Supporting Information

Metal-free, photoredox-catalyzed aromatization-driven deconstructive functionalization of *spiro*-dihydroquinazolinones with α-CF_3 alkenes

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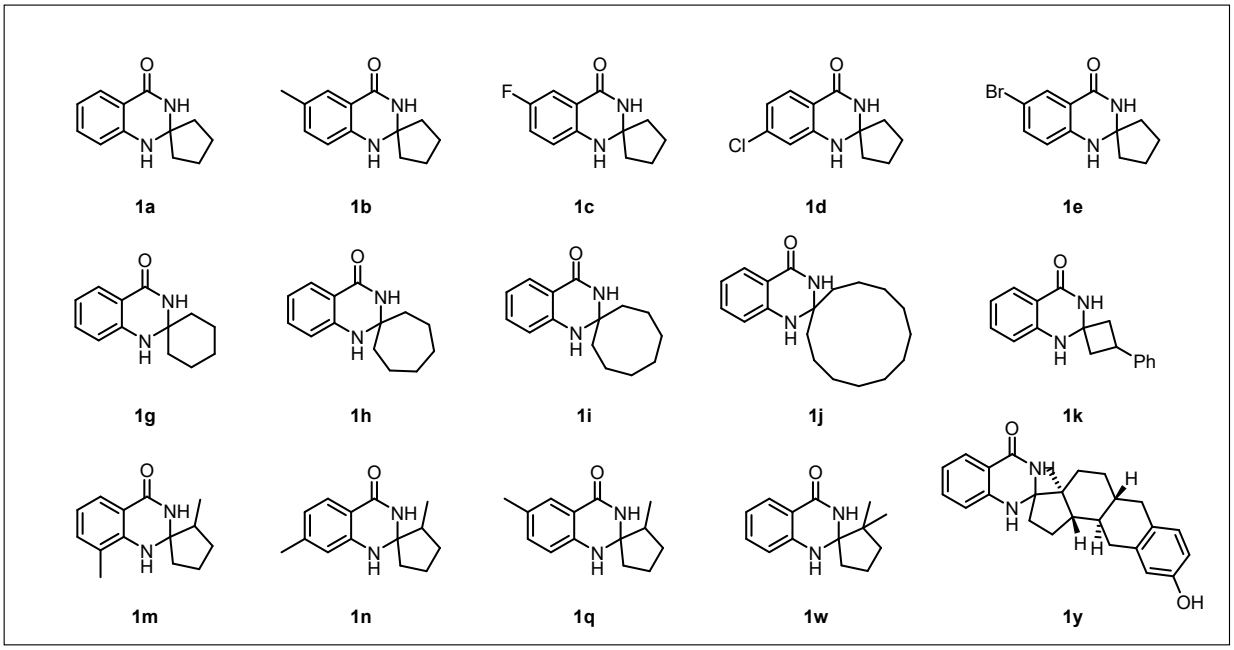
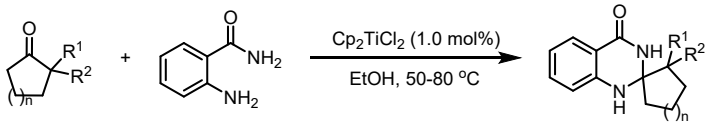
1 General Information

The reactions were conducted in oven-dried Schlenk-tube. And the photoinduced reactions were carried out in oven-dried Schlenk-tube with Wattecs blue LEDs Irradiation Parallel Reactor. Unless otherwise stated, all reagents were purchased from commercial sources and used without further purification. ^{1}H, ^{13}C and ^{19}F NMR spectra were recorded on a Bruker 400 MHz (100 MHz for ^{13}C NMR, 376 MHz for ^{19}F NMR) spectrometer at ambient temperature. Chemical shift are reported in ppm from TMS with the solvent resonance as internal standard ($CDCl_3$: ^{1}H NMR: $\delta = 7.26$; ^{13}C NMR: $\delta = 77.0$; DMSO-d_6: ^{1}H NMR: $\delta = 2.50$; ^{13}C NMR: $\delta = 39.5$). Coupling constants are reported in Hz with multiplicities denoted as s (singlet), d (doublet), t (triplet), q (quartet), dd (doublet of doublets), td (triplet of doublets) and m (multiplet). FT-IR spectra were recorded on a Bruker V 70 spectrometer and only major peaks are reported in cm^{-1}. HRMS were obtained on a WATERS I-Class VION IMS Q-Tof. Melting points were measured using open glass capillaries in a SGW® X-4A apparatus. Analytical TLC: aluminum backed plates pre-coated (0.25 mm) with Merck Silica Gel 60F-254. Compounds were visualized by exposure to UV-light.

2 Starting Materials

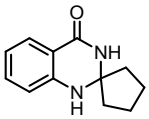


2.1 General Procedure for the Synthesis of *spiro*-Dihydroquinazolinones[1]

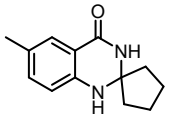


Dihydroquinazolinones were prepared according to the literature. A 50 mL oven-dried round bottom flask equipped with a magnetic stirrer was charged with 2-aminobenzamide (10 mmol, 1.0 equiv.), corresponding cyclic ketone (11 mmol, 1.1 equiv.) and Cp_2TiCl_2 (1.0 mol%) were dissolved in 10 mL EtOH in one portion under air. The reaction mixture was stirred at 50-80 °C until the reaction was completed as indicated by TLC. The reaction was cooled to 20 °C generating precipitate that was collected as crude product by suction filtration. The crude material was washed with water and purified by recrystallization (EtOH) to give desired product.

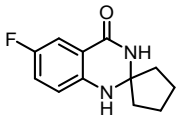
2.2 Characterization of Starting Materials



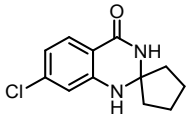
1'*H*-Spiro[cyclopentane-1,2'-quinazolin]-4'(3'*H*)-one (1a): White solid; m.p.: 251-252 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:2); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 8.08 (s, 1H), 7.57 (d, *J* =7.2 Hz, 1H), 7.23-7.19 (m, 1H), 6.73-6.68 (m, 2H), 6.64-6.61 (m, 1H), 1.82-1.77 (m, 4H), 1.69-1.64 (m, 4H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 163.4, 147.5, 133.0, 127.2, 116.5, 114.6, 114.3, 77.0, 39.3, 22.0 ppm; HRMS (ESI) calcd for $C_{12}H_{15}N_2O$ $[M+H]^+$ 203.1180, found 203.1188.



6'-Methyl-1'*H*-spiro[cyclopentane-1,2'-quinazolin]-4'(3'*H*)-one (1b): White solid; m.p.: 228-229 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:2); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 8.07 (s, 1H), 7.40-7.39 (m, 1H), 7.04 (dd, *J* = 8.4, 2.0 Hz, 1H), 6.62 (d, *J* =8.0 Hz, 1H), 6.54 (s, 1H), 2.17 (s, 3H), 1.81-1.75 (m, 4H), 1.68-1.62 (m, 4H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 163.6, 145.4, 133.8, 127.1, 125.1, 114.7, 114.5, 77.1, 39.1, 22.0, 20.1 ppm; HRMS (ESI) calcd for $C_{13}H_{17}N_2O$ $[M+H]^+$ 217.1335, found 217.1348.

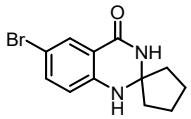


6'-Fluoro-1'*H*-spiro[cyclopentane-1,2'-quinazolin]-4'(3'*H*)-one (1c): White solid; m.p.: 231-232 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:2); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 8.28 (s, 1H), 7.28 (dd, *J* = 9.2, 2.8 Hz, 1H), 7.15-7.08 (m, 1H), 6.79-6.71 (m, 2H), 1.85-1.76 (m, 4H), 1.72-1.62 (m, 4H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 162.6, 154.4 (d, *J* = 231.2 Hz), 144.2, 120.5 (d, *J* = 23.3 Hz), 116.0 (d, *J* = 6.9 Hz), 115.3 (d, *J* = 6.6 Hz), 112.4 (d, *J* = 22.7 Hz), 77.2, 39.7, 22.0 ppm; HRMS (ESI) calcd for $C_{12}H_{14}FN_2O$ $[M+H]^+$ 221.1085, found 221.1098.

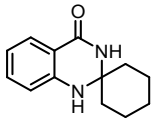


7'-Chloro-1'*H*-spiro[cyclopentane-1,2'-quinazolin]-4'(3'*H*)-one (1d): White solid; m.p.: 246 -247 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:2); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 8.23 (s, 1H), 7.56 (d, *J* =8.0 Hz, 1H), 7.05 (s, 1H), 6.73 (d, *J* = 2.0 Hz, 1H), 6.64 (dd, *J* =8.0, 1.6 Hz, 1H), 1.82-1.75 (m, 4H), 1.69-1.63 (m, 4H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 162.7, 148.6,

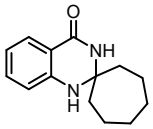
137.7, 129.4, 116.6, 113.5, 113.4, 77.4, 39.5, 22.0 ppm; HRMS (ESI) calcd for $C_{12}H_{14}ClN_2O$ $[M+H]^+$ 237.0789, found 237.0803.



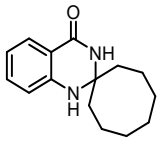
6'-Bromo-1'*H*-spiro[cyclopentane-1,2'-quinazolin]-4'(3'*H*)-one (1e): White solid; m.p.: 229-230 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:2); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 8.28 (s, 1H), 7.63 (s, 1H), 7.34 (d, *J* =8.4 Hz, 1H), 7.01 (s, 1H), 6.68 (d, *J* =8.4 Hz, 1H), 1.83-1.76 (m, 4H), 1.70-1.61 (m, 4H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 162.3, 146.6, 135.5, 129.4, 116.8, 116.3, 107.5, 77.2, 39.4, 22.1 ppm; HRMS (ESI) calcd for $C_{12}H_{14}BrN_2O$ $[M+H]^+$ 281.0284, found 281.0291.



1'*H*-Spiro[cyclohexane-1,2'-quinazolin]-4'(3'*H*)-one (1g): White solid; m.p.: 228-229 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:2); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 7.90 (s, 1H), 7.56 (d, *J* = 7.6 Hz, 1H), 7.23-7.19 (m, 1H), 6.80 (d, *J* =8.4 Hz, 1H), 6.63-6.58 (m, 2H), 1.78-1.71 (m, 2H), 1.64-1.52 (m, 6H), 1.47-1.38 (m, 1H), 1.30-1.21 (m, 1H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 163.2, 146.8, 133.2, 127.2, 116.5, 114.6, 114.5, 67.9, 37.2, 24.7, 20.9 ppm; HRMS (ESI) calcd for $C_{13}H_{17}N_2O$ $[M+H]^+$ 217.1335, found 217.1348.

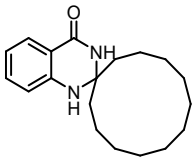


1'*H*-Spiro[cycloheptane-1,2'-quinazolin]-4'(3'*H*)-one (1h): White solid; m.p.: 215-216 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:2); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 8.03 (s, 1H), 7.55 (d, *J* = 7.6 Hz, 1H), 7.22-7.18 (m, 1H), 6.72-6.70 (m, 2H), 6.61-6.58 (m, 1H), 1.91-1.83 (m, 4H), 1.58-1.47 (m, 8H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 163.1, 146.9, 133.2, 127.2, 116.4, 114.4, 114.3, 72.0, 41.1, 29.4, 21.0 ppm; HRMS (ESI) calcd for $C_{14}H_{19}N_2O$ $[M+H]^+$ 231.1492, found 231.1503.

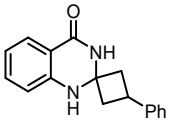


1'*H*-spiro[cyclooctane-1,2'-quinazolin]-4'(3'*H*)-one (1i): White solid; m.p.: 192-193 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:2); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 7.96 (s, 1H), 7.54 (d, *J* = 6.4 Hz, 1H), 7.22-7.17 (m, 1H), 6.73 (d, *J* = 8.4 Hz, 1H), 6.62-6.57 (m, 2H), 1.93-1.82 (m, 4H), 1.57-1.48 (m, 10H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 163.0, 146.8, 133.1, 127.0,

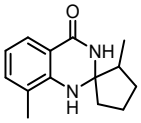
116.2, 114.32, 114.26, 71.3, 35.6, 27.7, 24.1, 20.7 ppm; HRMS (ESI) calcd for $C_{15}H_{21}N_2O$ $[M+H]^+$ 245.1648, found 245.1659.



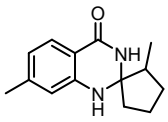
1'*H*-spiro[cyclododecane-1,2'-quinazolin]-4'(3'*H*)-one (1j): White solid; m.p.: 197-198 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:2); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 7.81 (s, 1H), 7.56 (d, J =7.6 Hz, 1H), 7.22-7.18 (m, 1H), 6.75 (d, J =8.4 Hz, 1H), 6.63-6.60 (m, 1H), 6.38 (s, 1H), 1.78-1.71 (m, 2H), 1.64-1.57 (m, 2H), 1.37-1.25 (m, 18H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 163.1, 147.1, 133.2, 127.1, 116.6, 114.8, 114.7, 71.4, 34.1, 25.8, 25.5, 22.3, 21.9, 18.9 ppm; HRMS (ESI) calcd for $C_{19}H_{29}N_2O$ $[M+H]^+$ 301.2274, found 301.2286.



3-Phenyl-1'*H*-spiro[cyclobutane-1,2'-quinazolin]-4'(3'*H*)-one (1k): White solid; m.p.: 249-250 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:2, dr = 1:2.3); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 8.57 (s, 0.3H), 8.22 (s, 0.7 H), 7.40-7.35 (m, 1H), 7.22-7.17 (m, 1H), 7.10-7.01(m, 5H), 6.96-6.91 (m, 1H), 6.61-6.56 (m, 1H), 6.49-6.42 (m, 1H), 3.30-3.24 (m, 1H), 2.45-2.39 (m, 1H), 2.26-2.07 (m, 3H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 163.6, 163.0, 147.3, 146.8, 144.6, 144.5, 133.42, 133.40, 128.39, 128.35, 127.3, 126.9, 126.8, 126.7, 126.2, 126.1, 117.2, 117.1, 114.9, 114.71, 114.66, 114.5, 66.6, 66.5, 45.9, 45.7, 30.5, 29.4 ppm; HRMS (ESI) calcd for $C_{17}H_{17}N_2O$ $[M+H]^+$ 265.1335, found 265.1344.

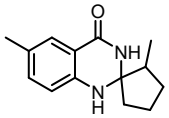


2,8'-Dimethyl-1'*H*-spiro[cyclopentane-1,2'-quinazolin]-4'(3'*H*)-one (1m): White solid; m.p.: 169-170 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:2, dr = 1:1.5); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 8.07 (s, 0.4H), 7.91 (s, 0.6H), 7.48-7.45 (m, 1H), 7.10-1.06 (m, 1H), 6.57-6.50 (m, 1H), 5.68 (s, 0.6H), 5.40 (s, 0.4H), 2.13-2.09 (m, 3H), 2.03-1.41 (m, 7H), 0.86 (d, J = 6.8 Hz, 1.8H), 0.75 (d, J = 6.8 Hz, 1.2H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 163.9, 163.6, 145.9, 145.3, 133.8, 124.9, 121.8, 121.6, 116.3, 115.9, 115.0, 114.0, 78.4, 78.1, 44.9, 44.1, 38.3, 29.7, 29.3, 19.3, 19.0, 17.10, 17.08, 14.4, 14.0 ppm; HRMS (ESI) calcd for $C_{14}H_{19}N_2O$ $[M+H]^+$ 231.1492, found 231.1503.

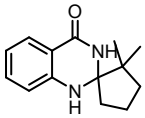


2,7'-Dimethyl-1'*H*-spiro[cyclopentane-1,2'-quinazolin]-4'(3'*H*)-one (1n): White solid;

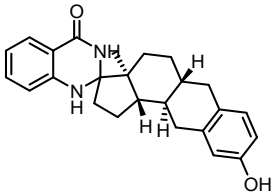
m.p.: 171-172 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:2, dr = 1:1); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 7.84 (s, 0.5H), 7.83 (s, 0.5H), 7.43 (d, J = 8.0 Hz, 1H), 6.56-6.51 (m, 1H), 6.45-6.42 (m, 1H), 6.41-6.37 (m, 1H), 2.18 (s, 3H), 1.97-1.84 (m, 2H), 1.79-1.55 (m, 4H), 1.48-1.38 (m, 1H), 0.88-0.84 (m, 3H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 163.9, 163.8, 148.1, 147.8, 143.14, 143.11, 127.3, 127.2, 117.6, 117.4, 114.1, 113.9, 111.83, 111.78, 78.5, 78.3, 44.4, 44.1, 39.6, 39.5, 29.4, 29.2, 21.6, 21.5, 19.0, 14.4, 13.9 ppm; HRMS (ESI) calcd for $C_{14}H_{19}N_2O$ $[M+H]^+$ 231.1492, found 231.1504.



2,6'-Dimethyl-1'*H*-spiro[cyclopentane-1,2'-quinazolin]-4'(3'*H*)-one (1q): White solid; m.p.: 228-229 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:2, dr = 1:1); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 7.87 (s, 0.5H), 7.85 (s, 0.5H), 7.36 (s, 1H), 7.01 (d, J =8.0 Hz, 1H), 6.69-6.56 (m, 1H), 6.41-6.30 (m, 1H), 2.15 (s, 3H), 1.99-1.86 (m, 2H), 1.76-1.52 (m, 4H), 1.46-1.38 (m, 1H), 0.89-0.84 (m, 3H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 163.9, 163.8, 145.9, 145.6, 134.02, 133.98, 127.1, 127.0, 124.7, 124.5, 114.13, 114.06, 114.0, 78.5, 78.2, 44.2, 44.0, 39.3, 29.5, 29.2, 20.2, 19.04, 19.00, 14.5, 13.9 ppm; HRMS (ESI) calcd for $C_{14}H_{19}N_2O$ $[M+H]^+$ 231.1492, found 231.1503.



2,2-Dimethyl-1'*H*-spiro[cyclopentane-1,2'-quinazolin]-4'(3'*H*)-one (1w): White solid; m.p.: 149-150 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:2); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.84 (dd, J = 8.0, 1.6 Hz, 1H), 7.29-7.24 (m, 1H), 6.99 (s, 1H), 6.77-6.73 (m, 1H), 6.64 (d, J =7.6 Hz, 1H), 4.44 (s, 1H), 2.20-2.13 (m, 1H), 2.08-2.01 (m, 1H), 1.82-1.61 (m, 4H), 1.03 (s, 3H), 1.02 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.7, 146.6, 133.8, 127.9, 117.8, 114.2, 113.6, 80.5, 46.6, 38.5, 36.5, 23.4, 23.1, 17.0 ppm; HRMS (ESI) calcd for $C_{14}H_{19}N_2O$ $[M+H]^+$ 231.1492, found 231.1495.

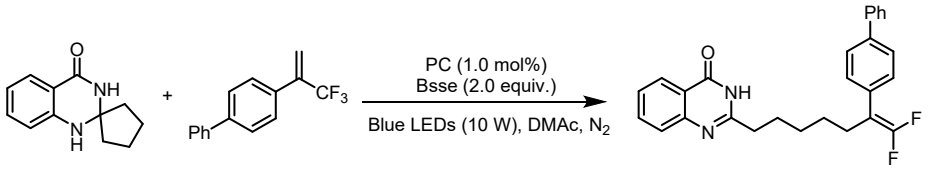


(3a*S*,5a*R*,11a*R*,11b*S*)-9-Hydroxy-3a-methyl-1,2,3a,4,5,5a,6,11,11a,11b-decahydro-1'*H*-spiro[cyclopenta[a]anthracene-3,2'-quinazolin]-4'(3'*H*)-one (**1y**): White solid; m.p.: 203-204 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:1); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 9.00-8.98 (m, 1H), 8.34 (s, 1H), 7.55-7.51 (m, 1H), 7.19-7.14 (m, 1H), 6.95-6.90 (m, 1H), 6.74-6.69 (m,

2H), 6.60-6.54 (m, 1H), 6.50-6.40 (m, 2H), 4.41-4.37 (m, 1H), 2.75-2.64 (m, 2H), 2.06-2.03 (m, 1H), 1.99-1.92 (m, 2H), 1.84-1.78 (m, 1H), 1.73-1.66 (m, 1H), 1.55-1.43 (m, 1H), 1.28-1.22 (m, 2H), 1.17-1.12 (m, 2H), 1.08-1.02 (m, 2H), 0.78-0.75 (s, 3H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 164.0, 155.1, 147.6, 137.2, 133.1, 130.2, 127.0, 126.1, 116.2, 115.2, 115.0, 113.4, 112.8, 79.8, 56.2, 50.8, 46.6, 43.2, 37.4, 33.2, 29.3, 27.3, 26.1, 22.2, 18.7, 14.9 ppm; HRMS (ESI) calcd for $C_{25}H_{29}N_2O_2$ $[M+H]^+$ 389.2224, found 389.2230.

