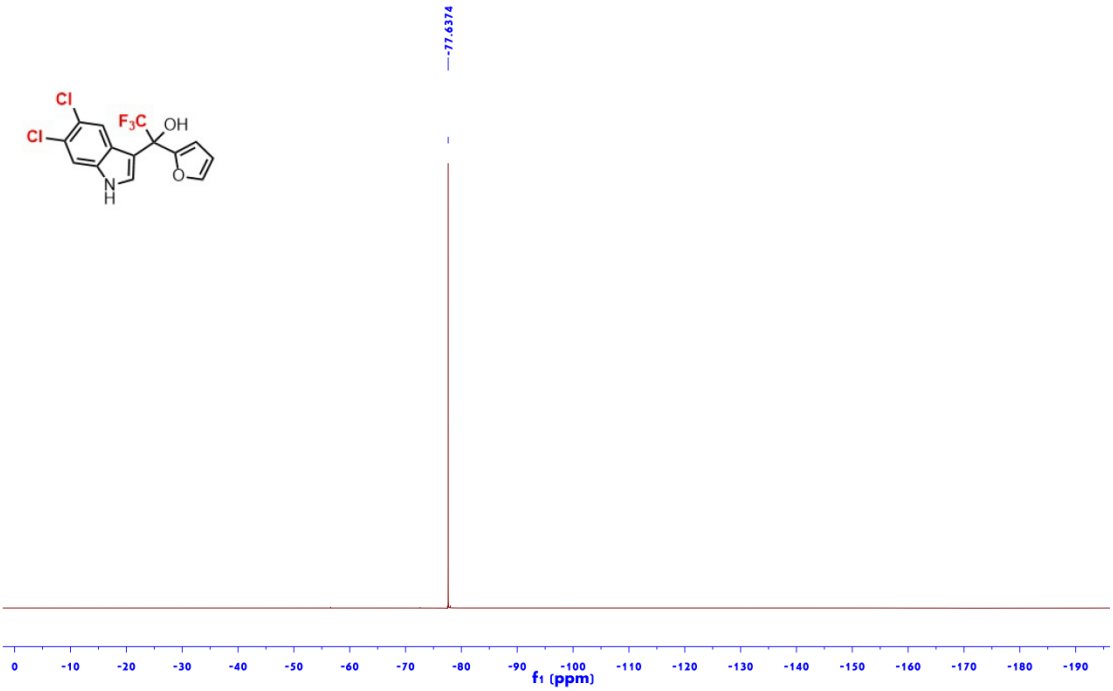


Figure S34 ^{1}H NMR (600 MHz, $CDCl_3$) of 1n

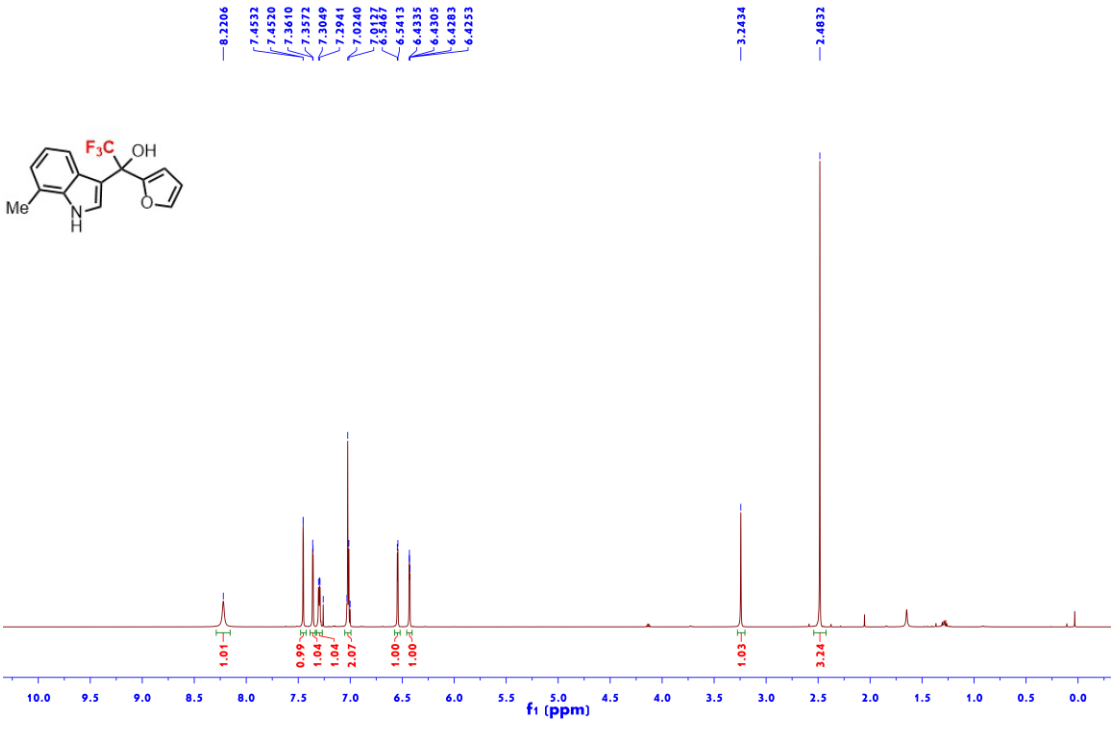


Figure S35 ^{13}C NMR (150 MHz, $CDCl_3$) of 1n

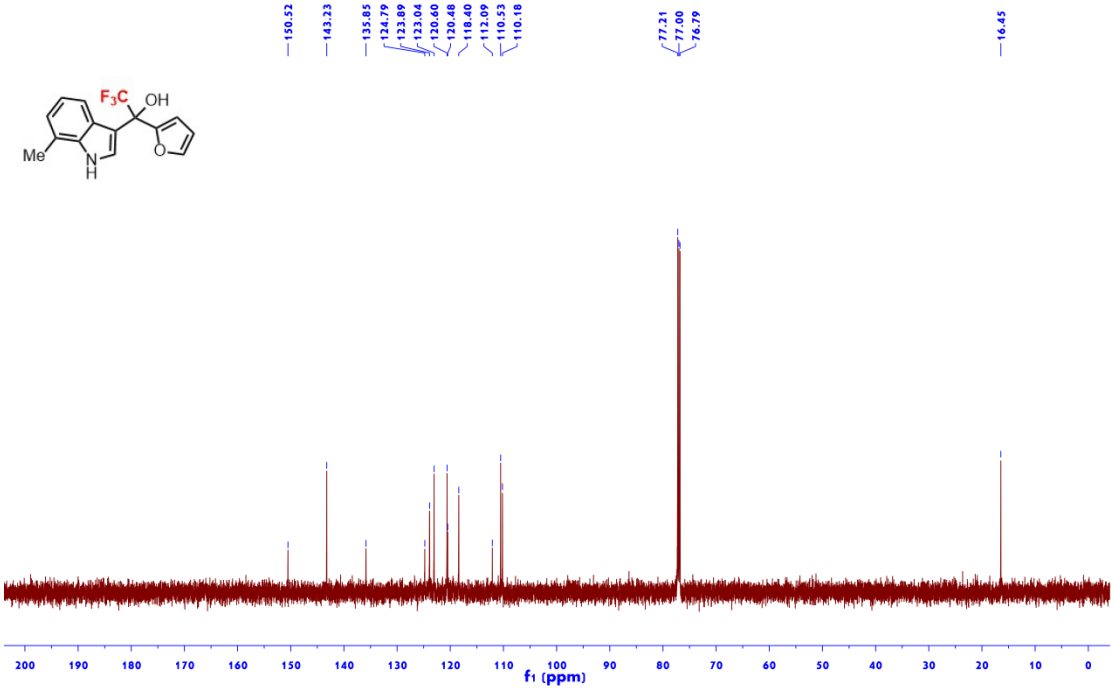


Figure S36 ^{19}F NMR (565 MHz, $CDCl_3$) of 1n

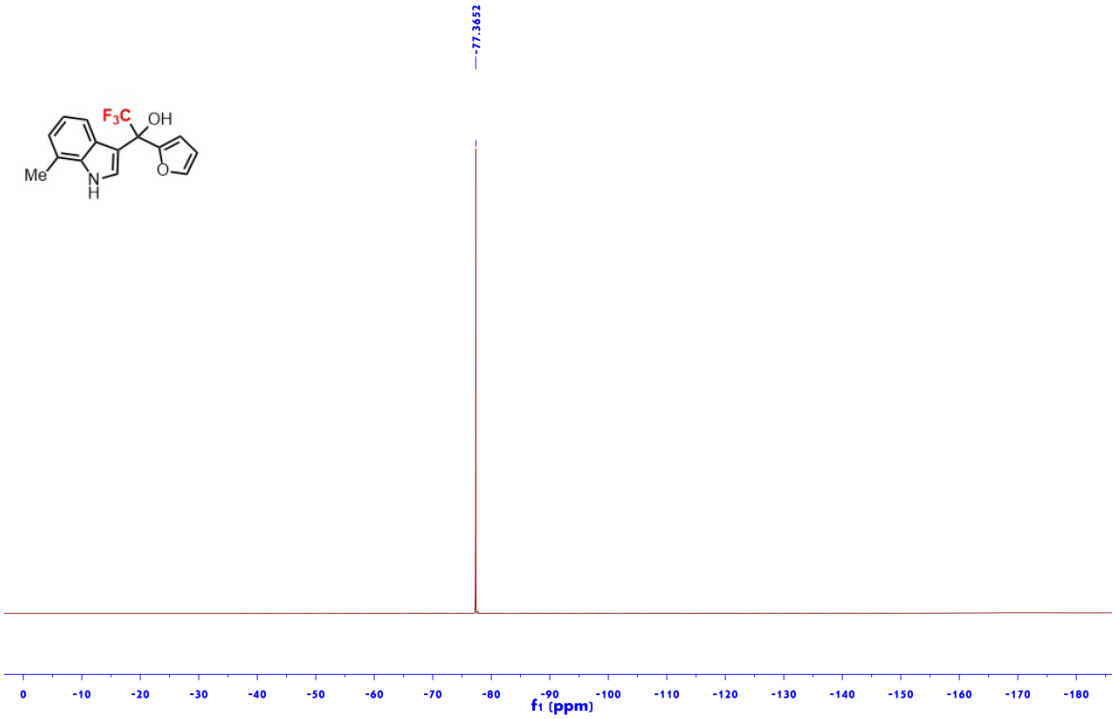


Figure S37 ^{1}H NMR (600 MHz, $CDCl_3$) of 1o

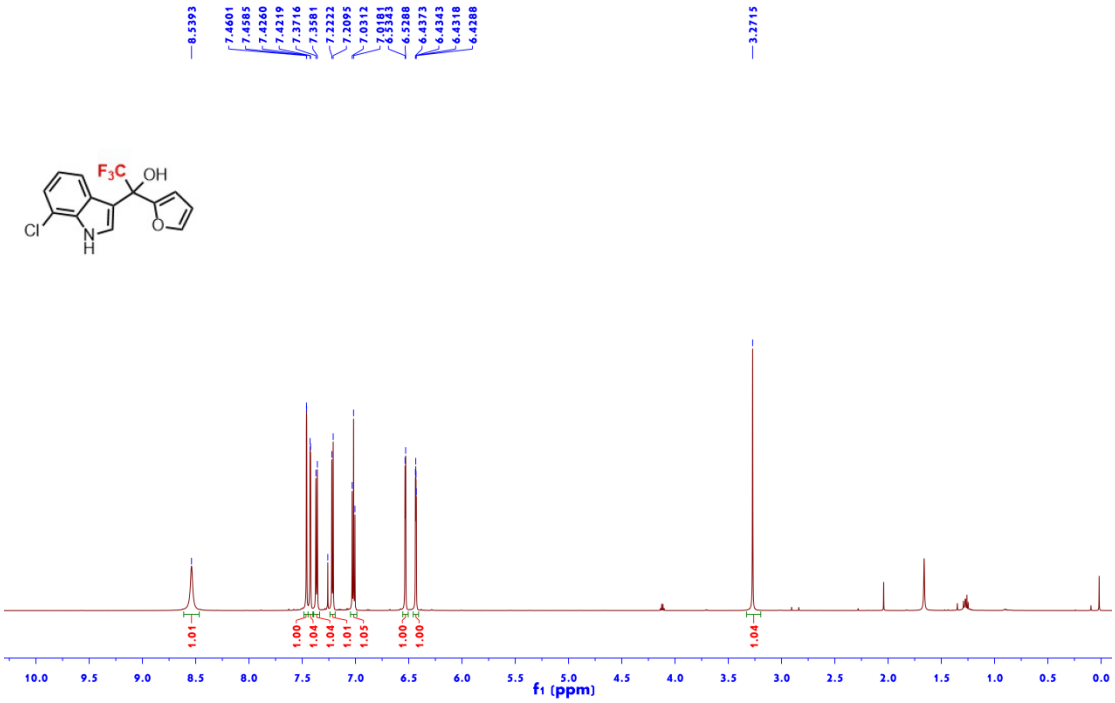


Figure S38 ^{13}C NMR (150 MHz, $CDCl_3$) of 1o

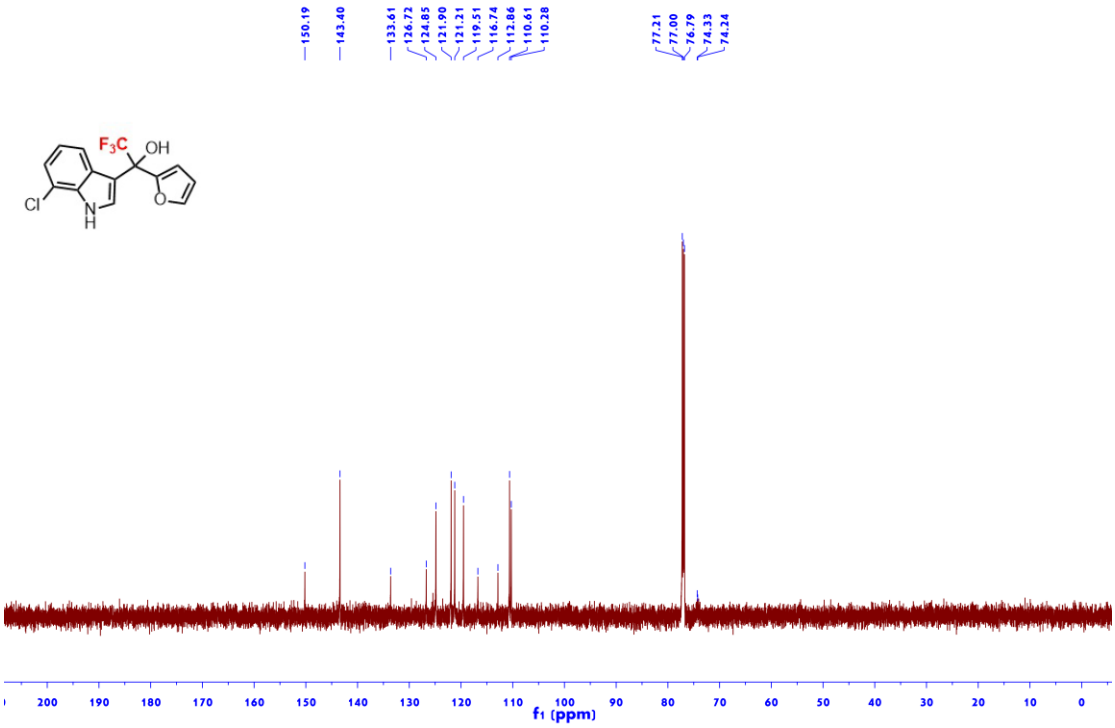


Figure S39 ^{19}F NMR (565 MHz, $CDCl_3$) of 1o

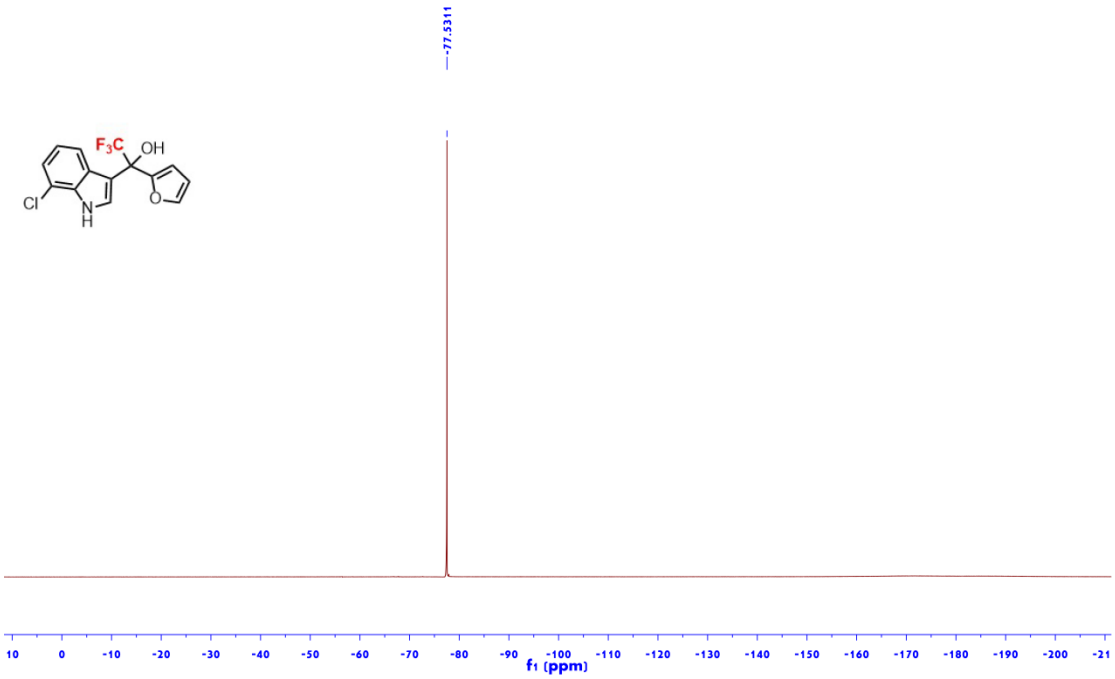


Figure S40 ^{1}H NMR (600 MHz, $CDCl_3$) of 1p

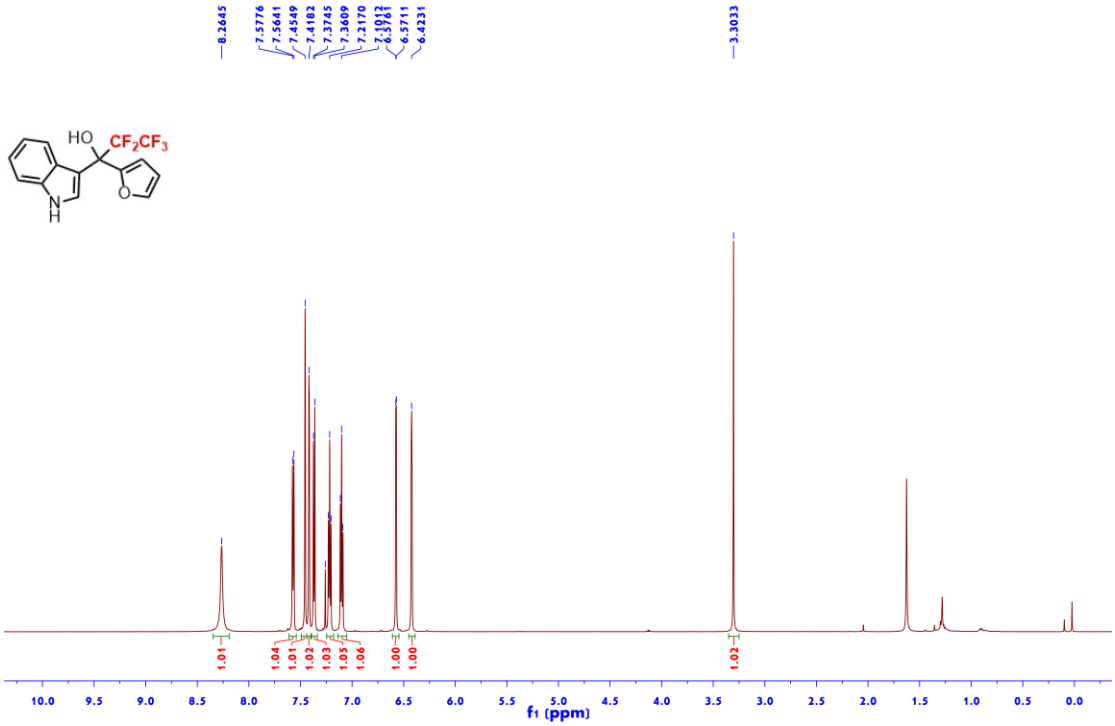


Figure S41 ^{13}C NMR (150 MHz, $CDCl_3$) of **1p**

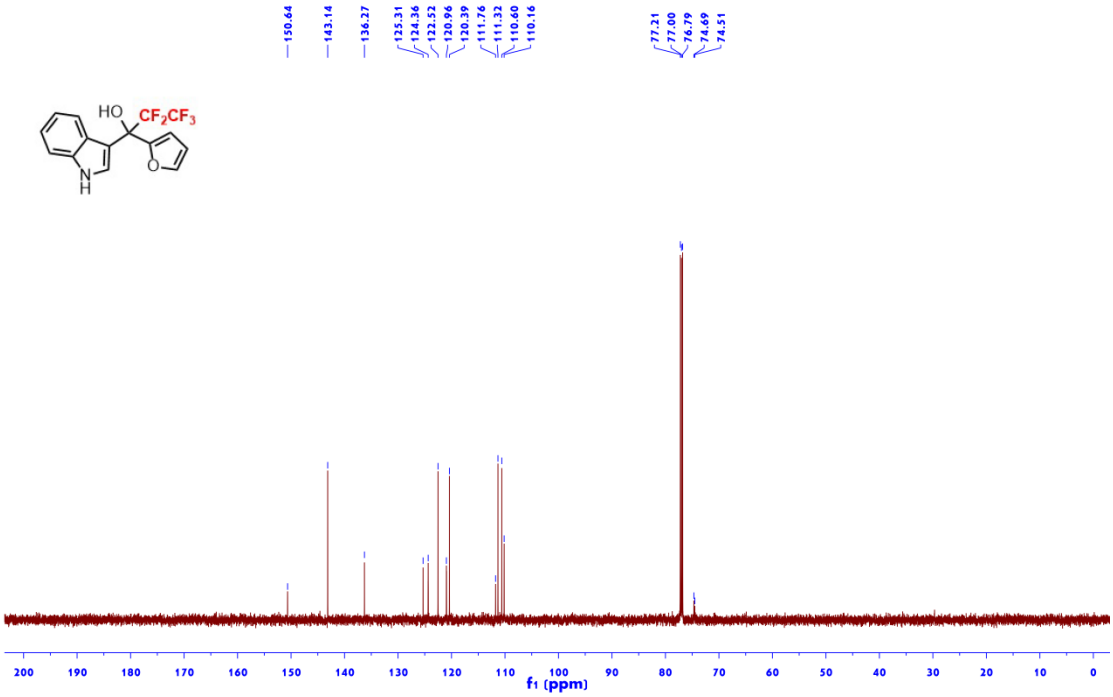


Figure S42 ^{19}F NMR (565 MHz, $CDCl_3$) of **1p**

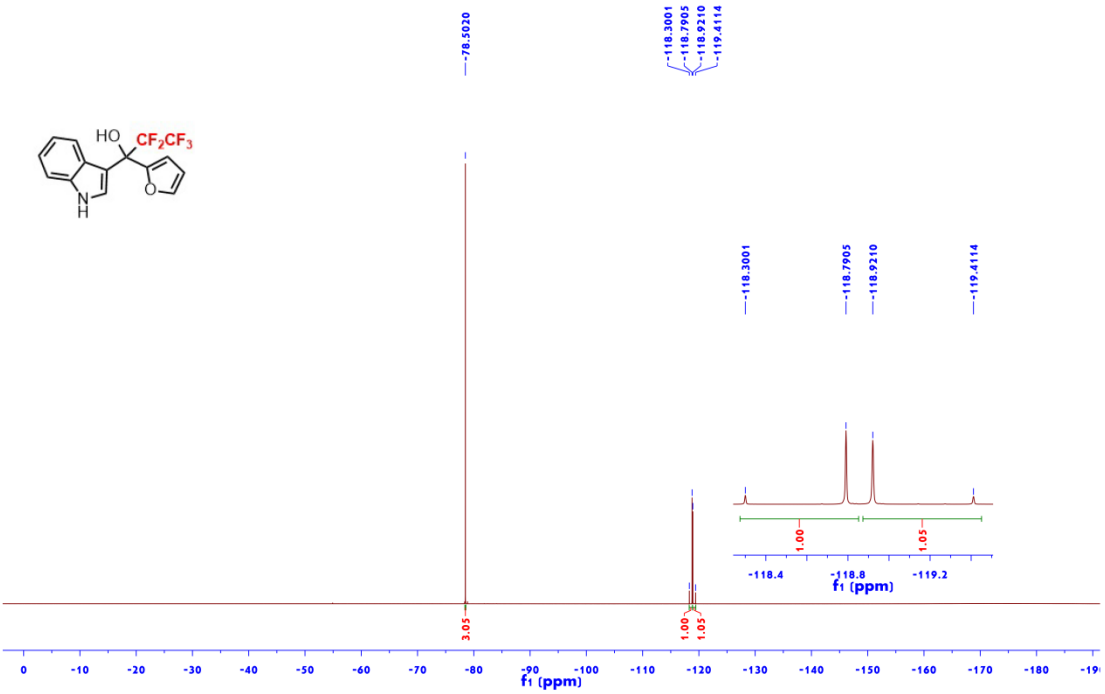


Figure S43 ^{1}H NMR (600 MHz, $CDCl_3$) of 1q

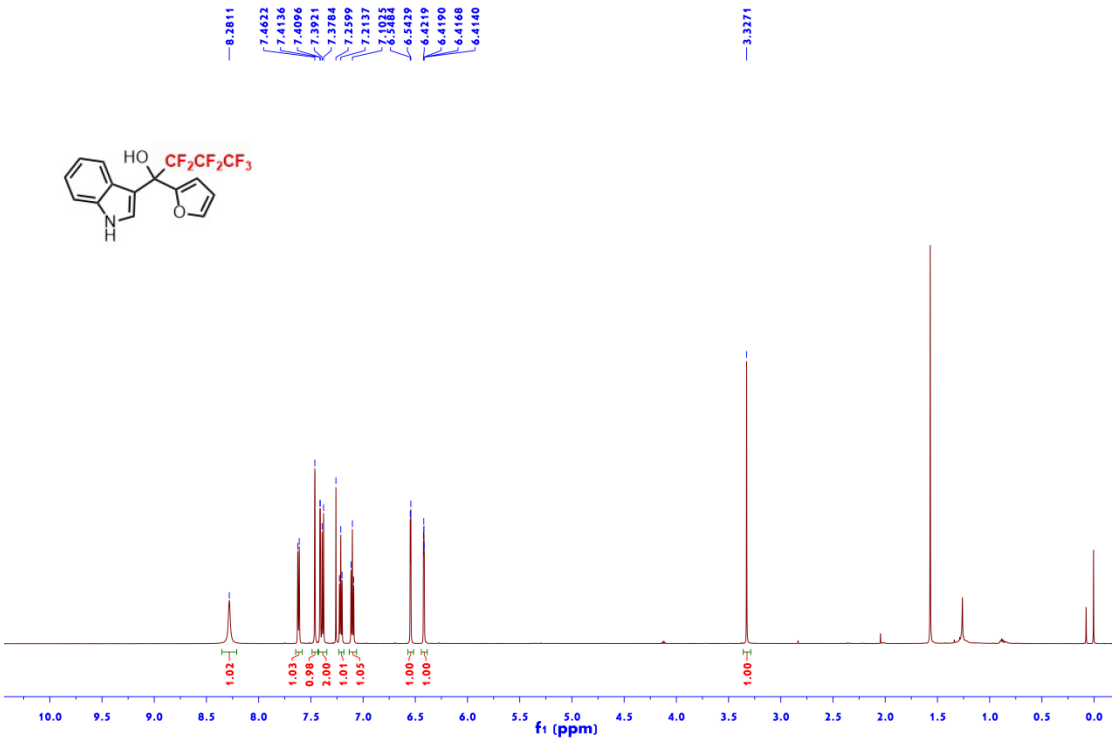


Figure S44 ^{13}C NMR (150 MHz, $CDCl_3$) of 1q

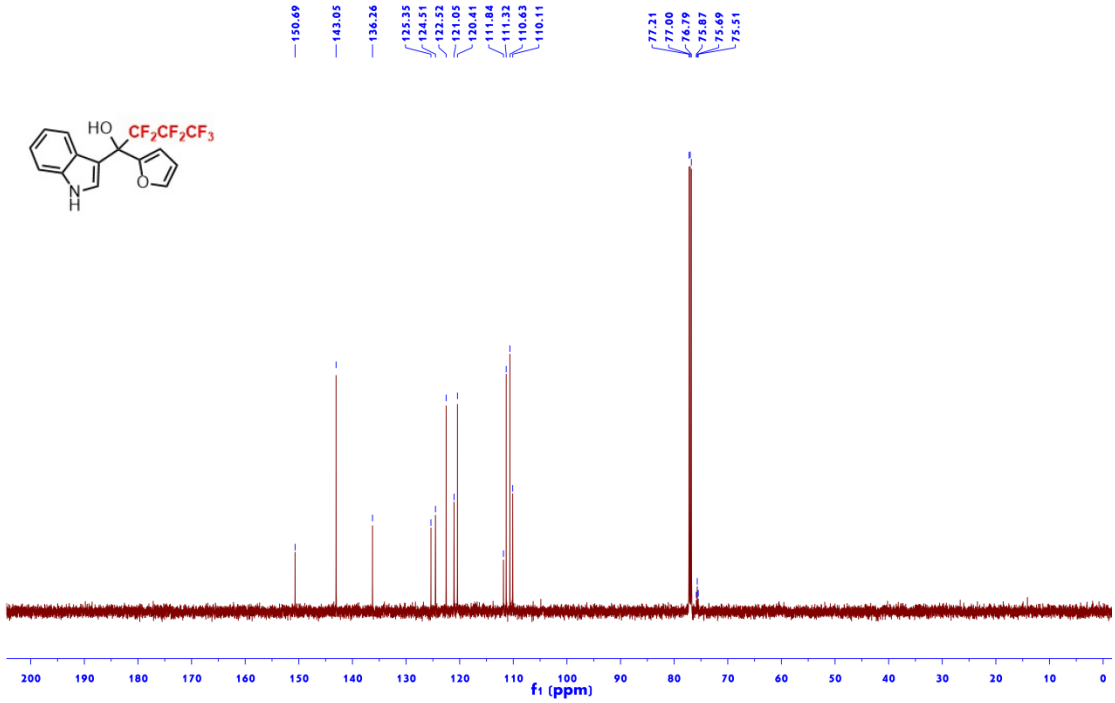


Figure S45 ^{19}F NMR (565 MHz, $CDCl_3$) of 1q

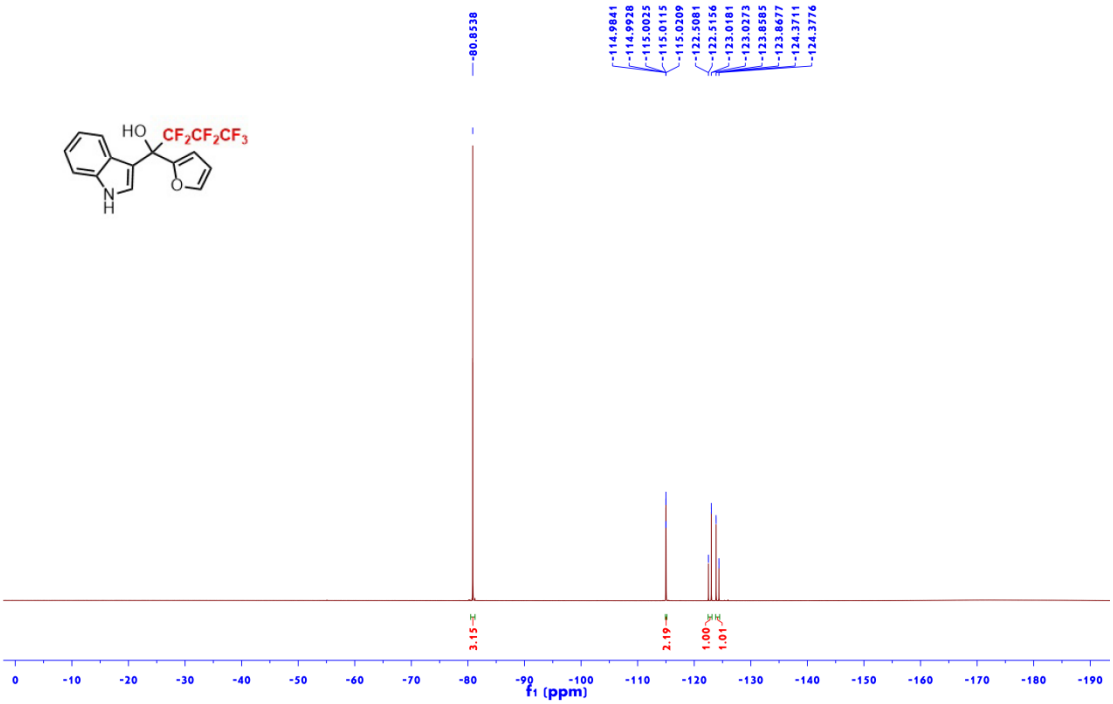


Figure S46 ^{1}H NMR (600 MHz, $CDCl_3$) of 3aa

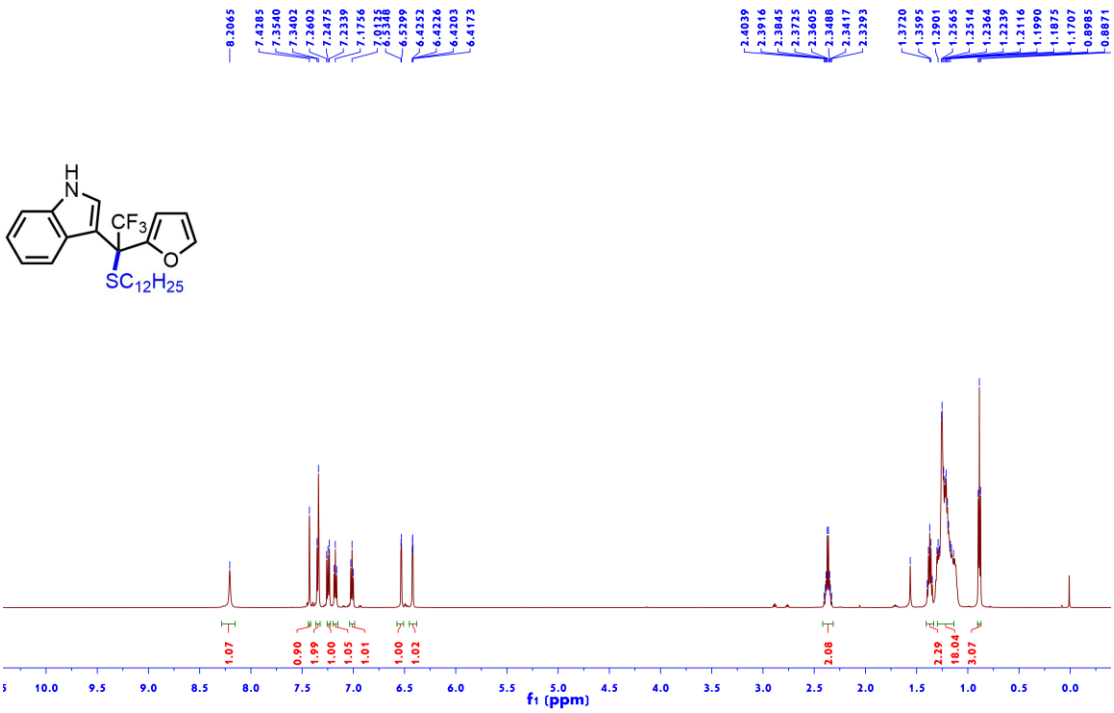


Figure S47 ^{13}C NMR (150 MHz, $CDCl_3$) of 3aa

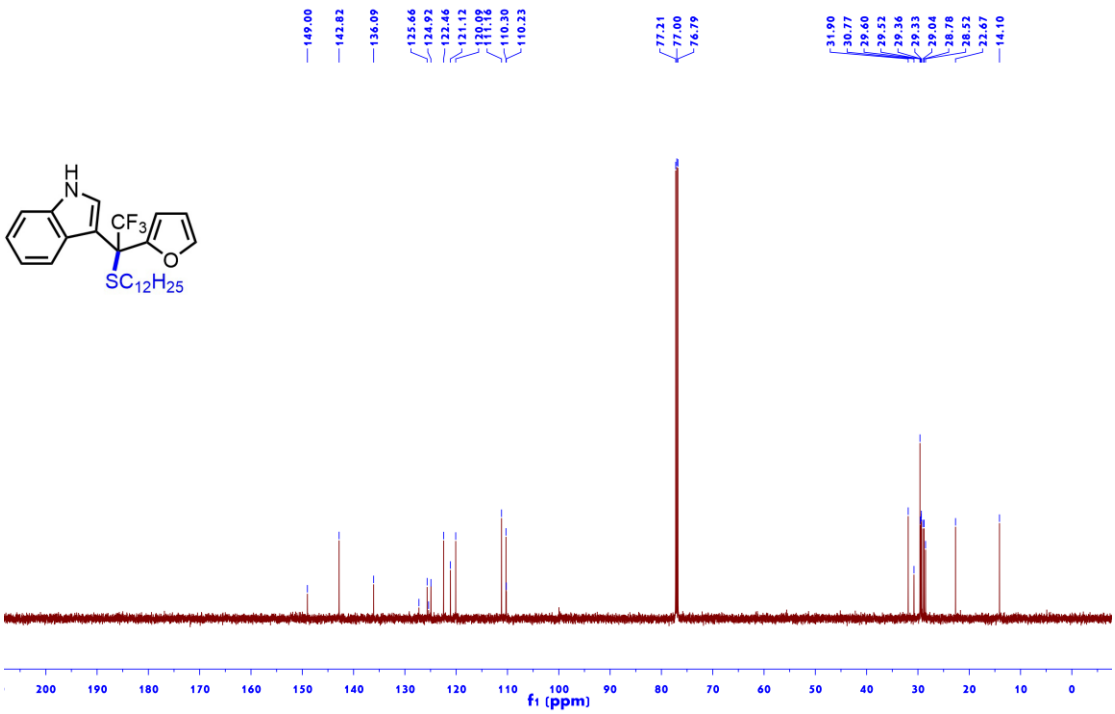


Figure S48 ^{19}F NMR (376 MHz, $CDCl_3$) of 3aa

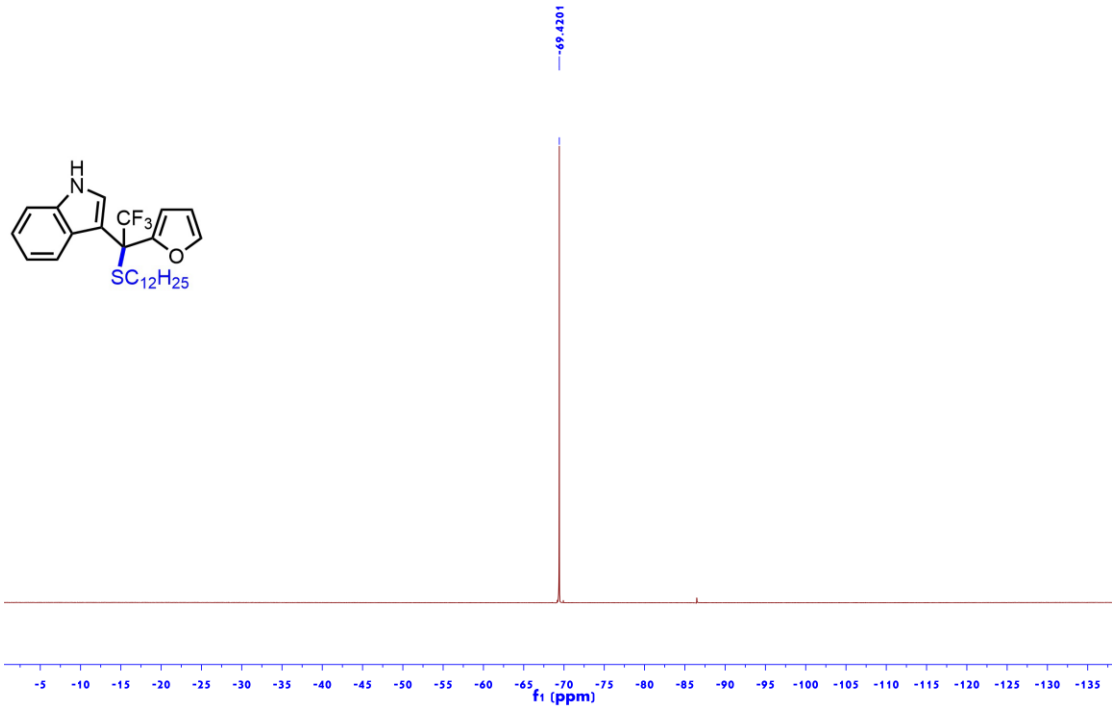


Figure S49 ^{1}H NMR (600 MHz, $CDCl_3$) of 4aa

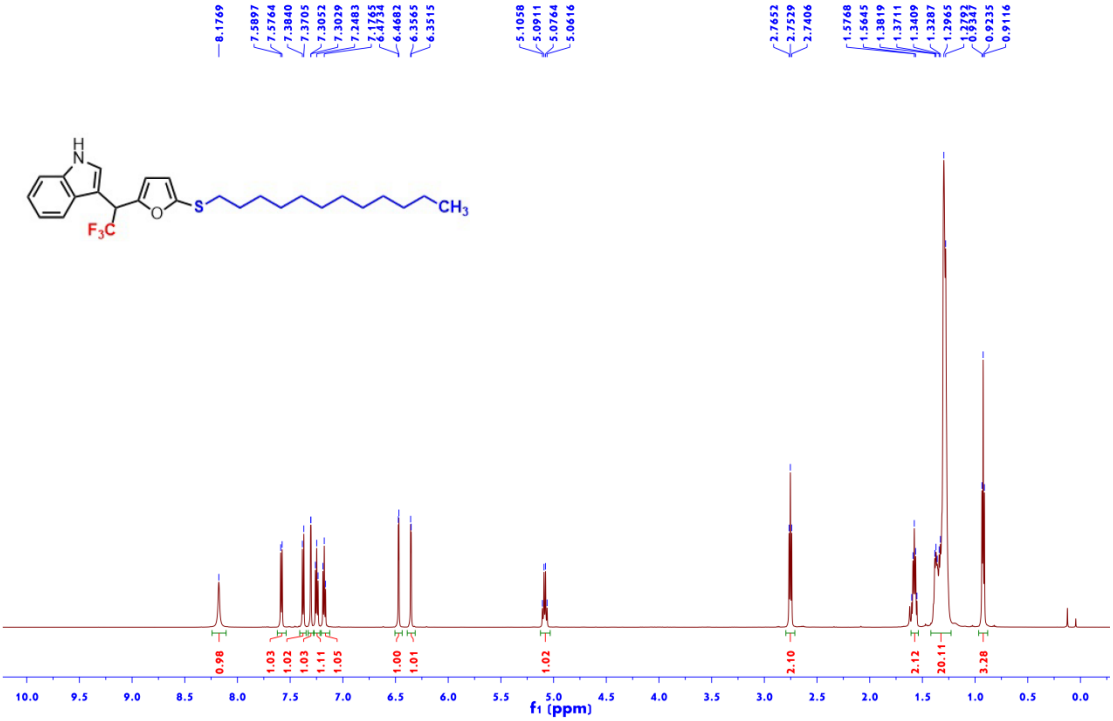


Figure S50 ^{13}C NMR (150 MHz, $CDCl_3$) of 4aa

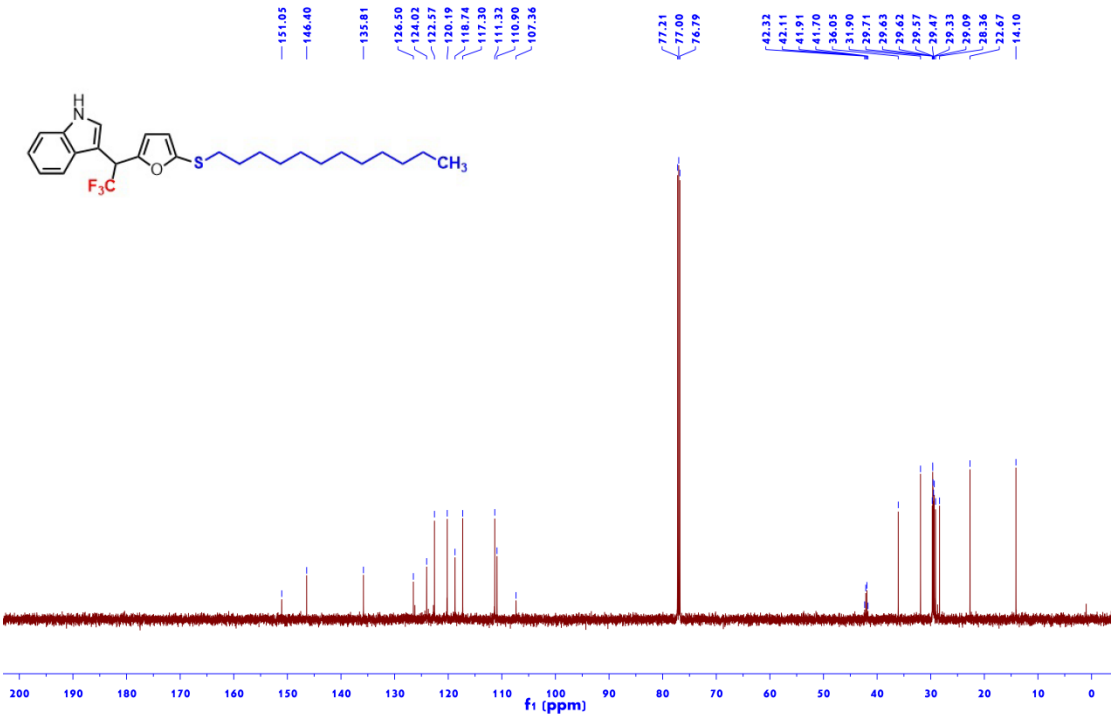


Figure S51 ^{19}F NMR (565 MHz, $CDCl_3$) of 4aa

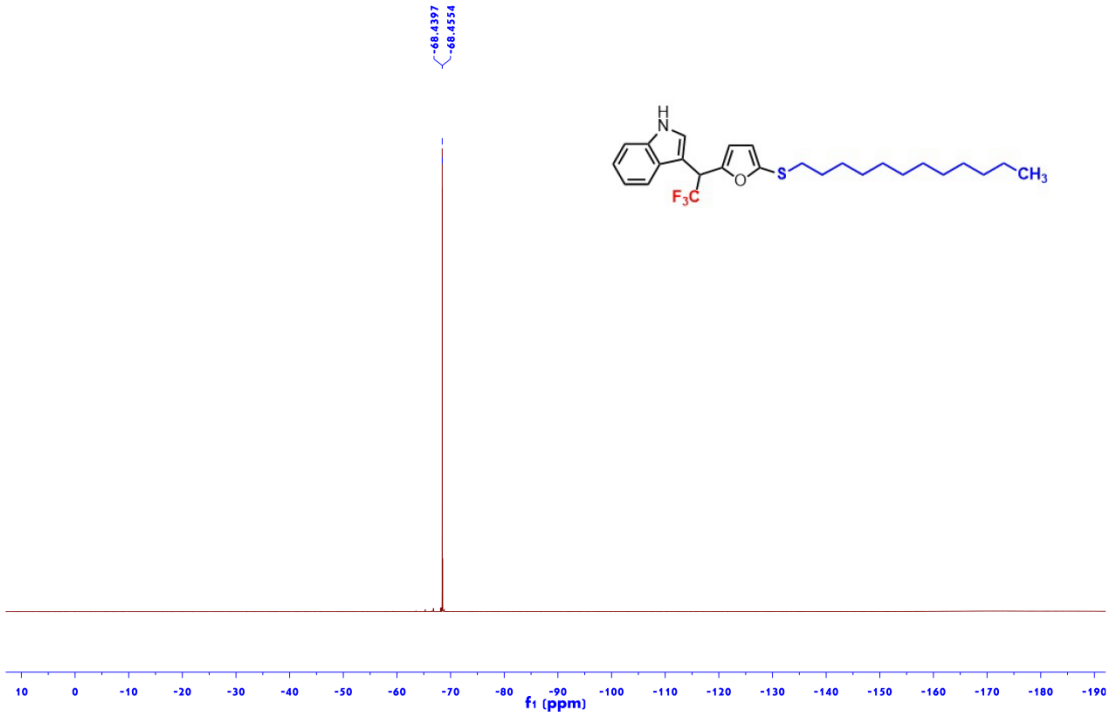


Figure S52 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ab

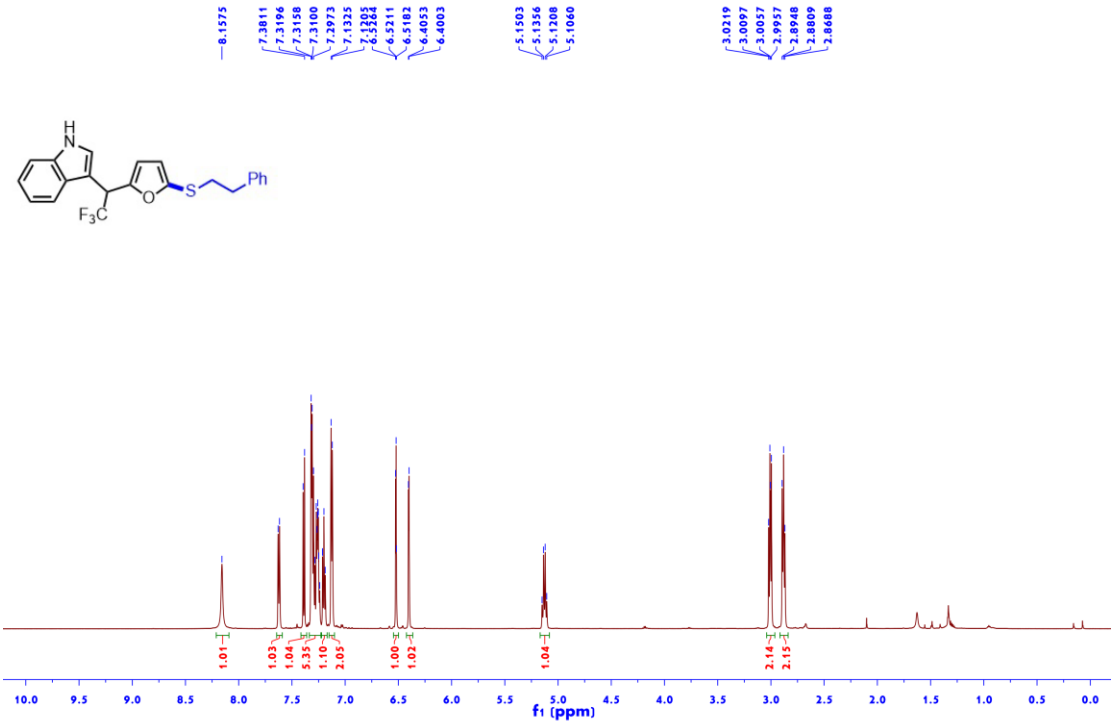


Figure S53 ^{13}C NMR (150 MHz, $CDCl_3$) of 4ab

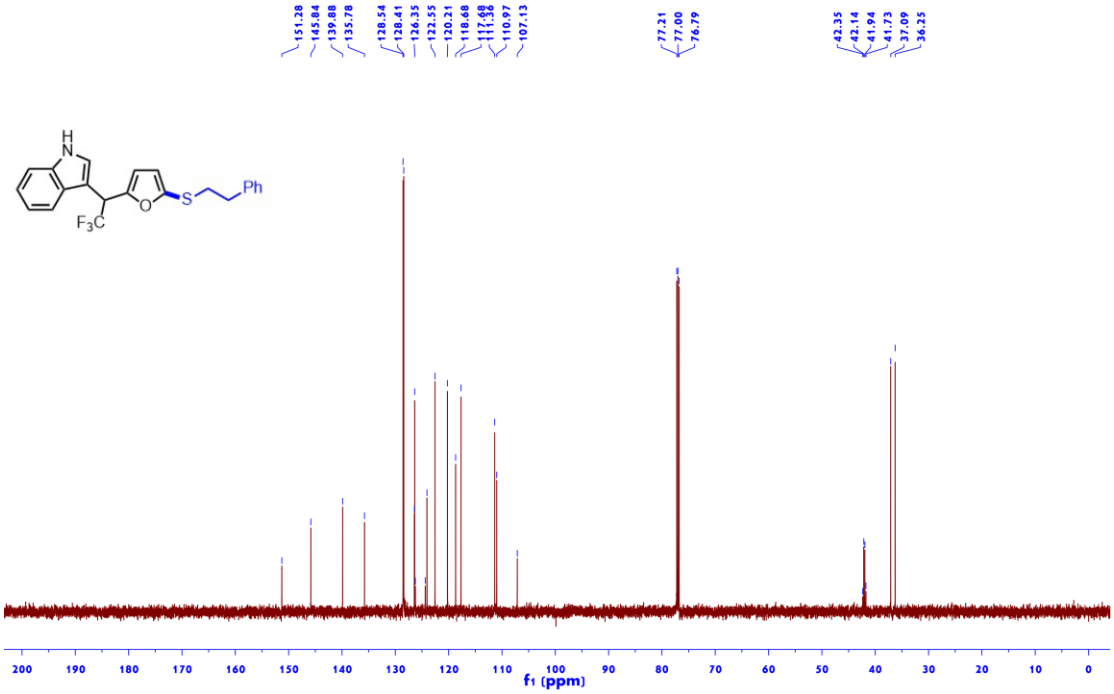


Figure S54 ^{19}F NMR (565 MHz, $CDCl_3$) of 4ab

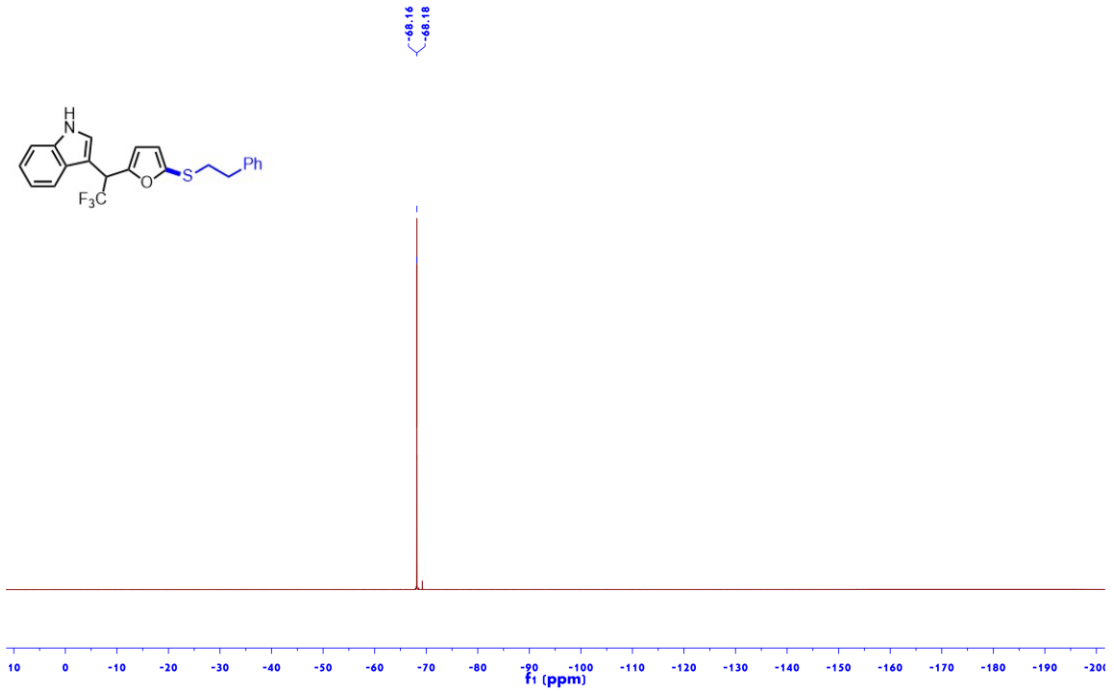


Figure S55 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ac

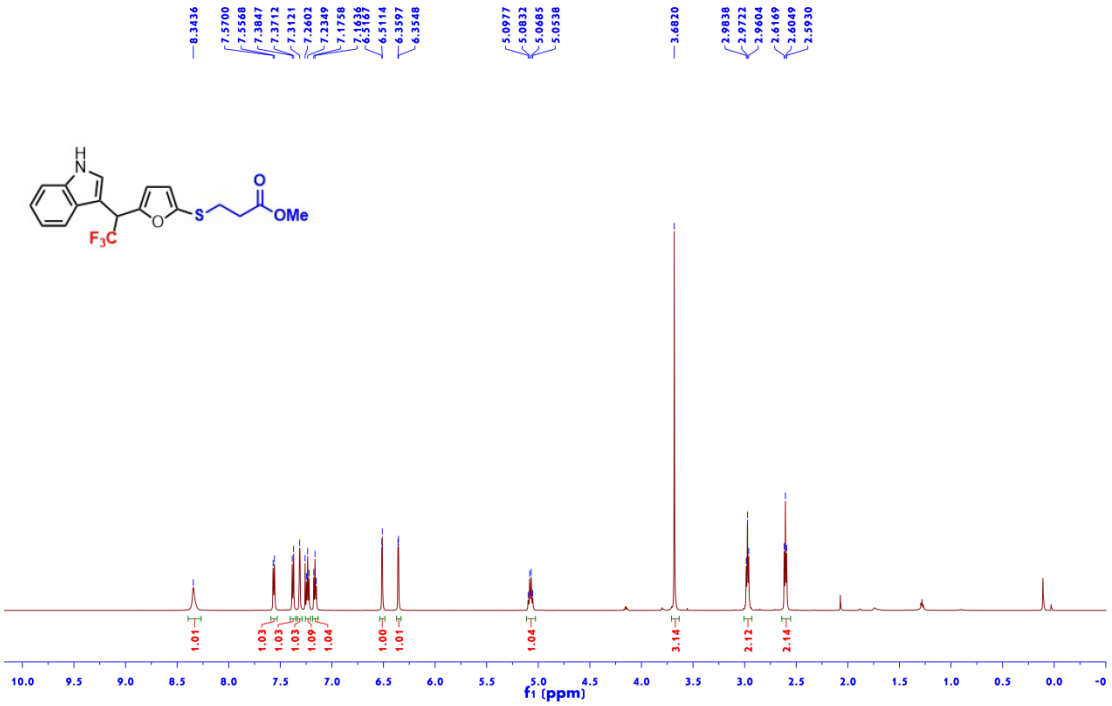


Figure S56 ^{13}C NMR (150 MHz, $CDCl_3$) of 4ac

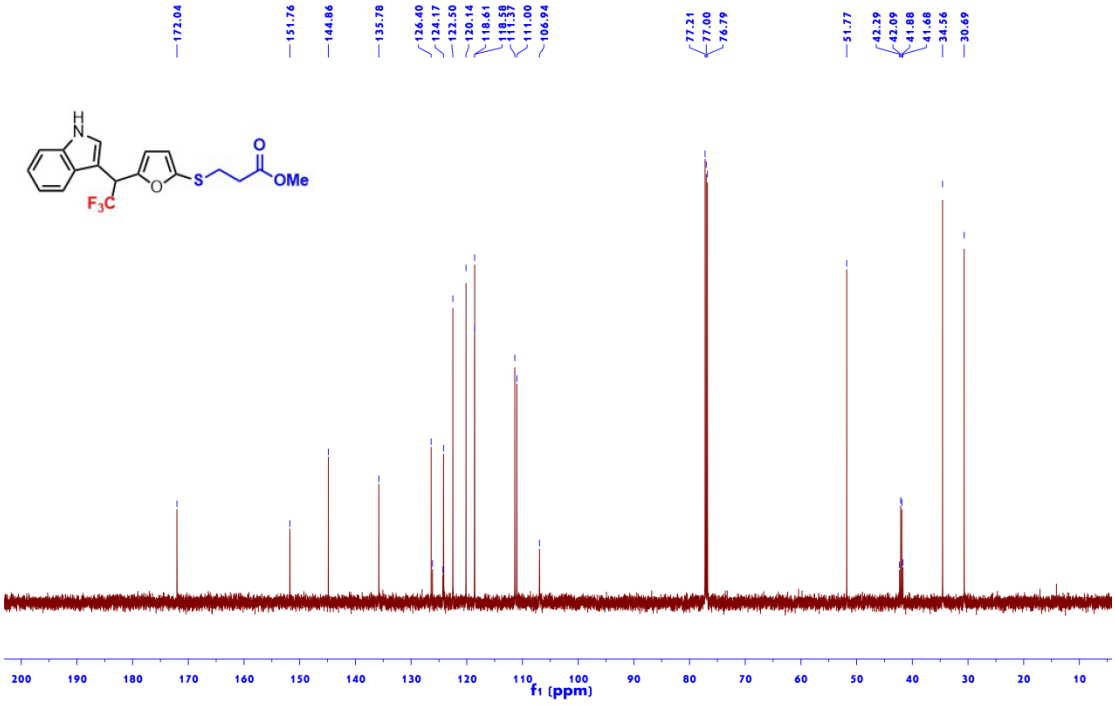


Figure S57 ^{19}F NMR (565 MHz, $CDCl_3$) of 4ac

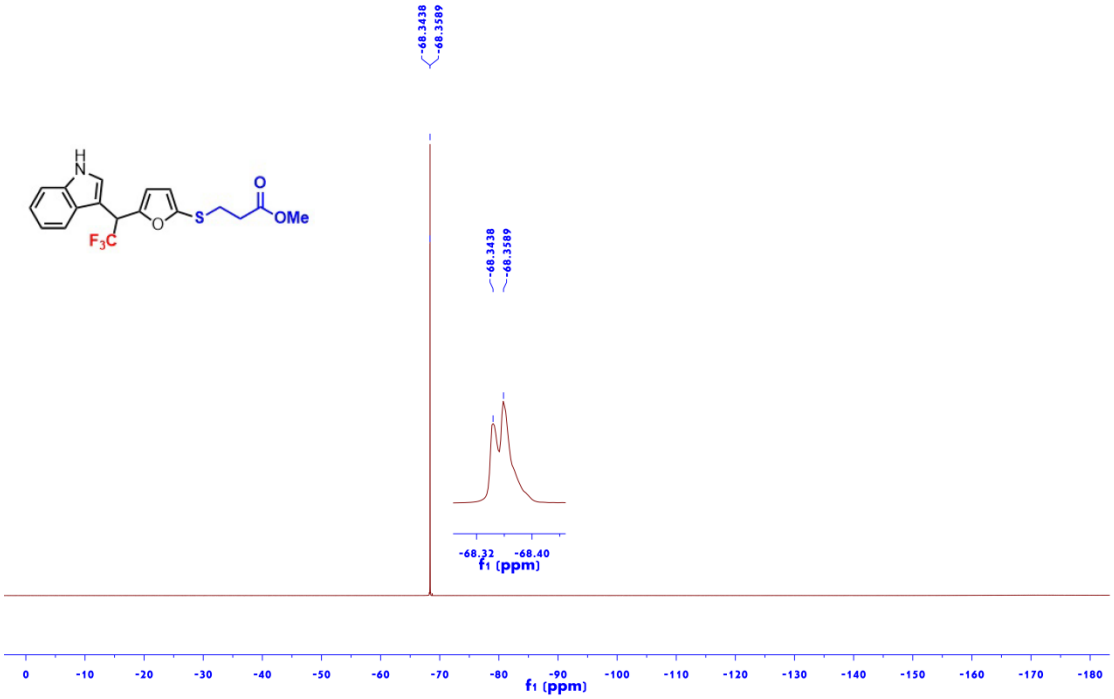


Figure S58 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ad

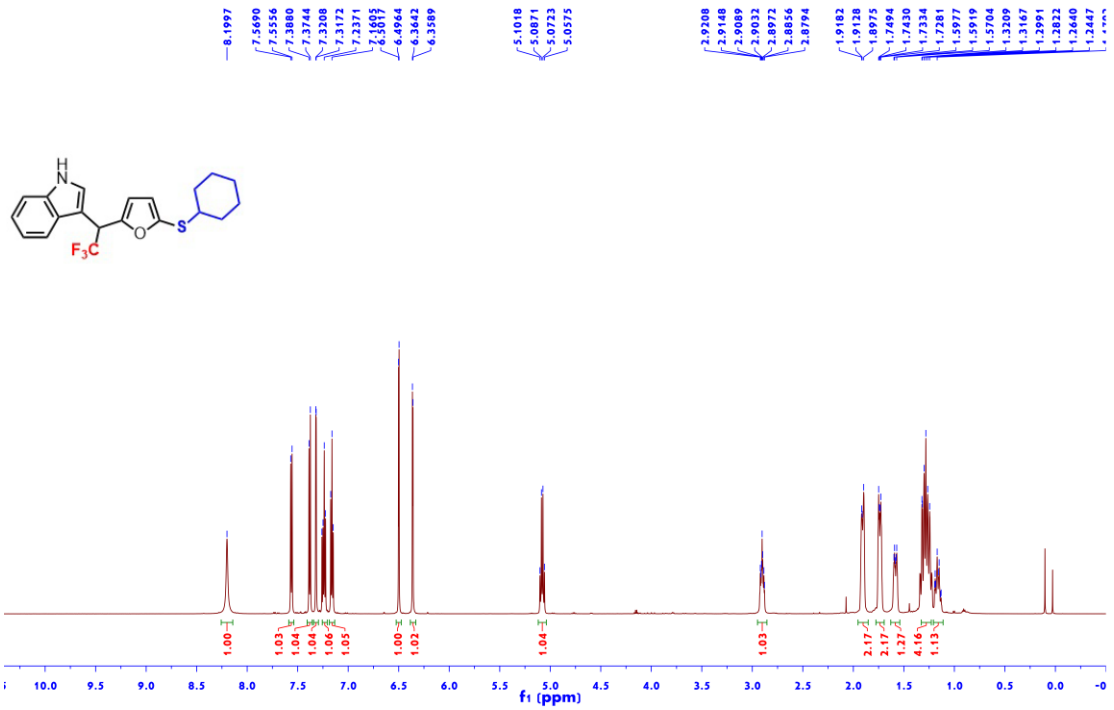


Figure S59 ^{13}C NMR (150 MHz, $CDCl_3$) of 4ad

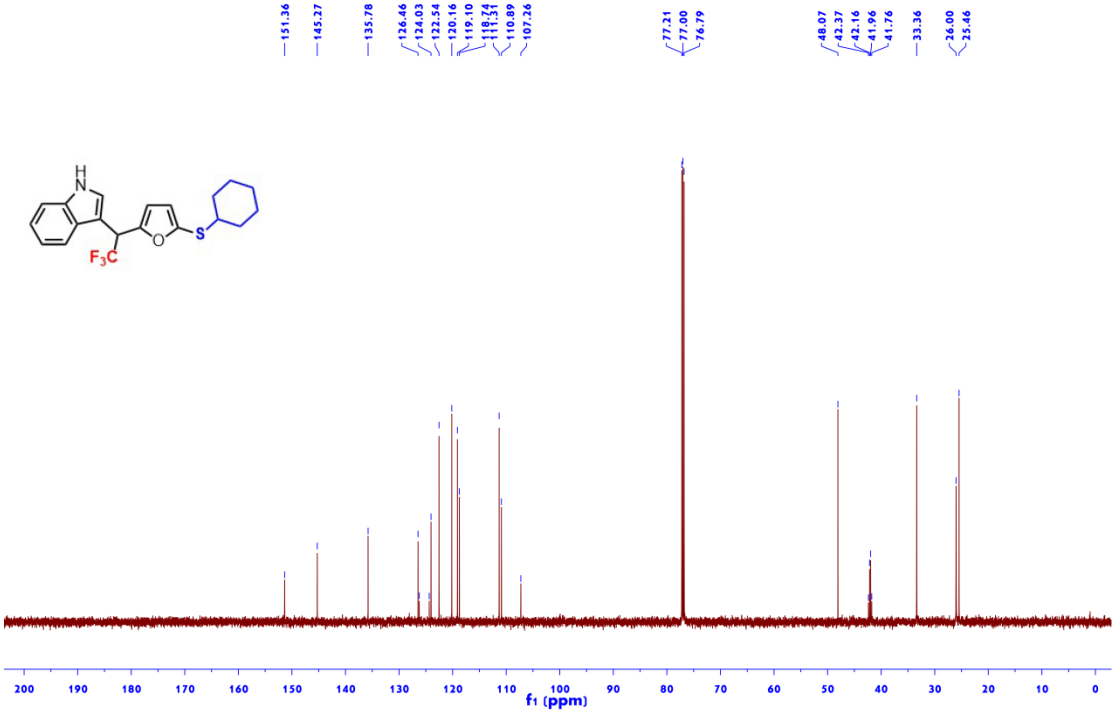


Figure S60 ^{19}F NMR (565 MHz, $CDCl_3$) of 4ad

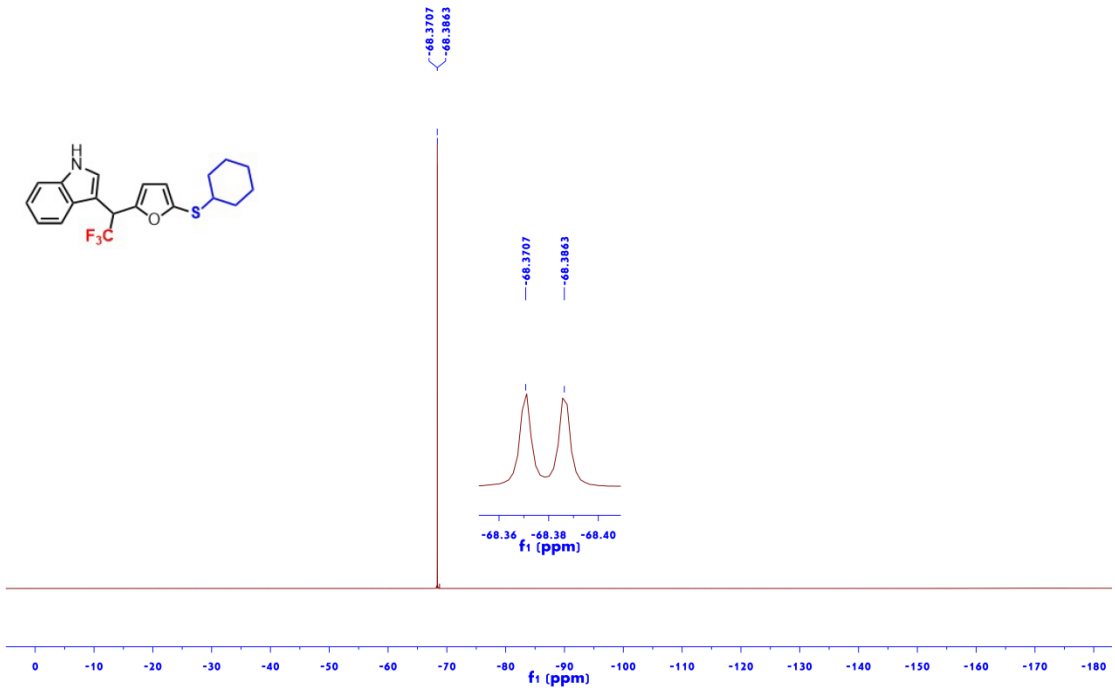


Figure S61 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ae

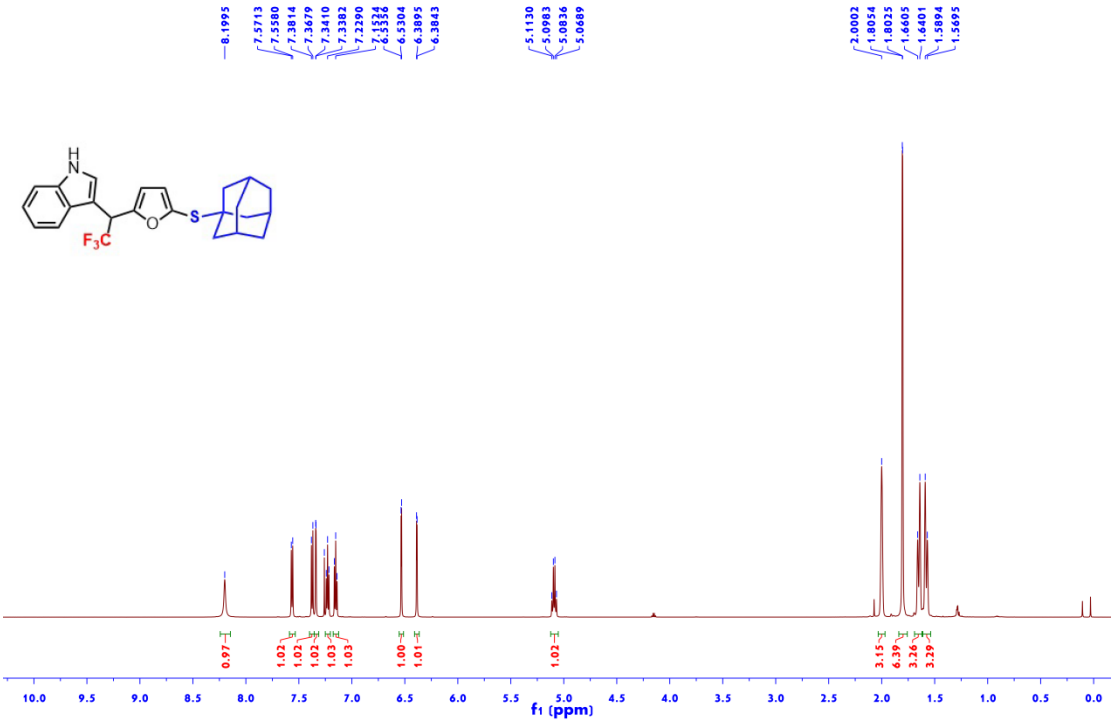


Figure S62 ^{13}C NMR (150 MHz, $CDCl_3$) of 4ae

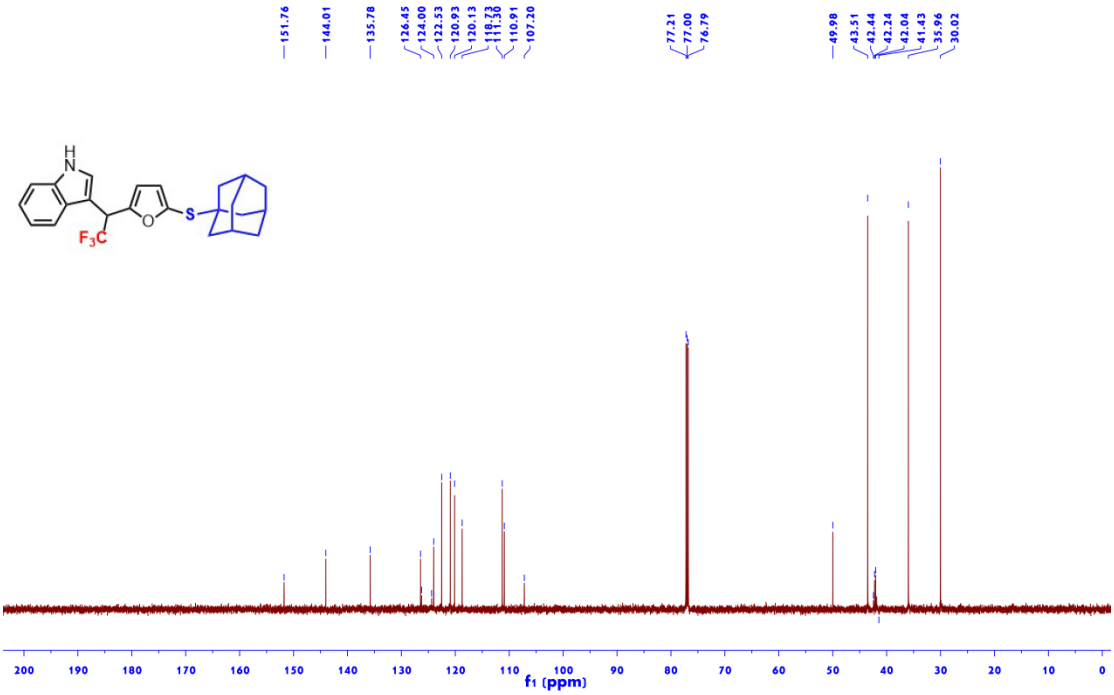


Figure S63 ^{19}F NMR (565 MHz, $CDCl_3$) of 4ae

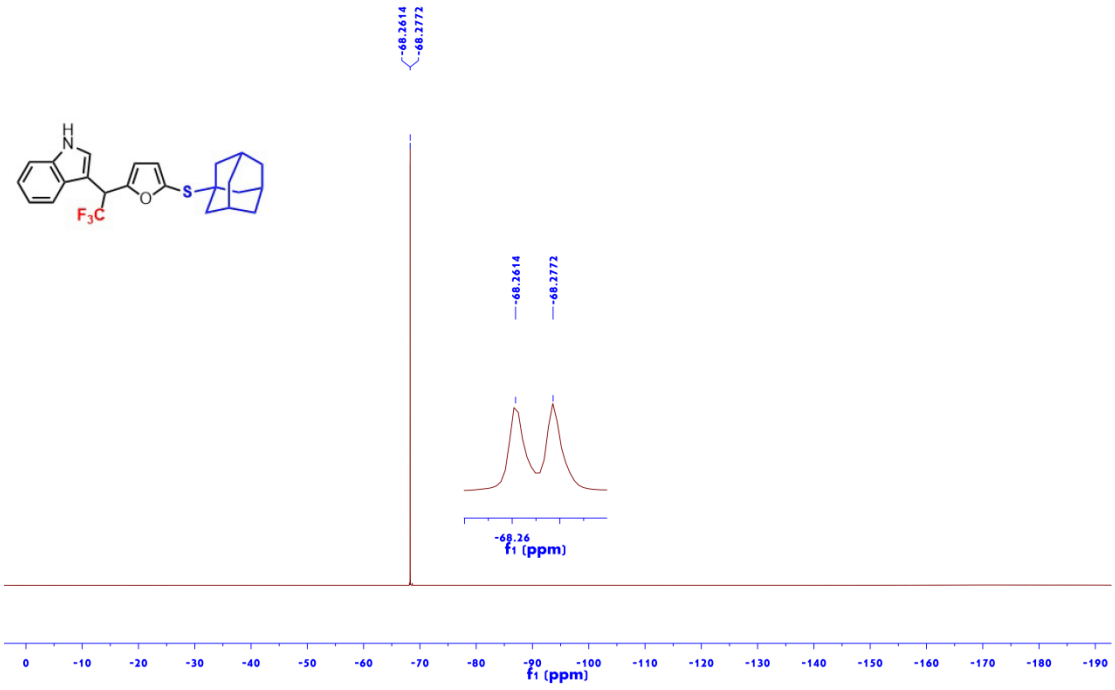


Figure S64 ^{1}H NMR (600 MHz, $CDCl_3$) of 4af

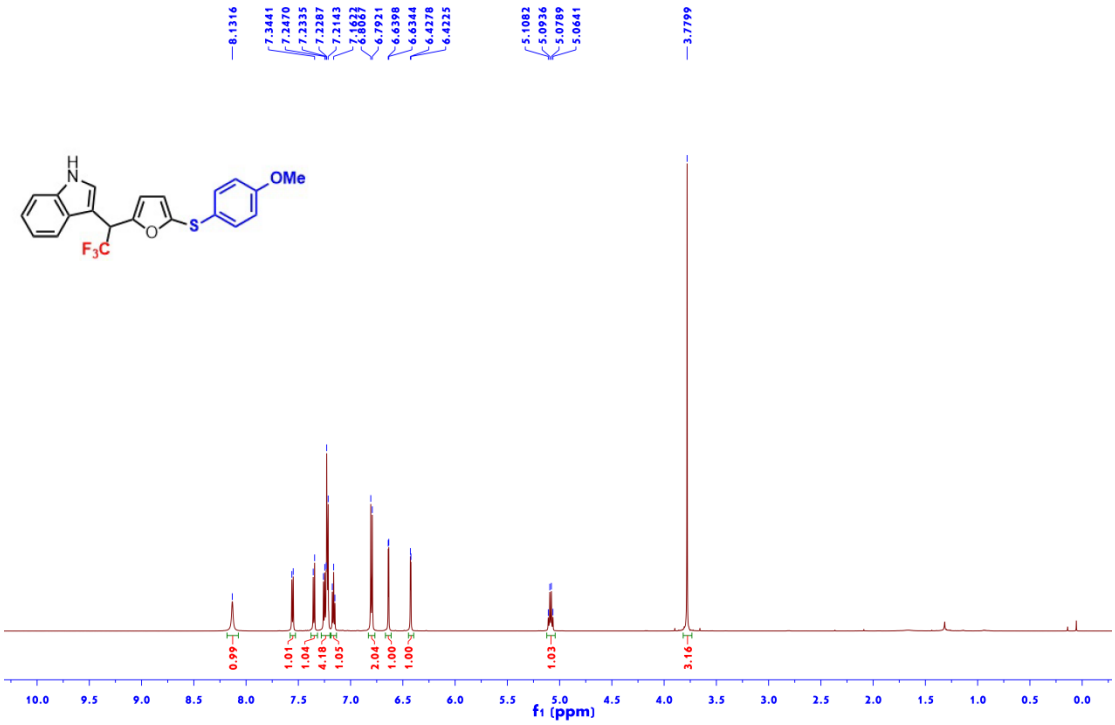


Figure S65 ^{13}C NMR (150 MHz, $CDCl_3$) of 4af

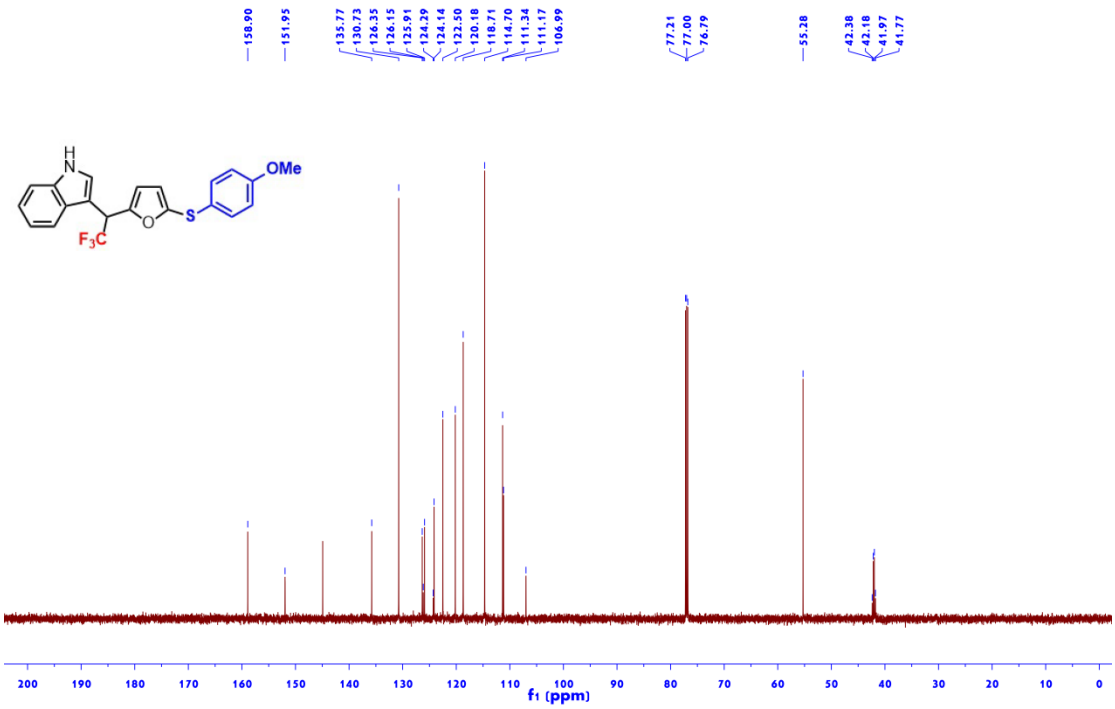


Figure S66 ^{19}F NMR (565 MHz, $CDCl_3$) of 4af

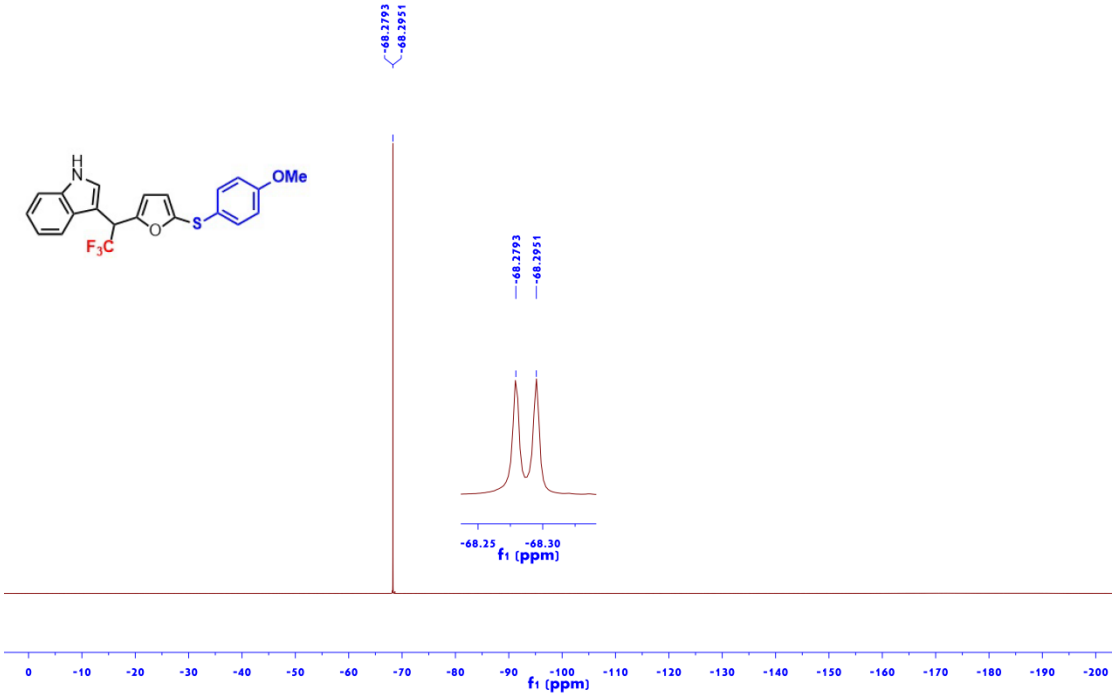


Figure S67 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ag

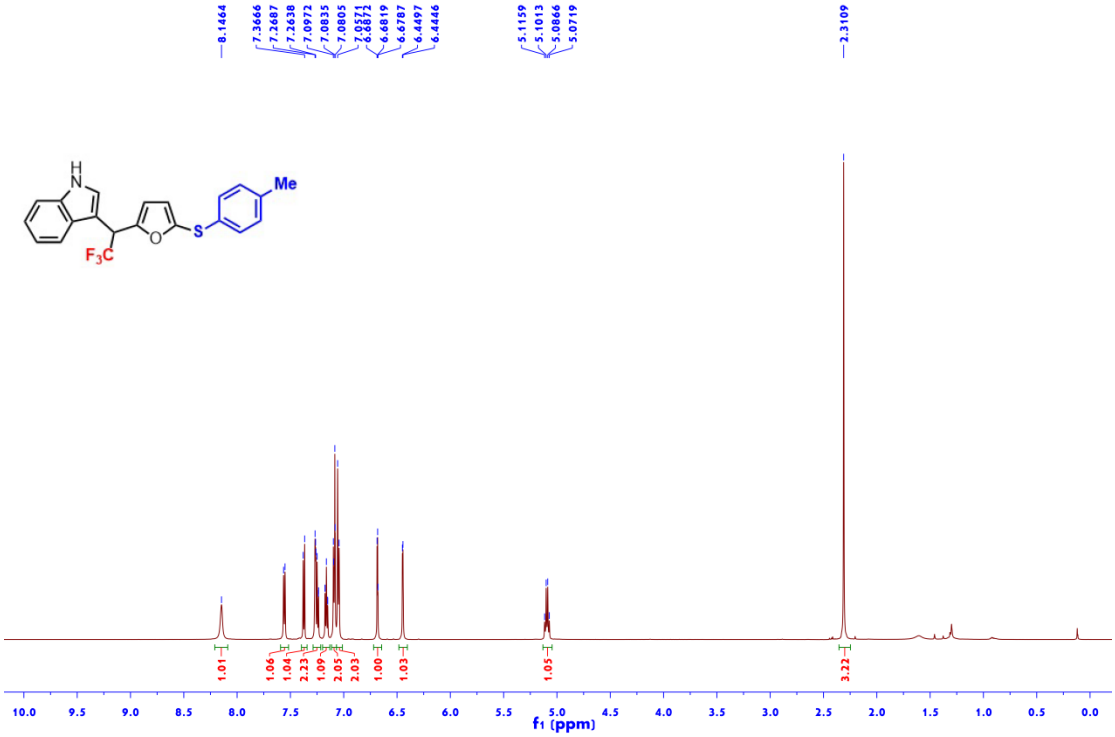


Figure S68 ^{13}C NMR (150 MHz, $CDCl_3$) of 4ag

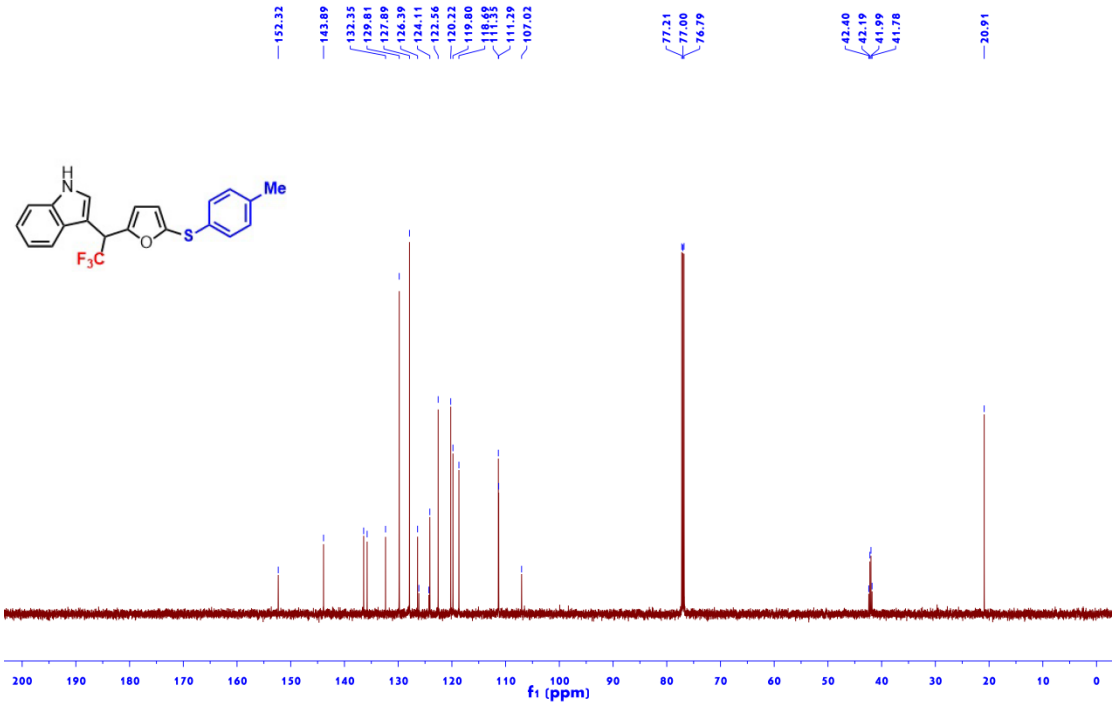


Figure S69 ^{19}F NMR (565 MHz, $CDCl_3$) of 4ag

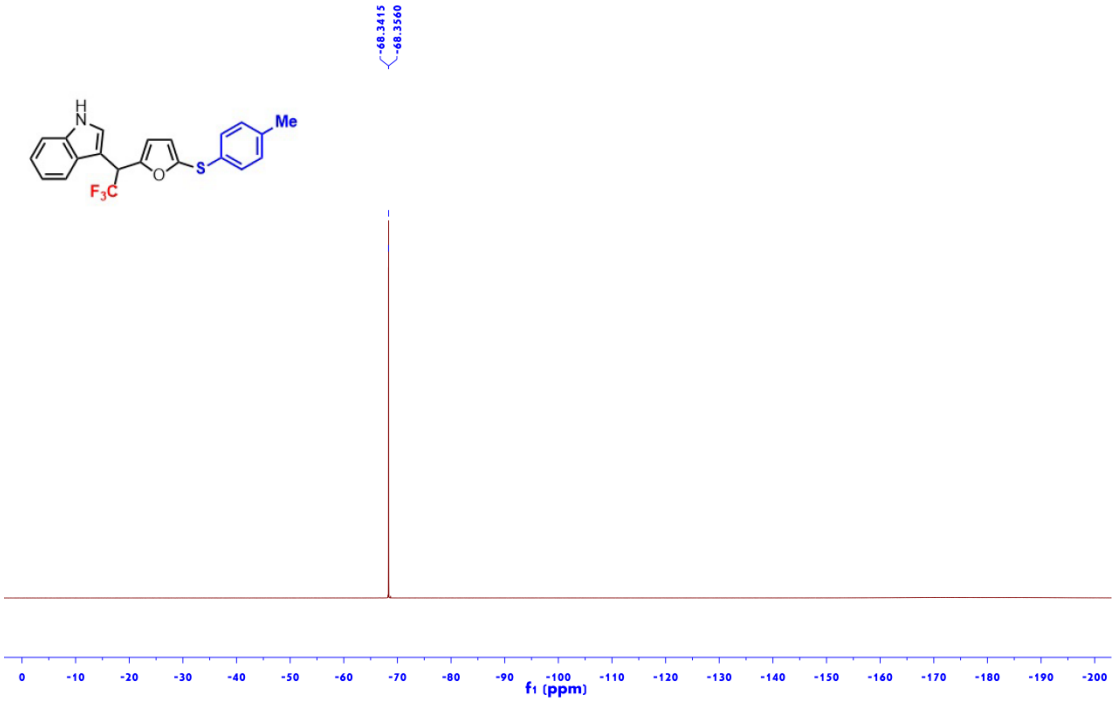


Figure S70 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ah

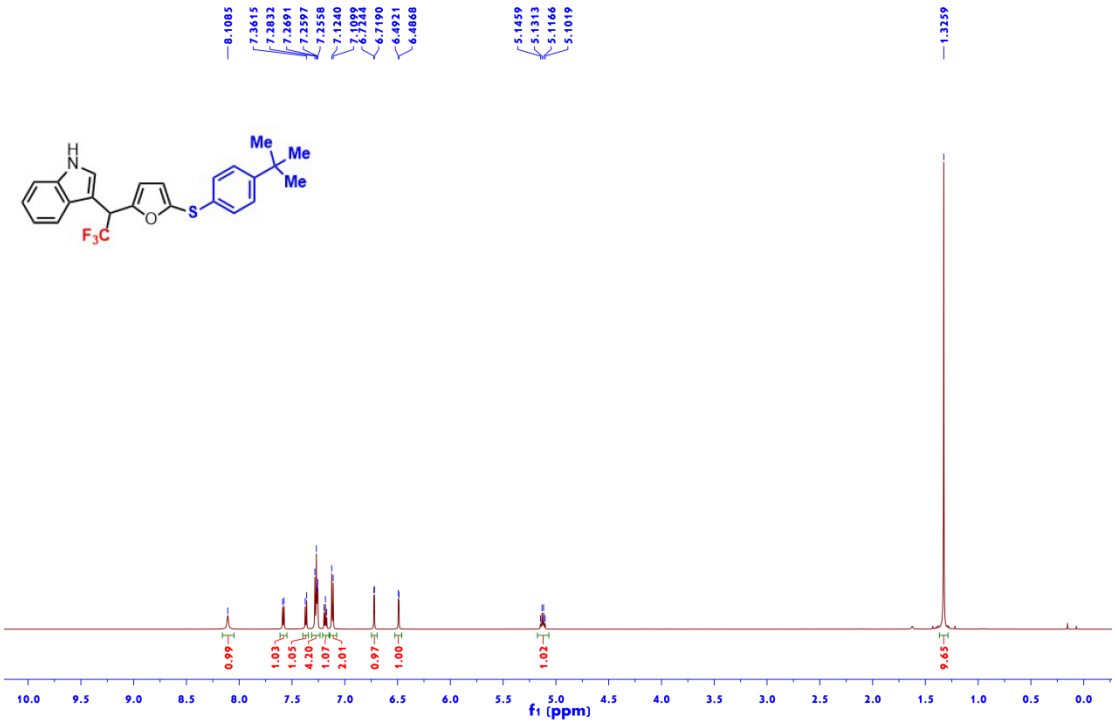


Figure S71 ^{13}C NMR (150 MHz, $CDCl_3$) of 4ah