3. Detailed Optimization of Reaction Conditions

3.1 General Procedure for the Reaction of *spiro*-Dihydroquinazolinone 1a with *α*-CF_3 Alkene 2a

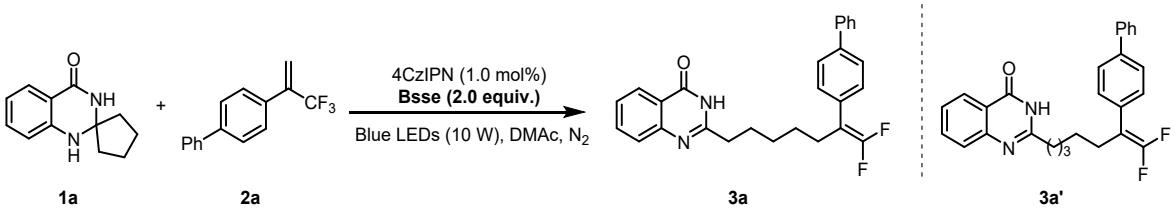


1a **2a** **3a**

A 10 mL oven-dried Schlenk-tube equipped with a magnetic stirrer was charged with *spiro*-dihydroquinazolinone **1a** (0.24 mmol, 1.2 equiv.), *α*-CF_3 alkene **2a** (0.20 mmol, 1.0 equiv.), photocatalyst (1.0 mol%), base (0.4 mmol, 2.0 equiv.). Then, the tube was evacuated and backfilled with nitrogen (three times). Subsequently, solvent (2.0 mL) was added by a syringe. The reaction mixture was stirred under the irradiation of a 10 W blue LED (λ = 460−470 nm; distance app. 1.0 cm from the bulb) for a specified time. After that, the resulting mixture was quenched with H_2O and extracted with EtOAc (3 x 10 mL). The combined organic phase was washed with brine (10 mL), dried over Na_2SO_4, and concentrated in vacuo. Purification of the crude product by flash chromatography on silica gel (petroleum ether/EtOAc: 5:1 to 3:1) furnishes the desired product **3a** as white solid.

3.2 Optimization of the *gem*-Difluoroallylation of 1a with *α*-CF_3 Alkene 2a

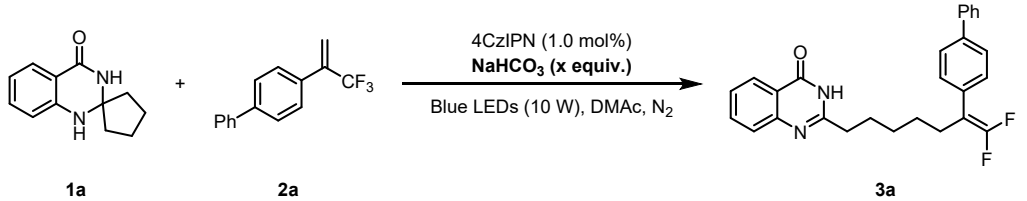
Base[a]



Entry	Base	Yield of **3a** (%)[a]
1	K_3PO_4	72
2	K_2CO_3	73
3	**$NaHCO_3$**	**74**
4	Na_2CO_3	70
5	TMG	71(14)[b]
6	TEA	Trace
7	DBU	25
8	Pyridine	50
9	2,4,6-Collidine	67
10	No Base	30

[a]Reaction conditions: **1a** (0.24 mmol, 1.2 equiv.), **2a** (0.20 mmol, 1.0 equiv.), 4CzIPN (1.0 mol%), Base (2.0 equiv.), DMAc (2.0 mL), irradiation with 10 W Blue LEDs at room temperature, under N_2, for 18 h. Yields of isolated. [b]NMR yield of **3a'** was given in parentheses.

Amount of Base[a]

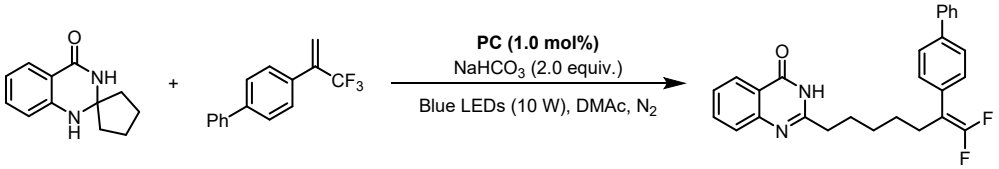


Entry	$NaHCO_3$ (x equiv.)	Yield of **3a** (%)[a]
1	1.0	41
2	1.5	66
3	**2.0**	**74**
4	2.5	62

[a]Reaction conditions: **1a** (0.24 mmol, 1.2 equiv.), **2a** (0.20 mmol, 1.0 equiv.), 4CzIPN (1.0 mol%), $NaHCO_3$ (x equiv.), DMAc

(2.0 mL), irradiation with 10 W Blue LEDs at room temperature, under N_2, for 18 h. Yields of isolated.

Photocatalyst (PC)[a]

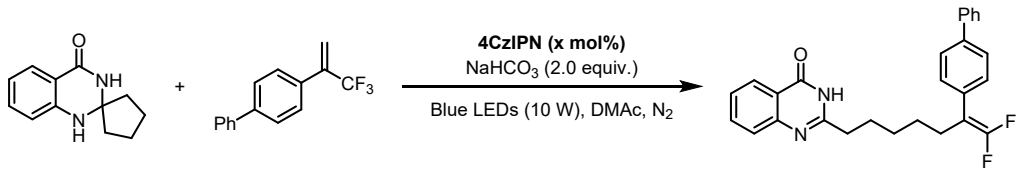


1a 2a 3a

Entry	PC	Yield of **3a**(%)[a]
1	**4CzIPN**	**74**
2	4CzTPN	38
3	$4C_ZPN$	56
4	Rhodamine B	Trace
5	Eosin Y	Trace
6	*fac*-Ir(ppy)$_3$	Trace
7	No PC	N.R

[a]Reaction conditions: **1a** (0.24 mmol, 1.2 equiv.), **2a** (0.20 mmol, 1.0 equiv.), PC (1.0 mol%), $NaHCO_3$ (2.0 equiv.), DMAc (2.0 mL), irradiation with 10 W Blue LEDs at room temperature, under N_2, for 18 h. Yields of isolated.

Amount of PC[a]

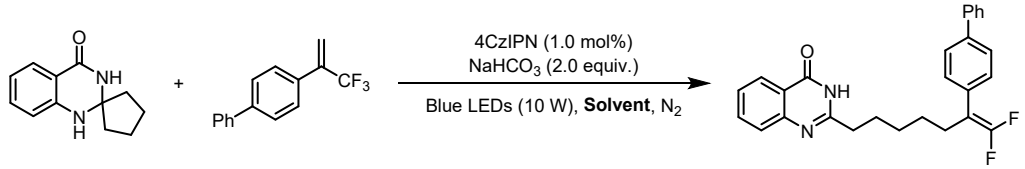


1a 2a 3a

Entry	4CzIPN (x mol %)	Yield of **3a**(%)[a]
1	0.5	58
2	**1**	**74**
3	1.5	74
4	2	74

[a]Reaction conditions: **1a** (0.24 mmol, 1.2 equiv.), **2a** (0.20 mmol, 1.0 equiv.), 4CzIPN (x mol%), $NaHCO_3$ (2.0 equiv.), DMAc (2.0 mL), irradiation with 10 W Blue LEDs at room temperature, under N_2, for 18 h. Yields of isolated.

Solvent[a]

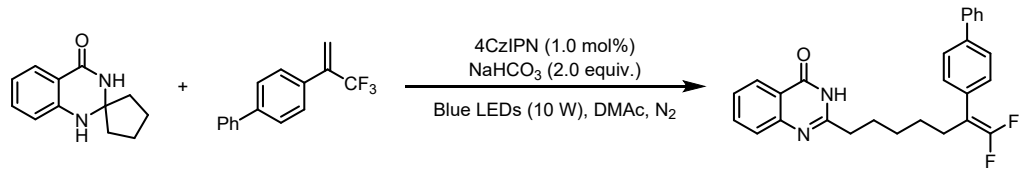


1a 2a 3a

Entry	Solvent	Yield of **3a** (%)[a]
1	**DMAc**	**74**
2	DMF	74
3	DMSO	55
4	NMP	20
5	MeCN	<10
6	MTBE	<10
7	DCE	trace

[a]Reaction conditions: **1a** (0.24 mmol, 1.2 equiv.), **2a** (0.20 mmol, 1.0 equiv.), 4CzIPN (1.0 mol%), $NaHCO_3$, (2.0 equiv.), Solvent (2.0 mL), irradiation with 10 W Blue LEDs at room temperature, under N_2, for 18 h. Yields of isolated.

Ratio of **1a**:**2a**[a]

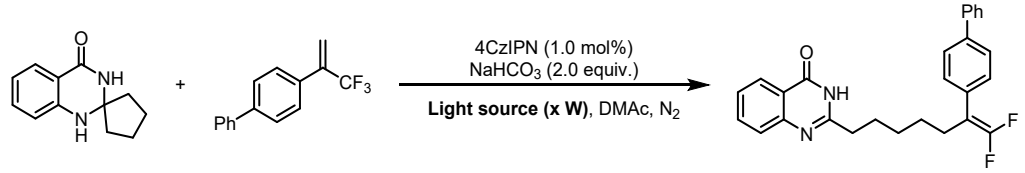


1a 2a 3a

Entry	**1a**:**2a**	Yield of **3a**(%)[a]
1	**1.2:1.0**	**74**
2	1.5:1.0	74
3	2.0:1.0	73
4	1:1.2	47
5	1:1.5	59
6	1:2.0	72

[a]Reaction conditions: **1a**:**2a** = x (0.20 mmol, 1.0 equiv.), 4CzIPN (1.0 mol%), $NaHCO_3$ (2.0 equiv.), DMAc (2.0 mL), irradiation with 10 W Blue LEDs at room temperature, under N_2, for 18 h. Yields of isolated.

Light source[a]

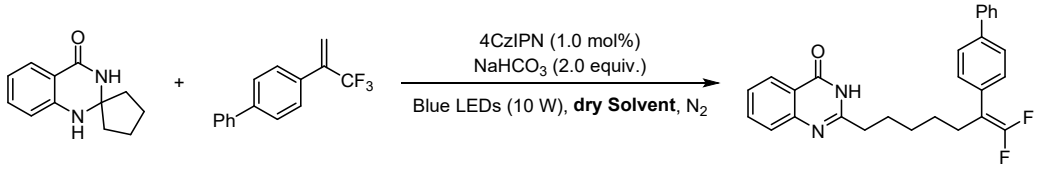


1a 2a 3a

Entry	Light Source	Yield of **3a**(%)[a]
1	5 W Blue LDEs	45
2	**10 W Blue LDEs**	**74**
3	30W Blue LDEs	69
4	Purple LEDs	29
5	White LDEs	Trace
6	-	N.R

[a]Reaction conditions: **1a** (0.24 mmol, 1.2 equiv.), **2a** (0.20 mmol, 1.0 equiv.), 4CzIPN (1.0 mol%), $NaHCO_3$ (2.0 equiv.), DMAc (2.0 mL), irradiation with x W LEDs at room temperature, under N_2, for 18 h. Yields of isolated.

Dry Solvent[a]



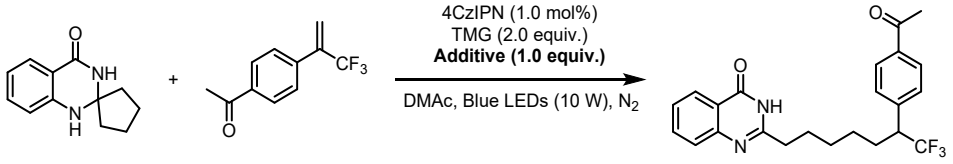
1a 2a 3a

Entry	Dry Solvent	Yield of **3-3a**(%)[a]
1	**Dry DMAc**	**80**
2	Dry DMF	77
3	Dry DMSO	60
4	Dry NMP	23

[a]Reaction conditions: **1a** (0.24 mmol, 1.2 equiv.), **2a** (0.20 mmol, 1.0 equiv.), 4CzIPN (1.0 mol%), $NaHCO_3$ (2.0 equiv.), dry solvent (2.0 mL), irradiation with 10 W Blue LEDs at room temperature, under N_2, for 18 h. Yields of isolated.

3.3 Optimization of the CF_3-Retained Alkylation 1a with α-CF_3 Alkene 2b

Additive[a]

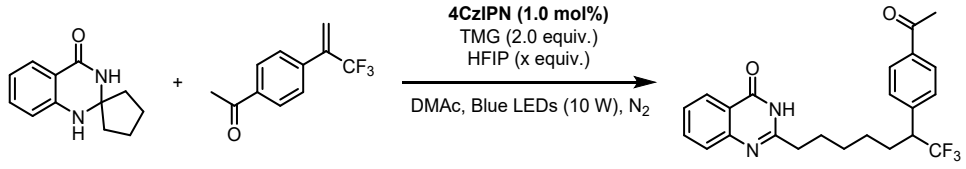


1a 2b 5a

Entry	Additive	Yield of **5a** (%)[a]
1	None	38
2	EtOH	44
3	MeOH	48
4	^{t}BuOH	50
5	IPA	44
6	EG	51
7	**HFIP**	**54**

[a]Reaction conditions: **1a** (0.24 mmol, 1.2 equiv.), **2b** (0.20 mmol, 1.0 equiv.), 4CzIPN (1.0 mol%), TMG (2.0 equiv.), Additive (2.0 equiv.), DMAc (2.0 mL), irradiation with 10 W Blue LEDs at room temperature, under N_2, for 18 h. The yield was determined by ^{19}F NMR with $PhOCF_3$ as an internal standard.

Amount of HFIP[a]

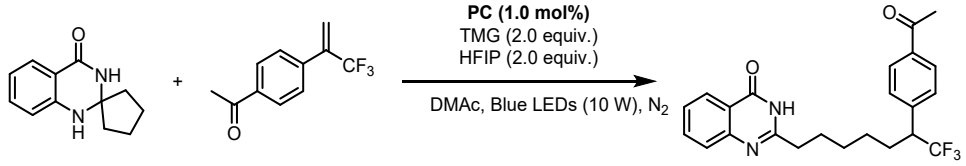


1a 2b 5a

Entry	HFIP (x equiv.)	Yield of **5a** (%)[a]
1	1.0	54
2	**2.0**	**58**
3	5.0	53
4	10.0	39

[a]Reaction conditions: **1a** (0.24 mmol, 1.2 equiv.), **2b** (0.20 mmol, 1.0 equiv.), 4CzIPN (1.0 mol%), TMG (2.0 equiv.), HFIP (x equiv.), DMAc (2.0 mL), irradiation with 10 W Blue LEDs at room temperature, under N_2, for 18 h. The yield was determined by ^{19}F NMR with $PhOCF_3$ as an internal standard.

Photocatalyst (PC)[a]

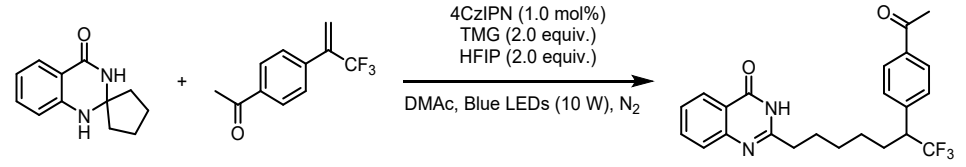


1a **2b** **5a**

Entry	Photocatalyst (PC)	Yield of **5a** (%)[a]
1	**4CzIPN**	**58**
2	4CzTPN	42
3	4CzPN	45
4	Fluorescein	Trace
5	Eosin Y	Trace

[a]Reaction conditions: **1a** (0.24 mmol, 1.2 equiv.), **2b** (0.20 mmol, 1.0 equiv.), PC (1.0 mol%), TMG (2.0 equiv.), HFIP (2.0 equiv.), DMAc (2.0 mL), irradiation with 10 W Blue LEDs at room temperature, under N_2, for 18 h. The yield was determined by ^{19}F NMR with $PhOCF_3$ as an internal standard.

Ratio of **1a**:**2b**[a]

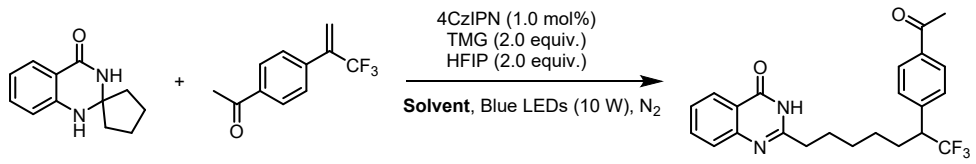


1a **2b** **5a**

Entry	**1a:2b**	Yield of **5a** (%)[a]
1	1.2:1.0	58
2	1.0:1.2	59
3	1.0:1.5	70
4	**1.0:2.0**	**74**

[a]Reaction conditions: **1a:2b** = x (0.20 mmol, 1.0 equiv.), 4CzIPN (1.0 mol%), TMG (2.0 equiv.), HFIP (2.0 equiv.), DMAc (2.0 mL), irradiation with 10 W Blue LEDs at room temperature, under N_2, for 18 h. The yield was determined by ^{19}F NMR with $PhOCF_3$ as an internal standard.

Solvent[a]

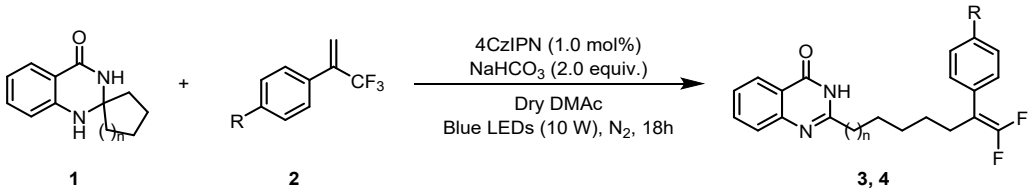


1a **2b** **5a**

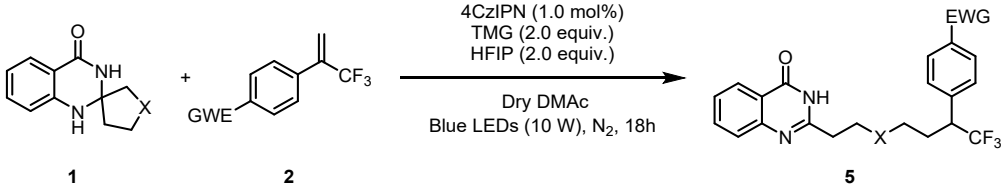
Entry	Solvent	Yield of **5a** (%)[a]
1	DMAc	74
2	**Dry DMAc**	**78 (74)[b]**
3	Dry DMF	72
4	Dry NMP	70
5	Dry DMSO	21

[a]Reaction conditions: **1a** (0.20 mmol, 1.0 equiv.), **2b** (0.40 mmol, 2.0 equiv.), 4CzIPN (1.0 mol%), TMG (2.0 equiv.), HFIP (2.0 equiv.), Solvent (2.0 mL), irradiation with 10 W Blue LEDs at room temperature, under N_2, for 18 h. The yield was determined by ^{19}F NMR with $PhOCF_3$ as an internal standard. [b]Isolated yield.

4. General Procedure for the Synthesis of 3, 4 and 5

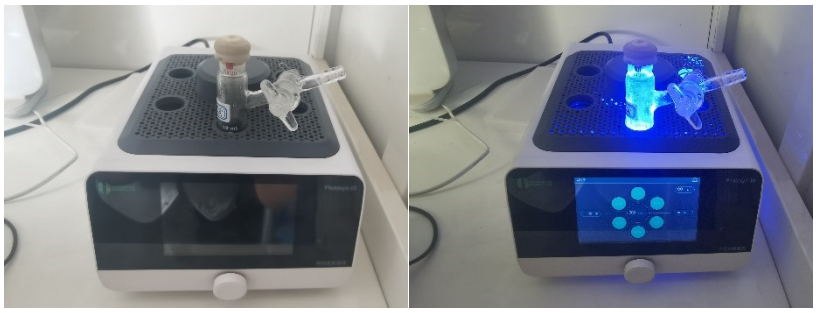


A 10 mL oven-dried Schlenk-tube equipped with a magnetic stirrer was charged with *spiro*-dihydroquinazolinones **1** (0.24 mmol, 1.2 equiv.), α-CF_3 alkenes **2** (0.2 mmol, 1.0 equiv.), 4CzIPN (1.577 mg, 1.0 mol%), $NaHCO_3$ (0.4 mmol, 2.0 equiv.). Then, the tube was evacuated and backfilled with nitrogen (three times). Subsequently, dry DMAc (2.0 mL) was added by a syringe. The reaction mixture was stirred under the irradiation of a 10 W Blue LED (λ = 460-470 nm; distance app. 1.0 cm from the bulb) for a specified time. After that, the resulting mixture was quenched with H_2O and extracted with EtOAc (3 × 10 mL). The combined organic extracts were dried (Na_2SO_4) and concentrated under reduced pressure. The crude product was purified by flash column chromatography (petroleum ether/EtOAc = 5:1 to 3:1) on silica gel to afford compound **3**, **4**.

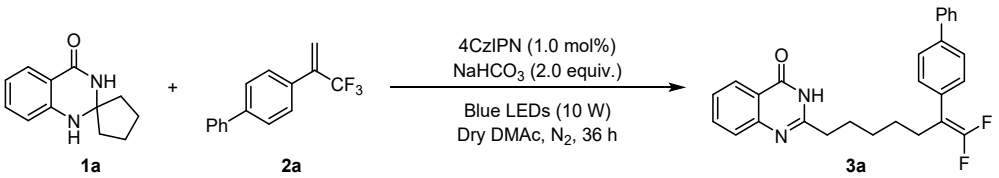


A 10 mL oven-dried Schlenk-tube equipped with a magnetic stirrer was charged with *spiro*-dihydroquinazolinones **1** (0.24 mmol, 1.2 equiv.), α-CF_3 alkenes **2** (0.2 mmol, 1.0 equiv.), 4CzIPN (1.577 mg, 1.0 mol%) Then, the tube was evacuated and backfilled with nitrogen (three times). Subsequently, a solution of TMG (0.40 mmol, 2.0 equiv.) and HFIP (0.2 mmol, 1.0 equiv.) in dry DMAc (2.0 mL) was added by a syringe. The reaction mixture was stirred under the irradiation of a 10 W blue LED (λ = 460-470 nm; distance app. 1.0 cm from the bulb) for a specified time. After that, the resulting mixture was quenched with H_2O and extracted with EtOAc (3 × 10 mL). The combined organic extracts were dried (Na_2SO_4) and concentrated under reduced pressure. The crude product was purified by flash column chromatography (petroleum ether/EtOAc = 5:1 to 3:1) on silica gel to afford compound **5**.

The Visible-Light Photoredox Catalysis Experimental Setup (photographed by author Li-Na Guo)



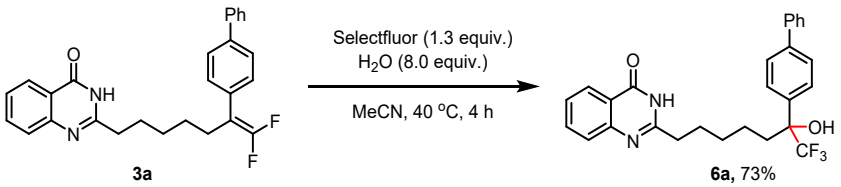
5. Scale-up Reaction



A 50 mL oven-dried Schlenk-tube equipped with a magnetic stirrer was charged with *spiro* dihydroquinazolinone **1a** (2.4 mmol, 1.2 equiv.), α-CF_3 alkenes **2a** (2.0 mmol, 1.0 equiv.), 4CzIPN (15.77 mg, 1.0 mol%), $NaHCO_3$ (4.0 mmol, 2.0 equiv.). Then, the tube was evacuated and backfilled with nitrogen (three times). Subsequently, dry DMAc (20 mL) was added by a syringe. The reaction mixture was stirred under the irradiation of a 10 W blue LED (λ = 460-470 nm; distance app. 1.0 cm from the bulb) for 36 h. After that, the resulting mixture was quenched with H_2O and extracted with EtOAc (3 × 20 mL). The combined organic extracts were dried (Na_2SO_4) and concentrated under reduced pressure. The crude product was purified by flash column chromatography (petroleum ether/EtOAc = 5:1 to 3:1) on silica gel to afford compound **3a** in 71% yield.

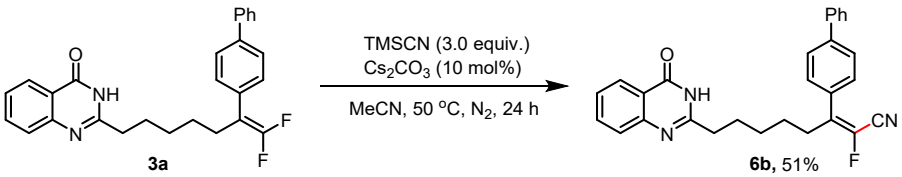
6 Derivatizations of the Product 3a

6.1 Synthesis of the Compound 6a[2]



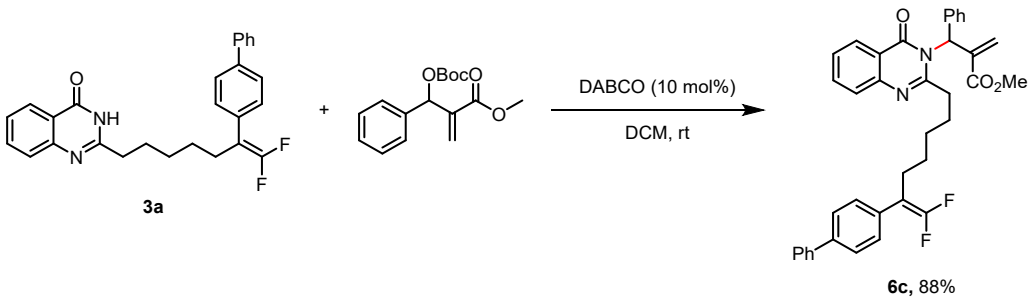
A 10 mL oven-dried Schlenk-tube equipped with a magnetic stirrer was charged with **3a** (0.2 mmol, 1.0 equiv.) and Selectfluor (2.6 mmol, 1.3 equiv.). Then, the tube was evacuated and backfilled with nitrogen (three times). Subsequently, a solution of H_2O (1.6 mmol, 8.0 equiv.) in MeCN (2.0 mL) was added by a syringe. The reaction mixture was stirred at 40 °C for 4 h. The solvent was removed under reduced pressure. The crude product was purified by flash column chromatography (petroleum ether/EtOAc = 5:1) on silica gel to afford compound **6a** in 73% yield.

6.2 Synthesis of the Compound 6b[3]



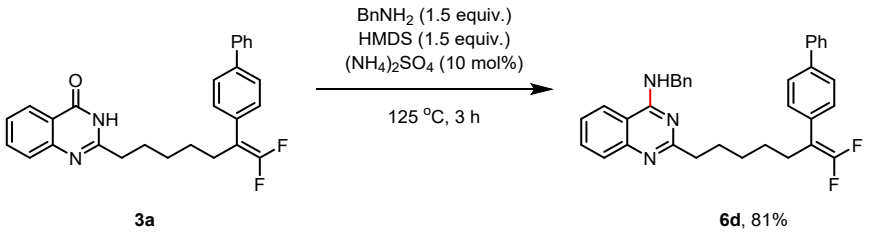
A 10 mL oven-dried Schlenk-tube equipped with a magnetic stirrer was charged with **3a** (0.2 mmol, 1.0 equiv.) and Cs_2CO_3 (0.02 mmol, 0.1 equiv.). Then, the tube was evacuated and backfilled with nitrogen (three times). Subsequently, a solution of TMSCN (0.6 mmol, 3.0 equiv.) in MeCN (2.0 mL) was added by a syringe. The reaction mixture was stirred at 50 °C for 24h. The solvent was removed under reduced pressure. The crude product was purified by flash column chromatography (petroleum ether/EtOAc = 5:1) on silica gel to afford compound **6b** in 51% yield.

6.3 Synthesis of the Compound 6c[4]



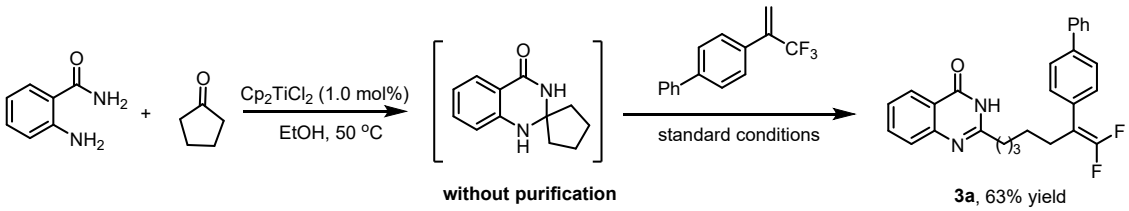
In an oven-dried sealed tube equipped with a Teflon-coated stirring bar, **3a** (0.2 mmol, 1.0 equiv.), Morita−Baylis−Hillman carbonate (0.2mmol, 1.2 equiv.) and DABCO (0.02 mmol, 0.1 equiv.) were dissolved in DCM (2.0 mL). The resulting solution was stirred at room temperature until the reaction was completed as indicated by TLC. The solvent was removed under reduced pressure. The crude product was purified by flash column chromatography (petroleum ether/EtOAc = 5:1) on silica gel to afford compound **6c** in 88% yield.

6.4 Synthesis of the Compound 6d[5]



In an oven-dried sealed tube equipped with a Teflon-coated stirring bar, **3a** (86.1mg, 0.2 mmol, 1.0 equiv.), $BnNH_2$ (0.3 mmol, 1.5 equiv.), HMDS (0.3 mmol, 1.5 equv.) and $(NH_4)_2SO_4$ (0.02 mmol, 0.1 equiv.). The resulting solution was stirred at 125 °C for 3 h. The solvent was removed under reduced pressure. The crude product was purified by flash column chromatography (petroleum ether/EtOAc = 7:1) on silica gel to afford compound **6d** in 81% yield.

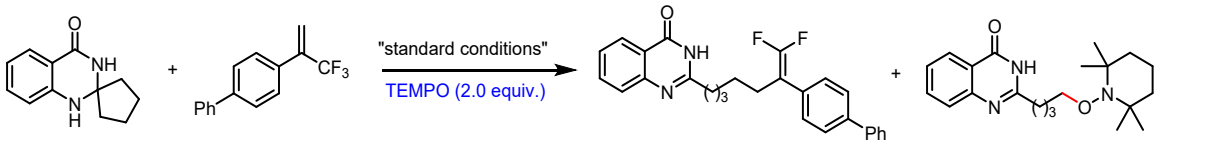
7. Two-Step Telescoping Procedure for the Formation of 3a



A 10 mL oven-dried Schlenk-tube equipped with a magnetic stirrer was charged with 2-aminobenzamide (0.4 mmol, 1.0 equiv.), and cyclopentanone (0.44 mmol, 1.1 equiv.). Then a solution of Cp_2TiCl_2 (1.0 mol%) in EtOH (2.0 mL) was added. The reaction mixture was stirred at 50 °C until the reaction was completed as indicated by TLC. The solvent was removed under reduced pressure. Then *a*-CF_3 alkene **2a** (0.2 mmol, 1.0 equiv.), 4CzIPN (1.0 mol%) and $NaHCO_3$ (0.4 mmol, 2.0 equiv.) were added. Then, the tube was evacuated and backfilled with nitrogen for three times. Subsequently, dry DMAc (2.0 mL) was added by a syringe. The reaction mixture was then irradiated with 10 W blue LEDs at room temperature for 18 h. The reaction mixture was quenched with brine (10 mL) and extracted with EtOAc (3 × 5 mL). Then the combined organic layers were dried (Na_2SO_4) and concentrated under reduced pressure. The crude product was purified by flash column chromatography (petroleum ether/EtOAc =3:1) on silica gel to afford compound **3a** in 63% yield.

8 Mechanistic Investigation

8.1 TEMPO-Trapping Experiment



A 10 mL oven-dried Schlenk-tube equipped with a magnetic stirrer was charged with spiro-dihydroquinazolinone **1a** (0.24 mmol, 1.2 equiv.), *α*-CF_3 alkene **2a** (0.20 mmol, 1.0 equiv.), 4CzIPN (1.0 mol%), $NaHCO_3$ (0.4 mmol, 2.0 equiv.) and TEMPO (0.4 mmol, 2.0 equiv.). Then, the tube was evacuated and backfilled with nitrogen (three times). Subsequently, dry DMAc (2.0 mL) was added by a syringe. The reaction mixture was stirred under the irradiation of a 10 W blue LED (λ = 460−470 nm; distance app. 1.0 cm from the bulb) for 18

h. After that, only a trace amount of **3a** was observed, along with the TEMPO adduct **7a** detected by HRMS. This result indicated that a radical pathway might be involved in this transformation.

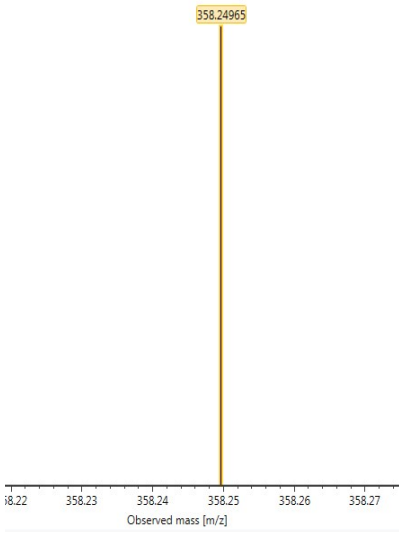
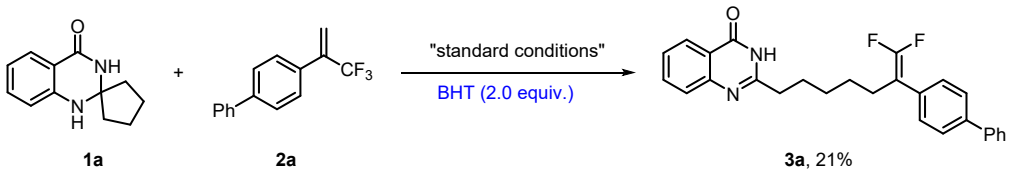


Figure S1. TEMPO adduct **7a** detected by HRMS

8.2 BHT-Inhibiting Experiment



1a **2a** **3a**, 21%

A 10 mL oven-dried Schlenk-tube equipped with a magnetic stirrer was charged with spiro-dihydroquinazolinone **1a** (0.24 mmol, 1.2 equiv.), α-CF_3 alkene **2a** (0.20 mmol, 1.0 equiv.), 4CzIPN (1.0 mol%), $NaHCO_3$ (0.4 mmol, 2.0 equiv.) and BHT (0.4 mmol, 2.0 equiv.). Then, the tube was evacuated and backfilled with nitrogen (three times). Subsequently, dry DMAc (2.0 mL) was added by a syringe. The reaction mixture was stirred under the irradiation of a 10 W blue LED (λ = 460−470 nm; distance app. 1.0 cm from the bulb) for 18 h. The reaction mixture was quenched with brine (10 mL) and extracted with EtOAc (3×5 mL). The combined organic layers were dried (Na_2SO_4) and concentrated under reduced pressure. The crude product was purified by flash column chromatography (petroleum ether/EtOAc =3:1) on silica gel to afford compound **3a** with yield of 21%. This result indicated that a radical pathway might be involved in this transformation.

8.3 Radical Clock Experiment

A 10 mL oven-dried Schlenk-tube equipped with a magnetic stirrer was charged with *spiro*-dihydroquinazolinone **1a** (0.24 mmol, 1.2 equiv.), α-CF_3 alkene **2a** (0.20 mmol, 1.0 equiv.), 4CzIPN (1.0 mol%) and $NaHCO_3$ (0.4 mmol, 2.0 equiv.). Then, the tube was evacuated and backfilled with nitrogen (three times). Subsequently, a solution of α-cyclopropylstyrene (0.4 mmol, 2.0 equiv.) in dry DMAc (2.0 mL) was added by a syringe. The reaction mixture was stirred under the irradiation of a 10 W blue LED (λ = 460−470 nm; distance app. 1.0 cm from the bulb) for 18 h. The reaction mixture was quenched with brine (10 mL) and extracted with EtOAc (3×5 mL). The combined organic layers were dried (Na_2SO_4) and concentrated under reduced pressure. The crude product was purified by flash column chromatography (petroleum ether/EtOAc =3:1) on silica gel to afford compound **3a** in 53% yield along with **8a** in 12% yield. This result indicated that a radical pathway might be involved in this transformation.

8.4 Stern-Volmer Fluorescence Quenching Experiments

To a solution of 4CzIPN in anhydrous, N_2-saturated dry DMAc (5×10^{-4} mol/L) in a quartz cuvette, different amounts of *spiro*-dihydroquinazolinones **1a** and α-CF_3 alkenes **2a** were added, respectively, and the resulting changes in fluorescence intensity (concentration of **1a** and **2a**: 2×10^{-4} mol/L, 4×10^{-4} mol/L, 6×10^{-4} mol/L, 8×10^{-4}, 1×10^{-3} mol/L were collected. The emission intensity at 534 nm was collected with excited wavelength of 450 nm. The results are shown in **Figure S2** and **S3**

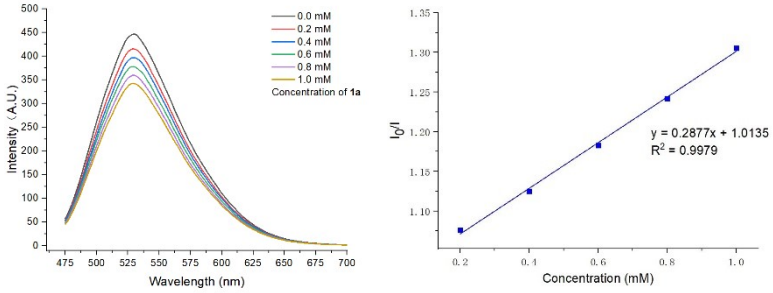


Figure S2. (a) The fluorescence emission spectra of 4CzIPN with different concentration of **1a** added. (b) The Stern–Volmer emission quenching studies of **1a**. $\mathbf{I_0}$ is the inherent fluorescence intensity of 4CzIPN. **I** is the fluorescence intensity of 4CzIPN in the presence of **1a**.

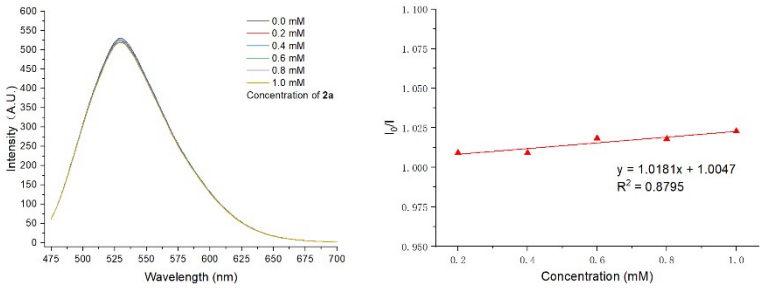


Figure S3. (a) The fluorescence emission spectra of 4CzIPN with different concentration of **2a** added. (b) The Stern–Volmer emission quenching studies of **2a**. $\mathbf{I_0}$ is the inherent fluorescence intensity of 4CzIPN. **I** is the fluorescence intensity of 4CzIPN in the presence of **2a**. Thus, Stern−Volmer fluorescence quenching studies indicated that the **1a** interacts with the excited state of 4CzIPN rather than **2a**.

8.5 Light On-Off Experiments

To further examine the impact of light, we conducted experiments under alternating periods of irradiation and darkness. The yield of **3a** was determined by crude ^{19}F NMR spectra

using $PhOCF_3$ as an internal standard. The results are shown in **Figure S4**.

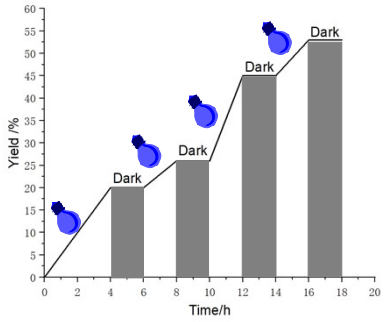
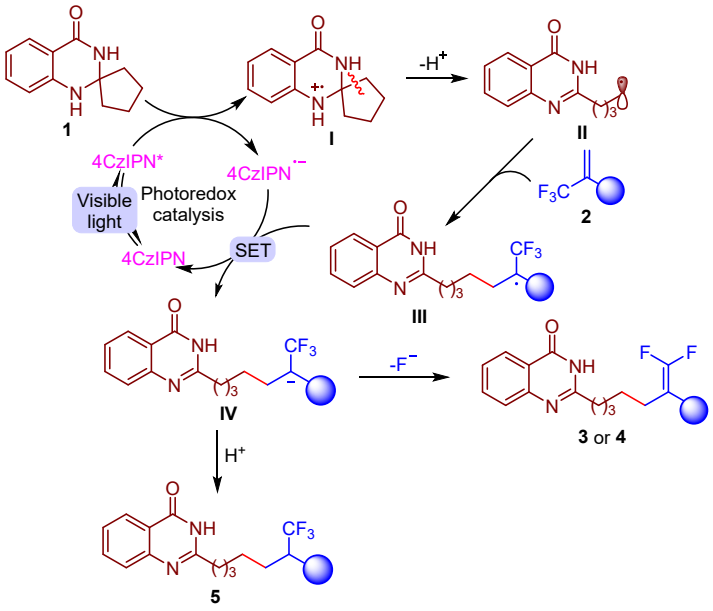


Figure S3. Light On-Off Experiments

The results of light on-off experiments indicated that the reaction proceeded only under the irradiation of light. Thus, the reaction maybe proceed via a catalytic process rather than a radical chain process.