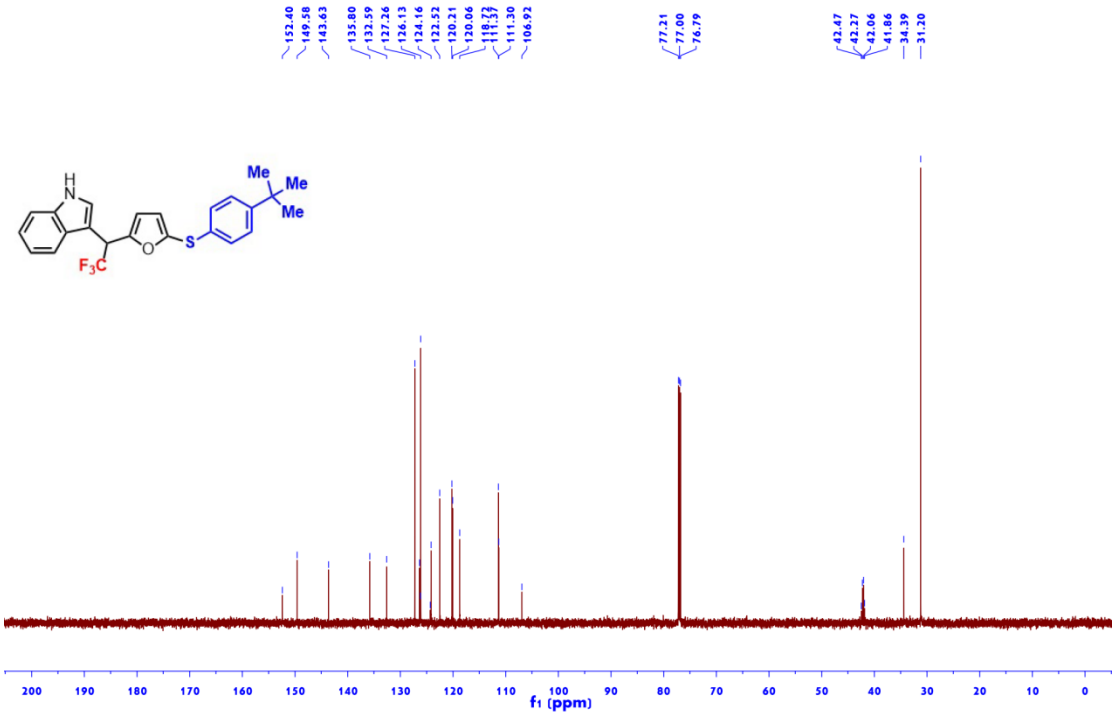


Figure S72 ^{19}F NMR (565 MHz, $CDCl_3$) of 4ah

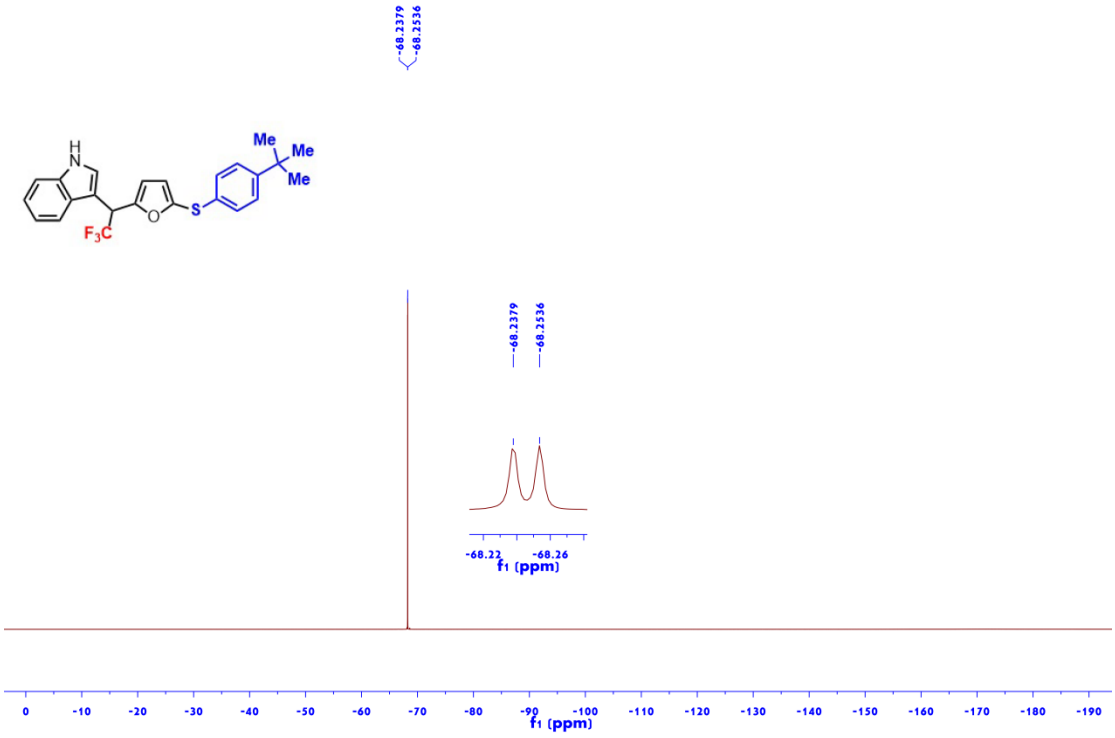


Figure S73 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ai

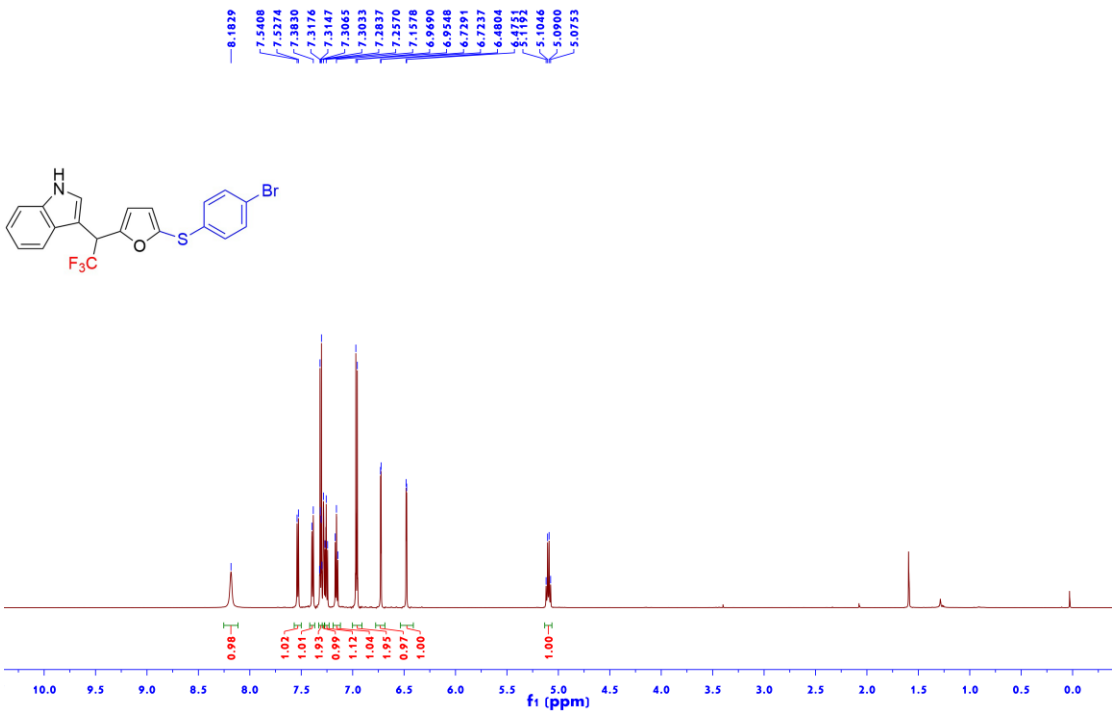


Figure S74 ^{13}C NMR (150 MHz, $CDCl_3$) of 4ai

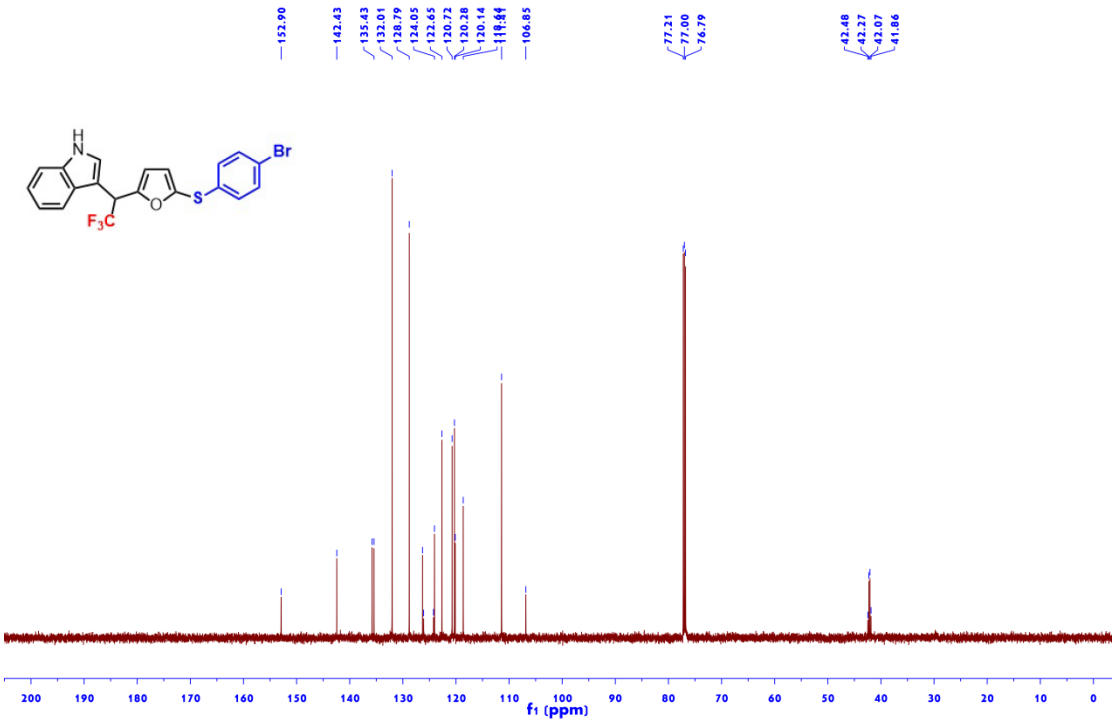


Figure S75 ^{19}F NMR (565 MHz, $CDCl_3$) of 4ai

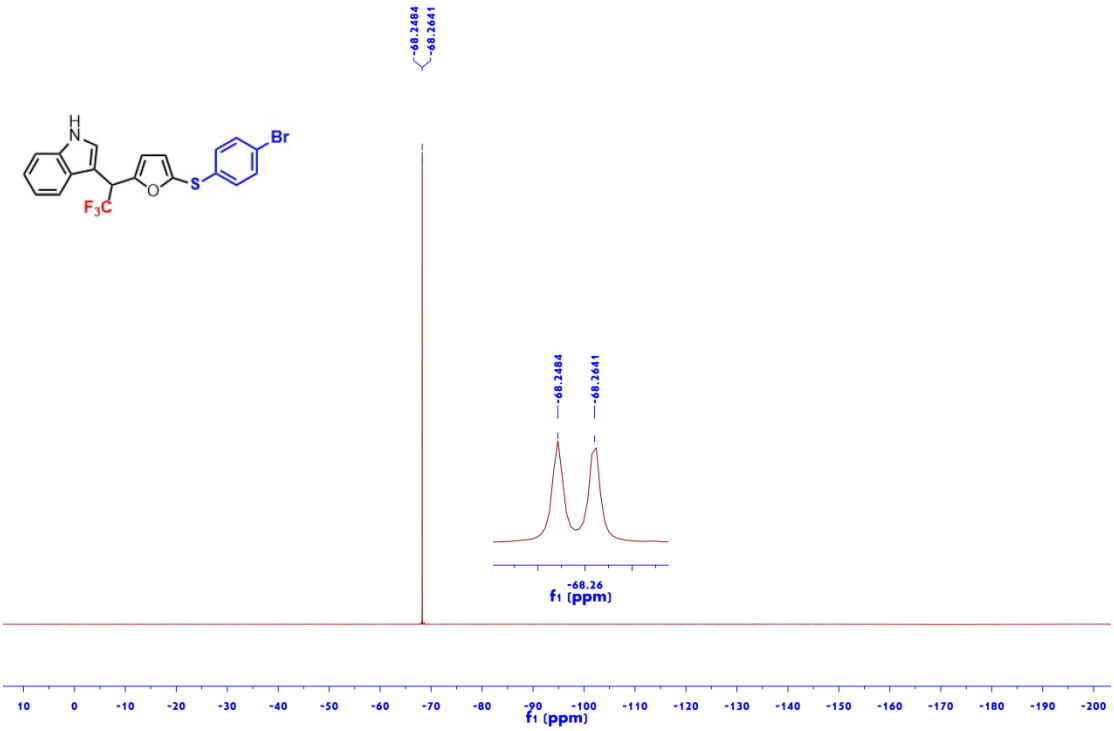


Figure S76 ^{1}H NMR (600 MHz, $CDCl_3$) of 4aj

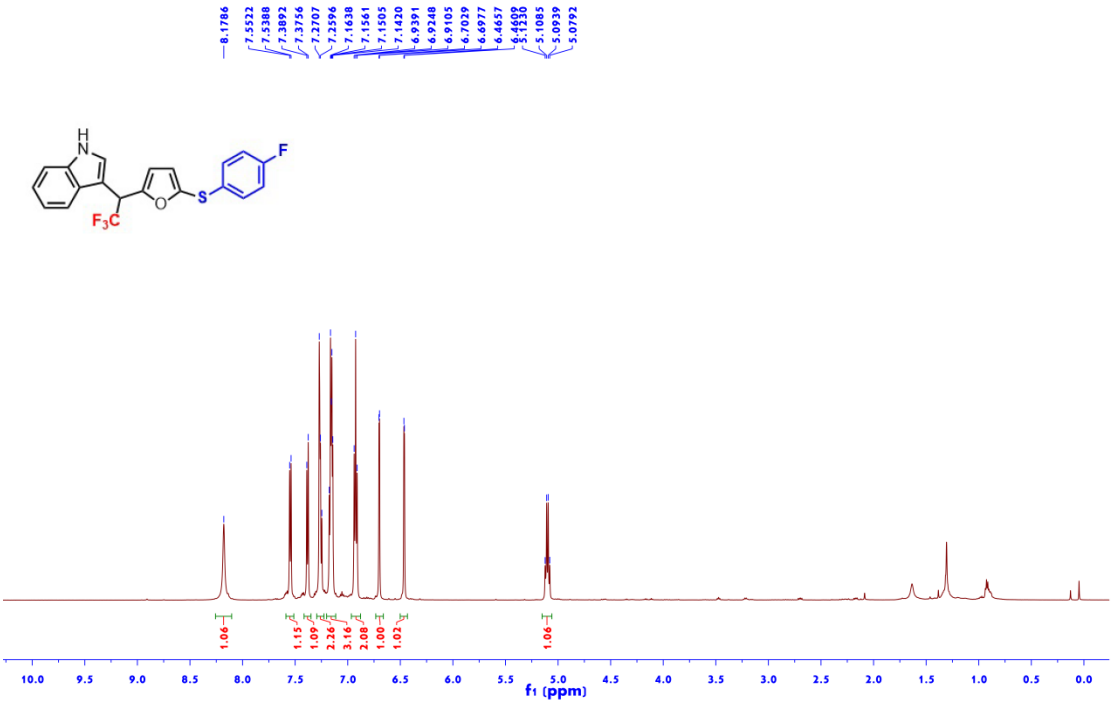


Figure S77 ^{13}C NMR (150 MHz, $CDCl_3$) of 4aj

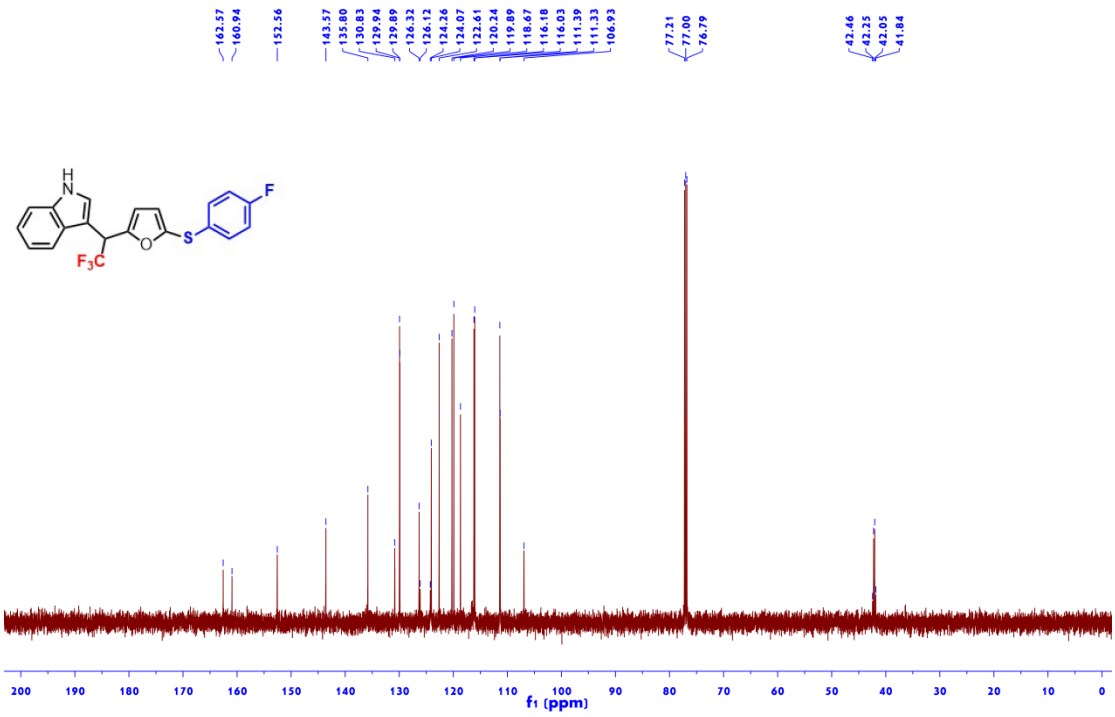


Figure S78 ^{19}F NMR (565 MHz, $CDCl_3$) of 4aj

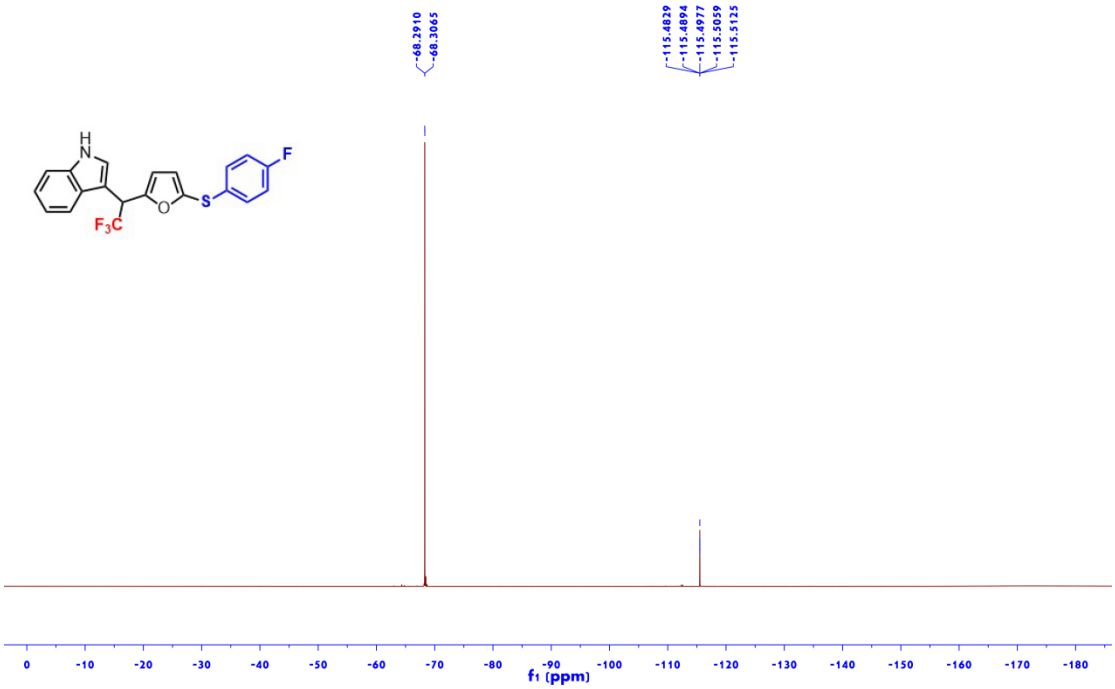


Figure S79 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ak

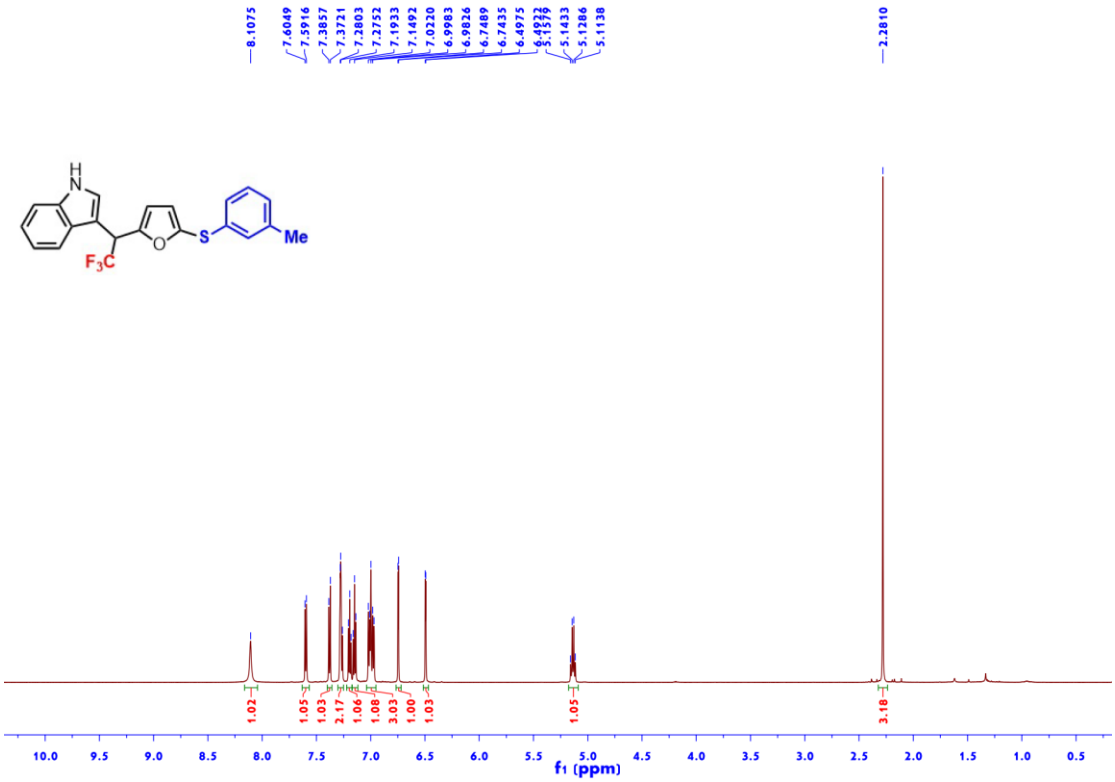


Figure S80 ^{13}C NMR (150 MHz, $CDCl_3$) of 4ak

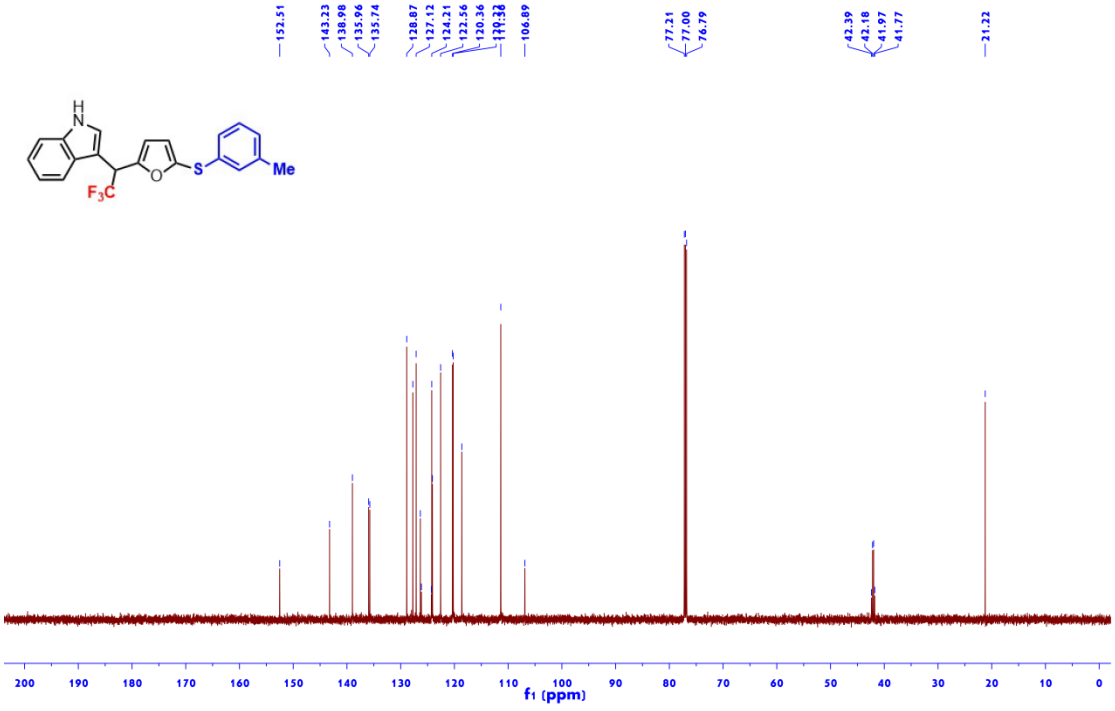


Figure S81 ^{19}F NMR (565 MHz, $CDCl_3$) of 4ak

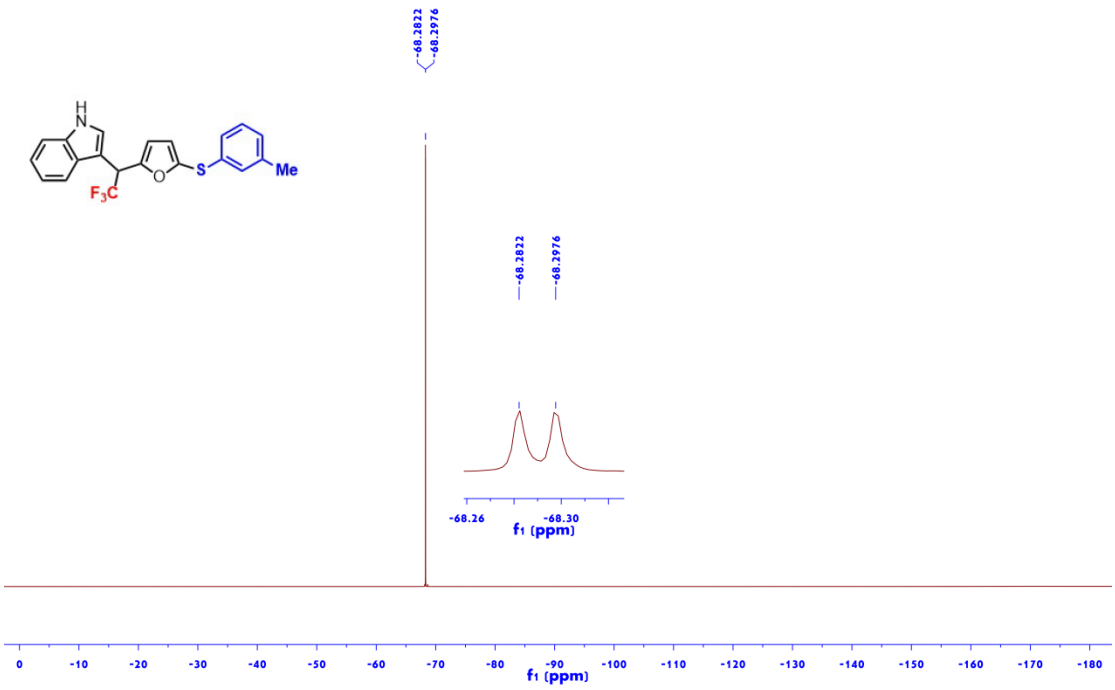


Figure S82 ^{1}H NMR (600 MHz, $CDCl_3$) of 4bf

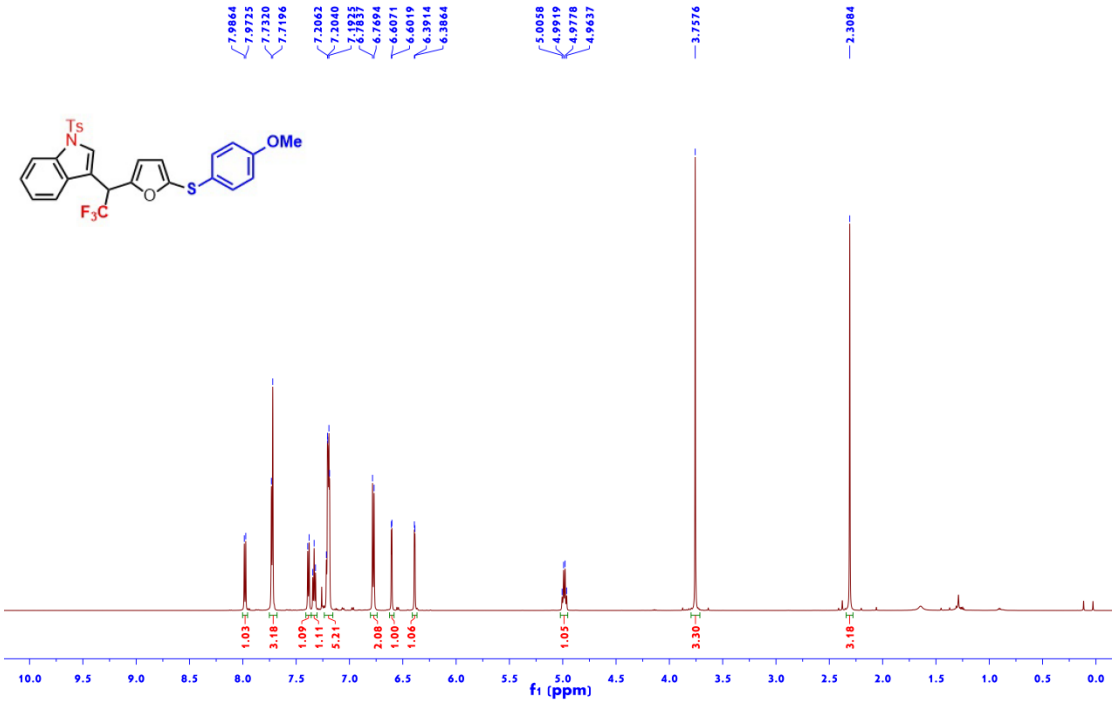


Figure S83 ^{13}C NMR (150 MHz, $CDCl_3$) of 4bf

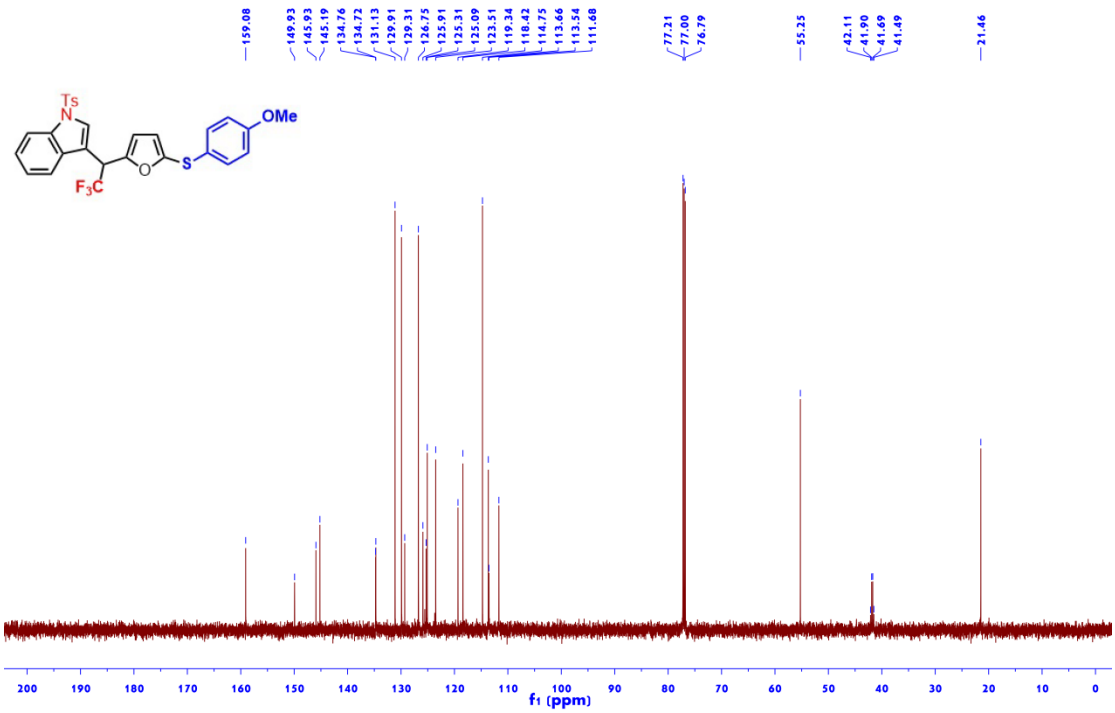


Figure S84 ^{19}F NMR (565 MHz, $CDCl_3$) of 4bf

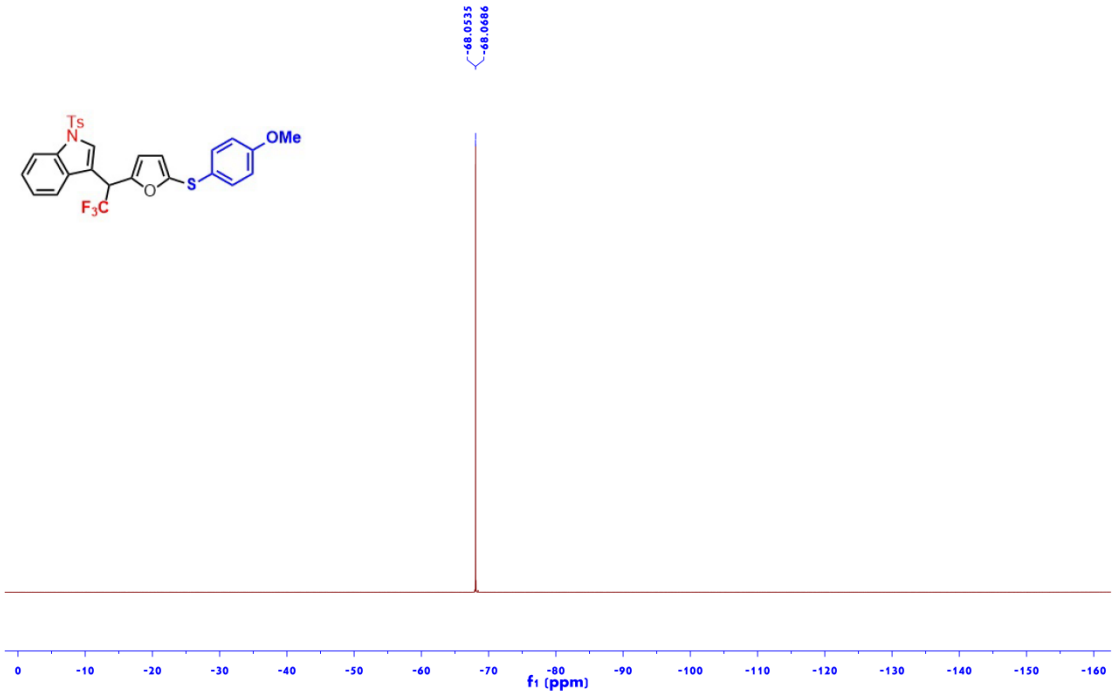


Figure S85 ^{1}H NMR (600 MHz, $CDCl_3$) of 4cf

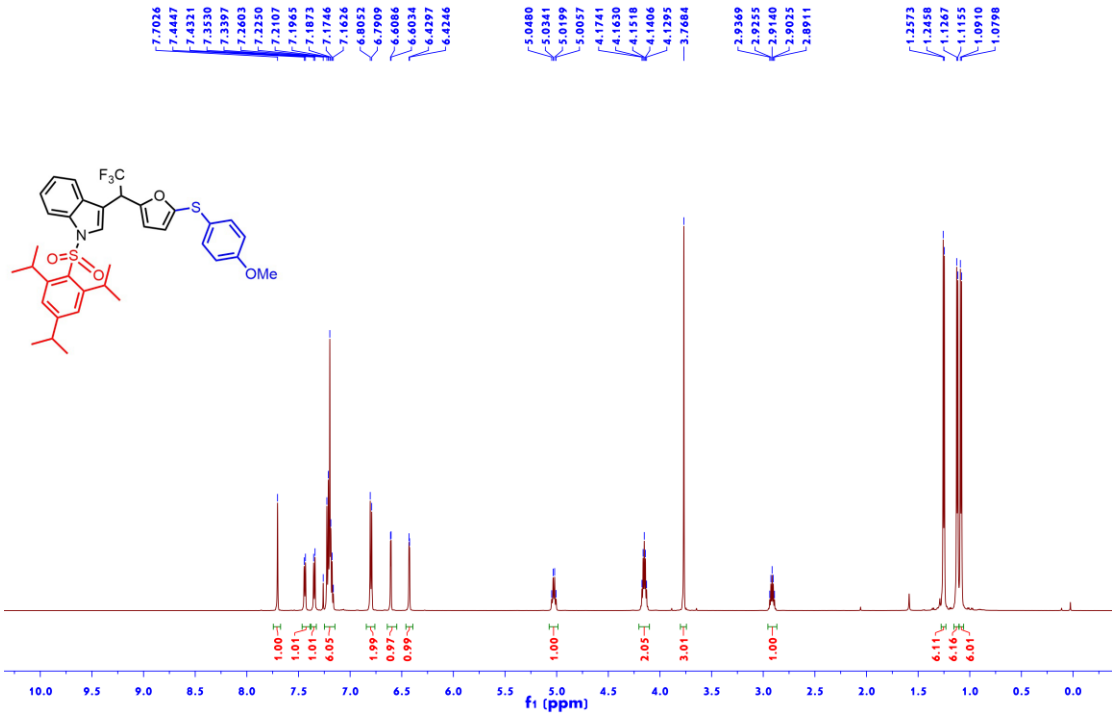


Figure S86 ^{13}C NMR (150 MHz, $CDCl_3$) of 4cf

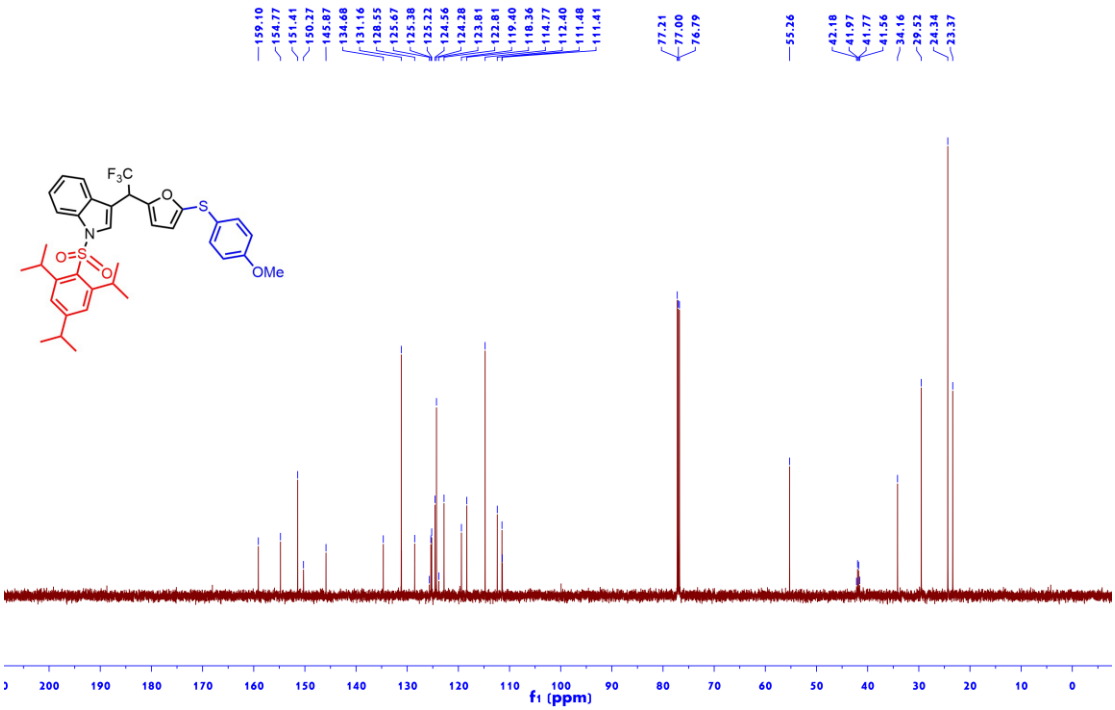


Figure S87 ^{19}F NMR (376 MHz, $CDCl_3$) of 4cf

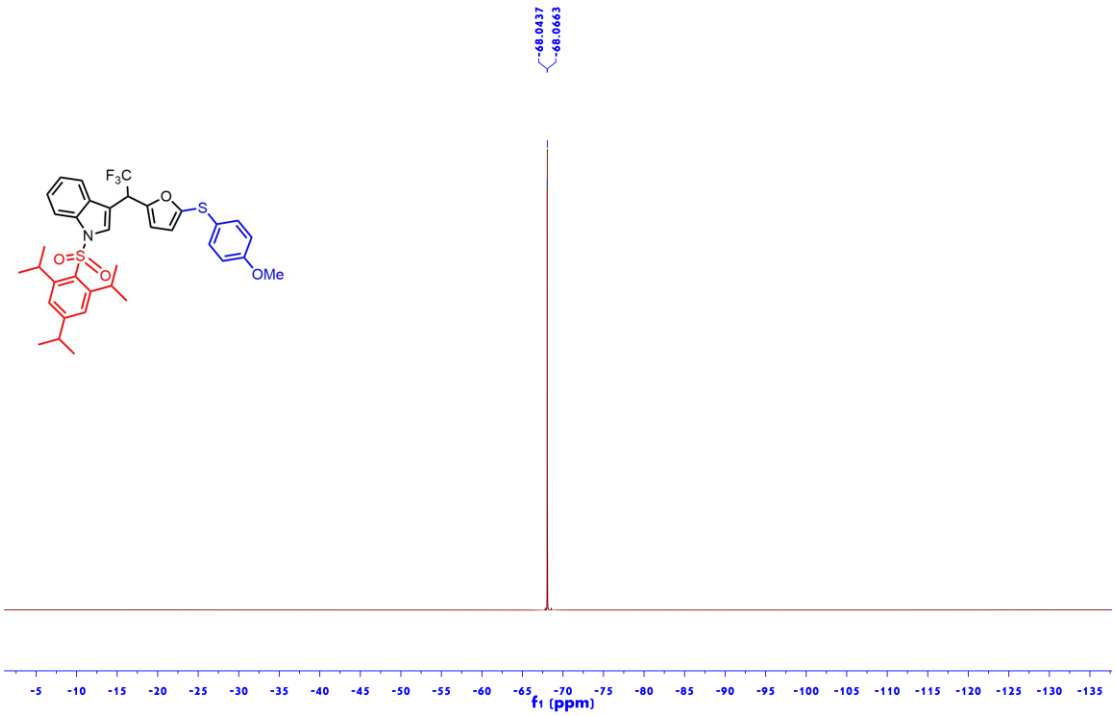


Figure S88 ^{1}H NMR (600 MHz, $CDCl_3$) of 4da

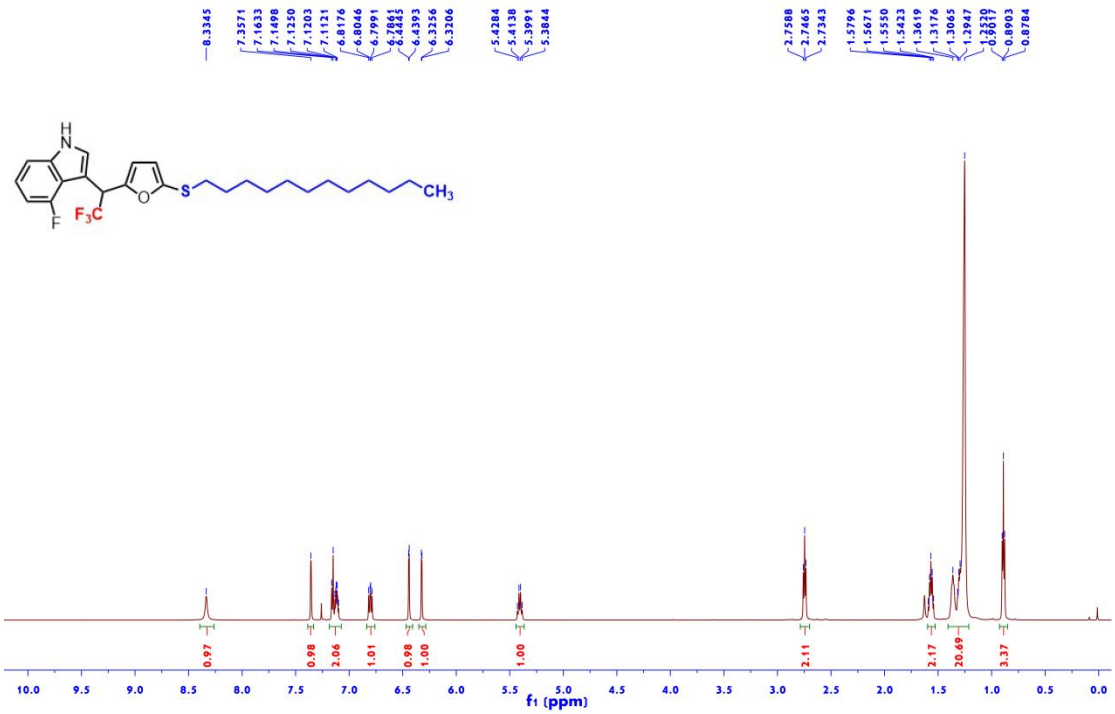


Figure S89 ^{13}C NMR (150 MHz, $CDCl_3$) of 4da

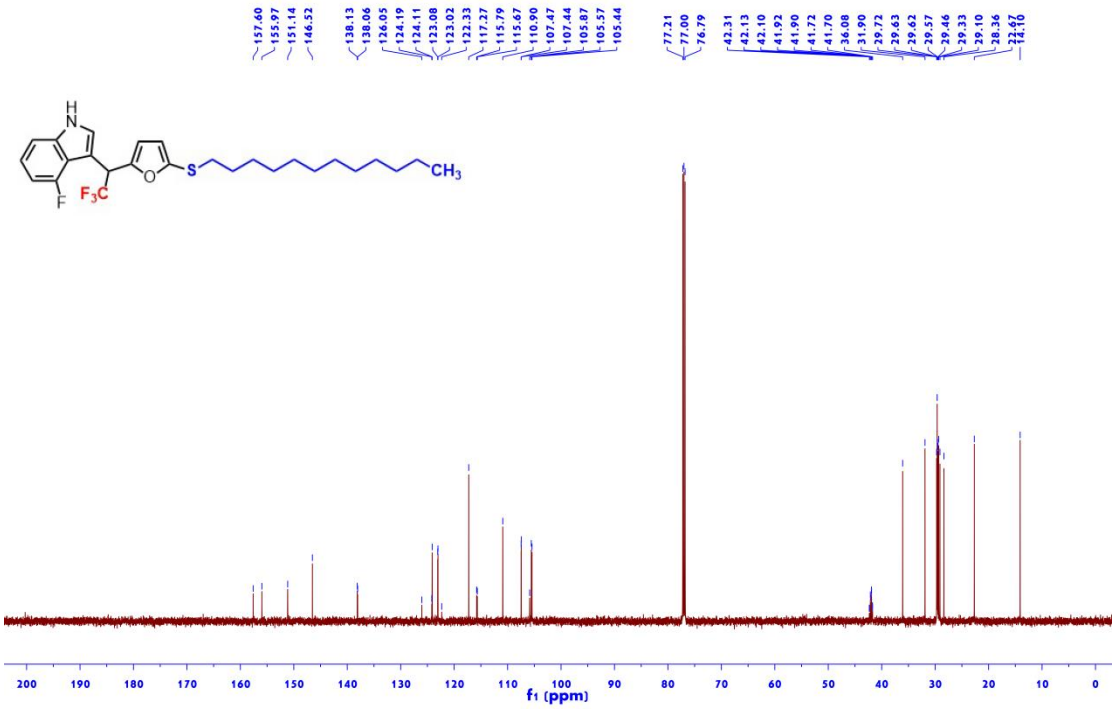


Figure S90 ^{19}F NMR (565 MHz, $CDCl_3$) of 4da

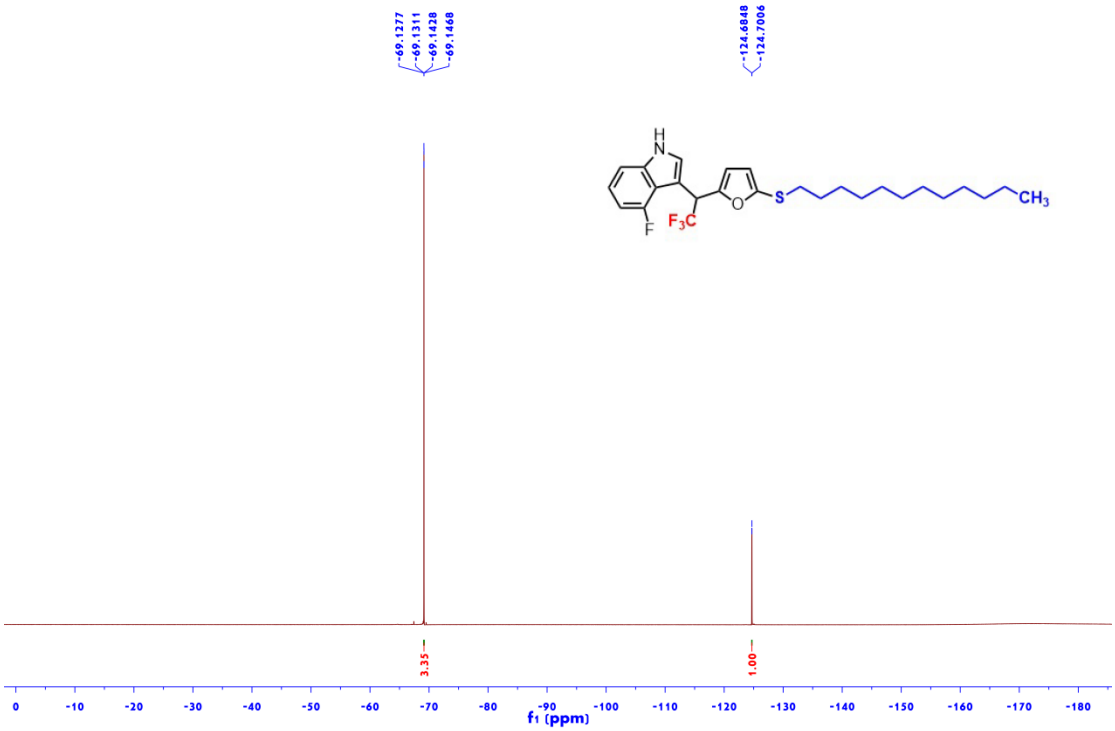


Figure S91 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ea

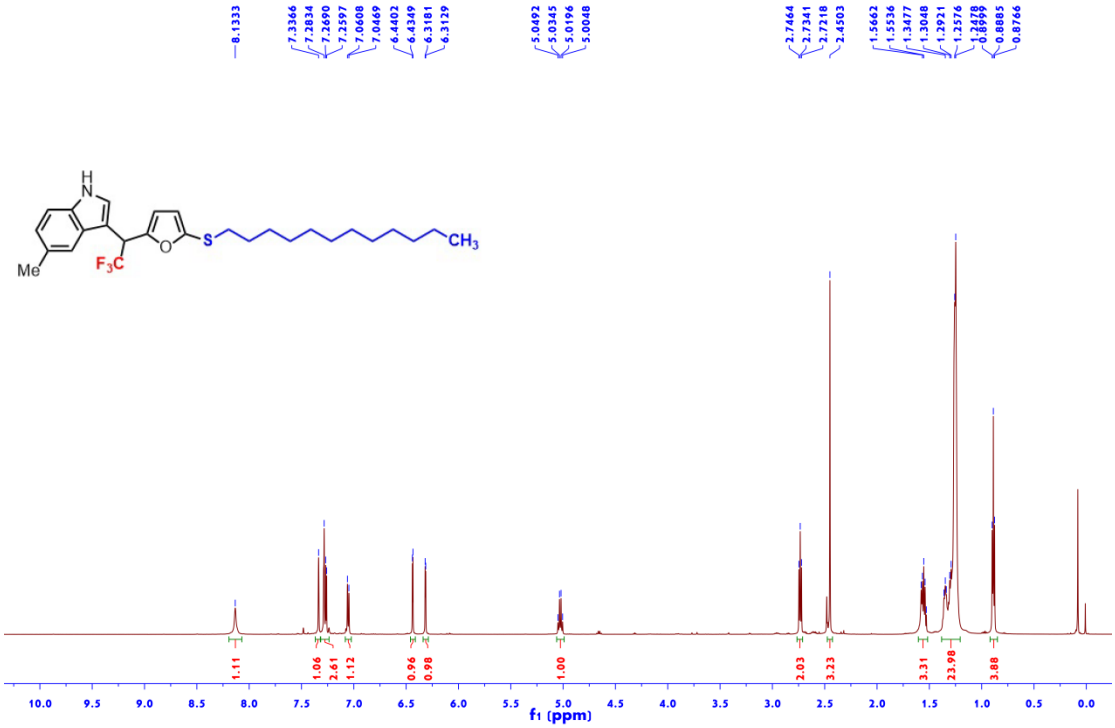


Figure S92 ^{13}C NMR (150 MHz, $CDCl_3$) of 4ea

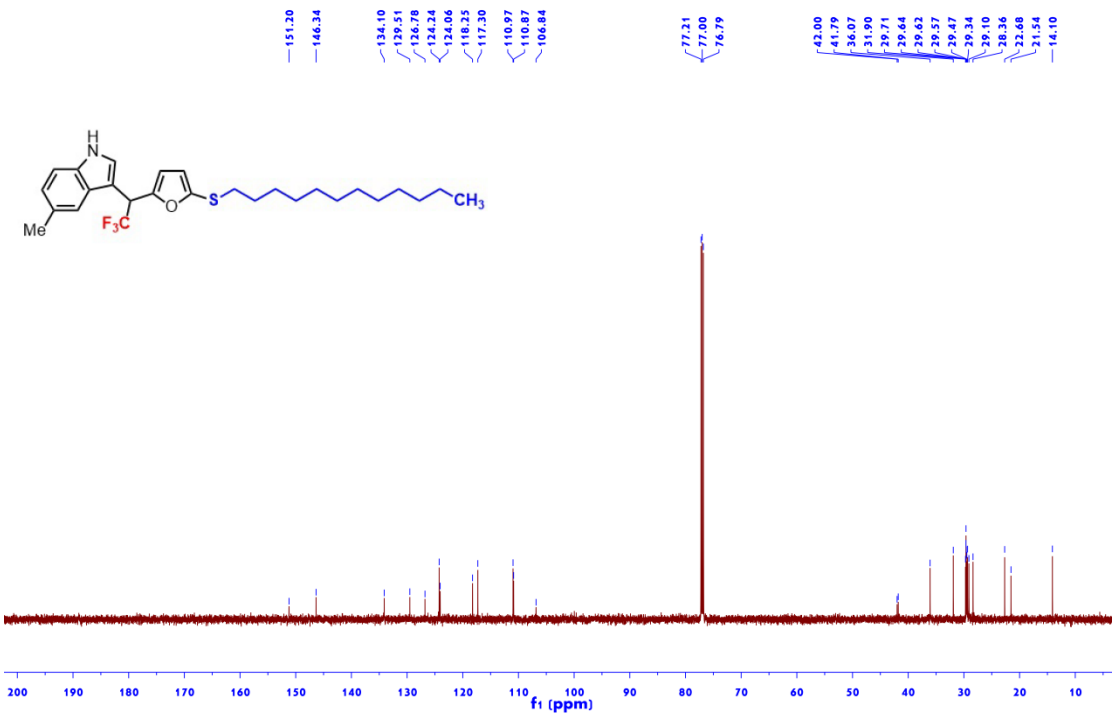


Figure S93 ^{19}F NMR (565 MHz, $CDCl_3$) of 4ea

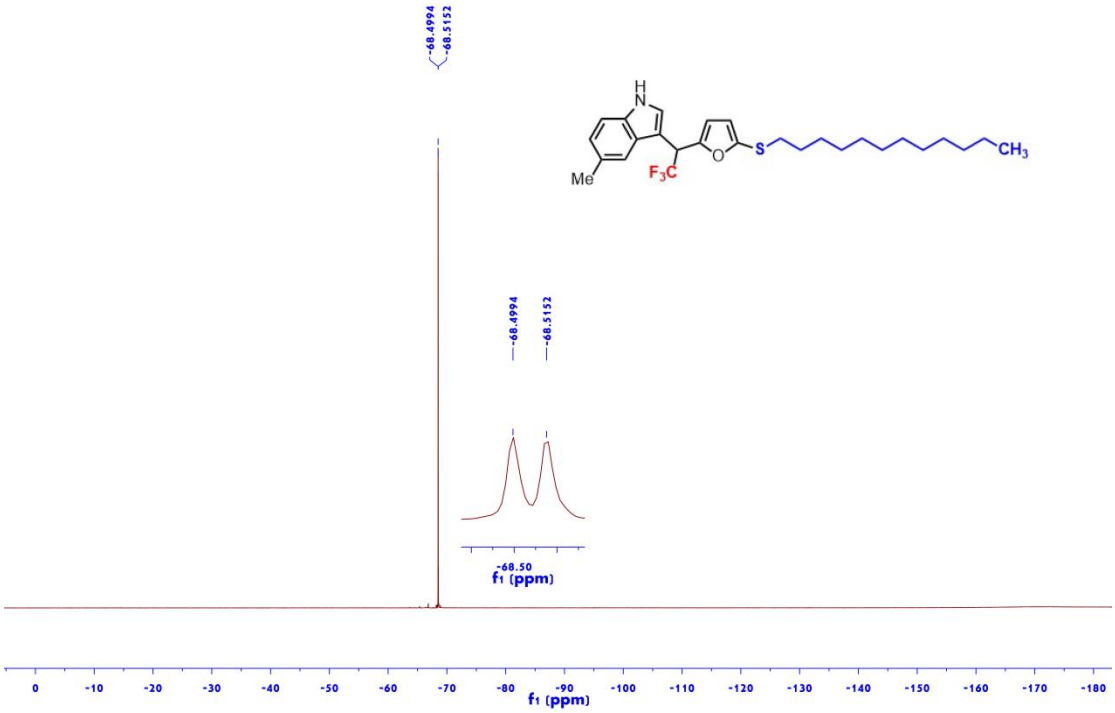


Figure S94 ^{1}H NMR (600 MHz, $CDCl_3$) of 4fa

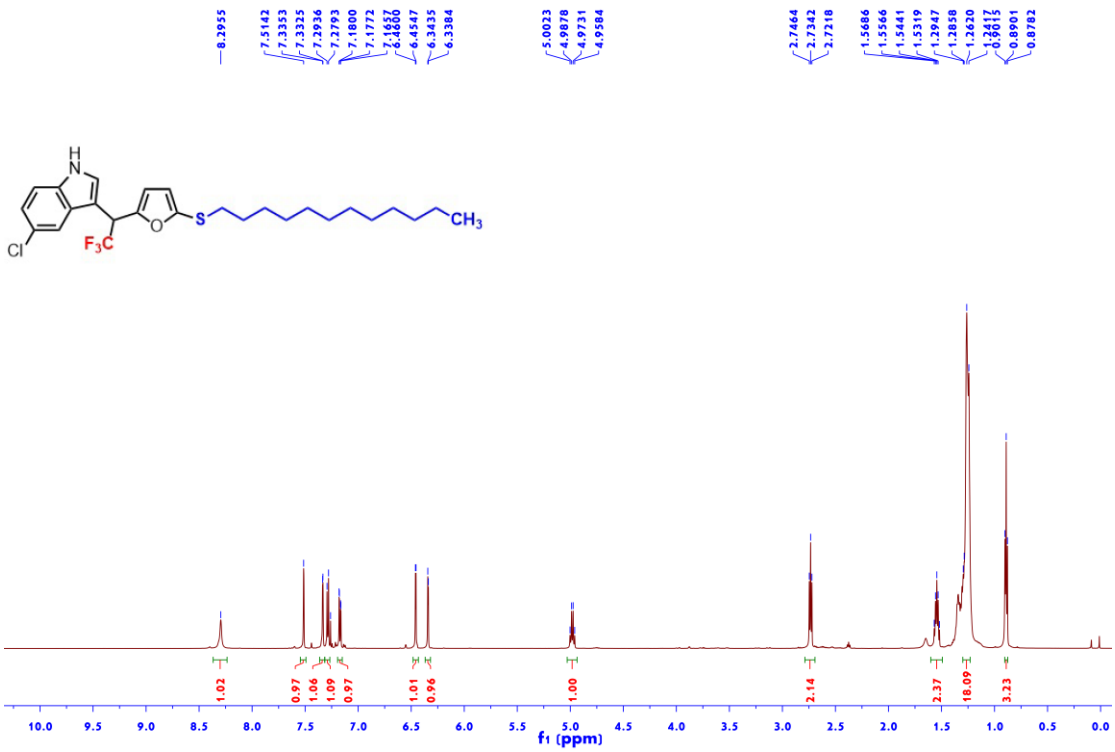


Figure S95 ^{13}C NMR (150 MHz, $CDCl_3$) of 4fa

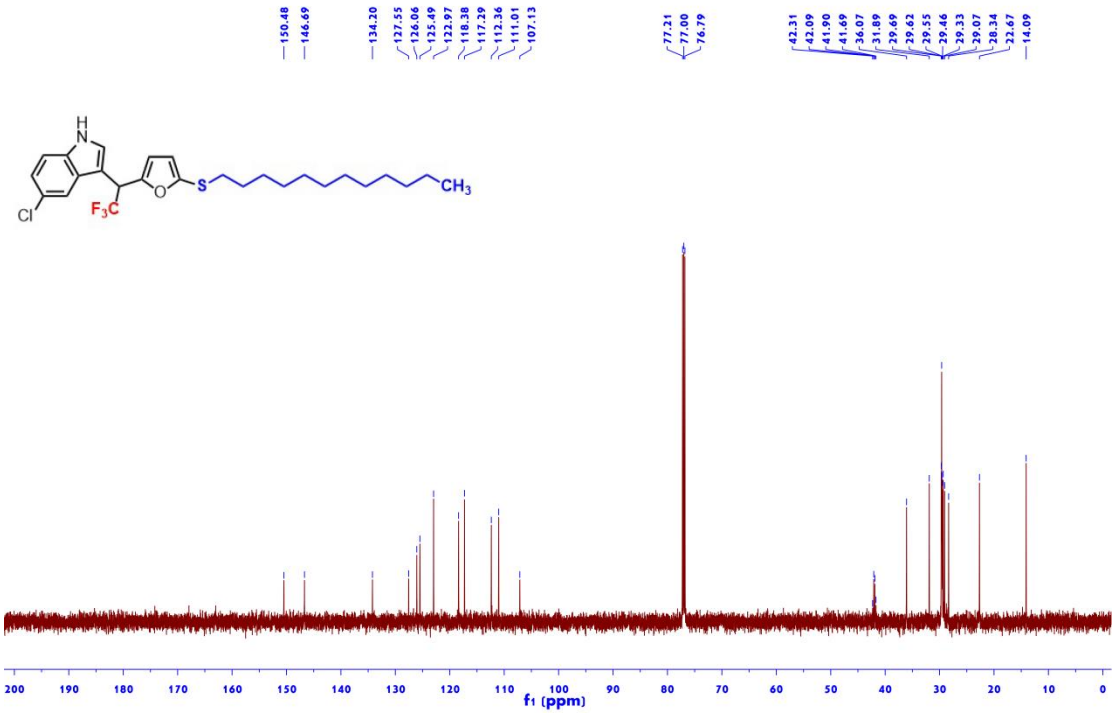


Figure S96 ^{19}F NMR (565 MHz, $CDCl_3$) of 4fa

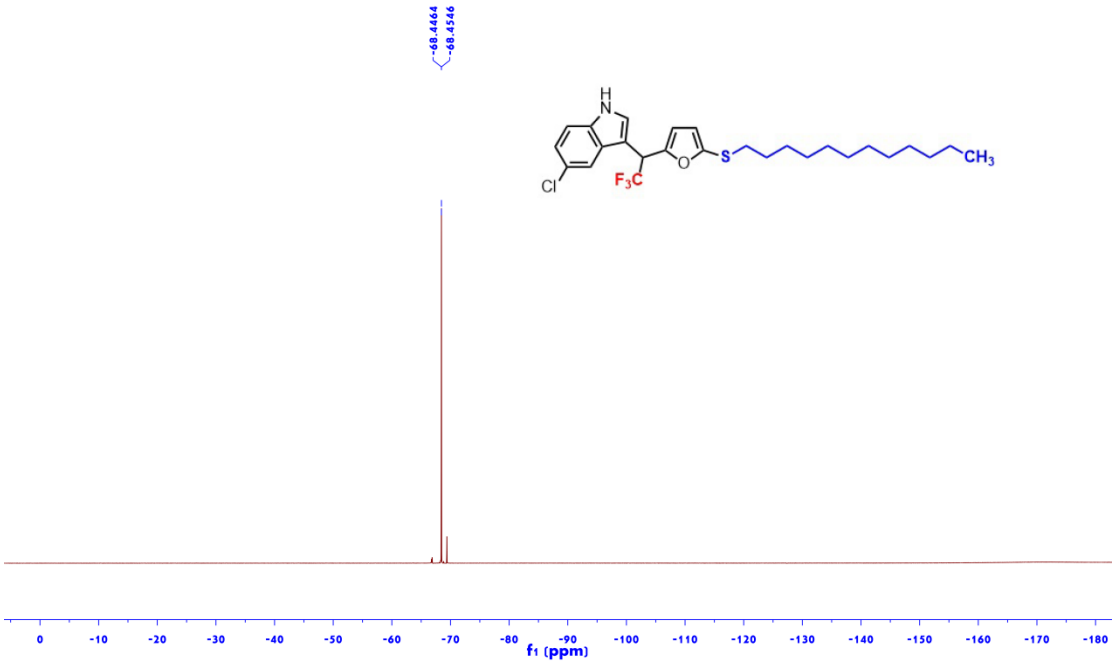


Figure S97 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ga

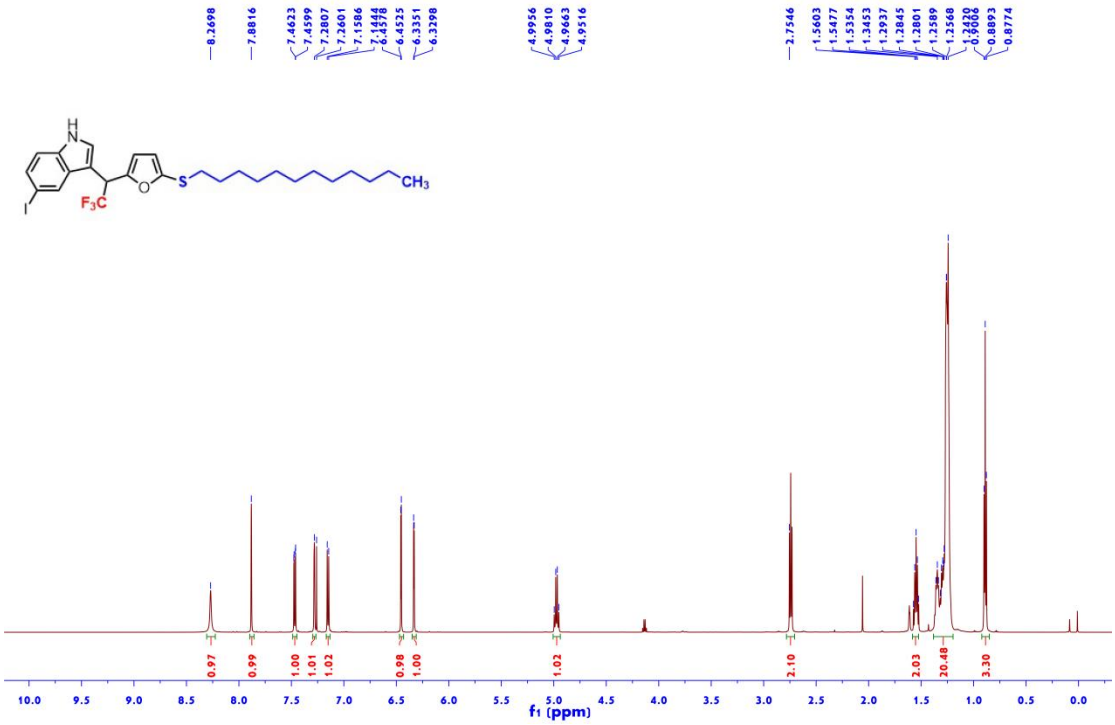


Figure S98 ^{13}C NMR (150 MHz, $CDCl_3$) of 4ga

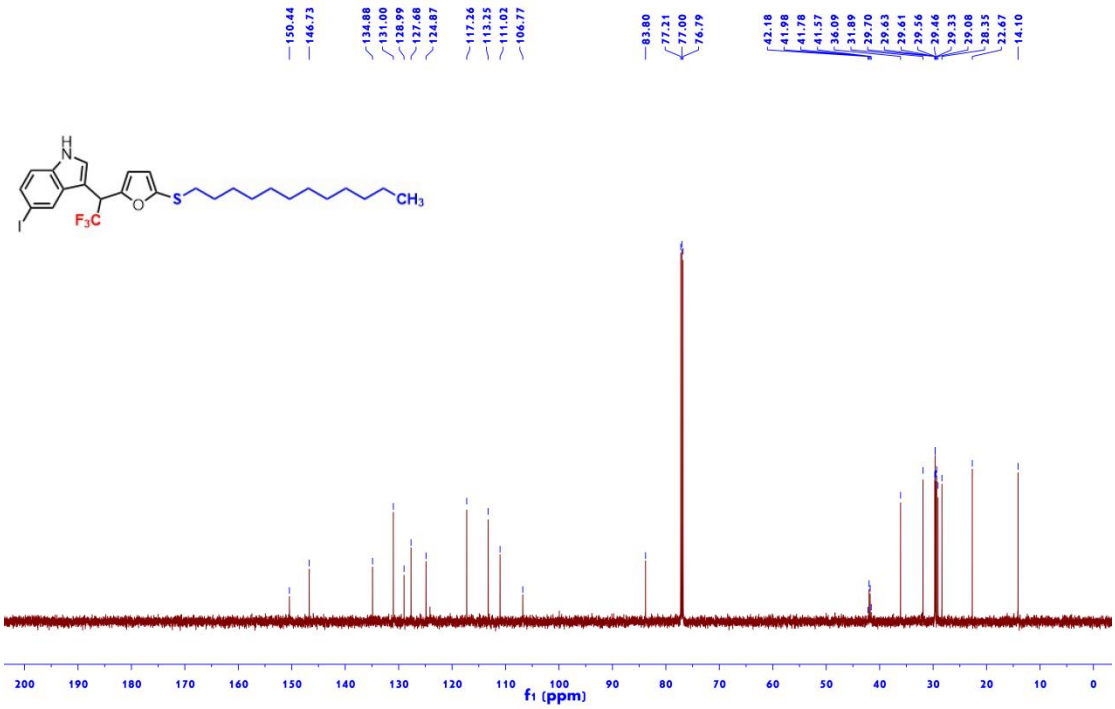


Figure S99 ^{19}F NMR (565 MHz, $CDCl_3$) of 4ga

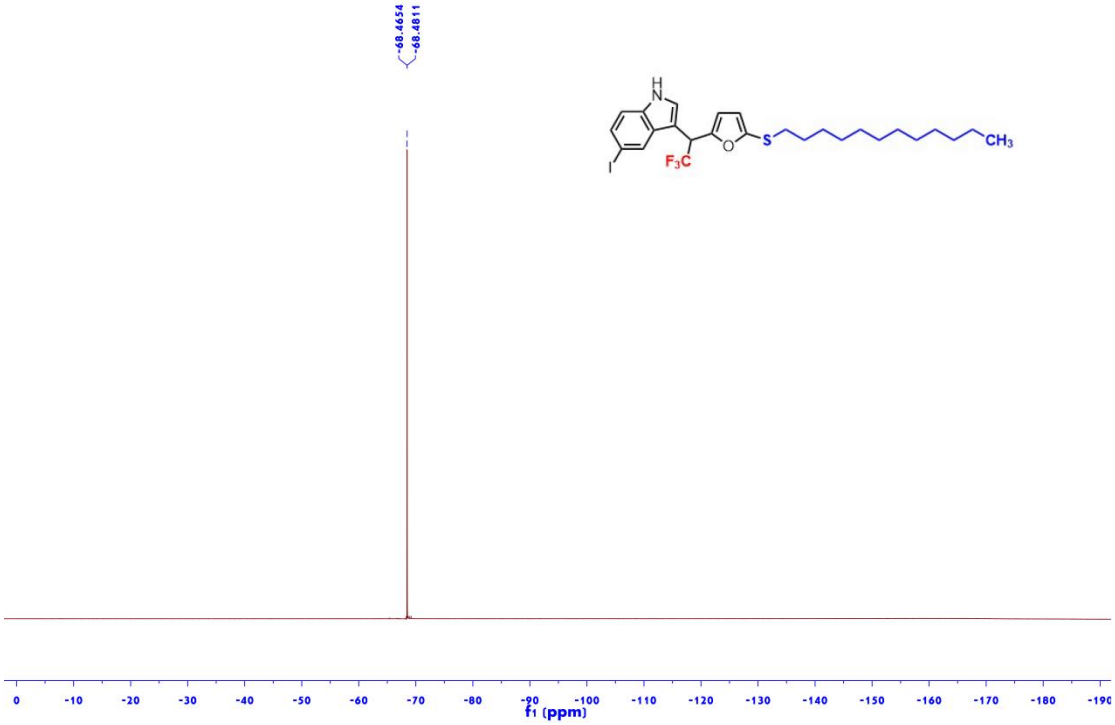


Figure S100 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ha

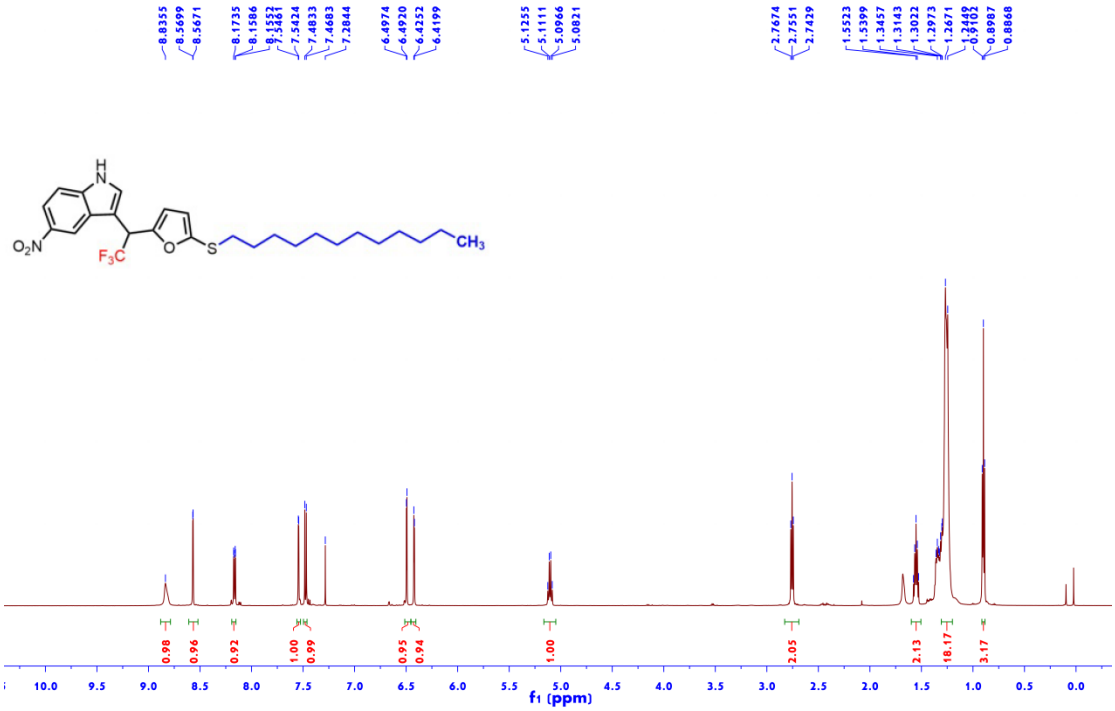


Figure S101 ^{13}C NMR (150 MHz, $CDCl_3$) of **4ha**

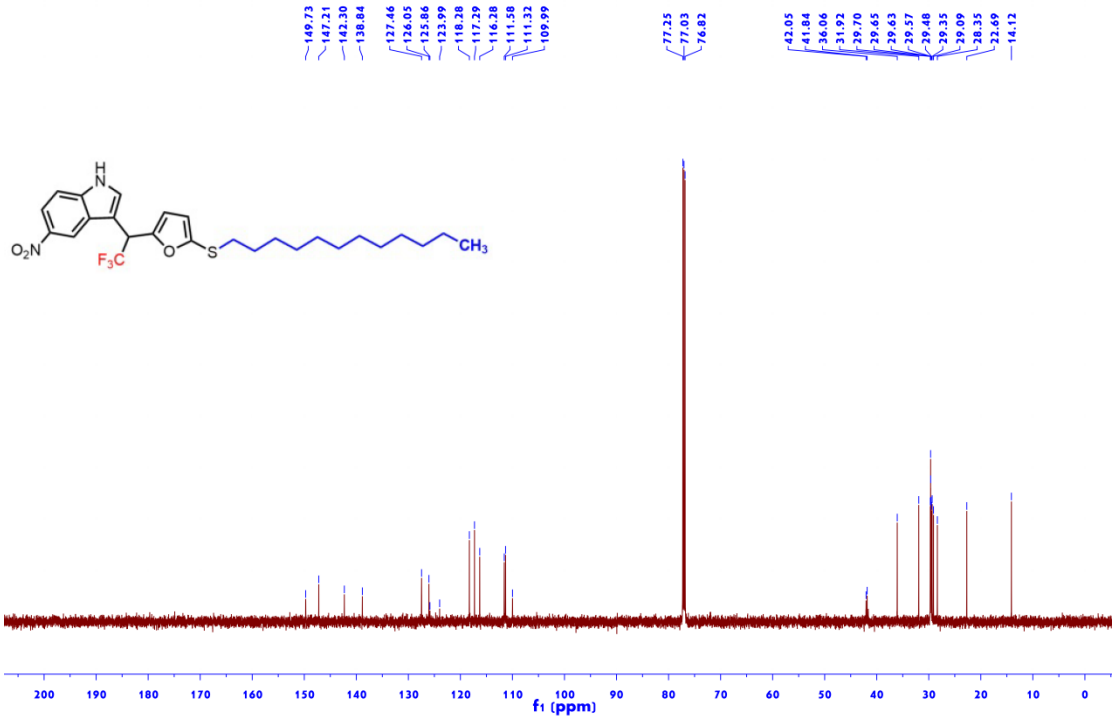


Figure S102 ^{19}F NMR (565 MHz, $CDCl_3$) of **4ha**

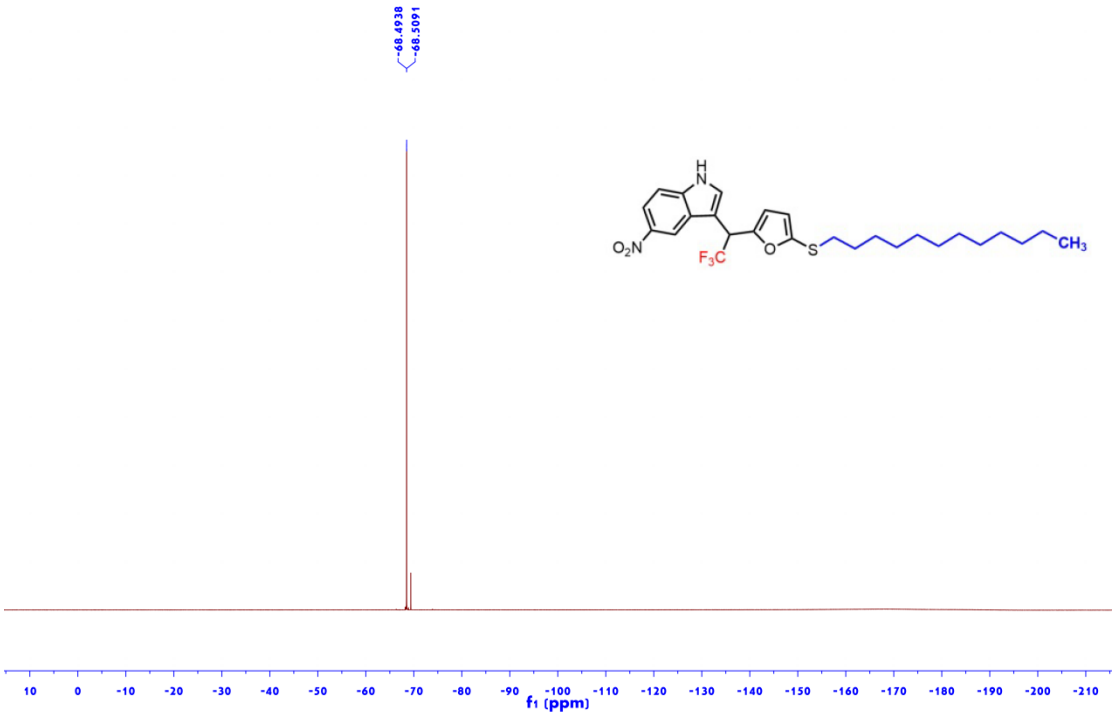


Figure S103 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ia

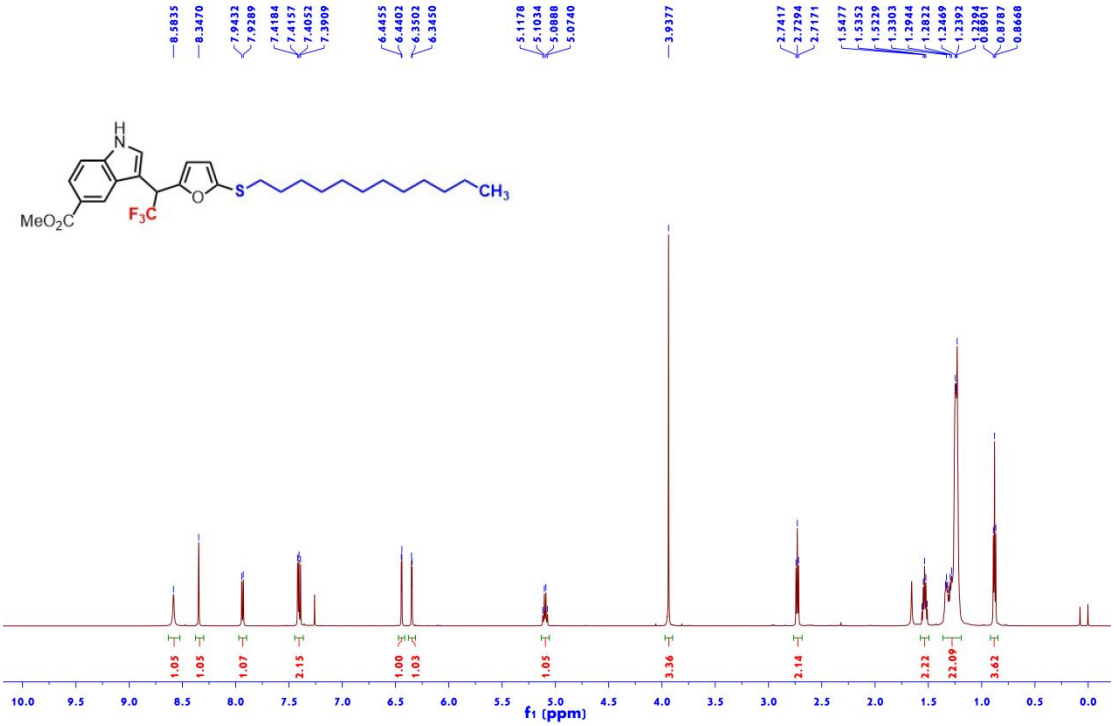


Figure S104 ^{13}C NMR (150 MHz, $CDCl_3$) of 4ia

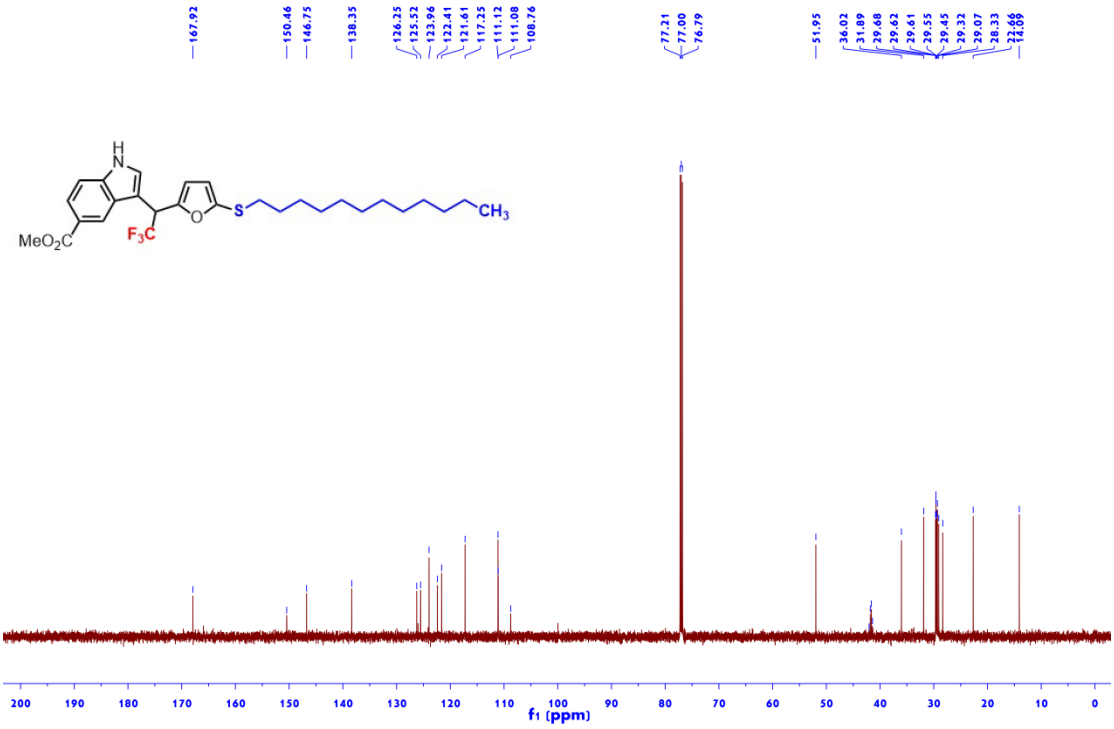


Figure S105 ^{19}F NMR (565 MHz, $CDCl_3$) of 4ia

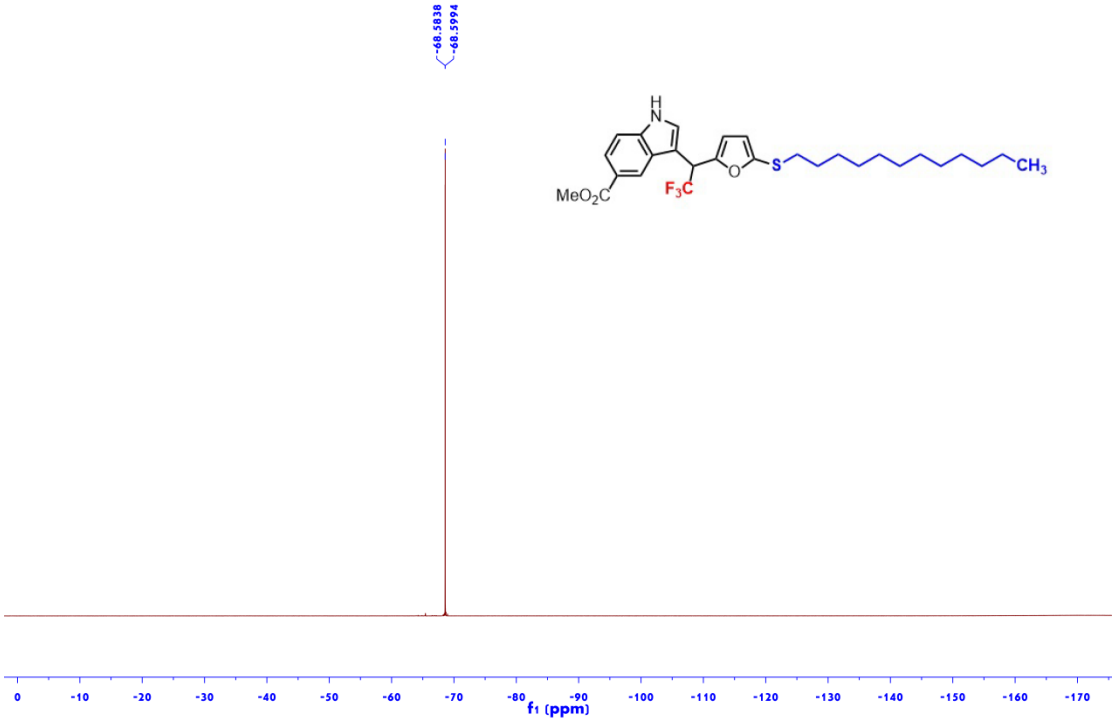


Figure S106 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ja

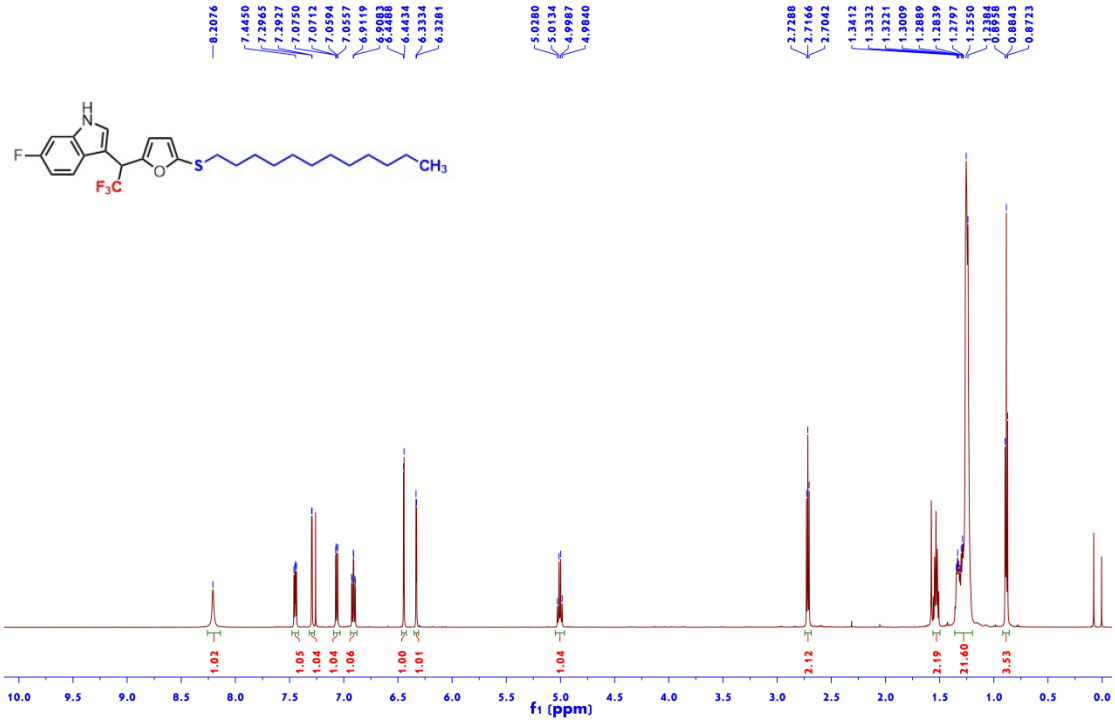


Figure S107 ^{13}C NMR (150 MHz, $CDCl_3$) of **4ja**

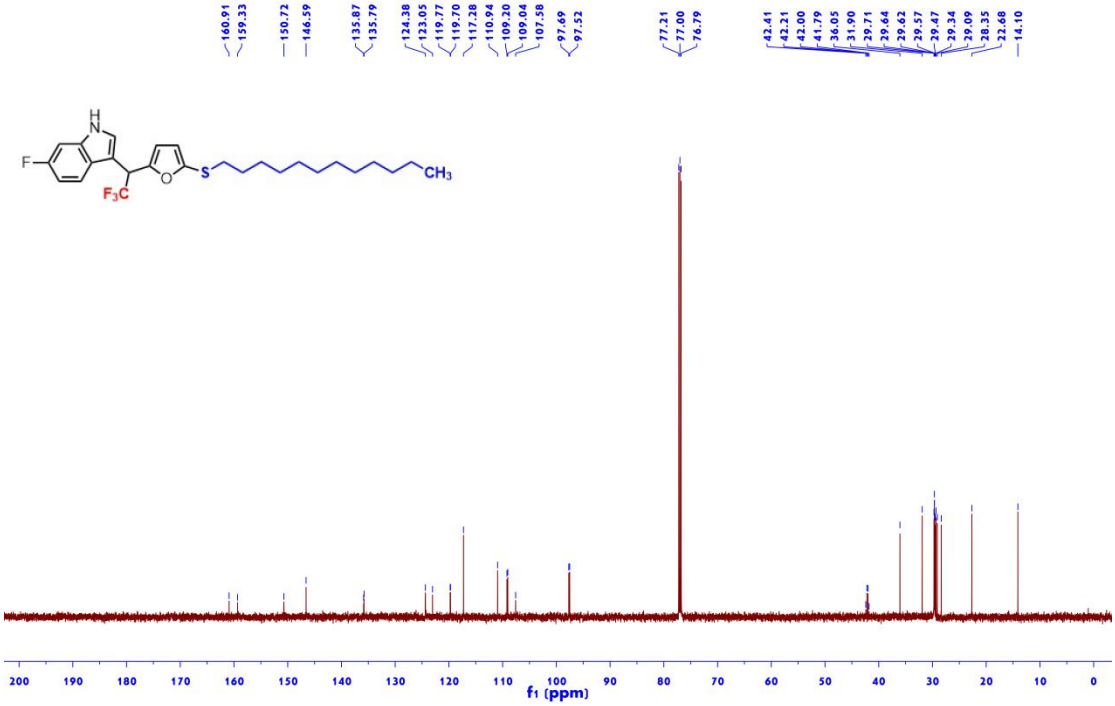


Figure S108 ^{19}F NMR (565 MHz, $CDCl_3$) of **4ja**

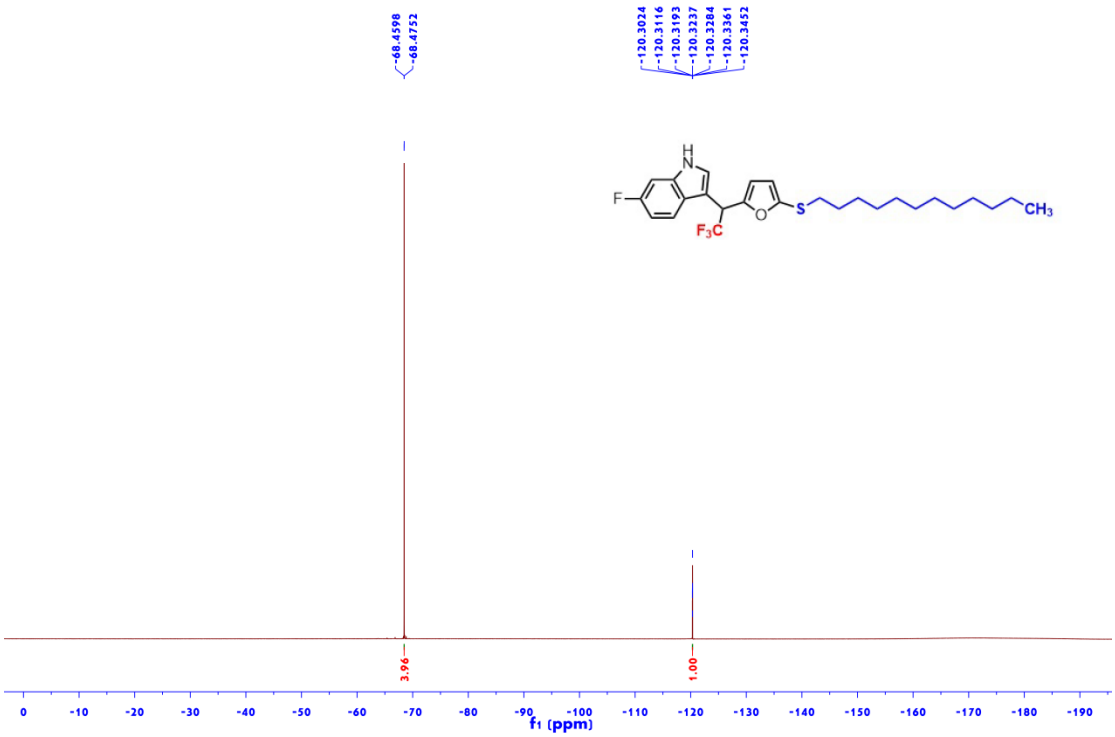


Figure S109 ^{1}H NMR (600 MHz, $CDCl_3$) of 4jf

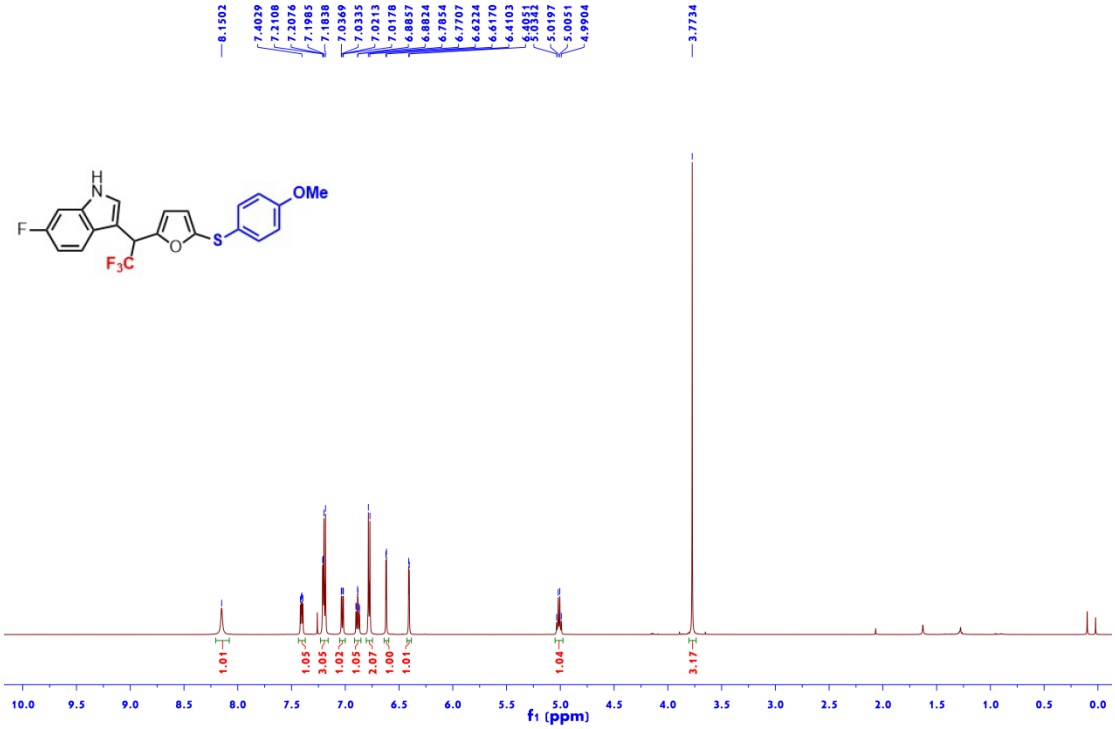


Figure S110 ^{13}C NMR (150 MHz, $CDCl_3$) of 4jf

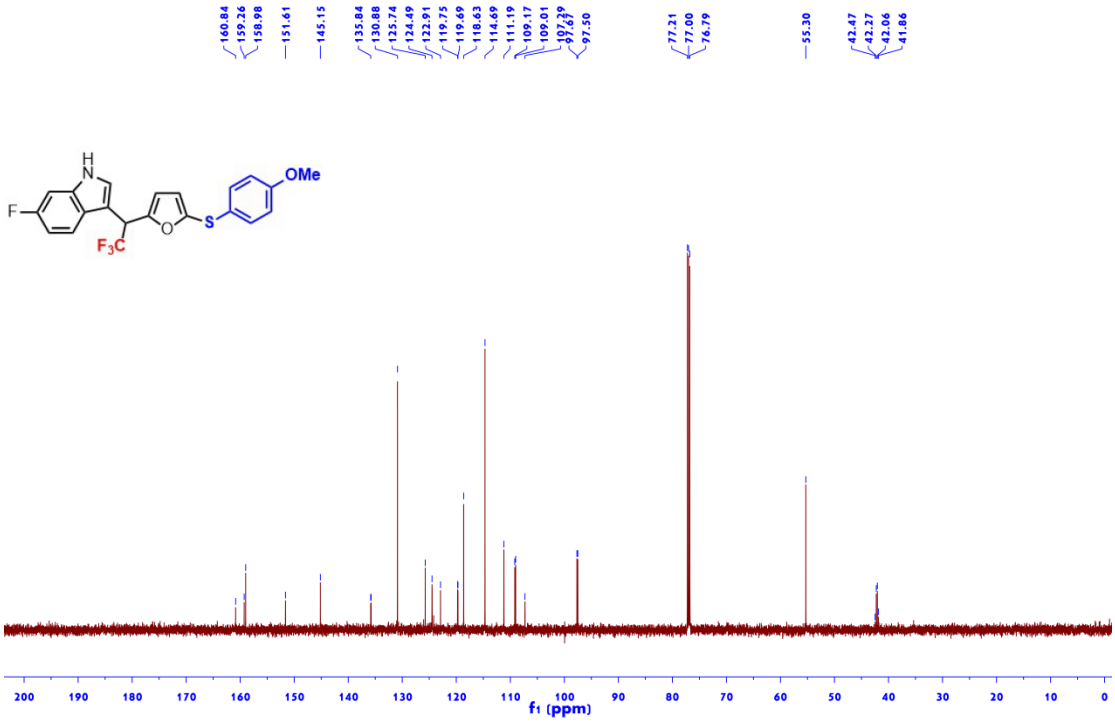


Figure S111 ^{19}F NMR (565 MHz, $CDCl_3$) of **4jf**

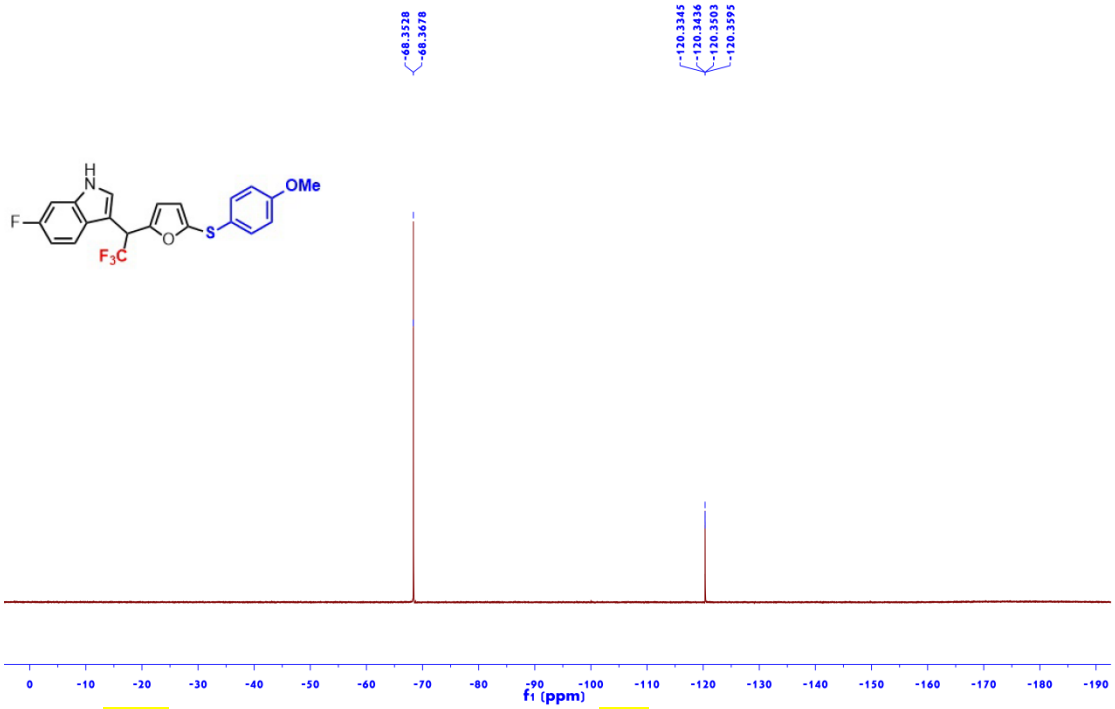


Figure S112 ^{1}H NMR (600 MHz, $CDCl_3$) of **4ka**

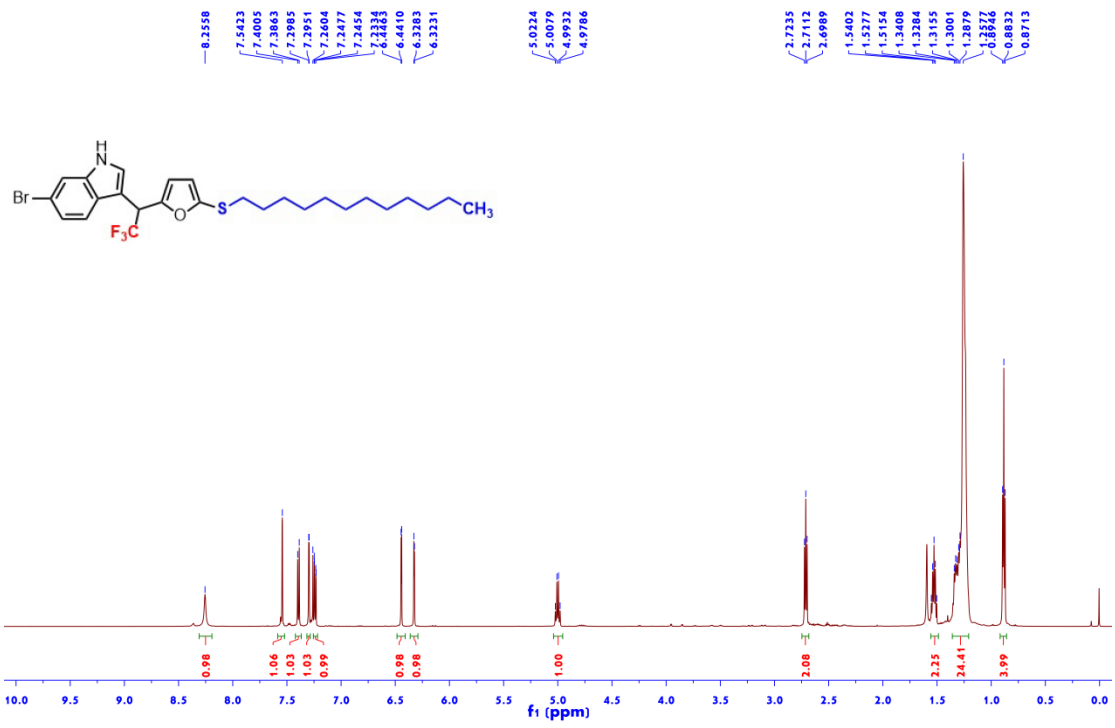


Figure S113 ^{13}C NMR (150 MHz, $CDCl_3$) of 4ka

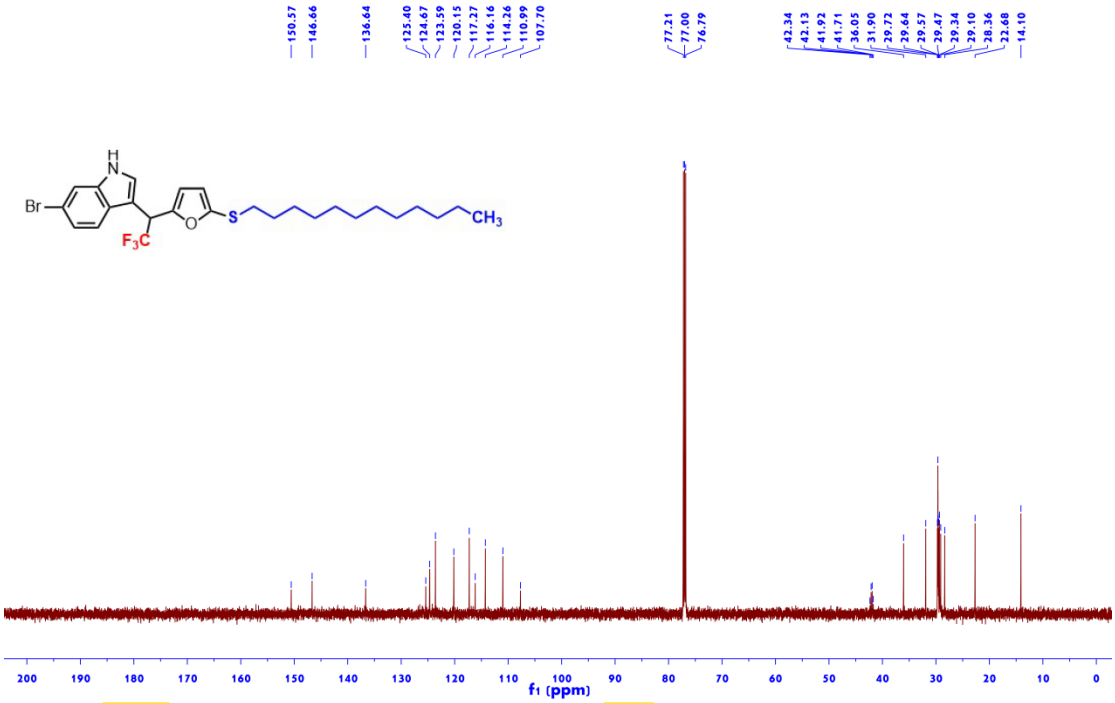


Figure S114 ^{19}F NMR (565 MHz, $CDCl_3$) of 4ka

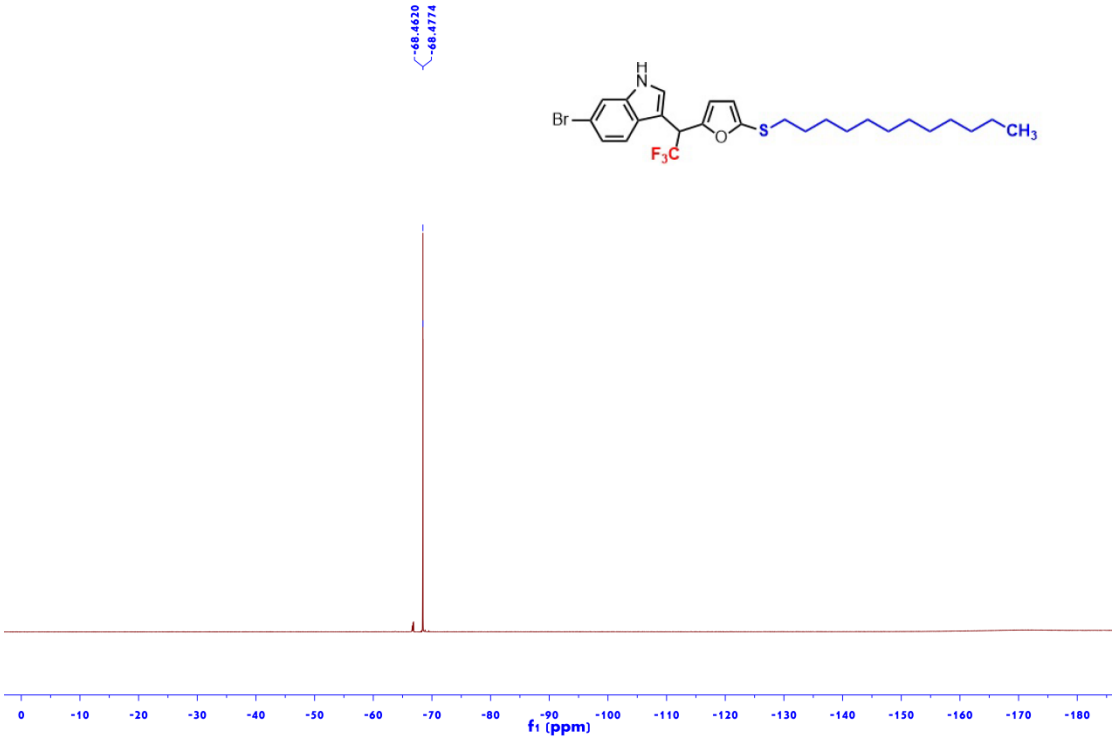


Figure S115 ^{1}H NMR (600 MHz, $CDCl_3$) of **4la**

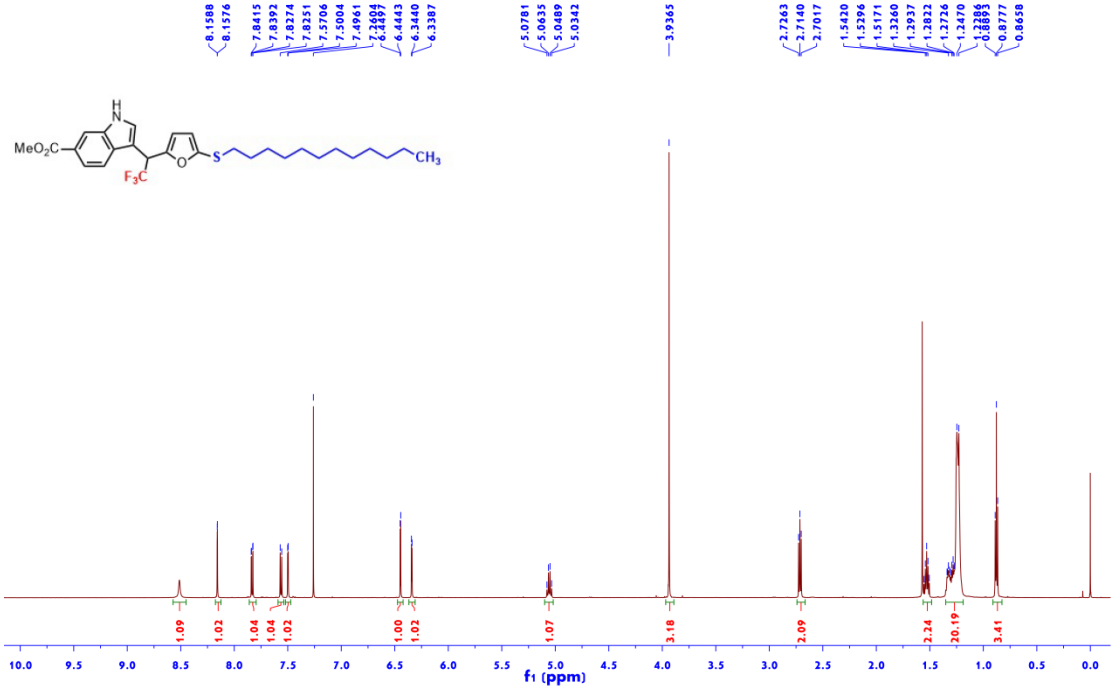


Figure S116 ^{13}C NMR (150 MHz, $CDCl_3$) of **4la**

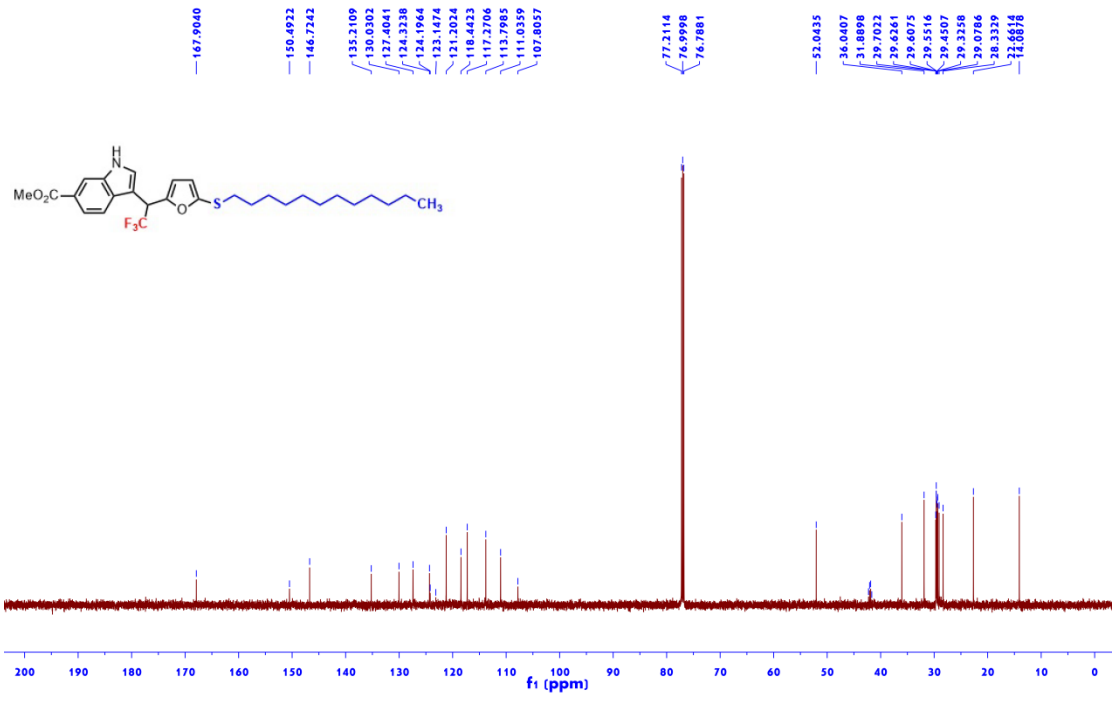


Figure S117 ^{19}F NMR (565 MHz, $CDCl_3$) of 4la

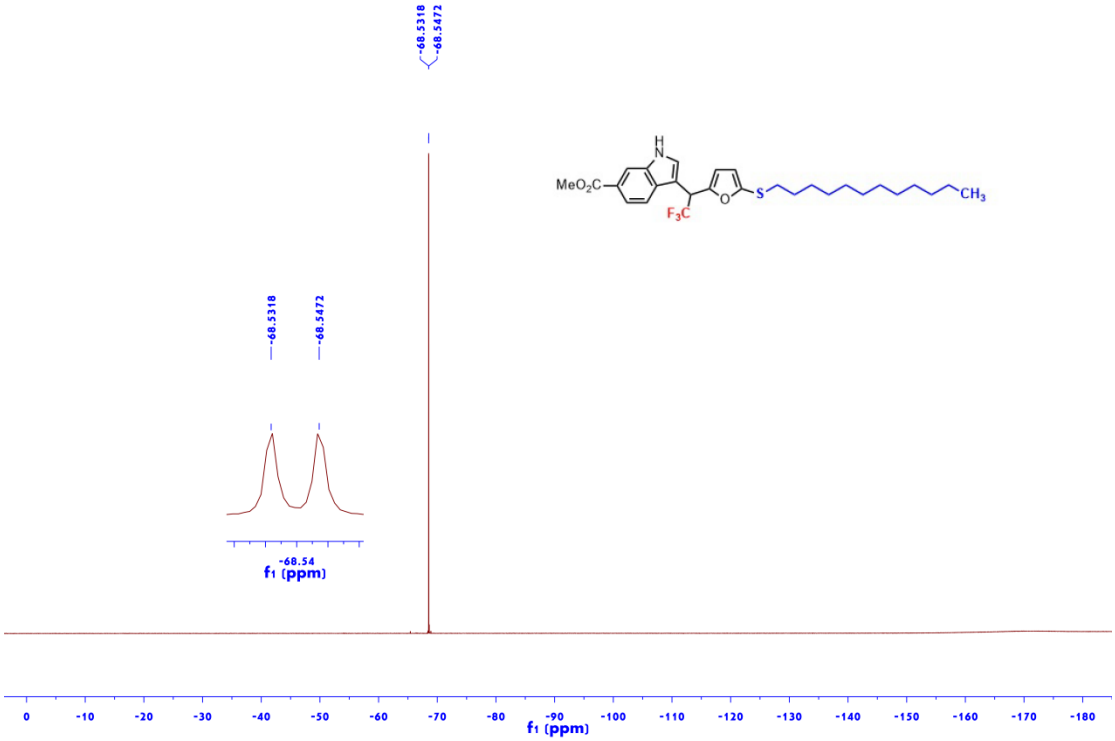


Figure S118 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ma

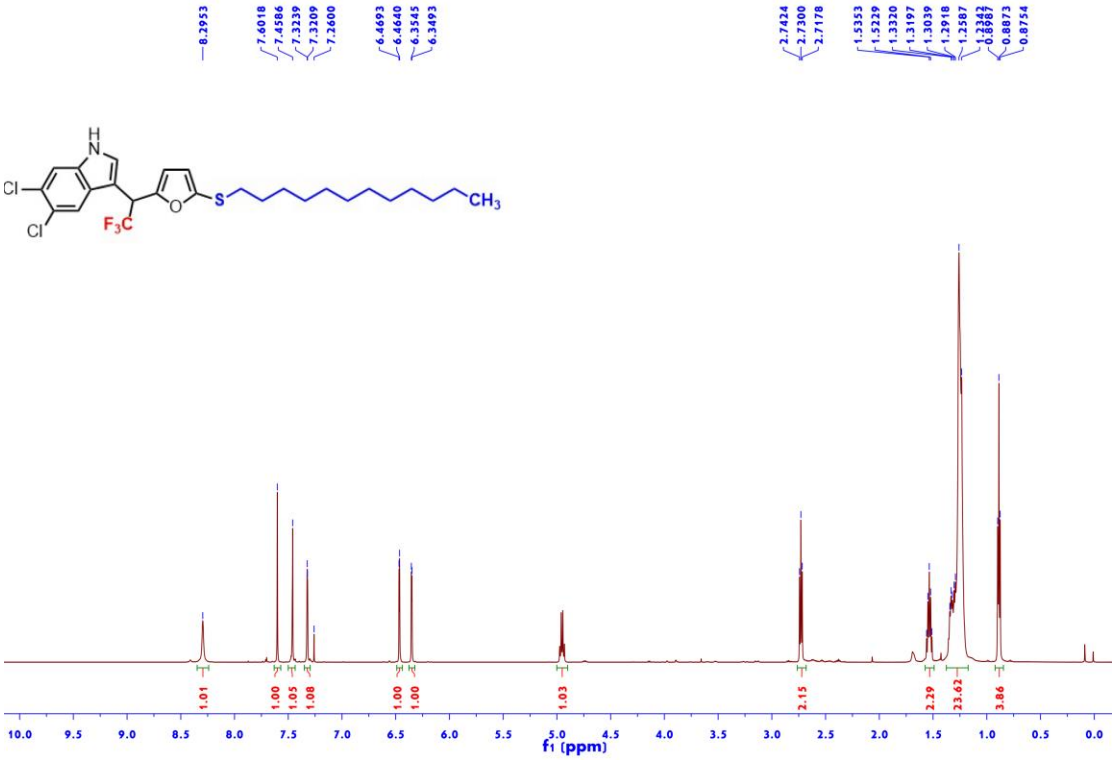


Figure S119 ^{13}C NMR (150 MHz, $CDCl_3$) of 4ma

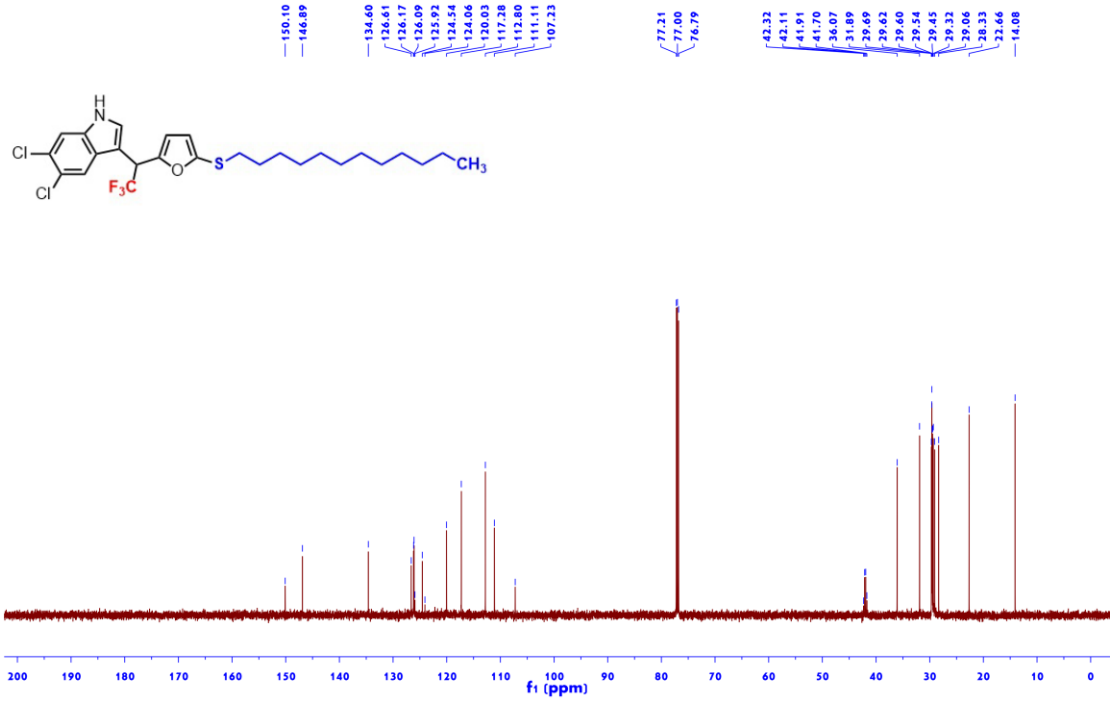


Figure S120 ^{19}F NMR (565 MHz, $CDCl_3$) of 4ma

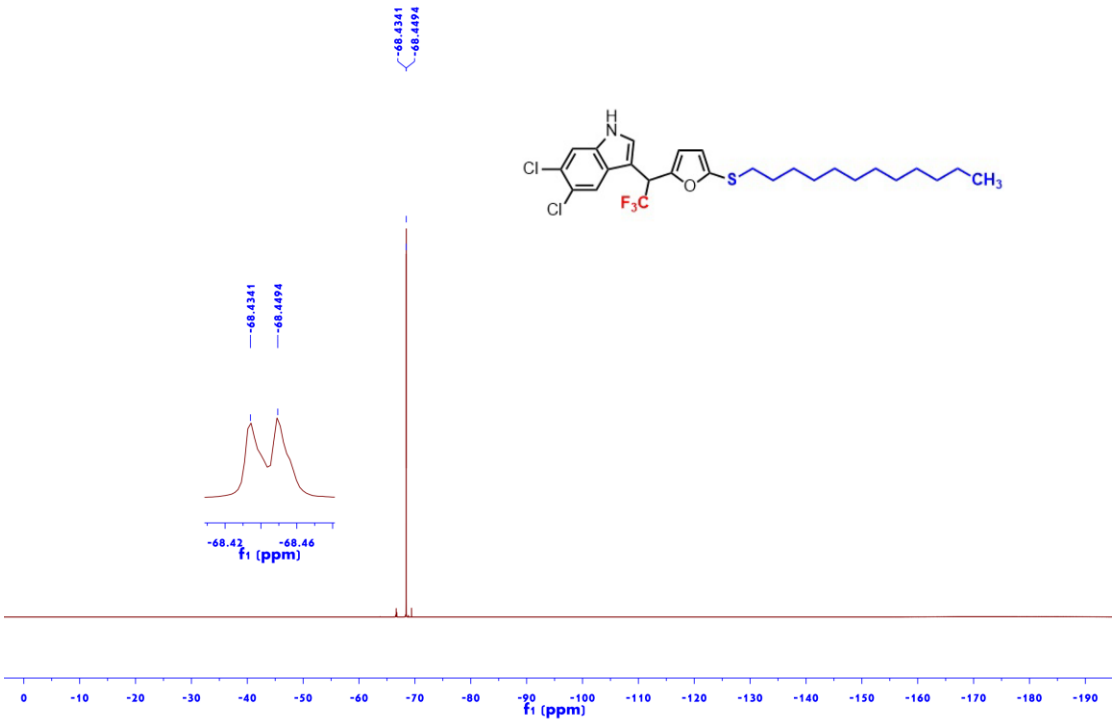


Figure S121 ^{1}H NMR (600 MHz, $CDCl_3$) of 4na

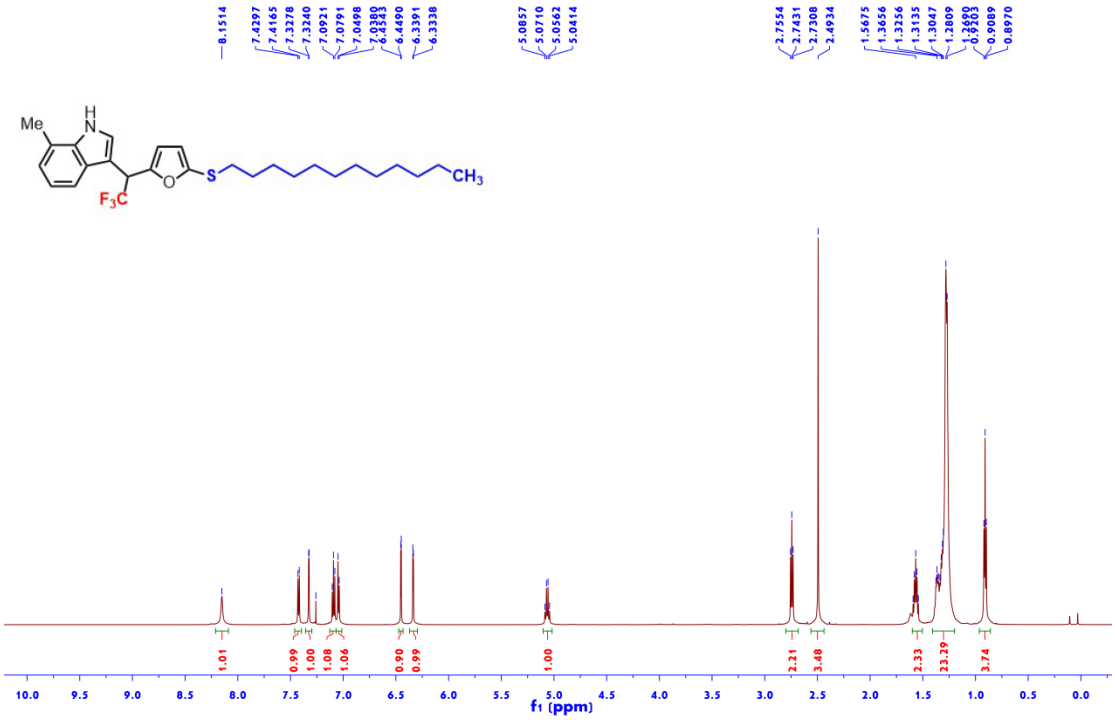


Figure S122 ^{13}C NMR (150 MHz, $CDCl_3$) of 4na

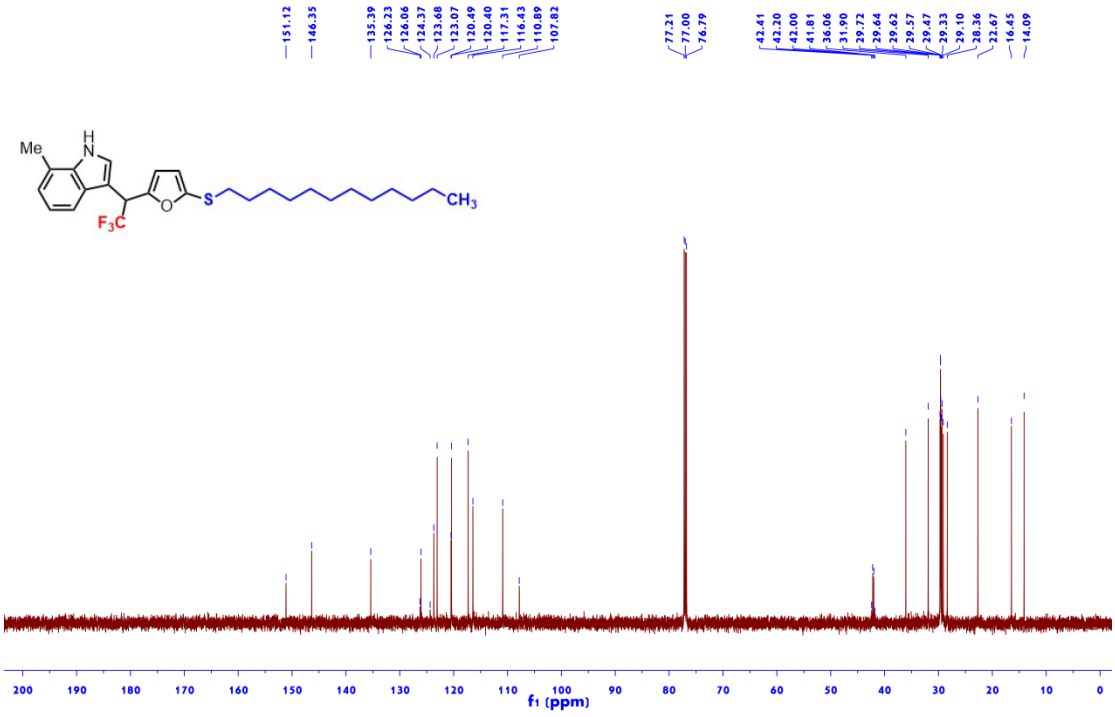


Figure S123 ^{19}F NMR (565 MHz, $CDCl_3$) of **4na**

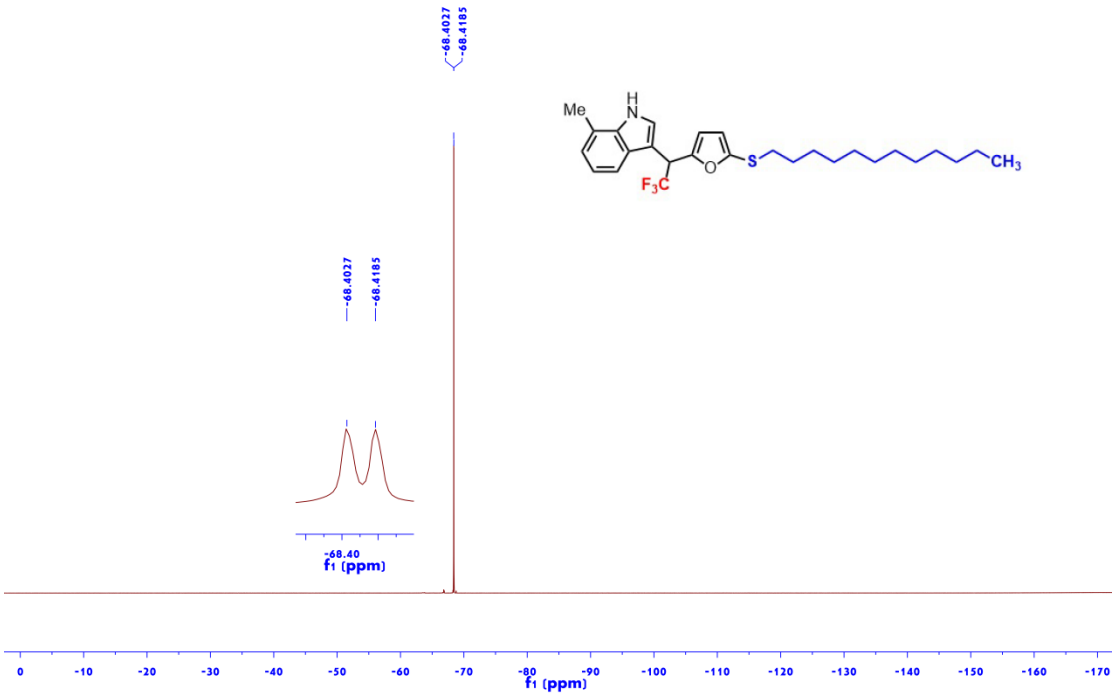


Figure S124 ^{1}H NMR (600 MHz, $CDCl_3$) of **4oa**

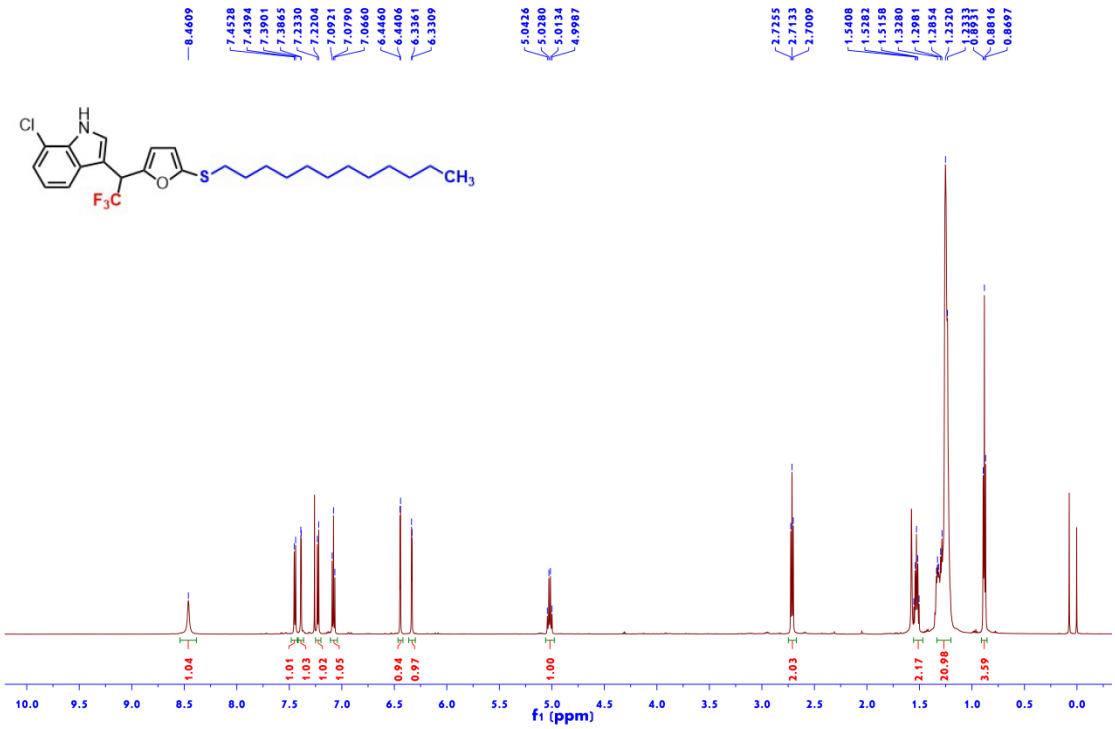


Figure S125 ^{13}C NMR (150 MHz, $CDCl_3$) of 4oa

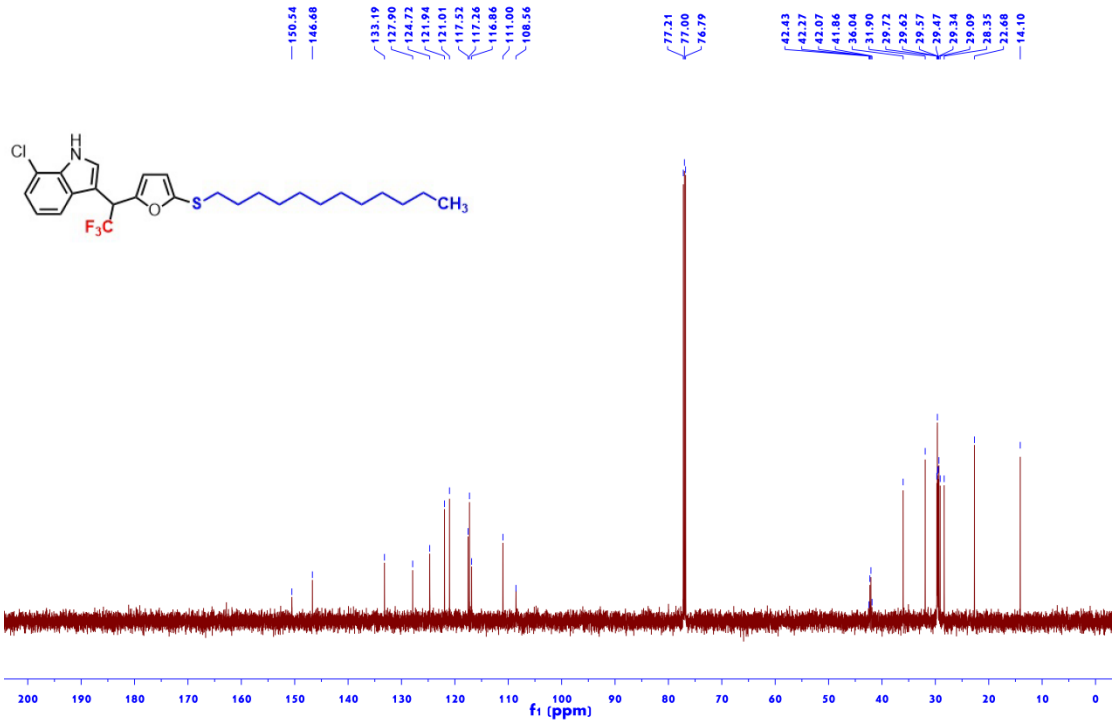


Figure S126 ^{19}F NMR (565 MHz, $CDCl_3$) of 4oa

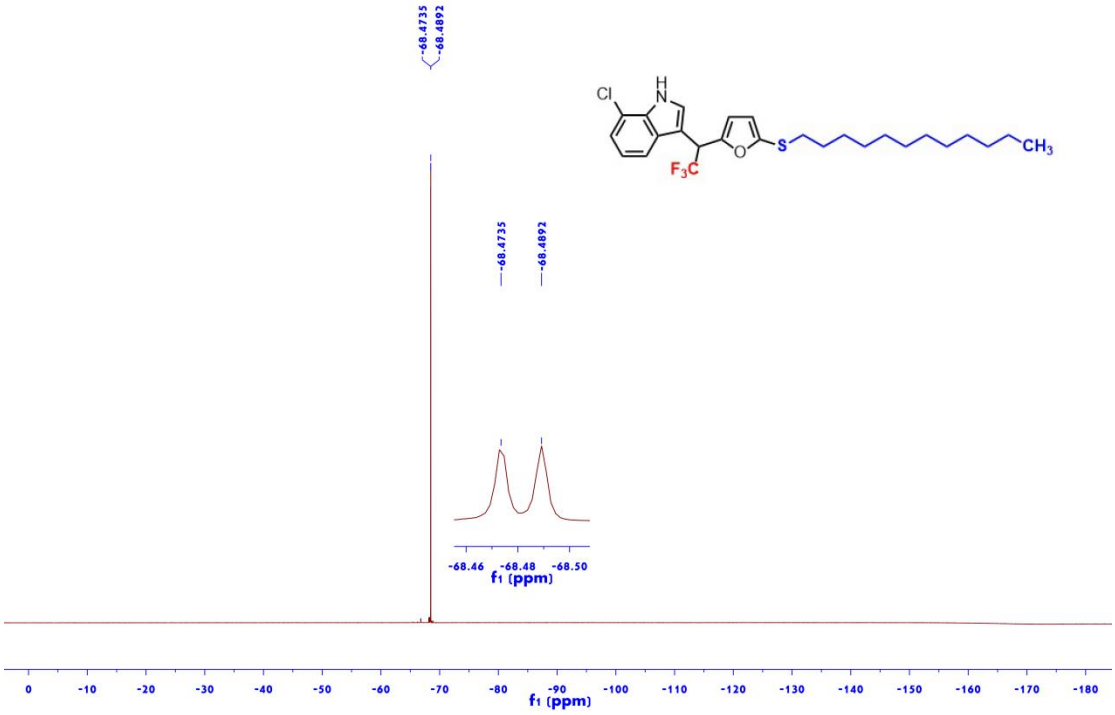


Figure S127 ^{1}H NMR (600 MHz, $CDCl_3$) of 4pa

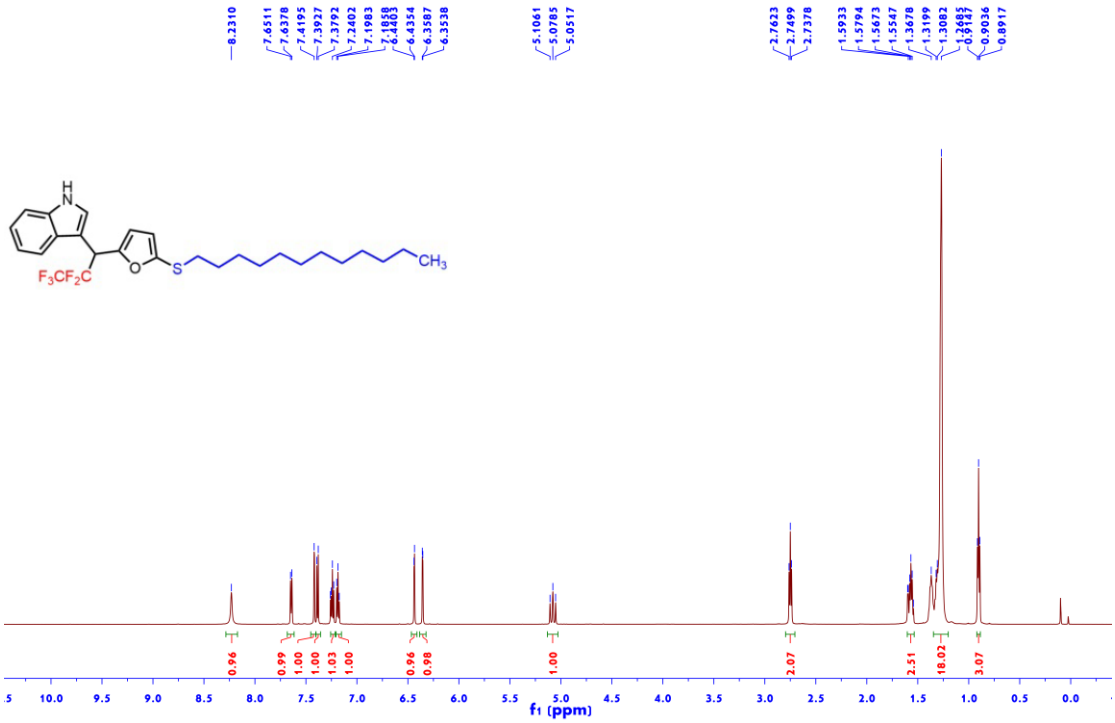


Figure S128 ^{13}C NMR (150 MHz, $CDCl_3$) of 4pa

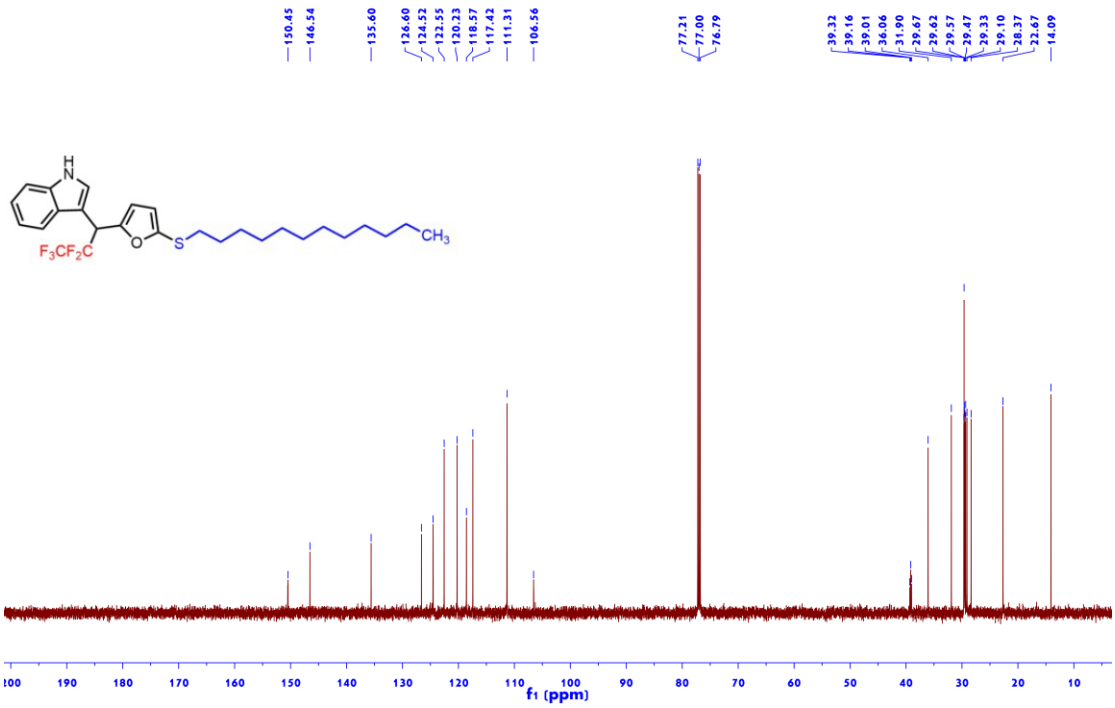


Figure S129 ^{19}F NMR (565 MHz, $CDCl_3$) of 4pa

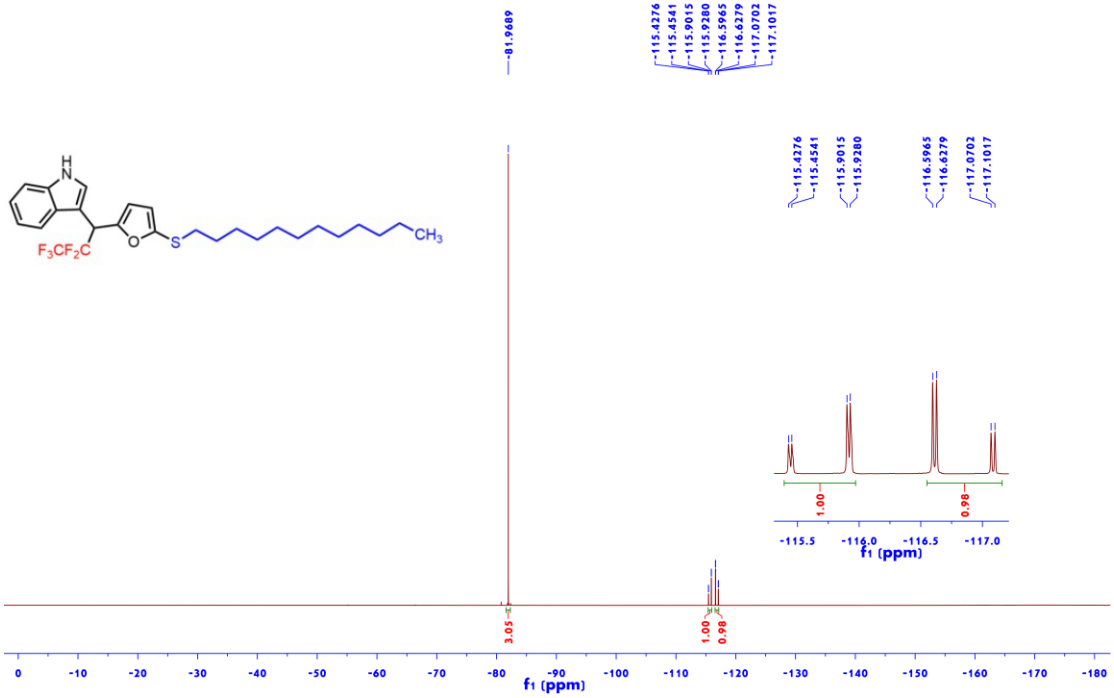


Figure S130 ^{1}H NMR (600 MHz, $CDCl_3$) of 4qa

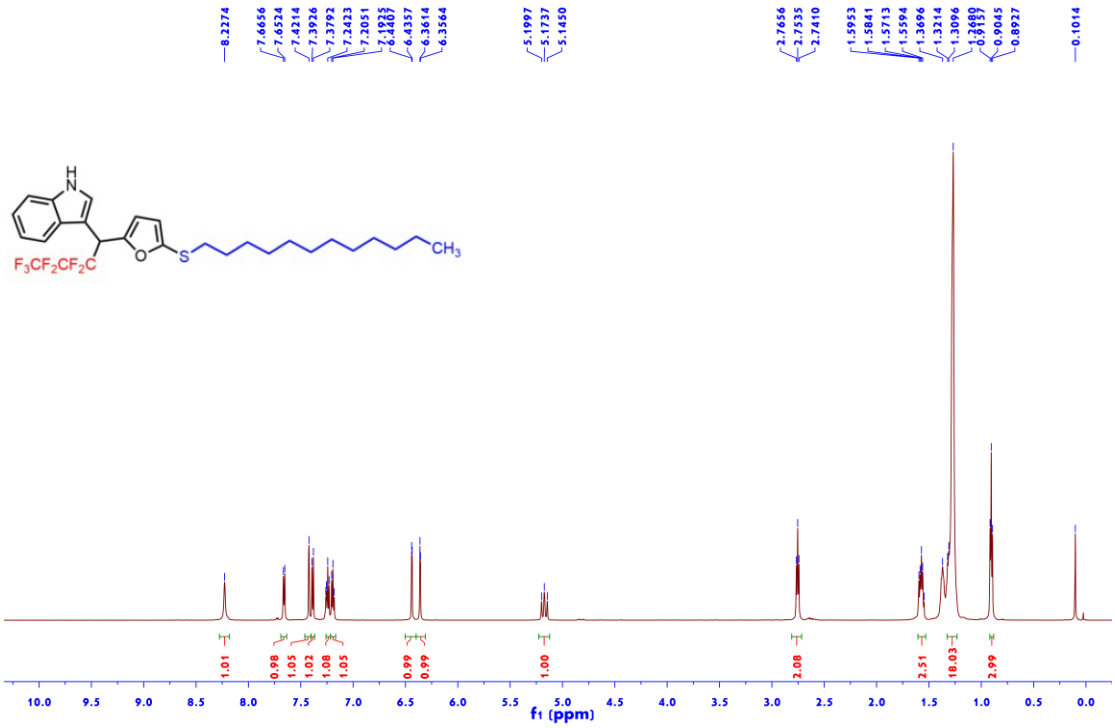


Figure S131 ^{13}C NMR (150 MHz, $CDCl_3$) of 4qa

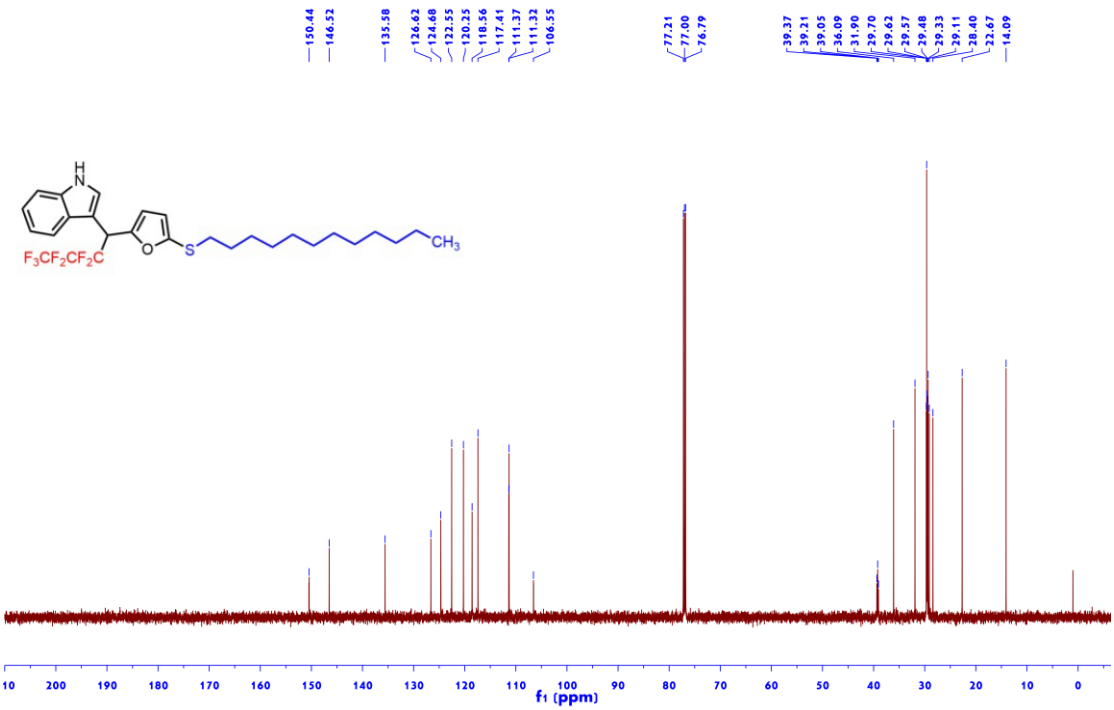


Figure S132 ^{19}F NMR (565 MHz, $CDCl_3$) of 4qa

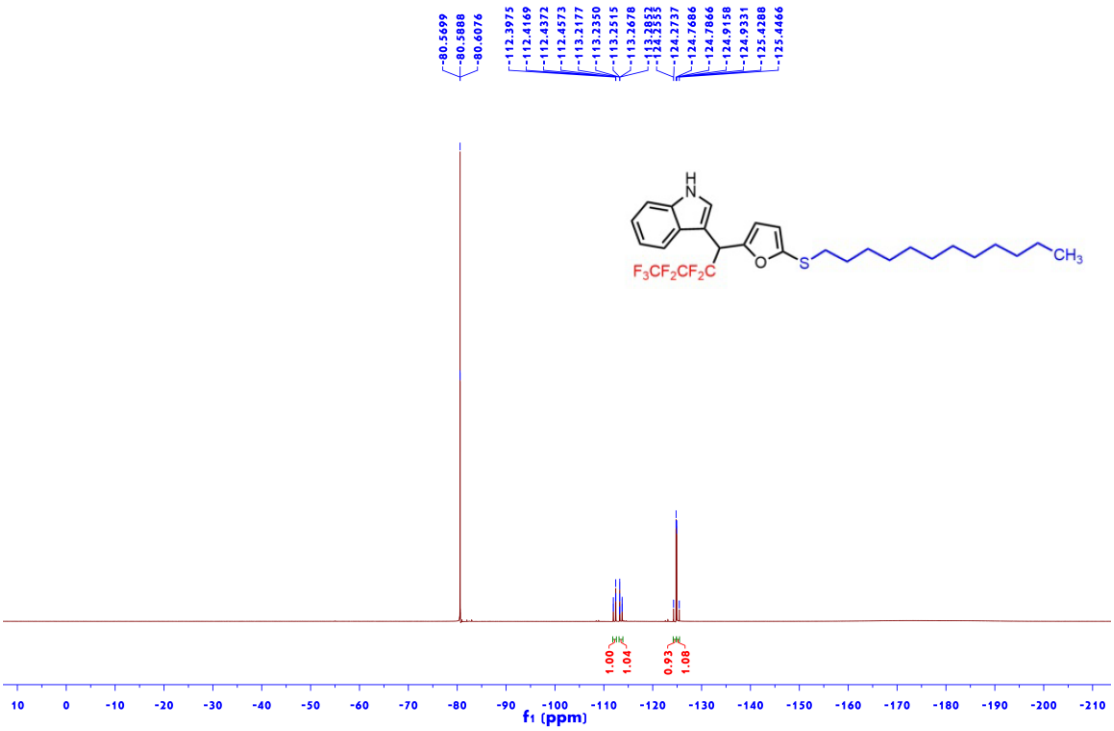


Figure S133 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ra

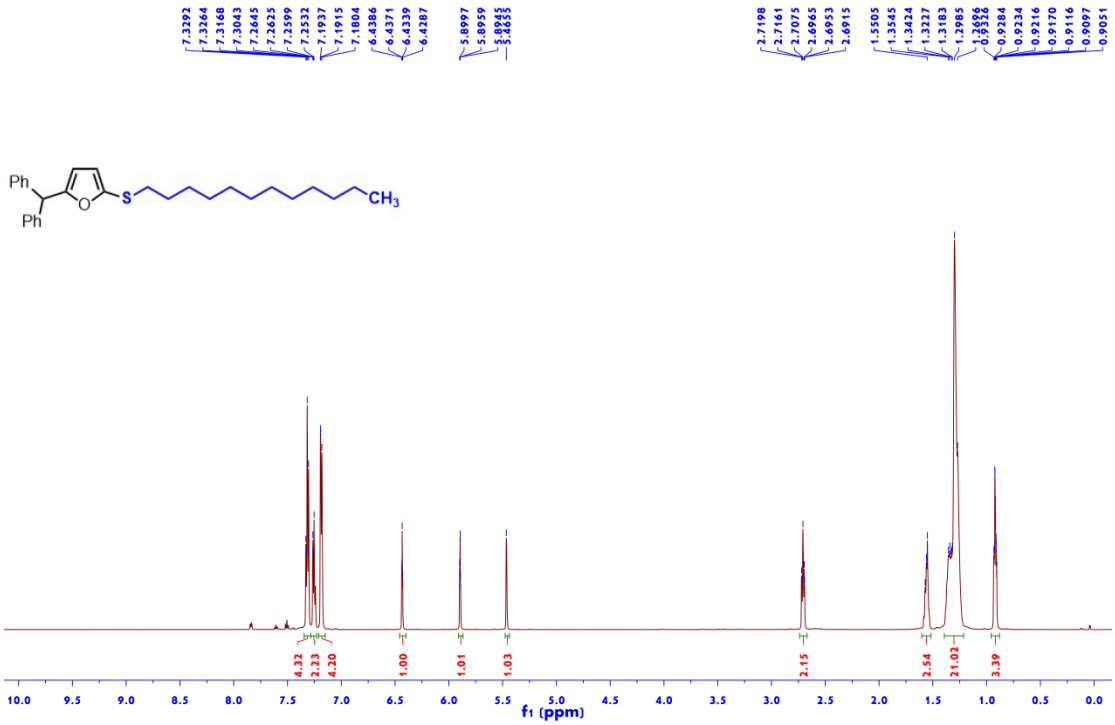


Figure S134 ^{13}C NMR (150 MHz, $CDCl_3$) of 4ra

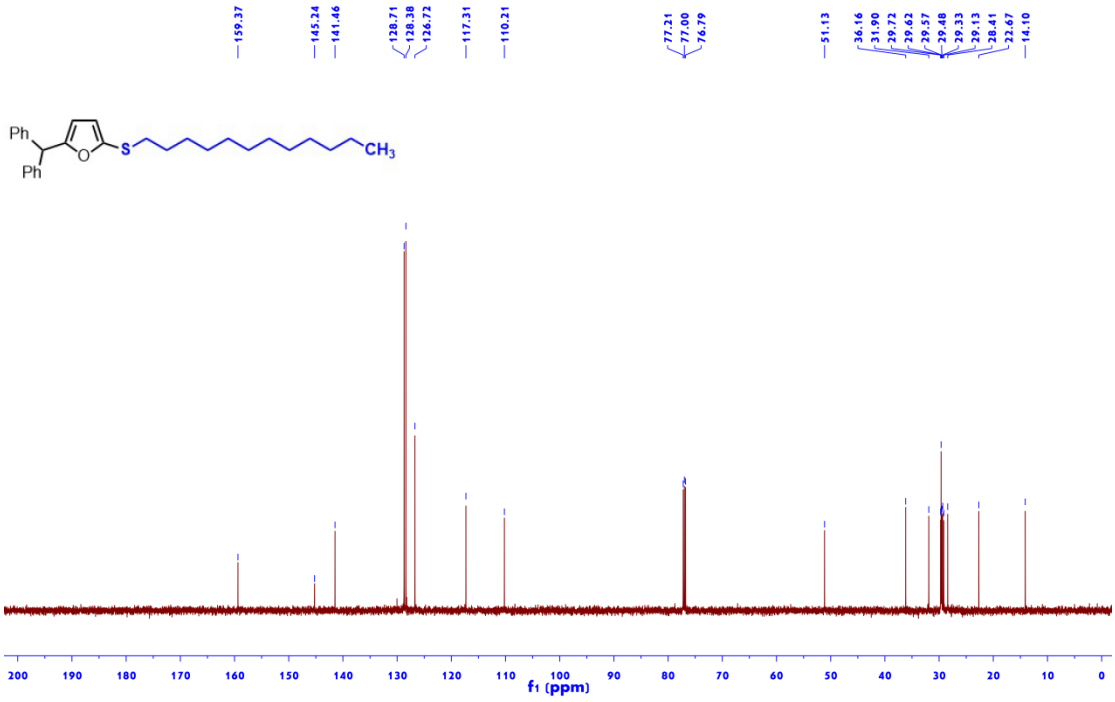


Figure S135 ^{1}H NMR (600 MHz, $CDCl_3$) of 4sa

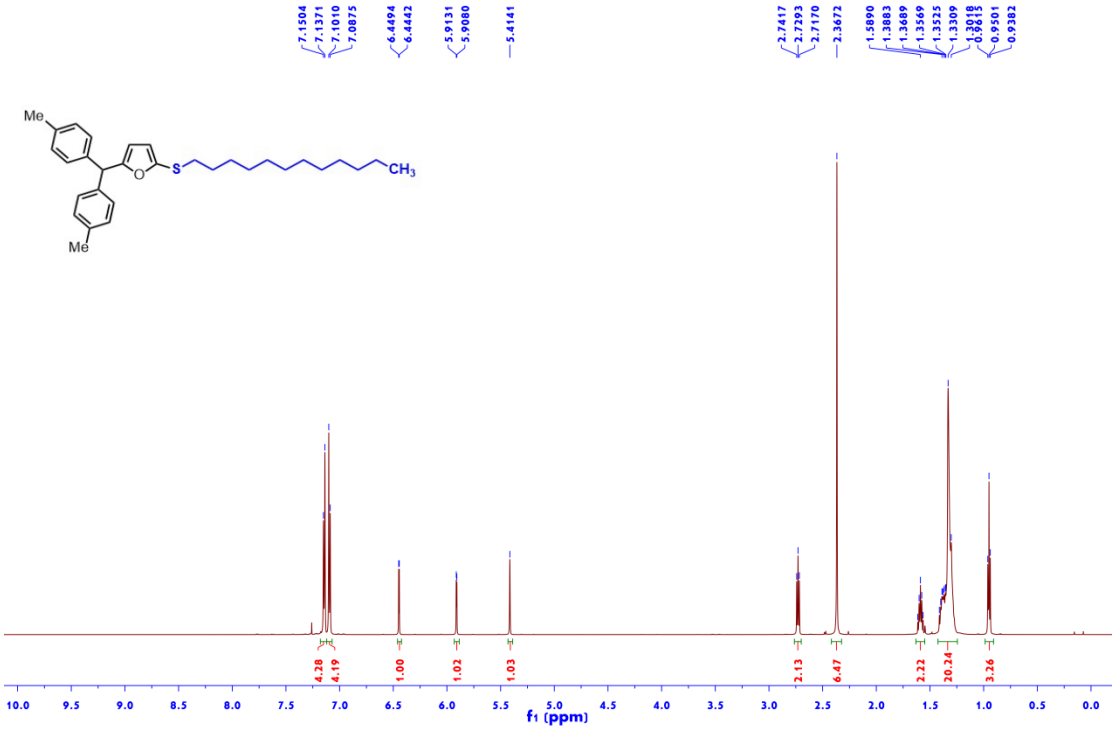


Figure S136 ^{13}C NMR (150 MHz, $CDCl_3$) of 4sa

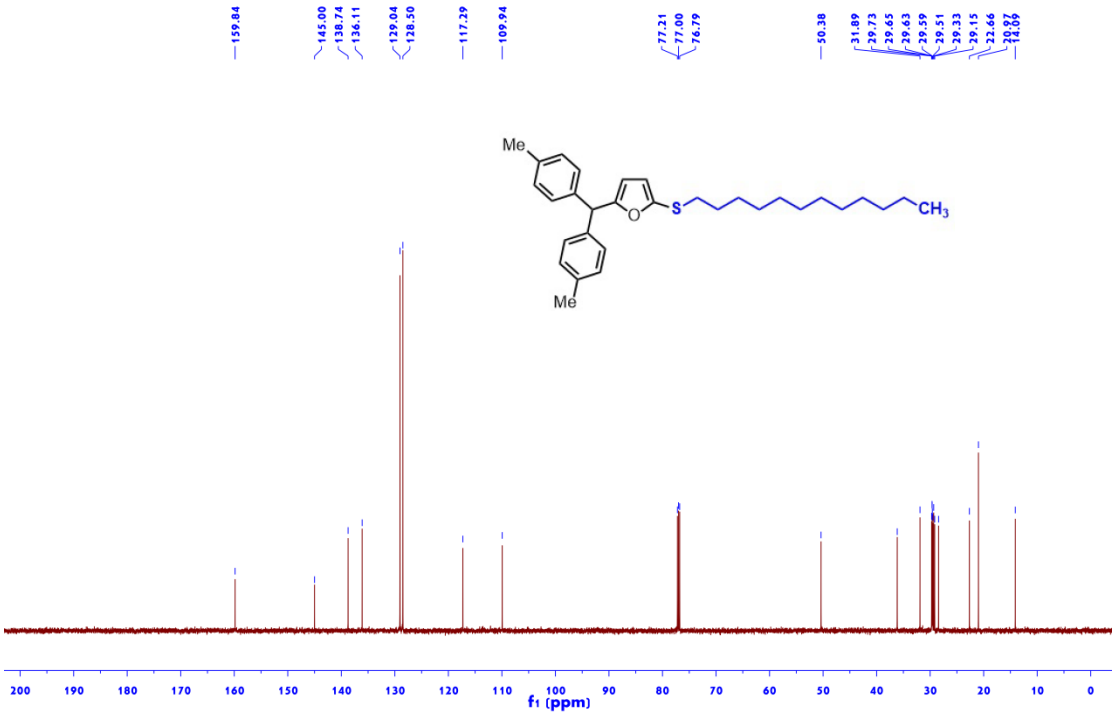


Figure S137 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ta

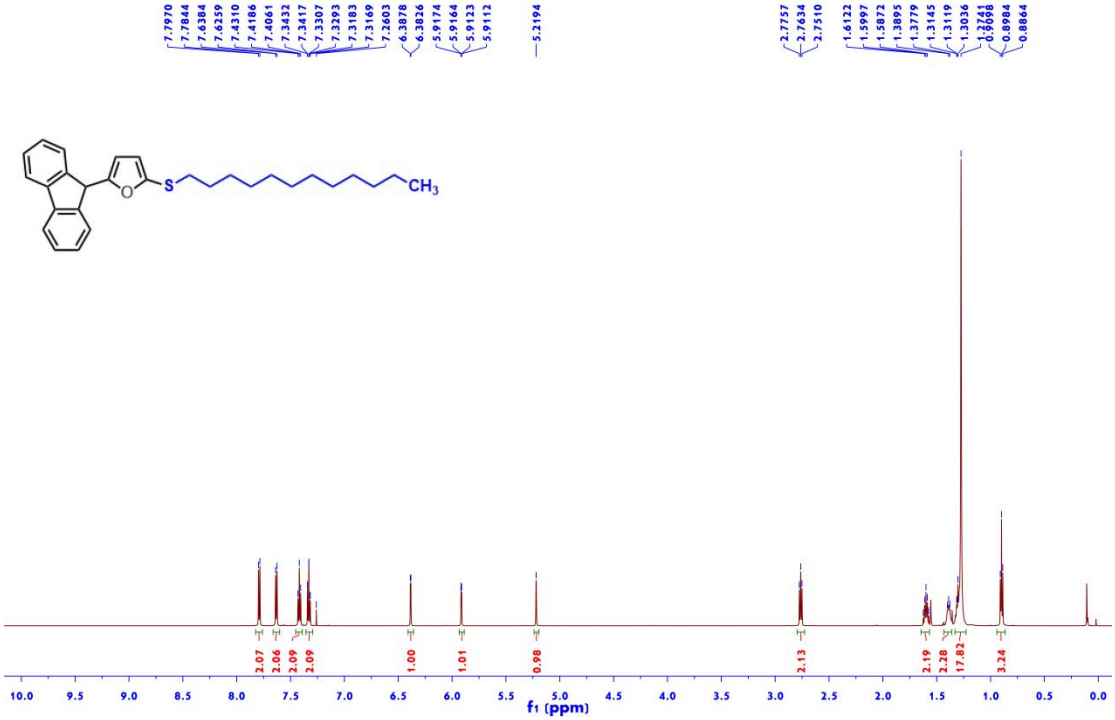


Figure S138 ^{13}C NMR (150 MHz, $CDCl_3$) of 4ta

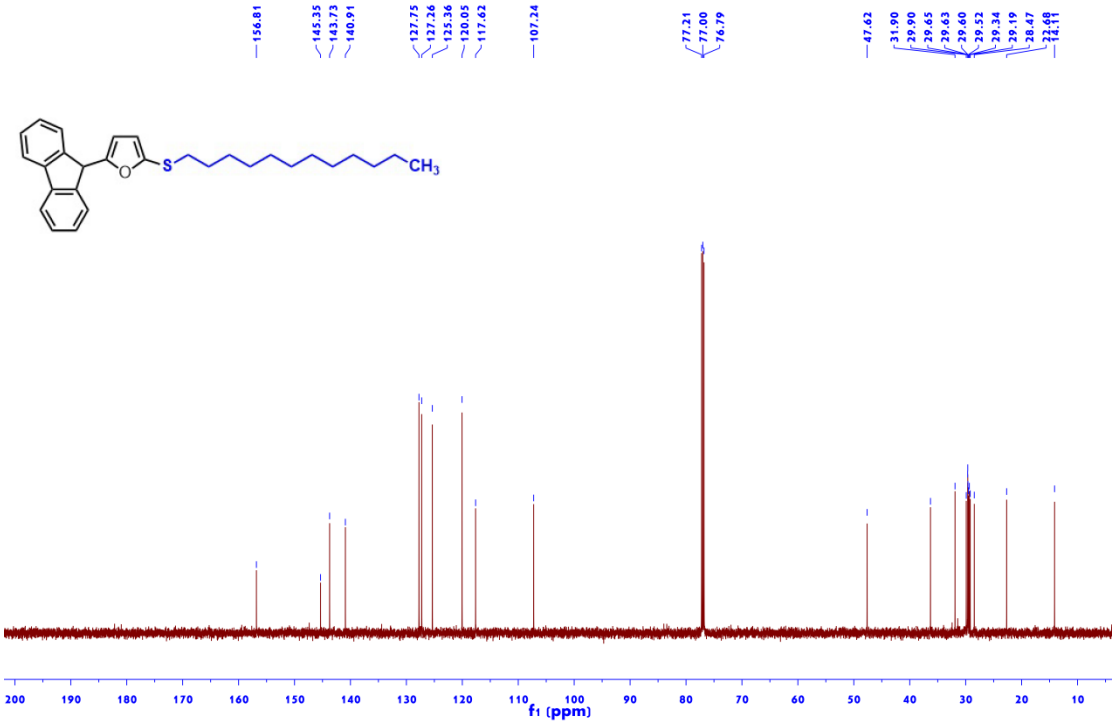


Figure S139 ^{1}H NMR (600 MHz, $CDCl_3$) of 4ua

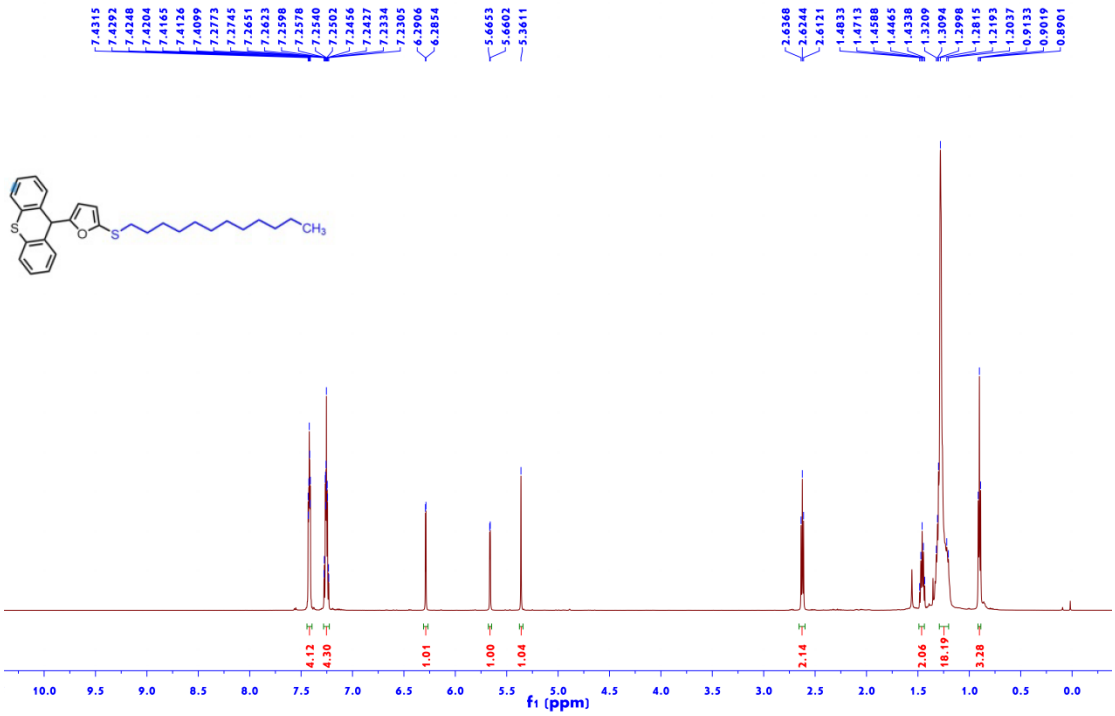


Figure S140 ^{13}C NMR (600 MHz, $CDCl_3$) of 4ua

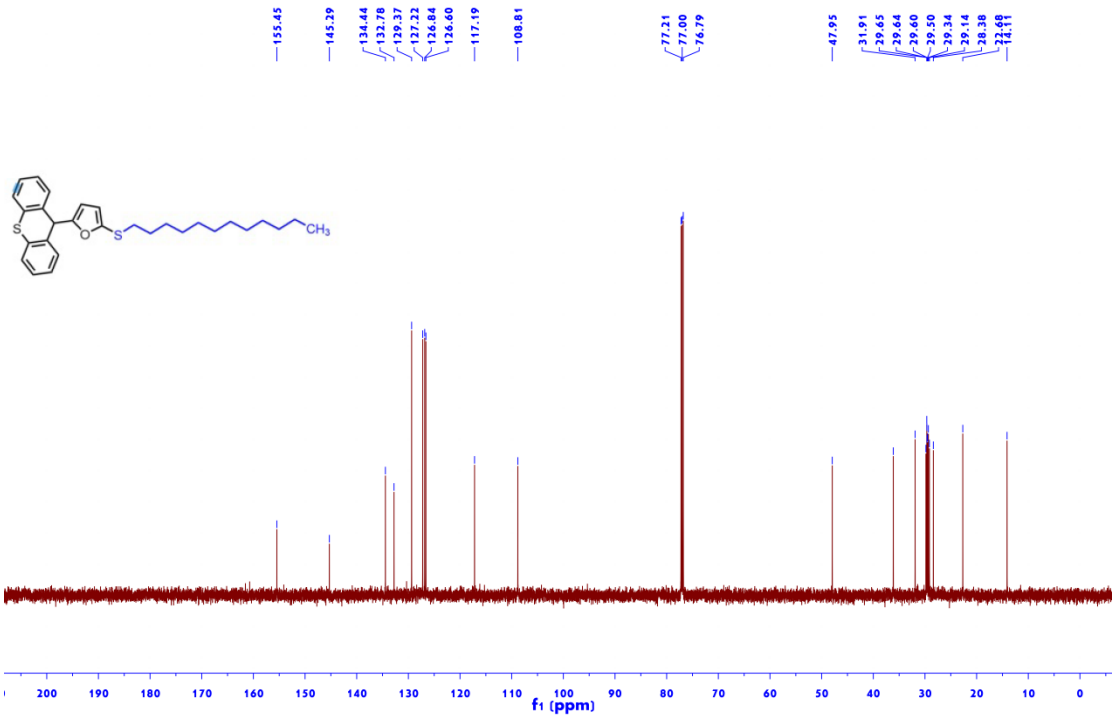


Figure S141 ^{1}H NMR (600 MHz, $CDCl_3$) of 4va

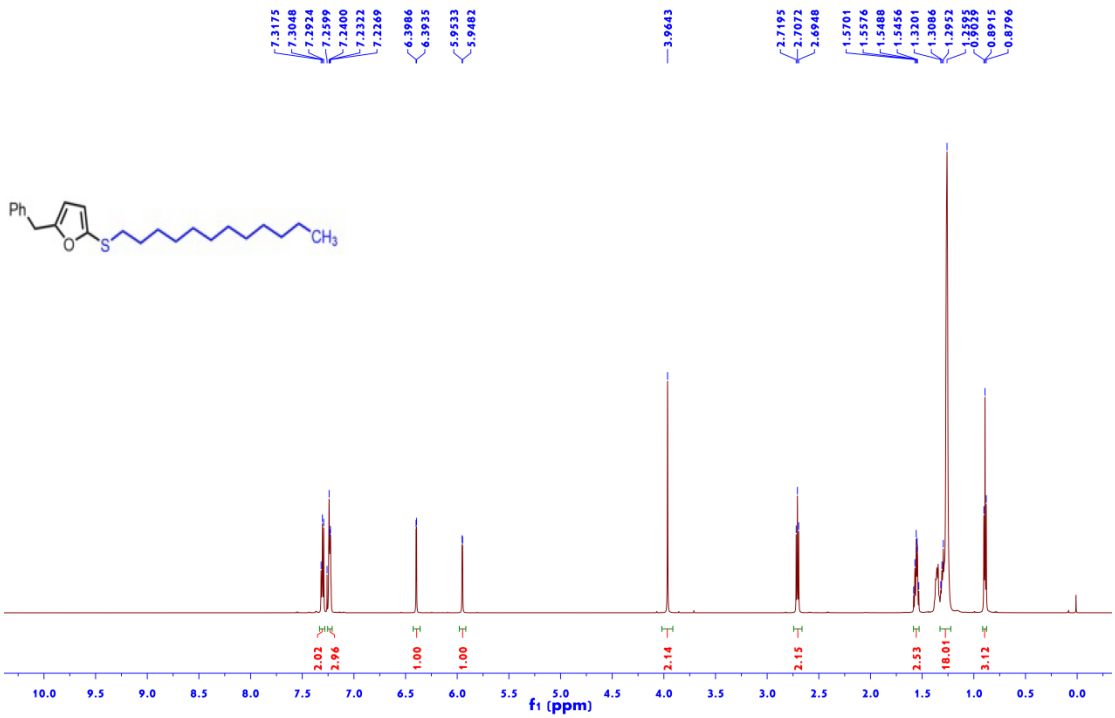


Figure S142 ^{13}C NMR (600 MHz, $CDCl_3$) of 4va

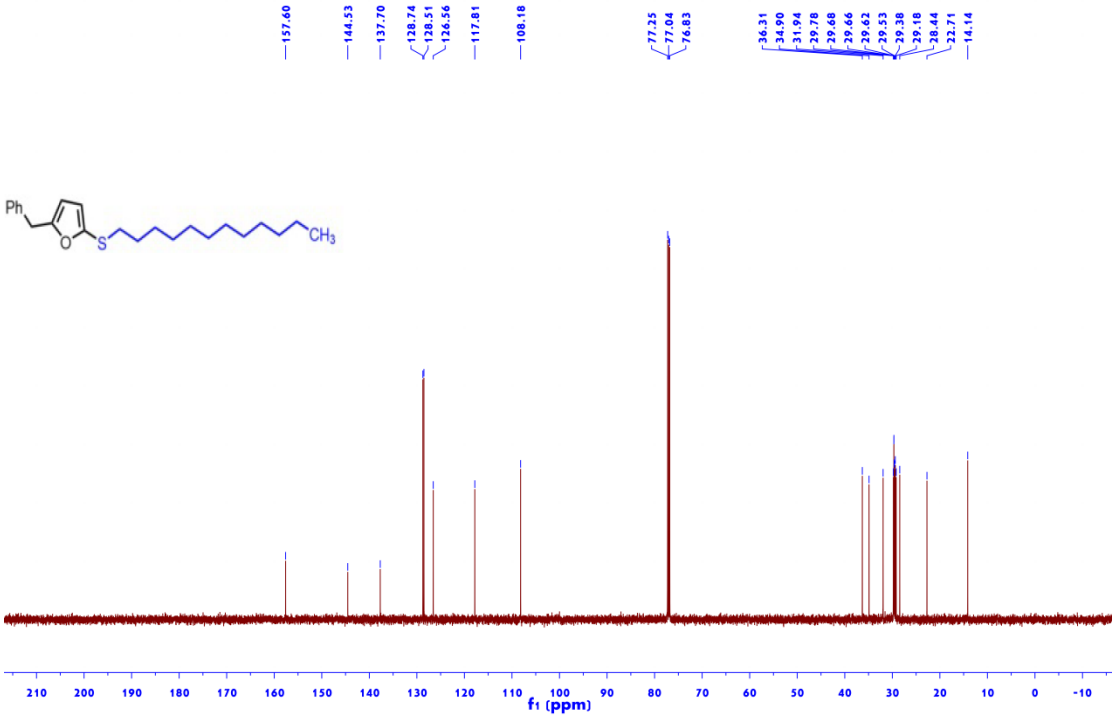


Figure S143 ^{1}H NMR (600 MHz, $CDCl_3$) of 5aa

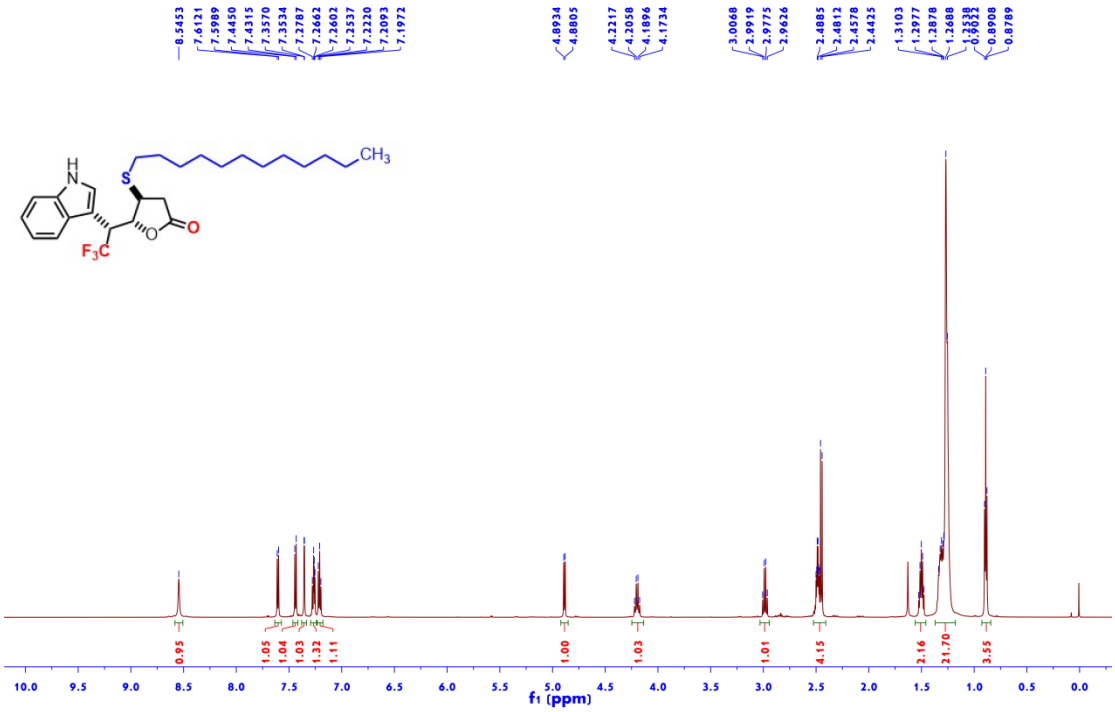


Figure S144 ^{13}C NMR (150 MHz, $CDCl_3$) of 5aa

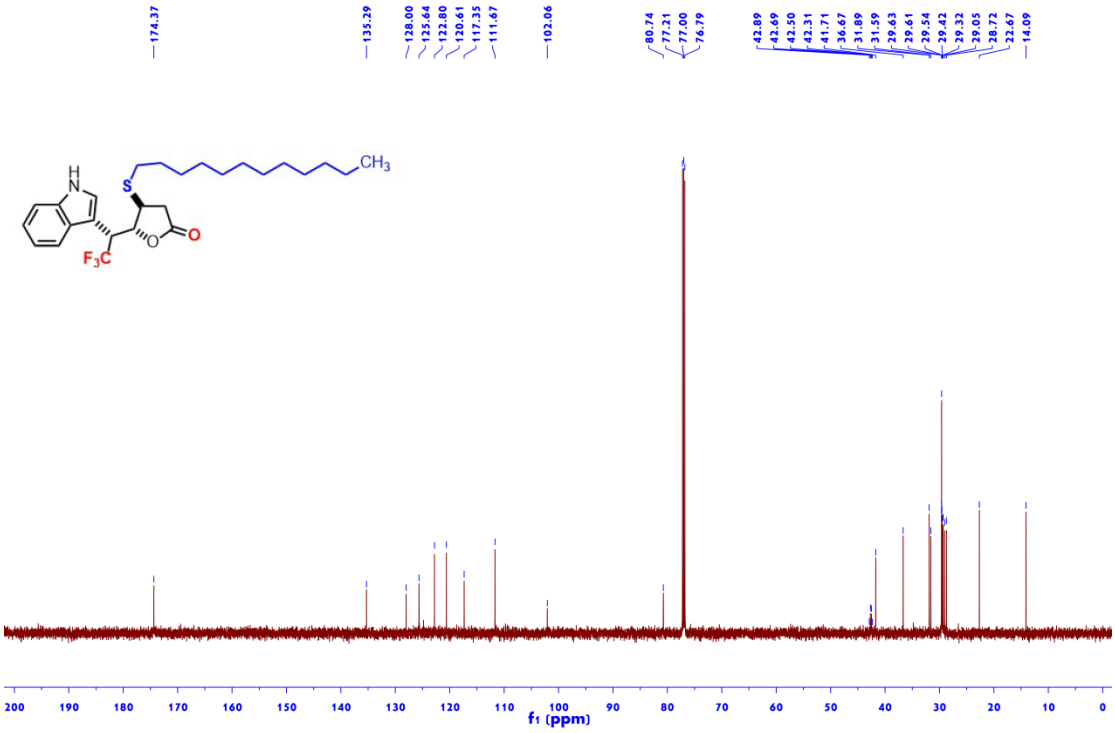


Figure S145 ^{19}F NMR (565 MHz, $CDCl_3$) of 5aa

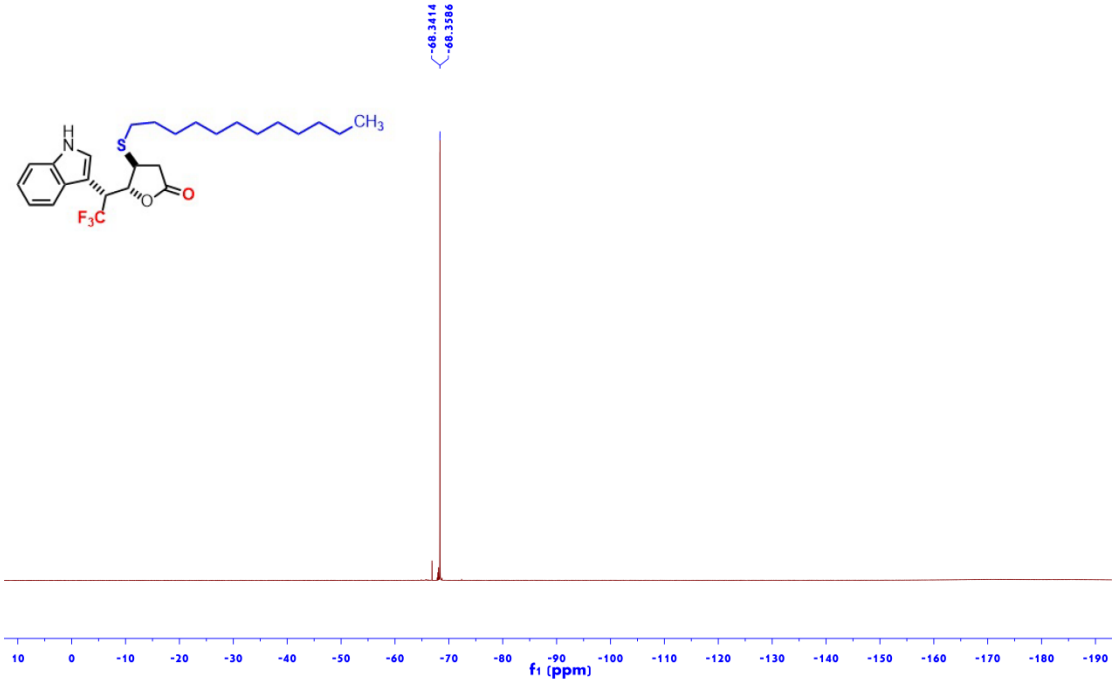


Figure S146 ^{1}H NMR (600 MHz, $CDCl_3$) of 5aa'