8.6 Proposed Mechanism

Based on the above results and the literature[6], a possible mechanism is proposed (Scheme 7). Under visible light irradiation, 4CzIPN is irradiated to the excited state 4CzIPN*, which undergoes a single electron transfer (SET) event with dihydroquinazolinone **1** to give the amine radical cation **I** and 4CzIPN$^{\bullet-}$. Intermediate **I** then undergoes deprotonation followed by aromatization-driven C-C bond cleavage to give the alkyl radical intermediate **II**. The radical **II** is then added to the α-CF_3 alkene **2** to give the CF_3-containing benzyl radical III. Intermediate III is then reduced by the 4CzIPN$^{\bullet-}$ species to form the carbanion **IV** and regenerate the 4CzIPN. Finally, β-fluoride elimination of the intermediate **IV** yields the *gem*-difluoroallylated product **3** or **4**. Alternatively, the carbanion **IV** can be protonated to give the CF_3-containing product **5**. The chemoselectivity is related to the stability of the carbanion **IV.** The more stable the carbanion **IV**, the easier the protonation process.



9. References

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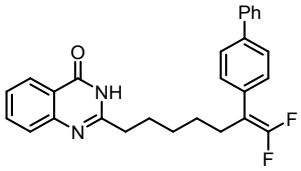
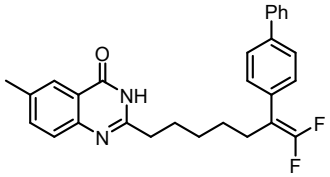
5. Z.-L. Shen, X.-F. He, Y.-M. Hong, X.-Q. Hu, W.-M. Mo, B.-X. Hu and N. Sun, *Synth. Commun.*, 2011, **41**, 3644.
6. (a) F. Yue, J. Liu, H. Ma, Y. Liu, J. Dong and Q. Wang, *Org. Lett.*, 2022, **24**, 4019; (b) Z.-H. Yuan, H. Xin, L. Zhang, P. Gao, X. Yang, X.-H. Duan and L.-N. Guo, *Green Chem.*, 2023, **25**, 6733.

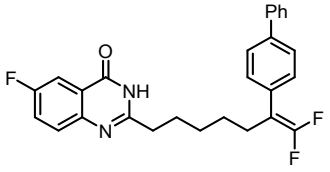
10. Characterization Date of Products



2-(6-([1,1'-Biphenyl]-4-yl)-7,7-difluorohept-6-en-1-yl)quinazolin-4(3*H*)-one (3a): White solid (80%, 68.8 mg); m.p.: 164-165 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.16 (s, 1H), 8.27 (d, *J* = 7.2 Hz, 1H), 7.78-7.71 (m, 2H), 7.59-7.54 (m, 4H), 7.46-7.41 (m, 3H), 7.37-7.33 (m, 3H), 2.80 (t, *J* = 7.6 Hz, 2H), 2.49-2.44 (m, 2H), 1.94-1.86 (m, 2H), 1.53-1.50 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.4, 156.9, 153.6 (dd, *J* = 289.1, 285.7 Hz), 149.1, 140.5, 140.0, 134.9, 132.5, 128.8, 128.5 (t, *J* = 3.7 Hz), 127.3, 127.1, 126.97, 126.96, 126.5, 126.1, 120.3, 91.9 (dd, *J* = 21.7, 13.4 Hz), 35.6, 28.5, 27.4, 27.3, 27.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.91 (d, *J* = 43.24 Hz), -91.08 (d, *J* = 43.62 Hz) ppm; HRMS (ESI) calcd for $C_{27}H_{25}F_2N_2O$ $[M+H]^+$ 431.1930, found 431.1928.

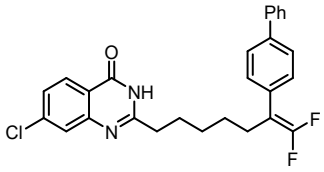


2-(6-([1,1'-Biphenyl]-4-yl)-7,7-difluorohept-6-en-1-yl)-6-methylquinazolin-4(3*H*)-one (3b): White solid (75%, 66.6 mg); m.p.: 183-184 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.14 (s, 1H), 8.08-8.06 (m, 1H), 7.62-7.53 (m, 6H), 7.43 (t, *J* = 7.2 Hz, 2H), 7.37-7.32 (m, 3H), 2.78 (t, *J* = 7.6 Hz, 2H), 2.48-2.44 (m, 5H), 1.93-1.86 (m, 2H), 1.54-1.49 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.2, 155.7, 150.8 (dd, *J* = 288.2, 284.6 Hz), 147.4, 140.5, 140.0, 136.5, 136.3, 132.5, 128.8, 128.5 (t, *J* = 2.6 Hz), 127.3, 127.1, 127.00, 126.97, 125.5, 120.2, 91.9 (dd, *J* = 20.3, 14.4 Hz), 35.7, 28.5, 27.4, 27.3, 27.1, 21.2; ^{19}F NMR (376 MHz, $CDCl_3$): δ = -90.93 (d, *J* = 43.62 Hz), -91.09 (d, *J* = 43.24 Hz) ppm; HRMS (ESI) calcd for $C_{28}H_{27}F_2N_2O$ $[M+H]^+$ 445.2086, found 445.2092.

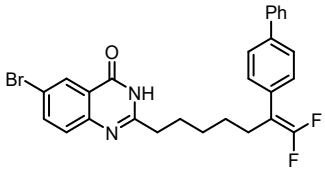


2-(6-([1,1'-Biphenyl]-4-yl)-7,7-difluorohept-6-en-1-yl)-6-fluoroquinazolin-4(3*H*)-one (3c): White solid (77%, 69.0 mg); m.p.: 164-165 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 12.28 (s, 1H), 7.75-7.72 (m, 1H), 7.68-7.62 (m, 6H), 7.48-

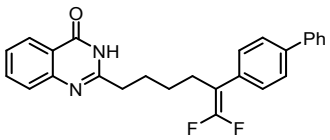
7.45 (m, 2H), 7.42-7.35 (m, 3H), 2.55 (t, *J* = 7.2 Hz, 2H), 2.45-2.41 (m, 2H), 1.72-1.65 (m, 2H), 1.38-1.31 (m, 4H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 161.3 (d, *J* = 3.6Hz), 160.9, 158.5, 156.9, 153.0 (dd, *J* = 288.2, 285.8 Hz), 145.9, 139.4 (d, *J* = 26.9 Hz), 132.0 (t, *J* = 2.3 Hz),129.6 (d, *J* = 8.0 Hz), 129.1, 128.7 (t, *J* = 2.5 Hz), 127.7, 126.9, 126.7, 122.8 (d, *J* = 23.8 Hz), 122.0 (d, *J* = 8.3 Hz), 110.3 (d, *J* = 23.1 Hz), 92.4 (dd, *J* = 20.3, 13.1 Hz), 34.3, 27.7, 26.9, 26.7, 26.4; ^{19}F NMR (376 MHz, DMSO-d_6) δ = -90.93 (d, *J* = 43.62 Hz), -91.09 (d, *J* = 43.62 Hz), -113.05--113.11 (m) ppm; HRMS (ESI) calcd for $C_{27}H_{24}F_2N_2O$ $[M+H]^+$ 449.1835, found 448.1849.



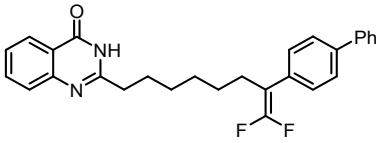
2-(6-([1,1'-Biphenyl]-4-yl)-7,7-difluorohept-6-en-1-yl)-7-chloroquinazolin-4(3*H*)-one (3d): White solid (70%, 65.0 mg); m.p.: 164.3-164.9 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 12.29 (s, 1H), 8.06-8.03 (m, 1H), 7.66-7.59 (m, 6H), 7.48-7.44 (m, 3H), 7.40-7.35 (m, 2H), 2.55 (t, *J* = 8.4 Hz, 2H), 2.44-2.39 (m, 2H), 1.74-1.62 (m, 2H), 1.39-1.29 (m, 4H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 161.3, 159.2, 155.8 (dd, *J* = 283.5, 280.8 Hz), 150.2, 139.6, 139.3, 139.0, 132.0, 129.1, 128.7 (t, *J* = 2.7 Hz), 127.9, 127.7, 126.9, 126.7, 126.3, 126.0, 119.7, 92.4 (dd, *J* = 20.3, 12.5 Hz), 34.4, 27.7, 26.9, 26.7, 26.4; ^{19}F NMR (376 MHz, DMSO-d_6): δ = -91.69 (d, *J* = 45.50 Hz), -91.89 (d, *J* = 45.50 Hz) ppm; HRMS (ESI) calcd for $C_{27}H_{24}ClF_2N_2O$ $[M+H]^+$ 465.1540, found 465.1549.



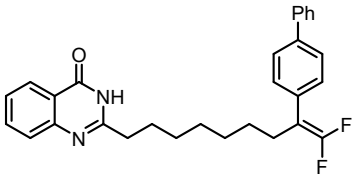
2-(6-([1,1'-Biphenyl]-4-yl)-7,7-difluorohept-6-en-1-yl)-6-bromoquinazolin-4(3*H*)-one (3e): White solid (62%, 63.0 mg); m.p.: 109-110 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:2); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 12.34 (s, 1H), 8.14-8.12 (m, 1H), 7.89-7.86 (m, 1H), 7.67-7.62 (m, 4H), 7.53-7.45 (m, 4H), 7.41-7.38 (m, 2H), 2.55 (t, *J* = 6.4 Hz, 2H), 2.44-2.40 (m, 2H), 1.70-1.64 (m, 2H), 1.36-1.30 (m, 4H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 160.8, 158.3, 153.0 (dd, *J* = 287.1, 284.9 Hz,), 148.0, 139.6, 139.3, 137.2, 132.0, 129.3, 129.1, 128.7 (t, *J* = 3.3 Hz), 127.9, 127.7, 126.9, 126.7, 122.5, 118.3, 92.4 (dd, *J* = 20.1, 12.8 Hz), 34.5, 27.7, 26.9, 26.7, 26.4; ^{19}F NMR (376 MHz, DMSO-d_6): δ = -90.88 (d, *J* = 43.24 Hz), -90.53 (d, *J* = 43.24 Hz) ppm; HRMS (ESI) calcd for $C_{27}H_{24}BrF_2N_2O$ $[M+H]^+$ 509.1035, found 509.1040.



2-(5-([1,1'-Biphenyl]-4-yl)-6,6-difluorohex-5-en-1-yl)quinazolin-4(3*H*)-one (3f): White solid (78%, 64.9 mg); m.p.: 162-163 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:2); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.14 (s, 1H), 8.25 (d, *J* = 8.0 Hz, 1H), 7.76-7.68 (m, 2H), 7.57-7.52 (m, 4H), 7.46-7.33 (m, 6H), 2.80 (t, *J* = 7.6 Hz, 2H), 2.56-2.51 (m, 2H), 1.99-1.91 (m, 2H), 1.63-1.55 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.5, 156.7, 153.8 (dd, *J* = 284.0, 280.7 Hz), 149.4, 140.6, 140.1, 135.0, 132.5, 128.9, 128.6 (t, *J* = 3.1 Hz), 127.5, 127.24, 127.20, 127.1, 126.6, 126.3, 120.5, 91.8 (dd, *J* = 20.1, 14.3 Hz), 35.5, 27.4, 27.3, 26.9; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.60 (d, *J* = 42.86 Hz), -90.74 (d, *J* = 42.86 Hz) ppm; HRMS (ESI) calcd for $C_{26}H_{23}F_2N_2O$ $[M+H]^+$ 417.1773, found 417.1783.

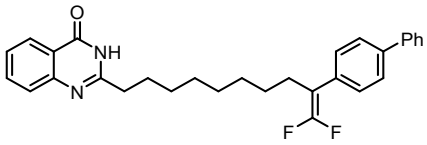


2-(7-([1,1'-Biphenyl]-4-yl)-8,8-difluorooct-7-en-1-yl)quinazolin-4(3*H*)-one (3g): White solid (42%, 37.3 mg); m.p.: 189-190 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.23 (s, 1H), 8.29 (d, *J* = 7.6 Hz, 1H), 7.78-7.70 (m, 3H), 7.59-7.55 (m, 4H), 7.47-7.42 (m, 3H), 7.37-7.35 (m, 2H), 2.79 (t, *J* = 7.6 Hz, 2H), 2.49-2.40 (m, 2H), 1.94-1.85 (m, 2H), 1.50-1.41 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.5, 156.9, 153.6 (dd, *J* = 288.1, 286.2 Hz), 149.5, 140.5, 139.9, 134.8, 132.6, 128.7, 128.5 (t, *J* = 3.6 Hz), 127.3, 127.2, 127.0, 126.9, 126.3, 126.1, 120.4, 92.0 (dd, *J* = 20.0, 14.2 Hz), 35.8, 28.8, 28.6, 27.5, 27.4; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -91.91 (d, *J* = 44.74 Hz), -92.05 (d, *J* = 43.24 Hz) ppm; HRMS (ESI) calcd for $C_{28}H_{27}F_2N_2O$ $[M+H]^+$ 445.2086, found 445.2098.

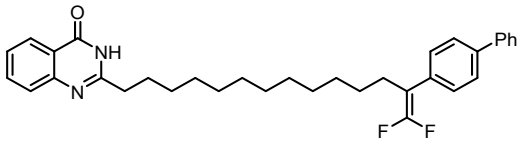


2-(8-([1,1'-Biphenyl]-4-yl)-9,9-difluorononon-8-en-1-yl)quinazolin-4(3*H*)-one (3h): White solid (44%, 40.3 mg); m.p.: 134-135 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.17 (s, 1H), 8.28 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.77-7.69 (m, 2H), 7.61-7.57 (m, 4H), 7.46-7.41 (m, 3H), 7.38-7.33 (m, 3H), 2.80 (t, *J* = 8.0 Hz, 2H), 2.44-2.39 (m, 2H), 1.92-1.85 (m, 2H), 1.49-1.33 (m, 8H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.5, 157.0, 153.7 (dd, *J* = 296.0, 282.1 Hz), 149.5, 140.5, 139.9, 134.8, 132.7, 128.8, 128.5 (t, *J* = 2.4 Hz), 127.3, 127.2, 127.03, 126.95, 126.3, 126.1, 120.4, 92.1 (dd, *J* = 21.9, 12.7 Hz), 35.8, 29.1, 28.9, 28.8,

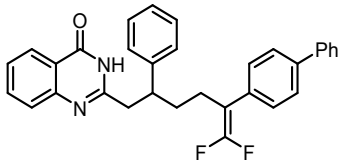
27.7, 27.44, 27.40; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.04 (d, *J* = 44.74 Hz), -91.22 (d, *J* = 43.24 Hz) ppm; HRMS (ESI) calcd for $C_{29}H_{29}F_2N_2O$ $[M+H]^+$ 459.2243, found 459.2245



2-(9-([1,1'-Biphenyl]-4-yl)-10,10-difluorodec-9-en-1-yl)quinazolin-4(3*H*)-one (3i): White solid (58%, 54.8 mg); m.p.: 134-135 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.02 (s, 1H), 8.28 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.78-7.70 (m, 2H), 7.60-7.56 (m, 4H), 7.47-7.41 (m, 3H), 7.38-7.32 (m, 3H), 2.79 (t, *J* = 7.6 Hz, 2H), 2.42-2.39 (m, 2H), 1.91-1.84 (m, 2H), 1.47-1.30 (m, 10H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.5, 157.0, 153.6 (dd, *J* = 285.4, 279.9 Hz), 149.5, 140.5, 139.9, 134.8, 132.7, 128.7, 128.5 (t, *J* = 3.1 Hz), 127.3, 127.2, 127.0, 126.9, 126.3, 126.1, 120.4, 92.1 (dd, *J* = 20.9, 13.3 Hz), 35.9, 29.14, 29.12, 29.0, 28.9, 27.7, 27.5, 27.4; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -91.12 (d, *J* = 43.62 Hz), -91.3 (d, *J* = 43.24 Hz) ppm; HRMS (ESI) calcd for $C_{30}H_{31}F_2N_2O$ $[M+H]^+$ 473.2399, found 473.2398.

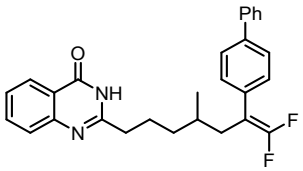


2-(13-([1,1'-Biphenyl]-4-yl)-14,14-difluorotetradec-13-en-1-yl)quinazolin-4(3*H*)-one (3j): White solid (57%, 60.2 mg); m.p.: 181-182 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.29 (s, 1H), 8.30 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.79-7.71 (m, 2H), 7.62-7.58 (m, 4H), 7.48-7.38 (m, 5H), 7.37-7.33 (m, 1H), 2.82 (t, *J* = 7.6 Hz, 2H), 2.45-2.40 (m, 2H), 1.94-1.86 (m, 2H), 1.51-1.44 (m, 2H), 1.41-1.36 (m, 4H), 1.31-1.20 (m, 12H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.5, 157.1, 153.6 (dd, *J* = 289.5, 286.3Hz), 149.5, 140.5, 139.8, 134.7, 132.8, 128.7, 128.5 (t, *J* = 2.9 Hz), 127.3, 127.1, 127.0, 126.9, 126.2, 126.1, 120.4, 92.1 (dd, *J* = 20.1, 13.8 Hz), 35.9, 29.5, 29.4, 29.2, 29.0, 27.7, 27.6, 27.4; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -91.29 (d, *J* = 40.61 Hz), -91.70 (d, *J* = 39.10 Hz) ppm; HRMS (ESI) calcd for $C_{35}H_{39}F_2N_2O$ $[M+H]^+$ 529.3025, found 529.3048.

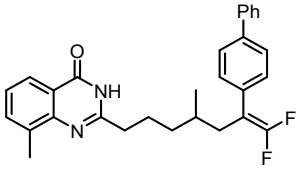


2-(5-([1,1'-Biphenyl]-4-yl)-6,6-difluoro-2-phenylhex-5-en-1-yl)quinazolin-4(3*H*)-one (3k): White solid (79%, 77.8 mg); m.p.: 149-150 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.16 (s, 1H), 8.24 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.75-7.68 (m, 2H), 7.53-7.51 (m, 2H) , 7.45-7.41 (m, 5H), 7.40-7.31 (m, 2H), 7.30-7.26 (m, 3H), 7.25-7.18 (m, 3H), 3.46-3.38 (m, 1H), 3.07-2.97 (m, 2H), 2.39-2.30 (m, 2H), 1.93-1.87 (m, 2H); ^{13}C NMR

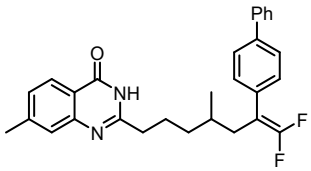
(100 MHz, $CDCl_3$): δ = 164.2, 155.0, 153.4 (dd, *J* =288.5, 285.4 Hz), 149.2, 142.7, 140.4, 139.8, 134.7, 132.1 (t, *J* =3.4 Hz), 128.7, 128.6, 128.4, (t, *J* = 2.5 Hz), 127.7, 127.3, 127.2, 126.94, 126.92, 126.85, 126.4, 126.1, 120.3, 91.5 (dd, *J* = 20.9, 12.7 Hz), 43.7, 43.3, 33.0, 25.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.19 (d, *J* = 41.36 Hz), -90.59 (d, *J* = 42.86 Hz) ppm; HRMS (ESI) calcd for $C_{32}H_{27}F_2N_2O$ $[M+H]^+$ 493.2086, found 493.2088



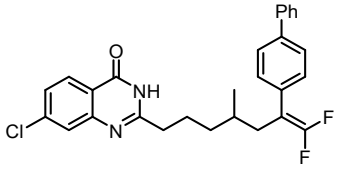
2-(6-([1,1'-Biphenyl]-4-yl)-7,7-difluoro-4-methylhept-6-en-1-yl)quinazolin-4(3*H*)-one (3l): White solid (76%, 67.5 mg); m.p.:109-110 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^1H NMR (400 MHz, $CDCl_3$): δ = 12.66 (s, 1H), 8.32 (d, *J* = 8.4 Hz, 1H), 7.79-7.73 (m, 2H), 7.59-7.55 (m, 4H), 7.48-7.33 (m, 6H), 2.81 (t, *J* = 7.2 Hz, 2H), 2.55-2.49 (m, 1H), 2.34-2.28 (m, 1H), 2.06-1.86 (m, 2H), 1.65-1.55 (m, 2H), 1.44-1.34 (m, 1H), 0.97 (d, *J* = 6.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.7, 156.9, 154.0 (dd, *J* = 282.9, 279.3 Hz), 149.4, 140.3, 139.8, 134.7, 132.6 (t, *J* = 3.6 Hz), 128.7, 128.5 (t, *J* = 3.3 Hz), 127.2, 127.1, 127.0, 126.8, 126.2, 126.0, 120.3, 91.0 (dd, *J* = 21.8, 13.0 Hz), 35.91, 35.86, 34.6, 30.8, 24.8, 19.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.62 (d, *J* = 43.24 Hz), -90.96 (d, *J* = 43.24 Hz) ppm; HRMS (ESI) calcd for $C_{28}H_{27}F_2N_2O$ $[M+H]^+$ 445.2086, found 445.2099.