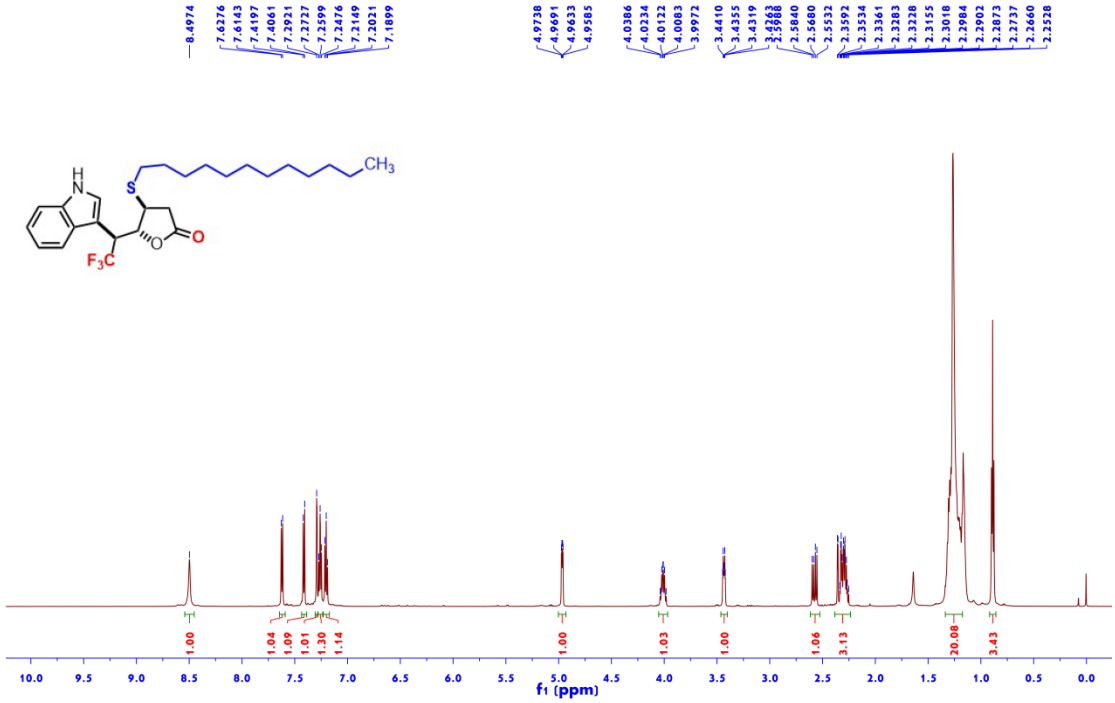


Figure S147 ^{13}C NMR (150 MHz, $CDCl_3$) of 5aa'

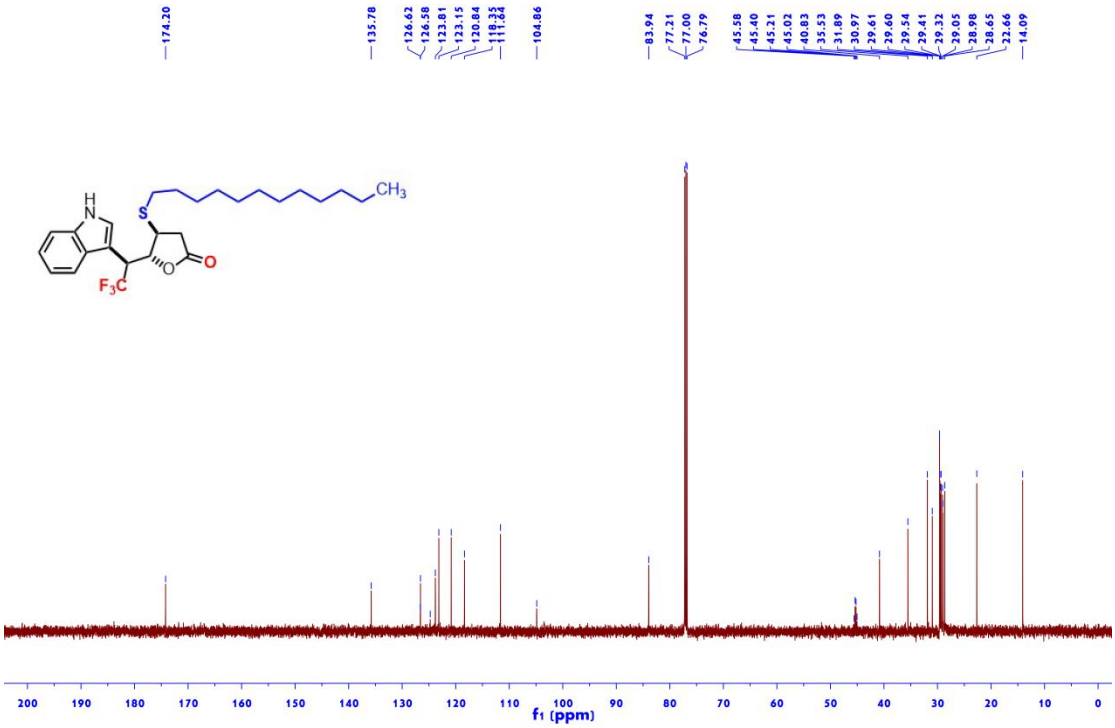


Figure S148 ^{19}F NMR (565 MHz, $CDCl_3$) of 5aa'

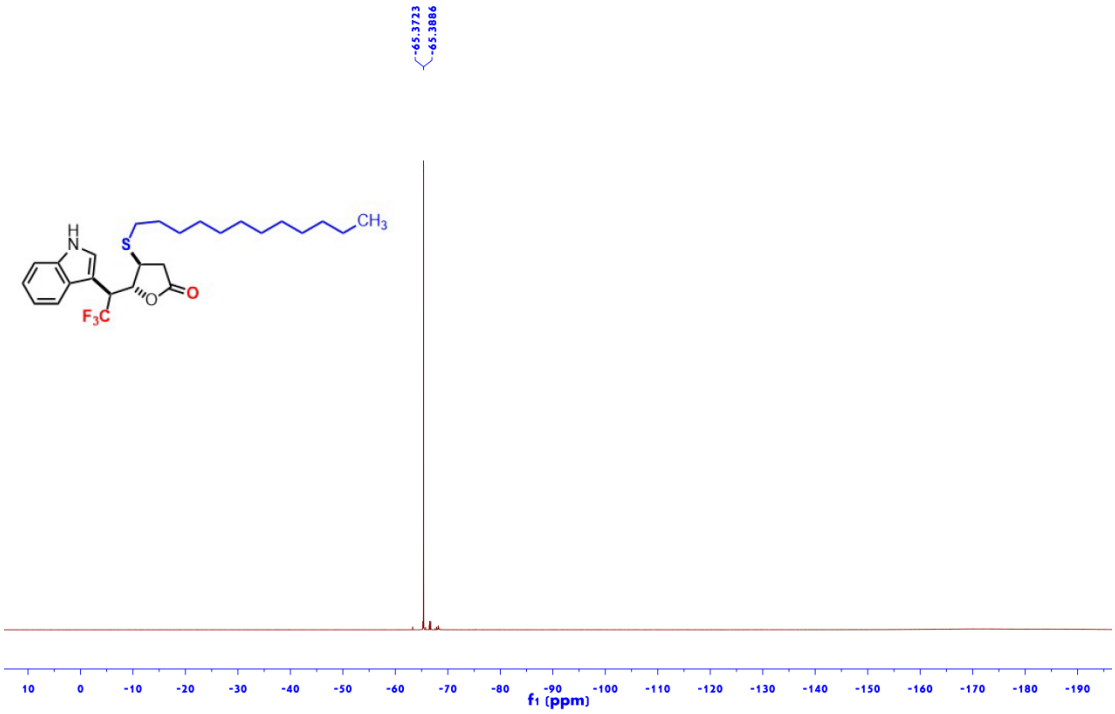


Figure S149 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ab

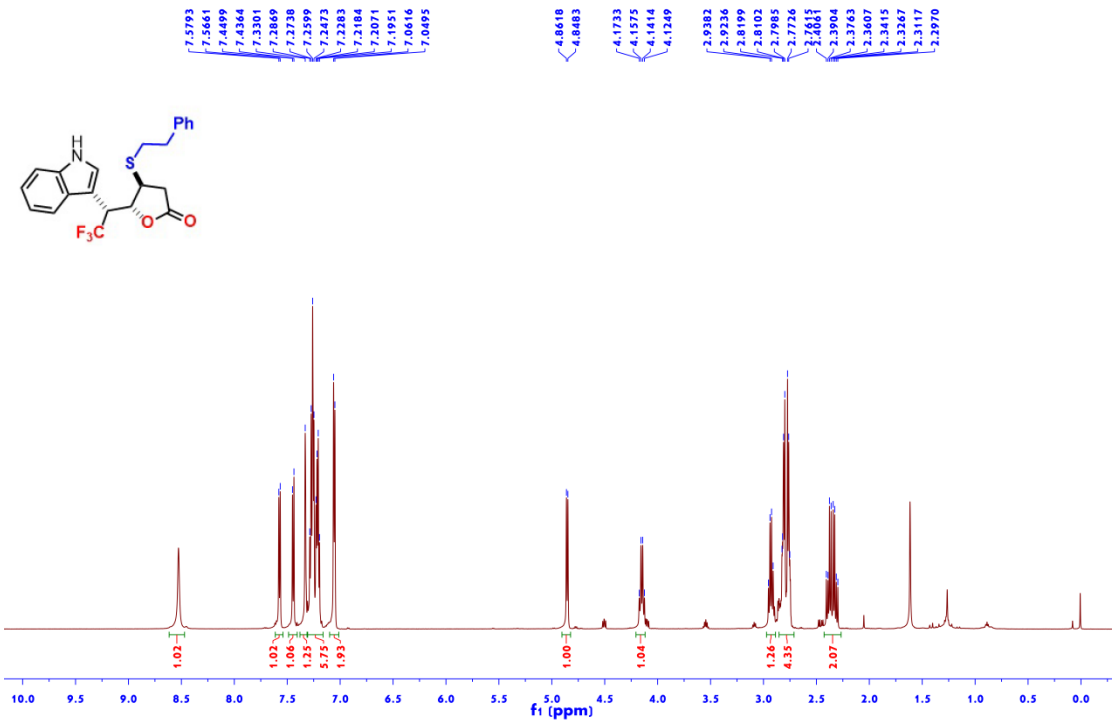


Figure S150 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ab

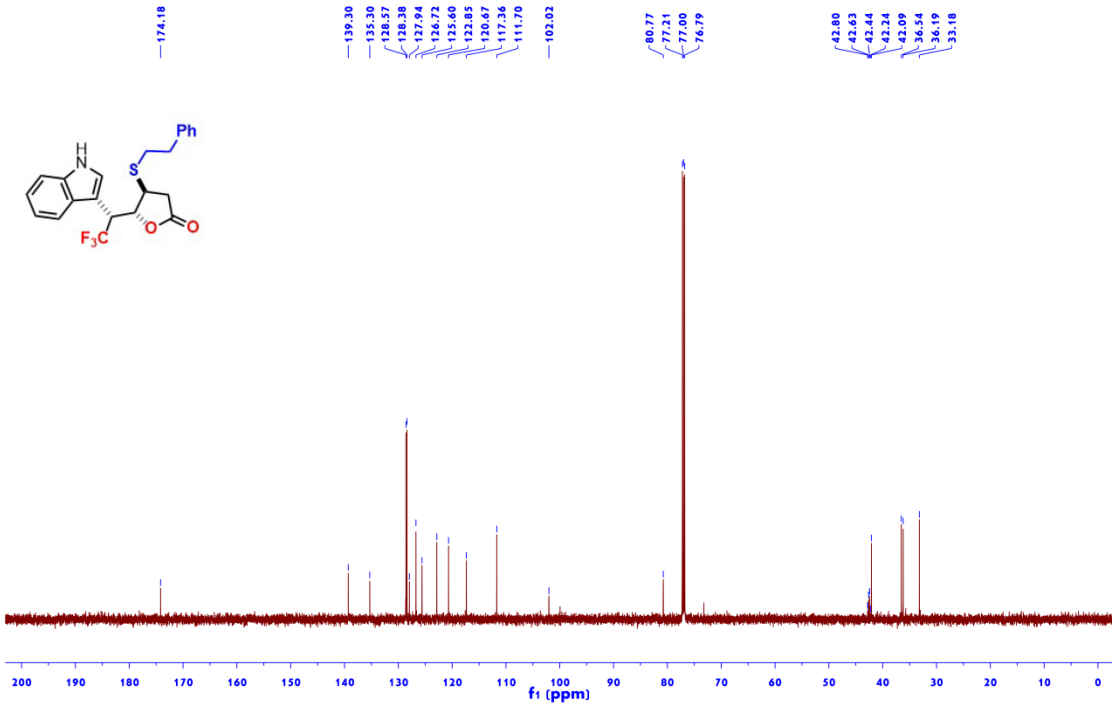


Figure S151 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ab

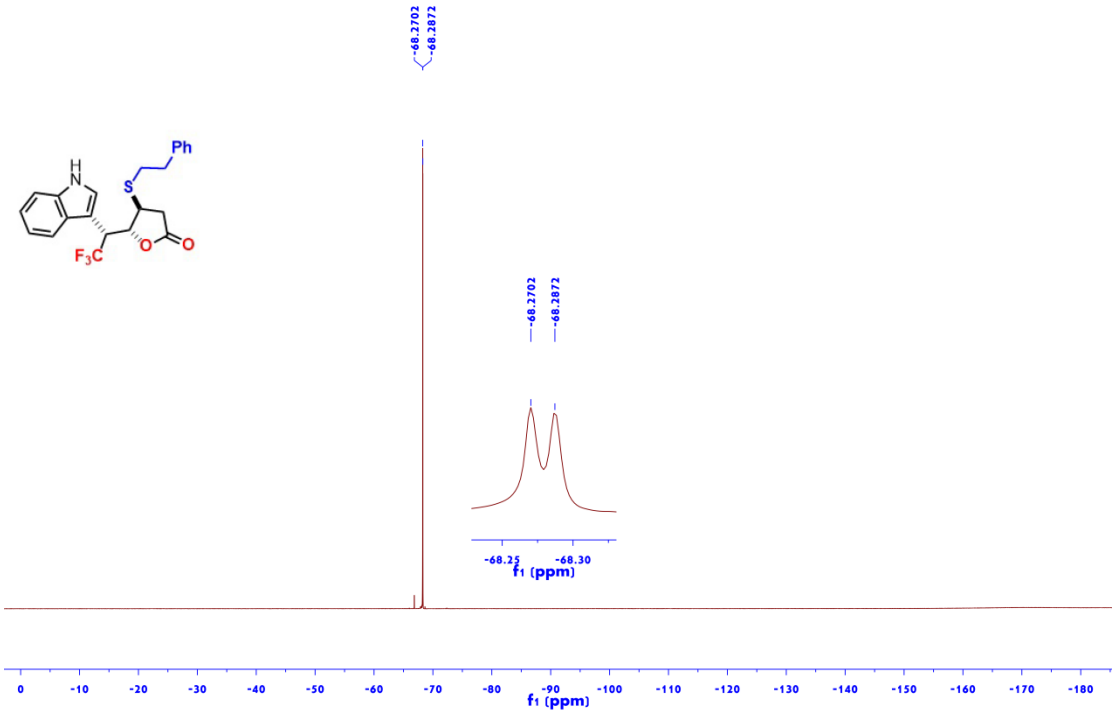


Figure S152 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ab'

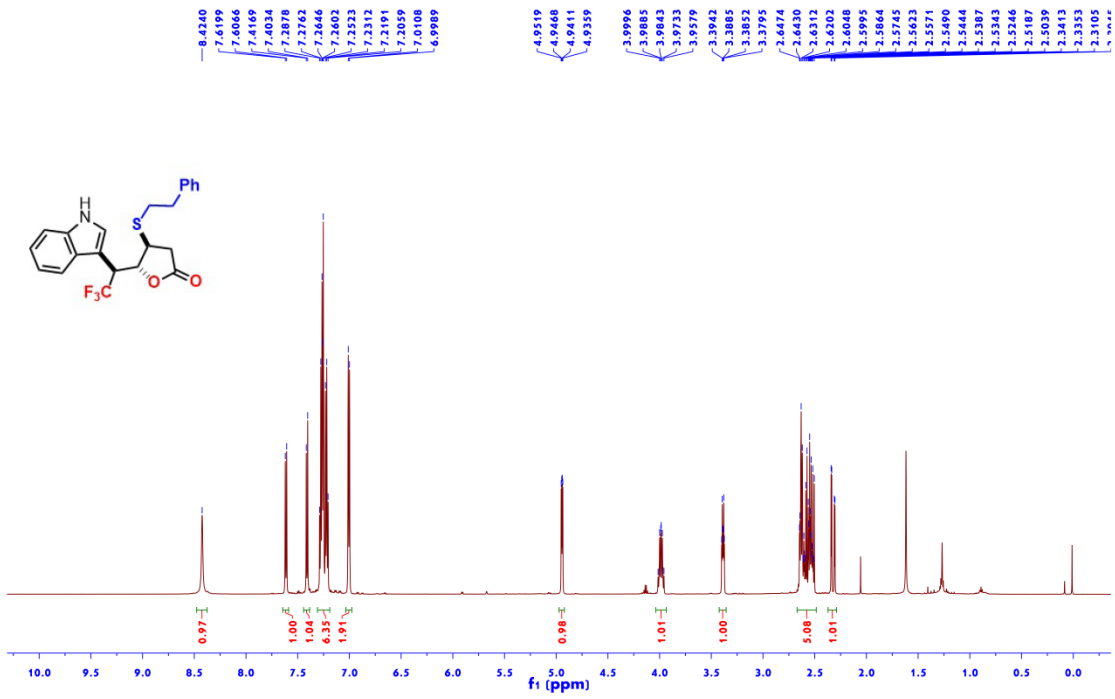


Figure S153 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ab'

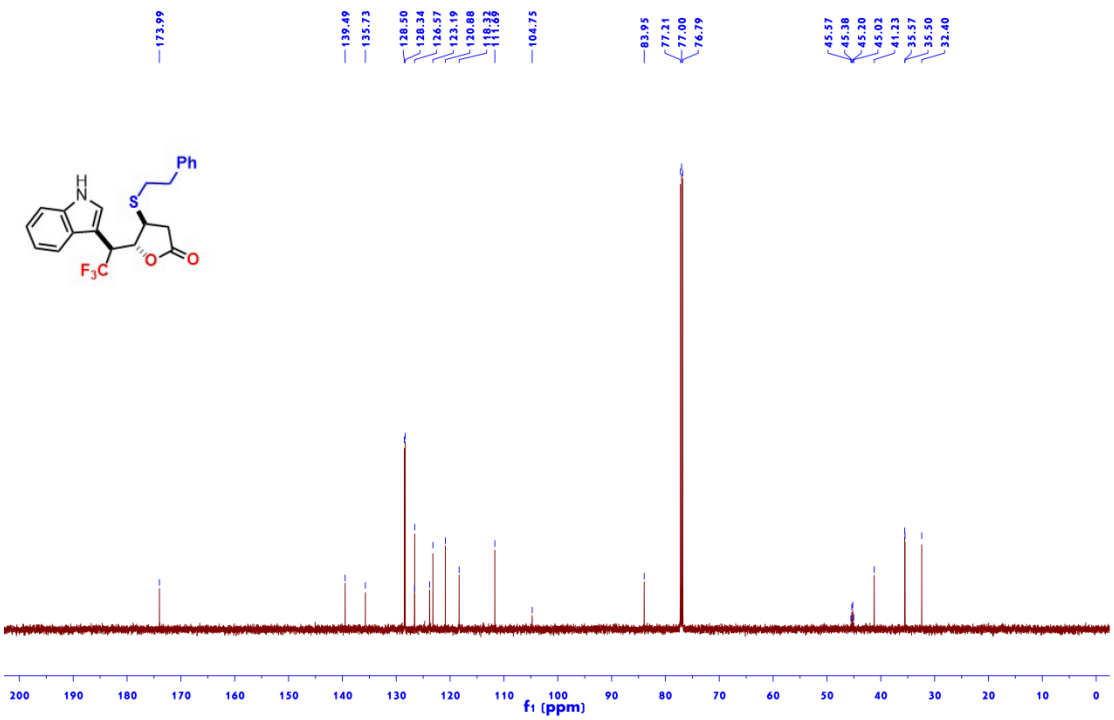


Figure S154 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ab'

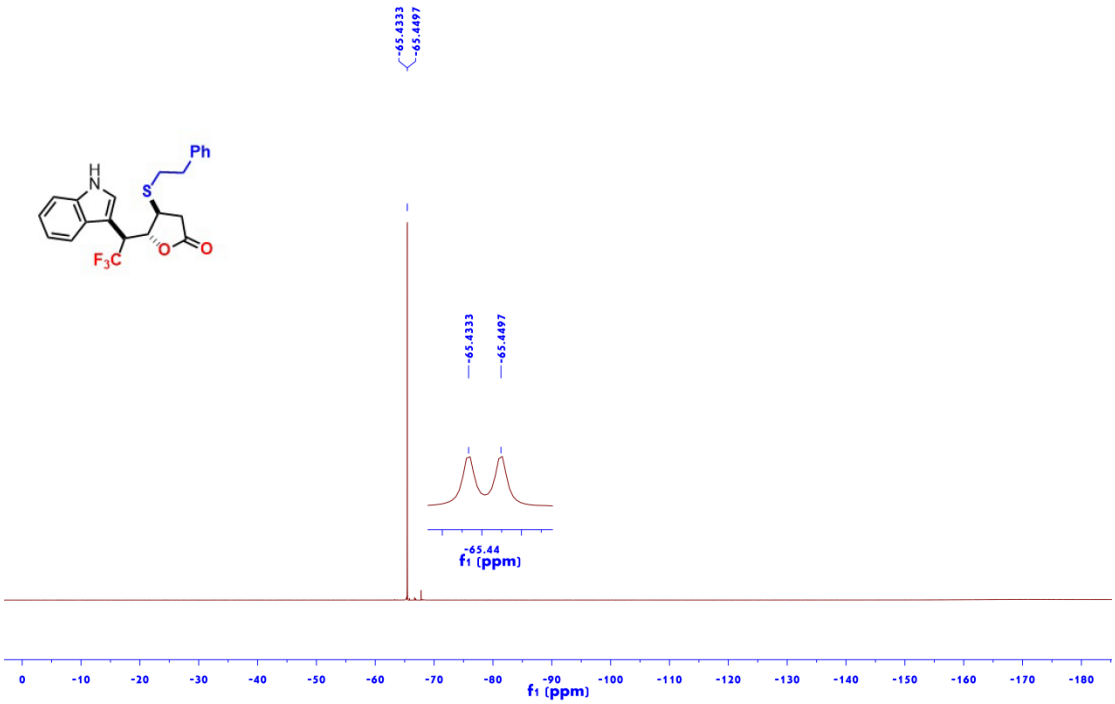


Figure S155 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ac

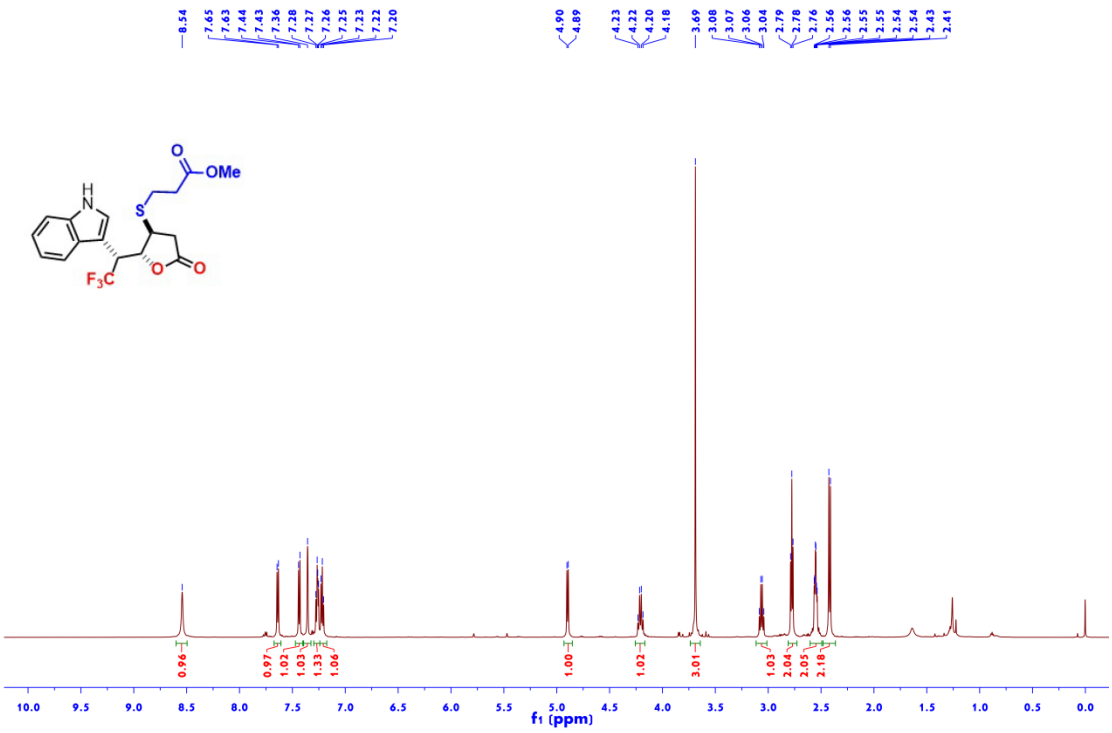


Figure S156 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ac

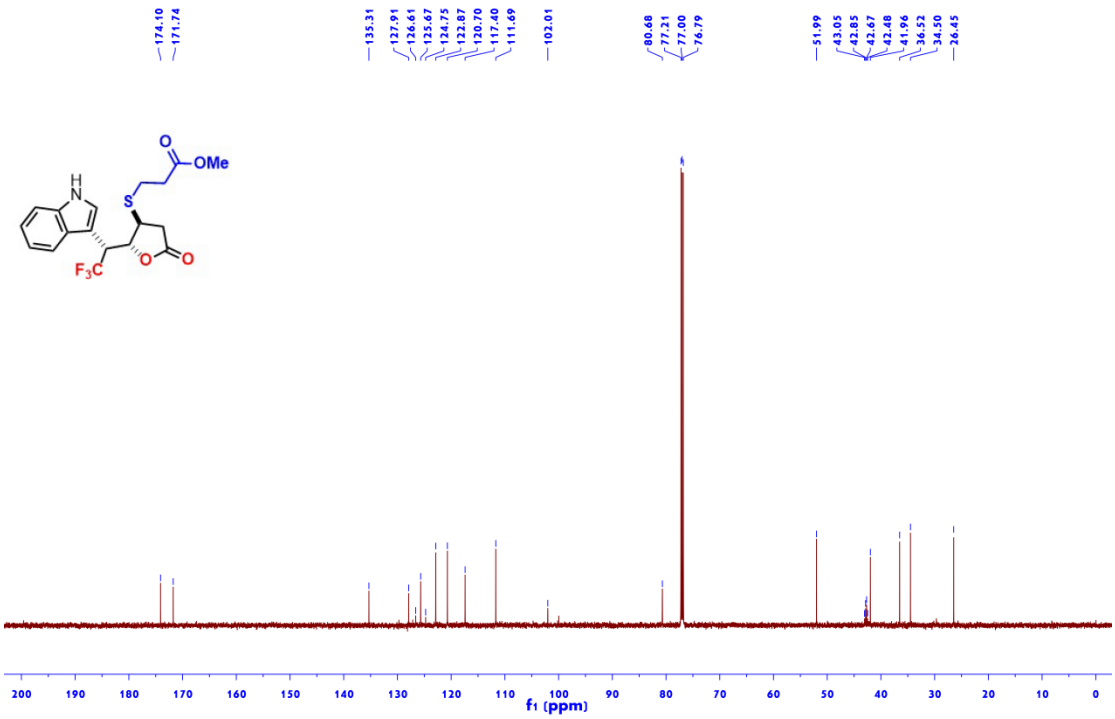


Figure S157 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ac

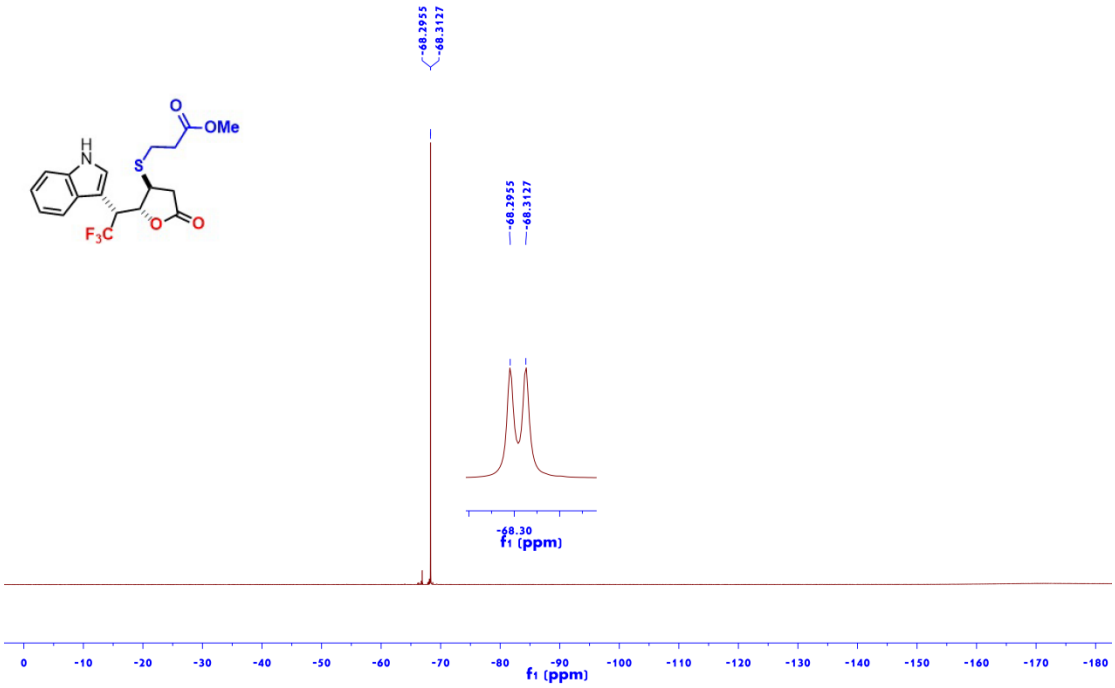


Figure S158 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ac'

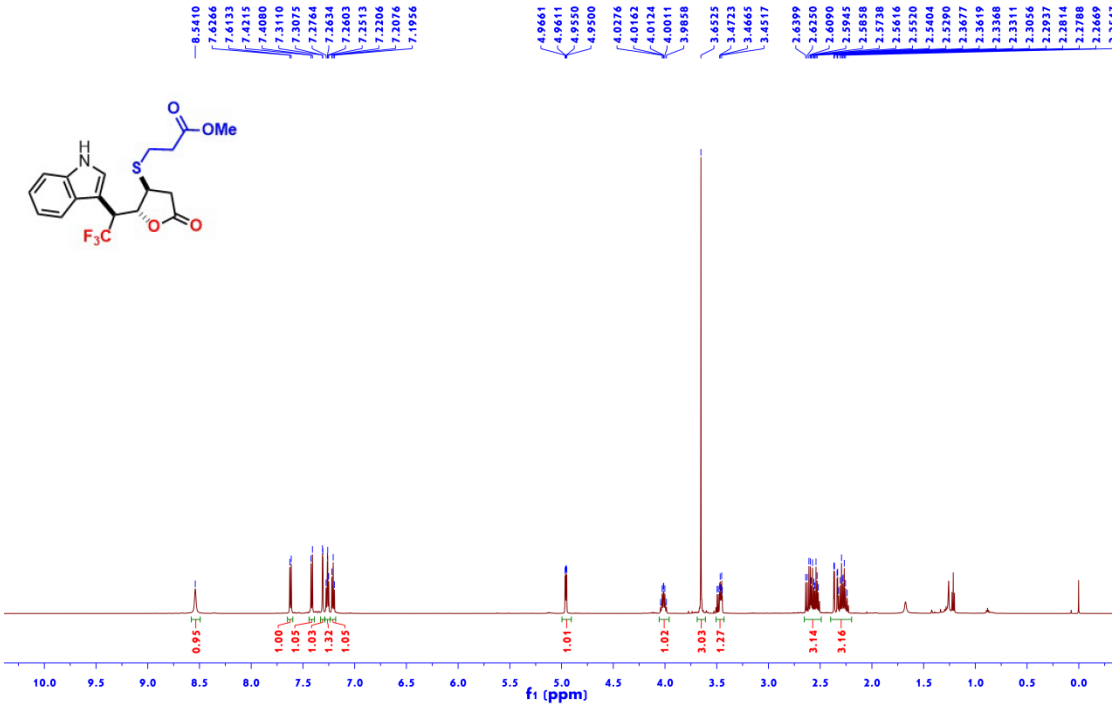


Figure S159 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ac'

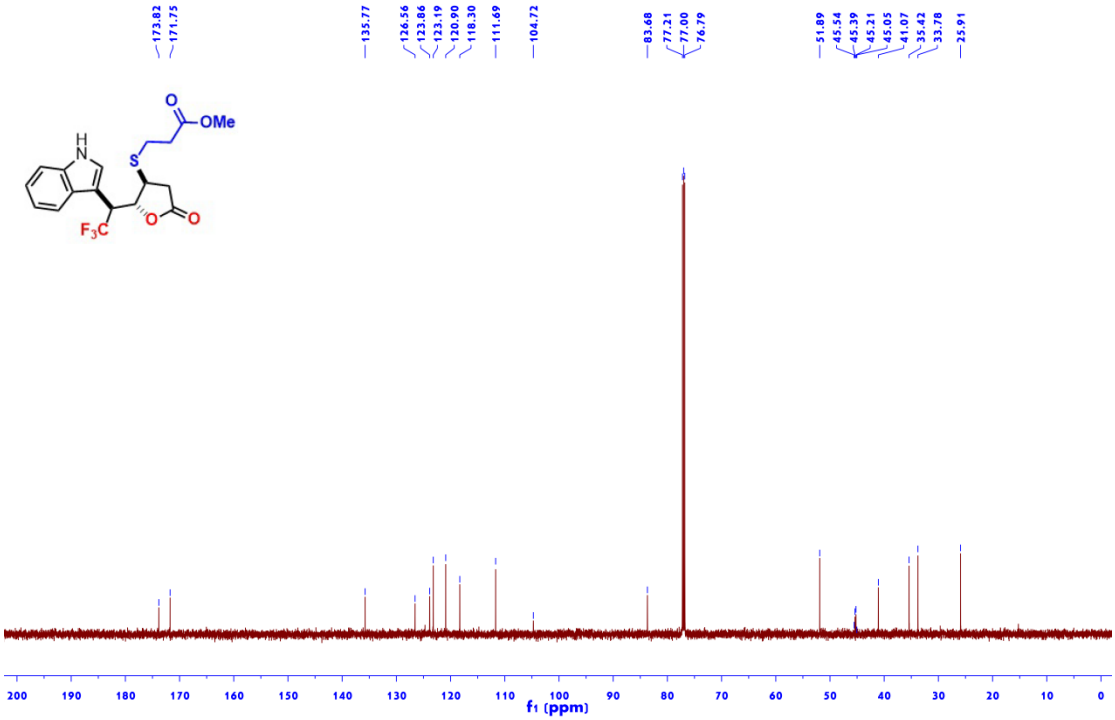


Figure S160 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ac'

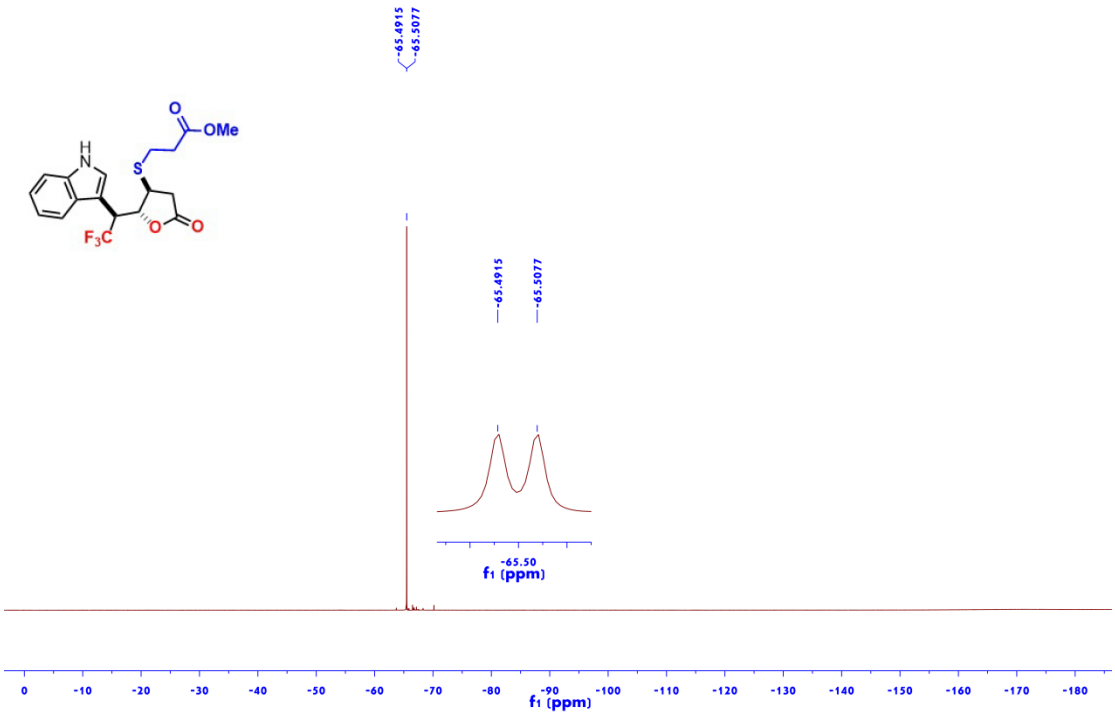


Figure S161 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ad

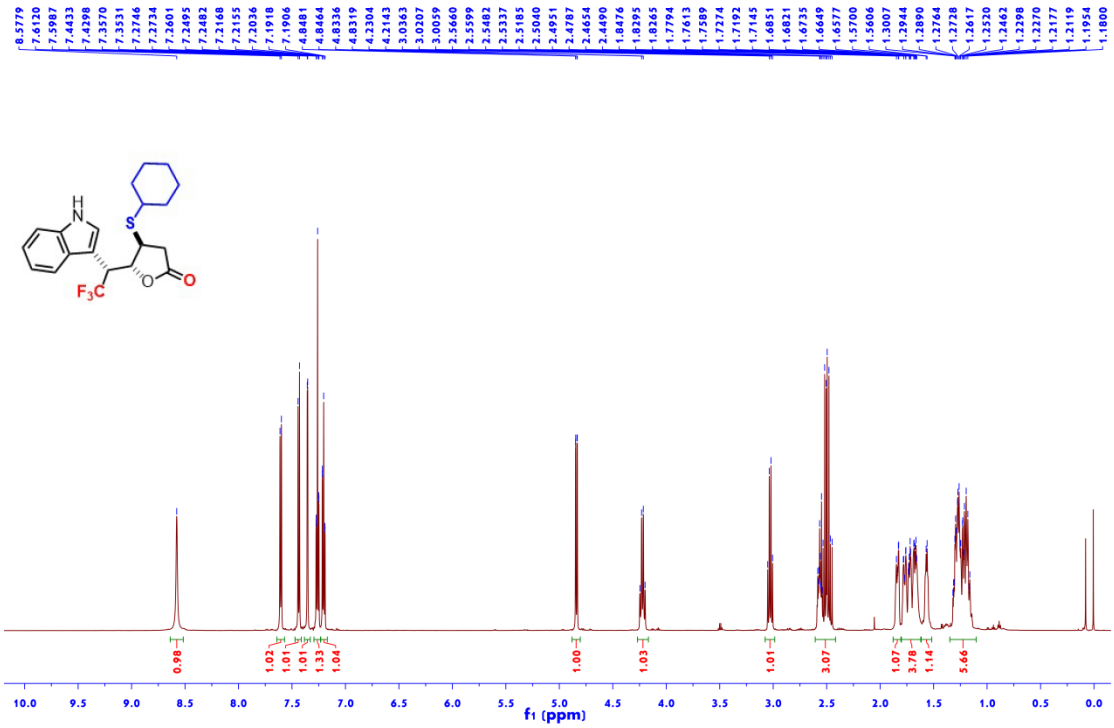


Figure S162 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ad

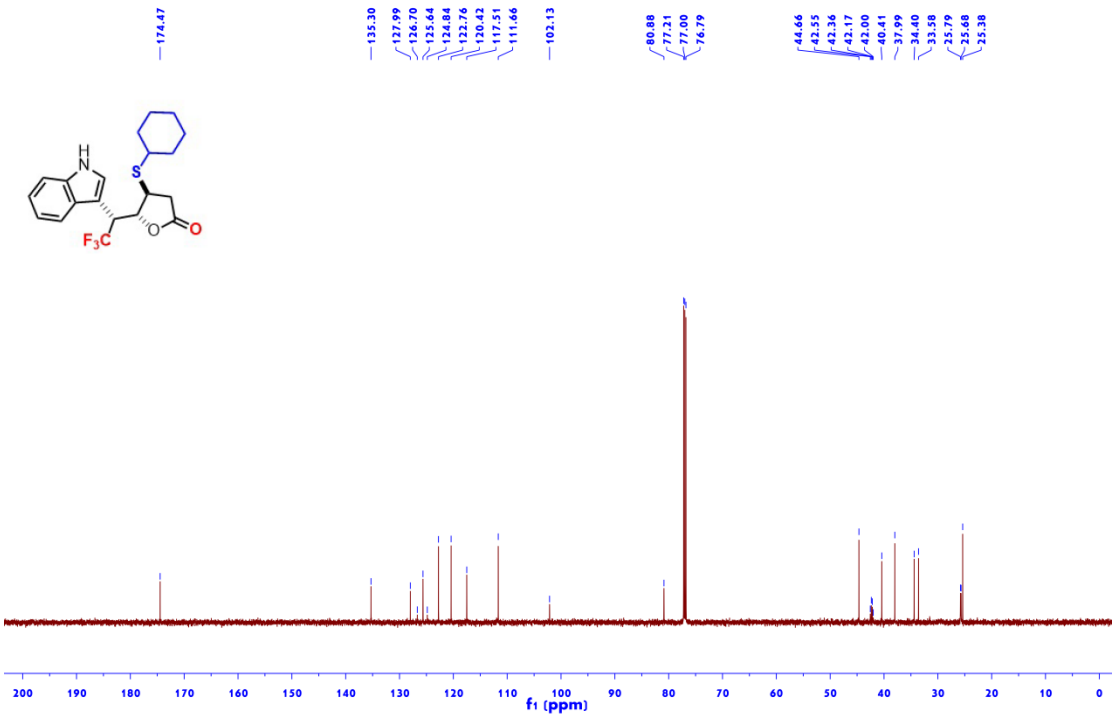


Figure S163 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ad

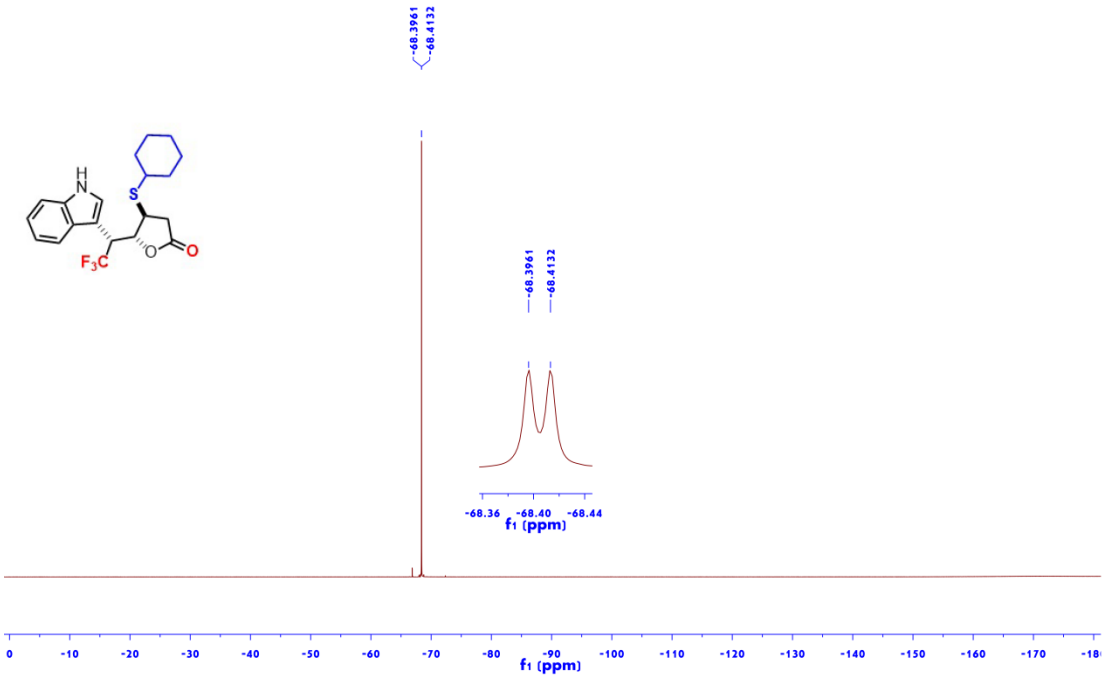


Figure S164 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ad'

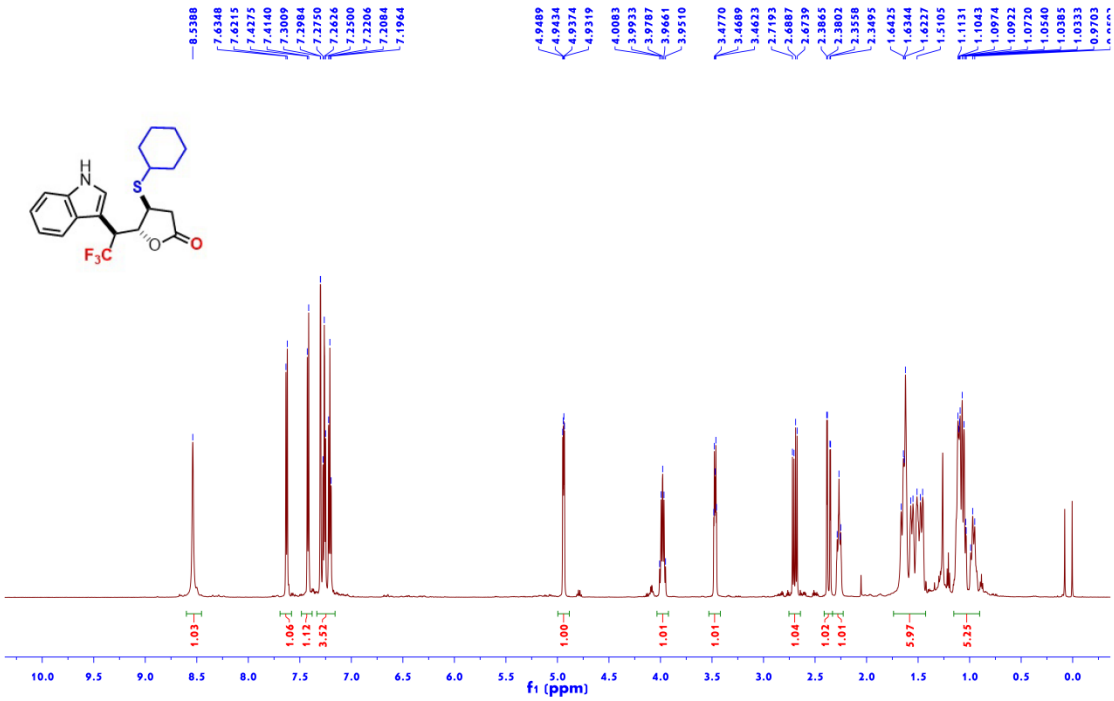


Figure S165 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ad'

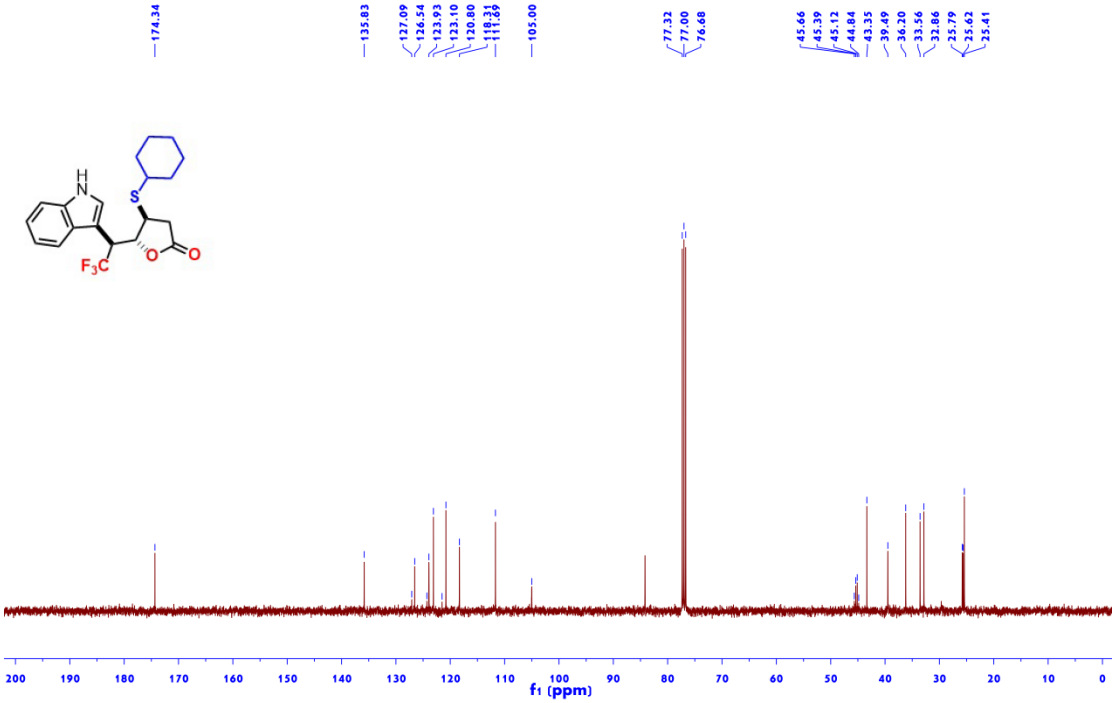


Figure S166 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ad'

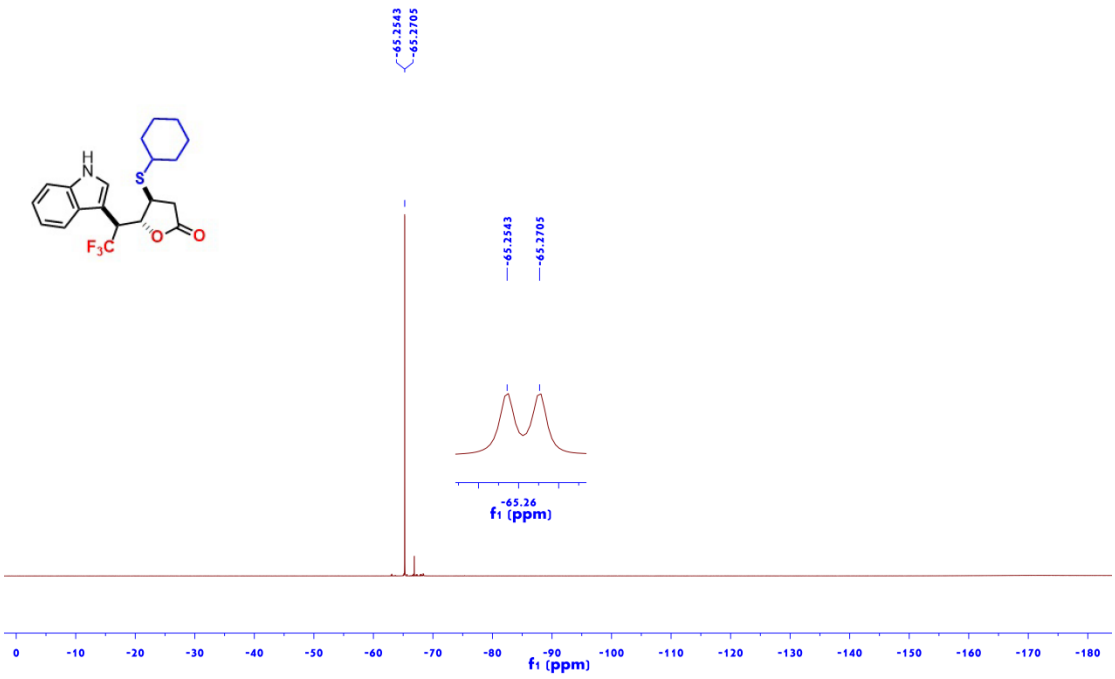


Figure S167 ^{1}H NMR (600 MHz, $CDCl_3$) of 5af

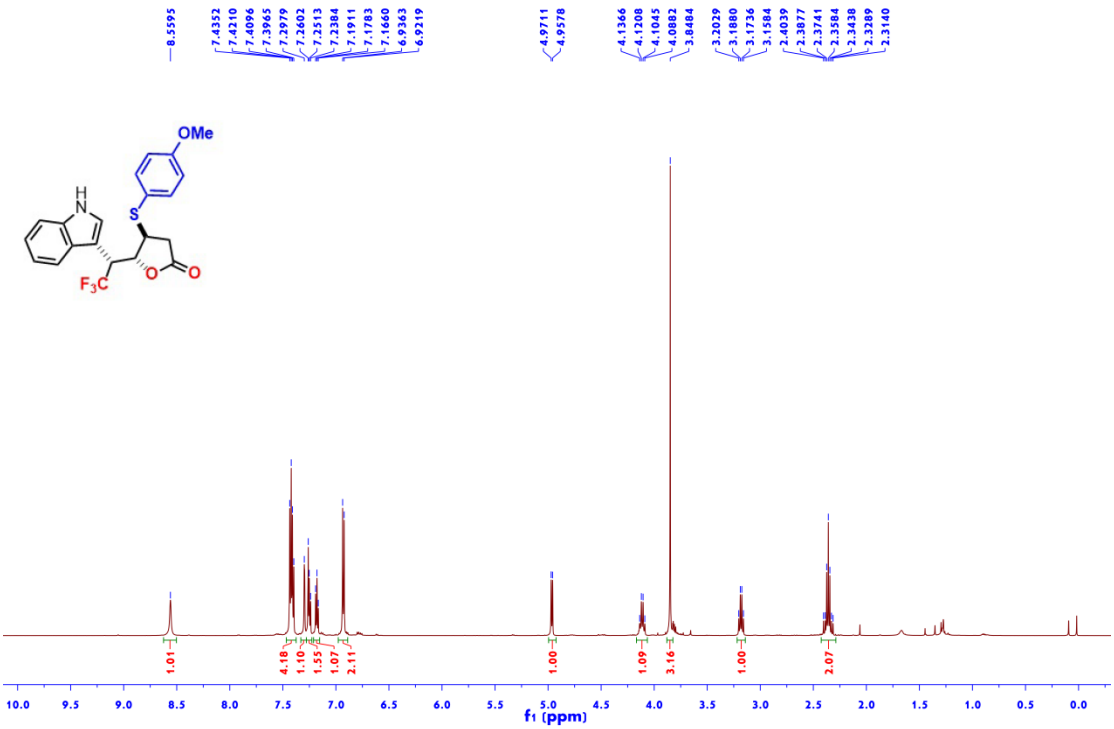


Figure S168 ^{13}C NMR (150 MHz, $CDCl_3$) of 5af

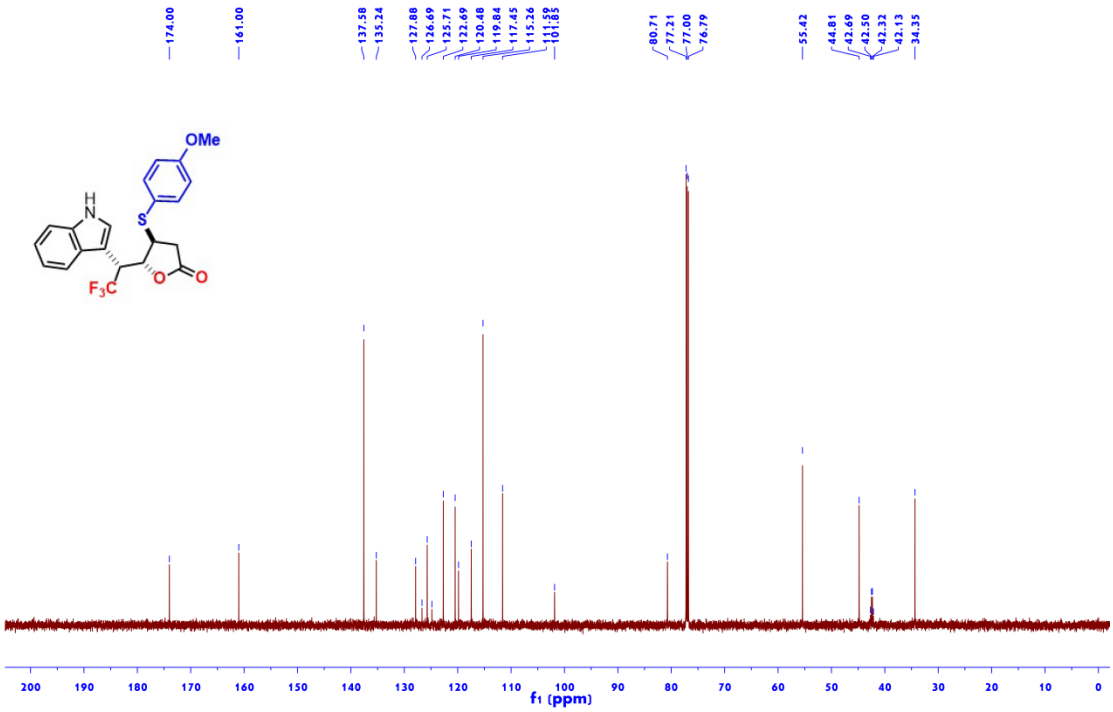


Figure S169 ^{19}F NMR (565 MHz, $CDCl_3$) of 5af

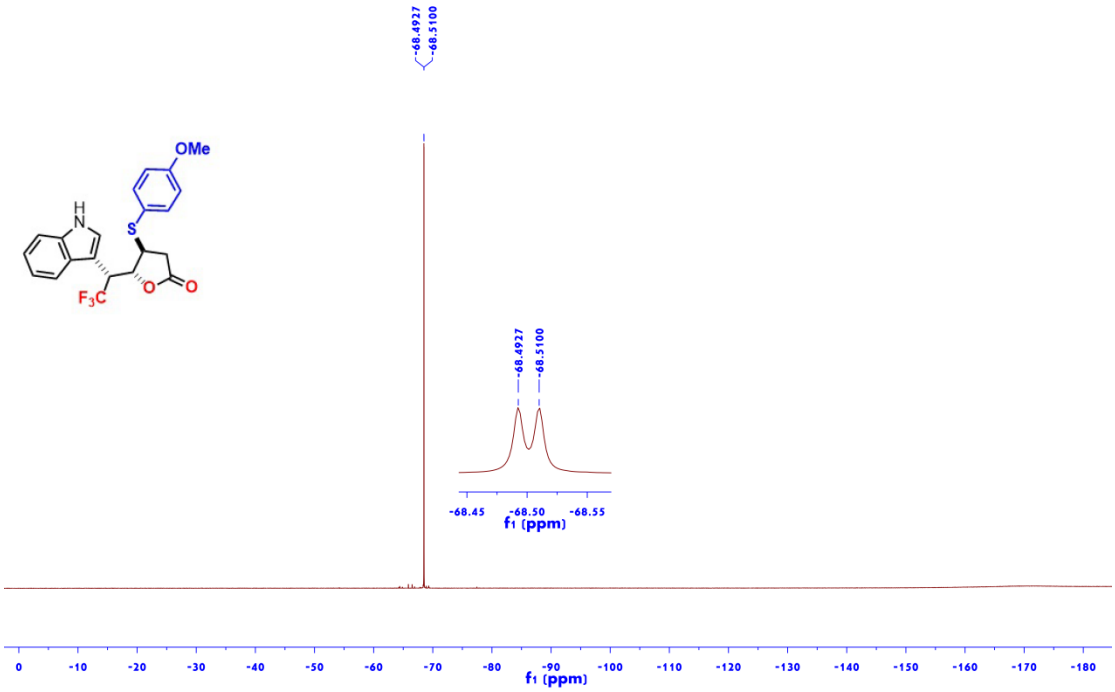


Figure S170 ^{13}H NMR (600 MHz, $CDCl_3$) of 5af'

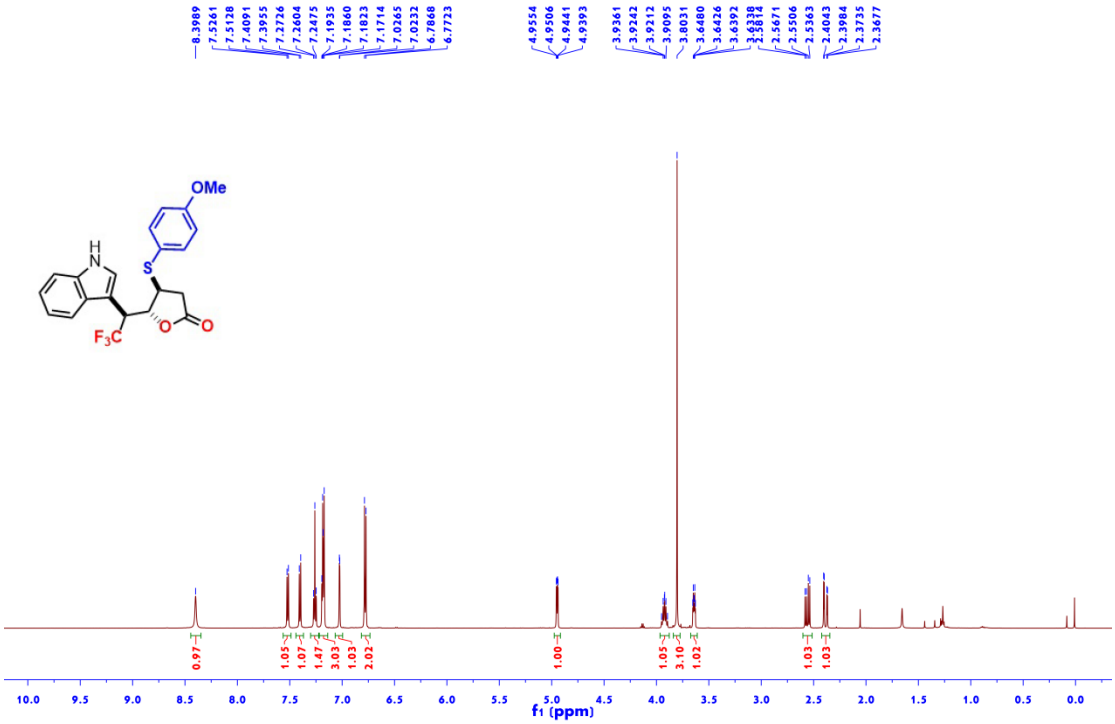


Figure S171 ^{13}C NMR (150 MHz, $CDCl_3$) of 5af'

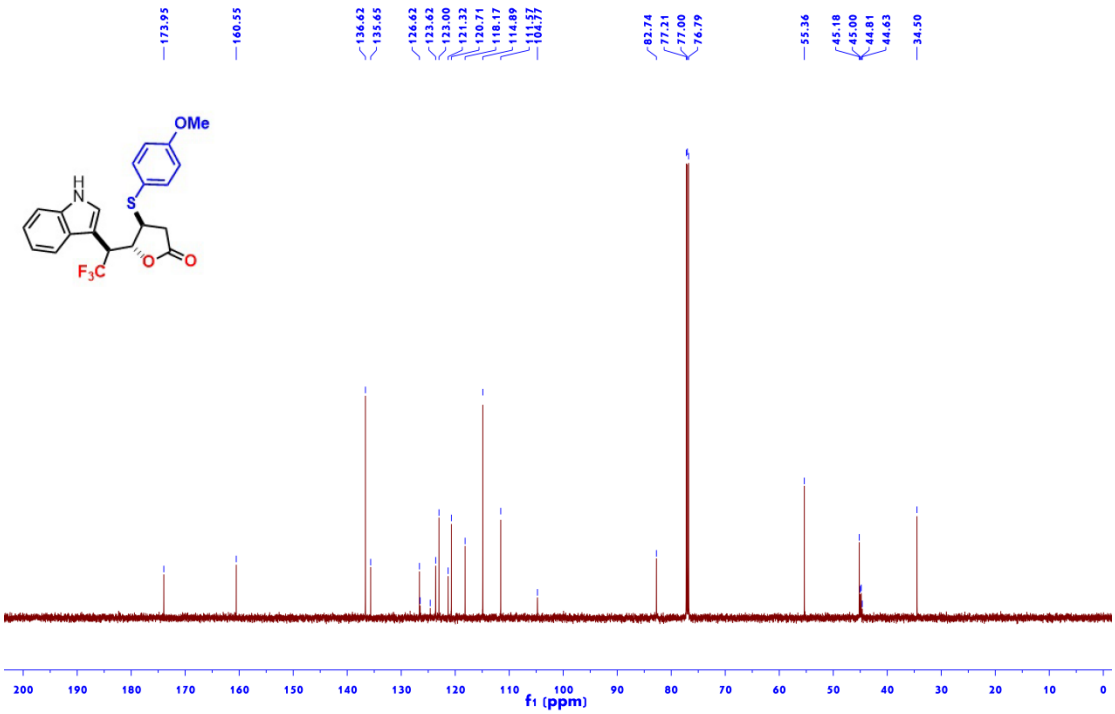


Figure S172 ^{19}F NMR (565 MHz, $CDCl_3$) of 5af'

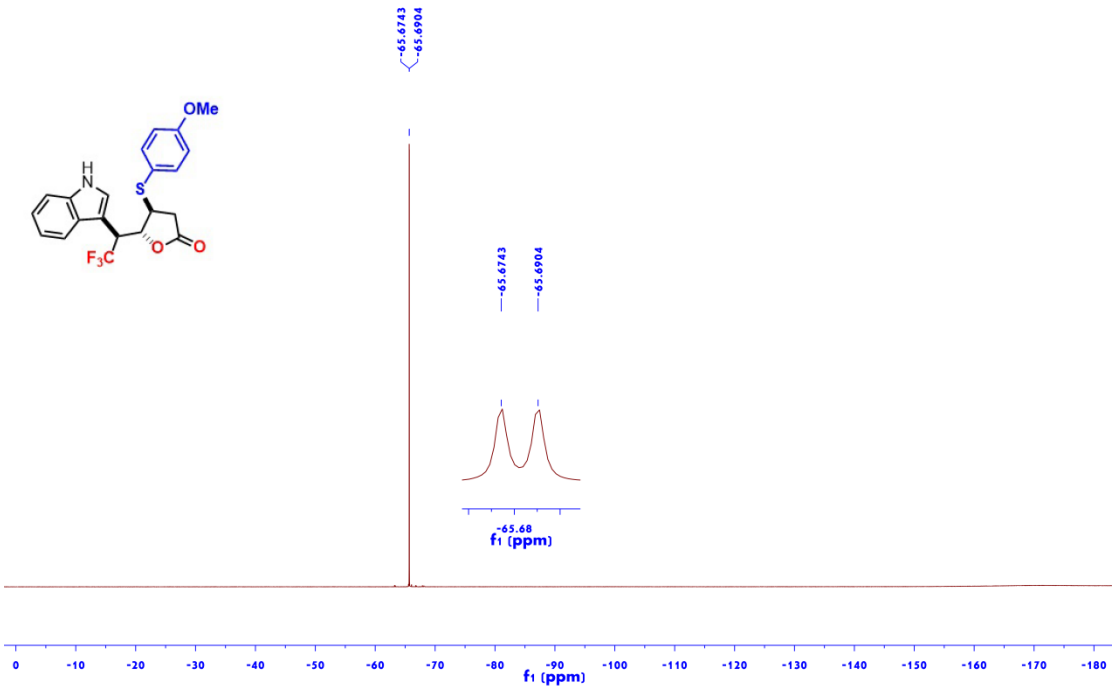


Figure S173 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ag

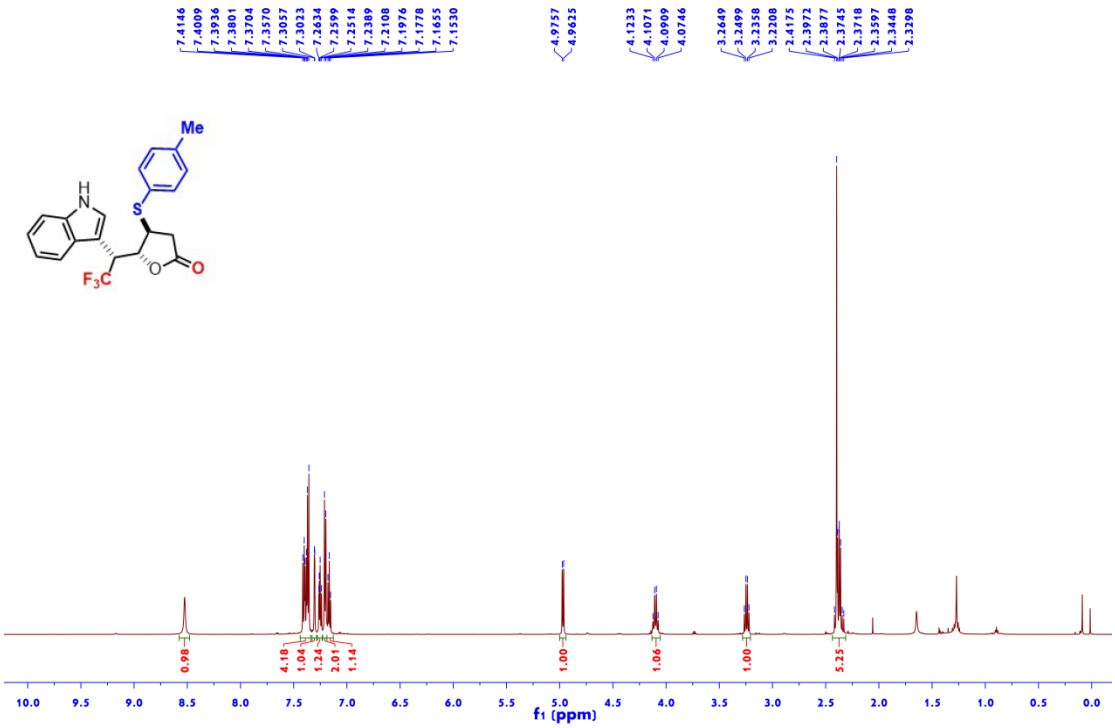


Figure S174 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ag

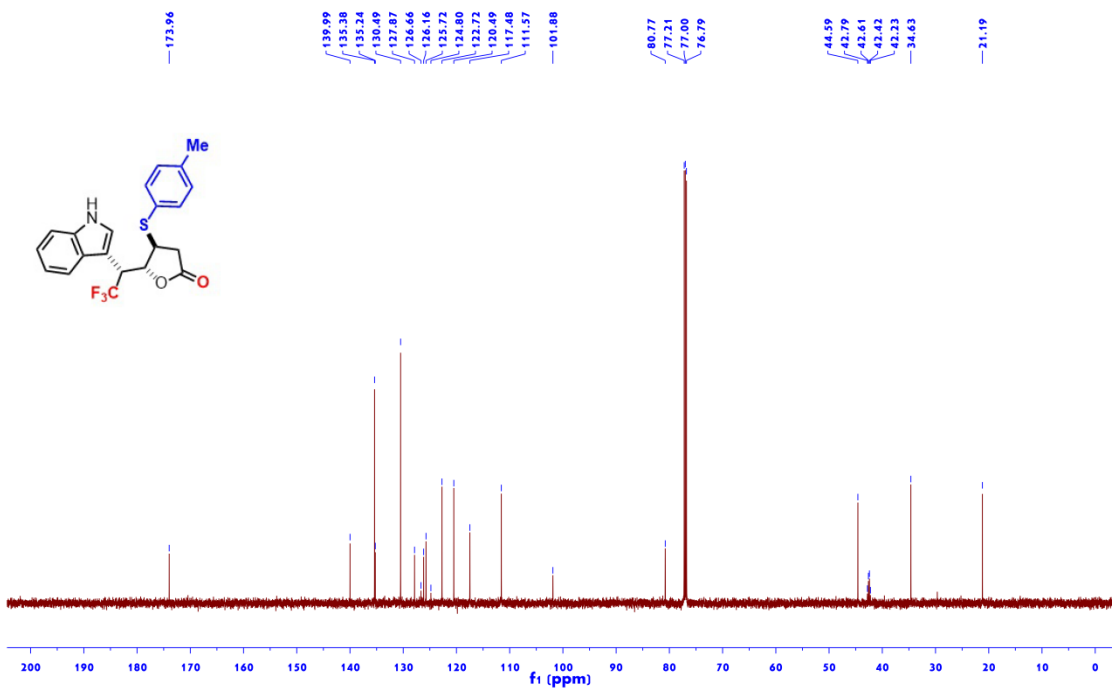


Figure S175 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ag

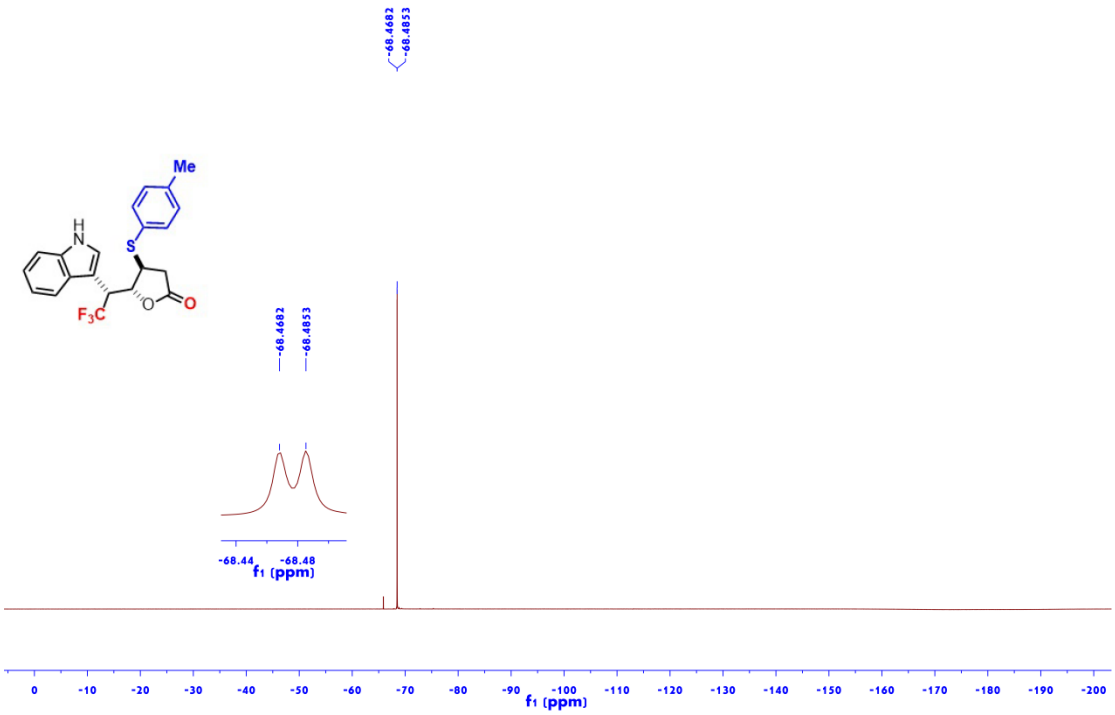


Figure S176 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ag'

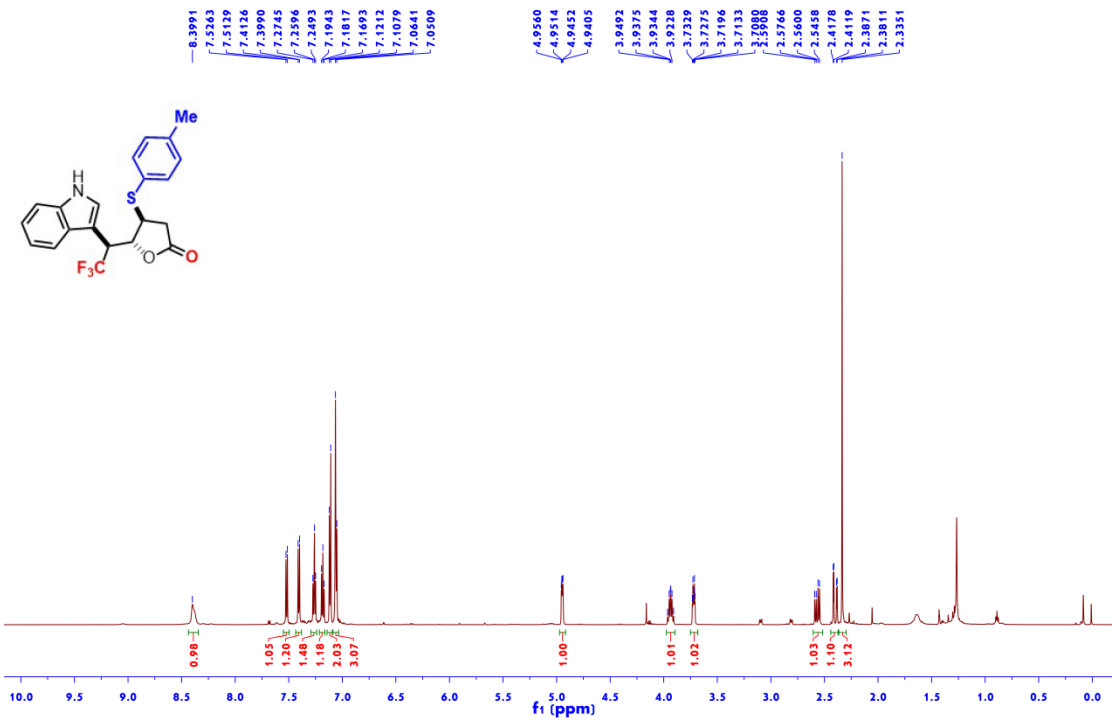


Figure S177 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ag'

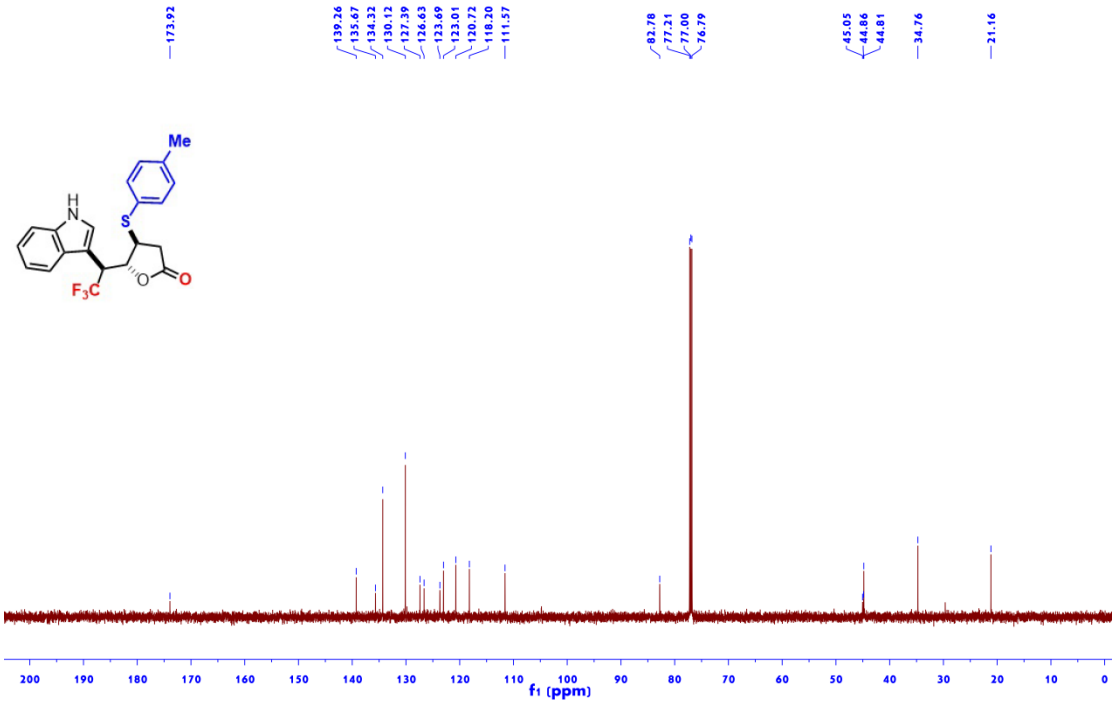


Figure S178 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ag'

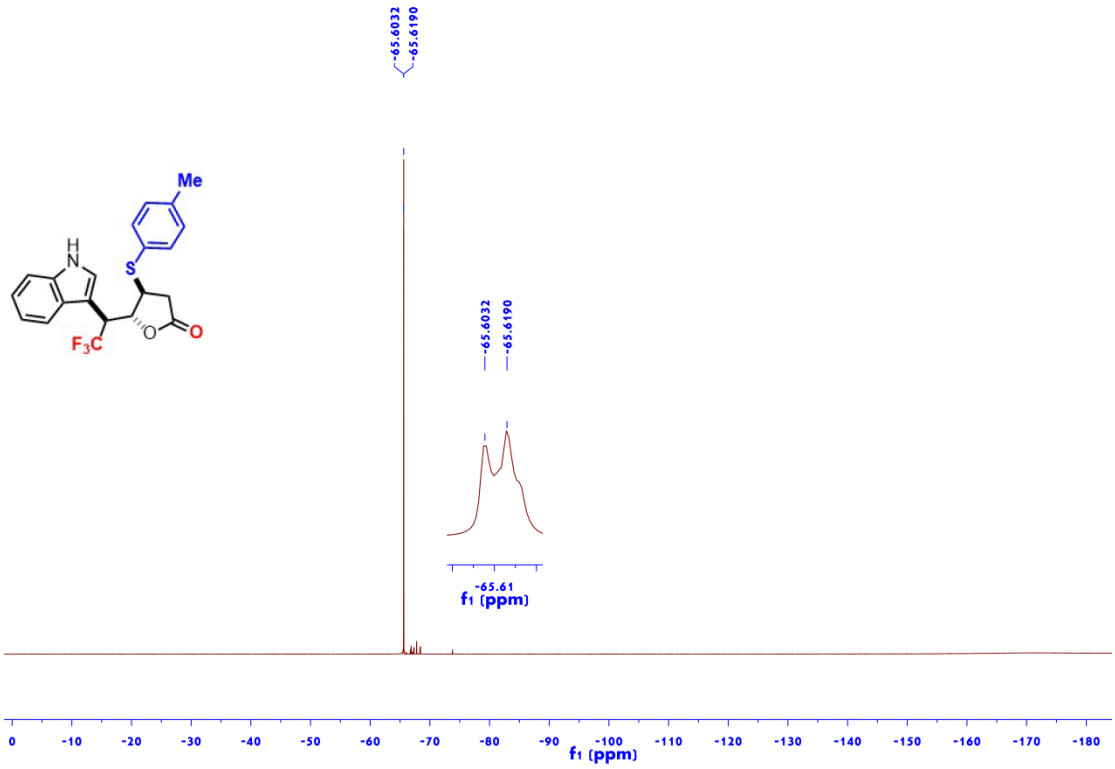


Figure S179 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ah

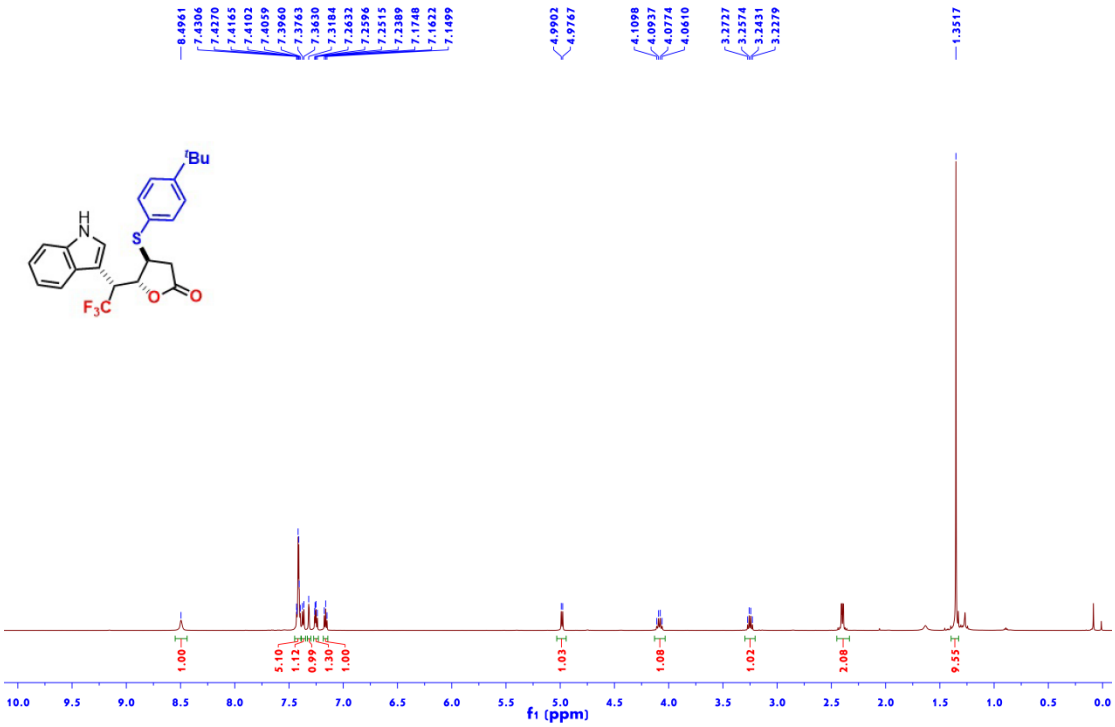


Figure S180 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ah

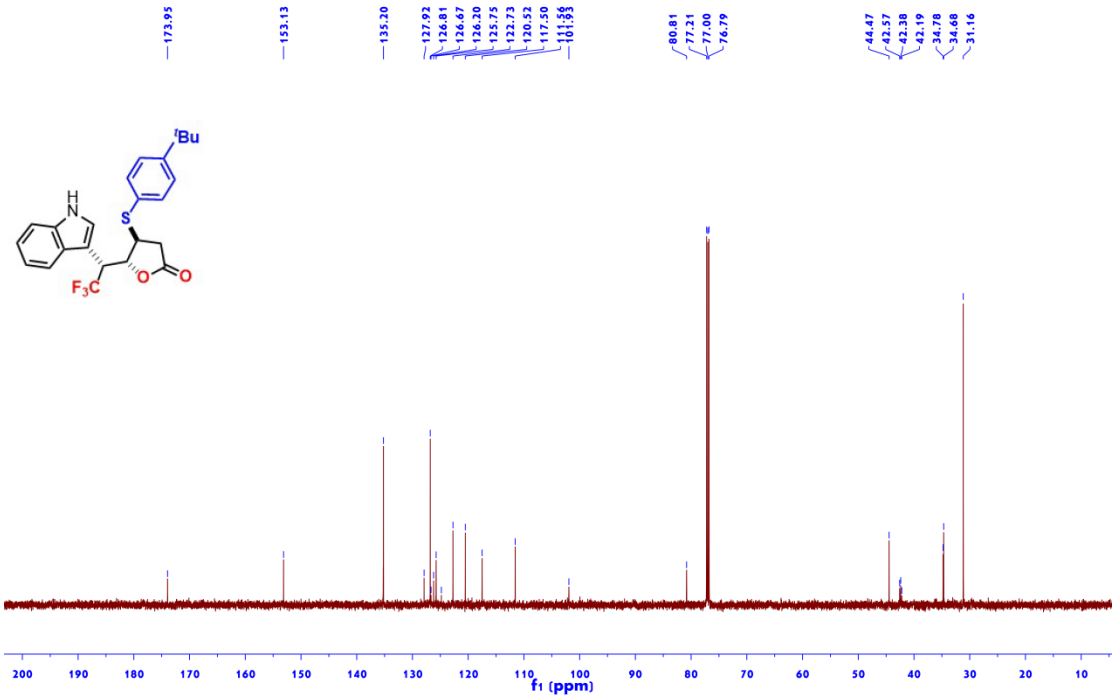


Figure S181 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ah

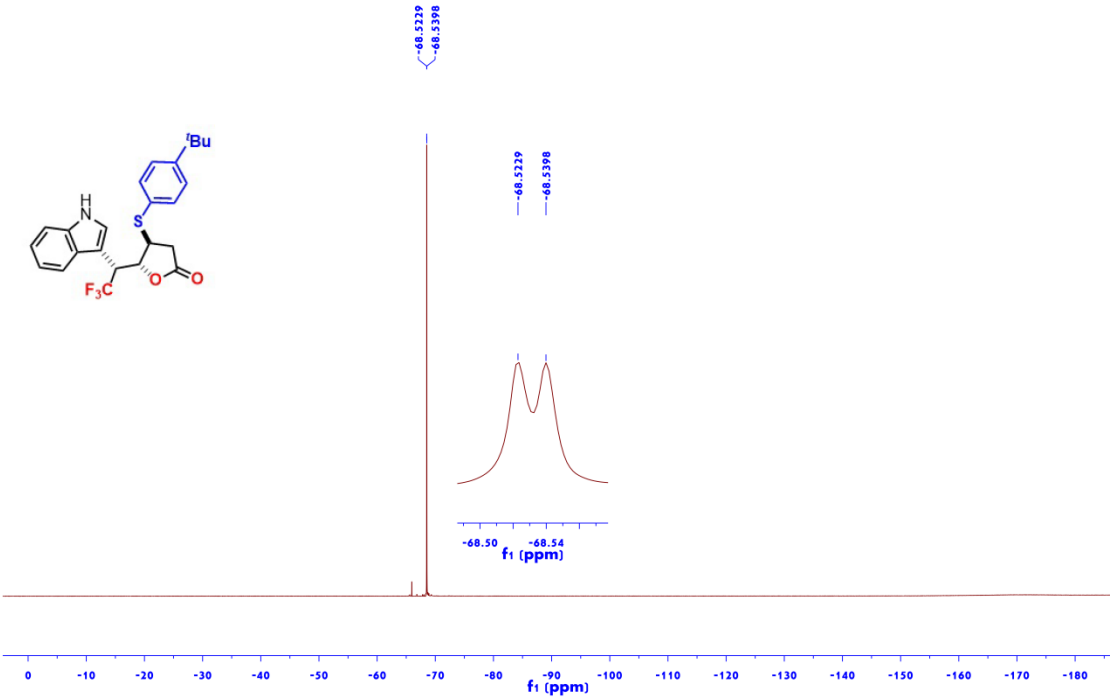


Figure S182 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ah'

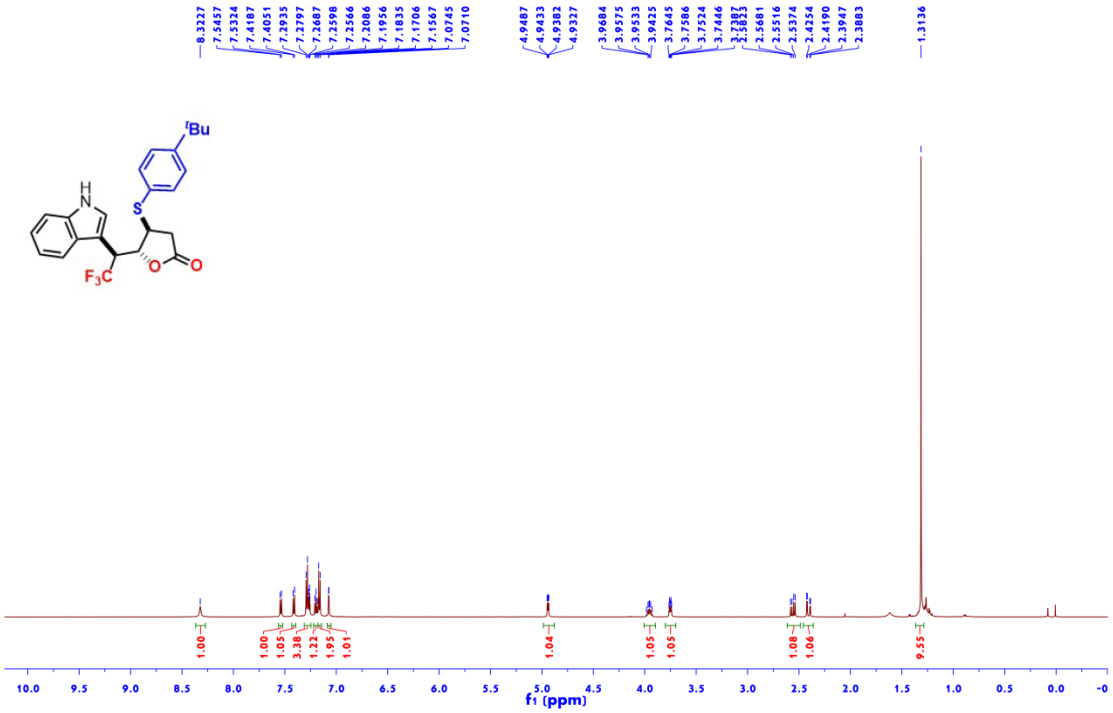


Figure S183 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ah'

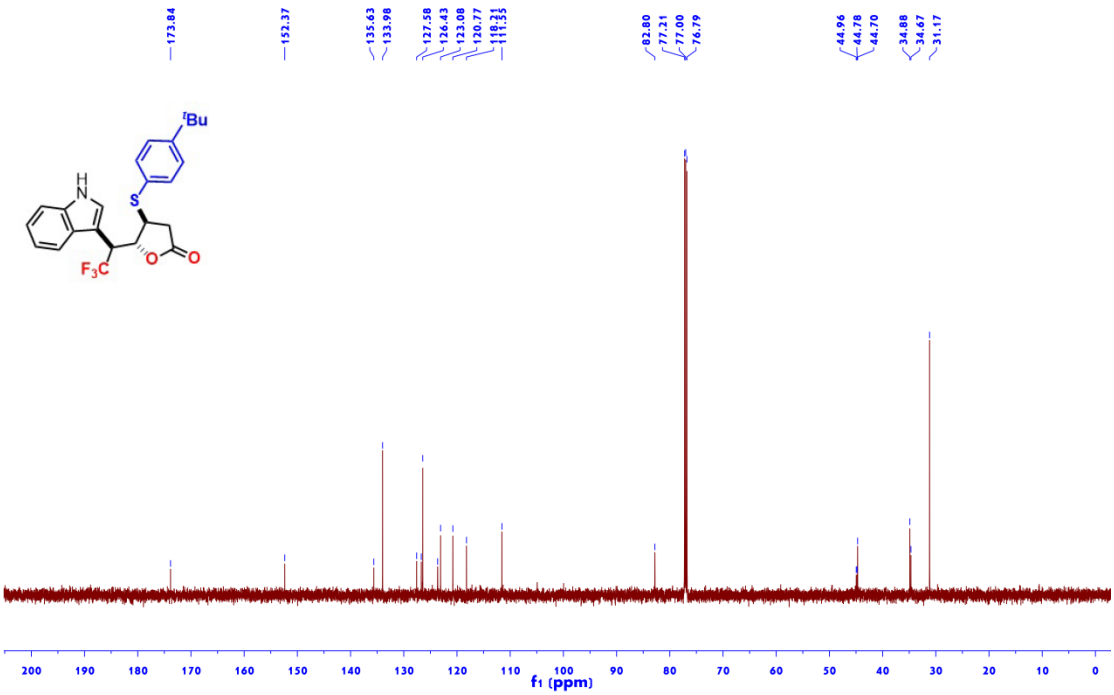


Figure S184 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ah'

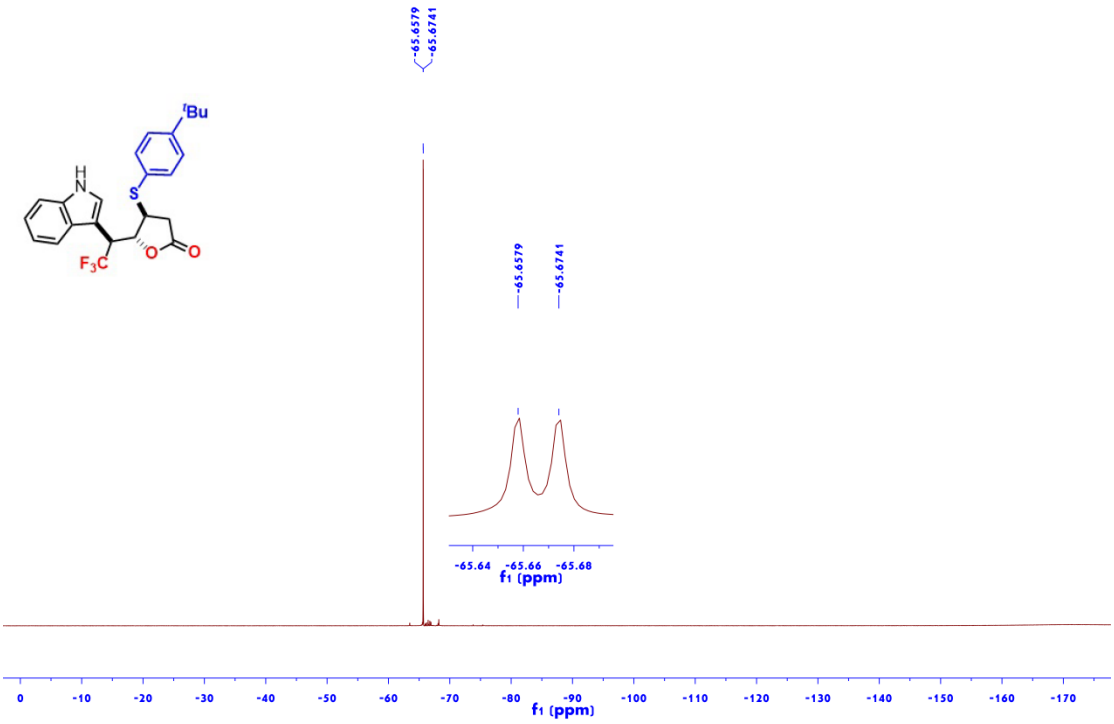


Figure S185 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ai

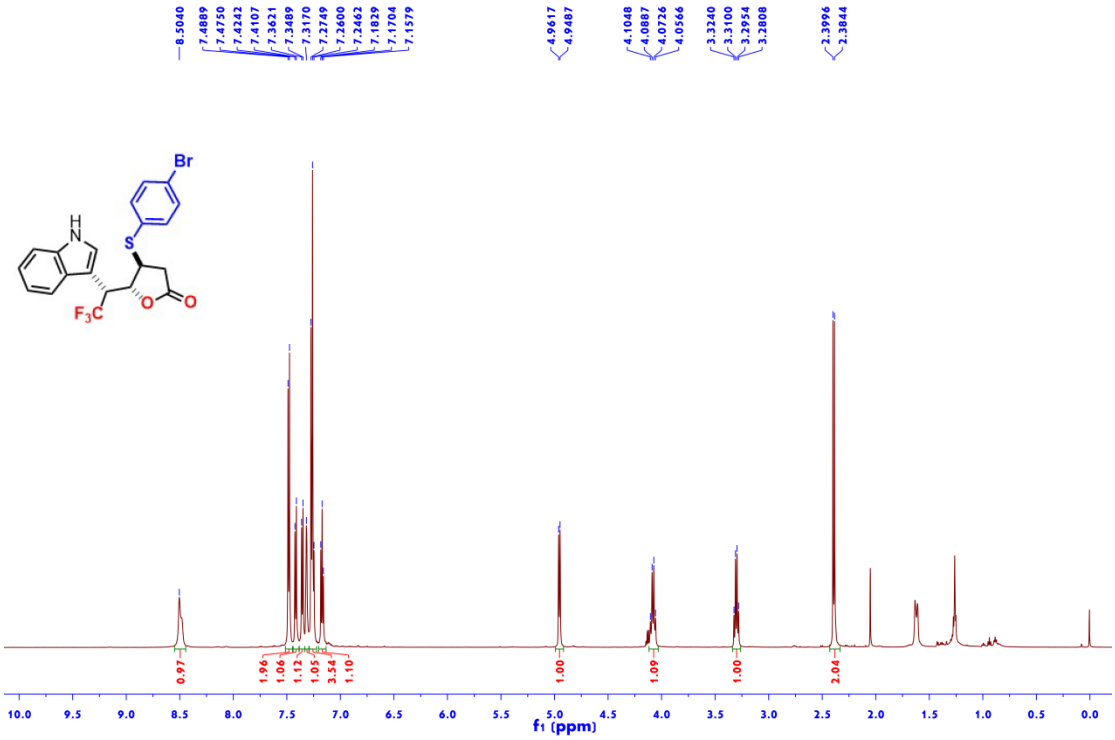


Figure S186 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ai

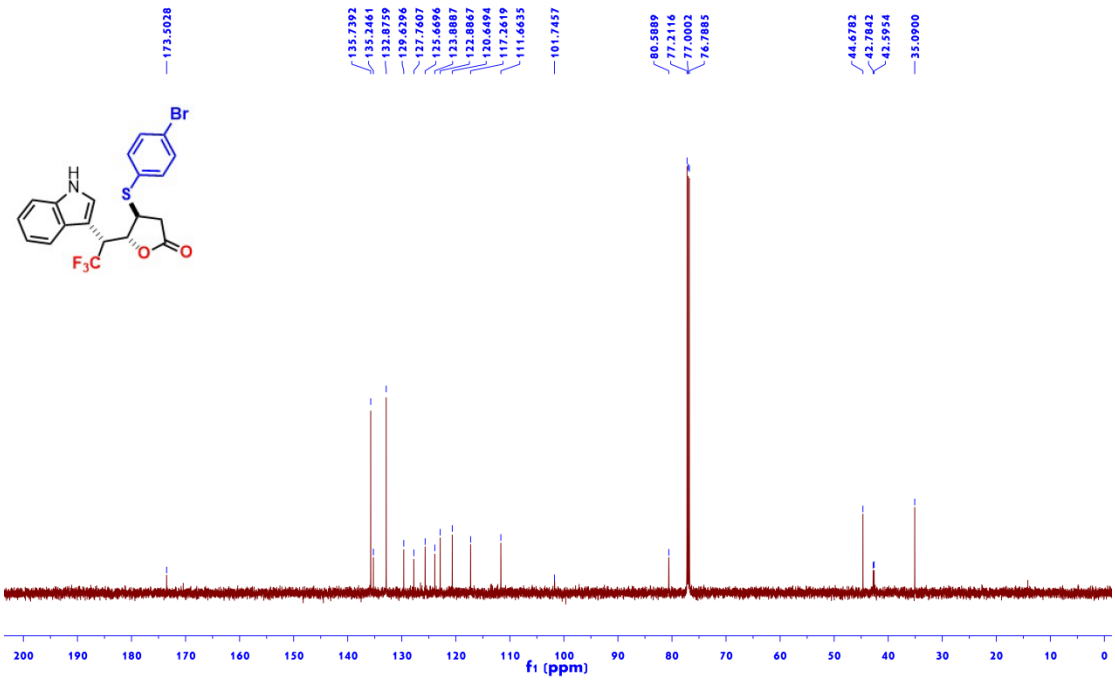


Figure S187 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ai

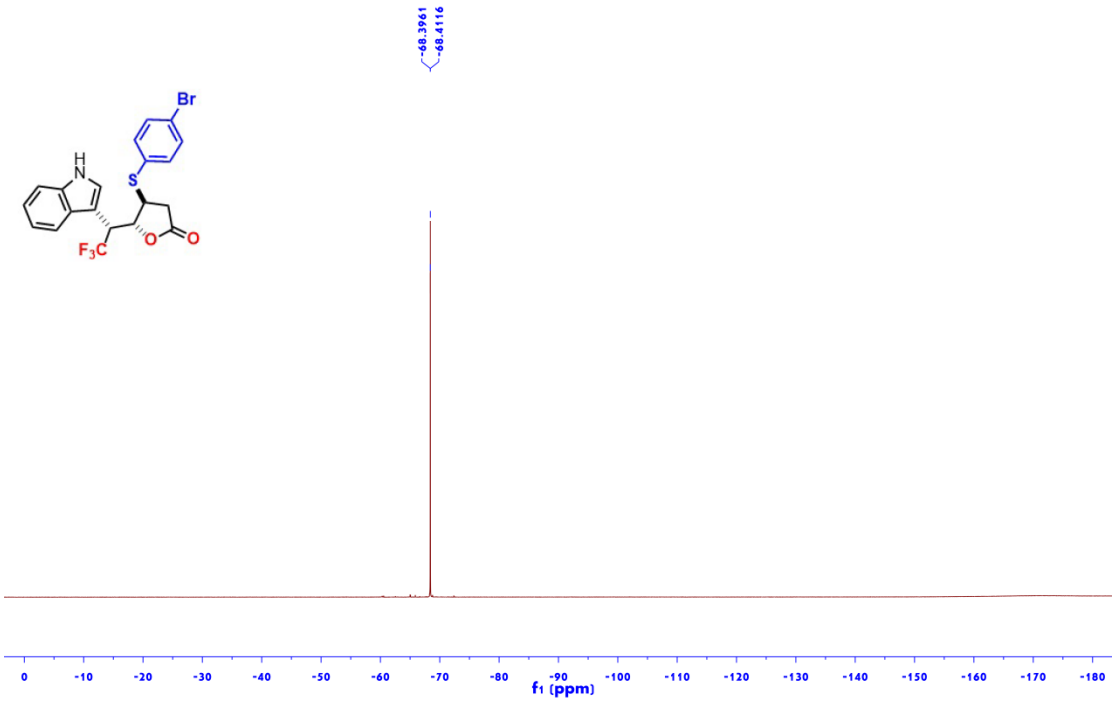


Figure S188 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ai'

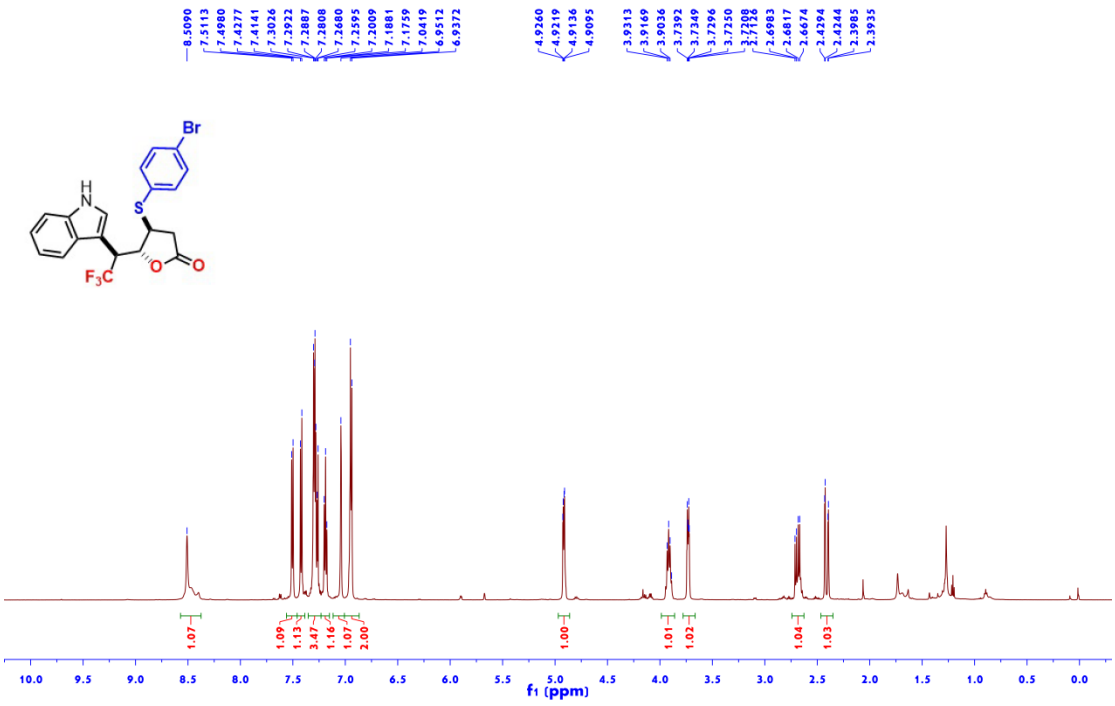


Figure S189 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ai'

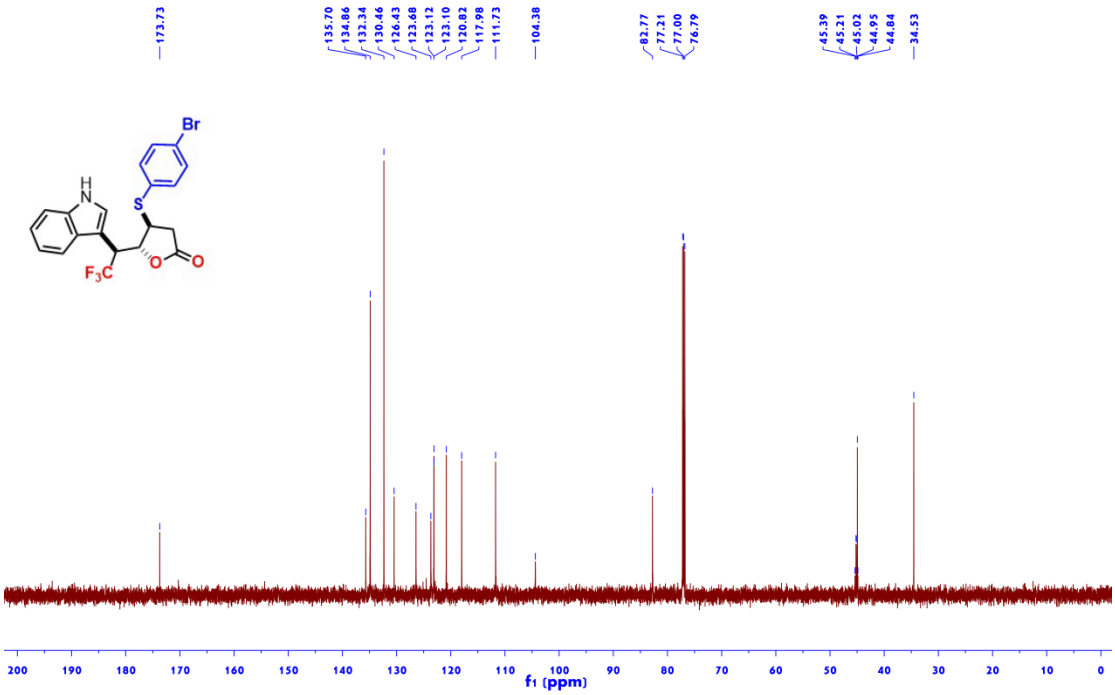


Figure S190 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ai'

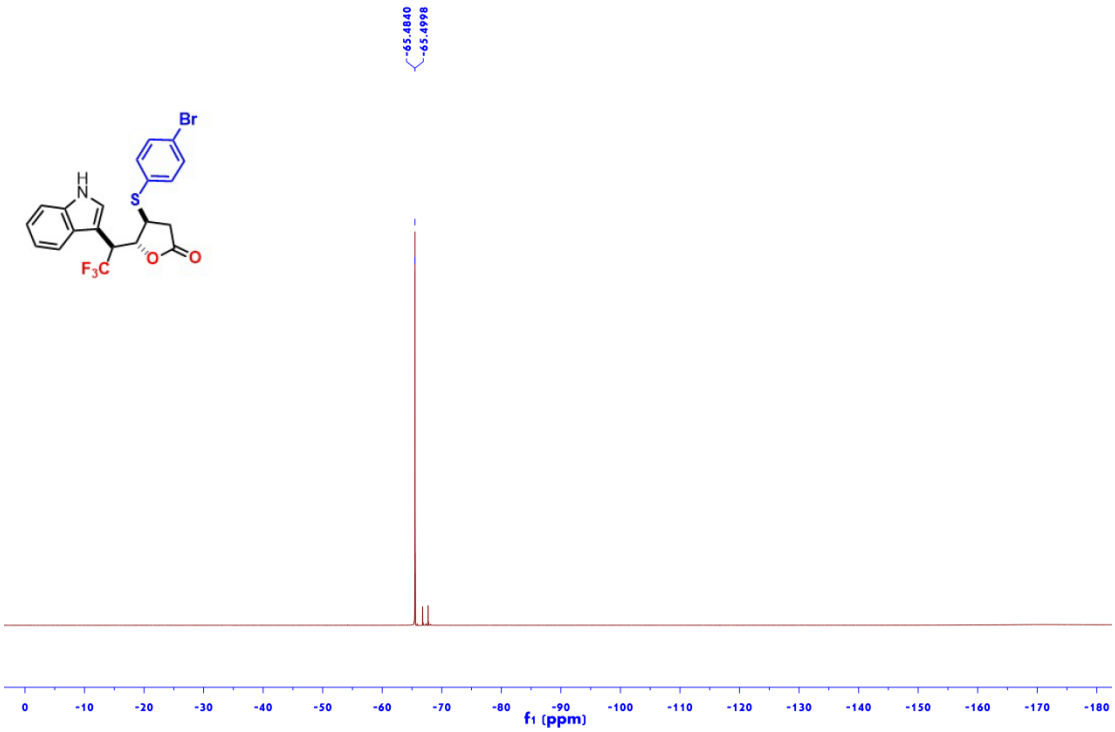


Figure S191 ^{1}H NMR (600 MHz, $CDCl_3$) of 5aj

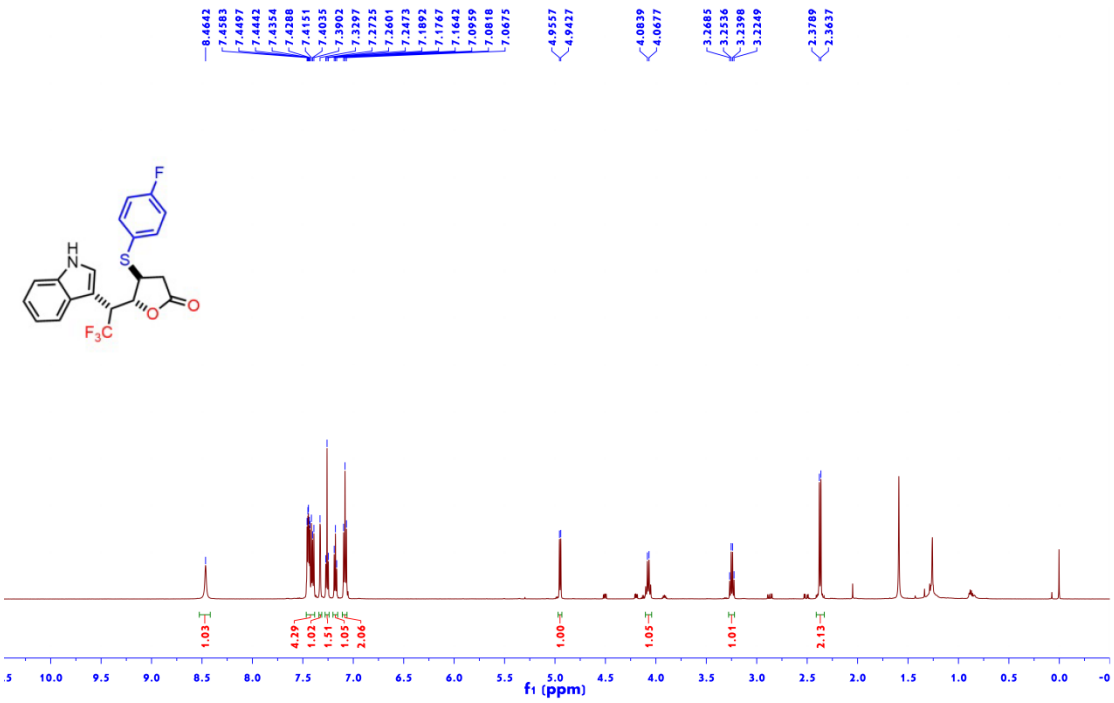


Figure S192 ^{13}C NMR (150 MHz, $CDCl_3$) of 5aj

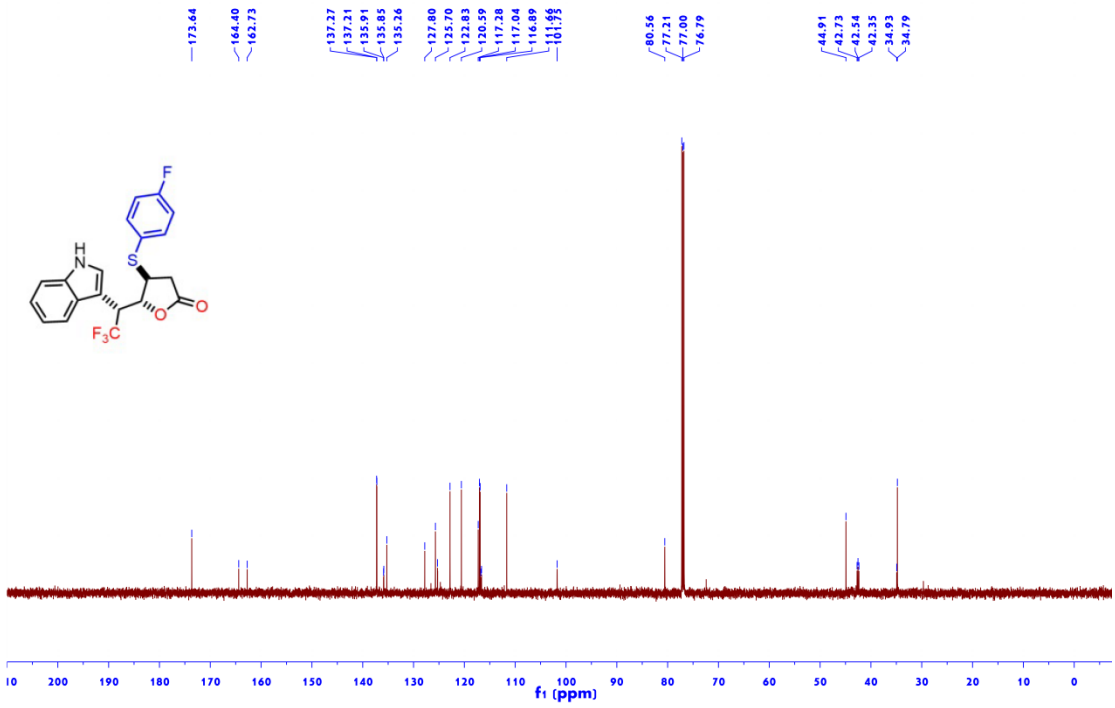


Figure S193 ^{19}F NMR (565 MHz, $CDCl_3$) of 5aj

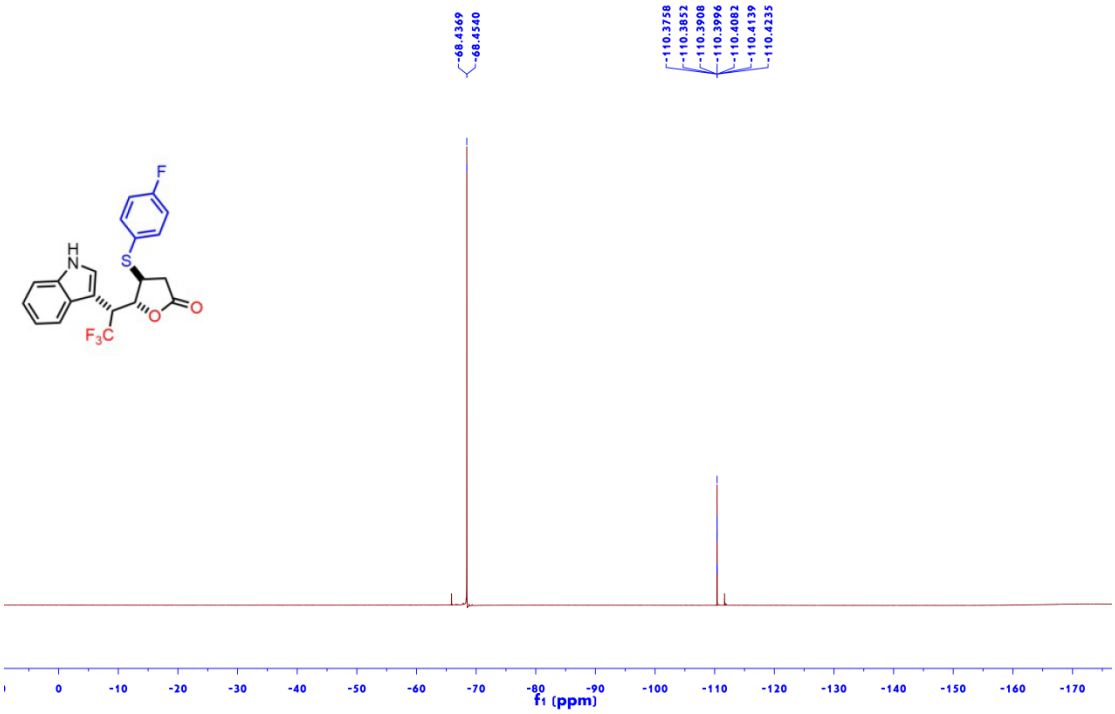


Figure S194 ^{1}H NMR (600 MHz, $CDCl_3$) of 5aj'

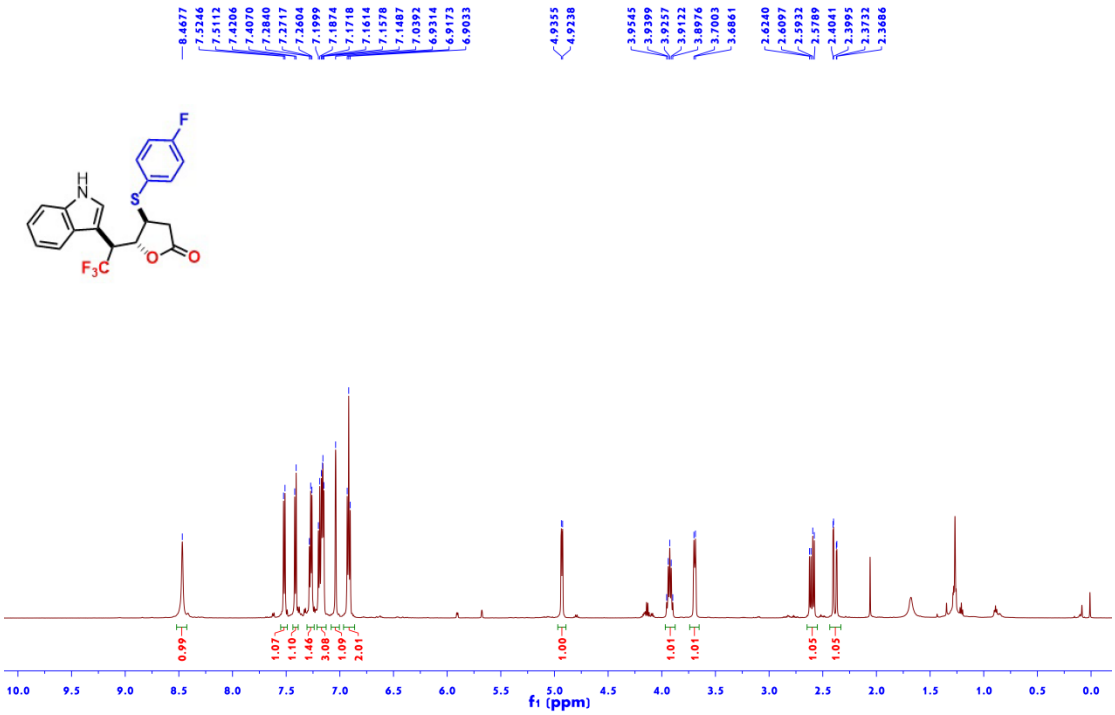


Figure S195 ^{13}C NMR (150 MHz, $CDCl_3$) of 5aj'

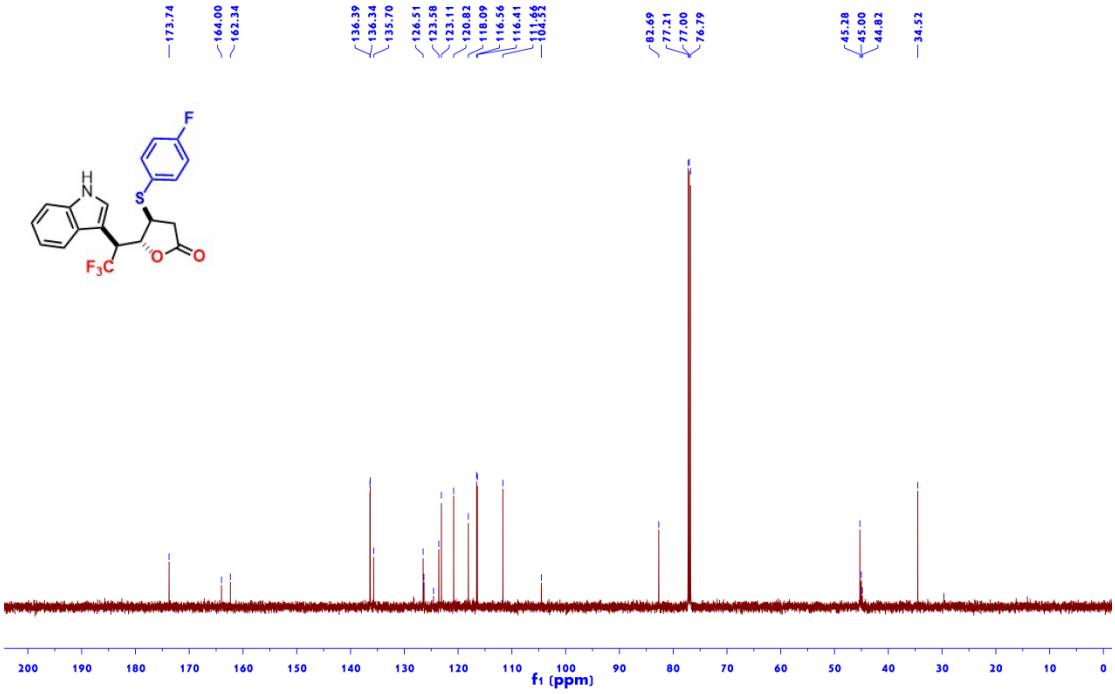


Figure S196 ^{19}F NMR (565 MHz, $CDCl_3$) of 5aj'

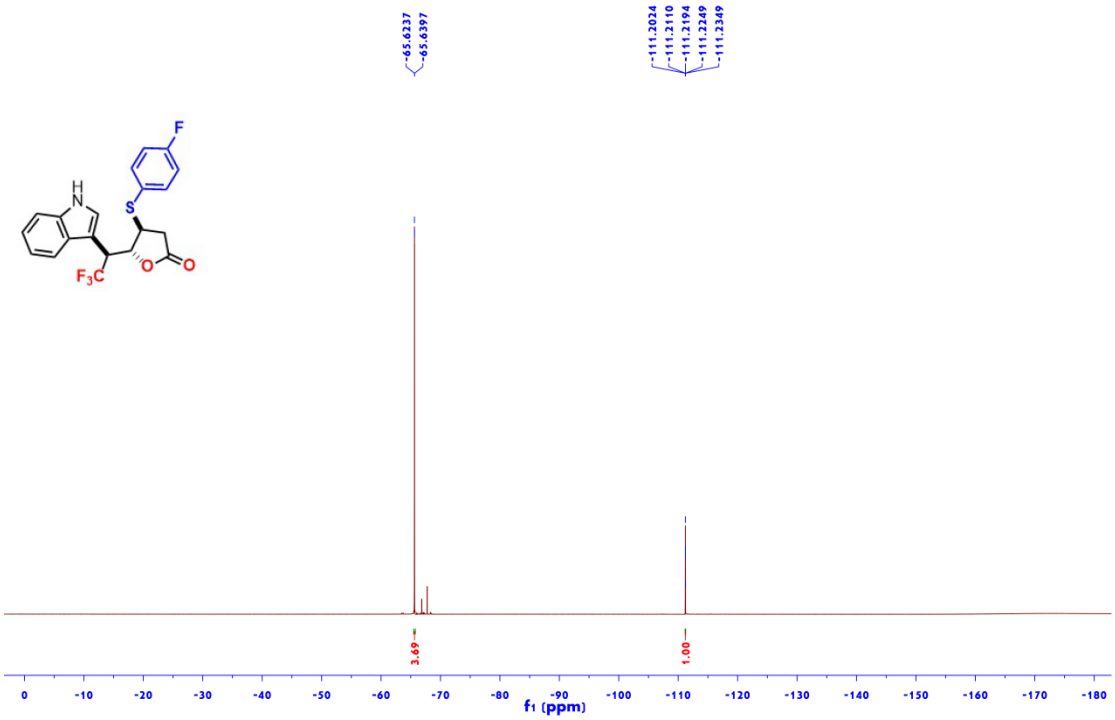


Figure S197 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ak

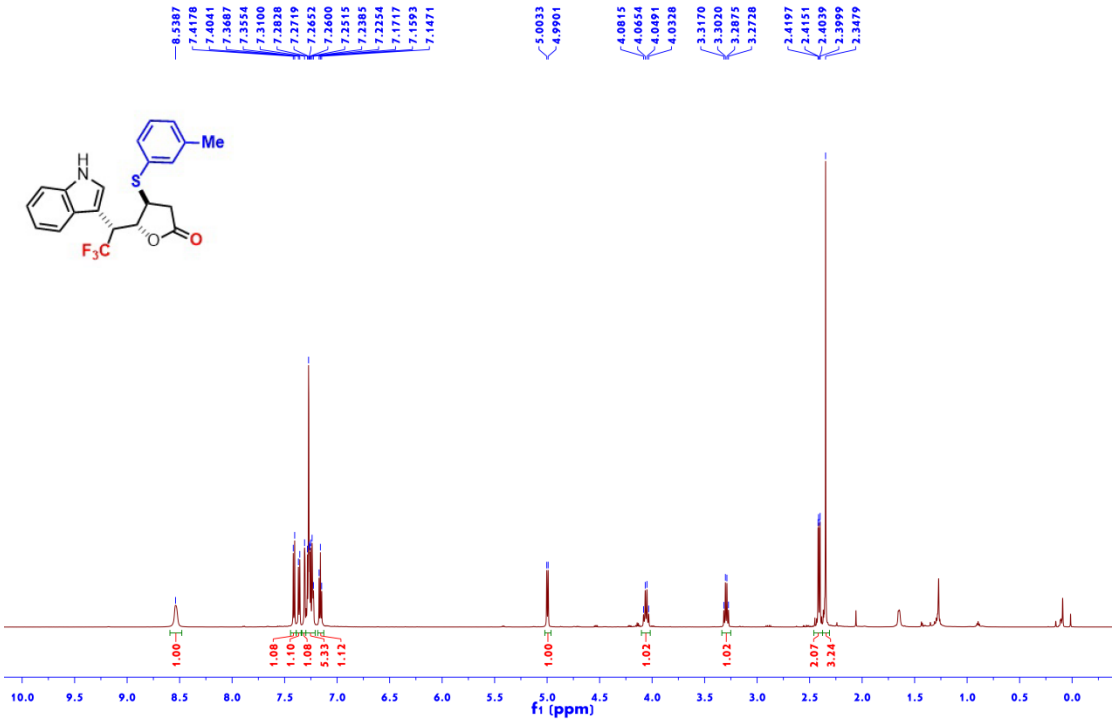


Figure S198 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ak

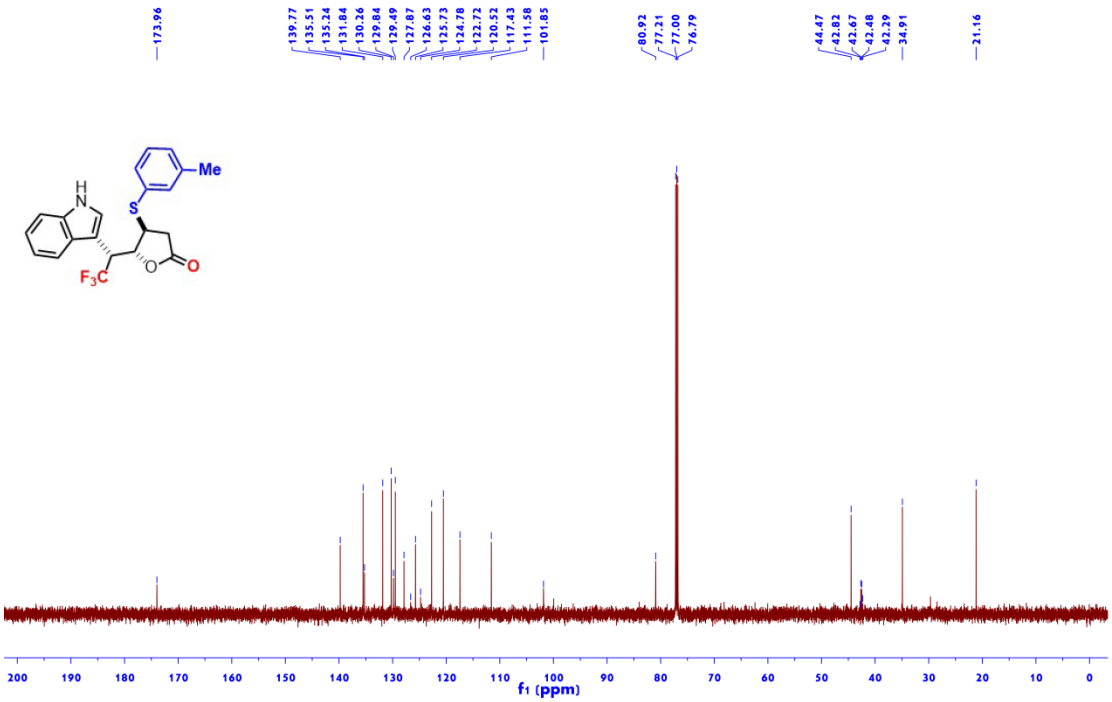


Figure S199 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ak

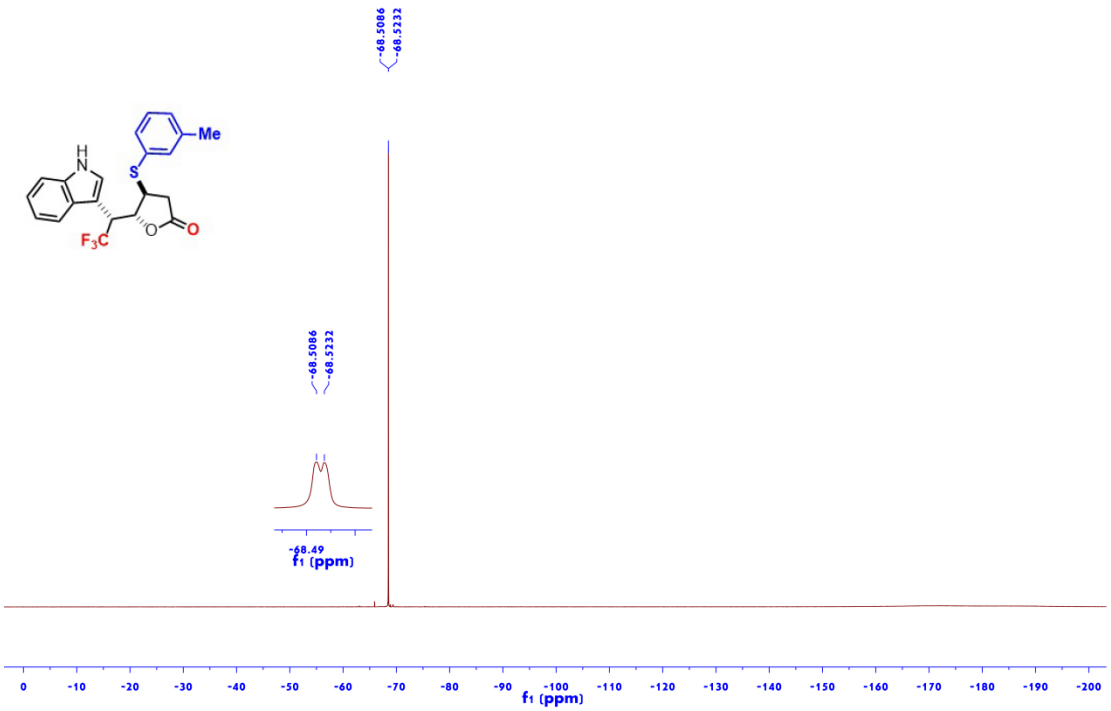


Figure S200 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ak'

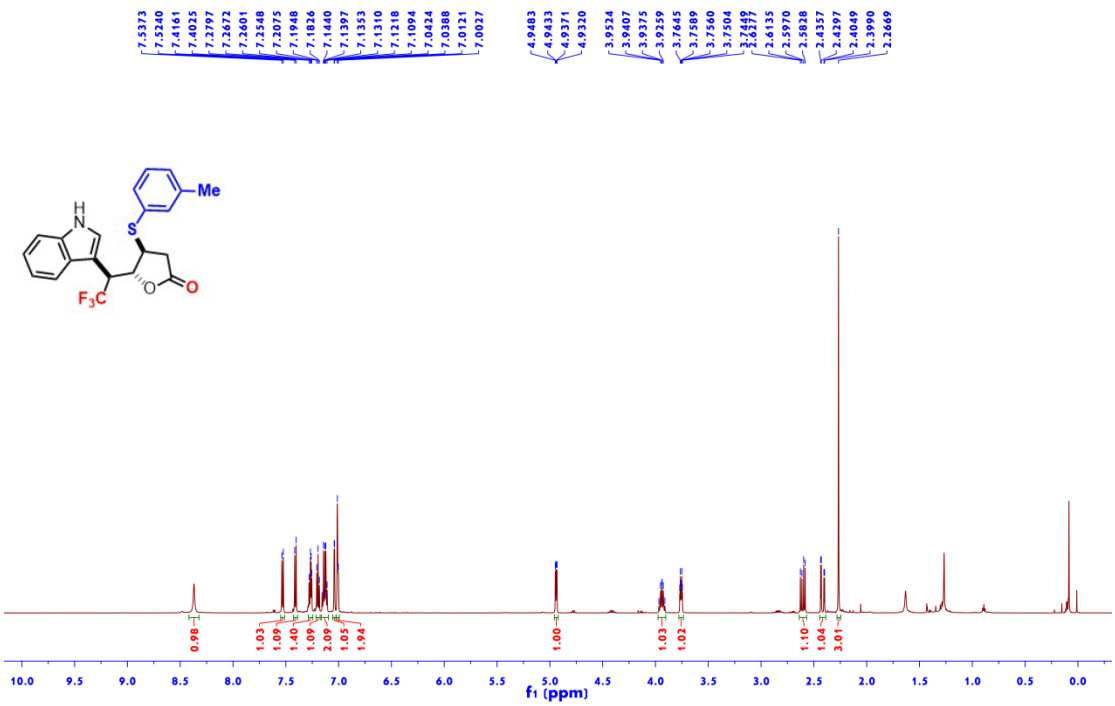


Figure S201 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ak'

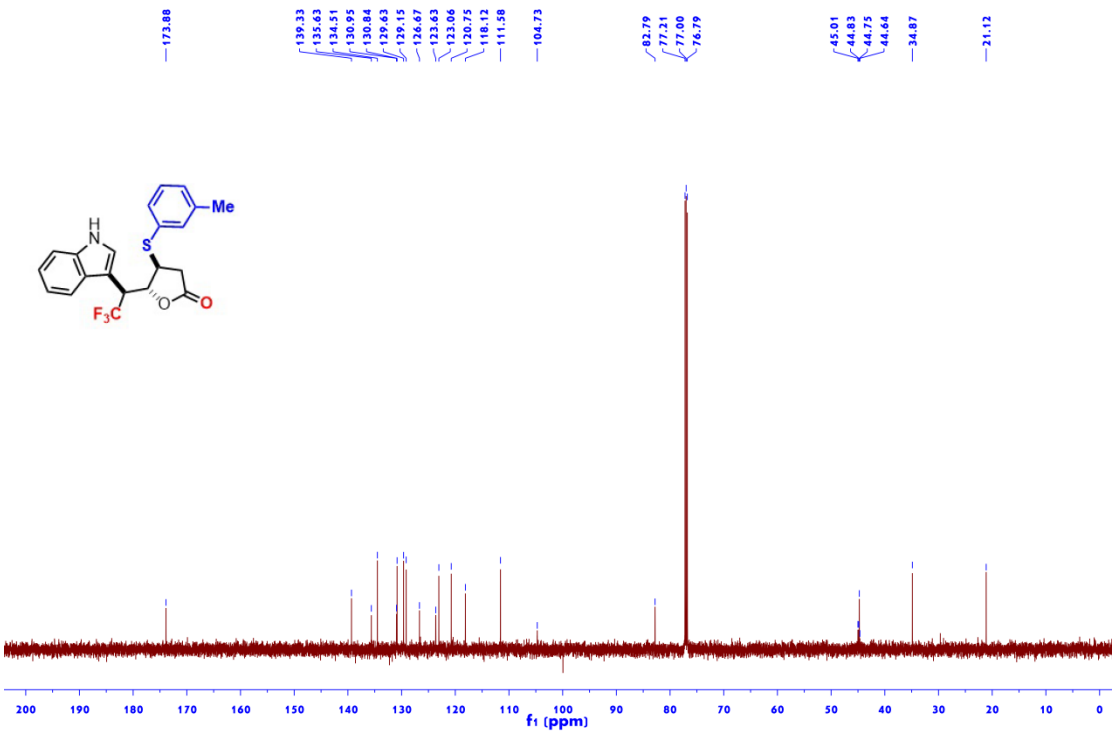


Figure S202 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ak'

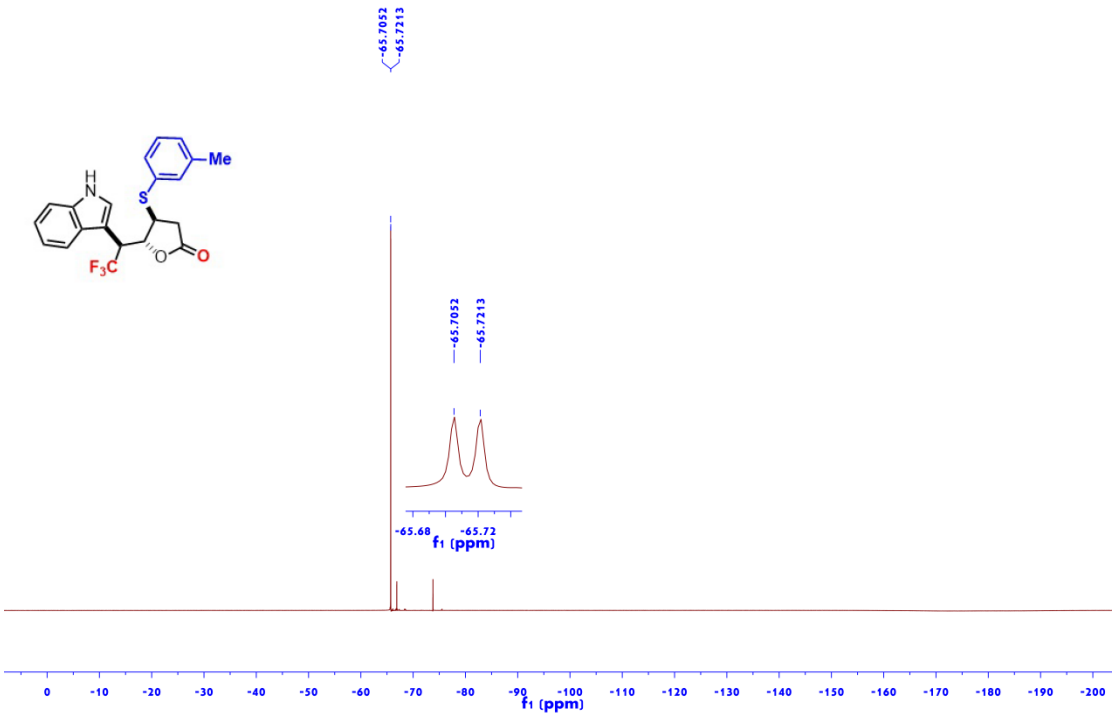


Figure S203 ^{1}H NMR (600 MHz, $CDCl_3$) of 5bf

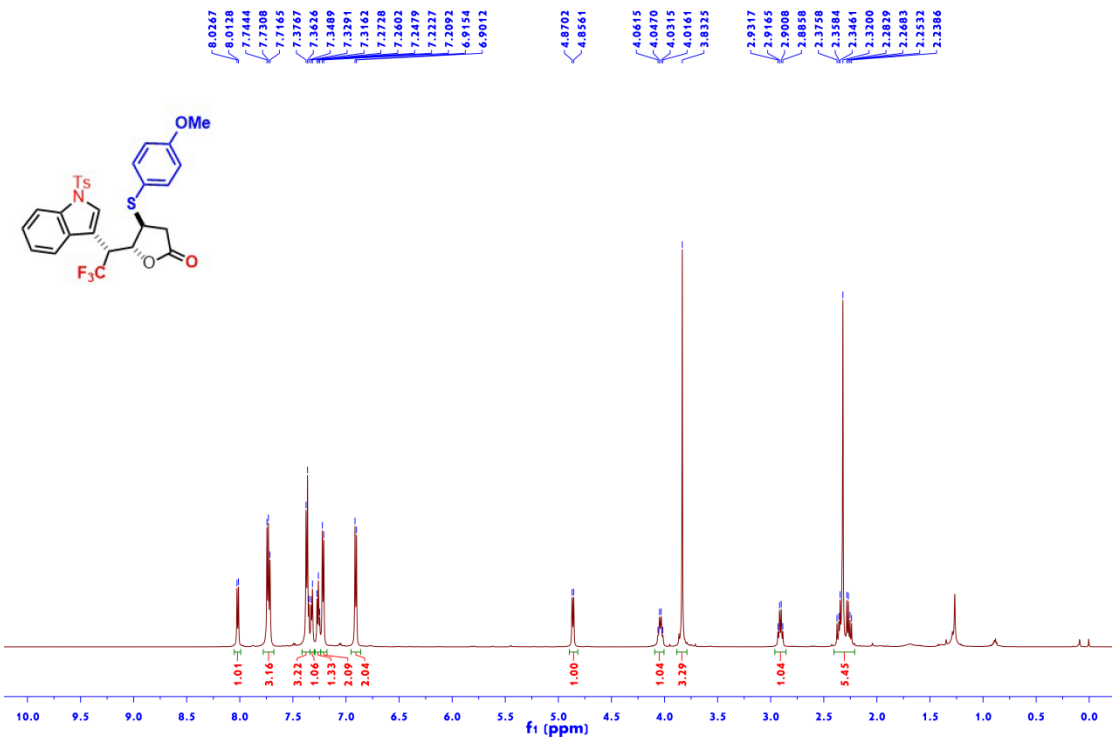


Figure S204 ^{13}C NMR (150 MHz, $CDCl_3$) of 5bf

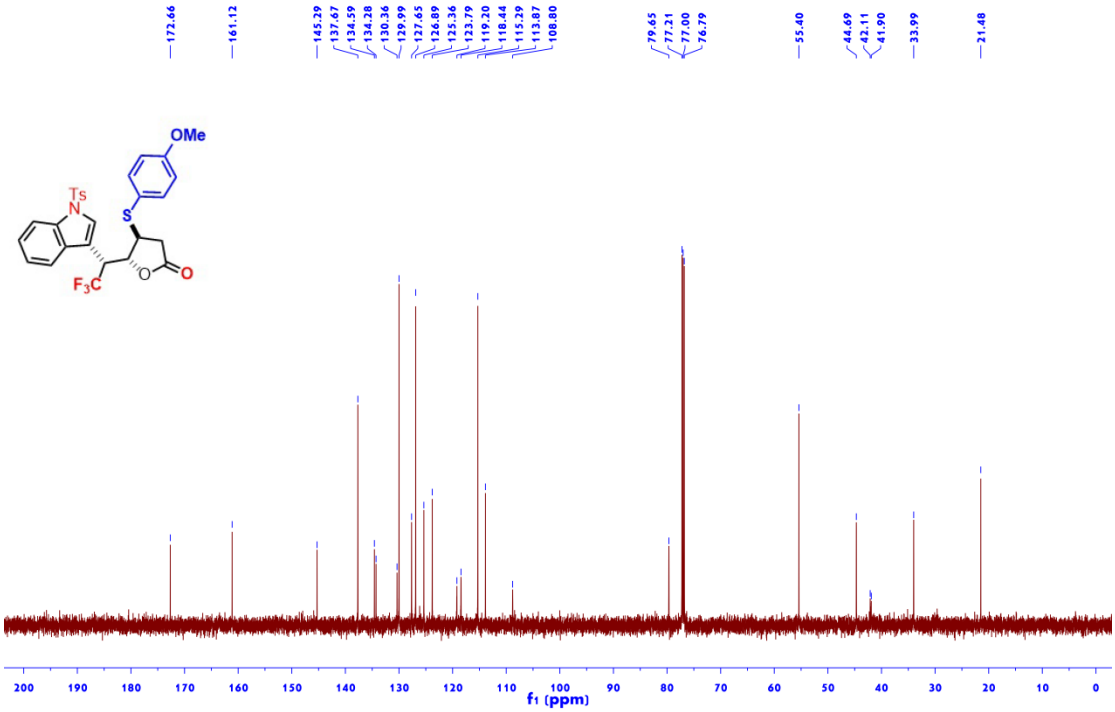


Figure S205 ^{19}F NMR (565 MHz, $CDCl_3$) of 5bf

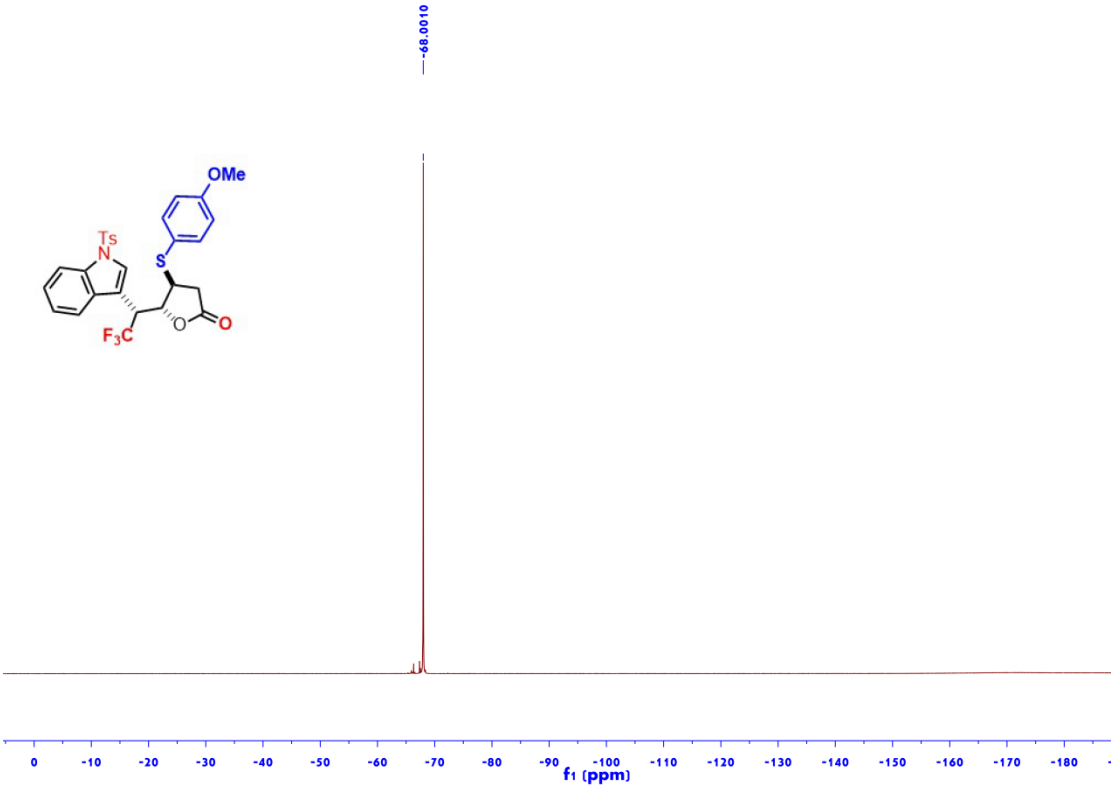


Figure S206 ^{1}H NMR (600 MHz, $CDCl_3$) of 5bf'

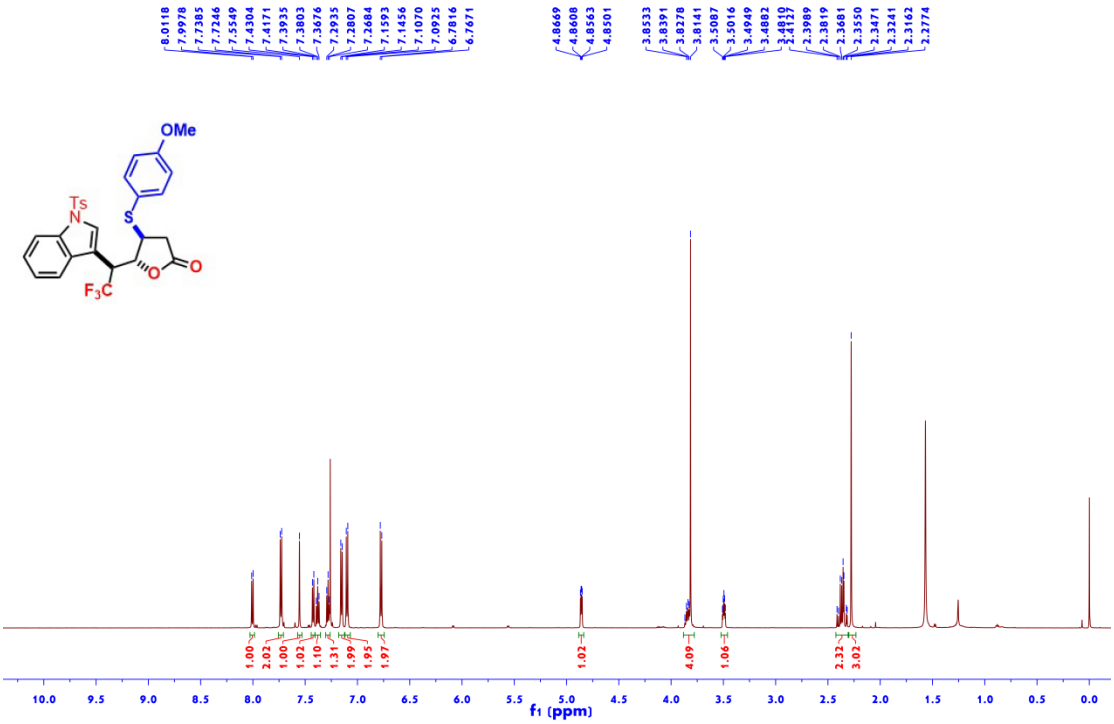


Figure S207 ^{13}C NMR (150 MHz, $CDCl_3$) of 5bf'

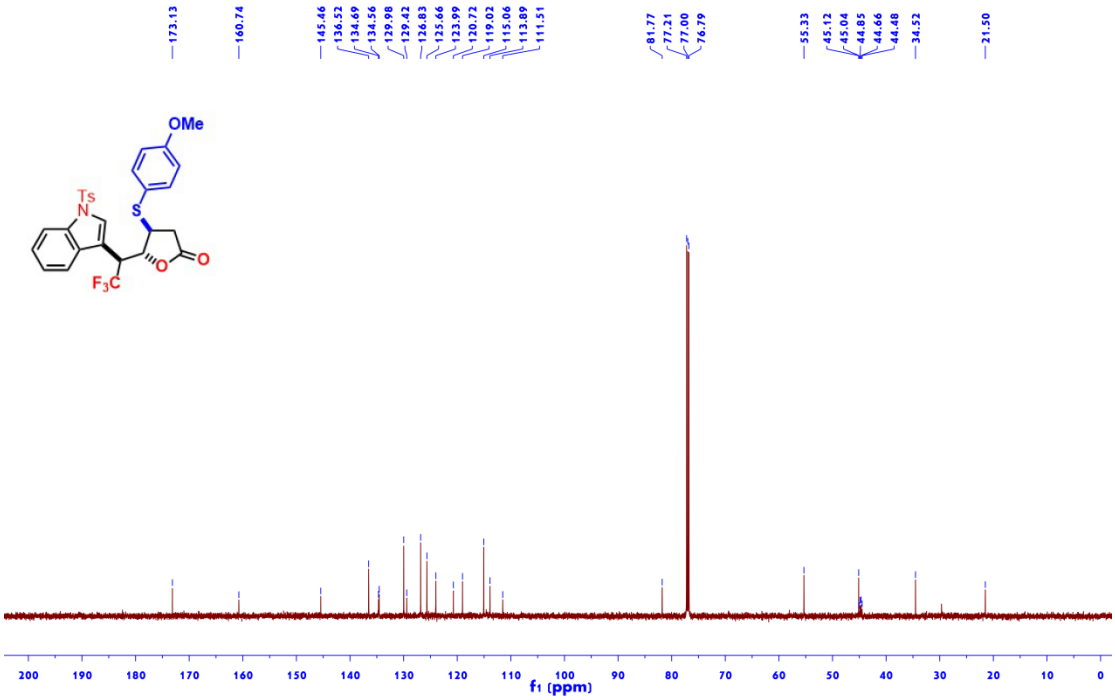


Figure S208 ^{19}F NMR (565 MHz, $CDCl_3$) of 5bf'

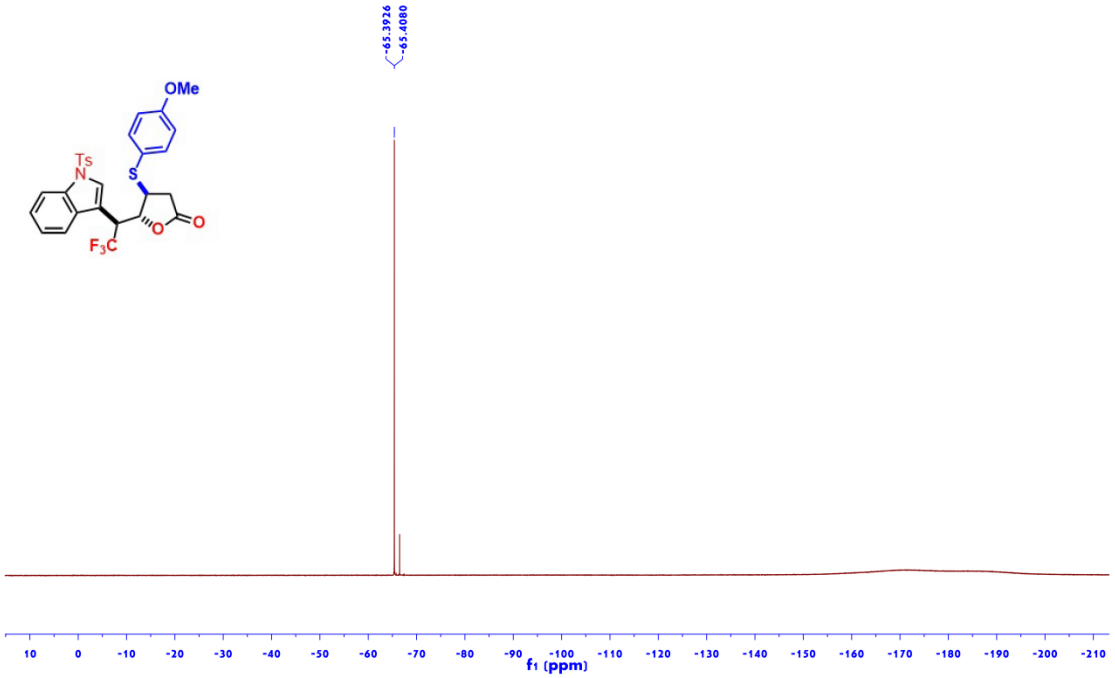


Figure S209 ^{1}H NMR (600 MHz, $CDCl_3$) of 5cf

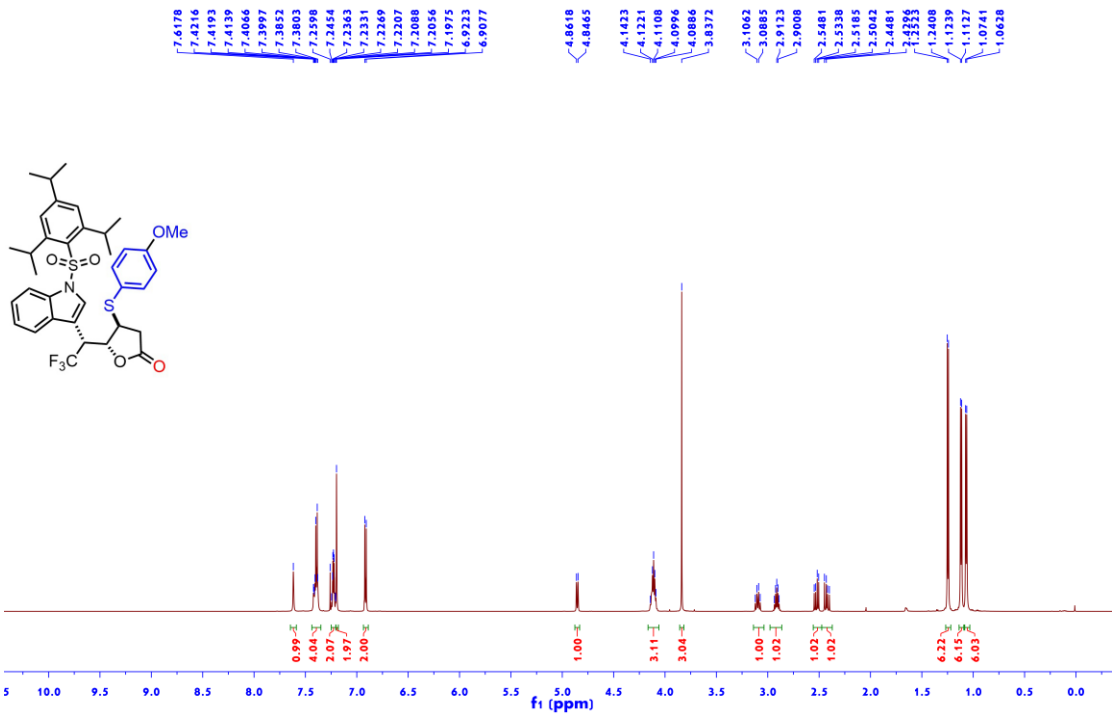


Figure S210 ^{13}C NMR (150 MHz, $CDCl_3$) of 5cf

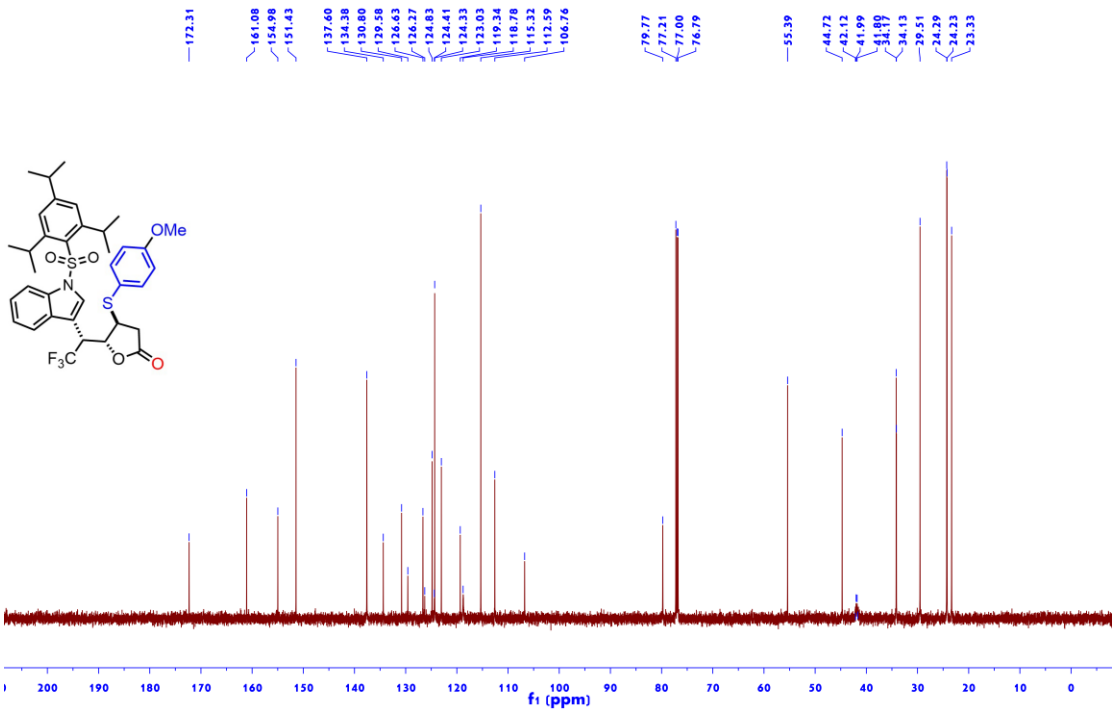


Figure S211 ^{19}F NMR (376 MHz, $CDCl_3$) of 5cf

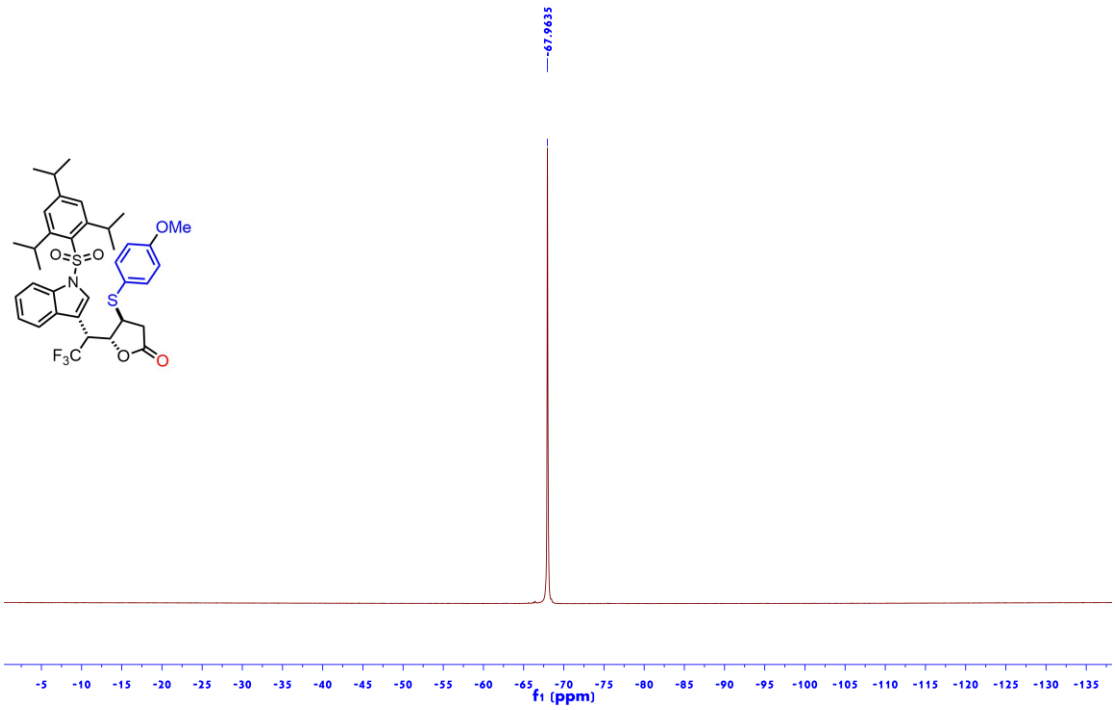


Figure S212 ^{1}H NMR (600 MHz, $CDCl_3$) of 5cf'

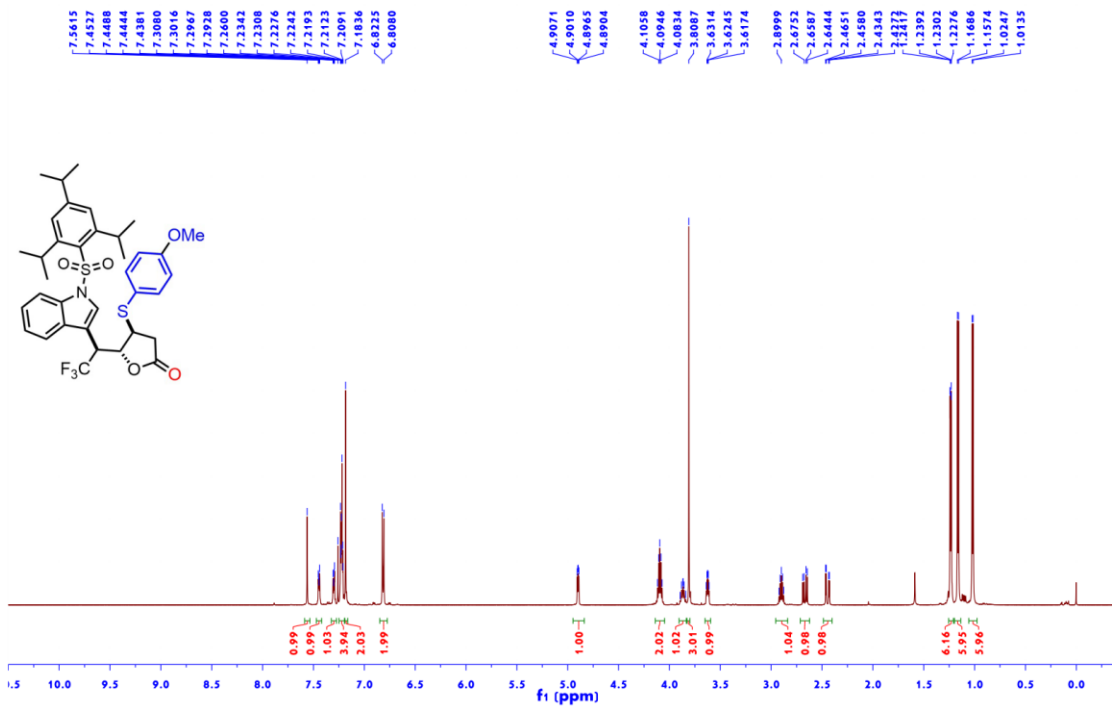


Figure S213 ^{13}C NMR (150 MHz, $CDCl_3$) of 5cf'

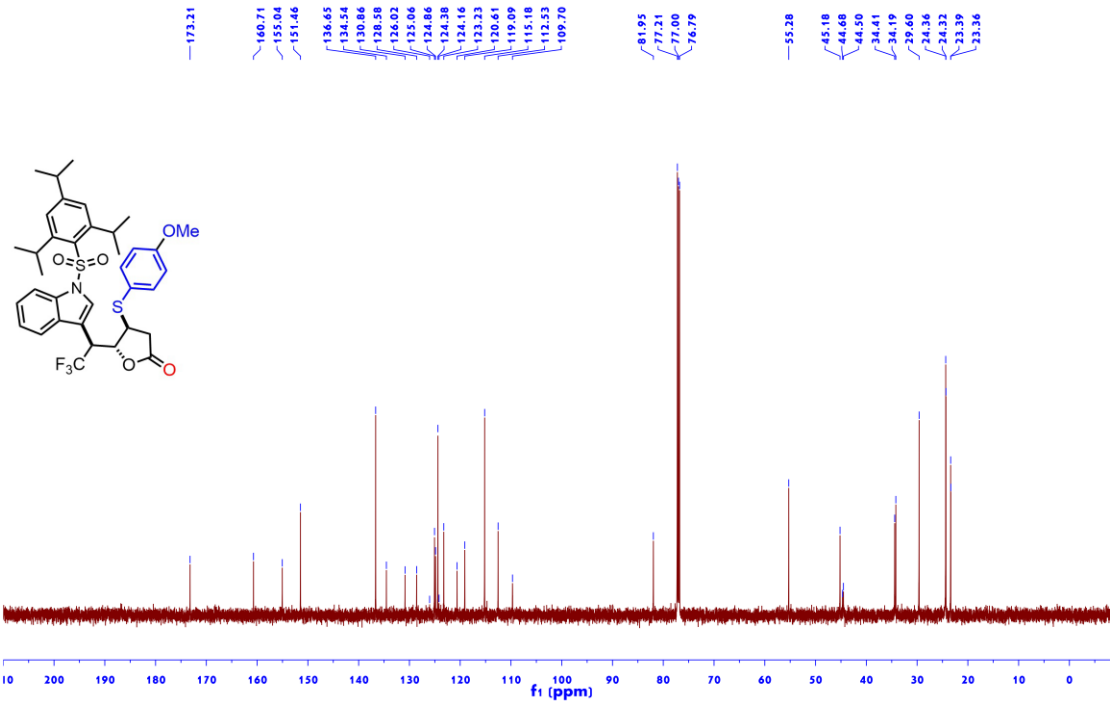


Figure S214 ^{19}F NMR (376 MHz, $CDCl_3$) of 5cf'

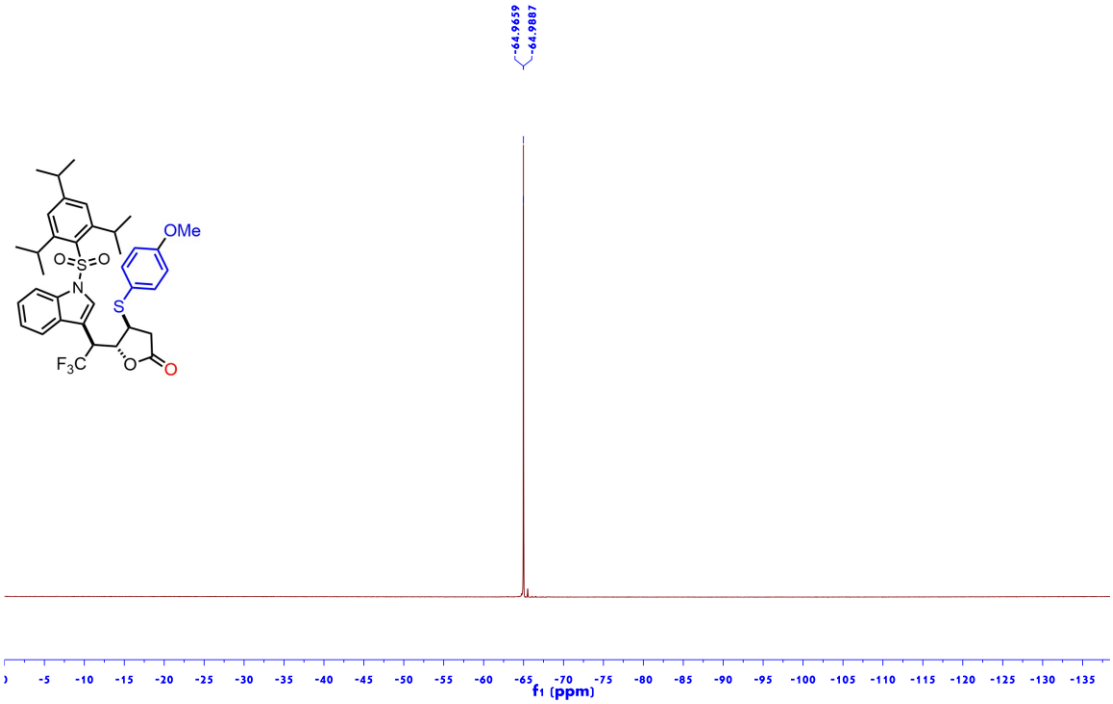


Figure S215 ^{1}H NMR (600 MHz, $CDCl_3$) of 5da

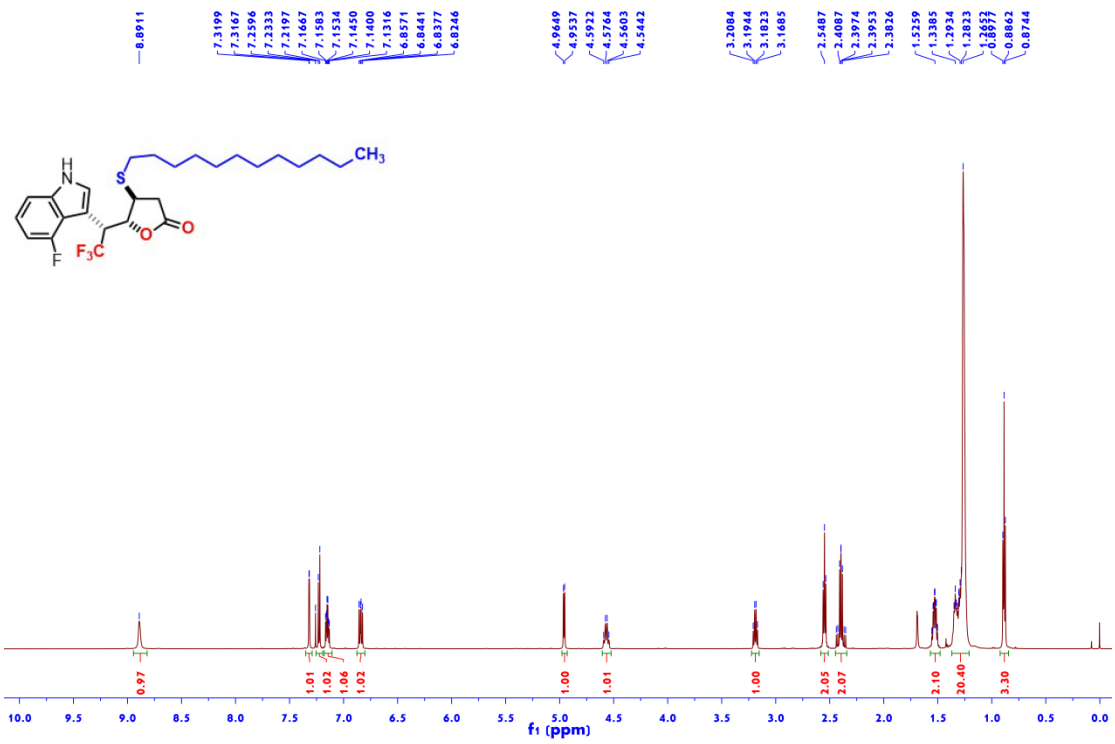


Figure S216 ^{13}C NMR (150 MHz, $CDCl_3$) of 5da

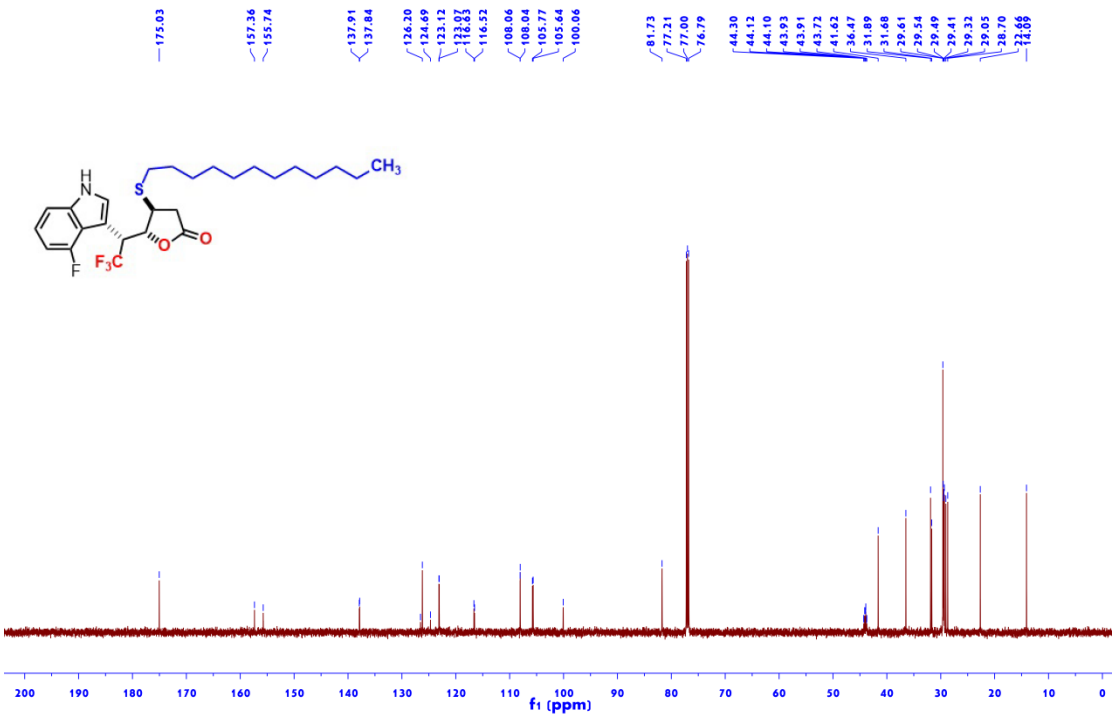


Figure S217 ^{19}F NMR (565 MHz, $CDCl_3$) of 5da

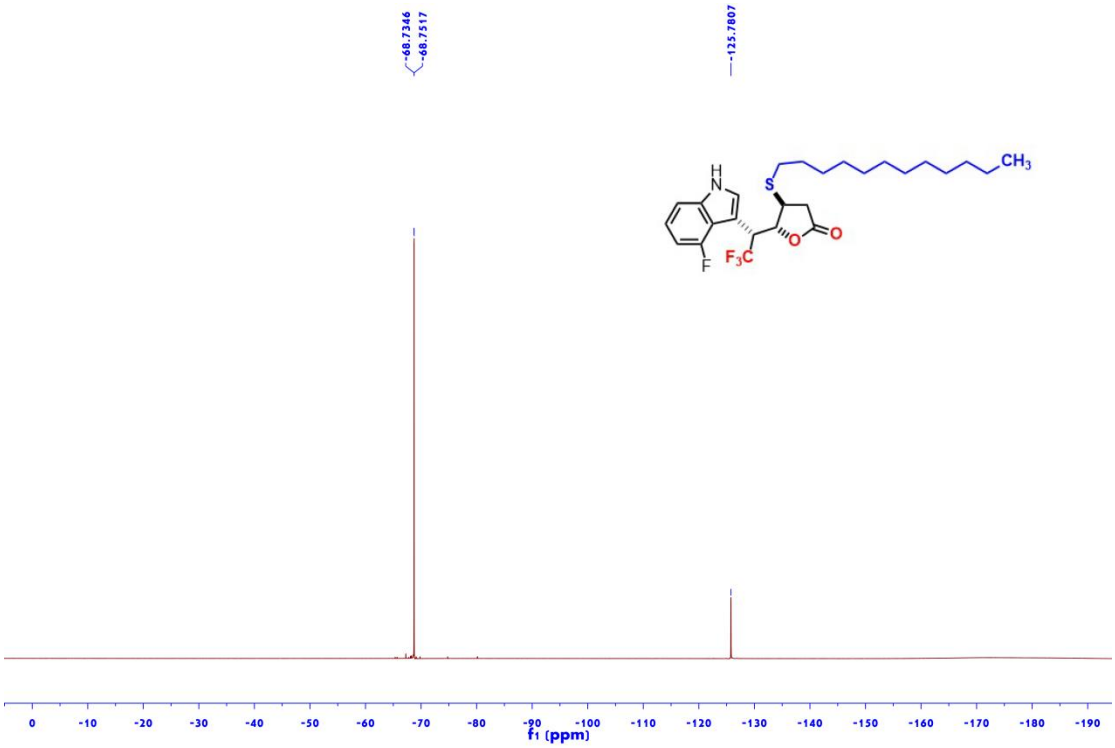


Figure S218 ^{1}H NMR (600 MHz, $CDCl_3$) of 5da'

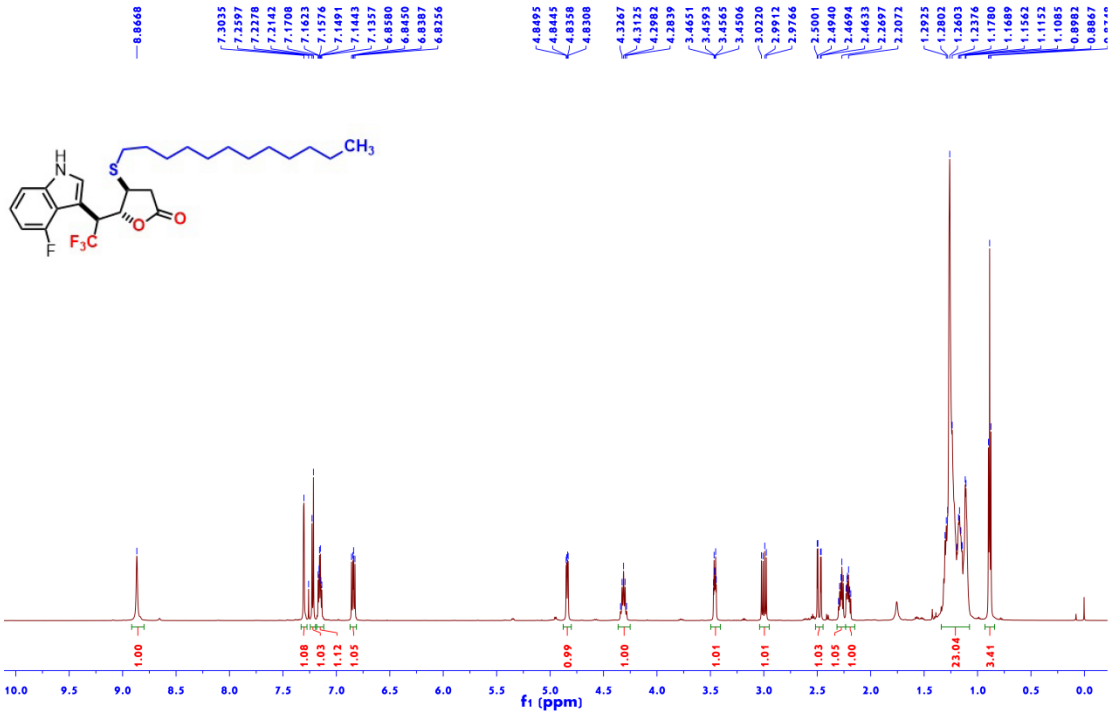


Figure S219 ^{13}C NMR (150 MHz, $CDCl_3$) of 5da'