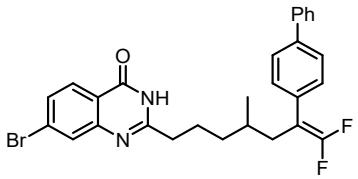
2-(6-([1,1'-biphenyl]-4-yl)-7,7-difluoro-4-methylhept-6-en-1-yl)-8-methylquinazolin-4(3*H*)-one (3m): White solid (78%, 71.5 mg); m.p.: 100-101°C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^1H NMR (400 MHz, $CDCl_3$): δ = 12.26 (s, 1H), 8.14 (d, *J* = 8.4 Hz, 1H), 7.61-7.53 (m, 5H), 7.45-7.41 (m, 2H), 7.38-7.31 (m, 4H), 2.79 (t, *J* = 7.2 Hz, 2H), 2.63 (s, 3H), 2.54-2.47 (m, 1H), 2.32-2.26 (m, 1H), 2.02-1.94 (m, 1H), 1.90-1.83 (m, 1H), 1.64-1.53 (m, 2H), 1.41-1.33 (m, 1H), 0.94 (d, *J* = 5.6 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.9, 155.4, 154.4 (dd, *J* =288.2, 284.9 Hz), 147.9, 140.4, 139.9, 135.7, 135.3, 132.7 (t, *J* = 3.7 Hz), 128.7, 128.5 (t, *J* = 3.2 Hz), 127.3, 127.0, 126.9, 125.7, 123.7, 120.2, 91.1 (dd, *J* = 21.5, 12.5 Hz), 35.9, 35.6, 34.7, 30.9, 24.5, 19.0, 17.6; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.61 (d, *J* = 43.24 Hz), -90.96 (d, *J* = 43.24 Hz) ppm; HRMS (ESI) calcd for $C_{29}H_{29}F_2N_2O$ $[M+H]^+$ 459.2242, found 459.2246.



2-(6-([1,1'-Biphenyl]-4-yl)-7,7-difluoro-4-methylhept-6-en-1-yl)-7-methylquinazolin-4(3*H*)-one (3n): White solid (78%, 71.5 mg); m.p.: 131-132 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.34 (s, 1H), 8.16 (dd, *J* = 8.0, 3.2 Hz, 1H), 7.57-7.51 (m, 5H), 7.44-7.40 (m, 2H), 7.38-7.32 (m, 3H), 7.27-7.24 (m, 1H), 2.76 (t, *J* = 8.4 Hz, 2H), 2.52-2.45 (m, 4H), 2.32-2.25 (m, 1H), 1.97-1.81 (m, 2H), 1.62-1.52 (m, 2H), 1.40-1.30 (m, 1H), 0.93 (d, *J* = 6.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.4, 157.0, 154.0 (dd, *J* = 289.0, 285.2 Hz), 149.4, 145.9, 140.4, 139.9, 132.6 (t, *J* = 3.4 Hz), 128.7, 128.5 (t, *J* = 3.3 Hz), 127.9, 127.3, 127.0, 126.9, 126.8, 125.9, 117.9, 91.1 (dd, *J* = 21.6, 12.8 Hz), 36.0, 35.9, 34.7, 30.9, 24.9, 21.9, 19.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.64 (d, *J* = 43.24 Hz), -90.98 (d, *J* = 43.99 Hz) ppm; HRMS (ESI) calcd for $C_{29}H_{29}F_2N_2O$ $[M+H]^+$ 459.2242, found 459.2251.

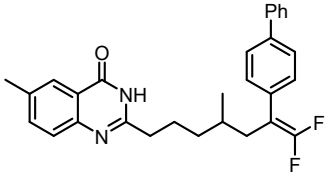


2-(6-([1,1'-Biphenyl]-4-yl)-7,7-difluoro-4-methylhept-6-en-1-yl)-7-chloroquinazolin-4(3*H*)-one (3o): White solid (72%, 68.8 mg); m.p.: 102-103 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.39 (s, 1H), 8.17 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.71-7.70 (m, 1H), 7.58-7.54 (m, 4H), 7.45-7.32 (m, 6H), 2.75 (t, *J* = 6.8 Hz, 2H), 2.51-2.45 (m, 1H), 2.32-2.27 (m, 1H), 1.99-1.92 (m, 1H), 1.88-1.81 (m, 1H), 1.62-1.51 (m, 2H), 1.38-1.26 (m, 1H), 0.94 (d, *J* = 6.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.0, 158.2, 154.0 (dd, *J* = 289.4, 285.5 Hz), 150.3, 141.0, 140.3, 139.9, 132.6 (t, *J* = 3.8 Hz), 128.7, 128.5 (t, *J* = 3.3 Hz), 127.5, 127.3, 127.0, 126.9, 126.85, 126.76, 118.7, 91.0 (dd, *J* = 21.2, 12.8 Hz), 35.8, 35.7, 34.7, 30.9, 24.6, 19.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.54 (d, *J* = 43.24 Hz), -90.85 (d, *J* = 43.62 Hz) ppm; HRMS (ESI) calcd for $C_{28}H_{26}ClF_2N_2O$ $[M+H]^+$ 479.1696, found 479.1702.

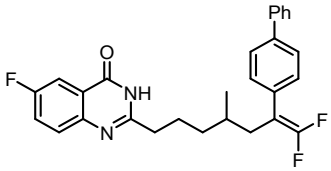


2-(6-([1,1'-Biphenyl]-4-yl)-7,7-difluoro-4-methylhept-6-en-1-yl)-7-bromoquinazolin-

4(3*H*)-one (3p): White solid (67%, 70.0 mg); m.p.: 113-114 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.31 (s, 1H), 8.09 (d, *J* = 8.4 Hz, 1H), 7.91-7.89 (m, 1H), 7.58-7.53 (m, 5H), 7.45-7.32 (m, 5H), 2.74 (t, *J* = 7.6 Hz, 2H), 2.51-2.44 (m, 1H), 2.35-2.27 (m, 1H), 1.95-1.81 (m, 2H), 1.63-1.51 (m, 2H), 1.36-1.27 (m, 1H), 0.94 (d, *J* = 6.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.1, 158.1, 154.0 (dd, *J* = 289.1, 284.4 Hz), 150.3, 140.4, 139.9, 132.6, 130.0, 129.8, 129.7, 128.8, 128.5 (t, *J* = 3.3 Hz), 127.5, 127.4, 127.0, 126.9, 119.1, 91.0 (dd, *J* = 21.7, 12.6 Hz), 35.82, 35.78, 34.7, 30.9, 24.6, 19.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.54 (d, *J* = 43.24 Hz), -90.85 (d, *J* = 42.86 Hz) ppm; HRMS (ESI) calcd for $C_{28}H_{26}BrF_2N_2O$ $[M+H]^+$523.1191, found 523.1200.

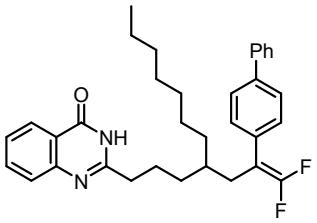


2-(6-([1,1'-Biphenyl]-4-yl)-7,7-difluoro-4-methylhept-6-en-1-yl)-6-methylquinazolin-4(3*H*)-one (3q): White solid (80%, 73.3 mg); m.p.: 142-143°C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.44 (s, 1H), 8.10-8.09 (m, 1H), 7.64-7.62 (m, 1H), 7.59-7.52 (m, 5H), 7.44-7.40 (m, 2H), 7.38-7.32 (m, 3H), 2.78 (t, *J* = 7.6 Hz, 2H), 2.54-2.50 (m, 1H), 2.48 (s, 3H), 2.32-2.26 (m, 1H), 2.01-1.83 (m, 2H), 1.64-1.52 (m, 2H), 1.42-1.32 (m, 1H), 0.95 (d, *J* = 6.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.6, 156.0, 154.0 (dd, *J* = 289.0, 285.2 Hz), 147.4, 140.4, 139.9, 136.4, 136.3, 132.6 (t, *J* = 3.2 Hz), 128.7, 128.5 (t, *J* = 3.3 Hz), 127.3, 127.0, 126.90, 126.88, 125.5, 120.1, 91.1 (dd, *J* = 21.7, 12.8 Hz), 36.0, 35.8, 34.6, 30.9, 24.9, 21.1, 19.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.62 (d, *J* = 43.24 Hz), -90.96 (d, *J* = 43.24 Hz) ppm; HRMS (ESI) calcd for $C_{29}H_{29}F_2N_2O$ $[M+H]^+$459.2242, found 459.2244.

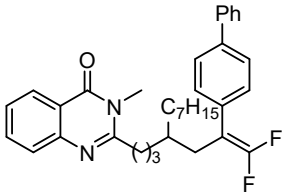


2-(6-([1,1'-Biphenyl]-4-yl)-7,7-difluoro-4-methylhept-6-en-1-yl)-6-fluoroquinazolin-4(3*H*)-one (3r): White solid (75%, 69.3 mg); m.p.: 134-135 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.46 (s, 1H), 7.91 (dd, *J* = 8.0, 3.2 Hz, 1H), 7.73-7.70 (m, 1H), 7.58-7.54 (m, 4H), 7.51-7.32 (m, 6H), 2.76 (t, *J* = 8.0 Hz, 2H), 2.55-2.46 (m, 1H), 2.34-2.28 (m, 1H), 2.05-1.94 (m, 1H), 1.91-1.83 (m, 1H), 1.68-1.52 (m, 2H), 1.41-1.30 (m, 1H), 0.96 (d, *J* = 6.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.1, 160.6 (d, *J* = 146.6 Hz), 156.2, 154.1 (dd, *J* = 288.6, 284.9 Hz), 146.1, 140.5, 140.0, 132.70 (t, *J* = 3.4 Hz), 129.6 (d, *J* = 8.0 Hz), 128.8, 128.6 (t, *J* = 3.3 Hz),127.4, 127.1, 127.0, 123.5 (d, *J* = 23.9 Hz),

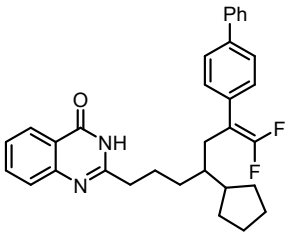
121.5 (d, J = 8.6 Hz), 110.9 (d, J = 23.3 Hz), 91.1 (dd, J = 21.7, 12.7 Hz), 35.9, 35.8, 34.8, 31.0, 24.8, 19.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.59 (d, J = 42.49 Hz), -90.91 (d, J = 43.24 Hz), -112.99 (s) ppm; HRMS (ESI) calcd for $C_{28}H_{26}F_3N_2O$ $[M+H]^+$ 463.1992, found 463.2002.



2-(4-(2-([1,1'-Biphenyl]-4-yl)-3,3-difluoroallyl)undecyl)quinazolin-4(3*H*)-one (3s): White solid (88%, 93.0 mg); m.p.: 77-78 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.29 (s, 1H), 8.30 (d, J = 8.0 Hz, 1H), 7.79-7.70 (m, 2H), 7.57-7.52 (m, 4H), 7.47-7.32 (m, 6H), 2.76 (t, J = 7.6 Hz, 2H), 2.47-2.41 (m, 2H), 1.91-1.85 (m, 2H), 1.49-1.47 (m, 3H), 1.29-1.17 (m, 12H), 0.85 (t, J = 6.8 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.6, 156.8, 153.9 (dd, J = 287.9, J = 286.7 Hz), 149.4, 140.4, 139.9, 134.8, 132.6, 128.7, 128.6 (t, J = 1.7 Hz), 127.3, 127.2, 127.0, 126.9, 126.3, 126.1, 120.4, 91.2 (dd, J = 18.4, 16.8 Hz), 36.1, 35.4, 32.8, 32.6, 31.8, 29.8, 29.2, 26.1, 24.3, 22.6, 14.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.80 (d, J = 43.24 Hz), -90.99 (d, J = 43.99 Hz) ppm; HRMS (ESI) calcd for $C_{34}H_{39}F_2N_2O$ $[M+H]^+$ 529.3025, found 529.3038.

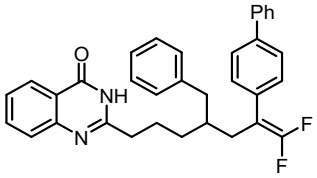


2-(4-(2-([1,1'-biphenyl]-4-yl)-3,3-difluoroallyl)undecyl)-3-methylquinazolin-4(3H)-one (3t): White solid (79%, 85.0 mg); R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.26 (d, J = 8.0 Hz, 1H), 7.72-7.68 (m, 1H), 7.63-7.56 (m, 5H), 7.46-7.40 (m, 3H), 7.38-7.33 (m, 3H), 3.56 (s, 3H), 2.72 (t, J = 8.0 Hz, 2H), 2.48-2.38 (m, 2H), 1.86-1.75 (m, 2H), 1.49-1.42 (m, 3H), 1.32-1.24 (s, 12H), 0.88 (t, J = 4.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 162.5, 156.8, 154.0 (dd, J = 287.0, 281.2 Hz), 147.1, 140.4, 140.0, 134.0, 132.6, 128.8, 128.6 (t, J = 3.2 Hz), 127.4, 127.0, 126.9, 126.8, 126.7, 126.3, 120.1, 91.2 (dd, J = 18.2, 15.7 Hz), 35.7, 35.4, 32.9, 32.5, 31.8, 31.8, 30.3, 29.8, 29.2, 26.2, 23.4, 22.6, 14.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -91.50 (d, J = 42.11 Hz), -91.62 (d, J = 42.49 Hz) ppm; HRMS (ESI) calcd for $C_{35}H_{41}F_2N_2O$ $[M+H]^+$ 543.3182, found 543.3187.

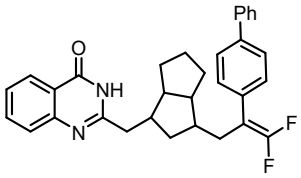


2-(6-([1,1'-Biphenyl]-4-yl)-4-cyclopentyl-7,7-difluorohept-6-en-1-yl)quinazolin-4(3*H*)-

one (3u): White solid (68%, 67.8 mg); m.p.: 168-169 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 11.83 (s, 1H), 8.29 (dd, *J* =8.0, 1.2 Hz, 1H), 7.79-7.70 (m, 2H), 7.56-7.51 (m, 4H), 7.48-7.39 (m, 4H), 7.33 (d, *J* =7.2 Hz, 2H), 2.72 (t, *J* = 7.6 Hz, 2H), 2.58-2.40 (m, 2H), 1.92-1.80 (m, 3H), 1.77-1.71 (m, 3H), 1.57-1.43 (m, 6H), 1.19-1.07 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.3, 156.7, 153.8 (dd, *J* = 292.0, 290.2 Hz), 149.4, 140.4, 139.9, 134.8, 132.6, 128.7, 128.6, 127.3, 127.2, 127.0, 126.9, 126.3, 126.2, 120.5, 91.5 (dd, *J* = 37.7, 20.8 Hz), 42.8, 40.0, 36.3, 30.5, 30.2, 30.0, 29.9, 25.3, 23.6; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.87 (d, *J* = 43.62 Hz), -91.01 (d, *J* = 44.37 Hz) ppm; HRMS (ESI) calcd for $C_{32}H_{33}F_2N_2O$ $[M+H]^+$ 499.2556, found 499.2553.

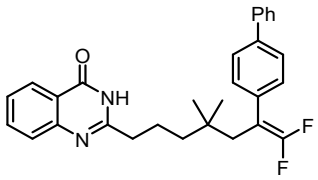


2-(6-([1,1'-Biphenyl]-4-yl)-4-benzyl-7,7-difluorohept-6-en-1-yl)quinazolin-4(3*H*)-one (3v): White solid (80%, 83.2 mg); m.p.: 143-144 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.42 (s, 1H), 8.27 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.79-7.72 (m, 2H), 7.58-7.56 (m, 2H) , 7.52-7.50 (m, 2H), 7.45-7.42 (m, 3H), 7.37-7.33 (m, 1H), 7.25-7.15 (m, 5H), 7.08-7.05 (m, 2H), 2.76 (t, *J* = 6.8 Hz, 2H), 2.71-2.66 (m, 1H), 2.63-2.57 (m, 1H), 2.52-2.40 (m, 2H), 2.00-1.92 (m, 2H), 1.81-1.74 (m, 1H), 1.57-1.47 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.6, 156.7, 153.9 (dd, *J* = 285.9, 281.0 Hz), 149.3, 140.5, 140.4, 139.9, 134.8, 132.1, 129.0, 128.7, 128.5 (t, *J* = 3.3 Hz), 128.2, 127.3, 127.1, 127.0, 126.9, 126.3, 126.1, 125.8, 120.3, 91.0 (dd, *J* = 18.2, 15.7 Hz), 39.9, 37.5, 35.8, 32.4, 31.4, 24.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.27 (d, *J* = 45.12 Hz), -90.45 (d, *J* = 44.74 Hz); HRMS (ESI) calcd for $C_{34}H_{31}F_2N_2O$ $[M+H]^+$ 521.2399, found 521.2415.

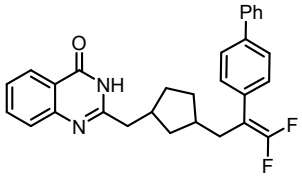


2-(3-(3-([1,1'-Biphenyl]-4-yl)-4,4-difluorobut-3-en-1-yl)octahydropentalen-1-yl)quinazolin-4(3H)-one (3w): White solid (77%, 76.4 mg); m.p.: 81-82 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3, dr = 1:1.5); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.25 (s, 0.6H), 12.23 (s, 0.4H), 8.25 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.75-7.67 (m, 2H), 7.57-7.51 (m, 4H), 7.46-7.41 (m, 3H), 7.35-7.32 (m, 3H), 2.95-2.90 (m, 1H), 2.74-2.68 (m, 1H), 2.55-2.50 (m, 1H), 2.46-2.41 (m, 1H), 2.30-2.23 (m, 1H), 2.18-2.11 (m, 1H), 1.97-1.82 (m, 2H), 1.52-1.44 (m, 5H), 1.36-1.27 (m, 2H),

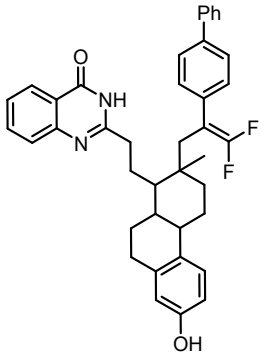
1.16-1.07 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.4, 156.4, 153.9 (dd, *J* = 290.3, 285.5 Hz), 149.5, 140.4, 139.8, 134.7, 132.7 (t, *J* = 3.9 Hz), 128.7, 128.4 (t, *J* = 3.7 Hz), 127.3, 127.2, 127.0, 126.9, 126.3 126.1, 120.4, 91.6 (dd, *J* = 22.0, 11.8 Hz), 50.3, 49.8, 45.34, 45.31, 41.4, 40.7, 33.1, 32.4, 31.7, 25.4; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.43 (d, *J* = 42.86 Hz), -91.11 (d, *J* = 42.11 Hz) ppm; HRMS (ESI) calcd for $C_{32}H_{31}F_2N_2O$ $[M+H]^+$ 497.2399, found 497.2399.



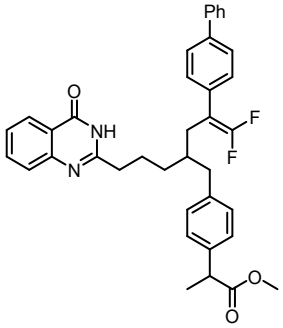
2-(6-([1,1'-Biphenyl]-4-yl)-7,7-difluoro-4,4-dimethylhept-6-en-1-yl)quinazolin-4(3*H*)-one (3x): White solid (92%, 84.3 mg); m.p.: 125-126 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 11.98 (s, 1H), 8.28 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.77-7.73 (m, 1H), 7.69 (d, *J* = 6.8 Hz, 1H), 7.58-7.53 (m, 4H), 7.47-7.43 (m, 1H), 7.42-7.36 (m, 4H), 7.34-7.30 (m, 1H), 2.62 (t, *J* = 8.0 Hz, 2H), 2.42 (t, *J* = 2.4 Hz, 2H), 1.86-1.78 (m, 2H), 1.37-1.33 (m, 2H), 0.83 (s, 6H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.2, 156.6, 154.4 (dd, *J* = 288.1, 285.5 Hz), 149.4, 140.4, 139.8, 134.7, 134.5, 134.4, 128.9, 128.8 (t, *J* = 2.8 Hz), 127.3, 127.2, 126.9, 126.3, 126.1, 120.5, 90.4 (dd, *J* = 21.5, 13.2 Hz), 41.9, 39.3, 36.4, 35.3, 27.1, 22.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -88.85 (d, *J* = 39.48 Hz), -91.34 (d, *J* = 40.98 Hz) ppm; HRMS (ESI) calcd for $C_{29}H_{29}F_2N_2O$ $[M+H]^+$ 459.2242, found 459.2247.