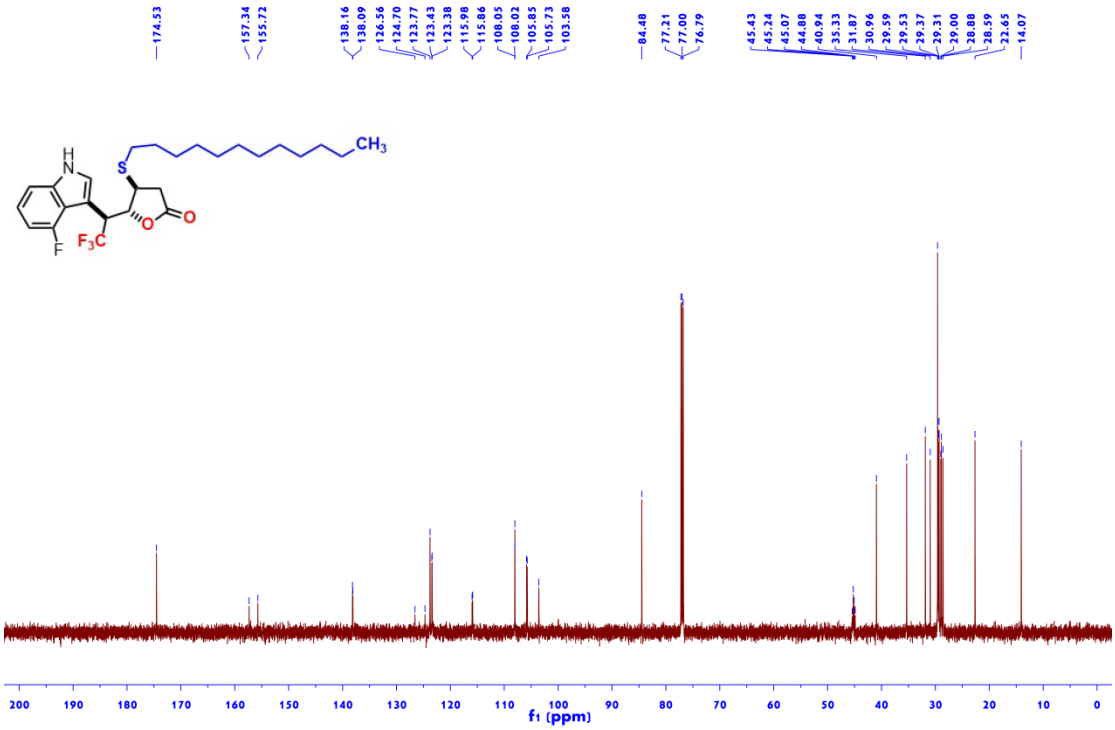


Figure S220 ^{19}F NMR (565 MHz, $CDCl_3$) of 5da'

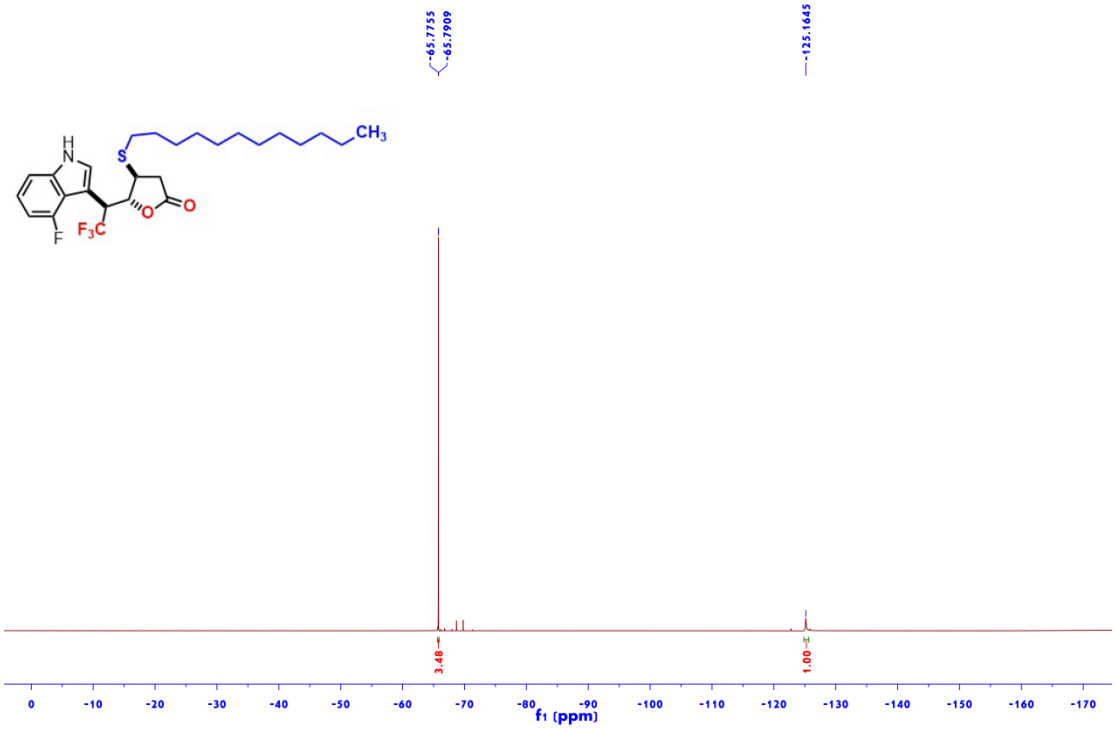


Figure S221 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ea

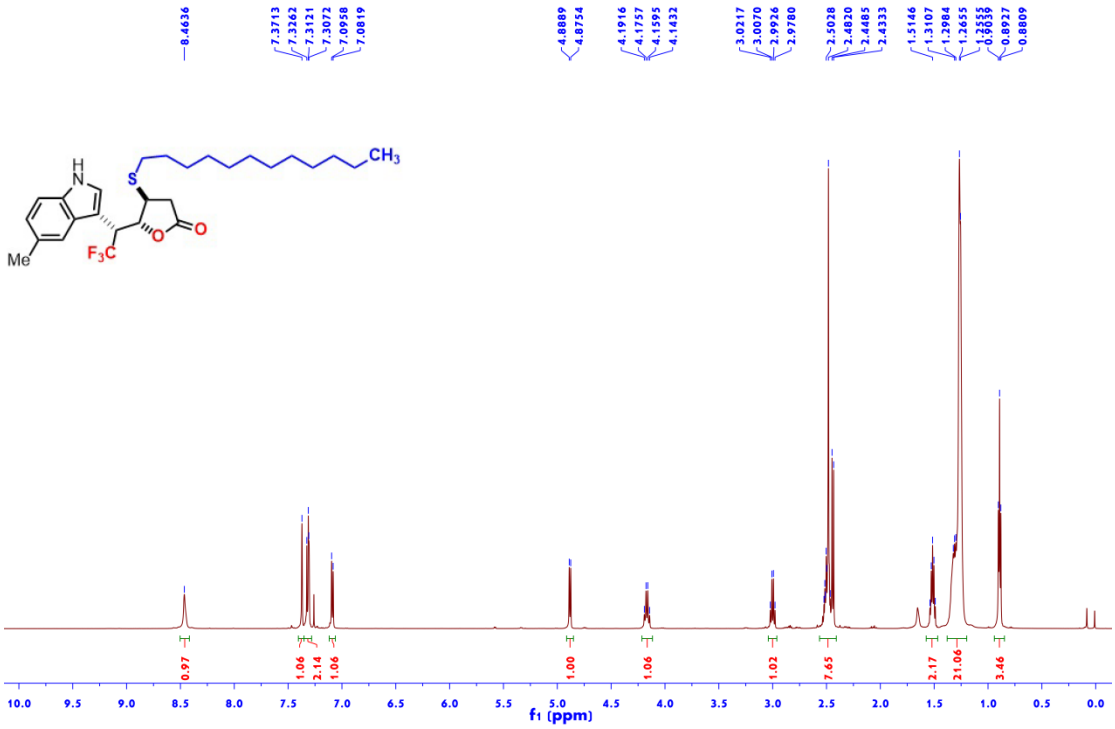


Figure S222 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ea

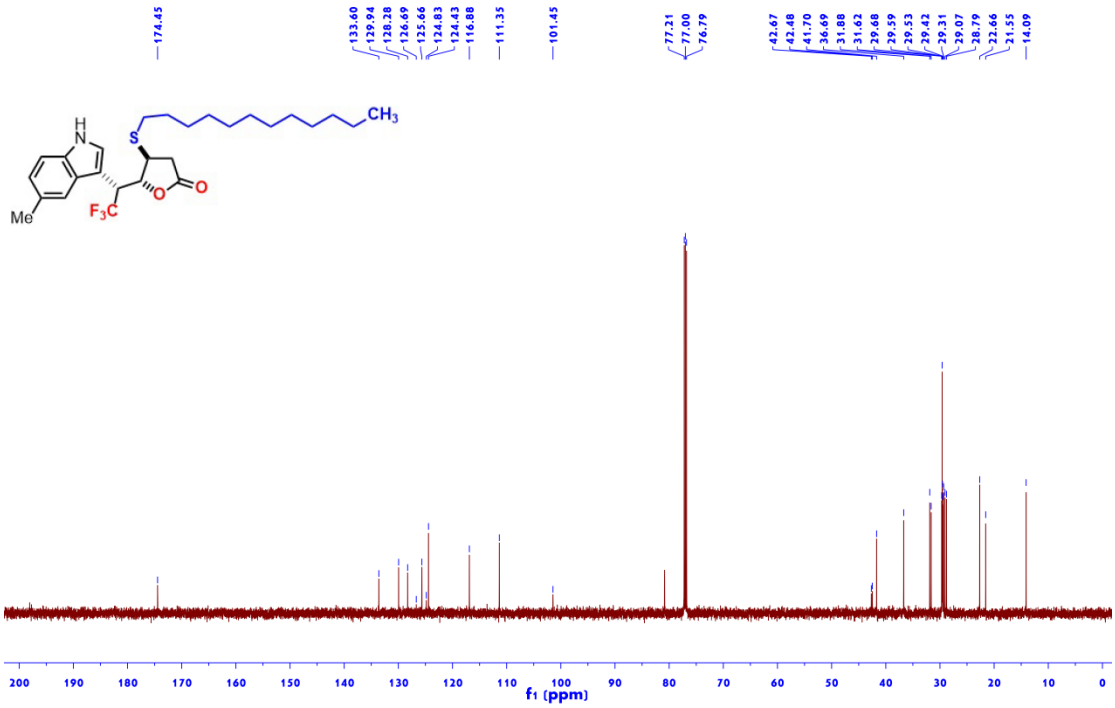


Figure S223 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ea

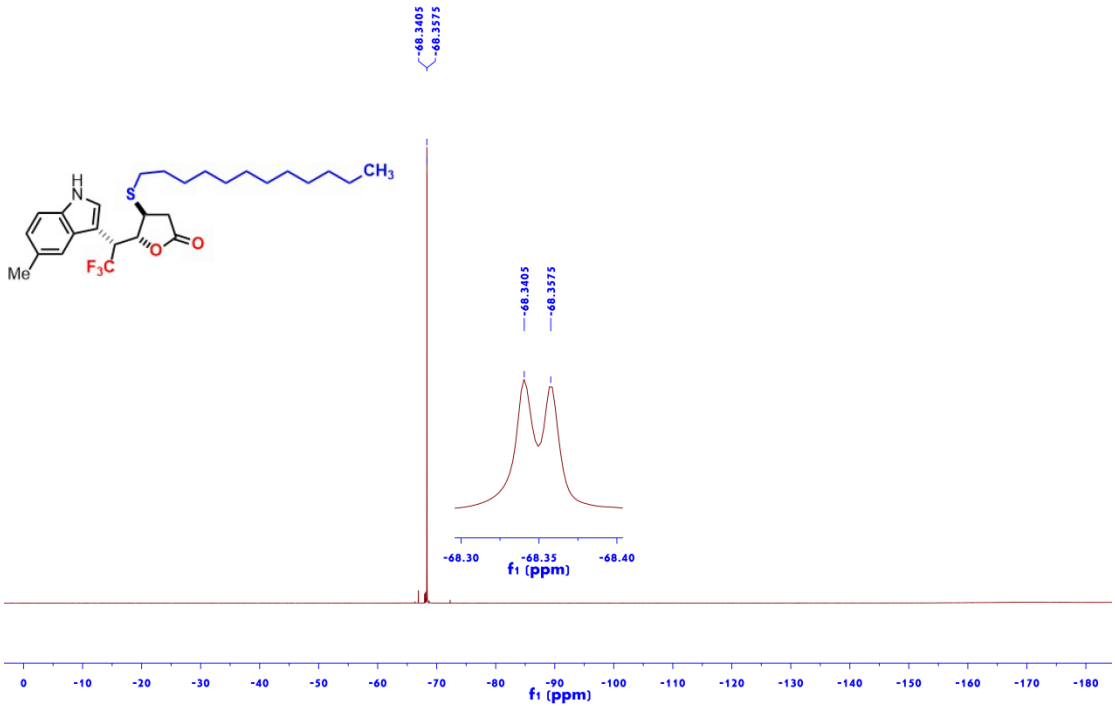


Figure S224 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ea'

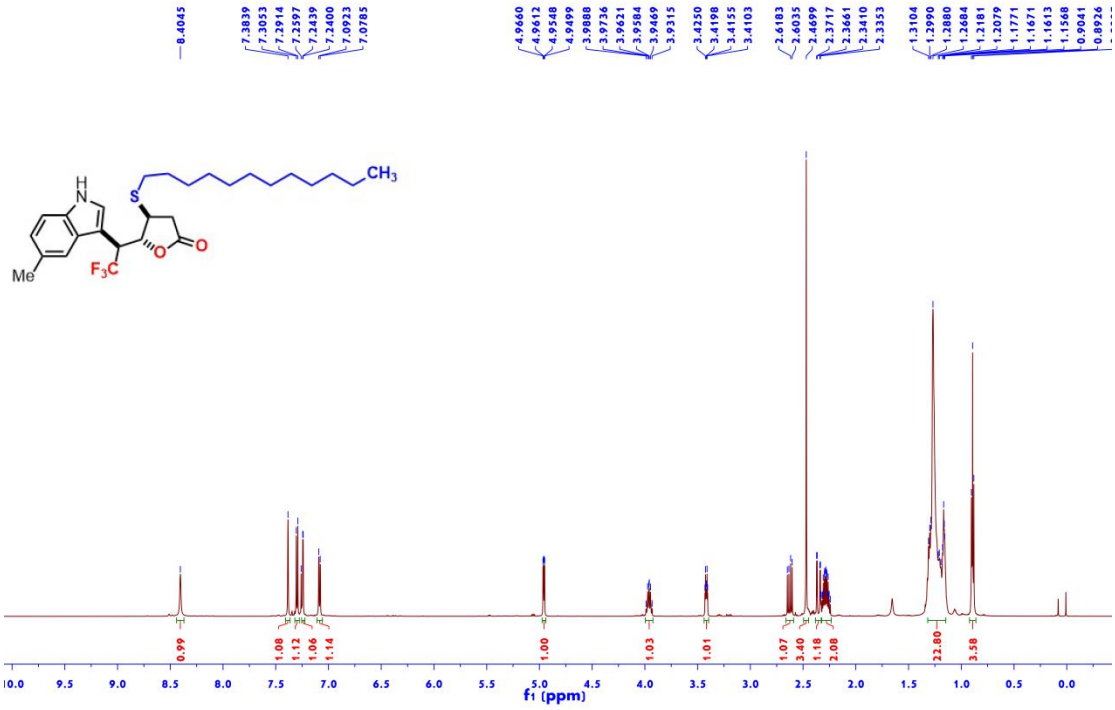


Figure S225 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ea'

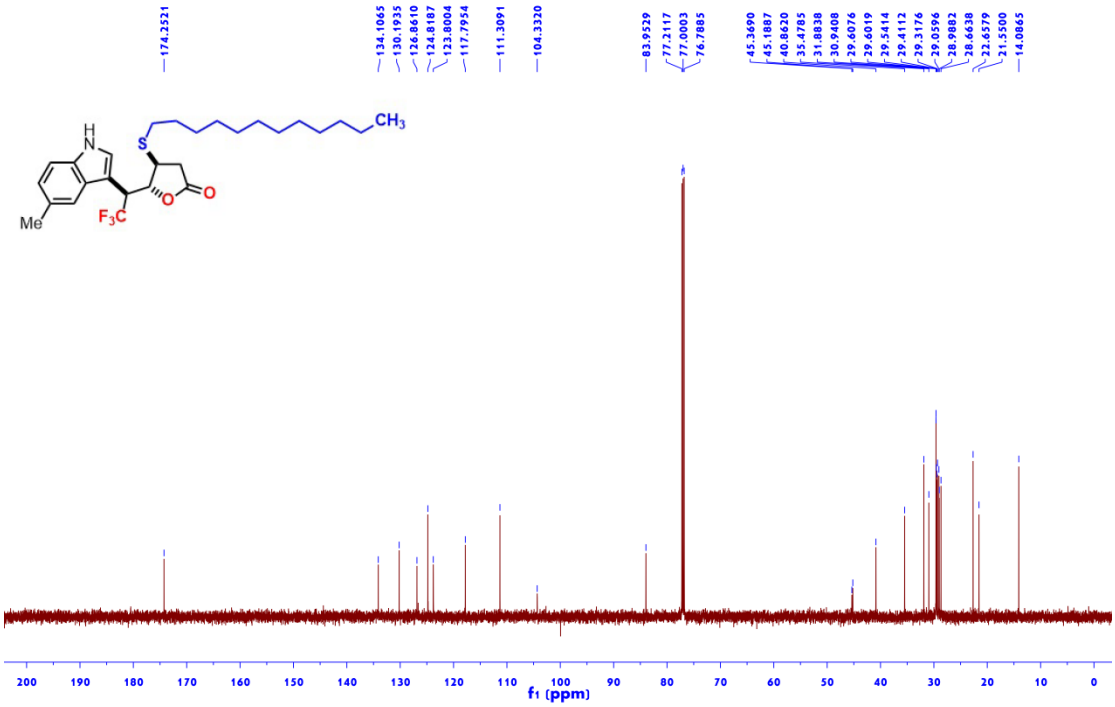


Figure S226 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ea'

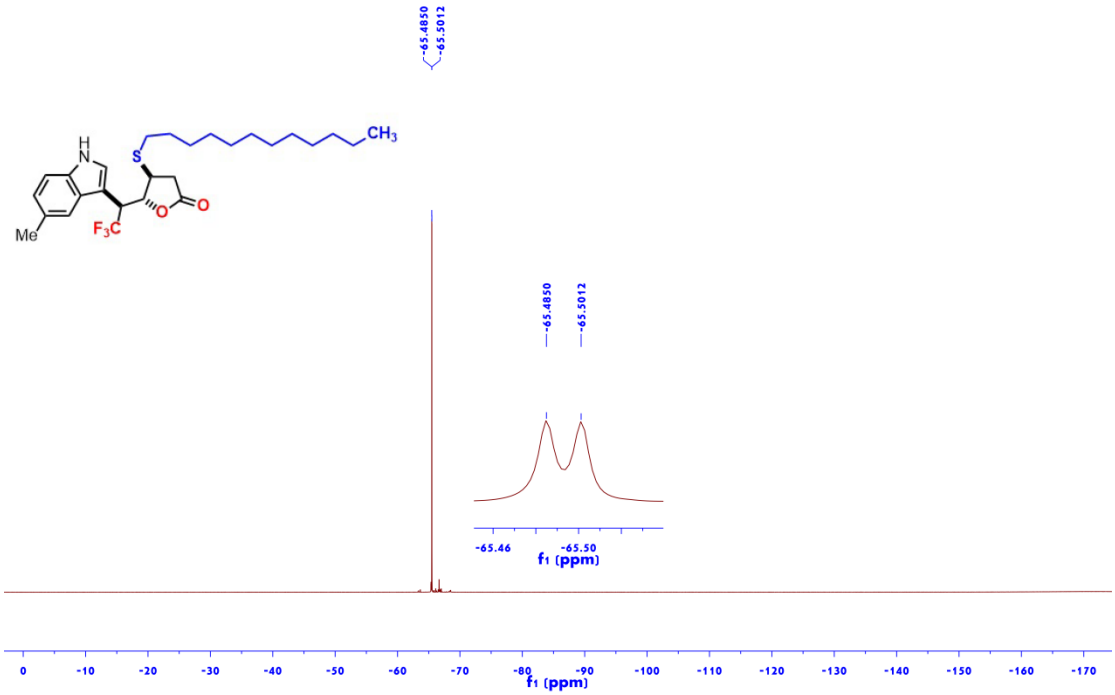


Figure S227 ^{1}H NMR (600 MHz, $CDCl_3$) of 5fa

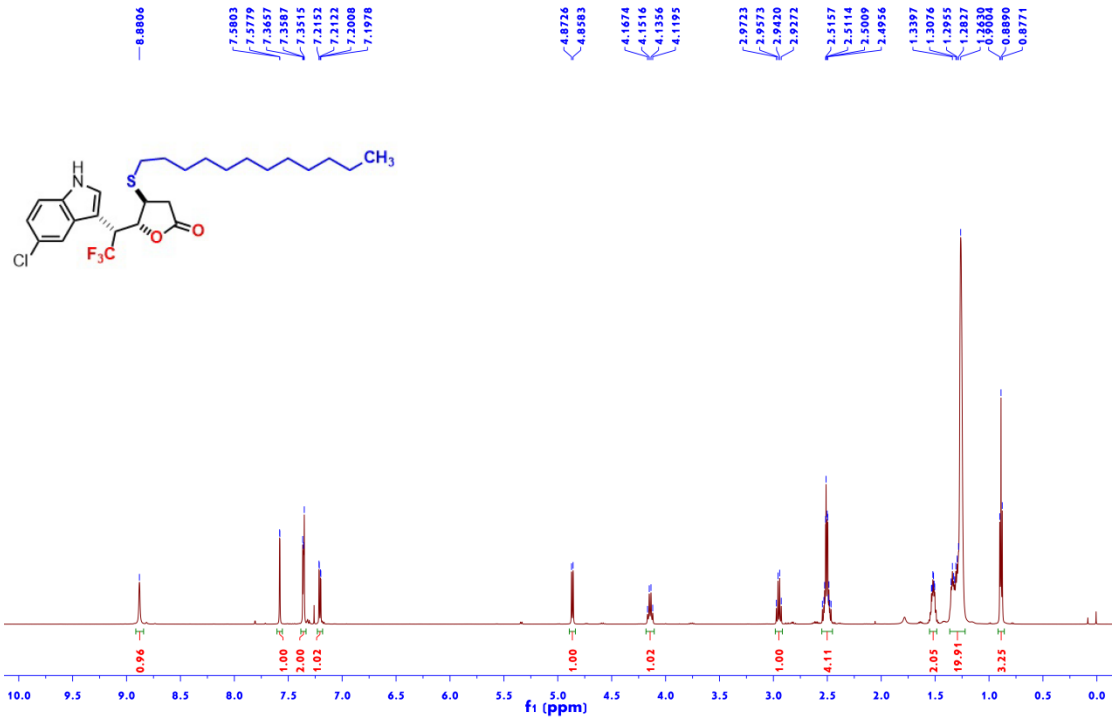


Figure S228 ^{13}C NMR (150 MHz, $CDCl_3$) of 5fa

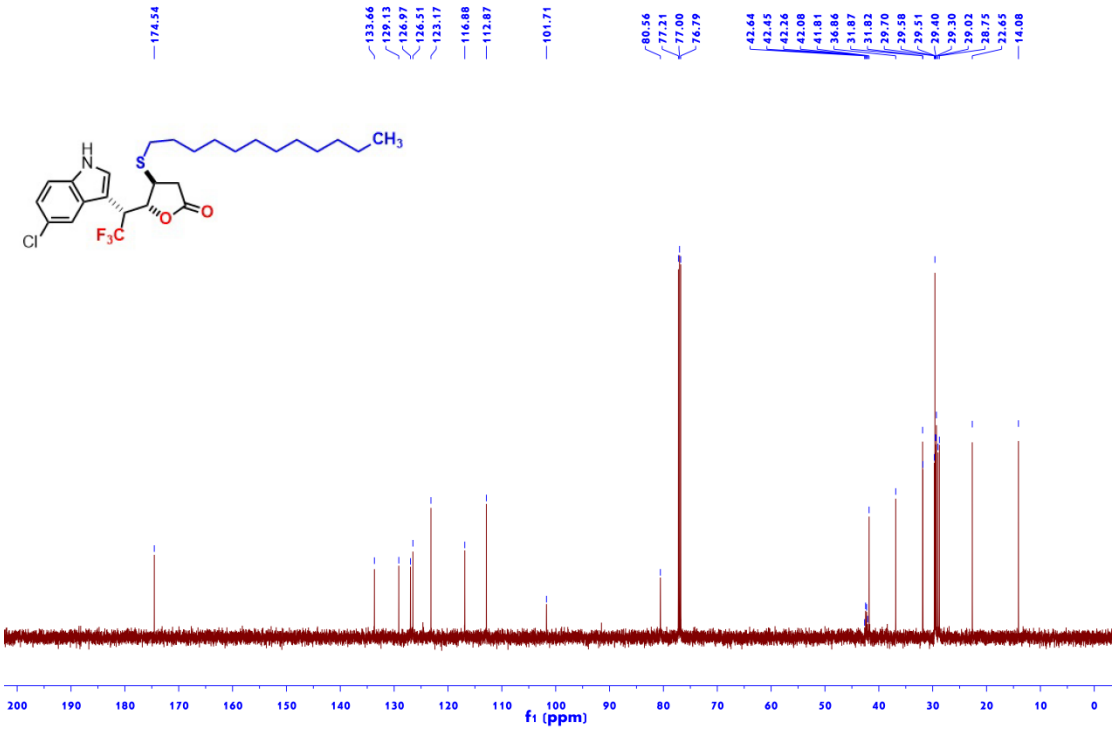


Figure S229 ^{19}F NMR (565 MHz, $CDCl_3$) of 5fa

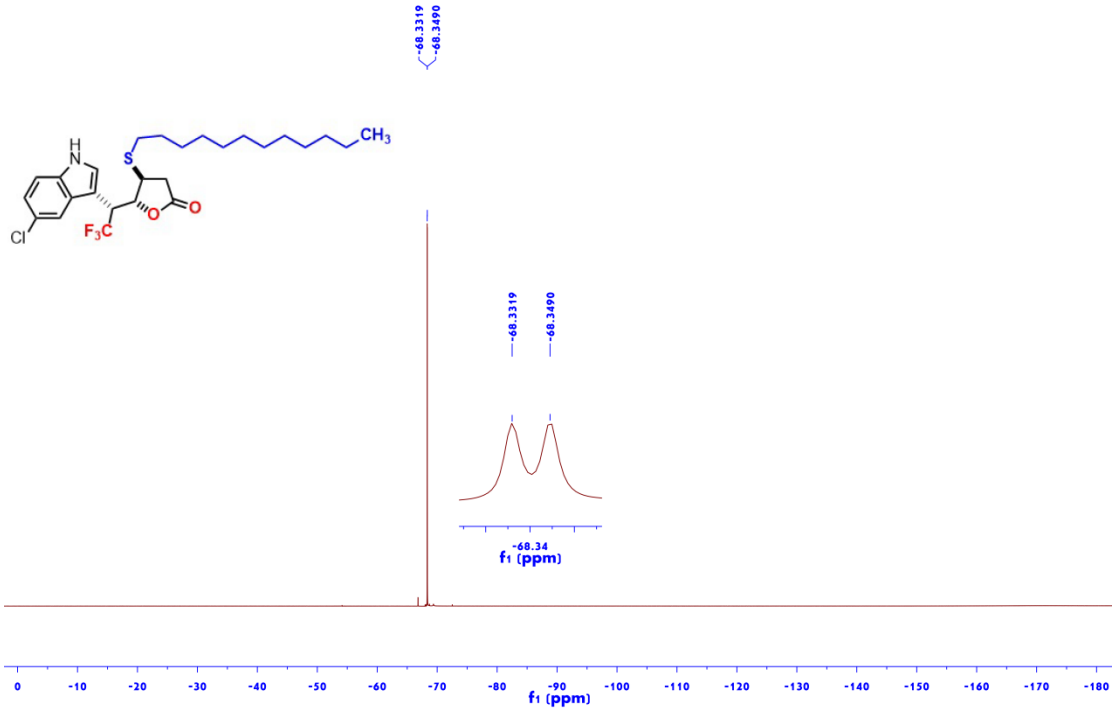


Figure S230 ^{1}H NMR (600 MHz, $CDCl_3$) of 5fa'

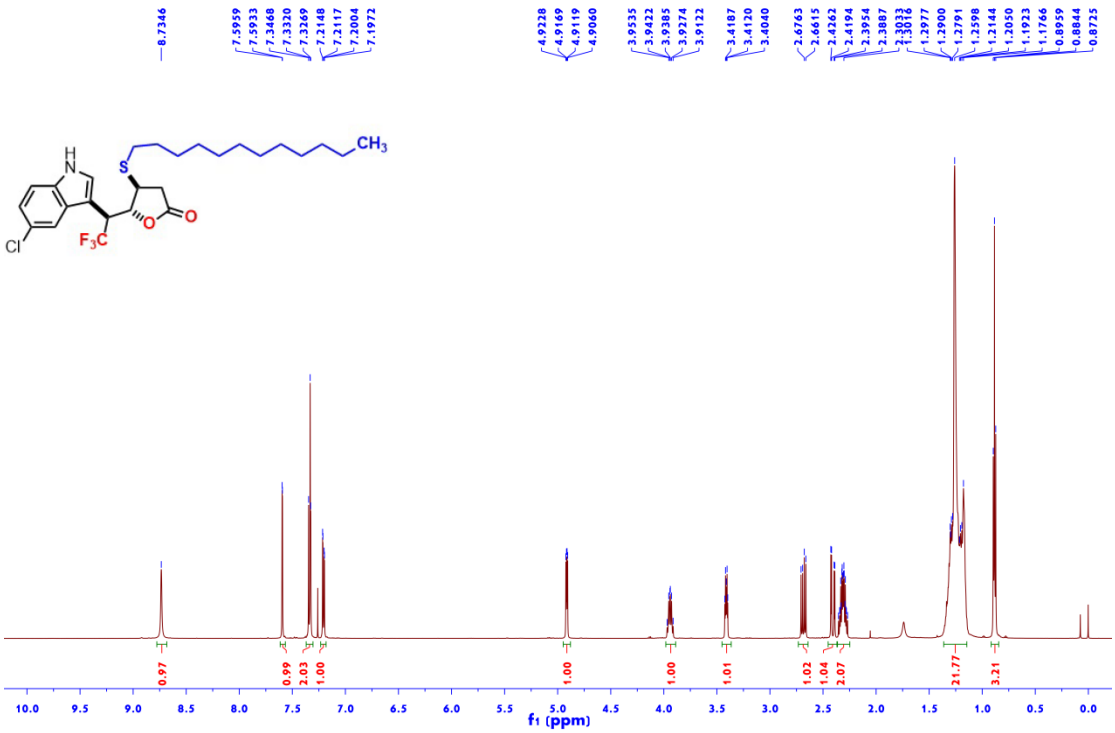


Figure S231 ^{13}C NMR (150 MHz, $CDCl_3$) of 5fa'

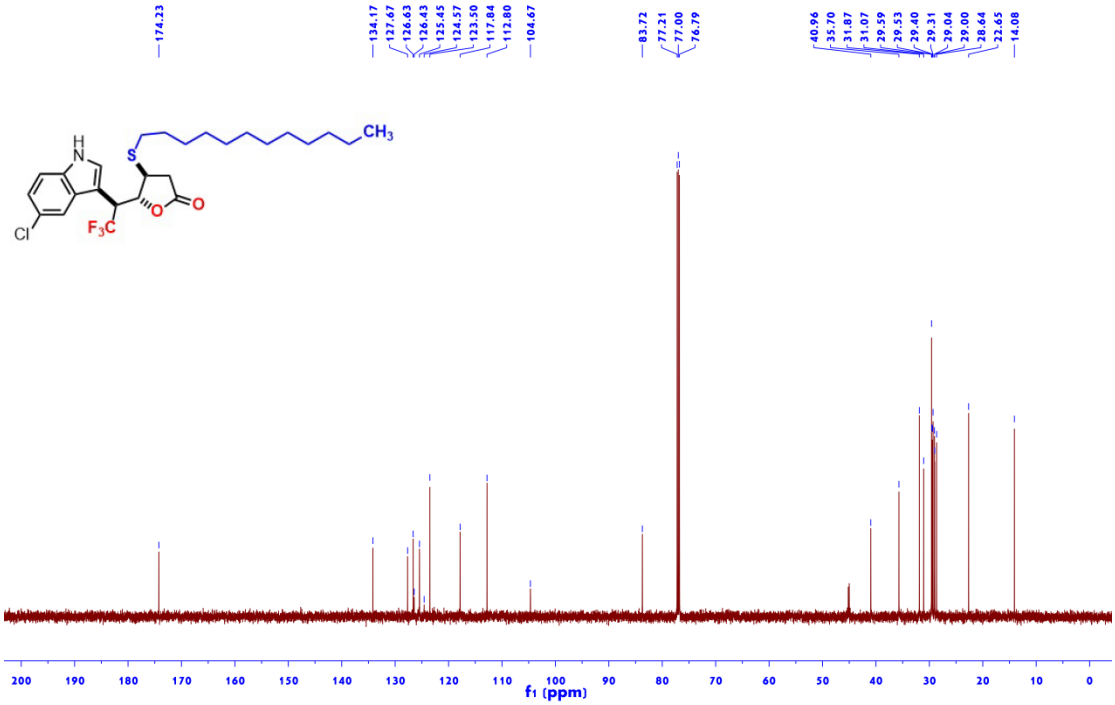


Figure S232 ^{19}F NMR (565 MHz, $CDCl_3$) of 5fa'

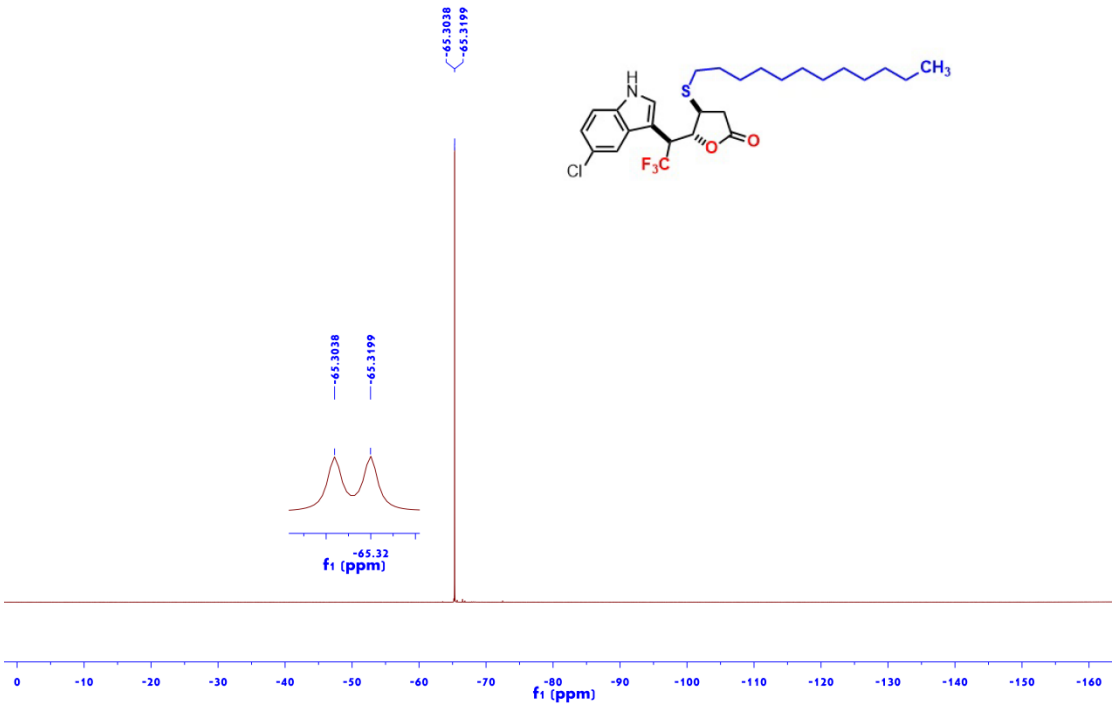


Figure S233 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ga

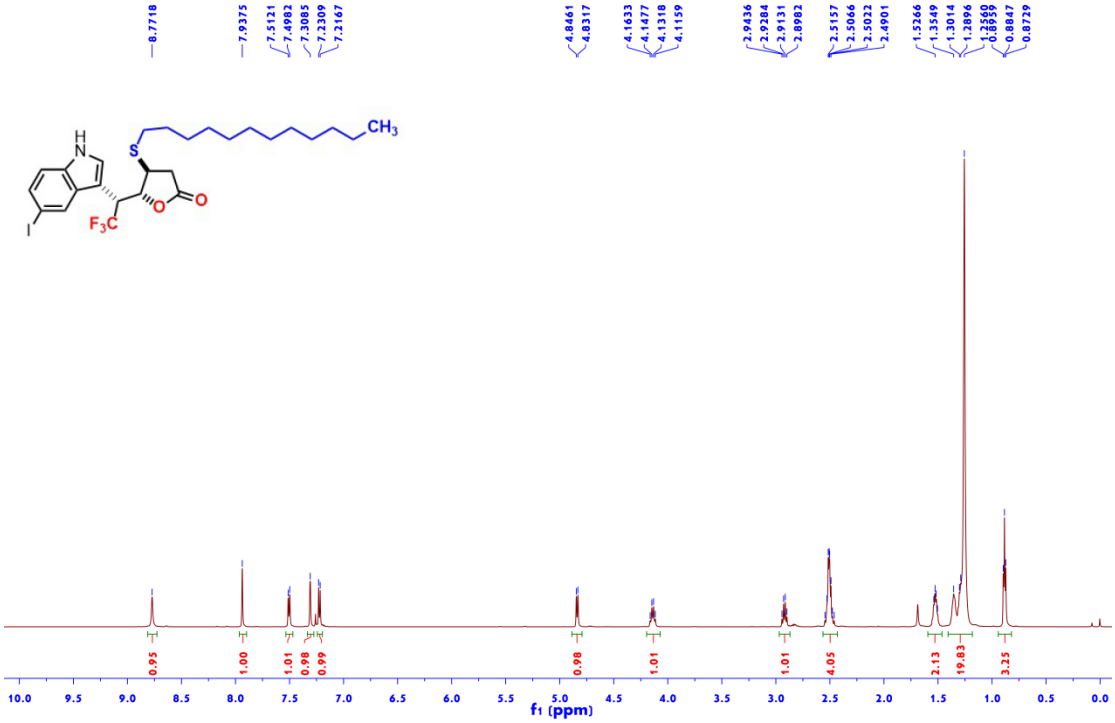


Figure S234 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ga

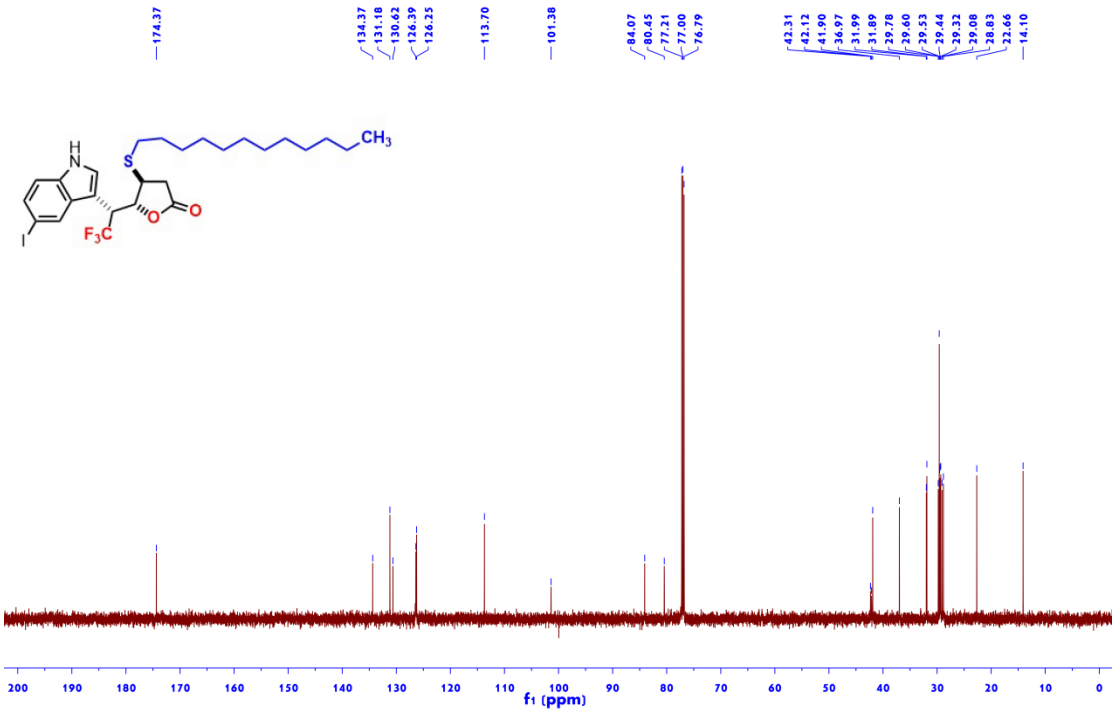


Figure S235 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ga

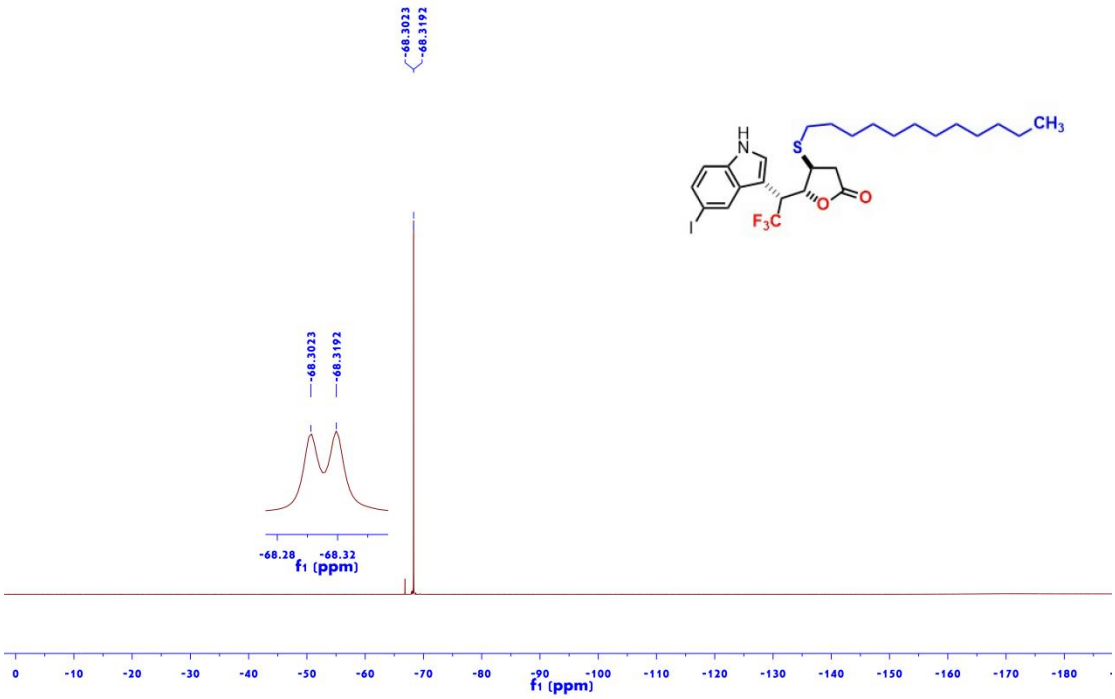


Figure S236 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ga'

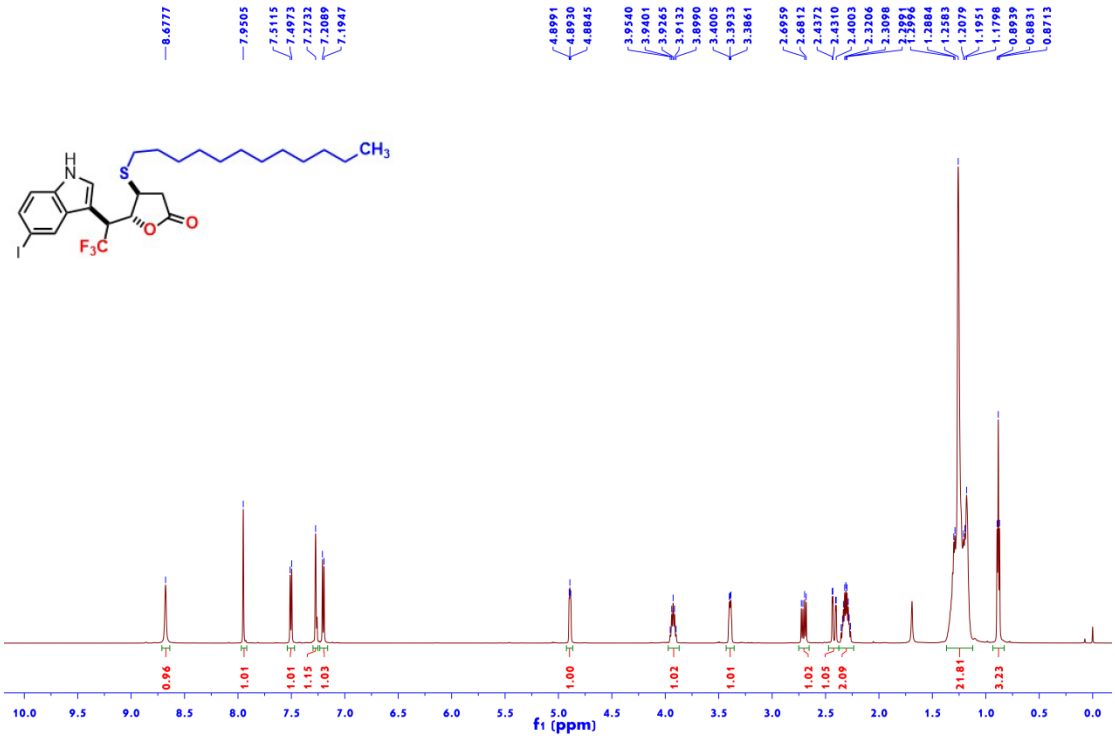


Figure S237 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ga'

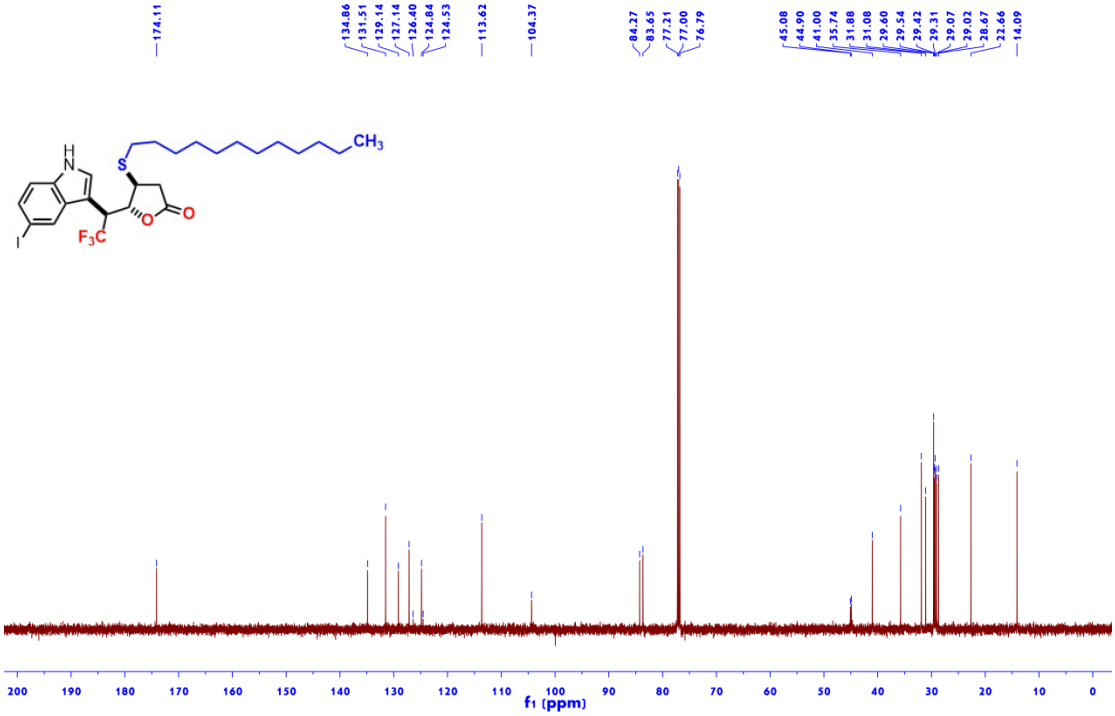


Figure S238 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ga'

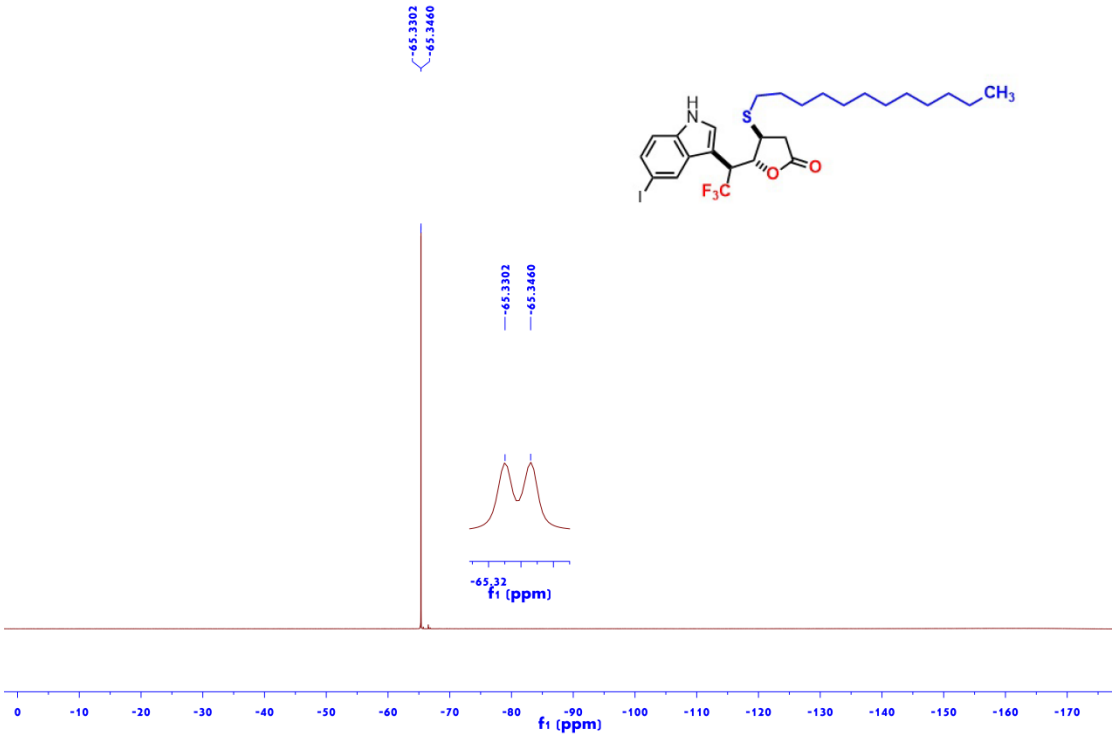


Figure S239 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ha

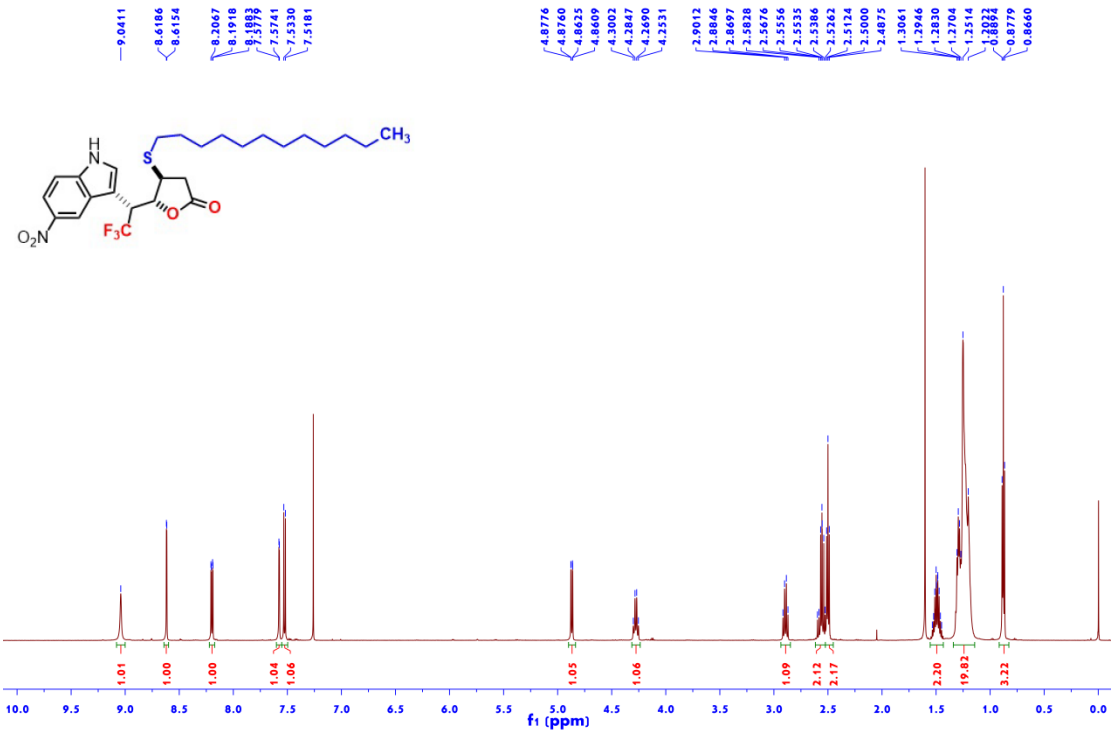


Figure S240 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ha

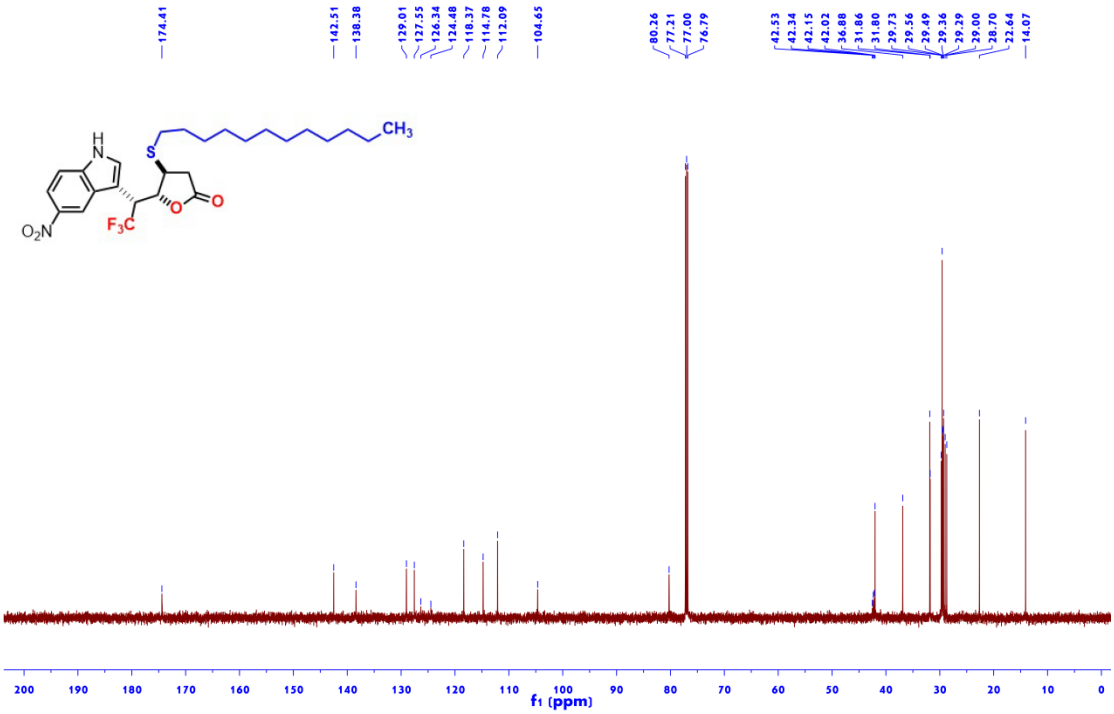


Figure S241 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ha

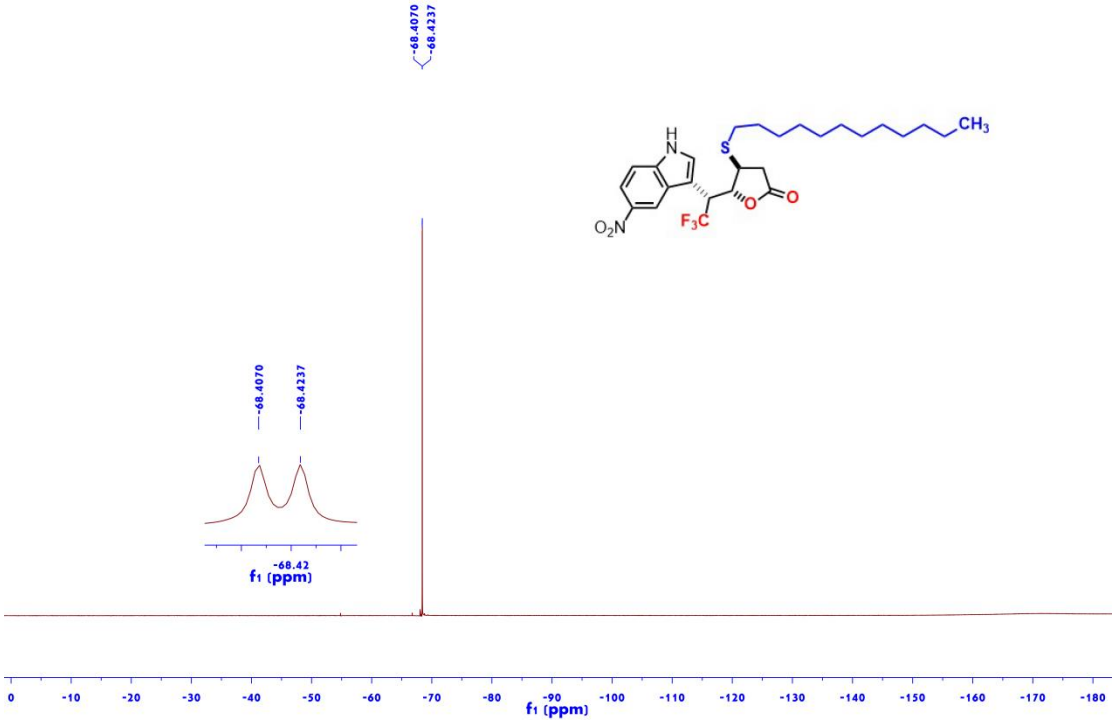


Figure S242 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ha'

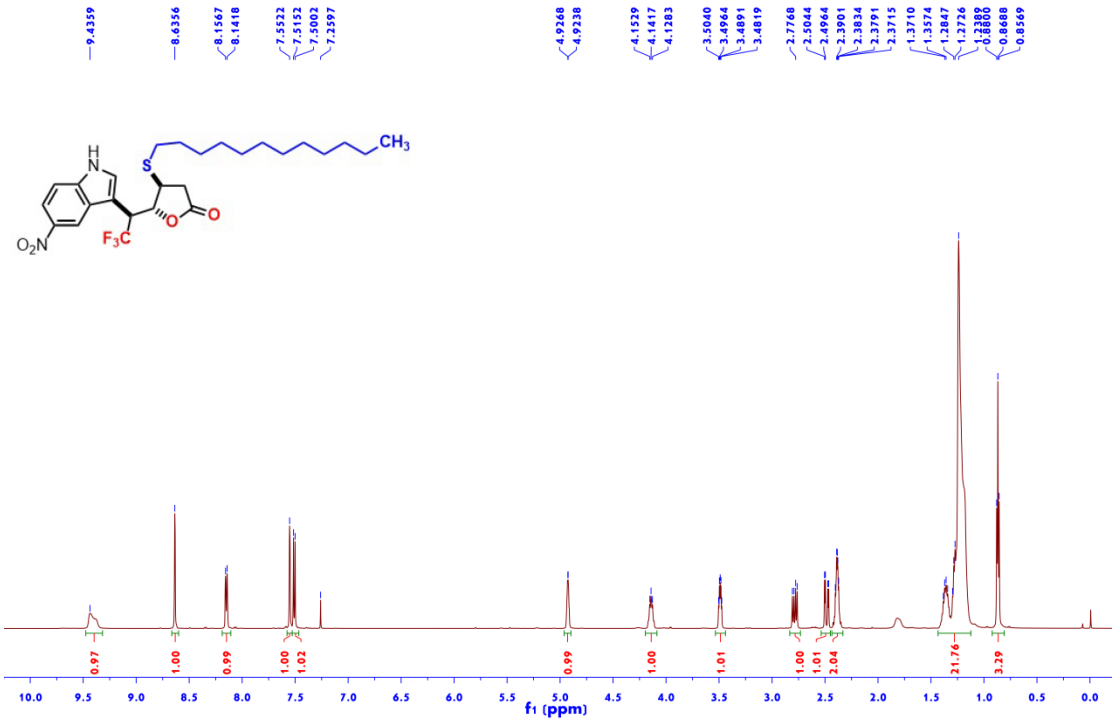


Figure S243 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ha'

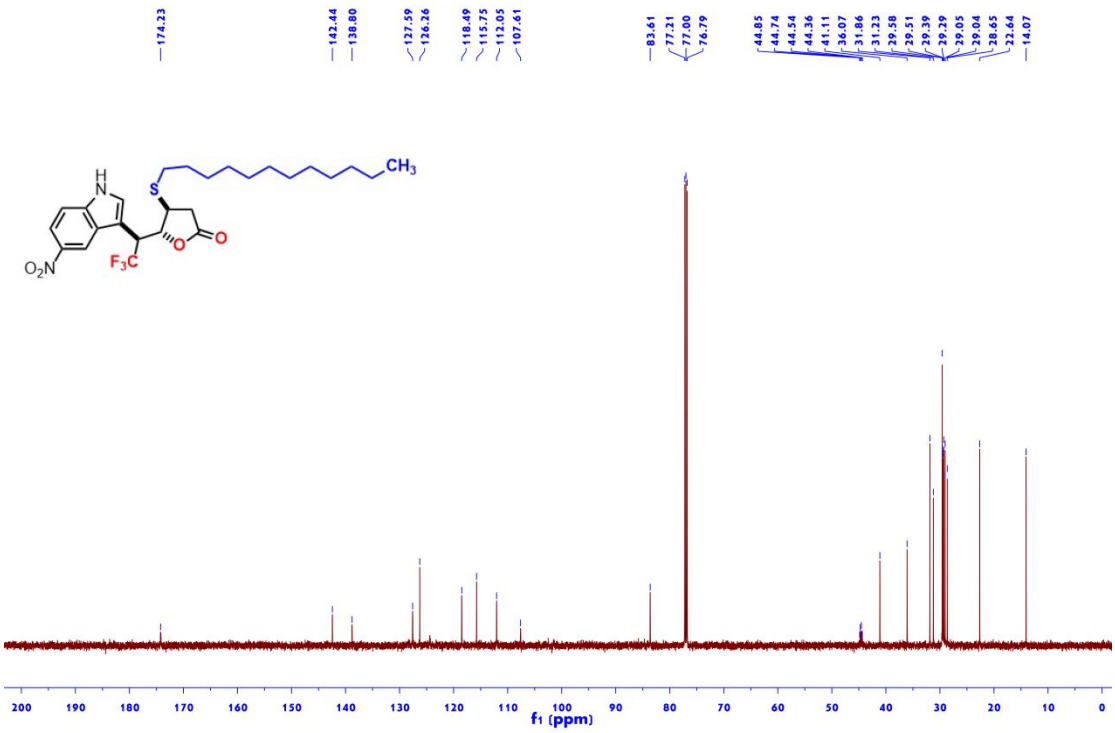


Figure S244 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ha'

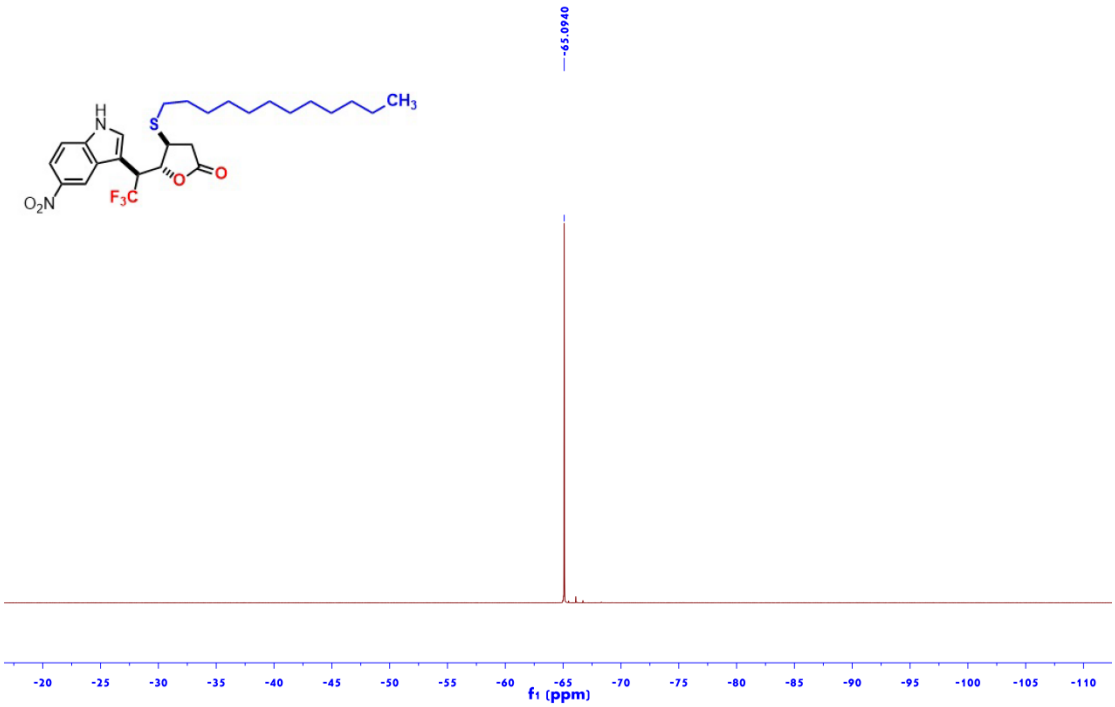


Figure S245 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ia

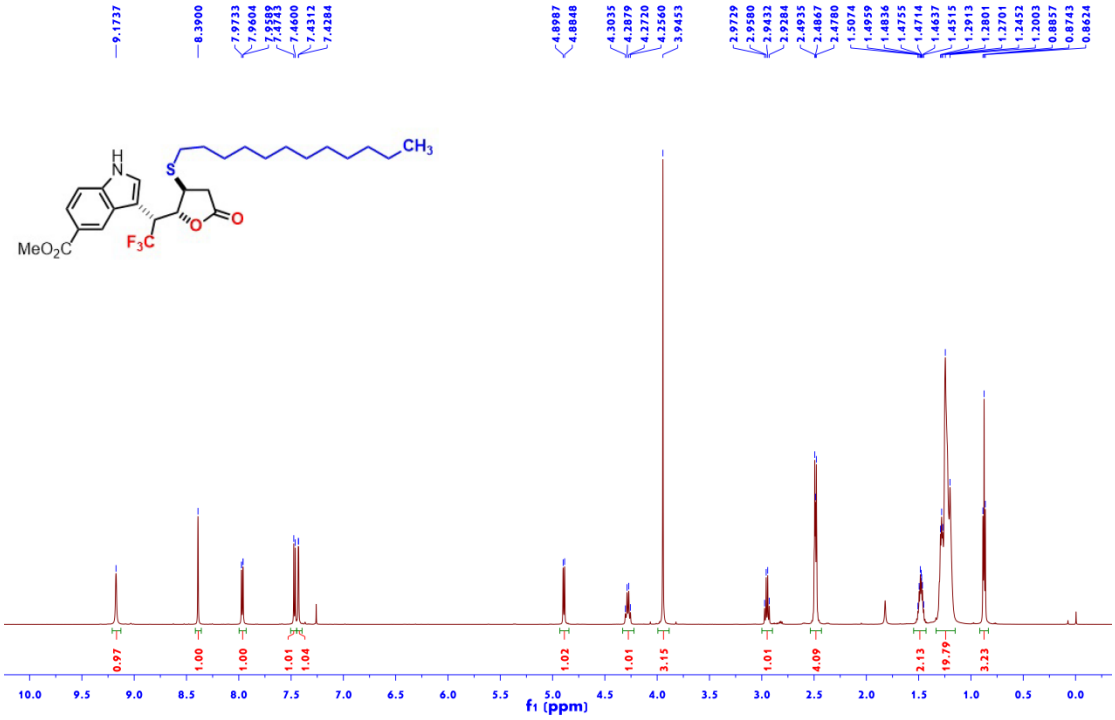


Figure S246 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ia

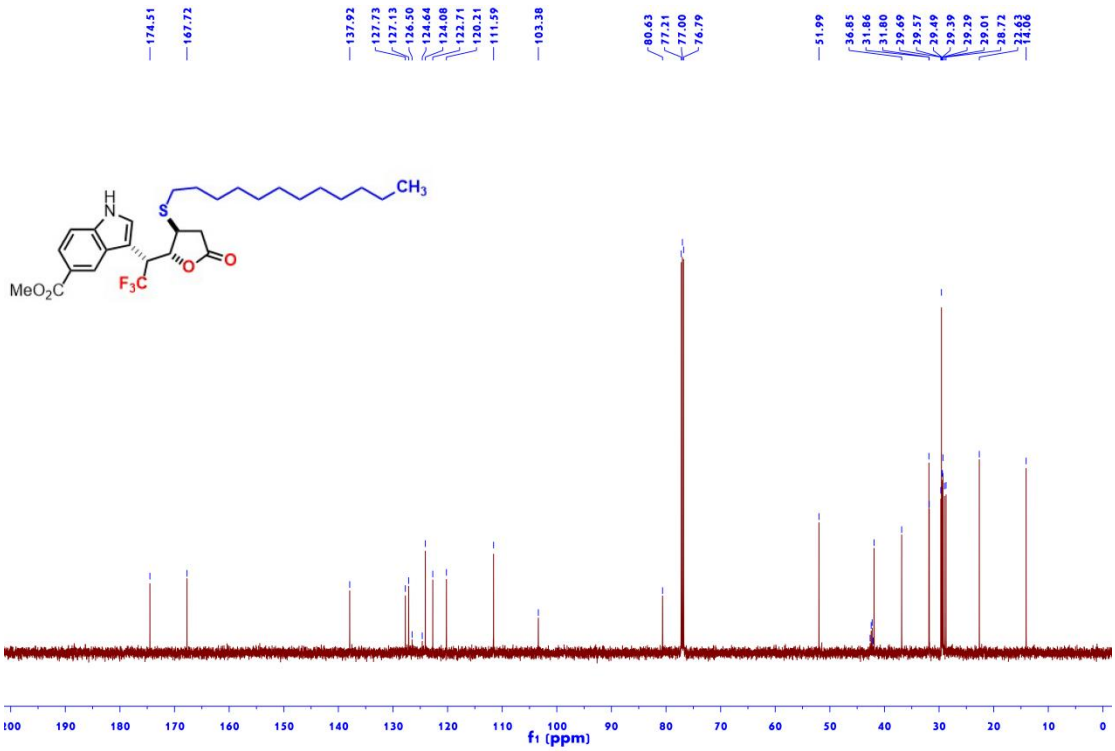


Figure S247 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ia

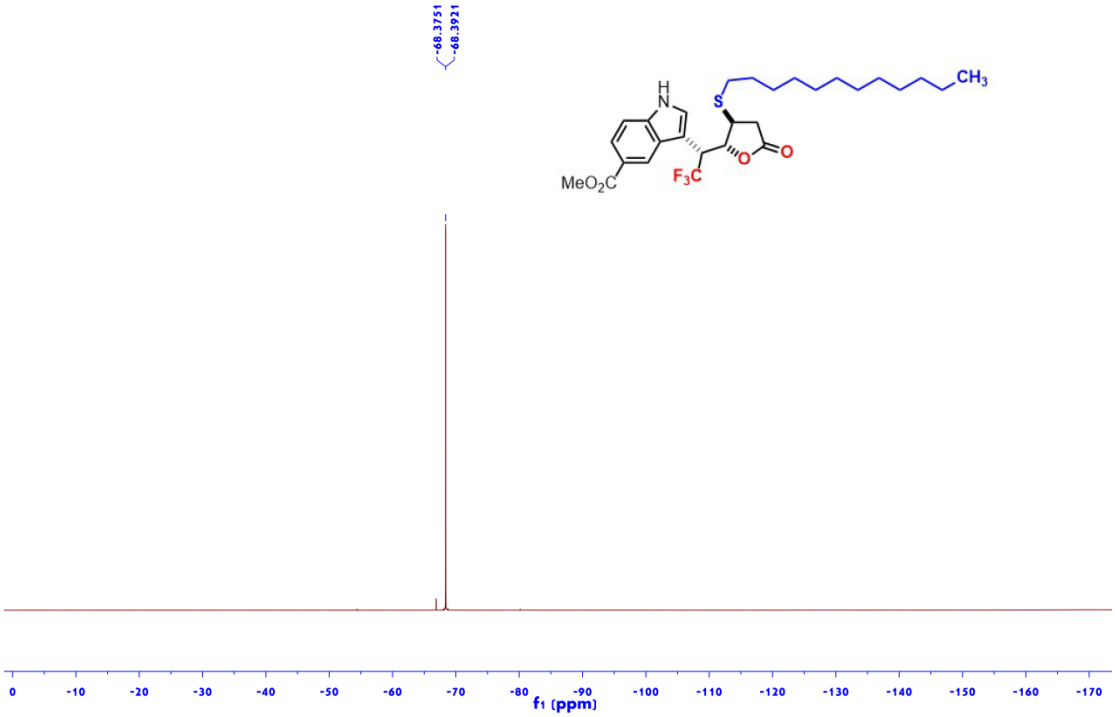


Figure S248 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ia'

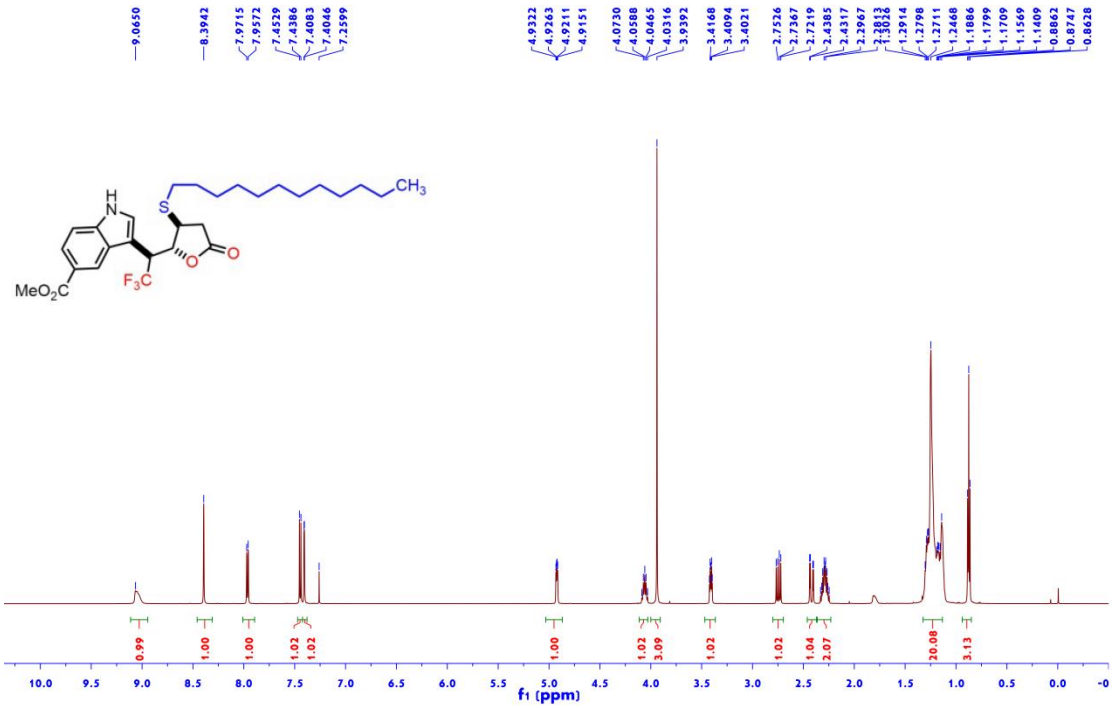


Figure S249 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ia'

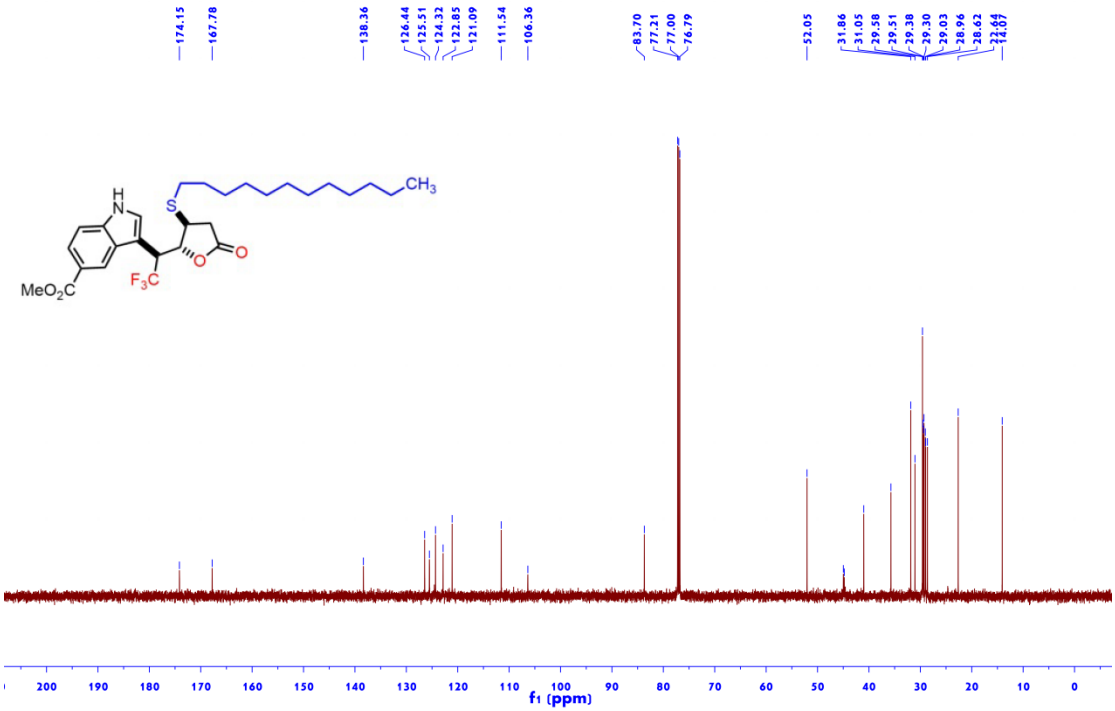


Figure S250 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ia'

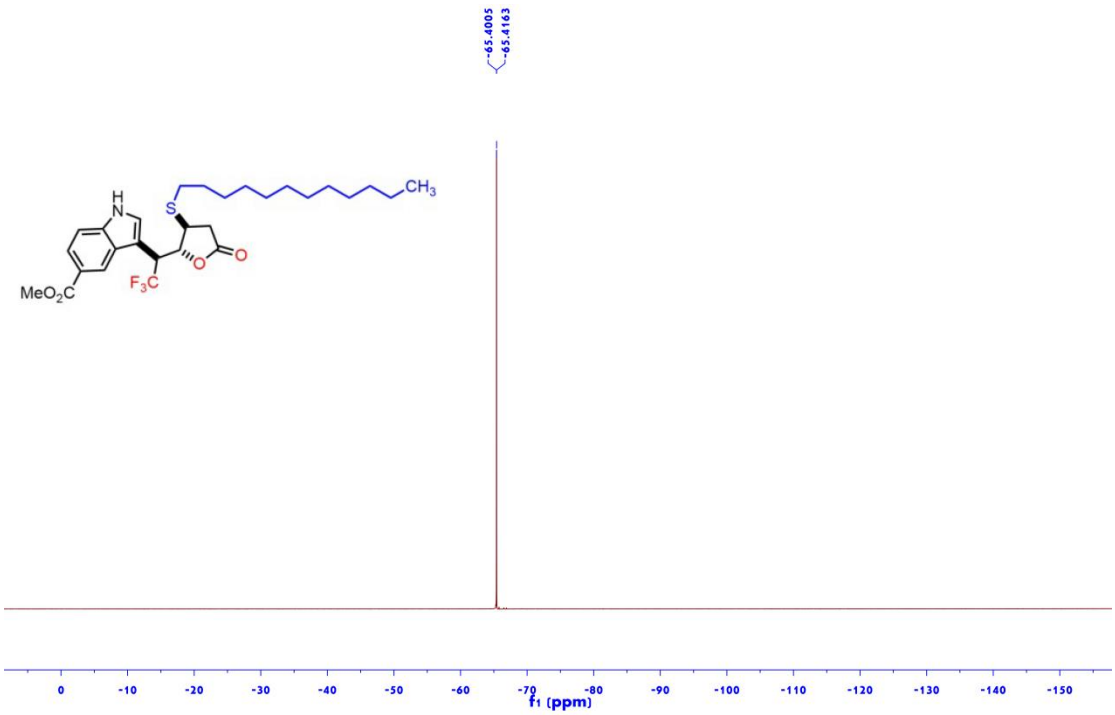


Figure S251 ^{1}H NMR (600 MHz, $CDCl_3$) of **5ja**

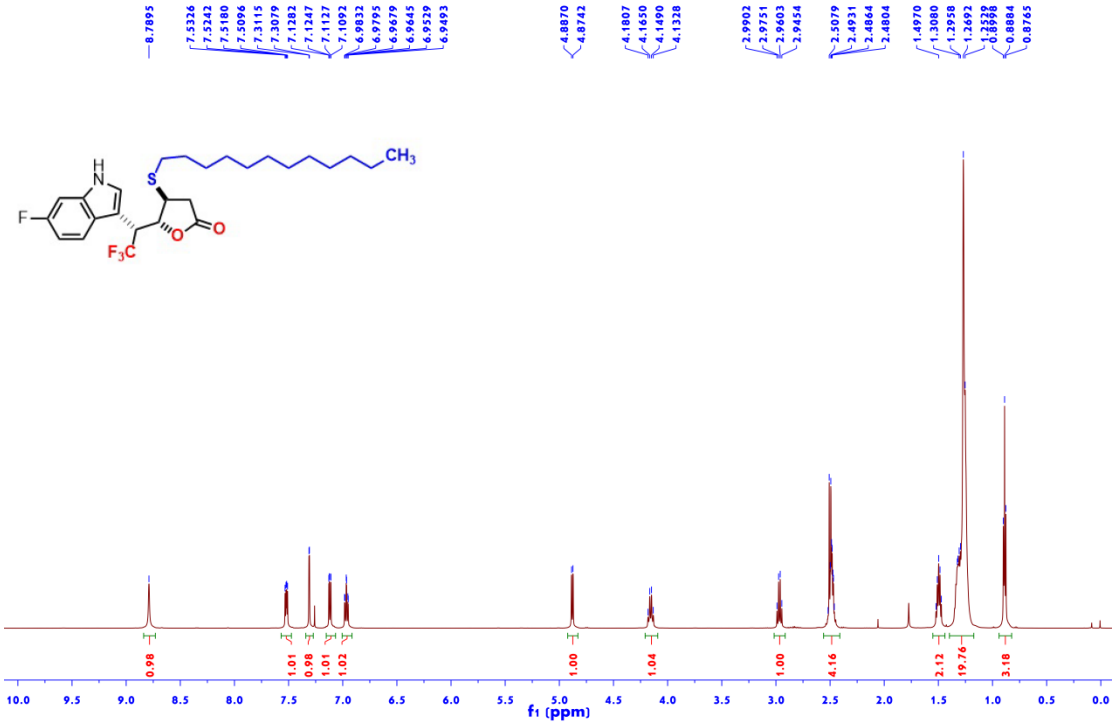


Figure S252 ^{13}C NMR (150 MHz, $CDCl_3$) of **5ja**

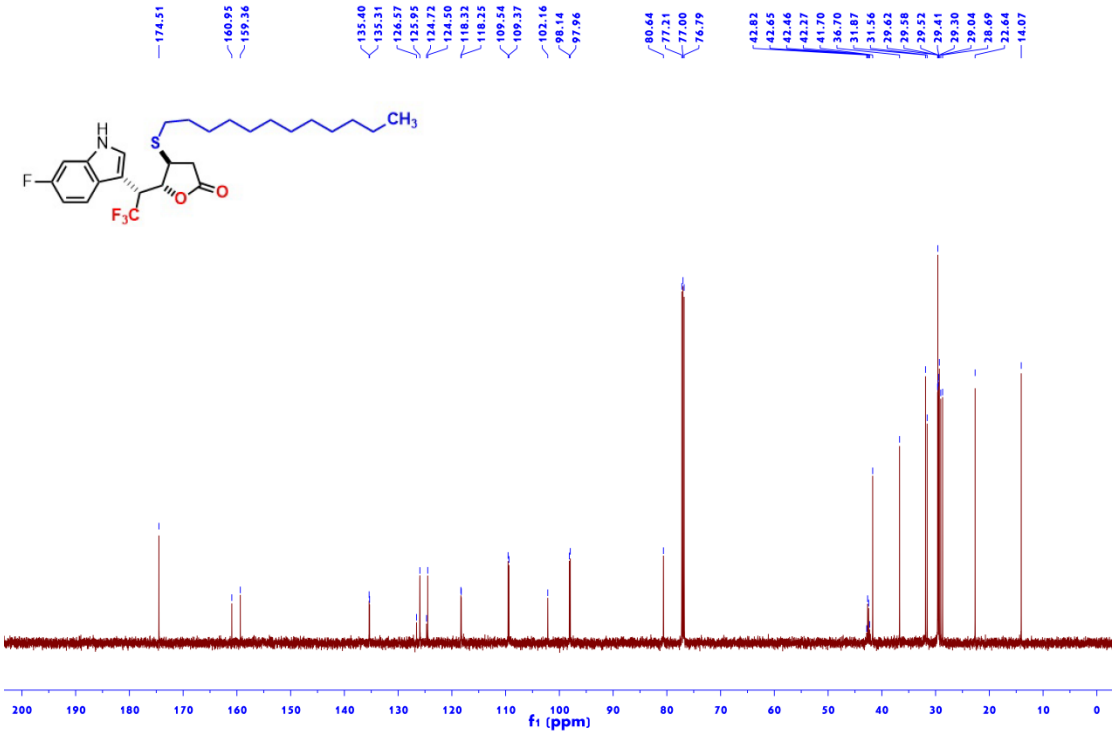


Figure S253 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ja

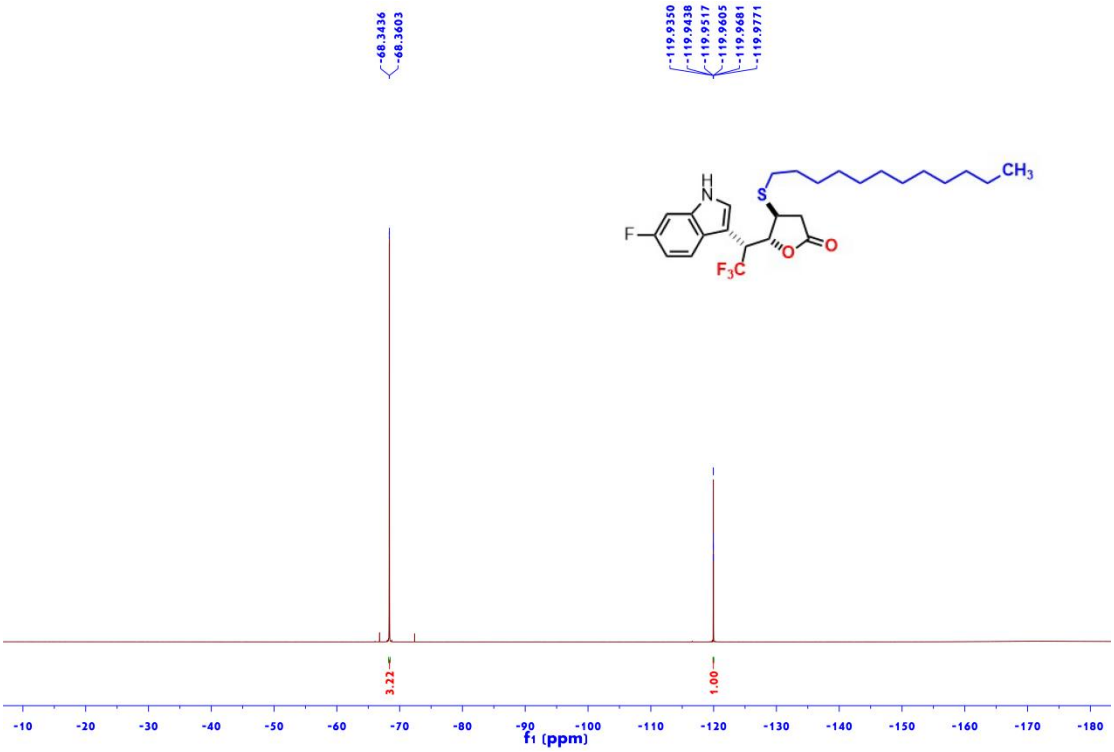


Figure S254 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ja'

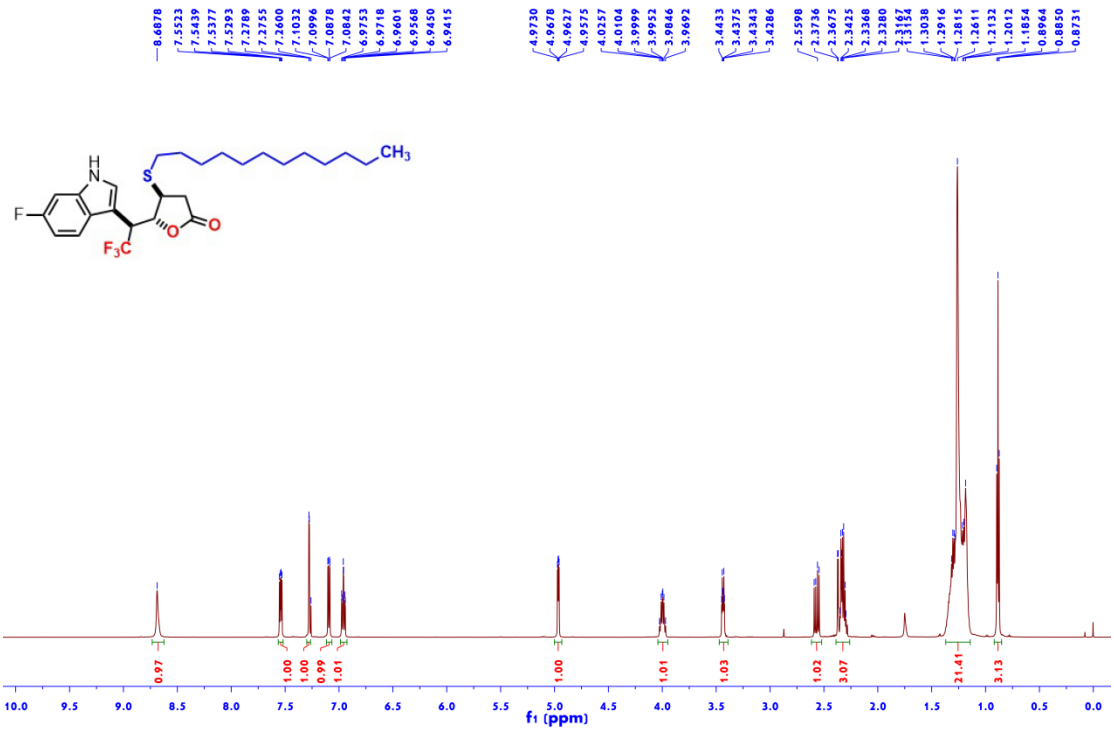


Figure S255 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ja'

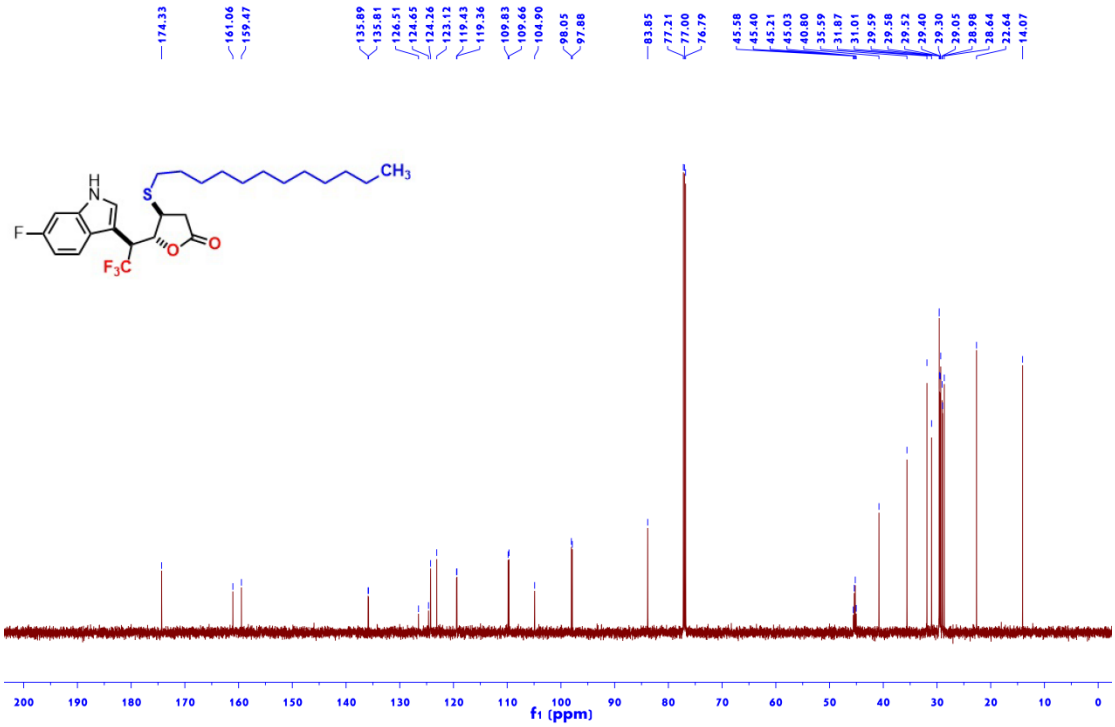


Figure S256 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ja'

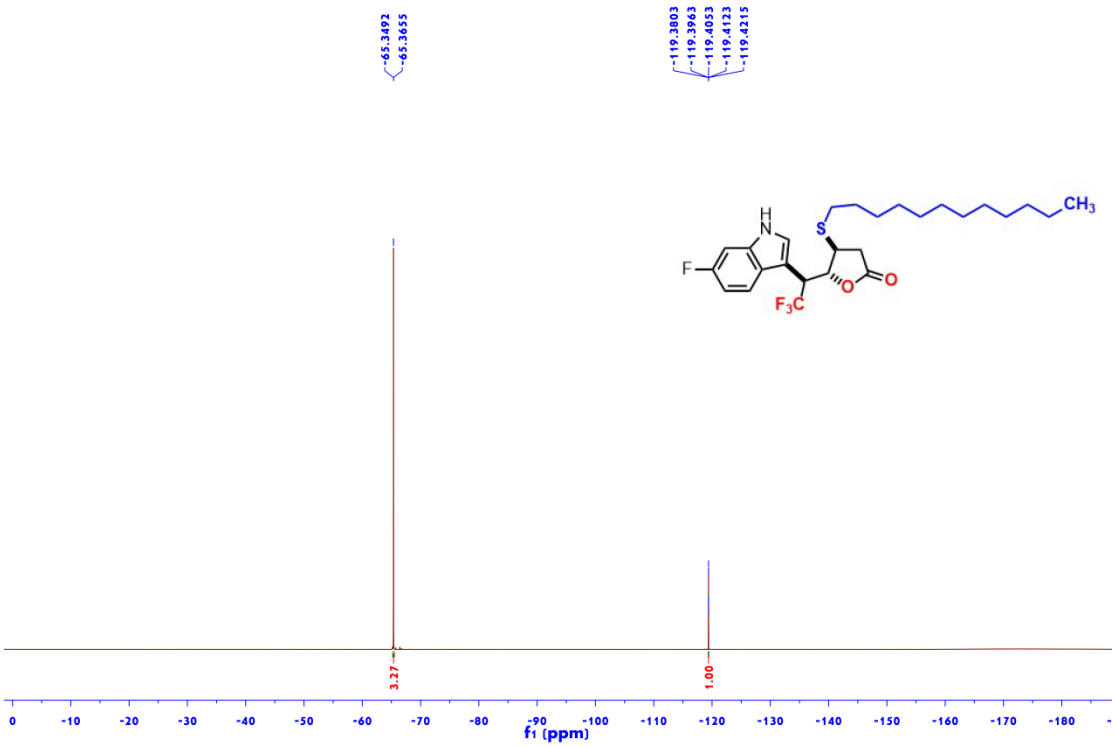


Figure S257 ^{1}H NMR (600 MHz, $CDCl_3$) of 5jf

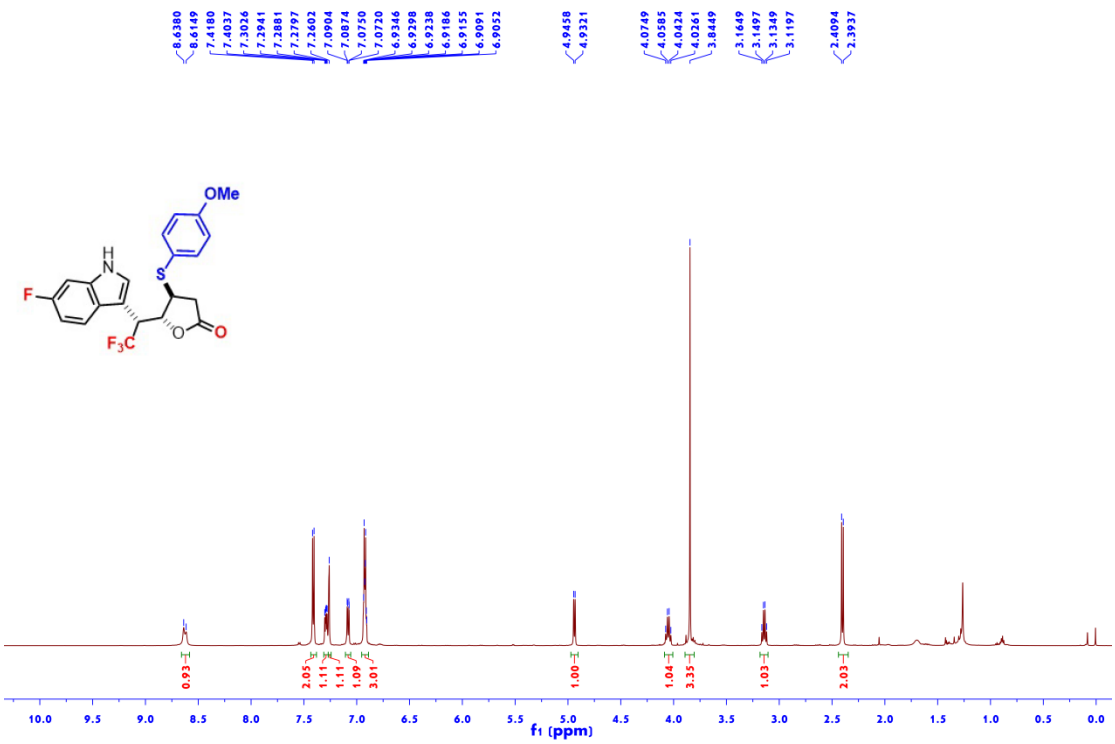


Figure S258 ^{13}C NMR (150 MHz, $CDCl_3$) of 5jf

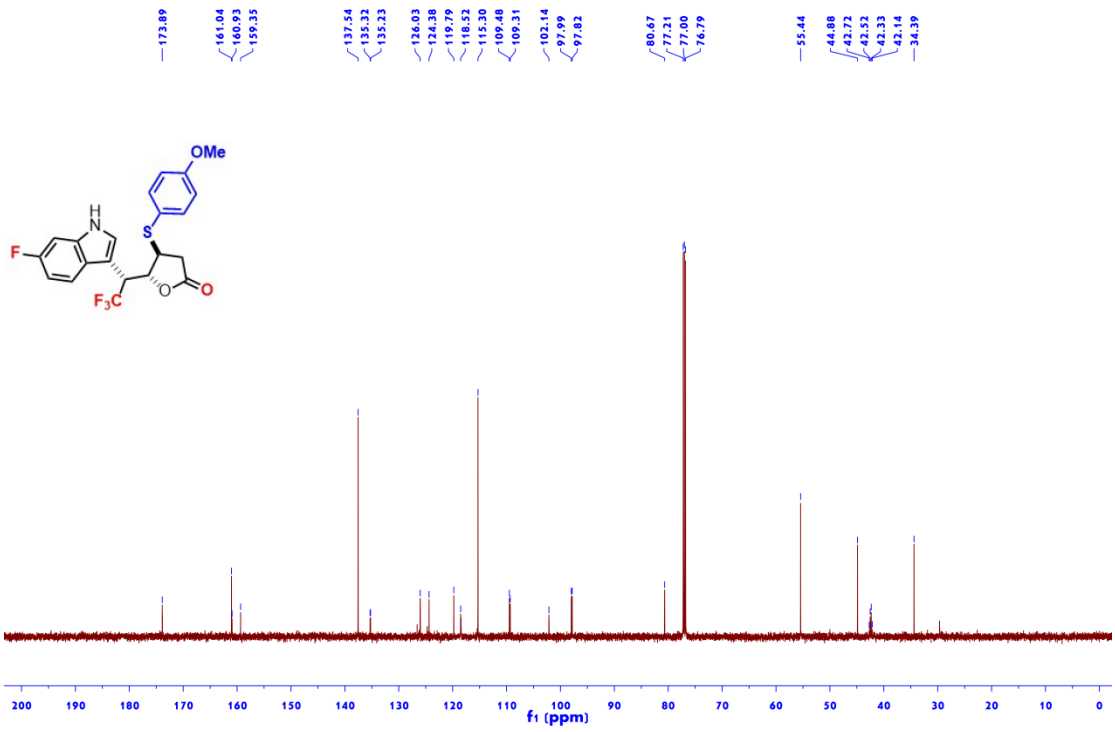


Figure S259 ^{19}F NMR (565 MHz, $CDCl_3$) of 5jf

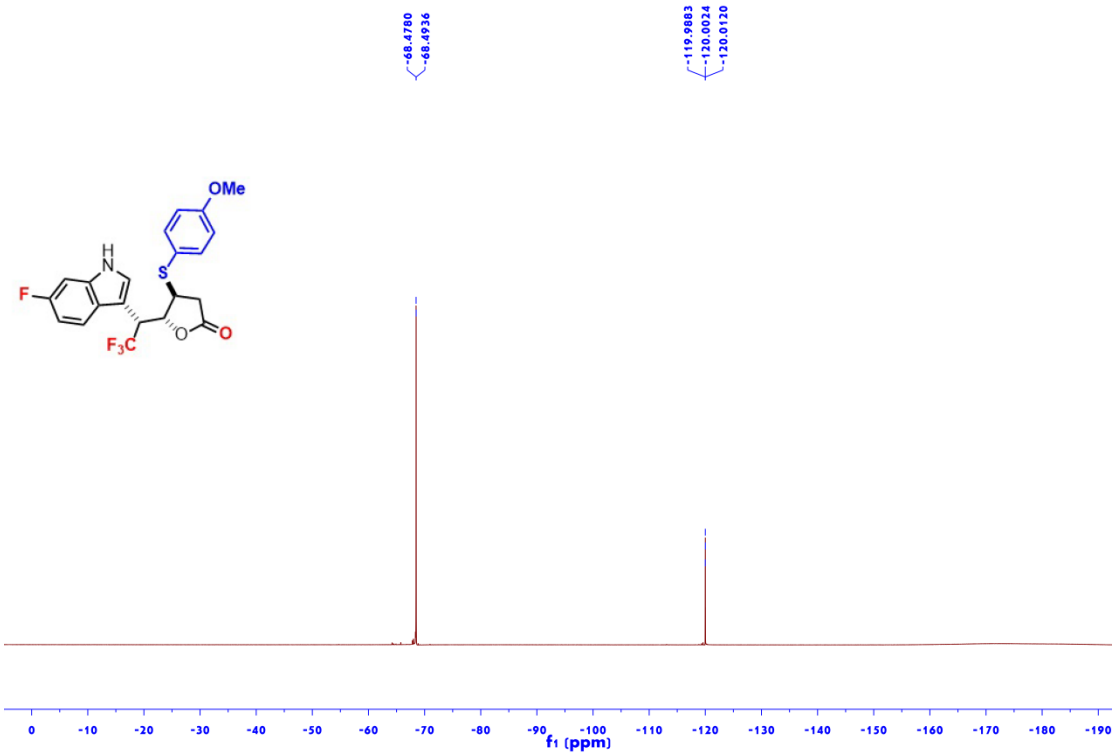


Figure S260 ^{1}H NMR (600 MHz, $CDCl_3$) of 5jf'

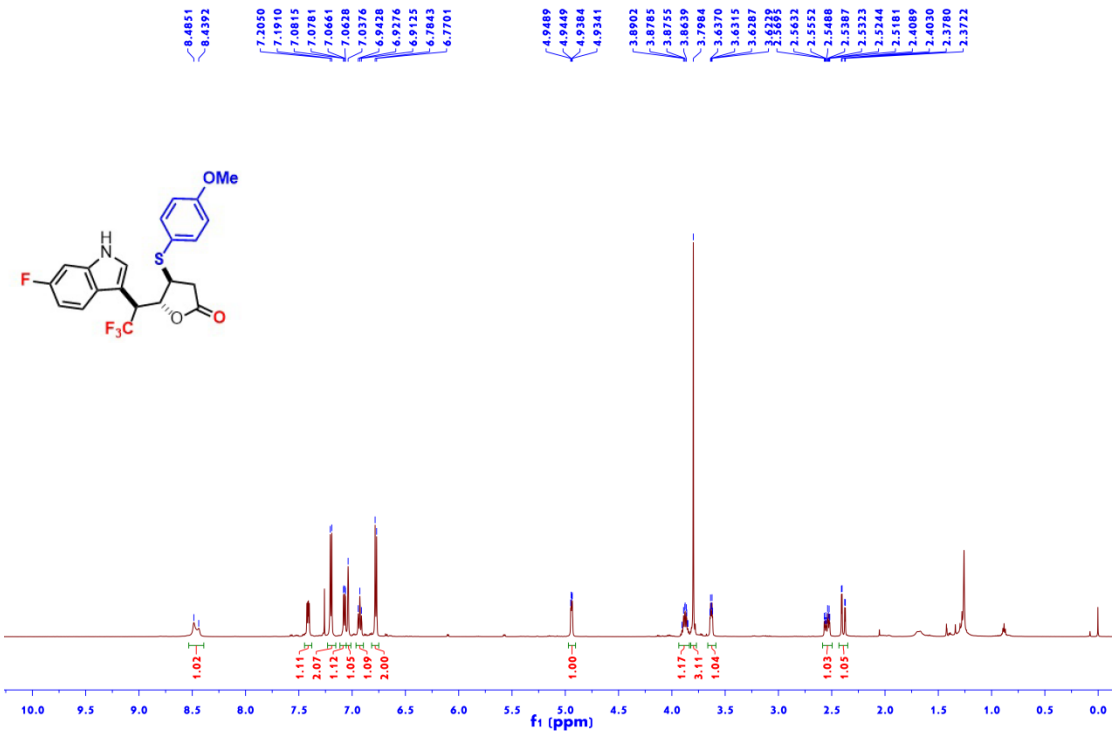


Figure S261 ^{13}C NMR (150 MHz, $CDCl_3$) of 5jf'

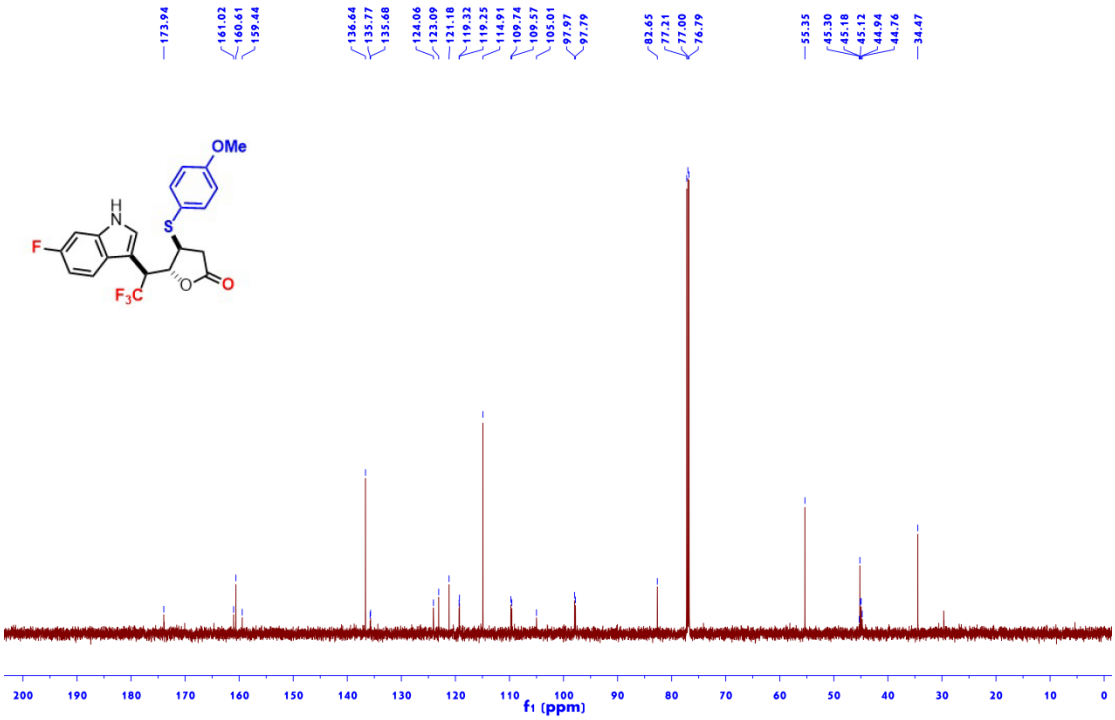


Figure S262 ^{19}F NMR (565 MHz, $CDCl_3$) of 5jf'

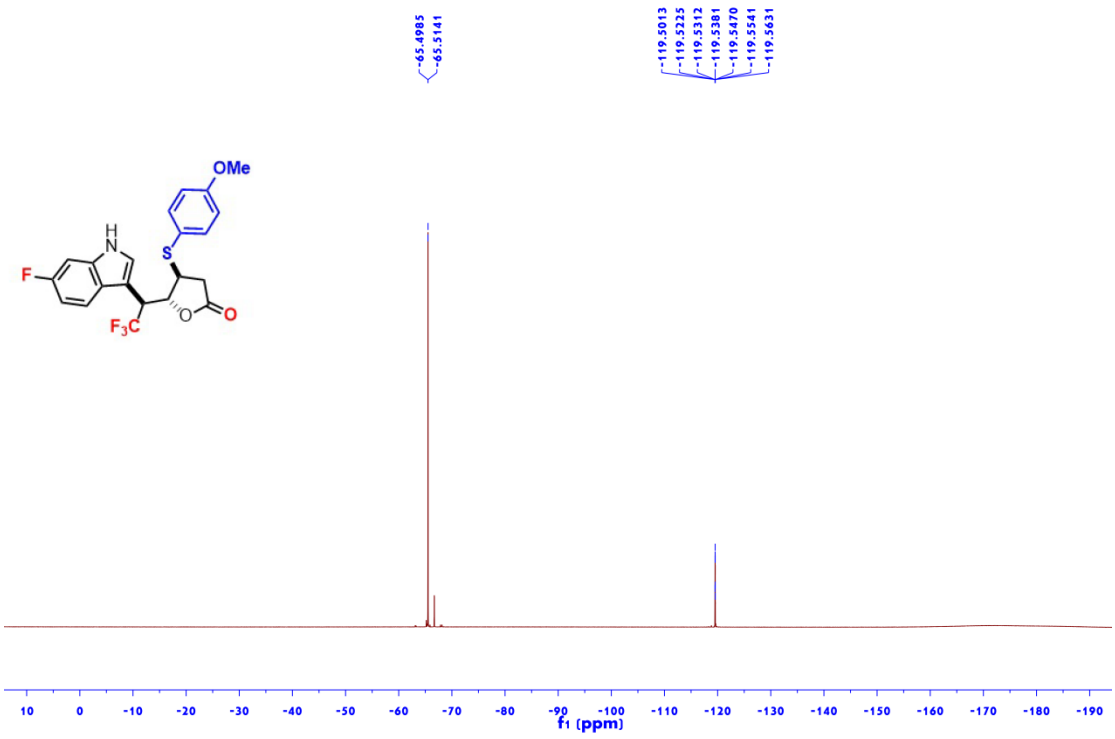


Figure S263 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ka

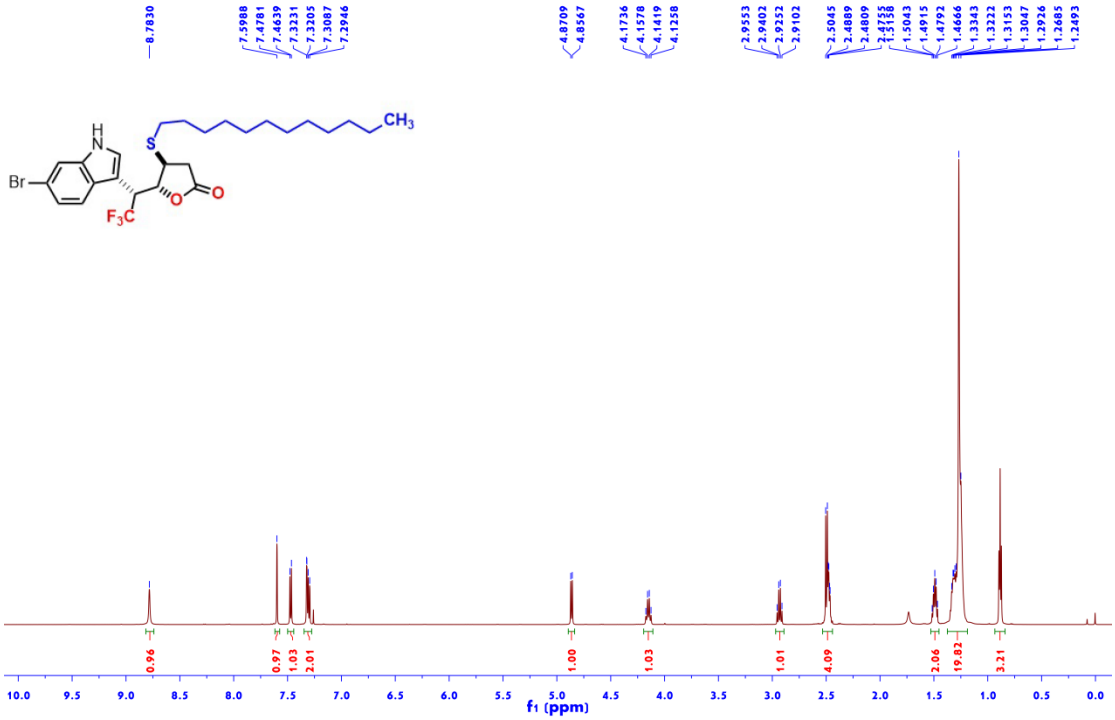


Figure S264 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ka

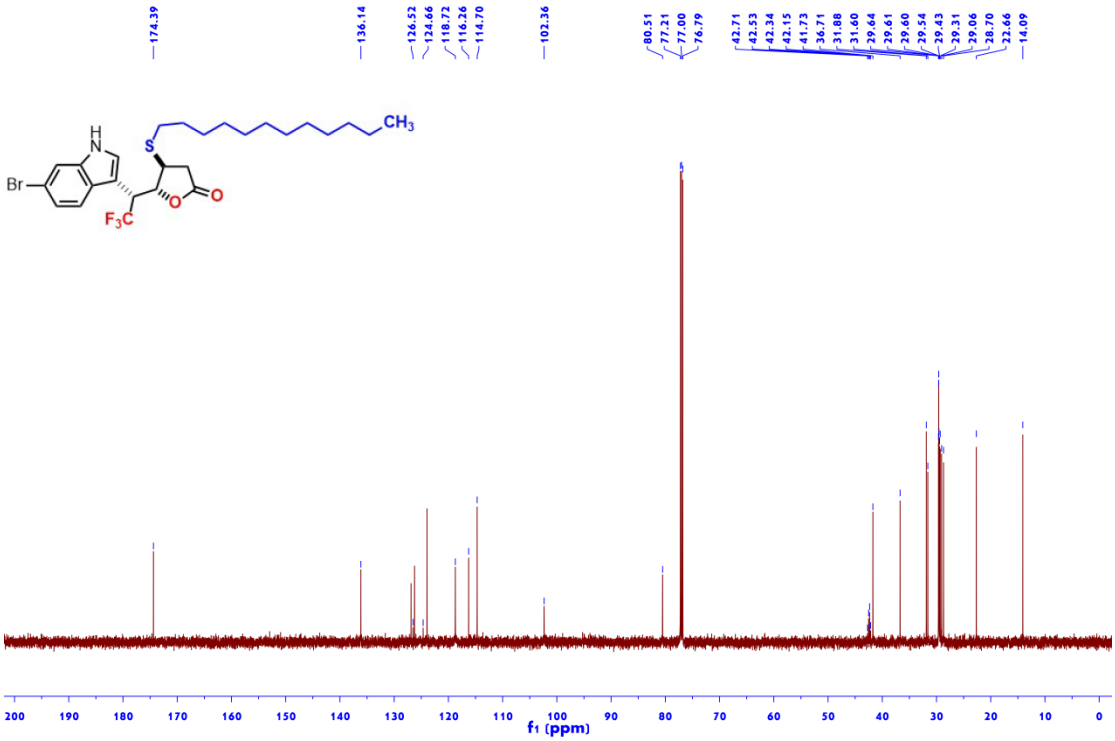


Figure S265 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ka

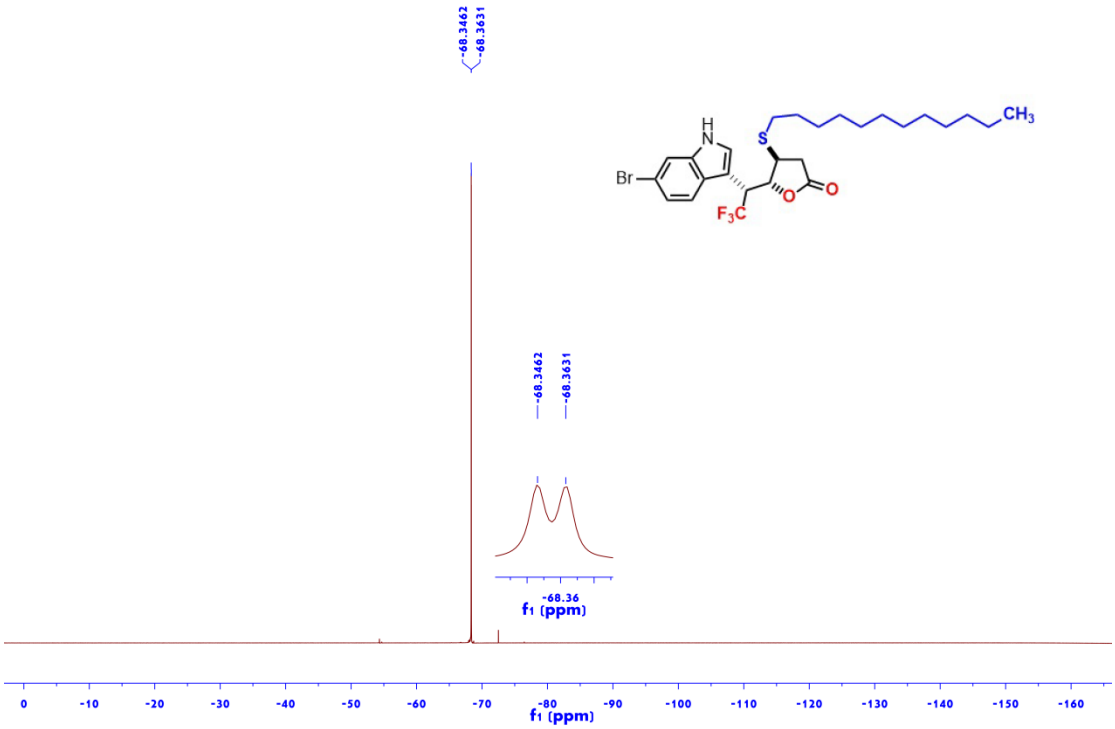


Figure S266 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ka'

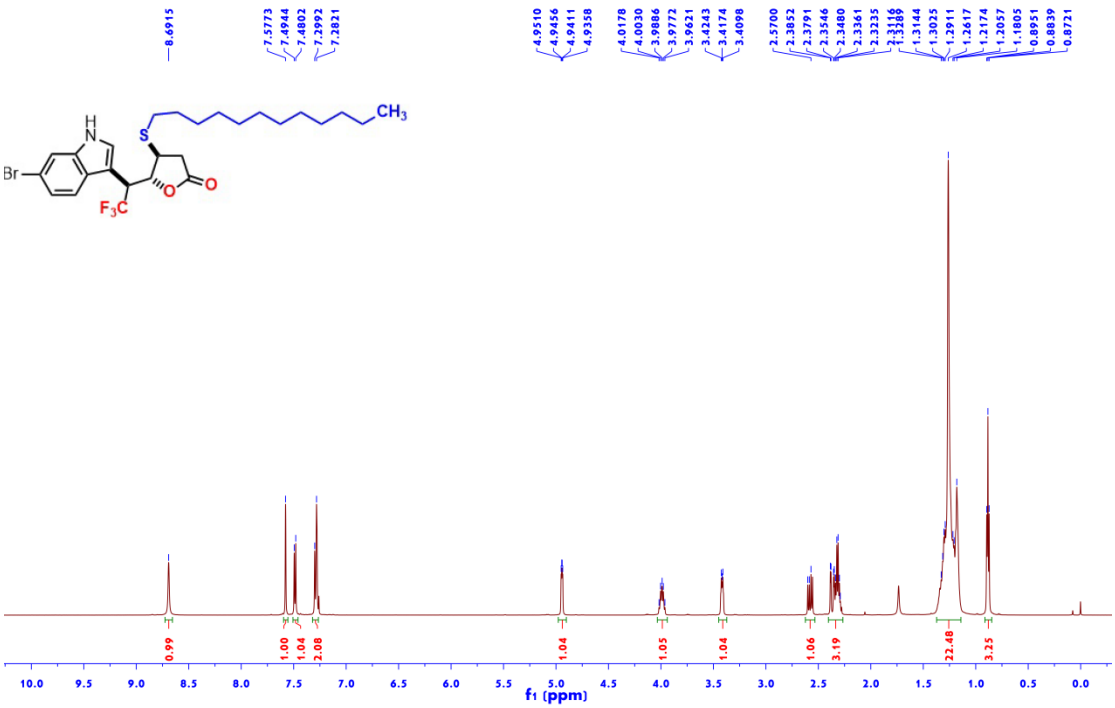


Figure S267 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ka'

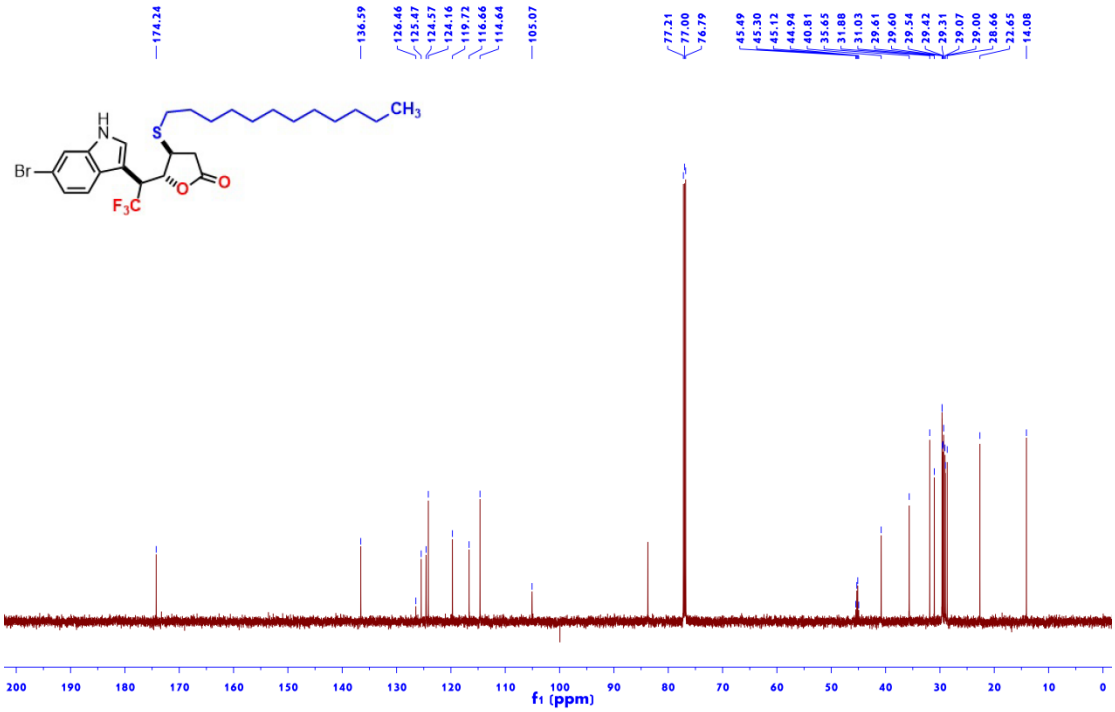


Figure S268 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ka'

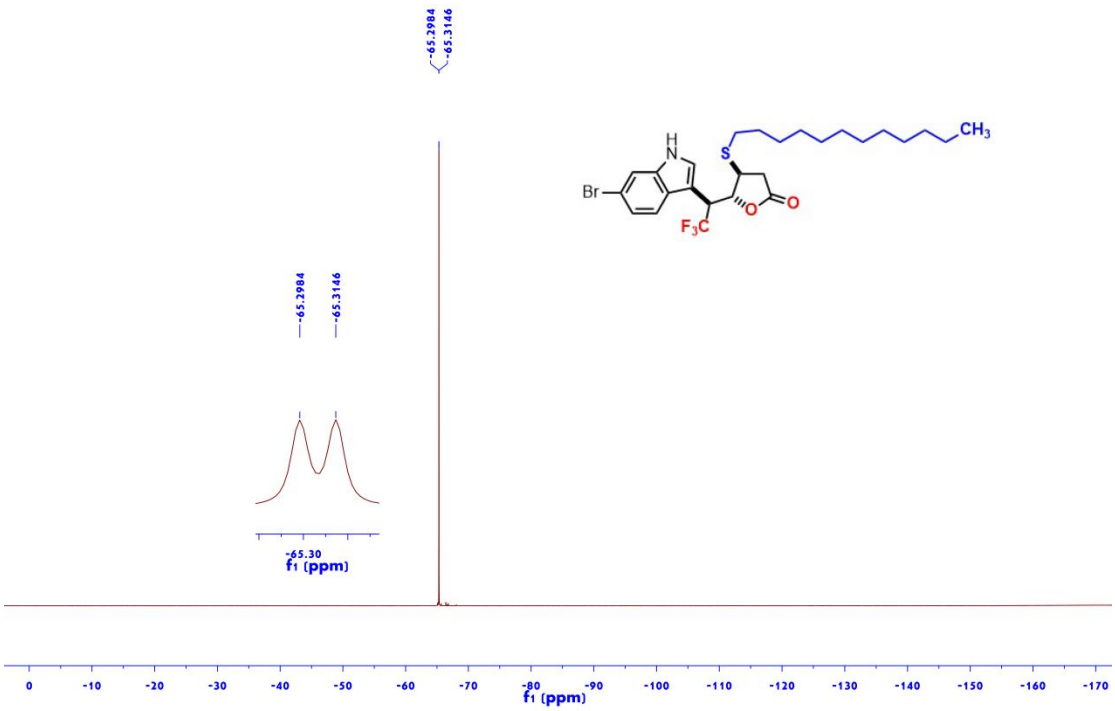


Figure S269 ^{1}H NMR (600 MHz, $CDCl_3$) of 5la

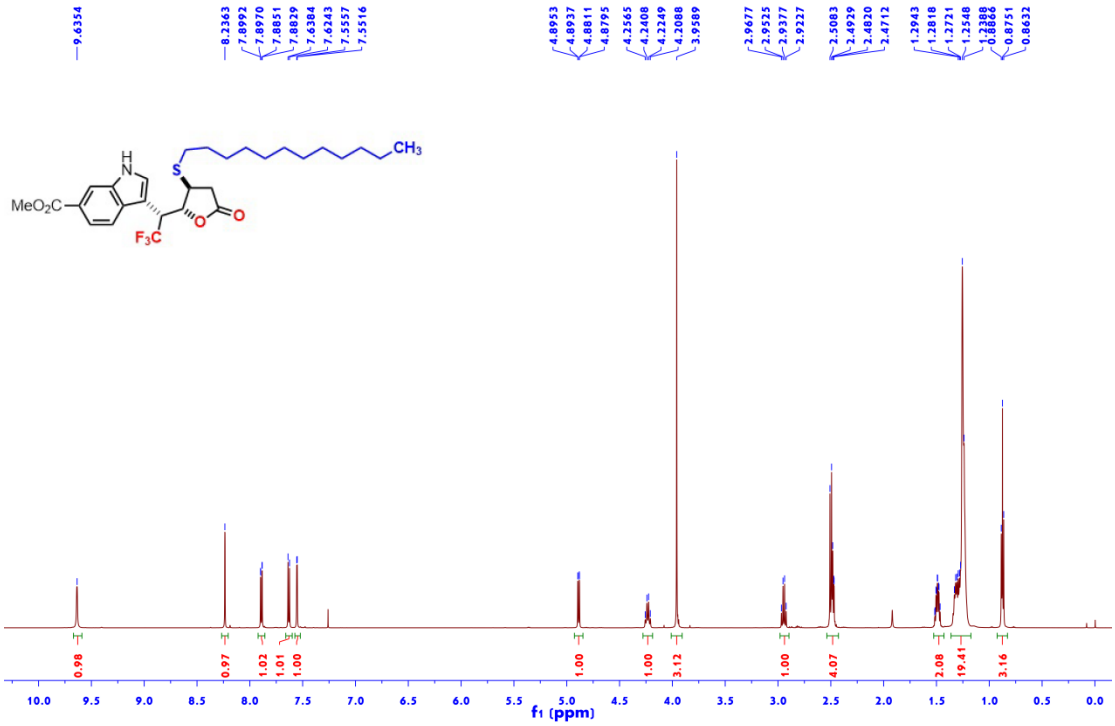


Figure S270 ^{13}C NMR (150 MHz, $CDCl_3$) of 5la

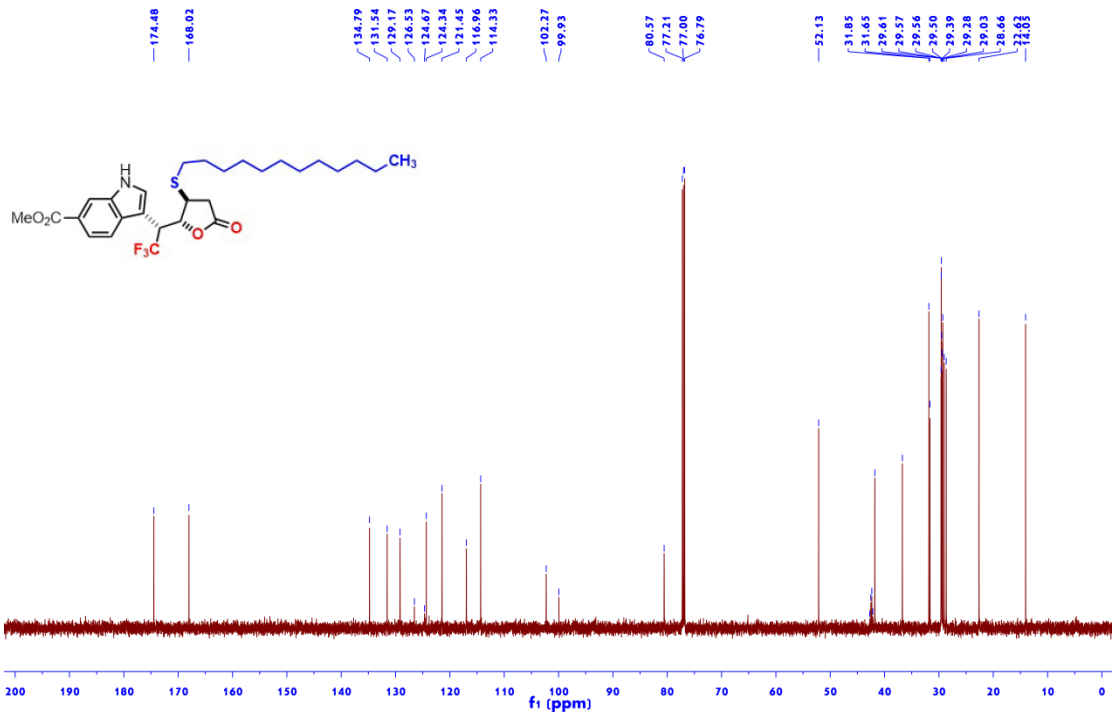


Figure S271 ^{19}F NMR (565 MHz, $CDCl_3$) of 5la

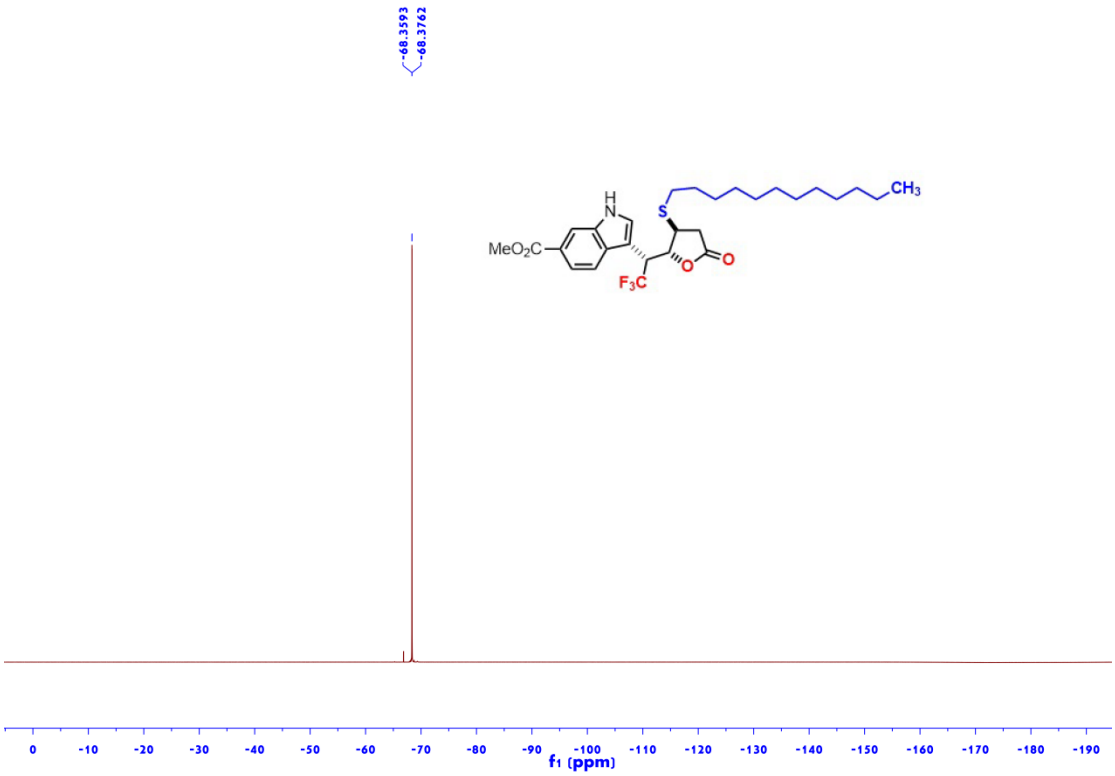


Figure S272 ^{1}H NMR (600 MHz, $CDCl_3$) of 5la'

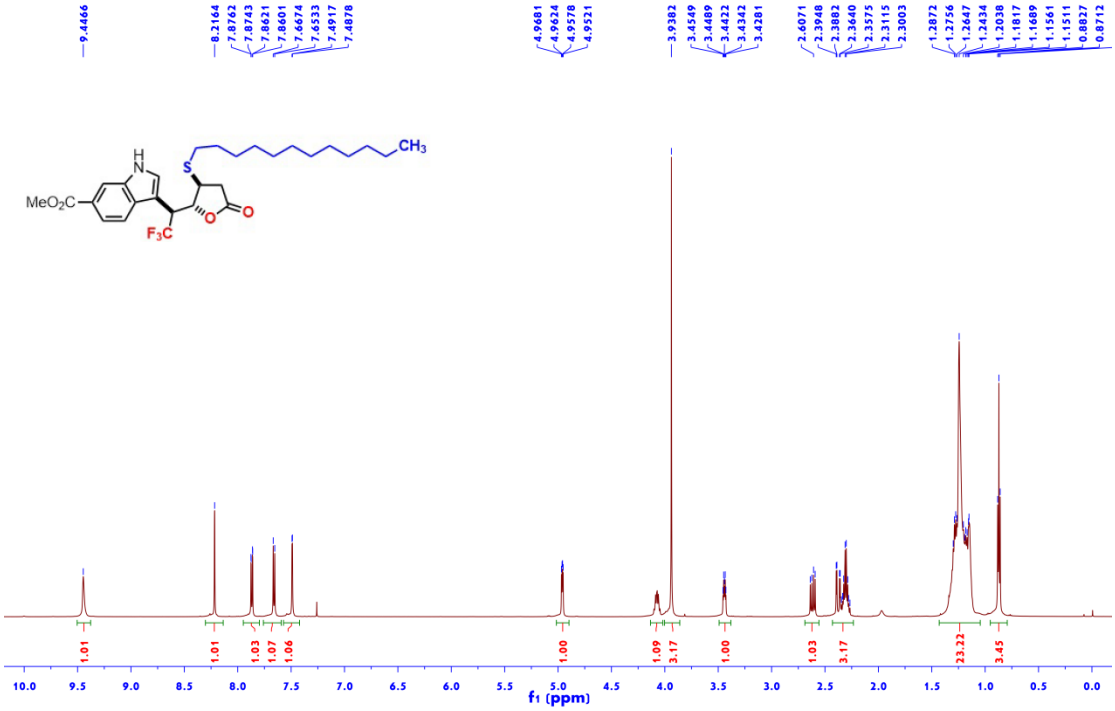


Figure S273 ^{13}C NMR (150 MHz, $CDCl_3$) of 5la'

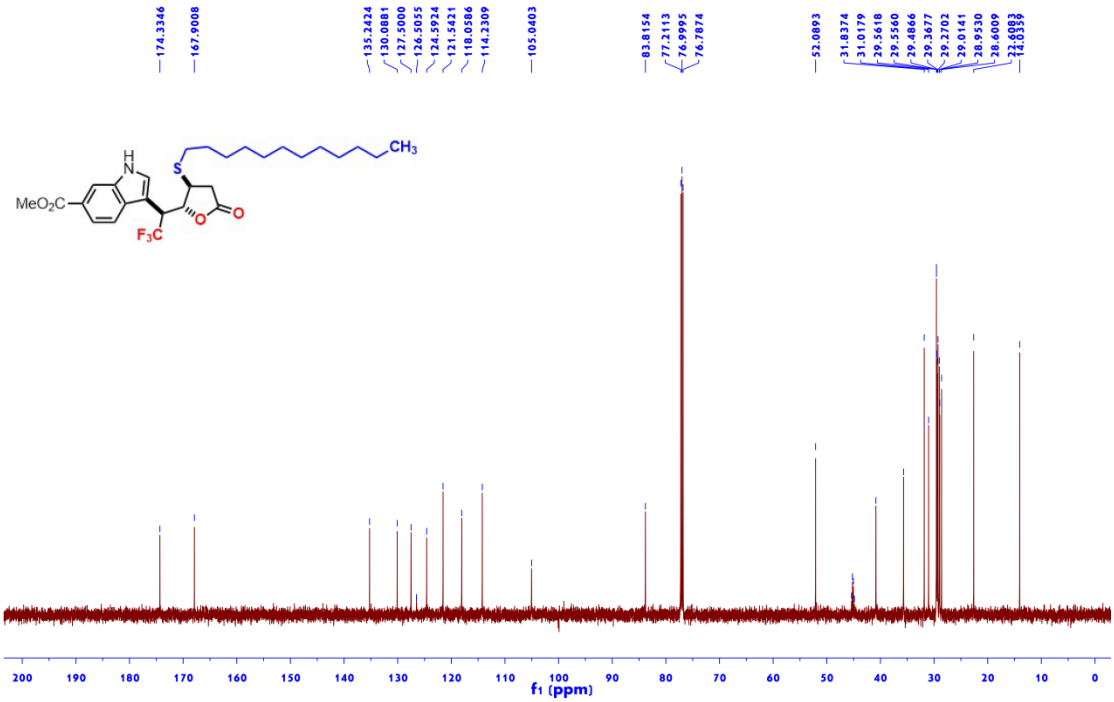


Figure S274 ^{19}F NMR (565 MHz, $CDCl_3$) of 5la'

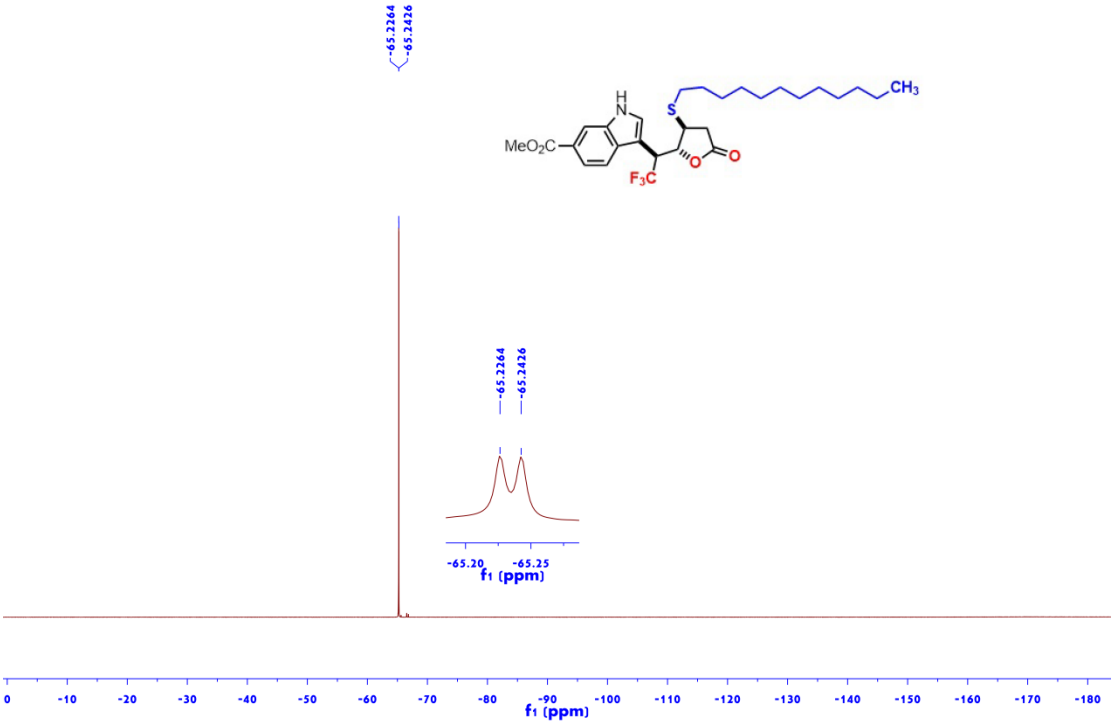


Figure S275 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ma

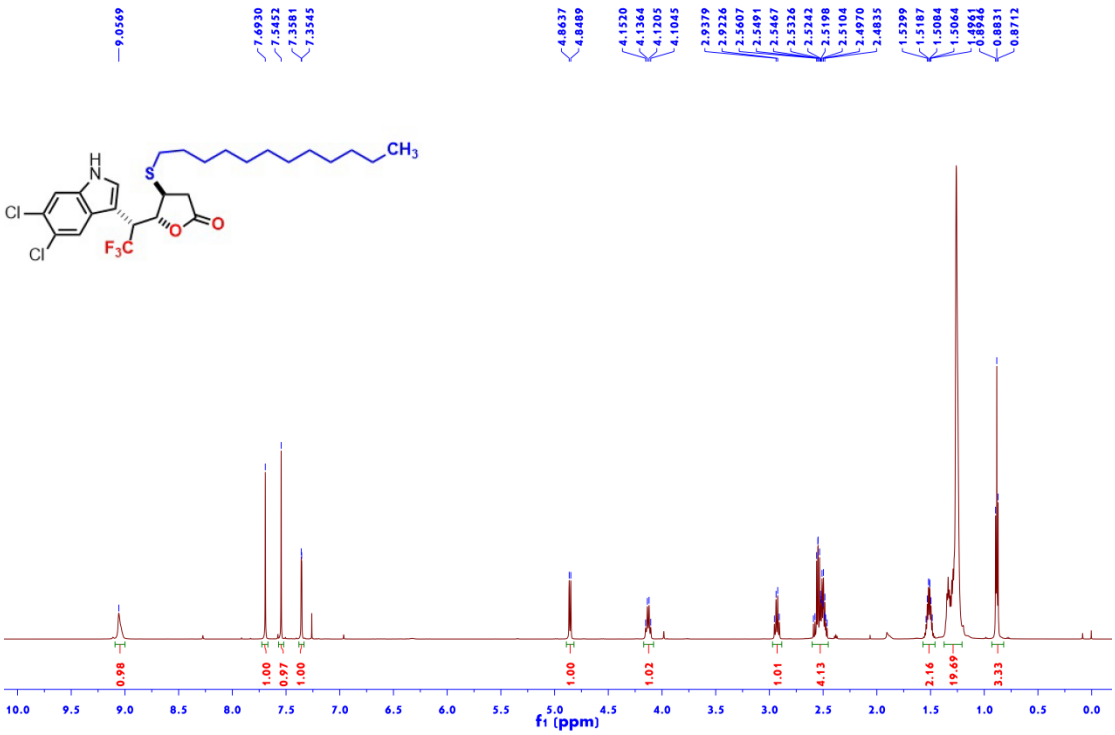


Figure S276 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ma

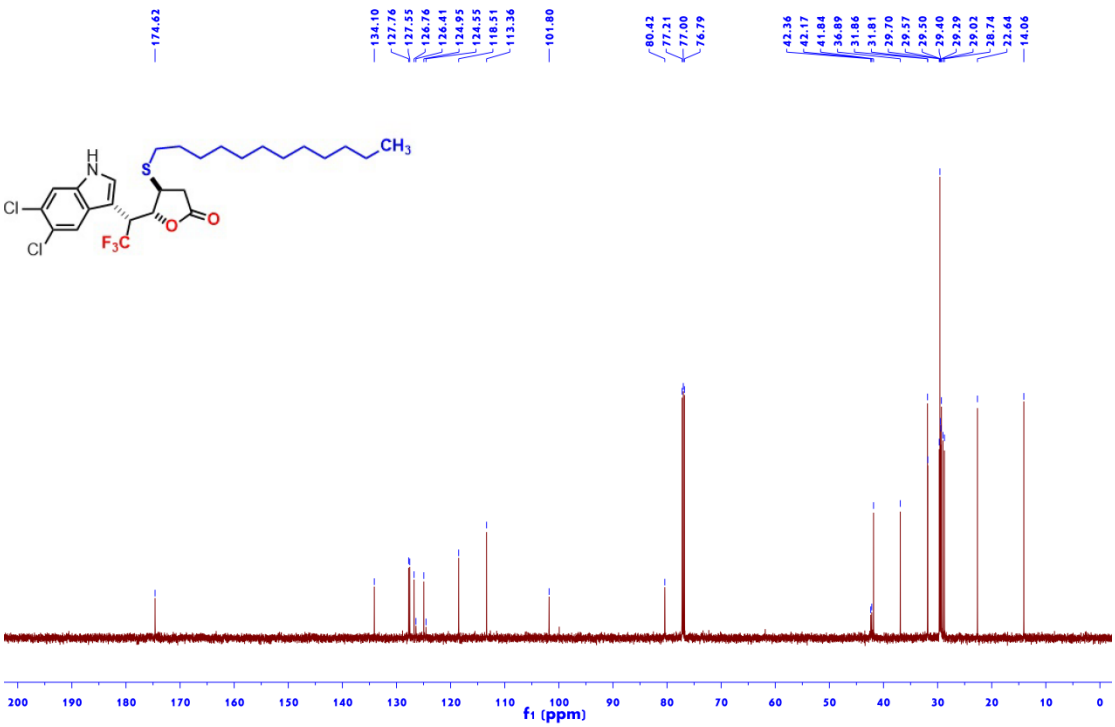


Figure S277 ^{19}F NMR (565 MHz, $CDCl_3$) of 5ma

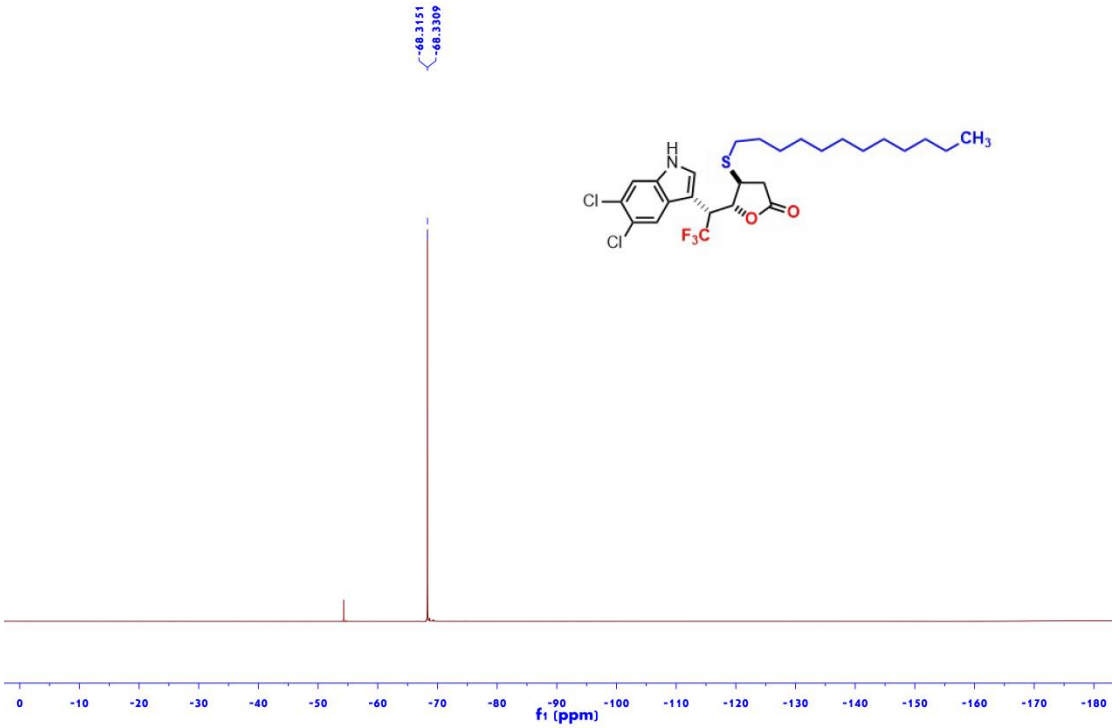


Figure S278 ^{1}H NMR (600 MHz, $CDCl_3$) of 5na'

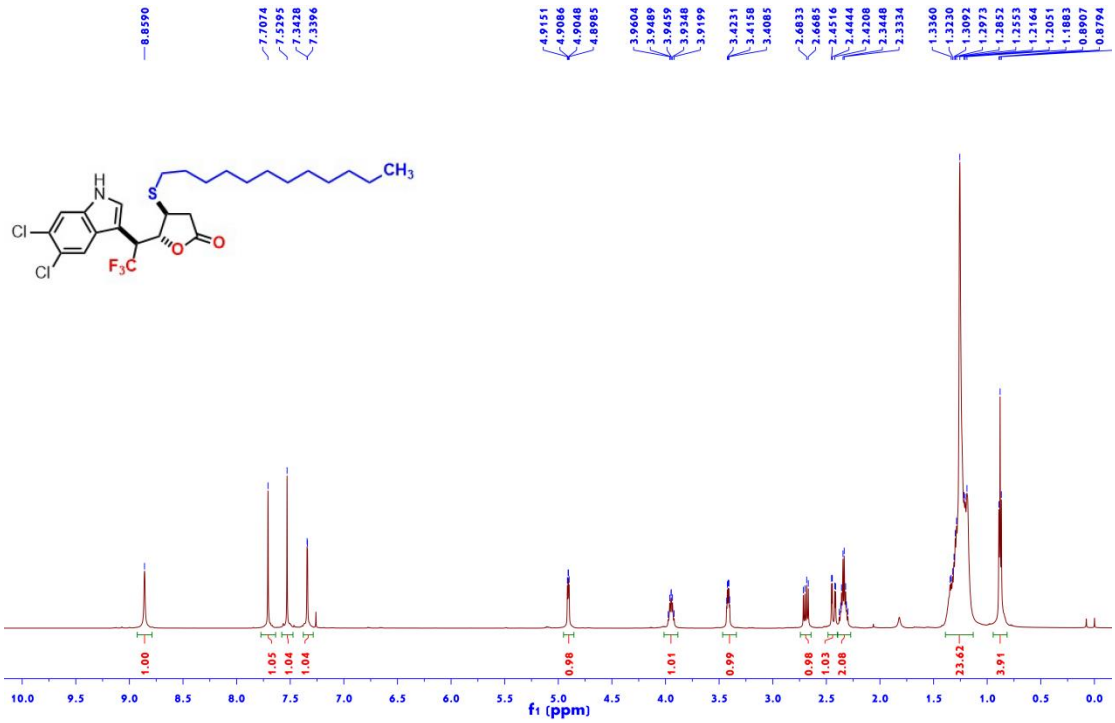


Figure S279 ^{13}C NMR (150 MHz, $CDCl_3$) of 5na'

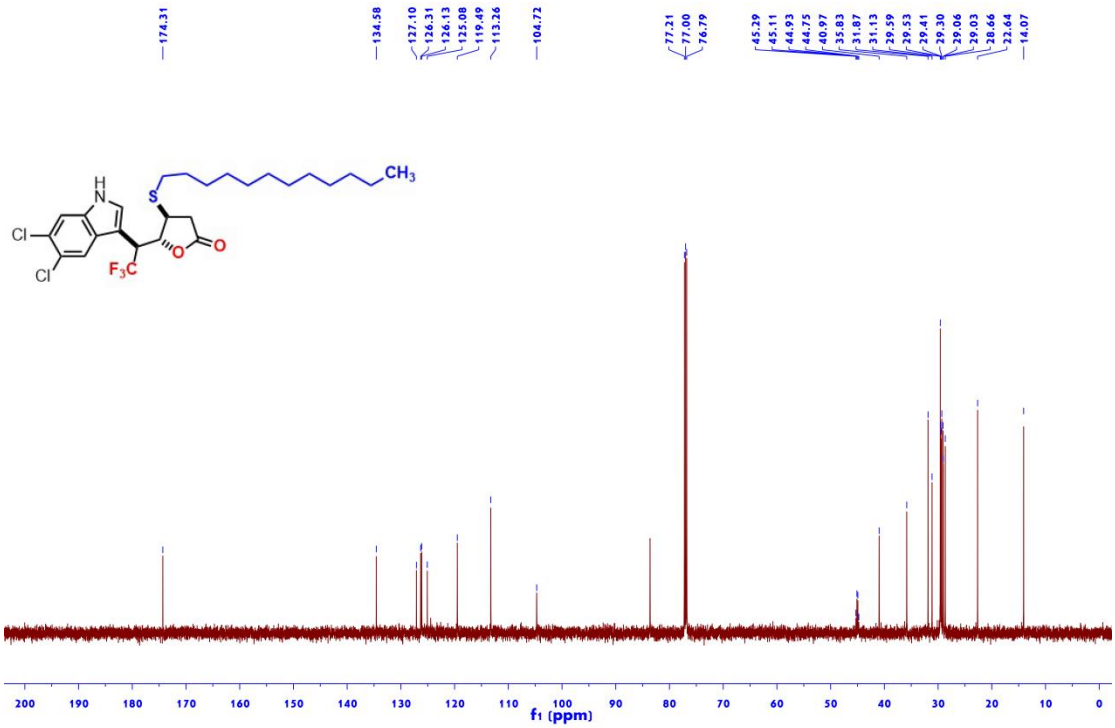


Figure S280 ^{19}F NMR (565 MHz, $CDCl_3$) of 5na'

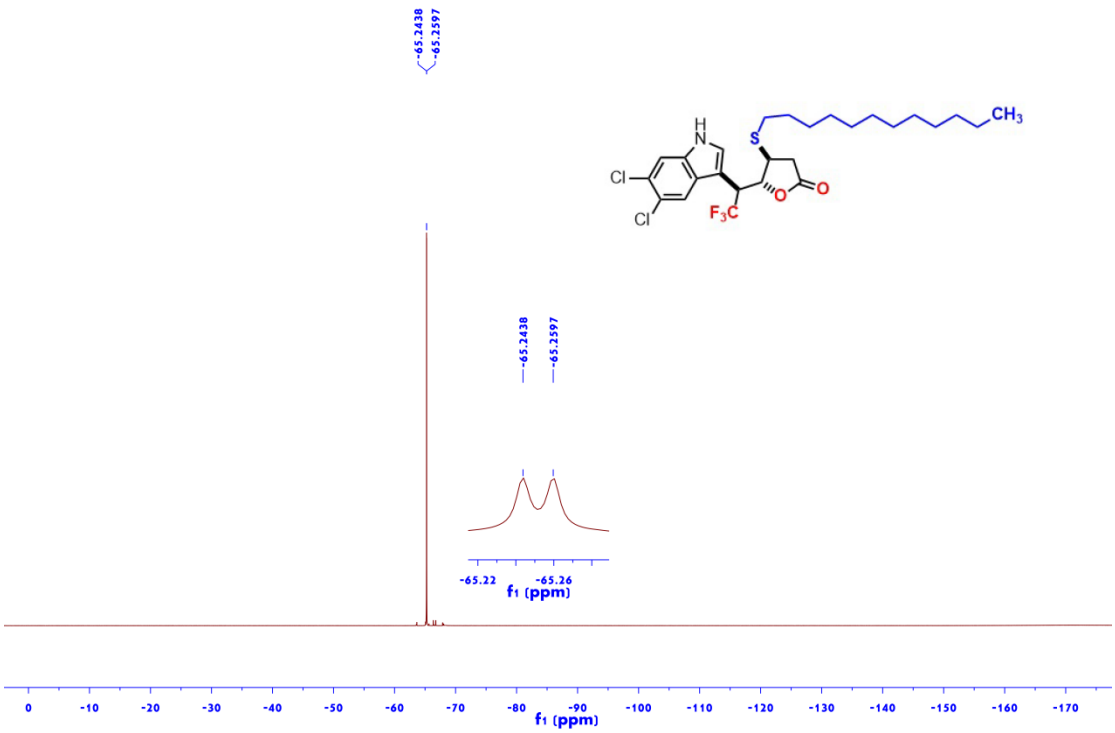


Figure S281 ^{1}H NMR (600 MHz, $CDCl_3$) of **5na**

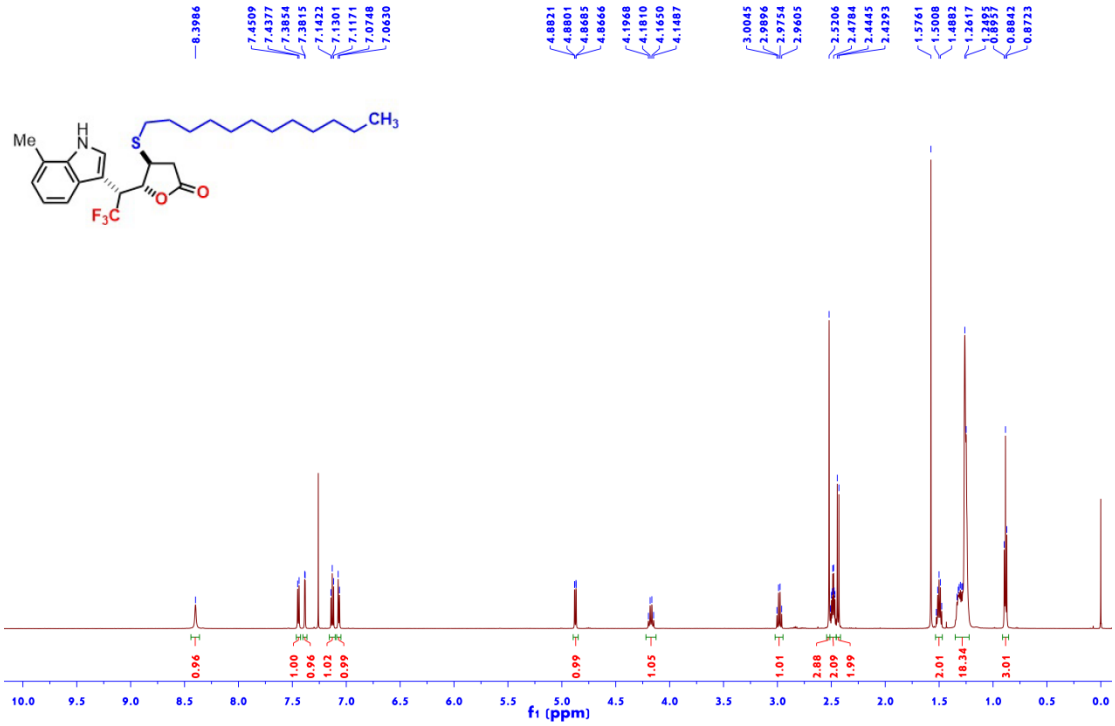


Figure S282 ^{13}C NMR (150 MHz, $CDCl_3$) of **5na**

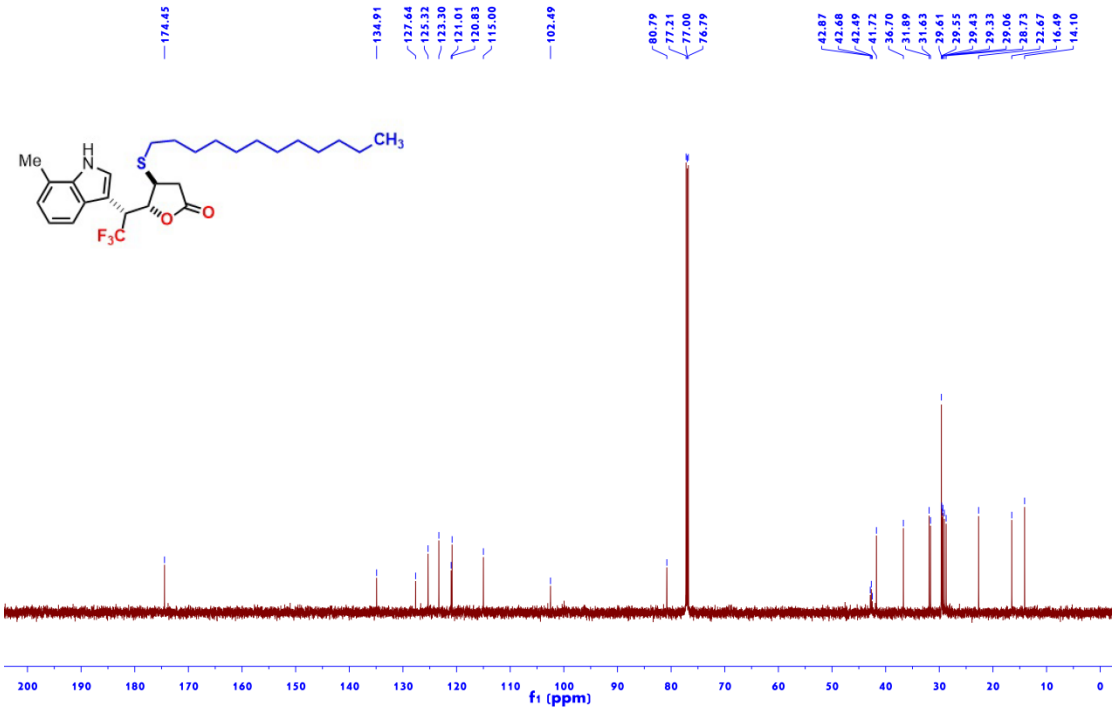


Figure S283 ^{19}F NMR (565 MHz, $CDCl_3$) of 5na

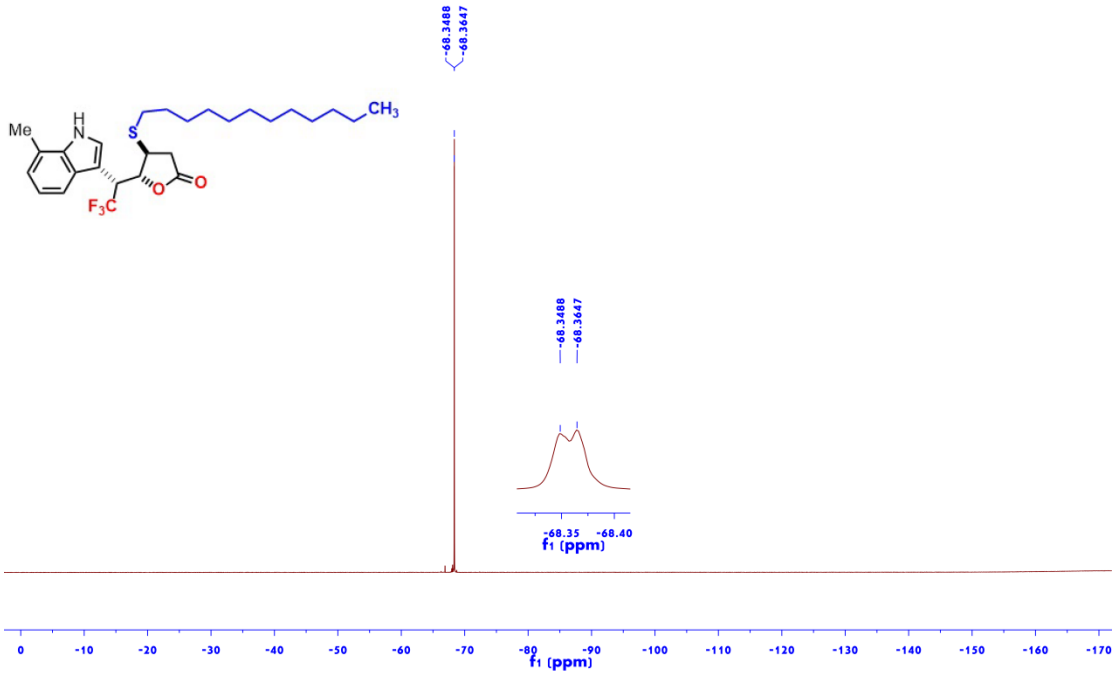


Figure S284 ^{1}H NMR (600 MHz, $CDCl_3$) of 5na'

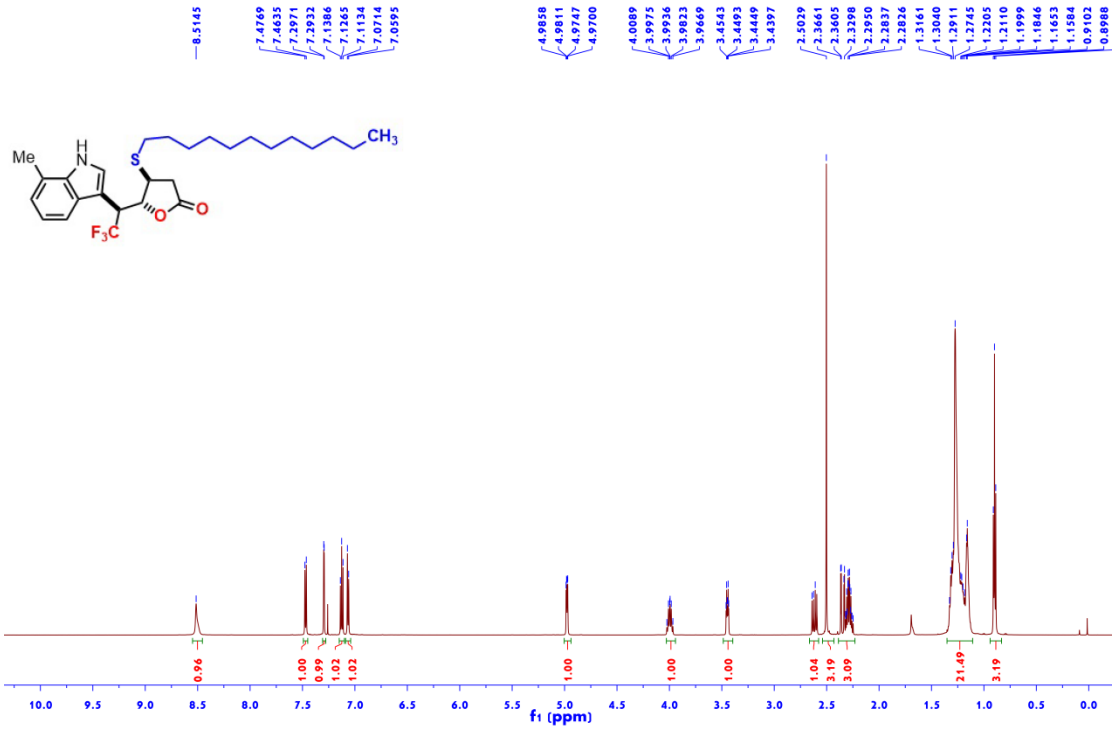


Figure S285 ^{13}C NMR (150 MHz, $CDCl_3$) of 5na'

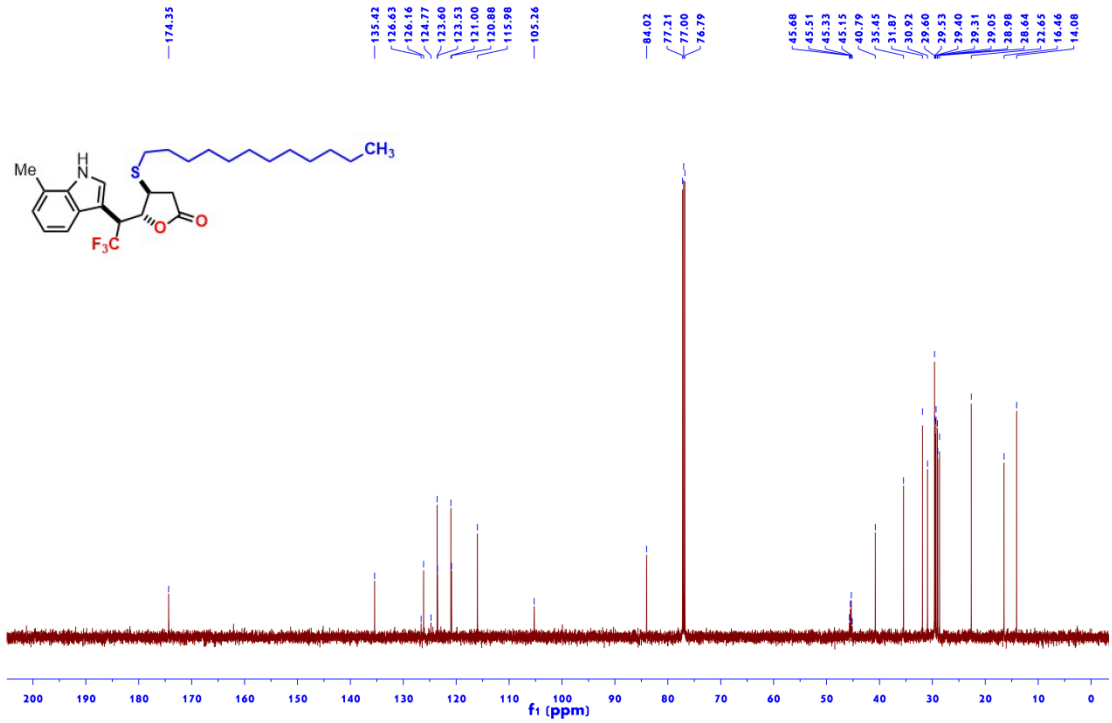


Figure S286 ^{19}F NMR (565 MHz, $CDCl_3$) of 5na'

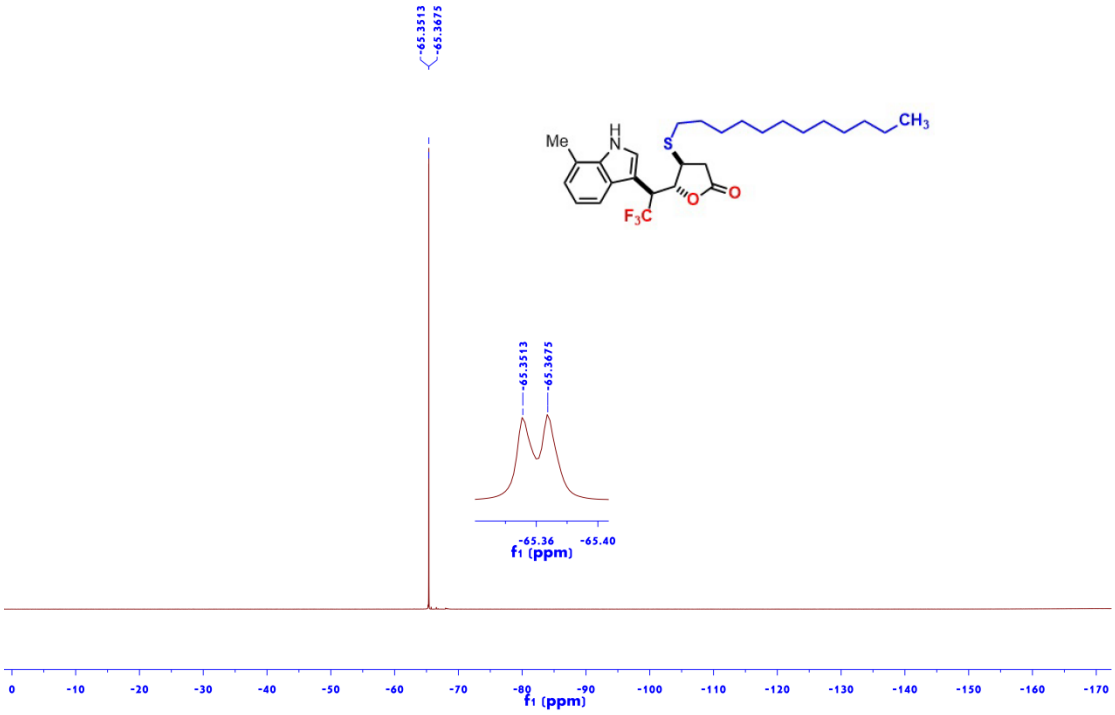


Figure S287 ^{1}H NMR (600 MHz, $CDCl_3$) of 5oa

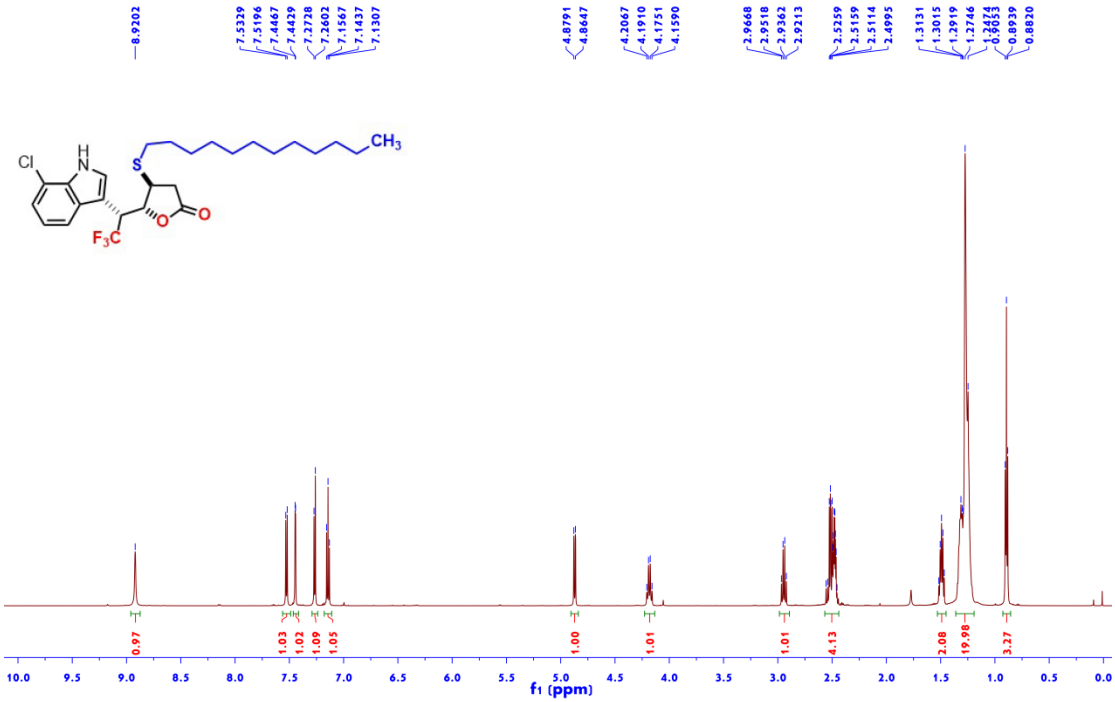


Figure S288 ^{13}C NMR (150 MHz, $CDCl_3$) of 5oa

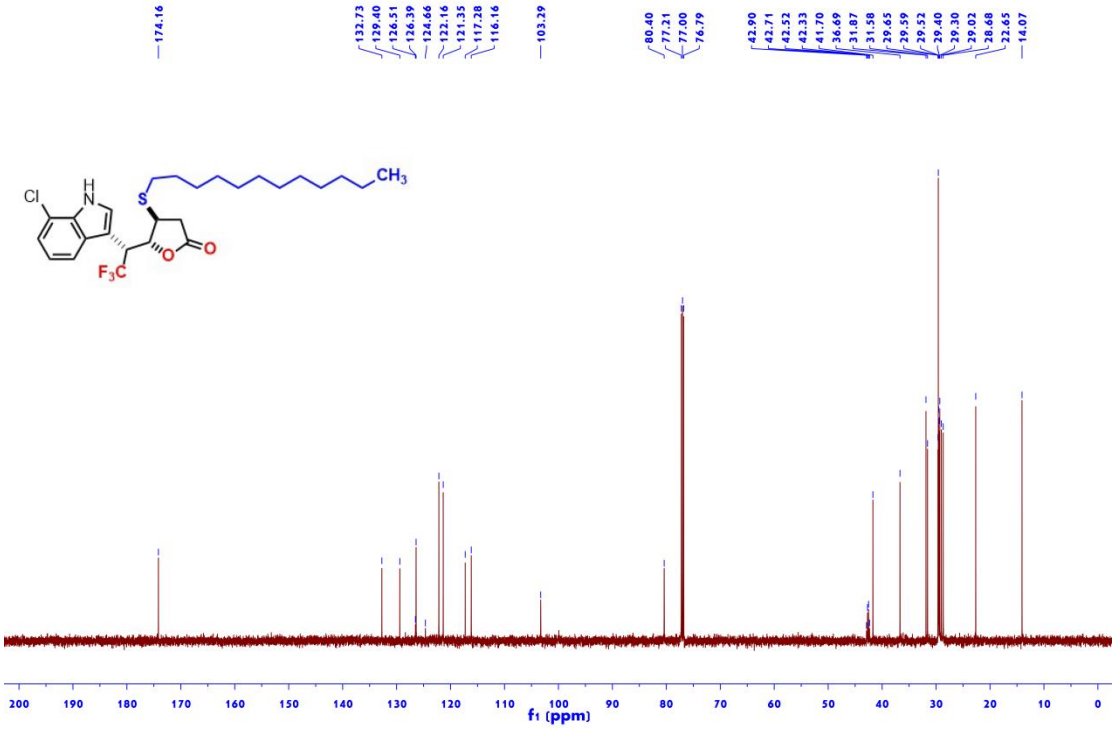


Figure S289 ^{19}F NMR (565 MHz, $CDCl_3$) of 5oa

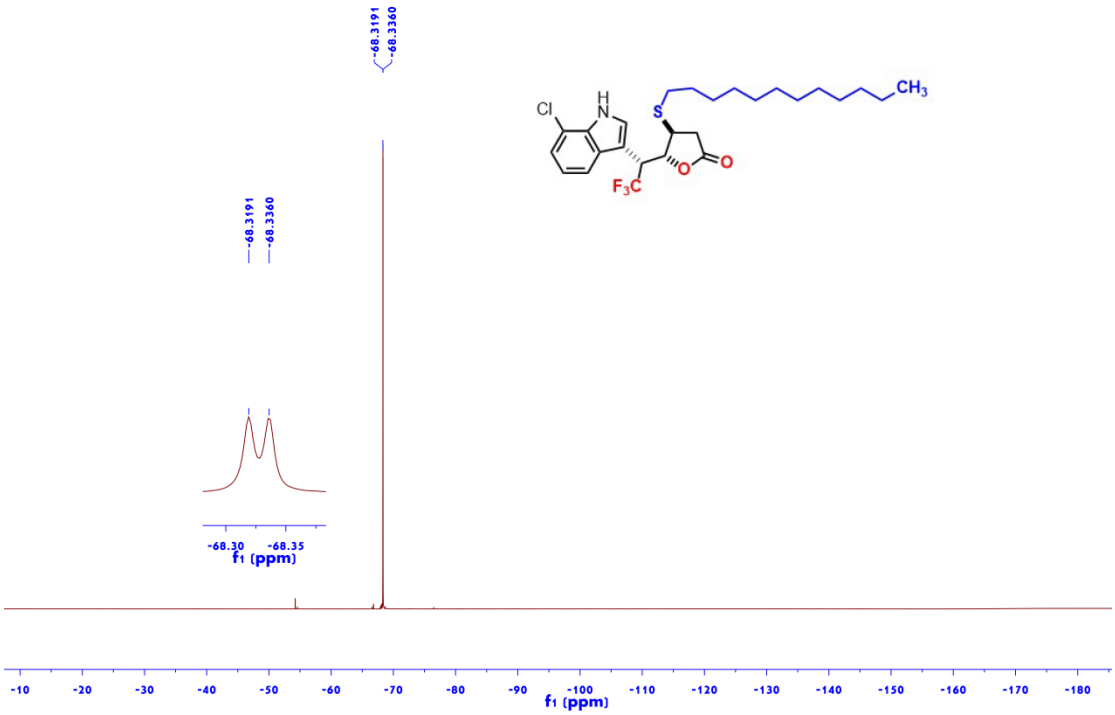


Figure S290 ^{1}H NMR (600 MHz, $CDCl_3$) of 5oa'

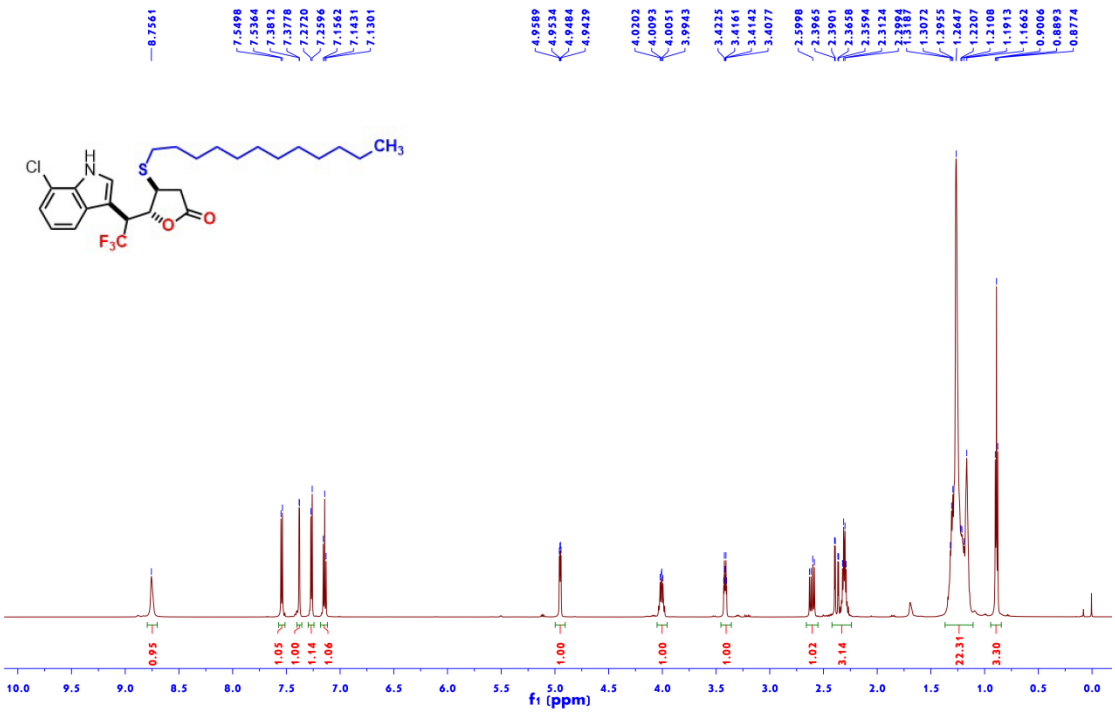


Figure S291 ^{13}C NMR (150 MHz, $CDCl_3$) of 5oa'

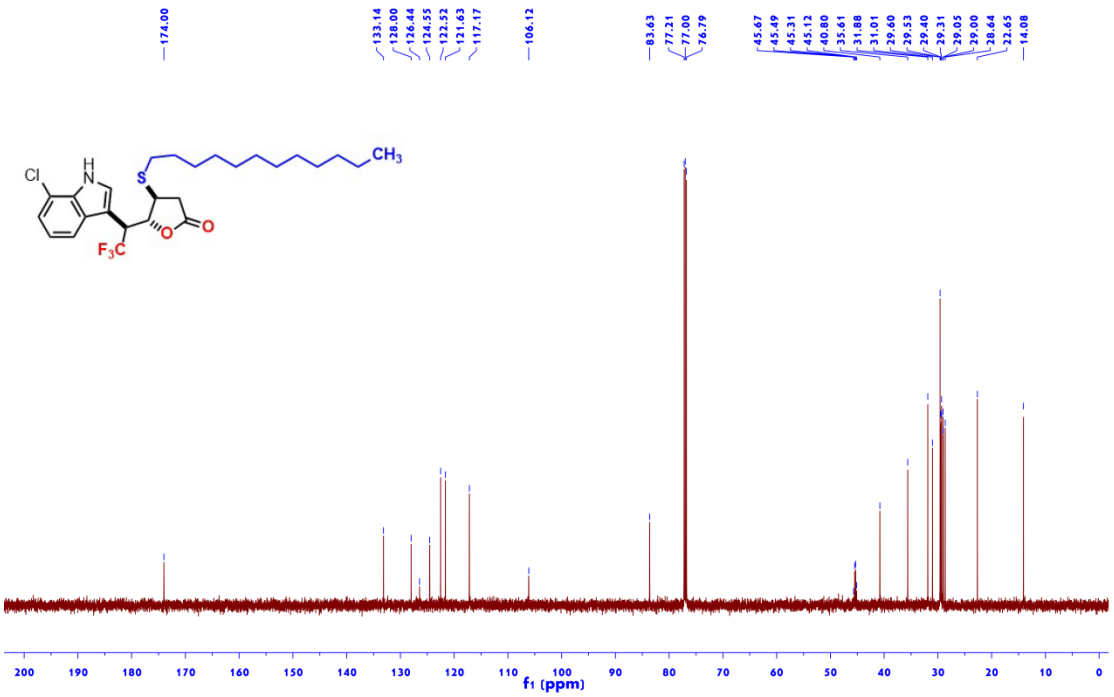


Figure S292 ^{19}F NMR (565 MHz, $CDCl_3$) of 5oa'