2-(3-(2-([1,1'-Biphenyl]-4-yl)-3,3-difluoroallyl)cyclohexyl)quinazolin-4(3H)-one (3y): White solid (75%, 68.4 mg); m.p.: 140-141 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3, dr = 1:1); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.34 (s, 1H), 8.29 (d, *J* = 8.0 Hz, 1H), 7.77-7.71 (m, 2H), 7.61-7.55 (m, 4H) , 7.47-7.42 (m, 3H), 7.38-7.33 (m, 3H), 2.85-2.77 (m, 2H), 2.73-2.39 (m, 3H), 2.16-1.48 (m, 5H), 1.41-1.04 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.5, 156.39, 156.36, 153.94 (dd, *J* = 288.6, 284.9 Hz), 153.91 (dd, *J* = 288.1, 284.6 Hz), 149.44, 149.42, 140.5, 139.9, 134.7, 132.6, 128.7, 128.6, 127.3, 127.2, 127.0, 126.9, 126.3, 126.1, 120.4, 91.73 (dd, *J* =2 1.6, 12.6 Hz), 91.71 (dd, *J* = 21.1, 13.2 Hz), 41.9, 41.8, 39.4, 38.5, 38.1, 37.5, 37.3, 36.8, 33.8, 33.7, 32.3, 32.0, 31.0, 30.8; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -91.01 (d, *J* = 14.66 Hz), -91.13 (d, *J* = 14.29 Hz), -91.34 (d, *J* = 15.04 Hz), -91.46 (d, *J* = 14.29 Hz) ppm; HRMS (ESI) calcd for $C_{29}H_{27}F_2N_2O$ $[M+H]^+$ 457.2086, found 457.2084.

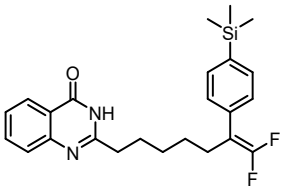


2-(2-(2-(2-([1,1'-Biphenyl]-4-yl)-3,3-difluoroallyl)-7-hydroxy-2-methyl-1,2,3,4,4a,9,10,10a-octahydrophenanthren-1-yl)ethyl)quinazolin-4(3H)-one (**3z**): White solid (68%, 83.8 mg); m.p.: 198-199 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:1, dr = 1:4); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 12.38 (s, 0.8H), 12.36 (s, 0.2H), 9.08 (s, 0.2 H), 9.05 (s, 0.8 H), 8.16-8.10 (m, 1H), 7.79-7.72 (m, 1H), 7.71-7.67 (m, 1H), 7.64-7.59 (m, 4H), 7.46-7.40 (m, 5H), 7.34-7.30 (m, 1H), 6.98-6.94 (m, 1H), 6.54-6.45 (m, 2H), 2.86-2.57 (m, 5H), 2.20-1.92 (m, 5H), 1.61-1.42 (m, 2H), 1.25-0.97 (m, 5H), 0.68 (s, 0.6 H), 0.60 (s, 2.4 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 162.2, 157.7, 157.5, 155.1, 153.8 (dd, *J* = 286.3, 285.2 Hz), 149.24, 149.20, 139.55, 139.50, 139.0, 137.2, 134.4, 130.4, 130.3, 129.1, 129.0, 127.7, 127.0, 126.72, 126.67, 126.6, 126.4, 126.1, 125.9, 121.1, 121.0, 114.8, 113.0, 90.5 (dd, *J* = 20.9, 12.2 Hz), 52.2, 50.4, 43.3, 43.0, 41.9, 41.4, 38.6, 38.3, 37.0, 36.6, 30.1, 27.4, 27.1, 26.8, 26.5, 26.4, 26.2, 17.7; ^{19}F NMR (376 MHz, DMSO-d_6) δ = -89.19 (d, *J* = 42.49 Hz), -89.93 (d, *J* = 43.24 Hz), -91.52 (d, *J* = 42.86 Hz), -91.65 (d, *J* =42.86 Hz) ppm; HRMS (ESI) calcd for $C_{40}H_{39}F_2N_2O$ $[M+H]^+$ 617.2974, found 617.2972.

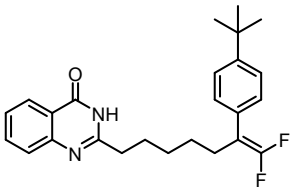


Methyl 2-(4-(4-([1,1'-biphenyl]-4-yl)-5,5-difluoro-2-(3-(4-oxo-3,4-dihydroquinazolin-2-yl)pr-opyl)pent-4-en-1-yl)phenyl)propanoate (3za): White solid (67%, 81.2 mg); m.p.: 180-181 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3, dr = 1:1); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.46 (s, 1H), 8.28 (d, *J* = 8.0 Hz, 1H), 7.79-7.71 (m, 2H), 7.56 (d, *J* = 7.6 Hz, 2H), 7.49 (d, *J* = 8.4 Hz, 2H), 7.45-7.41 (m, 3H), 7.36-7.32 (m, 1H), 7.22-7.15 (m, 4H), 7.02 (d, *J* = 8.0 Hz, 2H), 3.72-3.67 (m, 1H), 3.65 (s, 3H), 2.76 (t, *J* = 7.6 Hz, 2H), 2.68-2.39 (m, 4H), 2.00-1.91 (m, 2H), 1.80-1.72 (m, 1H), 1.54-1.46 (m, 5H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 175.1, 164.5, 156.7, 153.9 (dd, *J* = 298.1, 294.9 Hz), 149.2, 140.3, 139.9, 139.4, 138.10, 138.07, 134.8, 132.1,

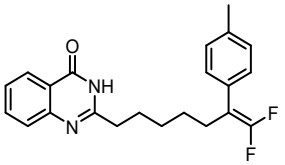
129.3, 128.7, 128.5(t, J = 3.3 Hz), 127.33, 127.26, 127.1, 126.95, 126.88, 126.4, 126.1, 120.3, 91.0 (dd, J = 20.4, 13.5 Hz), 51.9, 44.9, 39.5, 37.5, 35.8, 32.6, 31.4, 24.2, 18.55, 18.47; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.00 (d, J = 42.86 Hz), -90.18 (d, J = 43.24 Hz) ppm; HRMS (ESI) calcd for $C_{38}H_{37}F_2N_2O_3$ $[M+H]^+$ 607.2767, found 607.2759.



2-(7,7-Difluoro-6-(4-(trimethylsilyl)phenyl)hept-6-en-1-yl)quinazolin-4(3*H*)-one (4a): White solid (58%, 47.7 mg); m.p.: 114-115 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.27 (s, 1H), 8.28 (dd, J = 7.6, 1.2 Hz, 1H), 7.80-7.76 (m, 1H), 7.71 (d, J = 7.2 Hz, 1H), 7.49-7.44 (m, 3H), 7.27 (d, J = 7.6 Hz, 2H), 2.80 (t, J = 7.6 Hz, 2H), 2.45-2.41 (m, 2H), 1.92-1.86 (m, 2H), 1.50-1.47 (m, 4H), 0.26 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.5, 156.9, 153.6 (dd, J = 289.1, 286.6 Hz), 149.3, 139.4, 134.8, 134.0, 133.4, 127.4 (t, J = 2.7 Hz), 126.4, 126.1, 120.4, 92.2 (dd, J = 20.4, 13.4 Hz), 35.7, 28.6, 27.41, 27.35, 27.2, -1.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -93.07 (d, J = 44.37 Hz), -93.26 (d, J = 43.62 Hz) ppm; HRMS (ESI) calcd for $C_{24}H_{29}F_2N_2OSi$ $[M+H]^+$427.2012, found 427.2022.

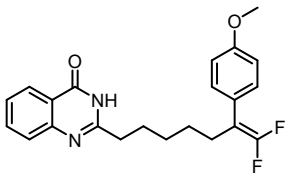


2-(6-(4-(Tert-butyl)phenyl)-7,7-difluorohept-6-en-1-yl)quinazolin-4(3H)-one (4b): White solid (62%, 50.9 mg); m.p.: 112-113 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.24 (s, 1H), 8.28 (d, J =8.0 Hz, 1H), 7.79-7.75 (m, 1H), 7.70 (d, J = 8.4 Hz, 1H), 7.48-7.44 (m, 1H), 7.34 (d, J = 8.4 Hz, 2H), 7.22 (d, J = 8.4 Hz, 2H), 2.79 (t, J = 7.6 Hz, 2H), 2.43-2.38 (m, 2H), 1.92-1.85 (m, 2H), 1.53-1.46 (m, 4H), 1.30 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.5, 156.8, 153.6 (dd, J = 287.5, 286.0 Hz), 150.0, 149.5, 134.8, 130.5, 127.7 (t, J = 3.2 Hz), 127.2, 126.3, 126.1, 125.3, 120.4, 91.9 (dd, J =19.4, 14.8 Hz), 35.7, 34.4, 31.2, 28.6, 27.43, 27.40, 27.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -93.63 (d, J = 46.62 Hz), -93.80 (d, J = 45.87 Hz) ppm; HRMS (ESI) calcd for $C_{25}H_{29}F_2N_2O$ $[M+H]^+$ 411.2243, found 411.2246.

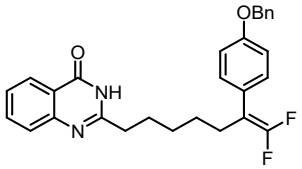


2-(7,7-Difluoro-6-(p-tolyl)hept-6-en-1-yl)quinazolin-4(3*H*)-one (4c): White solid (56%, 41.2 mg); m.p.: 151-152 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz,

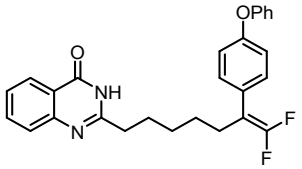
$CDCl_3$): δ = 11.90 (s, 1H), 8.26 (d, *J* = 7.6 Hz, 1H), 7.80-7.75 (m, 1H), 7.70 (d, *J* = 8.0 Hz, 1H), 7.48-7.44 (m, 1H), 7.18-7.11 (m, 4H), 2.76 (t, *J* = 7.6 Hz, 2H), 2.44-2.38 (m, 2H), 2.32 (s, 3H), 1.91-1.84 (m, 2H), 1.50-1.44 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.3, 156.7, 153.5 (dd, *J* = 288.2, 285.6 Hz), 149.4, 136.9, 134.8, 130.6, 129.1, 128.0 (t, *J* = 2.6 Hz), 127.2, 126.4, 126.2, 120.4, 92.0 (dd, *J* = 19.8, 16.9 Hz), 35.8, 28.5, 27.4, 27.3, 27.2, 21.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -92.02 (d, *J* = 45.12 Hz), -92.15 (d, *J* = 45.12 Hz) ppm; HRMS (ESI) calcd for $C_{22}H_{23}F_2N_2O$ $[M+H]^+$ 369.1773, found 369.1774.



2-(7,7-Difluoro-6-(4-methoxyphenyl)hept-6-en-1-yl)quinazolin-4(3*H*)-one (4d): White solid (65%, 49.9 mg); m.p.: 132-133 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.14 (s, 1H), 8.26 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.79-7.75 (m, 1H), 7.70 (d, *J* = 7.2 Hz, 1H), 7.48-7.44 (m, 1H), 7.21-7.19 (m, 2H), 6.87-6.84 (m, 2H), 3.78 (s, 3H), 2.77 (t, *J* = 7.6 Hz, 2H), 2.41-2.36 (m, 2H), 1.91-1.83 (m, 2H), 1.49-1.44 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.4, 158.6, 156.8, 153.4 (dd, *J* = 287.3, 285.7 Hz), 149.4, 134.8, 129.3 (t, *J* = 3.1 Hz), 127.2, 126.3, 126.1, 125.7, 120.4, 113.8, 91.6 (dd, *J* = 17.8, 16.7 Hz), 55.2, 35.7, 28.5, 27.5, 27.3, 27.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -92.53 (d, *J* = 45.50 Hz), -92.66 (d, *J* = 44.37 Hz) ppm; HRMS (ESI) calcd for $C_{22}H_{23}F_2N_2O_2$ $[M+H]^+$ 385.1722, found 385.1714.

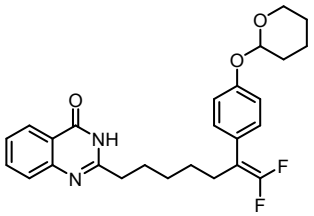


2-(6-(4-(Benzyloxy)phenyl)-7,7-difluorohept-6-en-1-yl)quinazolin-4(3*H*)-one (4e): White solid (66%, 60.7 mg); m.p.: 147-148 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 11.97 (s, 1H), 8.27 (d, *J* = 7.6 Hz, 1H), 7.79-7.71 (m, 2H), 7.49-7.33 (m, 6H), 7.23-7.19 (m, 2H), 7.00-6.92 (m, 2H), 5.04 (s, 2H), 2.78 (t, *J* = 7.6 Hz, 2H), 2.47-2.37 (m, 2H), 1.96-1.85 (m, 2H), 1.60-1.44 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.2, 157.8, 157.0, 156.9, 153.4 (dd, *J* = 286.2, 284.4 Hz), 136.8, 134.9, 129.3 (t, *J* = 3.2 Hz), 128.6, 128.0, 127.5, 126.9, 126.5, 126.2, 126.0, 120.3, 114.7, 91.6 (dd, *J* = 18.2, 16.5 Hz), 70.0, 35.6, 28.5, 27.5, 27.3, 27.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -92.63 (d, *J* = 46.25 Hz), -92.76 (d, *J* = 45.87 Hz) ppm; HRMS (ESI) calcd for $C_{28}H_{27}F_2N_2O_2$ $[M+H]^+$ 461.2035, found 461.2021.

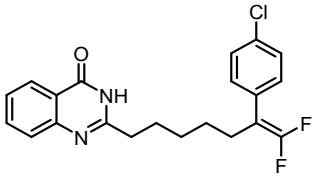


2-(7,7-Difluoro-6-(4-phenoxyphenyl)hept-6-en-1-yl)quinazolin-4(3*H*)-one (4f): White solid (60%, 53.5 mg); m.p.: 137-138 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.36 (s, 1H), 8.27 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.79-7.70 (m, 2H), 7.47-7.43 (m, 1H), 7.36-7.32 (m, 2H), 7.27-7.23 (m, 2H), 7.13-7.09 (m, 1H), 7.04-7.01 (m, 2H), 6.96-6.94 (m, 2H), 2.80 (t, *J* = 7.6 Hz, 2H), 2.44-2.39 (m, 2H), 1.94-1.86 (m, 2H), 1.55-1.48 (m, 4H); ^{13}C

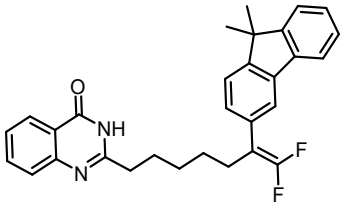
NMR (100 MHz, $CDCl_3$): δ = 164.6, 156.8, 156.7, 156.4, 153.5 (dd, *J* = 286.9, 285.5 Hz), 149.4, 134.8, 129.7, 129.5 (t, *J* = 3.4 Hz), 128.2, 127.1, 126.3, 126.1, 123.4, 120.3, 119.1, 118.4, 91.6 (dd, *J* = 19.2, 15.6 Hz), 35.6, 28.5, 27.5, 27.3, 27.1;^{19}F NMR (376 MHz, $CDCl_3$) δ = -93.42 (d, *J* = 47.75 Hz), -93.57 (d, *J* = 48.50 Hz) ppm; HRMS (ESI) calcd for $C_{27}H_{25}F_2N_2O_2$ $[M+H]^+$ 447.1879, found 447.1893.



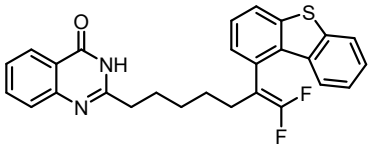
2-(7,7-Difluoro-6-(4-((tetrahydro-2*H*-pyran-2-yl)oxy)phenyl)hept-6-en-1-yl)quinazolin-4(3*H*)-one (4g): White solid (61%, 55.4 mg); m.p.: 149-150 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.06 (s, 1H), 8.26 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.79-7.75 (m, 1H), 7.69 (d, *J* = 7.2 Hz, 1H), 7.48-7.44 (m, 1H), 7.20-7.18 (m, 2H), 7.02-6.98 (m, 2H), 5.39 (t, *J* = 3.2 Hz, 1H), 3.92-3.86 (m, 1H), 3.62-3.57 (m, 1H), 2.76 (t, *J* = 7.6 Hz, 2H), 2.40-2.36 (m, 2H), 2.04-1.93 (m, 1H), 1.88-1.82 (m, 4H), 1.70-1.59 (m, 3H), 1.49-1.43 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.4, 156.8, 156.1, 153.4 (dd, *J* = 287.8, 285.9 Hz), 149.4, 134.8, 129.2(t, *J* = 3.0 Hz), 127.2, 126.6, 126.3, 126.1, 120.4, 116.3, 96.3, 91.7 (dd, *J* = 18.4, 16.6 Hz), 62.0, 35.7, 30.3, 28.5, 27.5, 27.3, 27.2, 25.1, 18.7; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -92.39 (d, *J* = 45.87 Hz), -92.52 (d, *J* = 45.87 Hz) ppm; HRMS (ESI) calcd for $C_{26}H_{29}F_2N_2O_3$ $[M+H]^+$ 455.2141, found 455.2120.



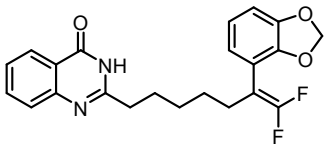
2-(6-(4-Chlorophenyl)-7,7-difluorohept-6-en-1-yl)quinazolin-4(3*H*)-one (4h): White solid (59%, 45.8 mg); m.p.: 154-155 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.13 (s, 1H), 8.25 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.80-7.76 (m, 1H), 7.70 (d, *J* = 7.2 Hz, 1H), 7.48-7.44 (m, 1H), 7.29-7.26 (m, 2H), 7.22-7.19 (m, 2H), 2.77 (t, *J* = 7.6 Hz, 2H), 2.42-2.36 (m, 2H), 1.91-1.83 (m, 2H), 1.50-1.42 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.5, 156.7, 153.5 (dd, *J* = 288.3, 285.7 Hz), 149.4, 134.8, 133.0, 132.0, 129.5 (t, *J* = 2.7 Hz), 128.6, 127.2, 126.4, 126.1, 120.4, 91.4 (dd, *J* = 21.0, 13.5 Hz), 35.6, 28.4, 27.3, 27.2, 27.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.69 (d, *J* = 42.86 Hz), -90.87 (d, *J* = 43.24 Hz) ppm; HRMS (ESI) calcd for $C_{21}H_{20}ClF_2N_2O$ $[M+H]^+$ 389.1227, found 389.1239.



2-(6-(9,9-Dimethyl-9H-fluoren-3-yl)-7,7-difluorohept-6-en-1-yl)quinazolin-4(3H)-one (4i): White solid (70%, 65.8 mg); m.p.: 90-91 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.21 (s, 1H), 8.27 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.77-7.72 (m, 1H), 7.70-7.68 (m, 2H), 7.65 (d, *J* = 8.0 Hz, 1H), 7.45-7.40 (m, 2H), 7.36-7.35 (m, 1H), 7.33-7.30 (m, 2H), 7.27-7.25 (m, 1H), 2.79 (t, *J* = 7.2 Hz, 2H), 2.52-2.47 (m, 2H), 1.93-1.86 (m, 2H), 1.54-1.51 (m, 4H), 1.46 (s, 6H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.6, 156.9, 153.9, 153.83, 153.77 (dd, *J* = 288.8, 285.6 Hz), 149.6, 138.8, 138.5, 134.9, 132.7 (t, *J* = 3.0 Hz), 127.4, 127.3, 127.13, 127.09, 126.5, 126.2, 122.7, 122.5 (t, *J* = 4.2 Hz), 120.5, 120.1, 120.0, 92.8 (dd, *J* = 20.6, 13.4 Hz), 46.9, 35.8, 28.7, 27.8, 27.5, 27.25, 27.20; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -91.25 (d, *J* = 45.12 Hz), -91.45 (d, *J* = 43.99 Hz) ppm; HRMS (ESI) calcd for $C_{30}H_{29}F_2N_2O$ $[M+H]^+$ 471.2243, found 471.2240.