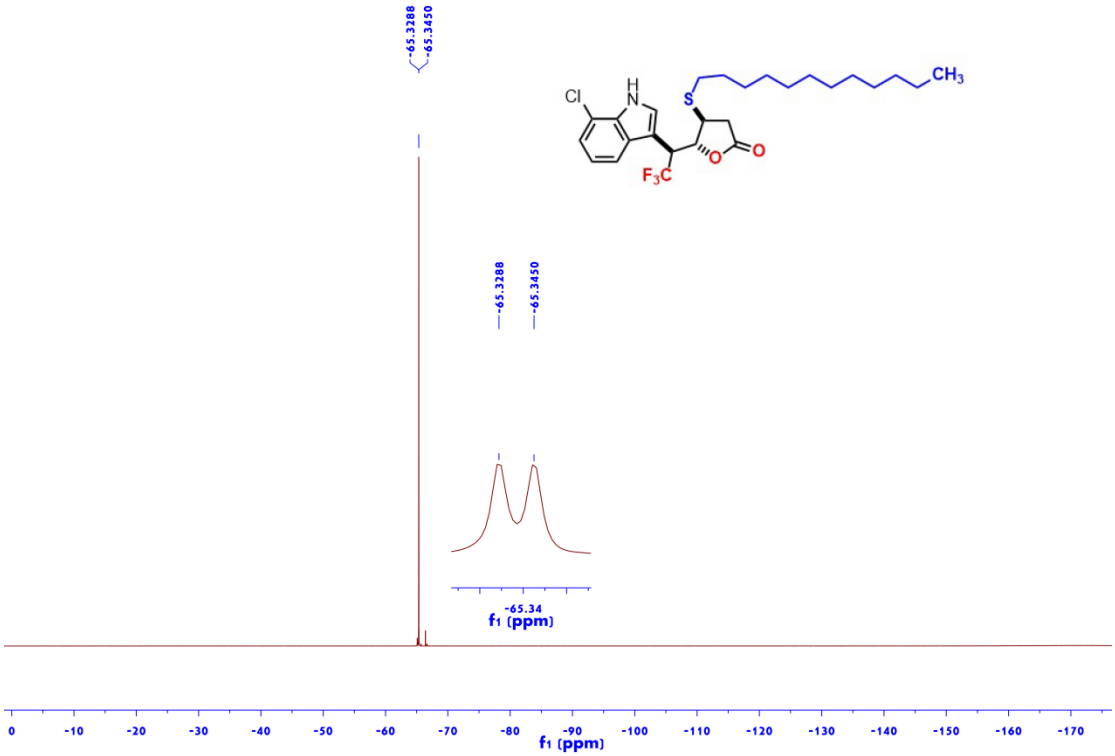


Figure S293 ^{1}H NMR (600 MHz, $CDCl_3$) of 5pa

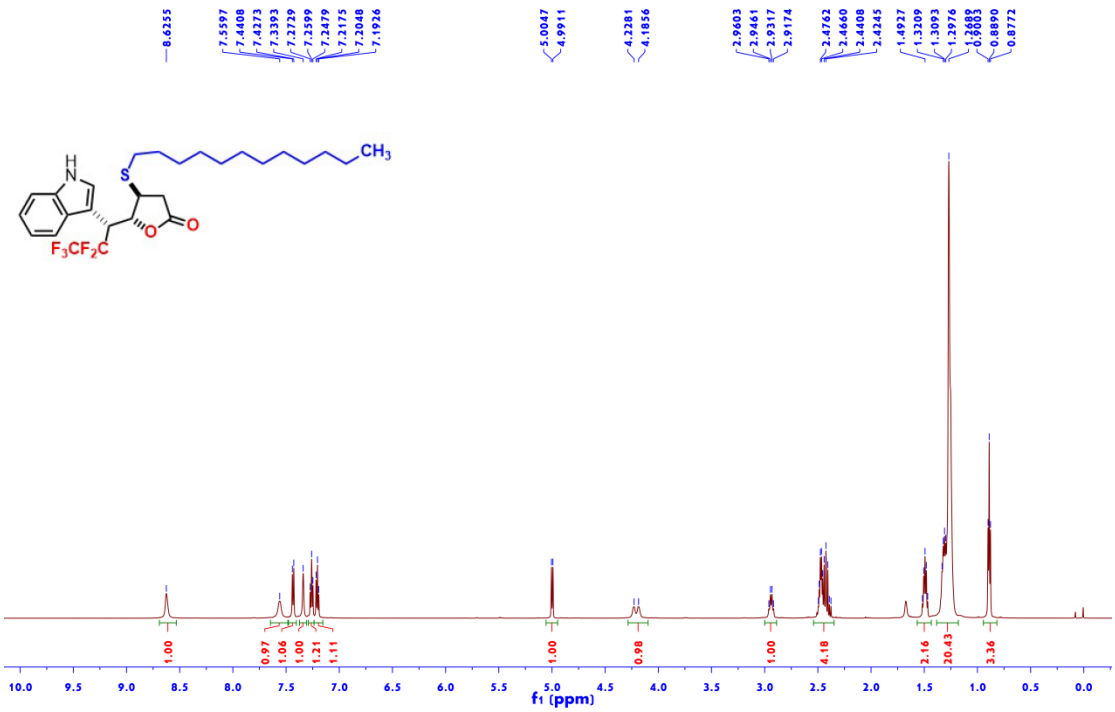


Figure S294 ^{13}C NMR (150 MHz, $CDCl_3$) of 5pa

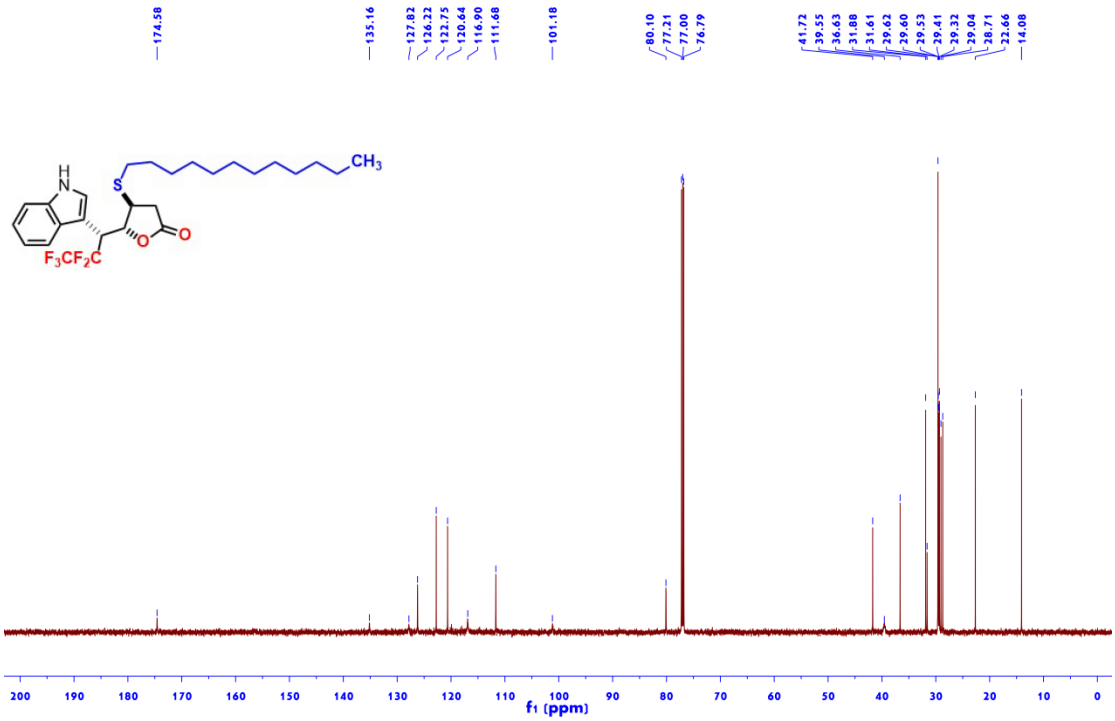


Figure S295 ^{19}F NMR (565 MHz, $CDCl_3$) of 5pa

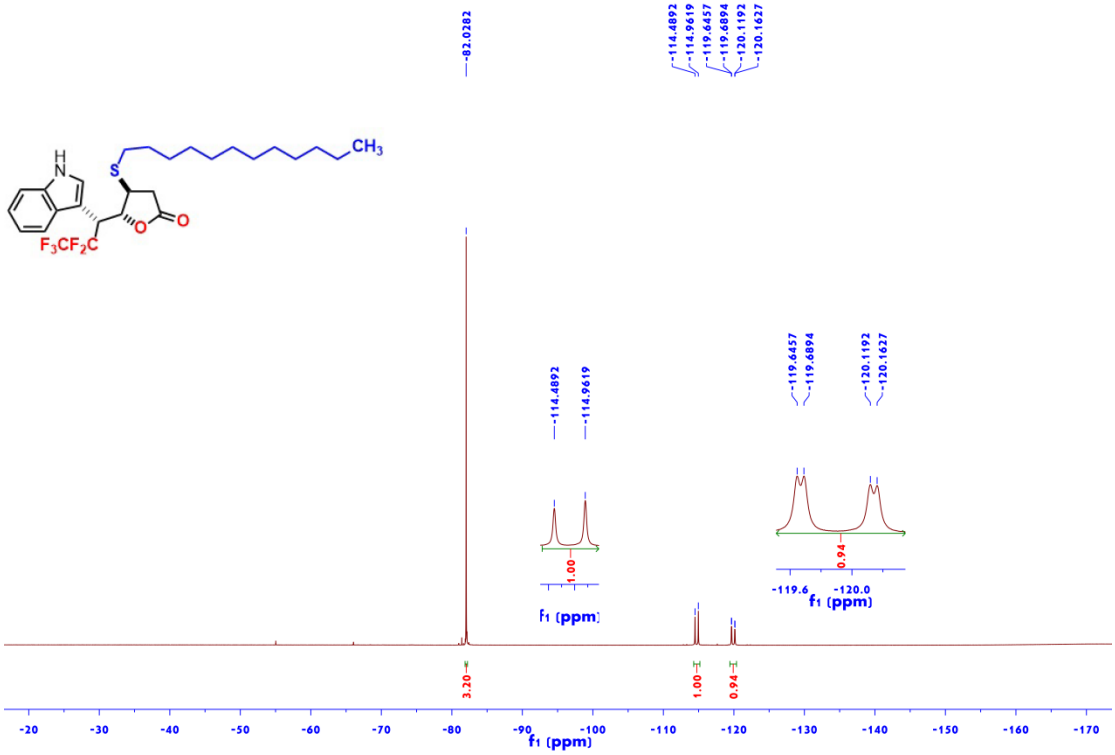


Figure S296 ^{1}H NMR (600 MHz, $CDCl_3$) of 5pa'

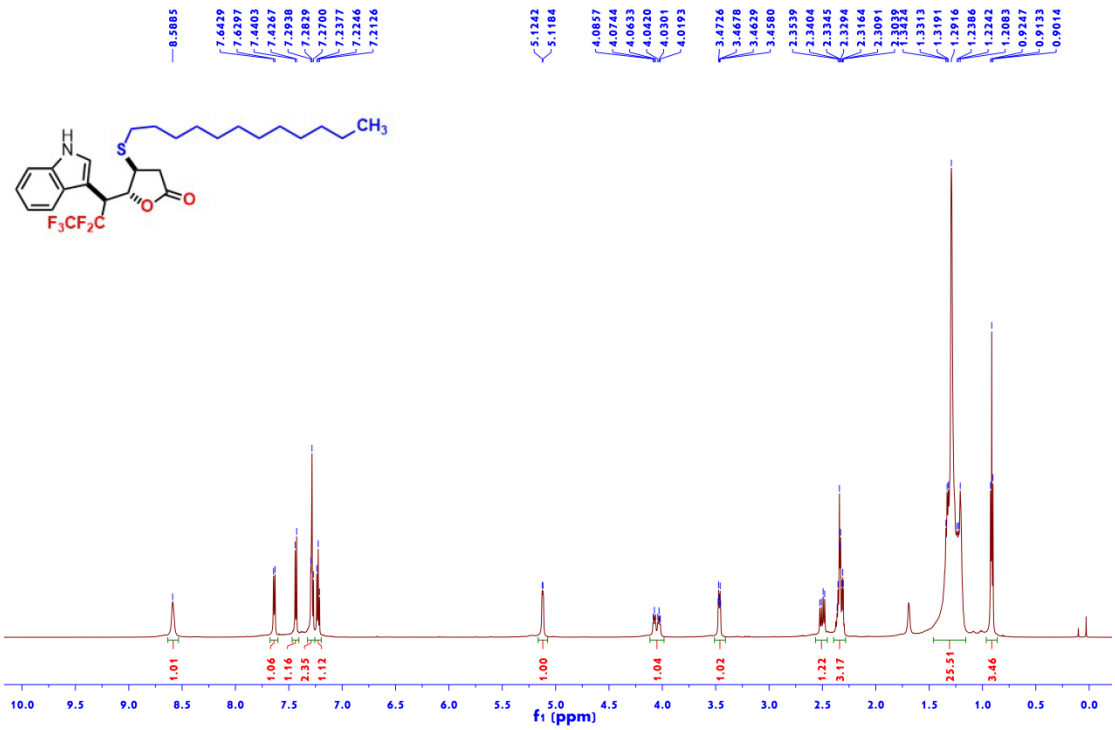


Figure S297 ^{13}C NMR (150 MHz, $CDCl_3$) of 5pa'

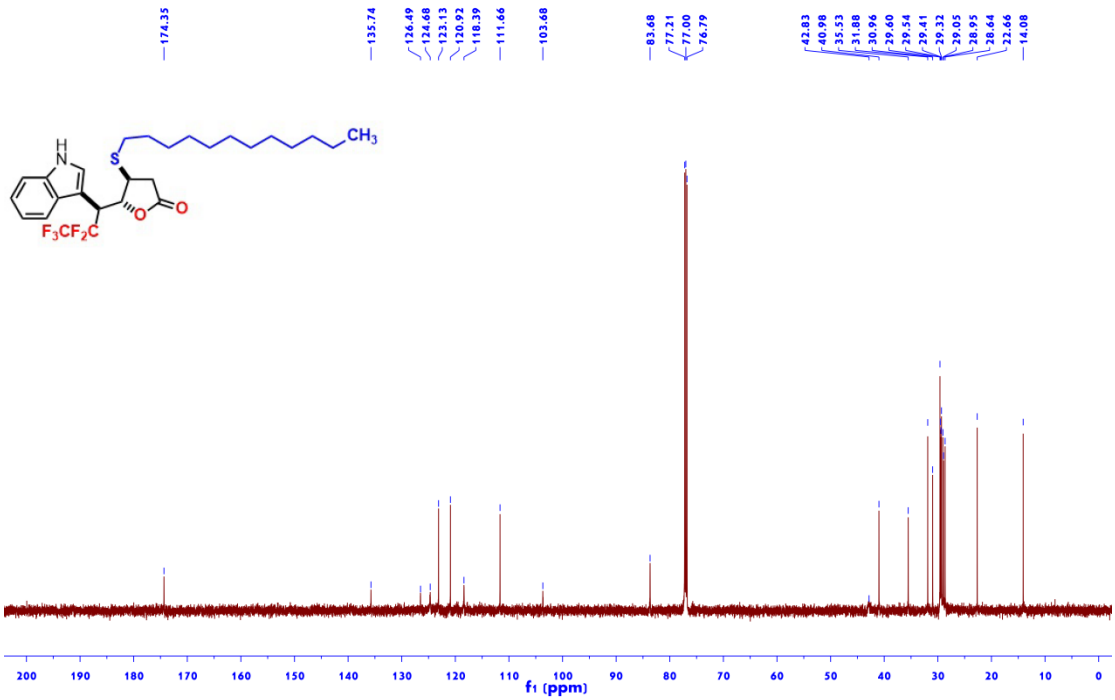


Figure S298 ^{19}F NMR (565 MHz, $CDCl_3$) of 5pa'

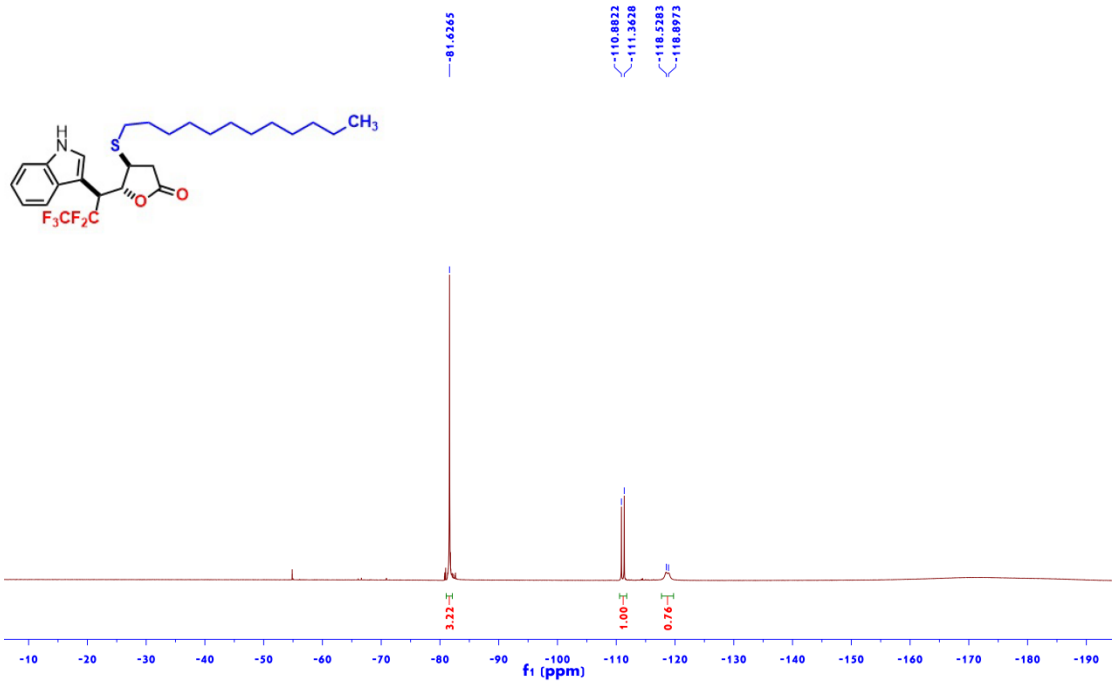


Figure S299 ^{1}H NMR (600 MHz, $CDCl_3$) of 5qa

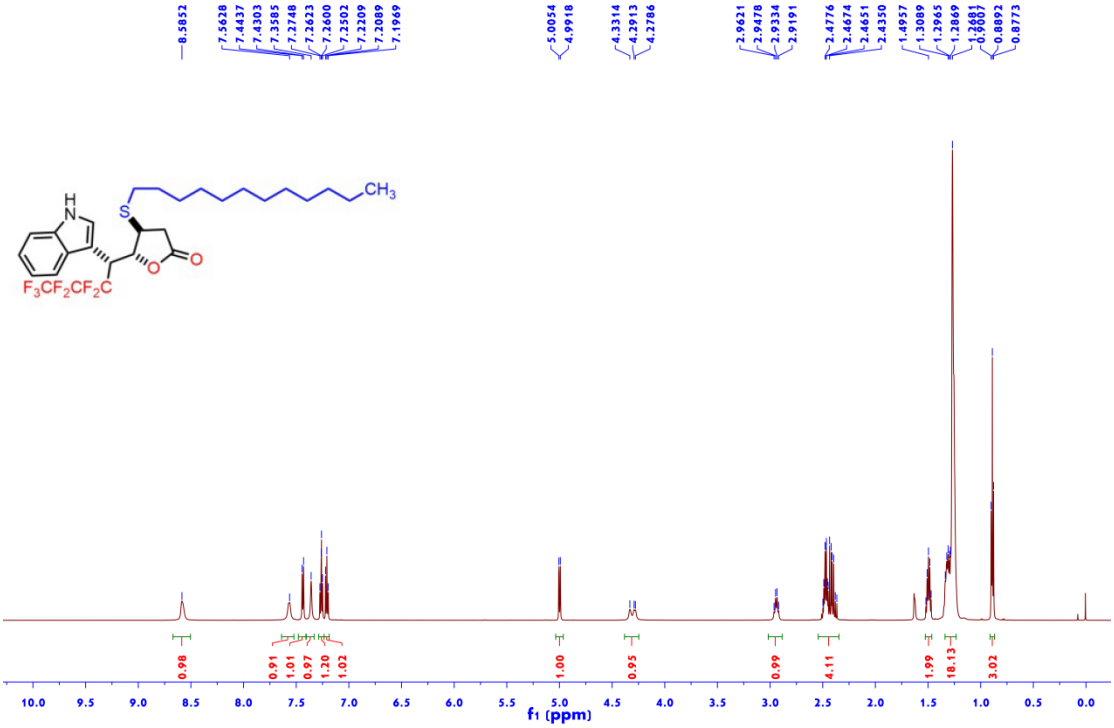


Figure S300 ^{13}C NMR (150 MHz, $CDCl_3$) of 5qa

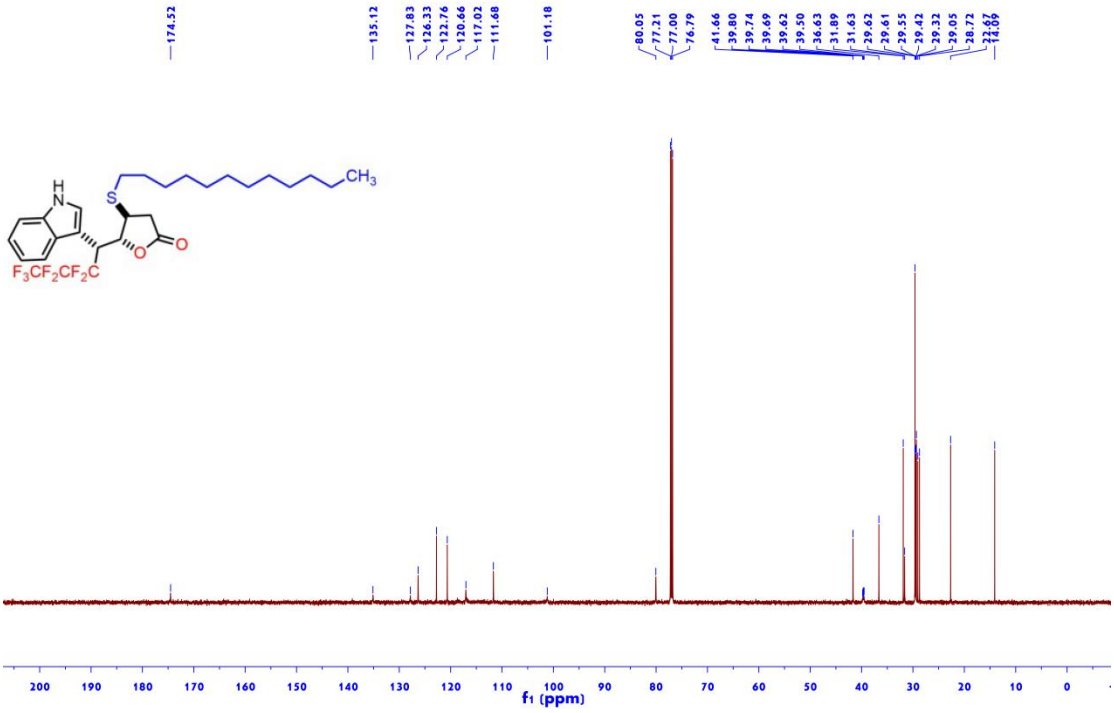


Figure S301 ^{19}F NMR (565 MHz, $CDCl_3$) of 5qa

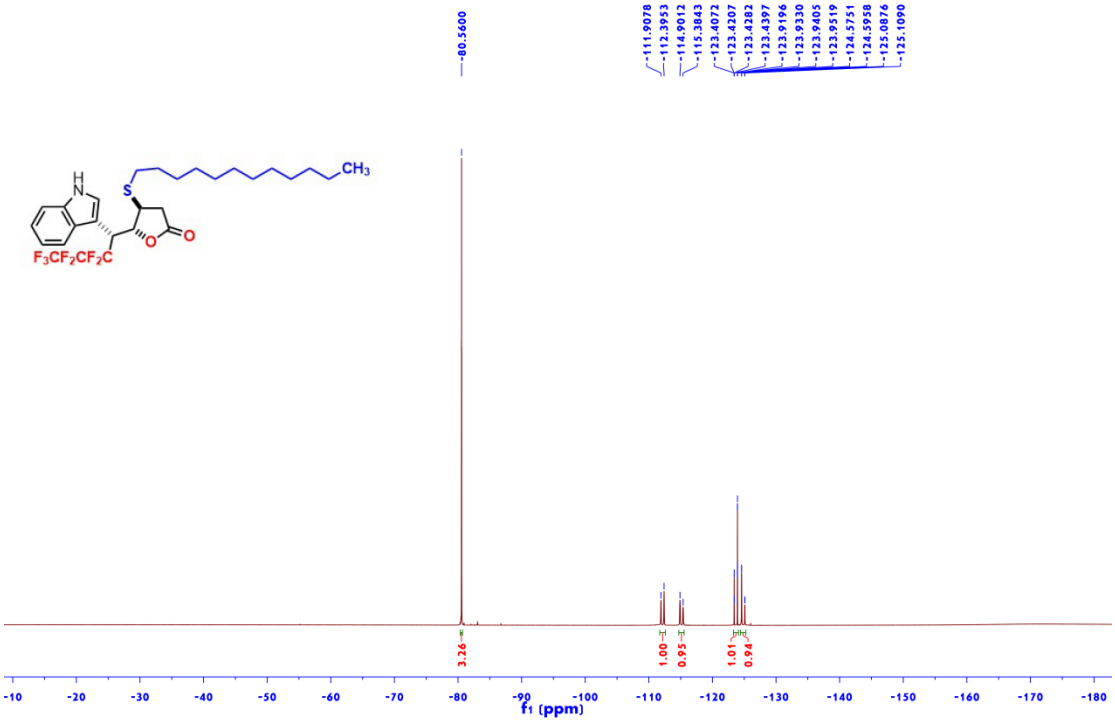


Figure S302 ^{1}H NMR (600 MHz, $CDCl_3$) of 5qa'

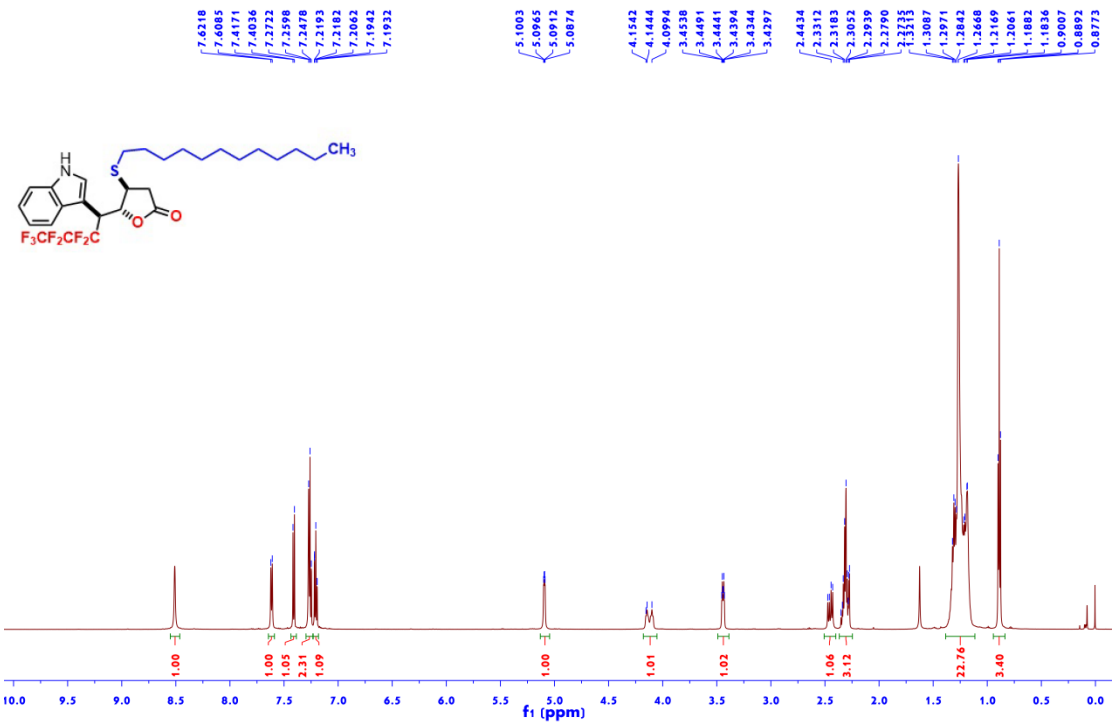


Figure S303 ^{13}C NMR (150 MHz, $CDCl_3$) of 5qa'

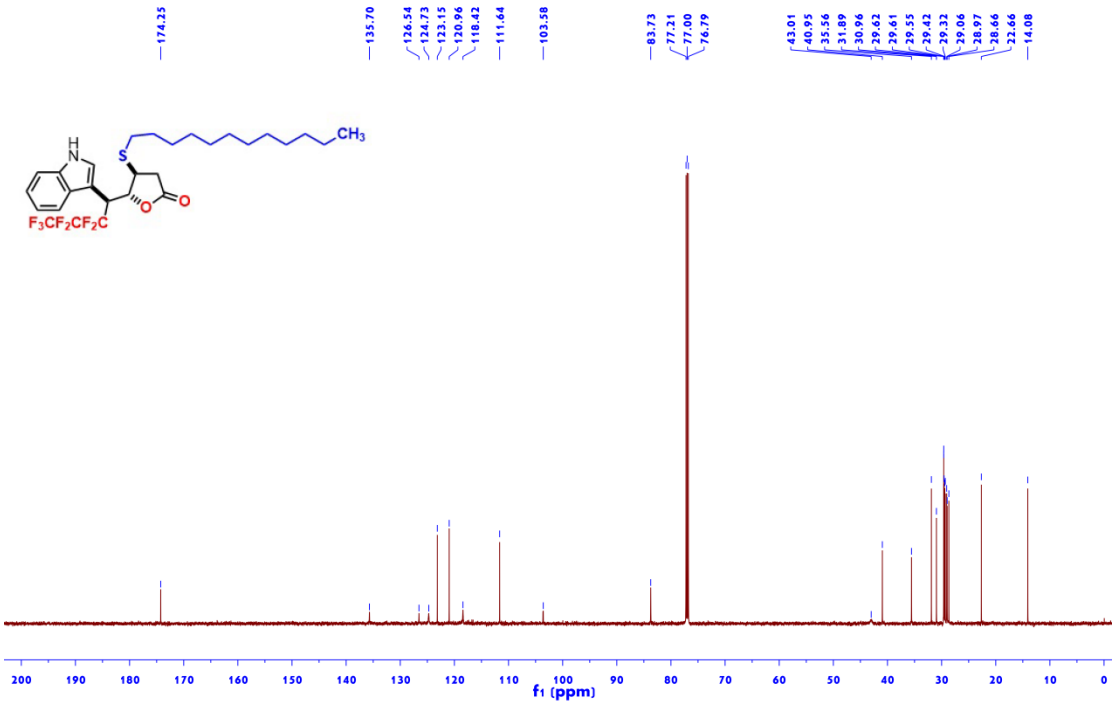


Figure S304 ^{19}F NMR (565 MHz, $CDCl_3$) of 5qa'

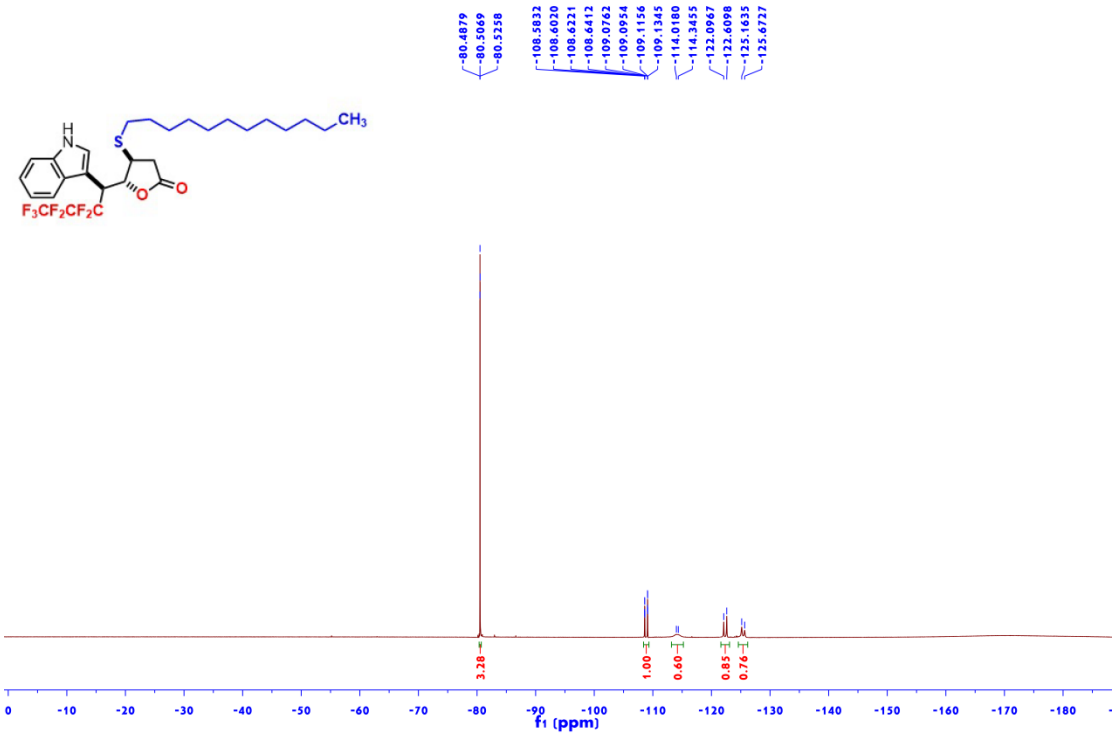


Figure S305 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ra

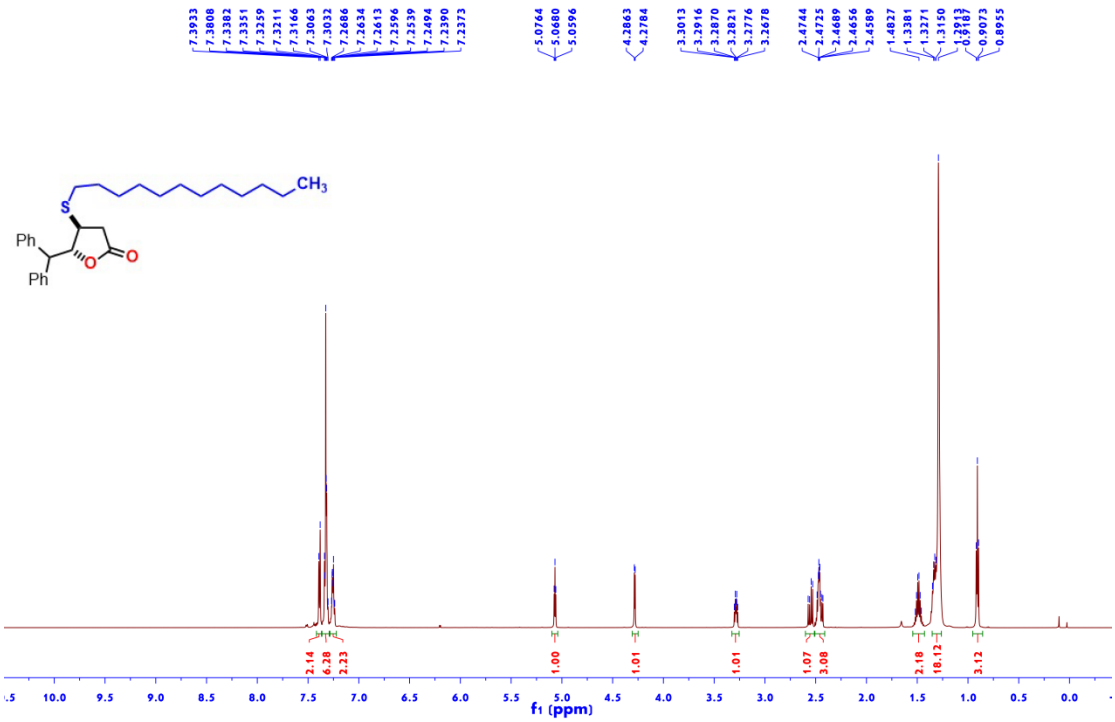


Figure S306 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ra

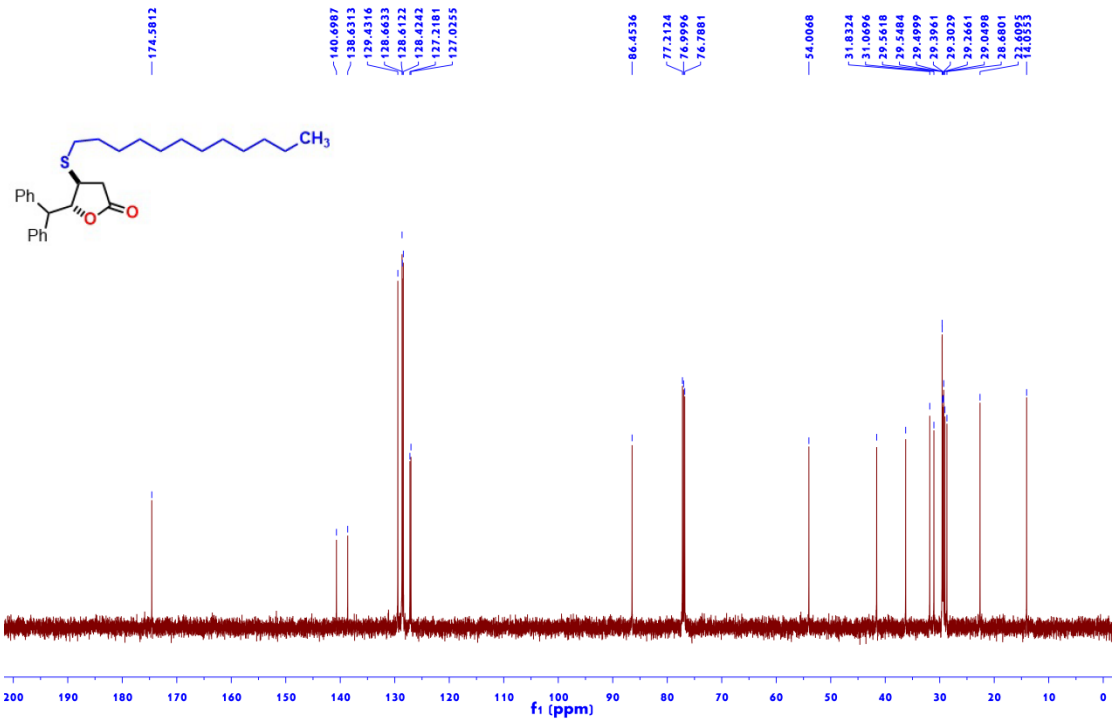


Figure S307 ^{1}H NMR (600 MHz, $CDCl_3$) of 5sa

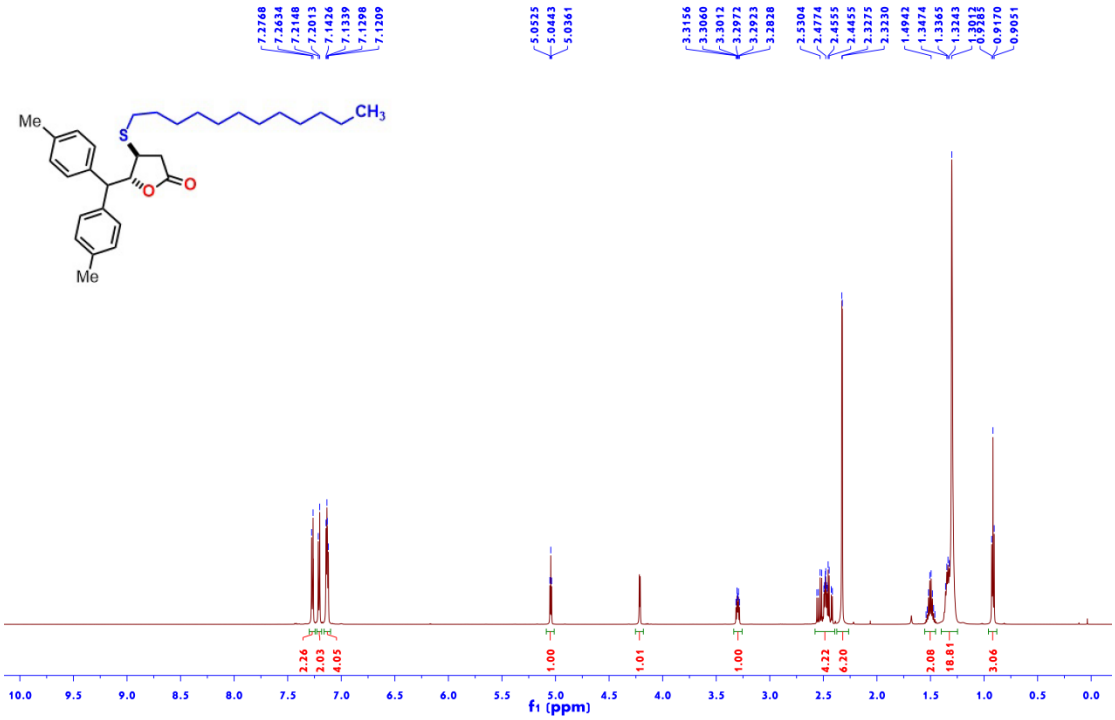


Figure S308 ^{13}C NMR (150 MHz, $CDCl_3$) of 5sa

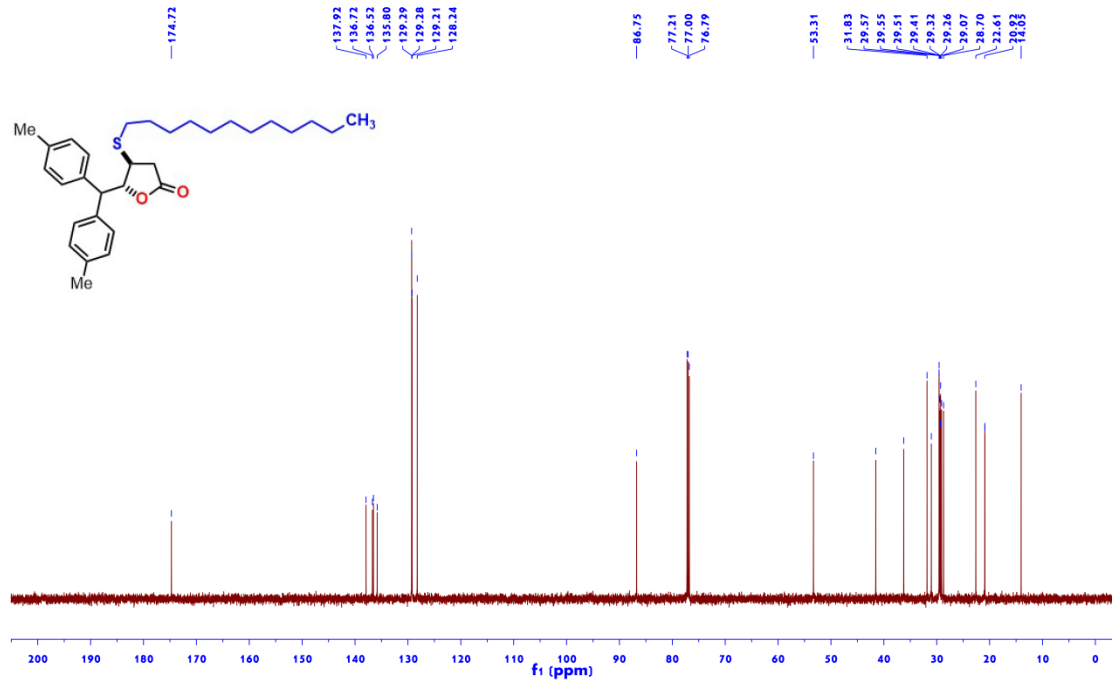


Figure S309 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ta

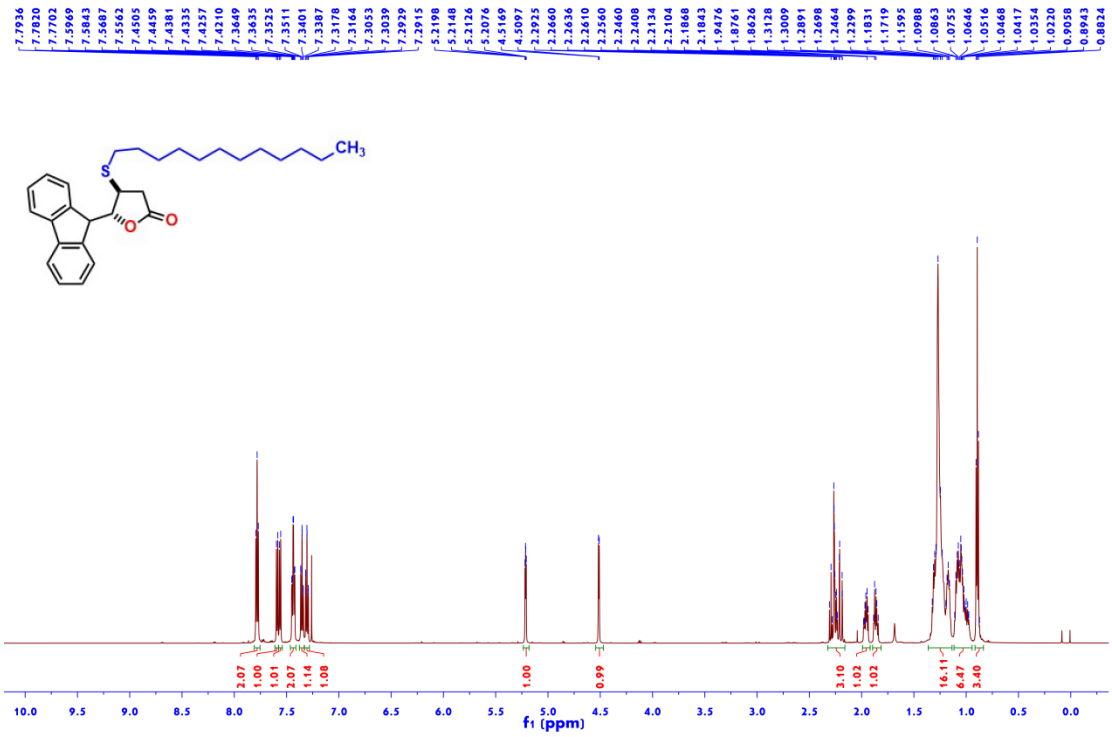


Figure S310 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ta

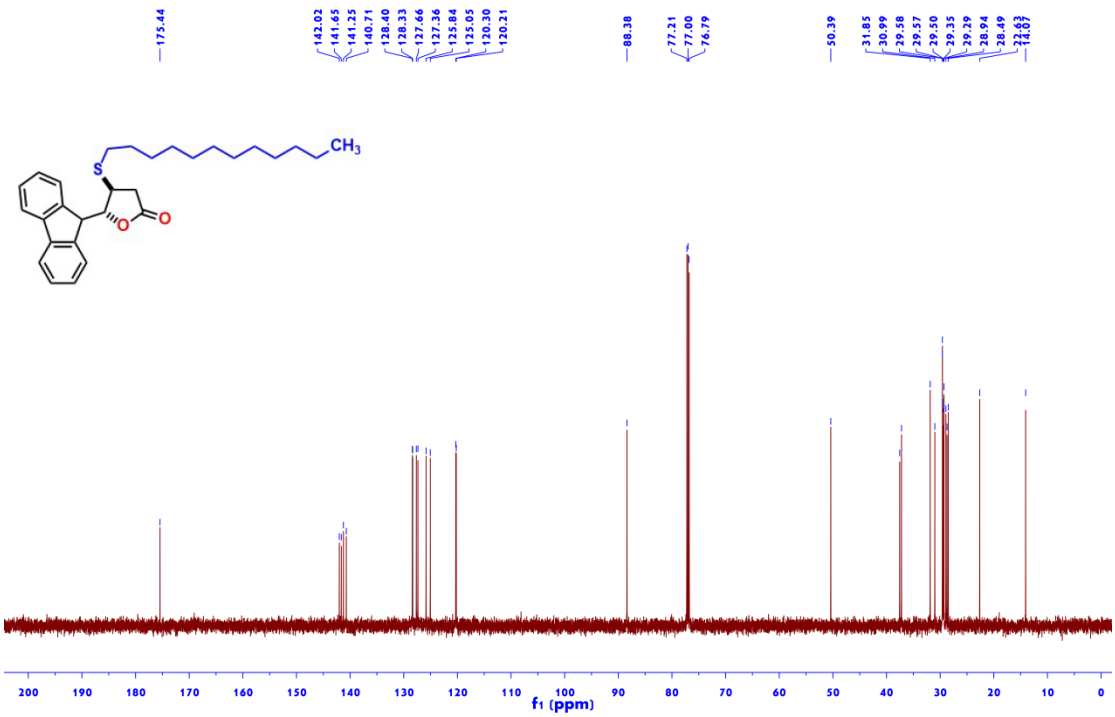


Figure S311 ^{1}H NMR (600 MHz, $CDCl_3$) of 5ua

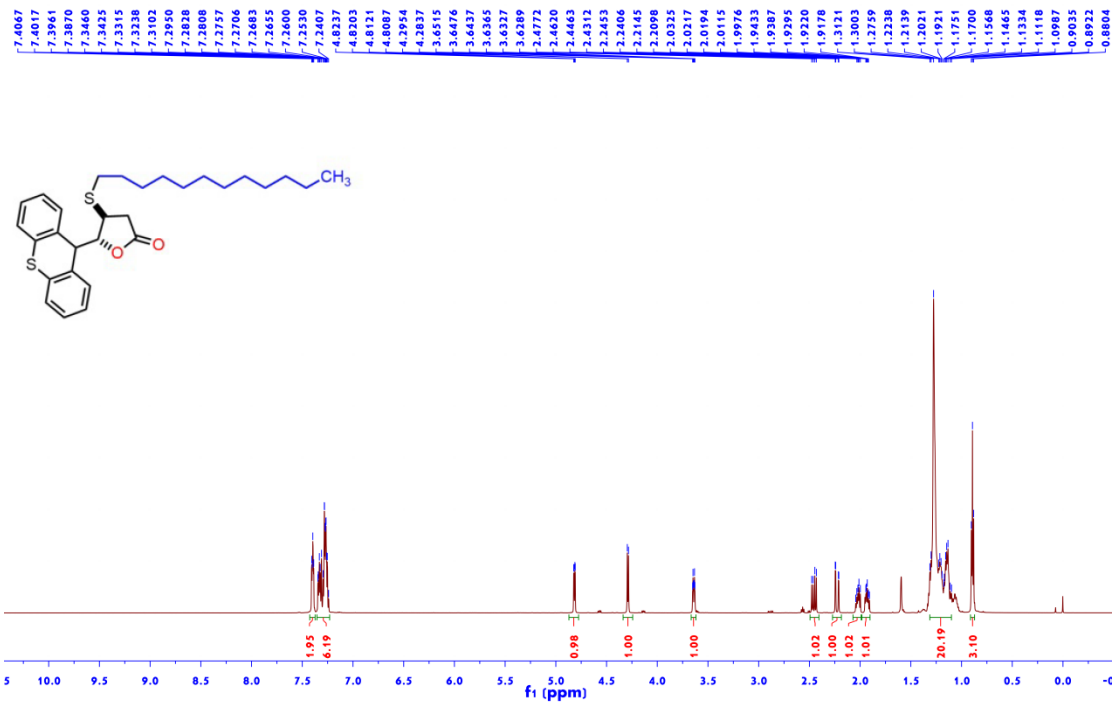


Figure S312 ^{13}C NMR (150 MHz, $CDCl_3$) of 5ua

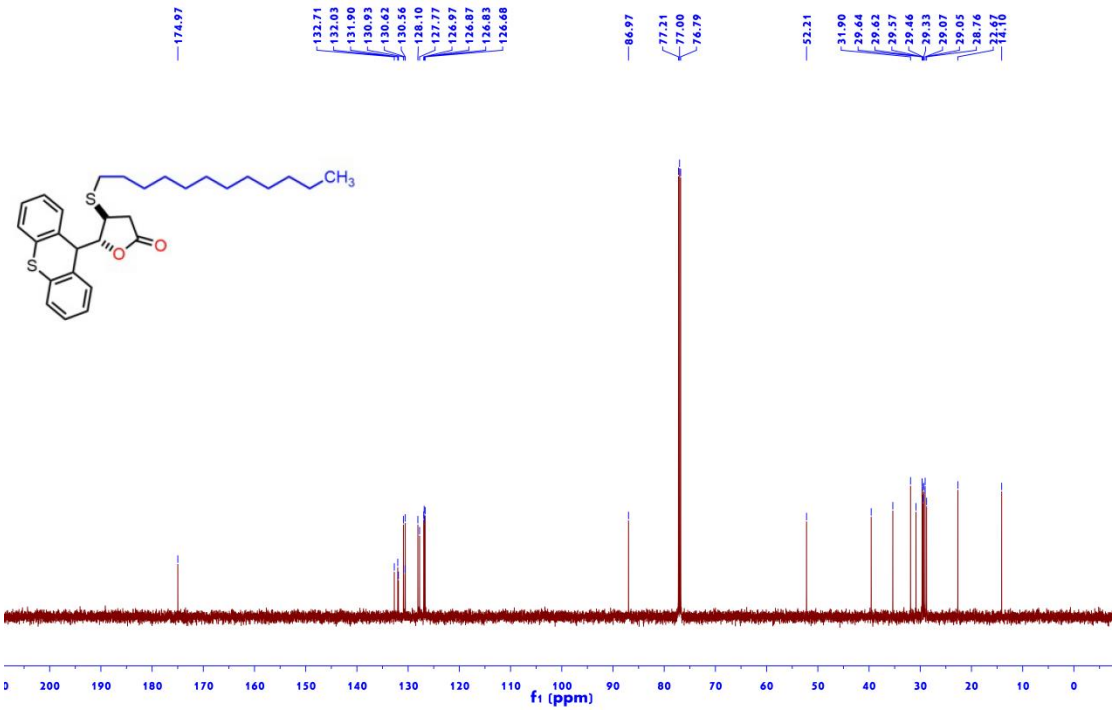


Figure S313 ^{1}H NMR (600 MHz, $CDCl_3$) of 5va

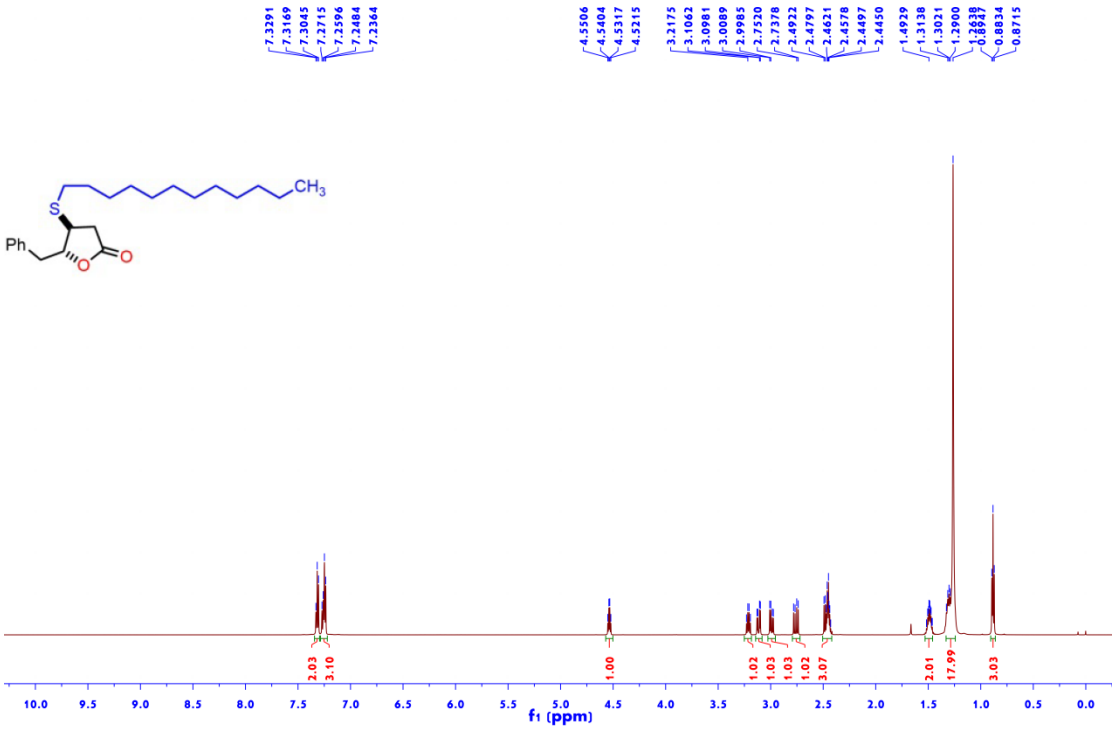


Figure S314^{13}C NMR (150 MHz, $CDCl_3$) of 5va

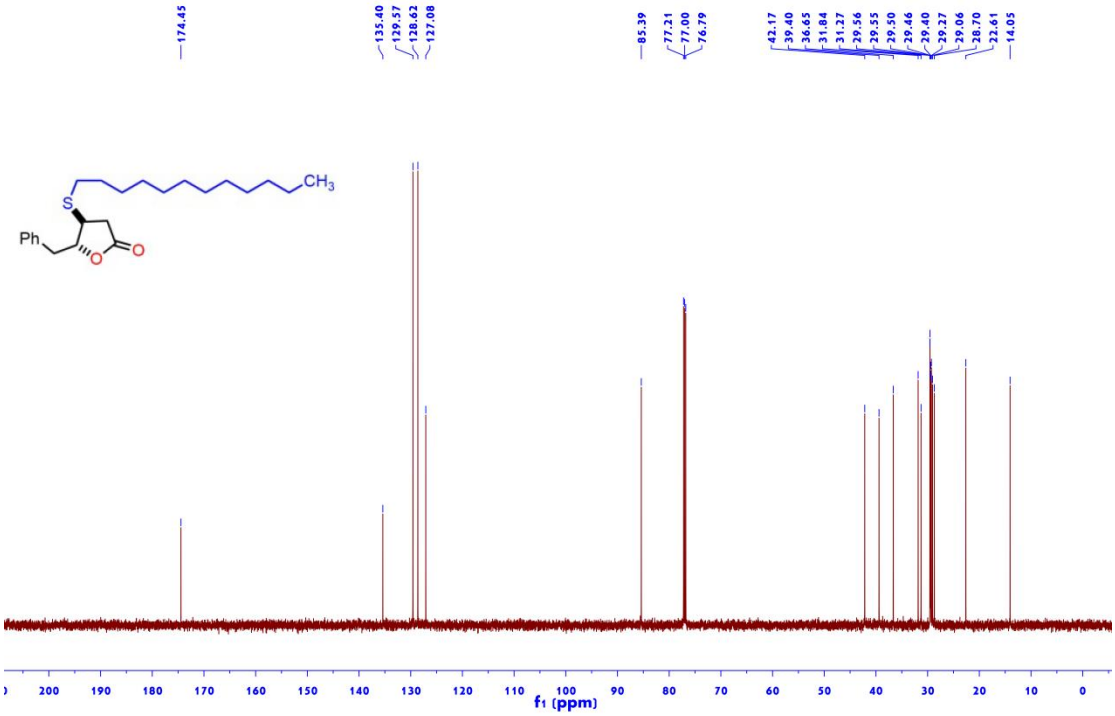


Figure S315 ^{1}H NMR (600 MHz, $CDCl_3$) of 6

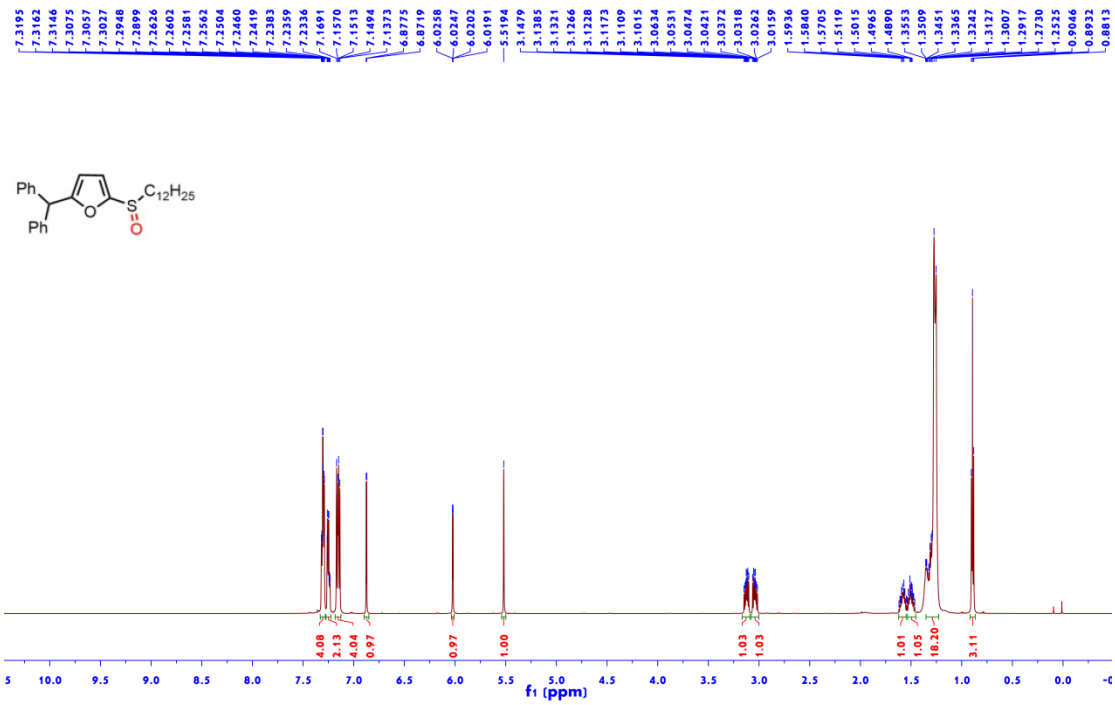


Figure S316 ^{13}C NMR (150 MHz, $CDCl_3$) of 6

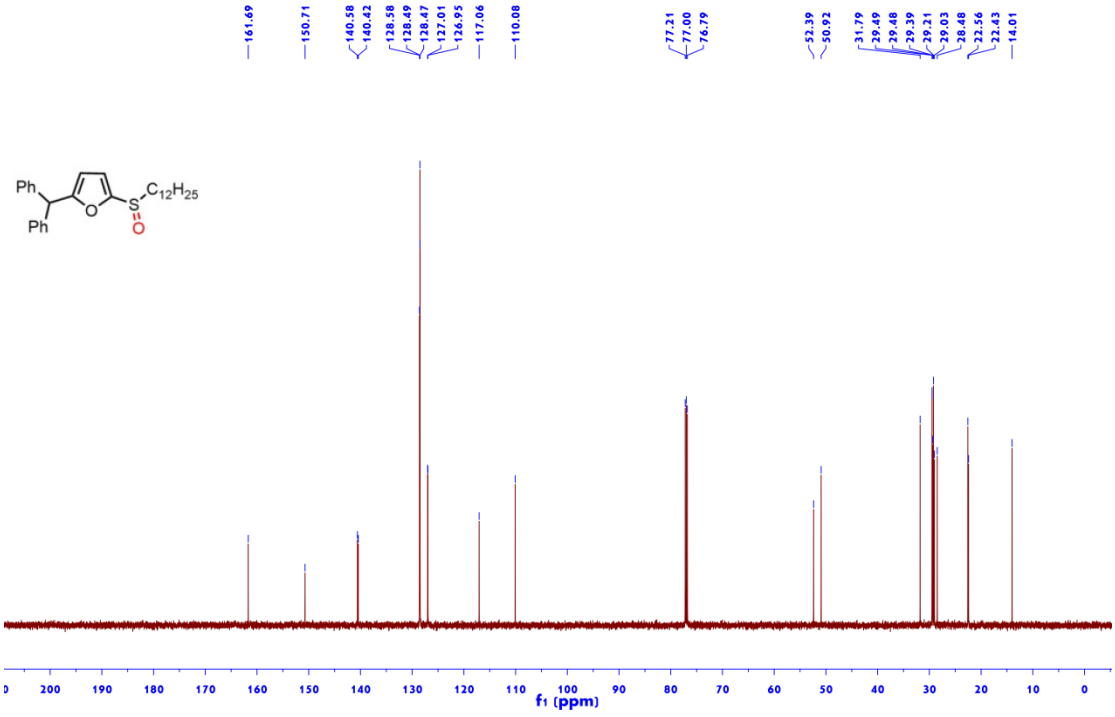


Figure S317 ^{1}H NMR (600 MHz, $CDCl_3$) of 7

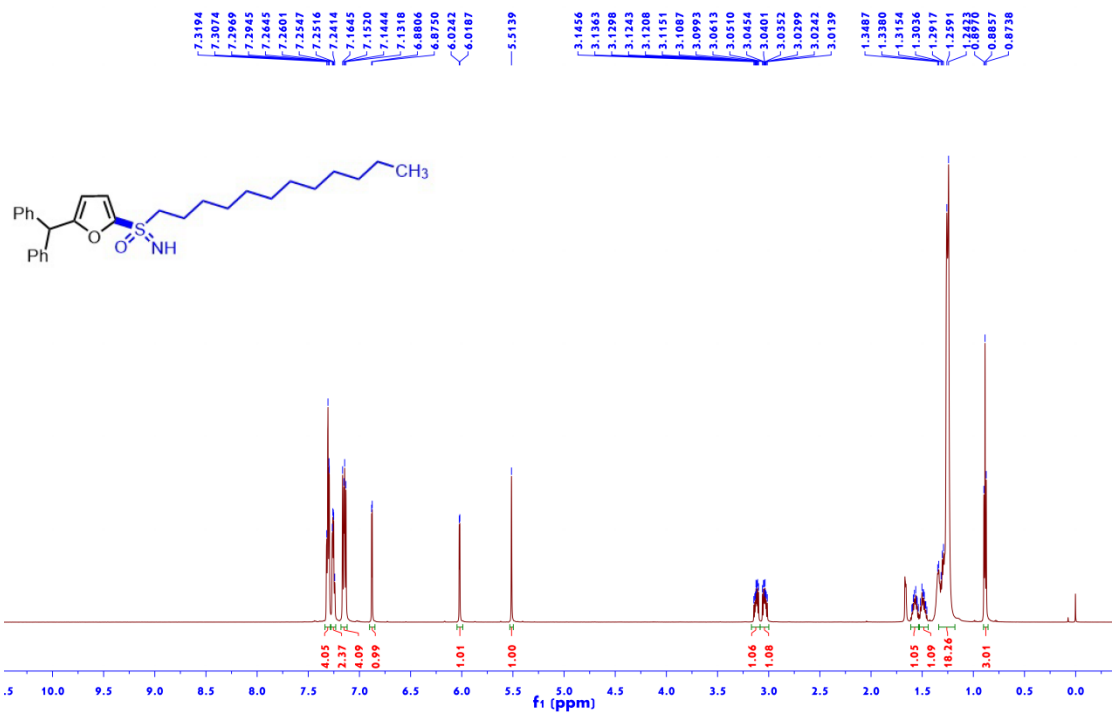


Figure S318 ^{13}C NMR (150 MHz, $CDCl_3$) of 7

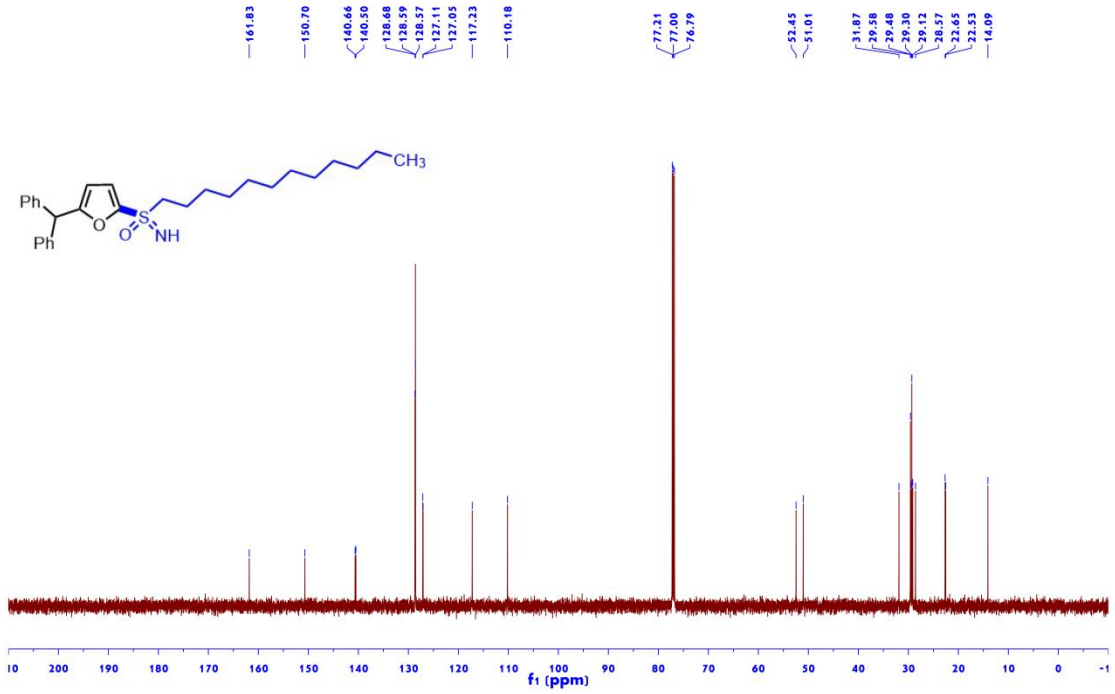


Figure S319 ^{1}H NMR (600 MHz, $CDCl_3$) of 8

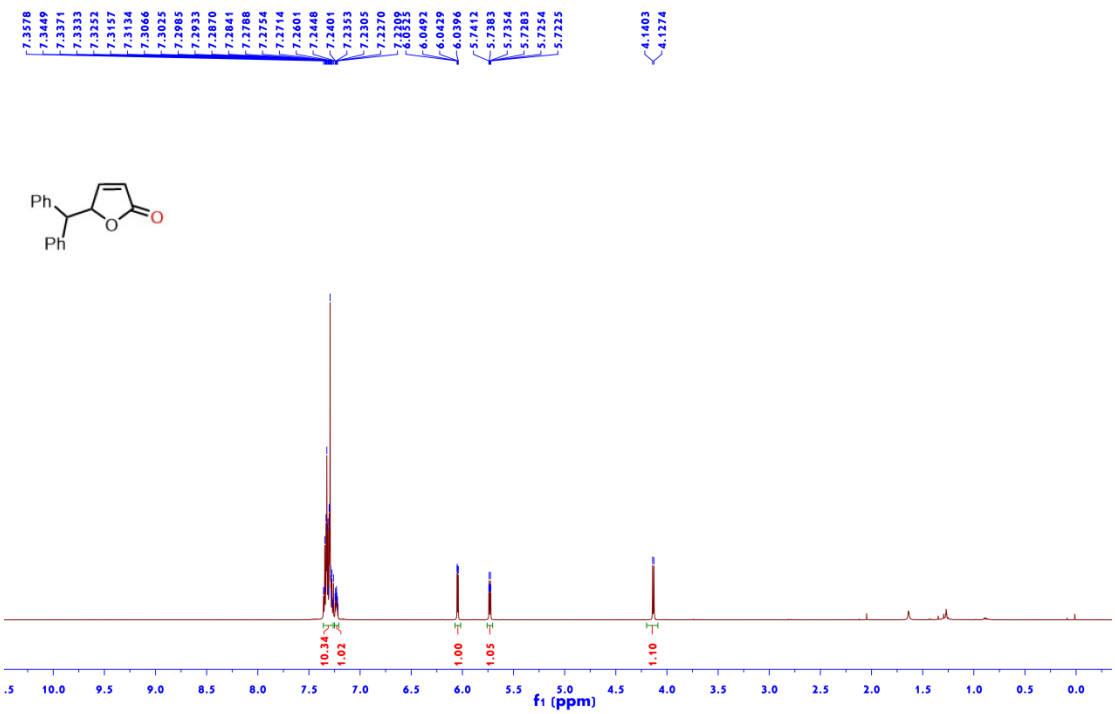


Figure S320 ^{13}C NMR (150 MHz, $CDCl_3$) of 8

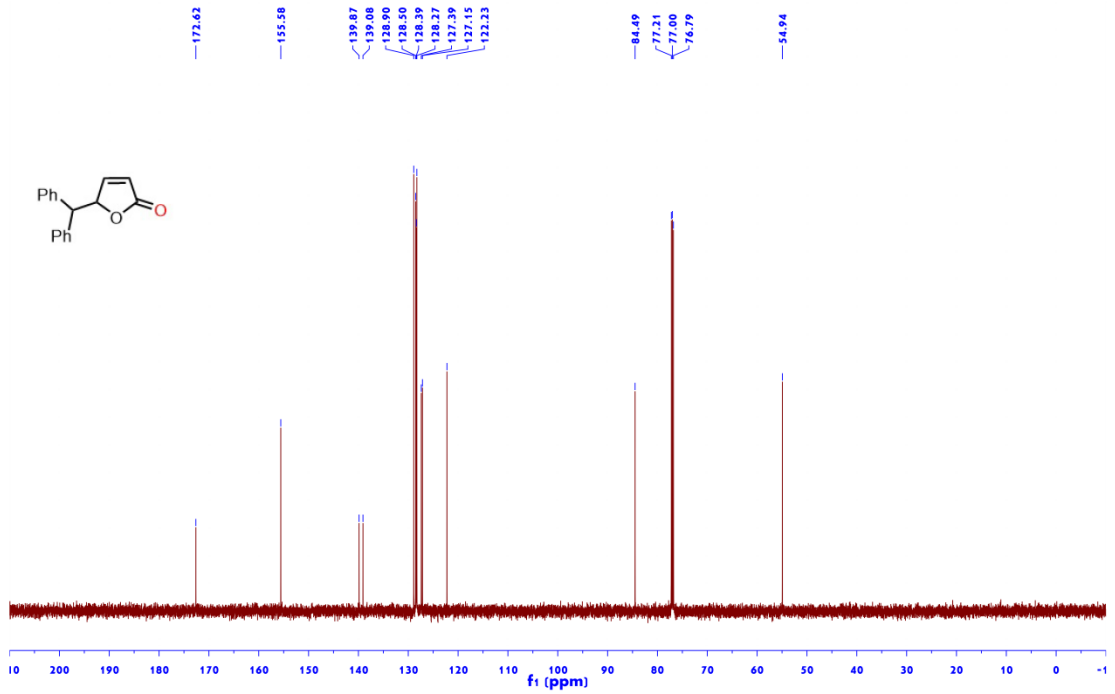


Figure S321 ^{13}C NMR (150 MHz, $CDCl_3$) of ^{18}O-5aa

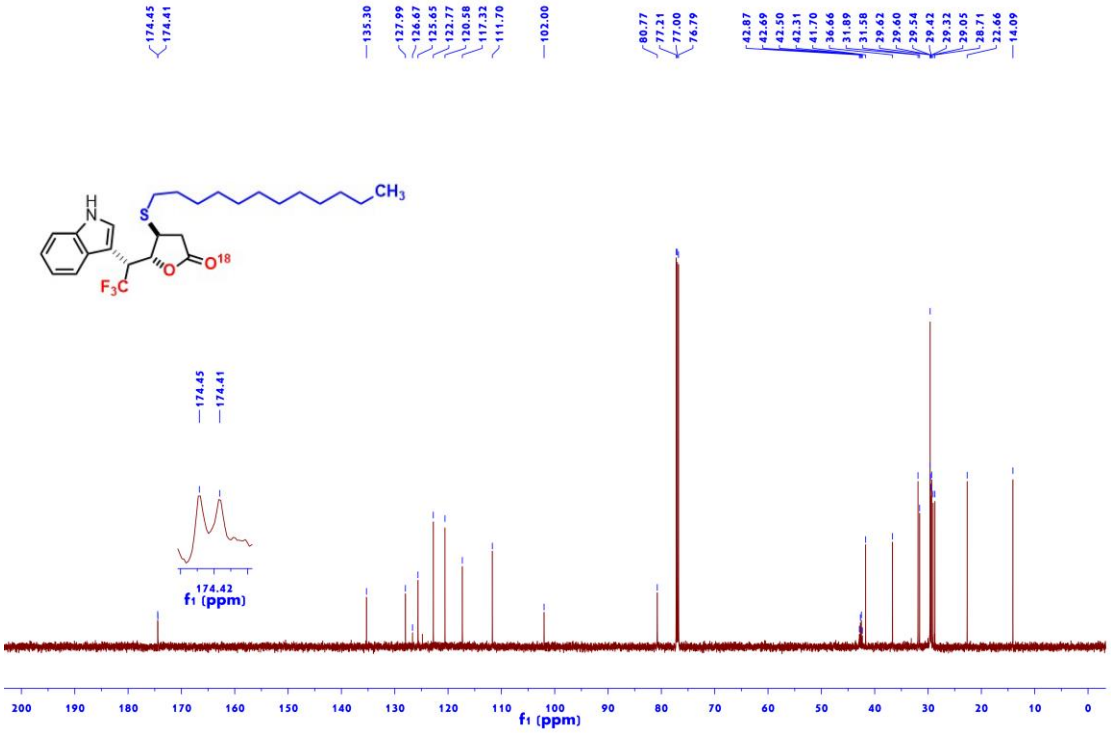
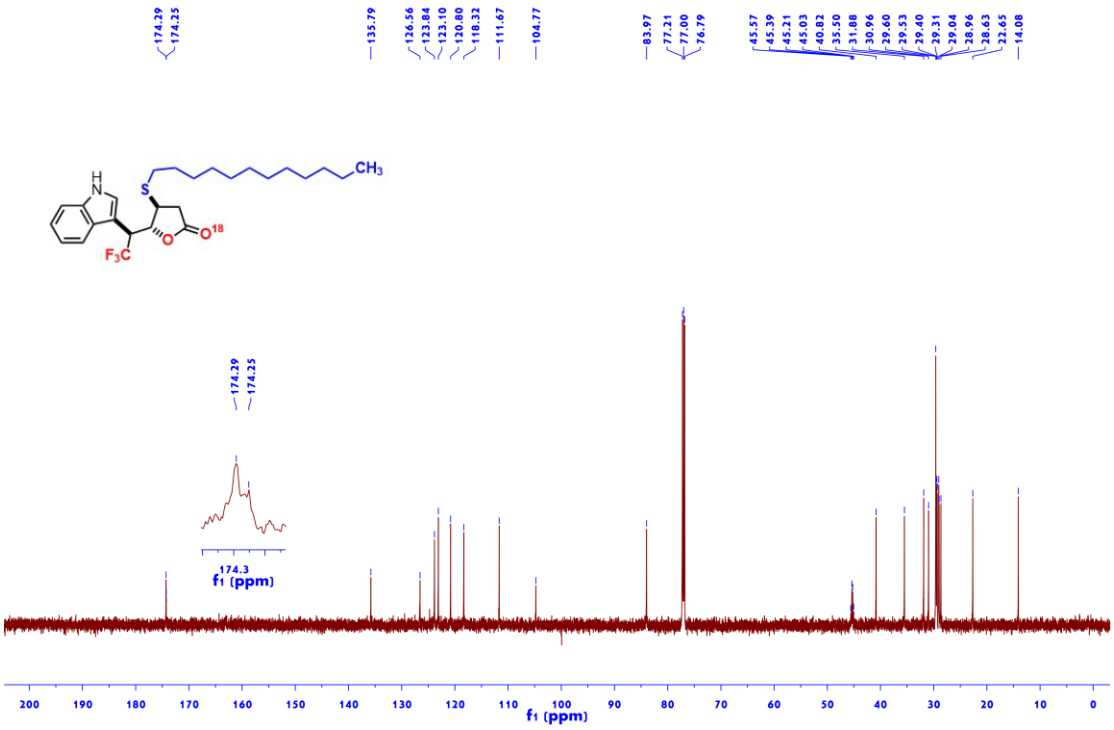


Figure S322 ^{13}C NMR (150 MHz, $CDCl_3$) of ^{18}O-5aa'



8. IR Spectra of 5aa, 5aa', ^{18}O-5aa, and ^{18}O-5aa'

Figure S323. IR spectra of 5aa

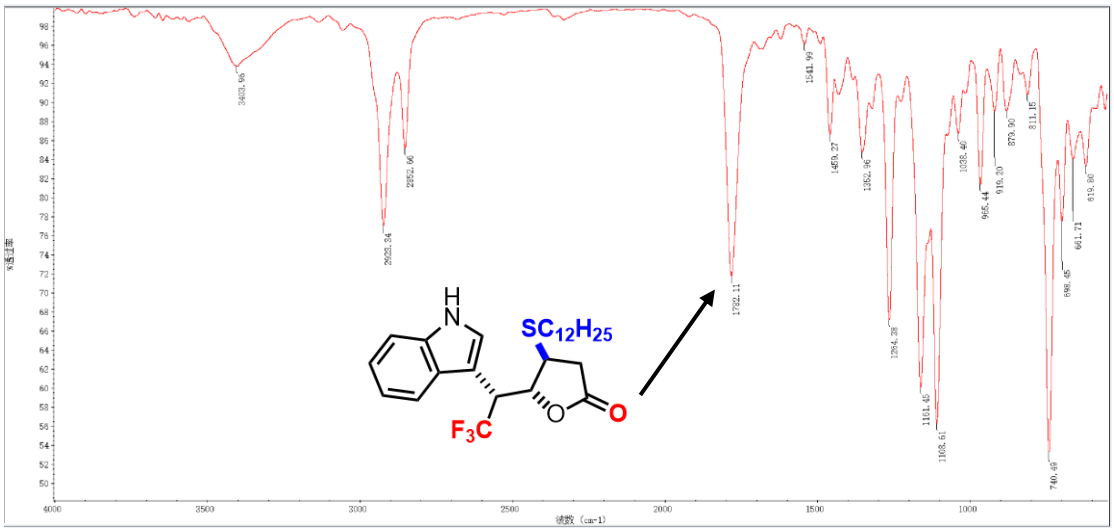


Figure S324. IR spectra of ^{18}O-5aa

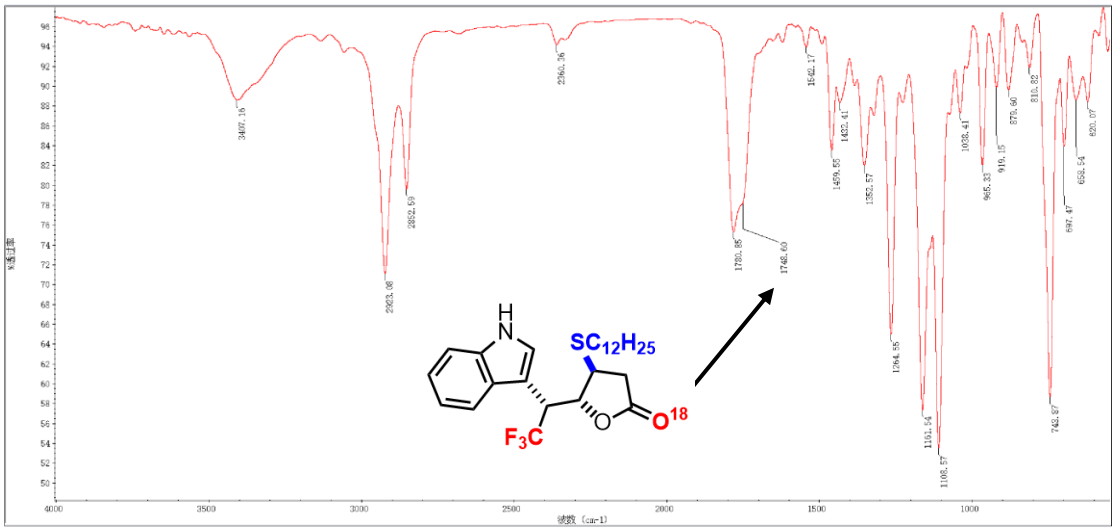


Figure S325. IR spectra of ^{18}O-5aa

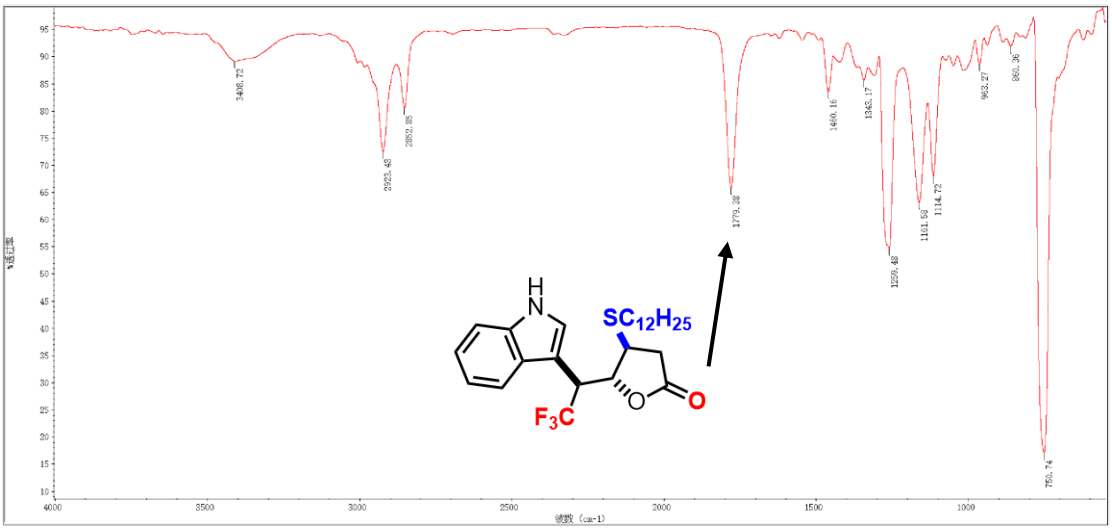
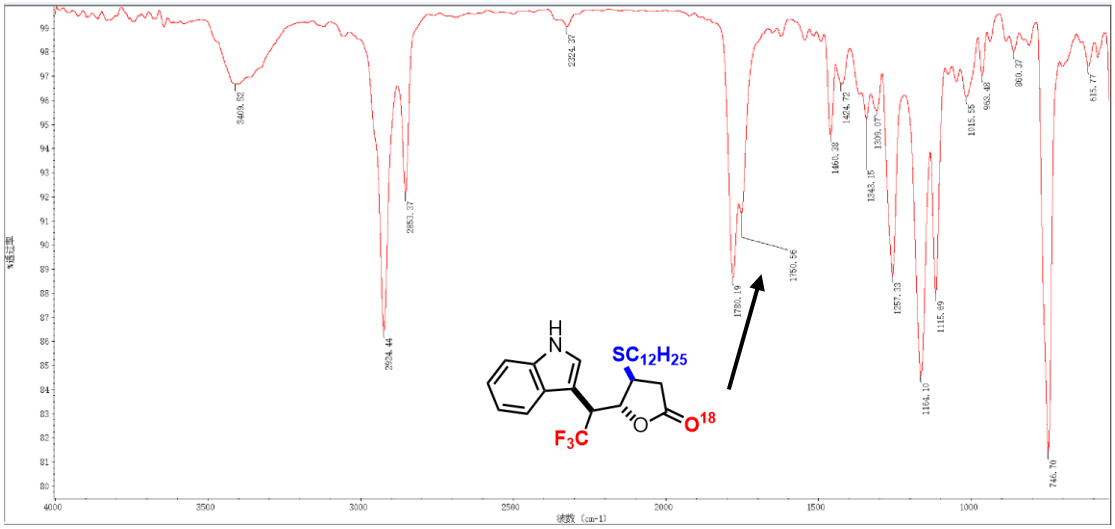


Figure S326. IR spectra of ^{18}O-5aa'



9. X-ray crystal structure

Crystal preparation: Compounds **4jf**, **5bf** and **5bf'** (30-40 mg) were dissolved in hexane/EA = 10:1 (10 mL) in 25 mL round bottom flask and the resultant solution were allowed to slowly evaporate at room temperature to get pure crystals suitable for X-ray diffraction analysis. The intensity data were collected at 100 K or 150 K on a Rigaku Oxford Diffraction Supernova Dual Source, Cu at Zero equipped with an AtlasS2 CCD using Cu Kα radiation. More information on crystal structures can also be obtained from the Cambridge Crystallographic Data Centre (CCDC) with deposition numbers 2377410 (**4jf**), 2377411 (**5bf**) and 2377412 (**5bf'**).

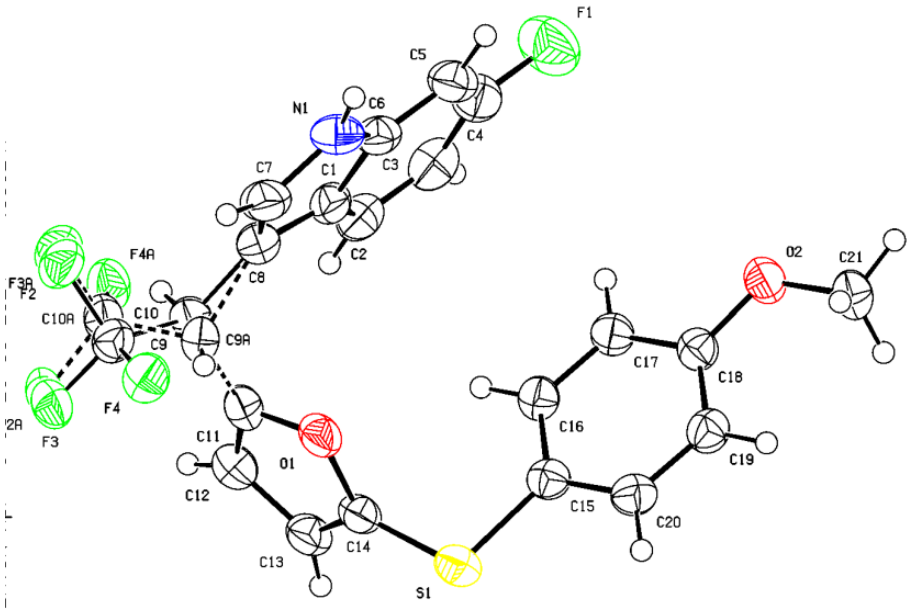


Figure S327. ORTEP Drawing of **4jf** with Thermal Ellipsoids at 30% Probability Levels (CCDC 2377410).

Table S1 Crystal data and structure refinement for 4jf

Identification code	**4jf**
Empirical formula	$C_{21}H_{15}F_4NO_2S$
Formula weight	421.40
Temperature/K	100.00(10)
Crystal system	triclinic
Space group	P-1

a/Å	9.8008(3)
b/Å	10.0875(3)
c/Å	10.4732(3)
α/°	97.214(3)
β/°	115.227(3)
γ/°	91.614(3)
Volume/Å3	925.41(5)
Z	2
ρcalcg/cm3	1.512
μ/mm-1	2.084
F(000)	432.0
Crystal size/mm3	0.16 × 0.13 × 0.11
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	8.874 to 146.728
Index ranges	-12 ≤ h ≤ 11, -12 ≤ k ≤ 12, -12 ≤ l ≤ 13
Reflections collected	10236
Independent reflections	3557 [Rint = 0.0286, Rsigma = 0.0274]
Data/restraints/parameters	3557/299/309
Goodness-of-fit on F2	1.013
Final R indexes [I>=2σ (I)]	R1 = 0.0545, wR2 = 0.1309
Final R indexes [all data]	R1 = 0.0590, wR2 = 0.1340
Largest diff. peak/hole / e Å-3	0.71/-0.44

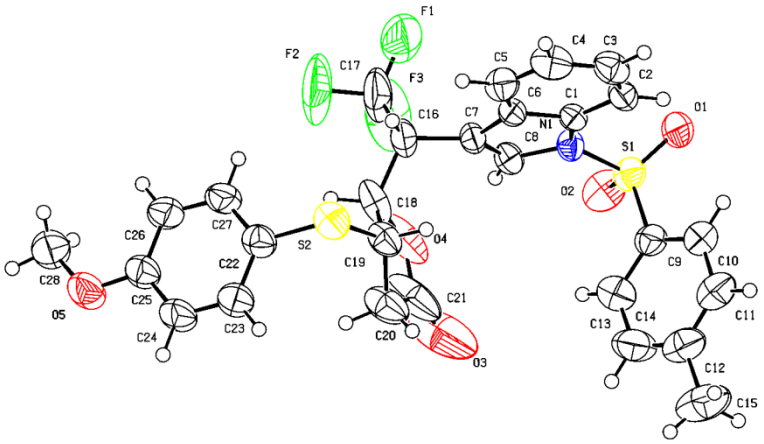


Figure S328. ORTEP Drawing of **5bf** with Thermal Ellipsoids at 30% Probability Levels (CCDC 2377411).

Table S2 Crystal data and structure refinement for 5bf

Identification code	**5bf**
Empirical formula	$C_{28}H_{24}F_3NO_5S_2$
Formula weight	575.60
Temperature/K	273.15
Crystal system	monoclinic
Space group	P21/n
a/Å	16.4884(12)
b/Å	9.0946(7)
c/Å	19.7391(14)
α/°	90
β/°	113.211(2)
γ/°	90
Volume/Å3	2720.4(3)
Z	4
ρcalcg/cm3	1.405
μ/mm-1	2.303
F(000)	1192.0

Crystal size/mm3	0.14 × 0.12 × 0.1
Radiation	CuKα (λ = 1.54178)
2Θ range for data collection/°	5.946 to 136.734
Index ranges	-19 ≤ h ≤ 19, -10 ≤ k ≤ 10, -23 ≤ l ≤ 23
Reflections collected	50355
Independent reflections	4963 [Rint = 0.0485, Rsigma = 0.0357]
Data/restraints/parameters	4963/0/355
Goodness-of-fit on F2	1.033
Final R indexes [I>=2σ (I)]	R1 = 0.0562, wR2 = 0.1517
Final R indexes [all data]	R1 = 0.0601, wR2 = 0.1533
Largest diff. peak/hole / e Å-3	0.60/-0.49

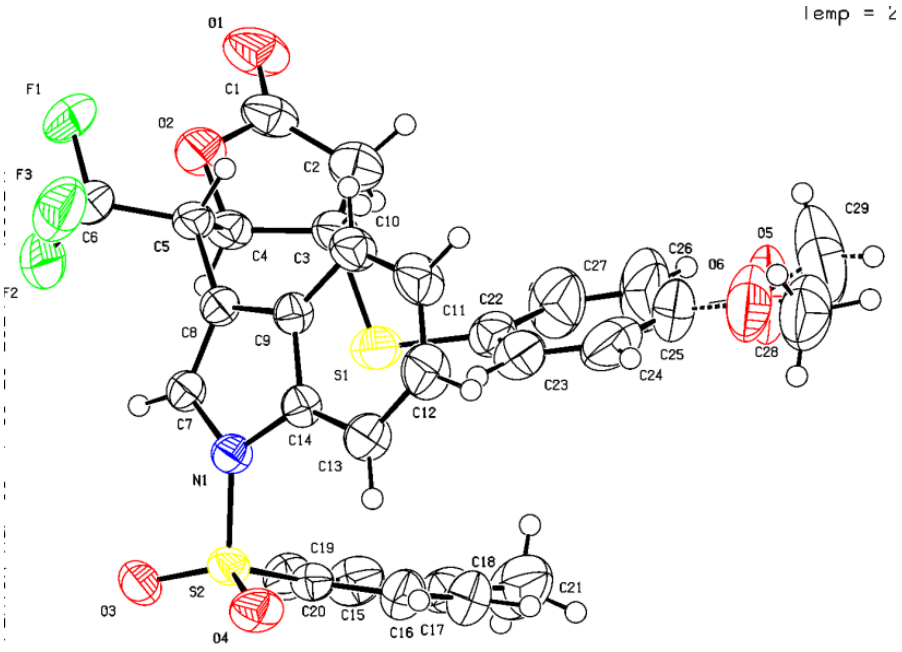


Figure S329. ORTEP Drawing of **5bf'** with Thermal Ellipsoids at 30% Probability Levels (CCDC 2377412).

Table S3 Crystal data and structure refinement for 5bf'.

Identification code	**5bf'**
Empirical formula	C28H24F3NO5S2
Formula weight	575.60
Temperature/K	293.15
Crystal system	monoclinic
Space group	P21/n
a/Å	9.99630(10)
b/Å	10.71180(10)
c/Å	25.1874(2)
α/°	90
β/°	95.0420(10)
γ/°	90
Volume/Å3	2686.59(4)
Z	4
ρcalcg/cm3	1.423
μ/mm-1	2.332
F(000)	1192.0
Crystal size/mm3	0.15 × 0.13 × 0.12
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	7.046 to 152.52
Index ranges	-12 ≤ h ≤ 12, -12 ≤ k ≤ 13, -26 ≤ l ≤ 31
Reflections collected	18656
Independent reflections	5399 [Rint = 0.0146, Rsigma = 0.0119]
Data/restraints/parameters	5399/0/374
Goodness-of-fit on F2	1.062

Final R indexes [I>=2σ (I)]	R1 = 0.0376, wR2 = 0.0976
Final R indexes [all data]	R1 = 0.0387, wR2 = 0.0983
Largest diff. peak/hole / e Å-3	0.34/-0.30

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