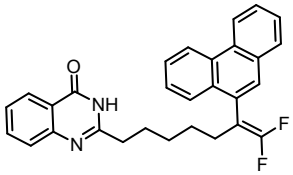


2-(6-(Dibenzo[b,d]thiophen-1-yl)-7,7-difluorohept-6-en-1-yl)quinazolin-4(3*H*)-one (4j): White solid (74%, 68.1 mg); m.p.: 101-102 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, DMSO-d_6): δ = 12.14 (s, 1H), 8.36-8.32 (m, 2H), 8.08 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.97-7.95 (m, 1H), 7.75-7.71 (m, 1H), 7.56-7.48 (m, 4H), 7.45-7.40 (m, 2H), 2.53 (t, *J* = 7.6 Hz, 2H), 2.48-2.45 (m, 2H), 1.68-1.60 (m, 2H), 1.36-1.26 (m, 4H); ^{13}C NMR (100 MHz, DMSO-d_6): δ = 161.9, 157.4, 152.4 (dd, *J* = 287.6, 284.2 Hz), 149.1, 139.0, 138.2, 135.6, 135.3, 134.3, 128.0 (t, *J* = 3.4Hz), 127.6, 127.5, 126.9, 126.0, 125.8, 125.3, 125.0, 123.0, 122.4, 121.8, 120.9, 91.7 (dd, *J* = 23.1, 14.8 Hz), 34.4, 27.8, 27.4, 26.8, 26.4; ^{19}F NMR (376 MHz, DMSO-d_6) δ = -87.03 (d, *J* = 39.48 Hz), -91.74 (d, *J* = 38.73 Hz) ppm; HRMS (ESI) calcd for $C_{27}H_{23}F_2N_2OS$ $[M+H]^+$ 461.1494, found 461.1509.

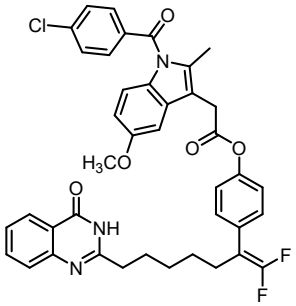


2-(6-(Benzo[d][1,3]dioxol-4-yl)-7,7-difluorohept-6-en-1-yl)quinazolin-4(3*H*)-one (4k): White solid (49%, 39.0 mg); m.p.: 131-132 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.20 (s, 1H), 8.26 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.80-7.75 (m, 1H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.49-7.44 (m, 1H), 6.76-6.71 (m, 3H), 5.93 (s, 2H), 2.79 (t, *J* = 7.2

Hz, 2H), 2.38-2.33 (m, 2H), 1.92-1.84 (m, 2H), 1.51-1.44 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.4, 157.0, 153.4 (dd, *J* = 288.4, 284.6 Hz), 149.1, 147.6, 146.6, 134.9, 127.2 (t, *J* = 3.2 Hz), 127.0, 126.4, 126.1, 121.7, 120.3, 108.7 (t, *J* = 3.8 Hz), 108.2, 101.0, 91.9 (dd, *J* = 21.9, 11.9 Hz), 35.5, 28.4, 27.7, 27.20, 27.16; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -91.90 (d, *J* = 45.50 Hz), -92.25 (d, *J* = 45.87 Hz) ppm; HRMS (ESI) calcd for $C_{22}H_{21}F_2N_2O_3$ $[M+H]^+$ 399.1515, found 399.1536.

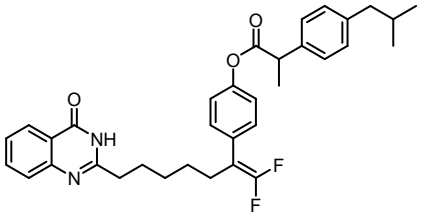


2-(7,7-Difluoro-6-(phenanthren-9-yl)hept-6-en-1-yl)quinazolin-4(3*H*)-one (4l): White solid (39 %, 35.4 mg); m.p.: 73-74 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:2); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.15 (s, 1H), 8.72 (d, *J* = 8.0 Hz, 1H), 8.66 (d, *J* = 8.4 Hz, 1H), 8.24 (d, *J* = 8.0 Hz, 1H), 7.92 (d, *J* = 8.4 Hz, 1H), 7.82 (d, *J* = 8.0 Hz, 1H), 7.75-7.71 (m, 1H), 7.69-7.63 (m, 3H), 7.61-7.55 (m, 3H), 7.41-7.37 (m, 1H), 2.75 (t, *J* = 8.4 Hz, 2H), 2.65-2.39 (m, 2H), 1.89-1.82 (m, 2H), 1.55-1.48 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.4, 156.7, 153.5 (dd, *J* = 287.7, 286.4 Hz), 149.4, 134.7, 131.2, 130.7, 130.5, 130.1, 129.81, 129.76, 128.5, 128.3, 127.1, 126.9, 126.8, 126.6, 126.3, 126.1, 125.6, 123.1, 122.5, 120.4, 90.4 (dd, *J* = 22.1, 16.6 Hz), 35.7, 29.0, 28.7, 27.4, 27.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -88.56 (d, *J* = 43.62 Hz), -92.95 (d, *J* = 43.62 Hz) ppm; HRMS (ESI) calcd for $C_{29}H_{25}F_2N_2O$ $[M+H]^+$ 455.1930, found 455.1946.

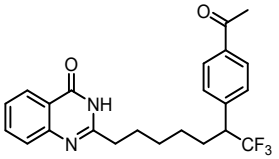


2-(6-(4-(3-(1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1*H*-indol-3-yl)-2-oxopropoxy)phenyl)-7,7-difluorohept-6-en-1-yl)quinazolin-4(3*H*)-one (4m): White solid (39%, 56.4 mg); m.p.: 146-147 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 11.66 (s, 1H), 8.48 (d, *J* = 8.4 Hz, 1H), 8.01-7.96 (m, 1H), 7.92-7.90 (m, 3H), 7.72-7.66 (m, 3H), 7.51-7.49 (m, 3H), 7.29-7.28 (m, 2H), 7.12 (d, *J* = 9.2 Hz, 1H), 6.93 (dd, *J* = 9.2, 6.8 Hz, 1H), 4.14 (s, 2H), 4.07 (s, 3H), 2.95 (t, *J* = 7.6 Hz, 2H), 2.69 (s, 3H), 2.64-2.60 (m, 2H), 2.11-2.04 (m, 2H), 1.70-1.65 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 169.3, 168.3, 163.8, 156.4, 156.1, 153.7 (dd, *J* = 289.8, 279.3 Hz), 152.8, 149.6, 139.3, 136.2, 134.8, 133.8, 131.4, 131.2,

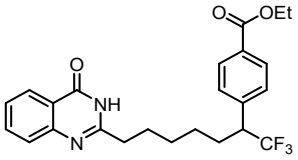
130.8, 130.5, 129.3 (t, J = 2.9 Hz), 129.1, 127.1, 126.4, 126.2, 121.4, 120.5, 115.0, 111.9, 111.8, 101.2, 91.4 (dd, J = 35.0, 20.4 Hz), 55.7, 35.6, 30.5, 28.4, 27.4, 27.3, 27.0, 13.4; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -91.74 (d, J = 43.24 Hz), -91.91 (d, J = 41.36 Hz) ppm; HRMS (ESI) calcd for $C_{40}H_{35}ClF_2N_3O_5$ $[M+H]^+$ 710.2228, found 710.2256.



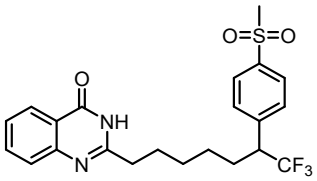
4-(1,1-Difluoro-7-(4-oxo-3,4-dihydroquinazolin-2-yl)hept-1-en-2-yl)phenyl 2-(4-isobutylphenyl)propanoate (4n): White solid (47%, 52.5 mg); m.p.: 149-150 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 11.9 (s, 1H), 7.84 (dd, J = 8.0, 1.6 Hz, 1H), 7.35-7.28 (m, 2H), 7.04-7.00 (m, 1H), 6.87 (d, J = 7.6 Hz, 2H), 6.82 (d, J = 8.8 Hz, 2H), 6.72 (d, J = 7.2 Hz, 2H), 6.56-6.53 (m, 2H), 3.51 (q, J = 7.2 Hz, 1H), 2.34 (t, J = 7.6 Hz, 2H), 2.04 (d, J = 7.2 Hz, 2H), 1.99-1.95 (m, 2H), 1.47-1.41 (m, 3H), 1.18 (d, J = 7.2 Hz, 3H), 1.06-1.01 (m, 4H), 0.48 (d, J = 6.8 Hz, 6H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 173.2, 164.4, 156.8, 153.5 (dd, J = 288.7, 285.2 Hz), 149.8, 149.4, 140.8, 137.1, 134.8, 131.1, 129.5, 129.1 (t, J = 3.7 Hz), 127.17, 127.15, 126.3, 126.1, 121.4, 120.4, 91.6 (dd, J = 19.4, 15.2 Hz), 45.2, 45.0, 35.6, 30.1, 28.4, 27.4, 27.2, 27.0, 22.3, 18.4; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -91.95 (d, J = 43.24 Hz), -92.08 (d, J = 43.62 Hz) ppm; HRMS (ESI) calcd for $C_{34}H_{37}F_2N_2O_3$ $[M+H]^+$ 559.2767, found 559.2781.



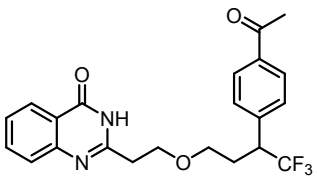
2-(6-(4-Acetylphenyl)-7,7,7-trifluoroheptyl)quinazolin-4(3*H*)-one (5a): White solid (73%, 60.8 mg); m.p.: 146-147 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:2); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.30 (s, 1H), 8.24 (dd, J = 8.0, 1.6 Hz, 1H), 7.91 (d, J = 8.4 Hz, 2H), 7.80-7.75 (m, 1H), 7.69 (d, J = 8.0 Hz, 1H), 7.49-7.45 (m, 1H), 7.35 (d, J = 7.6 Hz, 2H), 3.35-3.24 (m, 1H), 2.76 (t, J = 8.0 Hz, 2H), 2.57 (s, 3H), 2.08-2.01 (m, 1H), 1.92-1.81 (m, 3H), 1.51-1.41 (m, 2H), 1.31-1.22 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 197.5, 164.4, 156.7, 149.2, 140.0, 136.8, 134.9, 129.2, 128.6, 127.1, 126.5 (q, J = 278.1 Hz), 126.4, 126.1, 120.3, 49.9 (q, J = 26.6 Hz), 35.5, 28.6, 28.4, 26.9, 26.6, 26.3; ^{19}F NMR: (376 MHz, $CDCl_3$) δ = -69.30 (d, J = 8.6 Hz) ppm; HRMS (ESI) calcd for $C_{23}H_{24}F_3N_2O_2$ $[M+H]^+$ 417.1784, found 417.1789



Ethyl 4-(1,1,1-trifluoro-7-(4-oxo-3,4-dihydroquinazolin-2-yl)heptan-2-yl)benzoate (5b): White solid (56%, 50.0 mg); m.p.: 150-151 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:2); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 11.93 (s, 1H), 8.24 (dd, *J* = 8.0, 1.6 Hz, 1H), 8.01 (d, *J* = 8.4 Hz, 2H), 7.80-7.76 (m, 1H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.49-7.45 (m, 1H), 7.33 (d, *J* = 8.4 Hz, 2H), 4.37 (q, *J* = 7.2 Hz, 2H), 3.34-3.24 (m, 1H), 2.75 (t, *J* = 8.0 Hz, 2H), 2.09-2.01 (m, 1H), 1.94-1.79 (m, 3H), 1.54-1.42 (m, 2H), 1.38 (t, *J* = 6.8 Hz, 3H), 1.30-1.21 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 166.4, 164.6, 156.8, 149.6, 140.0, 135.2, 130.7, 130.2, 129.3, 127.5, 126.9 (q, *J* = 278.1 Hz), 126.7, 126.4, 120.7, 61.3, 50.3 (q, *J* = 26.2 Hz), 35.9, 29.0, 28.7, 27.2, 26.6, 14.6; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -69.35 (d, *J* = 9.02 Hz) ppm; HRMS (ESI) calcd for $C_{24}H_{26}F_3N_2O_3$ $[M+H]^+$ 447.1890, found 447.1902

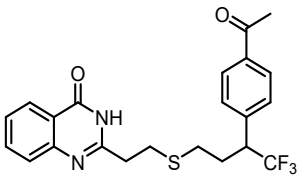


2-(7,7,7-Trifluoro-6-(4-(methylsulfonyl)phenyl)heptyl)quinazolin-4(3*H*)-one (5c): White solid (70%, 63.3 mg); m.p.: 149-150 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:1); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.16 (s, 1H), 8.25 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.92 (d, *J* = 8.4 Hz, 2H), 7.81-7.76 (m, 1H), 7.69 (d, *J* = 8.4 Hz, 1H), 7.50-7.46 (m, 3H), 3.40-3.29 (m, 1H), 3.06 (s, 3H), 2.76 (t, *J* = 7.6 Hz, 2H), 2.12-2.03 (m, 1H), 1.95-1.80 (m, 3H), 1.54-1.39 (m, 2H), 1.30-1.19 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.4, 156.7, 149.1, 141.1, 140.4, 135.0, 130.1, 127.8, 127.1, 126.6, 126.4 (q, *J* = 278.6 Hz), 126.1, 120.4, 50.0 (q, *J* = 26.7 Hz), 44.4, 35.4, 28.6, 28.5, 26.9, 26.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -68.99 (d, *J* = 9.02 Hz) ppm; HRMS (ESI) calcd for $C_{22}H_{24}F_3N_2O_3S$ $[M+H]^+$ 453.1454, found 453.1470.

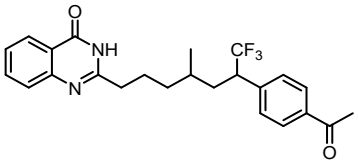


2-(2-(3-(4-Acetylphenyl)-4,4,4-trifluorobutoxy)ethyl)quinazolin-4(3*H*)-one (5d): White solid (81%, 67.7 mg); m.p.: 149-150 °C; R_f= 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 11.66 (s, 1H), 8.29 (d, *J* = 8.0 Hz, 1H), 7.83 (d, *J* = 7.6 Hz, 2H), 7.79-7.75 (m, 1H), 7.68 (d, *J* = 8.4 Hz, 1H), 7.50-7.46 (m, 1H), 7.32 (d, *J* = 8.0 Hz, 2H), 3.90-3.84 (m, 1H), 3.78-3.72 (m, 1H), 3.66-3.59 (m, 1H), 3.52-3.48 (m, 1H), 3.21-3.15 (m, 1H), 3.02 (t, *J* =

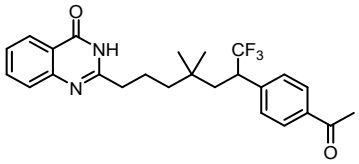
6.8 Hz, 2H), 2.54 (s, 3H), 2.40-2.30 (m, 1H), 2.06-1.98 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 197.5, 163.3, 154.6, 148.9, 139.4, 136.9, 134.9, 129.3, 128.6, 127.0, 126.7, 126.6 (q, *J* = 278.8 Hz), 126.3, 120.7, 67.7, 67.0, 46.2 (q, *J* = 27.1 Hz), 35.9, 28.8, 26.5; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -69.33 (d, *J* = 9.40 Hz) ppm; HRMS (ESI) calcd for $C_{22}H_{22}F_3N_2O_3$ $[M+H]^+$ 419.1577, found 419.1590



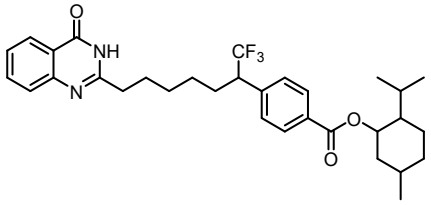
2-(2-((3-(4-Acetylphenyl)-4,4,4-trifluorobutyl)thio)ethyl)quinazolin-4(3*H*)-one (5e): White solid (66%, 57.3 mg); m.p.:128-129 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.39 (s, 1H), 8.24 (d, *J* = 8.0 Hz, 1H), 7.91 (d, *J* = 6.8 Hz, 2H), 7.81-7.78 (m, 1H), 7.73-7.69 (m, 1H), 7.52-7.48 (m, 1H), 7.38 (d, *J* = 7.6 Hz, 2H), 3.66-3.59 (m, 1H), 3.12-3.04 (m, 4H), 2.67-2.62 (m, 1H), 2.57 (s, 3H), 2.40-2.30 (m, 2H), 2.26-2.17 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 197.5, 164.3, 154.7, 148.8, 139.0, 137.0, 135.1, 129.3, 128.7, 127.1, 126.8, 126.4 (q, *J* = 278.8 Hz), 126.2, 120.4, 48.2 (q, *J* = 26.9 Hz), 35.5, 28.8, 28.6, 28.2, 26.6; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -69.03 (d, *J* = 9.40 Hz) ppm; HRMS (ESI) calcd for $C_{22}H_{22}F_3N_2O_2S$ $[M+H]^+$ 435.1349, found 435.1363.



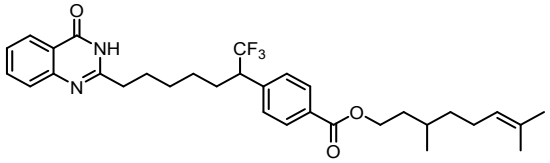
2-(6-(4-Acetylphenyl)-7,7,7-trifluoro-4-methylheptyl)quinazolin-4(3*H*)-one (5f): White solid (76%, 65.4 mg); m.p.:125-126 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3, dr = 1:1.5); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.41 (s, 0.4 H), 12.35 (s, 0.6H), 8.27-8.24 (m, 1H), 7.91-7.86 (m, 2H), 7.80-7.75 (m, 1H), 7.72-7.67 (m, 1H), 7.49-7.44 (m, 1H), 7.38-7.34 (m, 2H), 3.51-3.40 (m, 1H), 2.79-2.71 (m, 2H), 2.55 (s, 3H), 2.10-1.69 (m, 4H), 1.46-1.21 (m, 3H), 0.91-0.88 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 197.5, 164.6, 156.6, 149.4, 140.3, 139.8, 136.9, 136.8, 134.9, 134.8, 129.3, 129.2, 128.6, 127.2, 126.7 (q, *J* = 281.5 Hz), 126.4, 126.3, 126.0, 120.4, 47.7 (q, *J* = 26.4 Hz), 37.1, 35.8, 35.6, 35.0, 34.3, 29.3, 29.2, 26.5, 24.6, 23.9, 20.1, 18.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -69.91 (d, *J* = 8.65 Hz), -70.17 (d, *J* = 8.65 Hz) ppm; HRMS (ESI) calcd for $C_{24}H_{26}F_3N_2O_2$ $[M+H]^+$ 431.1941, found 431.1946.



2-(6-(4-Acetylphenyl)-7,7,7-trifluoro-4,4-dimethylheptyl)quinazolin-4(3*H*)-one (5g): White solid (81%, 72.0 mg); m.p.:112-113 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.09 (s, 1H), 8.27 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.89 (d, *J* = 8.4 Hz, 2H), 7.80-7.76 (m, 1H), 7.72-7.69 (m, 1H), 7.50-7.46 (m, 1H), 7.40 (d, *J* = 8.0 Hz, 2H), 3.49-3.40 (m, 1H), 2.68 (t, *J* = 7.6 Hz, 2H), 2.57 (s, 3H), 2.01-1.97 (m, 2H), 1.90-1.84 (m, 1H), 1.77-1.70 (m, 1H), 1.40-1.34 (m. 1H), 1.30-1.24 (m, 1H), 0.77 (s, 3H), 0.75 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 197.5, 164.4, 156.4, 149.4, 142.0, 136.7, 134.9, 129.6, 128.6, 127.2, 126.4, 126.8 (q, *J* = 278.5 Hz), 126.1, 120.4, 46.5 (q, *J* = 26.2 Hz), 41.6, 40.0, 36.1, 33.3, 27.4, 27.3, 26.6, 21.7; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -70.45 (d, *J* = 10.5 Hz) ppm; HRMS (ESI) calcd for $C_{25}H_{28}F_3N_2O_2$ $[M+H]^+$ 445.2097, found 445.2106.

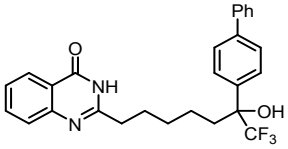


2-Isopropyl-5-methylcyclohexyl 4-(1,1,1-trifluoro-7-(4-oxo-3,4-dihydroquinazolin-2-yl)heptan-2-yl)benzoate (5h): White solid (58%, 64.5 mg); m.p.:118-119 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.27 (s, 1H), 8.25 (d, *J* = 7.6 Hz, 1H), 8.01 (d, *J* = 8.0 Hz, 2H), 7.79-7.75 (m, 1H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.48-7.45 (m, 1H), 7.33 (d, *J* = 8.4 Hz, 2H), 4.96-4.89 (m, 1H), 3.34-3.25 (m, 1H), 2.76 (t, *J* = 8.0 Hz, 2H), 2.11-2.03 (m, 2H), 1.95-1.82 (m, 4H), 1.75-1.69 (m, 2H), 1.57-1.41 (m, 4H), 1.30-1.22 (m, 2H), 1.14-1.03 (m, 2H), 0.96-0.87 (m, 7H), 0.78 (d, *J* = 6.8 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 165.6, 164.5, 156.6, 149.4, 139.6, 134.9, 130.7, 129.9, 129.0, 127.2, 126.6 (q, *J* = 278.2 Hz), 126.4, 126.1, 120.3, 74.9, 49.9 (q, *J* = 26.7 Hz), 47.2, 40.9, 35.6, 34.2, 31.4, 28.7, 27.0, 26.4, 26.3, 23.5, 22.0, 20.7, 16.4; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -69.35 (d, *J* = 10.15 Hz) ppm; HRMS (ESI) calcd for $C_{32}H_{40}F_2N_2O_3$ $[M+H]^+$ 557.2986, found 557.2992.

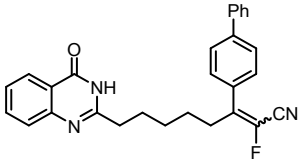


3,7-Dimethyloct-6-en-1-yl 4-(1,1,1-trifluoro-7-(4-oxo-3,4-dihydroquinazolin-2-yl)heptan-2-yl)benzoate (5i): White solid (61%, 67.9 mg); m.p.:114-115 °C; R_f = 0.2 (EtOAc/petroleum ether = 1:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.35 (s, 1H), 8.25 (d, *J* = 8.0 Hz, 1H), 8.00 (d,

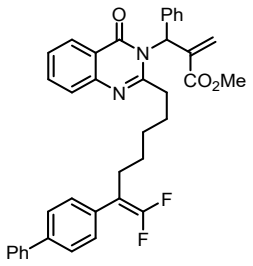
J = 8.4 Hz, 2H), 7.79-7.75 (m, 1H), 7.68 (d, *J* = 8.0 Hz, 1H), 7.48-7.44 (m, 1H), 7.33 (d, *J* = 8.0 Hz, 2H), 5.08 (t, *J* = 7.6 Hz, 1H), 4.38-4.31 (m, 2H), 3.33-3.26 (m, 1H), 2.76 (t, *J* = 7.6 Hz, 2H), 2.07-1.79 (m, 8H), 1.65 (s, 3H), 1.58 (s, 3H), 1.50-1.37 (m, 4H), 1.28-1.20 (m, 3H), 0.96 (d, *J* = 6.8 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 166.1, 164.6, 156.6, 149.4, 139.7, 134.7, 131.3, 130.3, 129.8, 128.9, 127.2, 126.5 (q, *J* = 280.0 Hz), 126.3, 126.0, 124.5, 120.3, 63.5, 49.90 (q, *J* = 27.3 Hz), 36.9, 35.5, 35.4, 29.4, 28.6, 28.4, 26.9, 26.3, 25.6, 25.3, 19.4, 17.5; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -70.02 (d, *J* = 8.65 Hz) ppm; HRMS (ESI) calcd for $C_{32}H_{40}F_3N_2O_3$ $[M+H]^+$ 557.2986, found 557.3008.



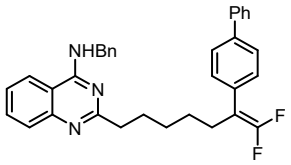
2-(6-([1,1'-Biphenyl]-4-yl)-7,7,7-trifluoro-6-hydroxyheptyl)quinazolin-4(3*H*)-one (6a): White solid (73%, 68.1mg). m.p.: 109-110 °C. ^{1}H NMR (400 MHz, DMSO-d_6): δ = 12.11 (s, 1H), 8.04 (d, *J* = 8.0 Hz, 1H), 7.74-7.52 (m, 9H), 7.43-7.38 (m, 2H), 7.36-7.29 (m, 1H), 6.43 (s, 1H), 2.52-2.46 (m, 2H), 2.25-2.14 (m, 1H), 2.05-1.92 (m, 1H), 1.74-1.59 (m, 2H), 1.37-1.26 (m, 3H), 1.00-0.85 (m, 1H). ^{13}C NMR (100 MHz, DMSO-d_6): δ = 162.0, 157.5, 149.1, 139.8, 139.7, 136.8, 134.3, 129.02, 127.7, 127.4, 126.3 (q, *J* = 285.2 Hz), 126.9, 126.8, 126.4, 126.0, 125.8, 120.9, 76.0 (q, *J* = 26.7 Hz), 34.6, 33.7, 28.7, 26.7, 21.9; ^{19}F NMR (376 MHz, DMSO-d_6): δ = -79.86 (s) ppm; HRMS (ESI) calcd for $C_{27}H_{26}F_3N_2O_2$ $[M+H]^+$ 467.1941, found 467.1953.



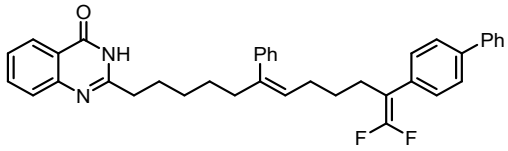
3-([1,1'-biphenyl]-4-yl)-2-fluoro-8-(4-oxo-3,4-dihydroquinazolin-2-yl)oct-2-enenitrile (6b): White solid (51%, 44.6mg). m.p.: 107-108 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 12.34 (s, 1H), 8.27 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.79-7.74 (m, 1H), 7.72-7.69 (m, 1H), 7.62-7.56 (m, 4H), 7.48-7.41 (m, 5H), 7.39-7.35 (m, 1H), 2.79 (t, *J* = 7.2 Hz, 2H), 2.73-2.68 (m, 2H), 1.92-1.86 (m, 2H), 1.55-1.49 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.5, 156.6, 149.2, 142.5, 140.5 (d, *J* = 14.8 Hz), 139.9, 134.9, 131.8 (d, *J* = 3.9 Hz), 130.7, 128.8, 128.4, 127.8, 127.6, 127.1, 127.0, 126.5, 126.1, 120.3, 112.6 (d, *J* = 47.1 Hz), 35.4, 30.0, 28.6, 26.9, 26.7; ^{19}F NMR (376 MHz, $CDCl_3$): δ = -124.50 (s) ppm. HRMS (ESI) calcd for $C_{28}H_{25}FN_3O$ $[M+H]^+$ 438.1976 found 438.1986.



Methyl 2-((2-(6-([1,1'-biphenyl]-4-yl)-7,7-difluorohept-6-en-1-yl)-4-oxoquinazolin-3(4*H*)-yl)(phenyl)methyl)acrylate (6c): White solid (88%, 106.2mg). m.p.: 121-122 °C. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 8.13 (d, *J* = 7.6 Hz, 1H), 7.65-7.60 (m, 1H), 7.55-7.49 (m, 5H), 7.38 - 7.33 (m, 3H), 7.30-7.25 (m, 3H), 7.22-7.14 (m, 5H), 6.70 (s, 1H), 6.46 (s, 1H), 5.53 (s, 1H), 3.62 (s, 3H), 2.80-2.65 (m, 2H), 2.35-2.27 (m, 2H), 1.61-1.52 (m, 2H), 1.31-1.19 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$): δ = 166.5, 162.6, 157.5, 153.6 (dd, *J* = 291.5, 289.6 Hz,), 147.0, 140.5, 140.0, 138.1, 136.8, 134.3, 132.6, 128.78, 128.76, 128.7, 128.5 (t, *J* = 3.5 Hz), 127.9, 127.8, 127.4, 127.1, 127.0, 126.9, 126.8, 126.4, 121.1, 91.93 (dd, *J* = 20.5, 17.0 Hz), 60.0, 52.3, 36.0, 28.6, 27.4, 27.3, 26.8; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.95 (d, *J* = 42.86 Hz), -91.13 (d, *J* = 43.24 Hz) ppm; HRMS (ESI) calcd for $C_{38}H_{35}F_2N_2O_3$ $[M+H]^+$ 605.2610, found 605.2612.



2-(6-([1,1'-biphenyl]-4-yl)-7,7-difluorohept-6-en-1-yl)-*N*-benzylquinazolin-4-amine (6d): colourless oil (81%, 84.4 mg): ^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.79 (d, *J* = 8.0 Hz, 1H), 7.70-7.64 (m, 2H), 7.60-7.54 (m, 4H), 7.46-7.42 (m, 2H), 7.40-7.29 (m, 9H), 5.99 (s, 1H), 4.87 (d, *J* = 5.2 Hz, 2H), 2.85 (t, *J* = 7.2 Hz, 2H), 2.45-2.40 (m, 2H), 1.89-1.82 (m, 2H), 1.47-1.43 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ = 166.8, 158.6, 152.9 (dd, *J* = 288.3, 284.6 Hz), 149.4, 139.9, 139.2, 138.0, 132.1, 131.8, 128.1, 128.0, 127.9 (t, *J* = 3.7 Hz), 127.4, 127.3, 126.9, 126.6, 126.4, 126.3, 124.4, 119.7, 112.4, 91.5 (dd, *J* = 21.9, 13.2 Hz), 44.4, 39.2, 28.3, 27.6, 27.1, 26.8; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -91.11 (d, *J* = 45.50 Hz), -91.45 (d, *J* = 45.50 Hz) ppm; ppm. HRMS (ESI) calcd for $C_{34}H_{32}F_2N_3$ $[M+H]^+$ 520.2559, found 520.2564.



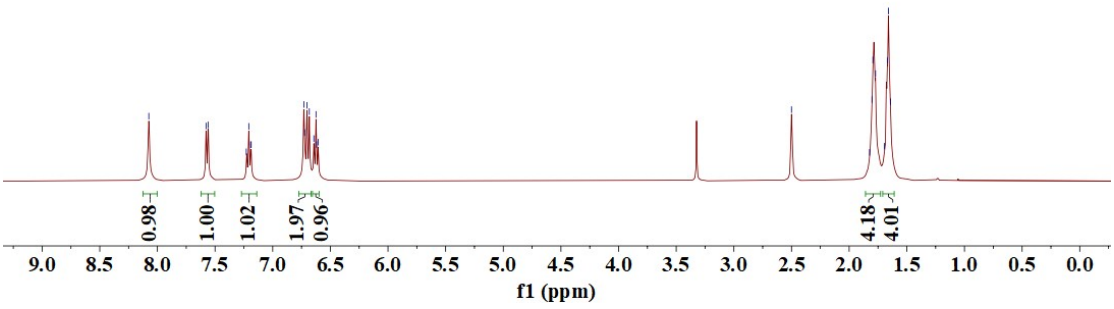
2-(11-([1,1'-Biphenyl]-4-yl)-12,12-difluoro-6-phenyldodeca-6,11-dien-1-yl)quinazolin-4(3*H*)-one (8a): colorless oil (12%, 13.7 mg); R_f = 0.2 (EtOAc/petroleum ether = 1:3, Z/E = 7:3); ^{1}H NMR (400 MHz, $CDCl_3$): δ = 11.86 (s, 1H), 8.26 (d, *J* = 8.0 Hz, 1H), 7.78-7.69 (m,

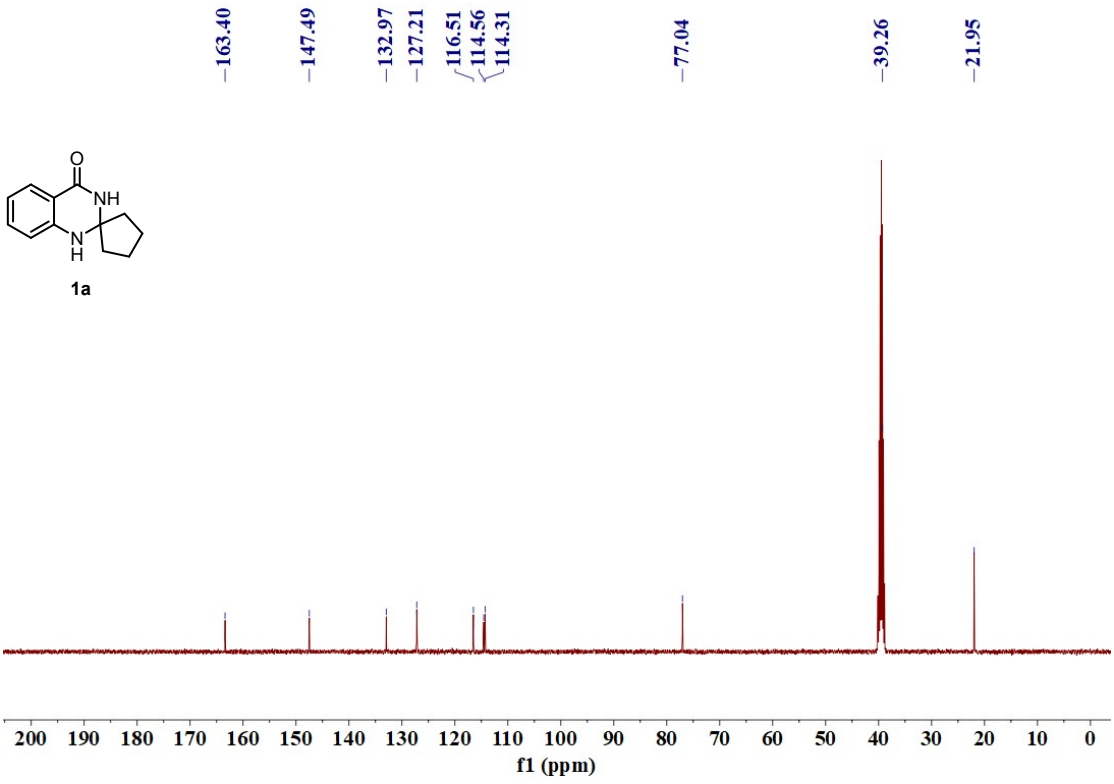
2H), 7.60-7.54 (m, 3H), 7.46-7.37 (m, 4H), 7.34-7.26 (m, 6H), 7.22-7.18 (m, 1H), 7.13-7.08 (m, 1H), 5.59 (t, J = 7.2 Hz, 0.7H), 5.39 (t, J = 7.2 Hz, 0.3H), 2.77-2.70 (m, 2H), 2.52-2.47 (m, 3H), 2.37-2.33 (m, 1H), 2.23-2.13 (m, 2H), 1.97-1.81 (m, 3H), 1.58-1.51 (m, 1H), 1.47-1.39 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ = 164.2, 156.8, 153.6 (dd, J = 289.5, 286.8 Hz), 149.4, 143.1, 143.0, 140.6, 140.4, 139.9, 134.8, 132.5, 128.8, 128.5 (t, J = 3.6 Hz), 128.3, 128.1, 128.0, 127.3, 127.15, 127.06, 126.9, 126.5, 126.3, 126.2, 120.4, 91.9 (dd, J = 21.7, 12.4 Hz), 39.0, 35.8, 29.6, 29.1, 28.22, 28.18, 27.9, 27.6, 27.32, 27.27, 27.1, 26.9; ^{19}F NMR (376 MHz, $CDCl_3$) δ = -90.64 - -91.16 (m) ppm; HRMS (ESI) calcd for $C_{38}H_{37}F_2N_2O$ $[M+H]^+$ 575.2869, found 575.2874.

11. ^{1}H NMR, ^{13}C NMR and ^{19}F NMR Spectra of Products

^{1}H NMR and ^{13}C NMR spectra for product 1a (DMSO-d_6)

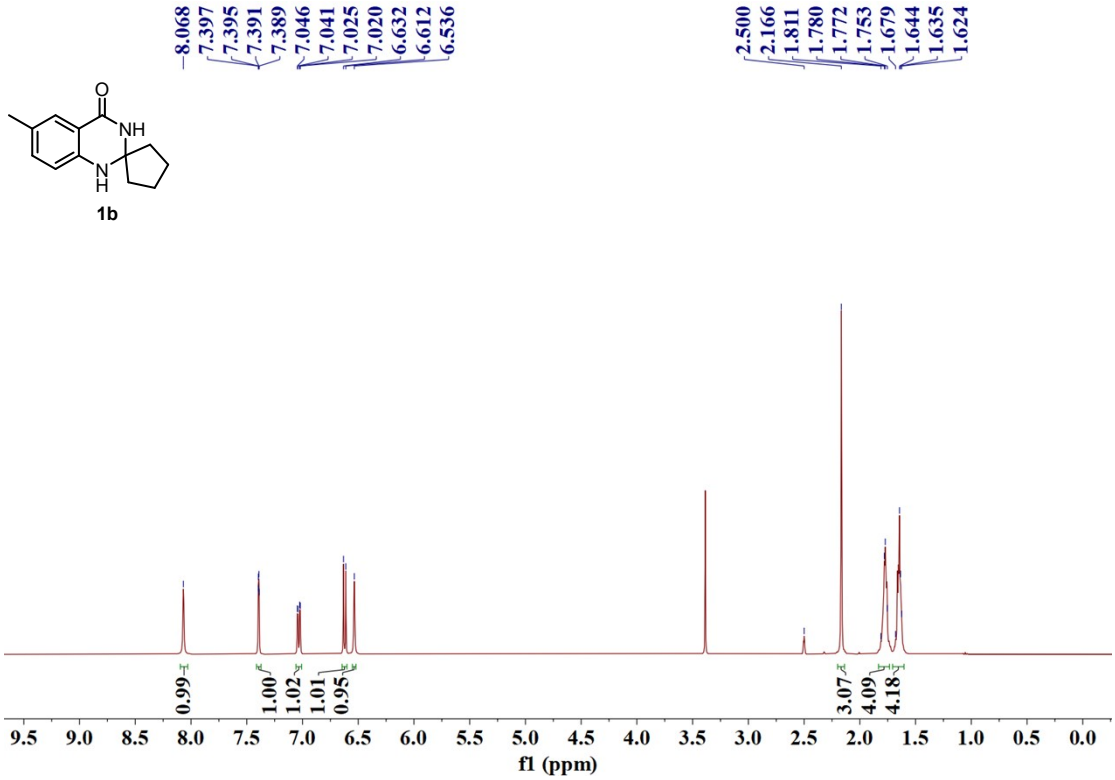
1a

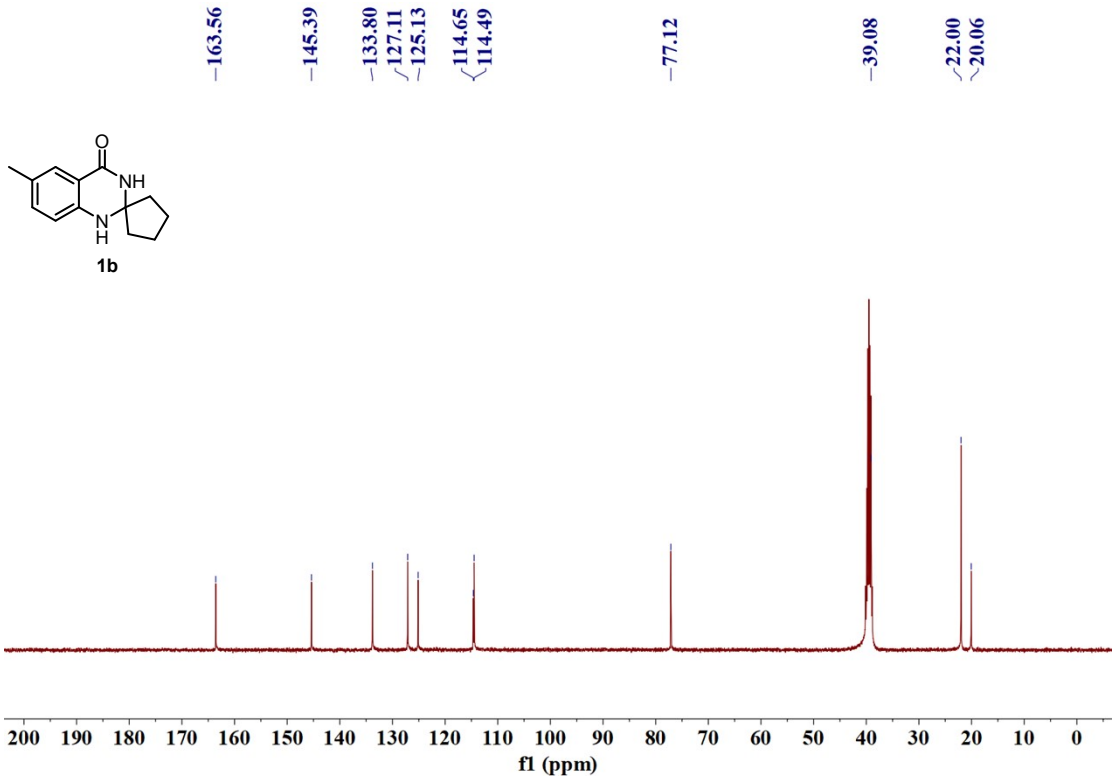




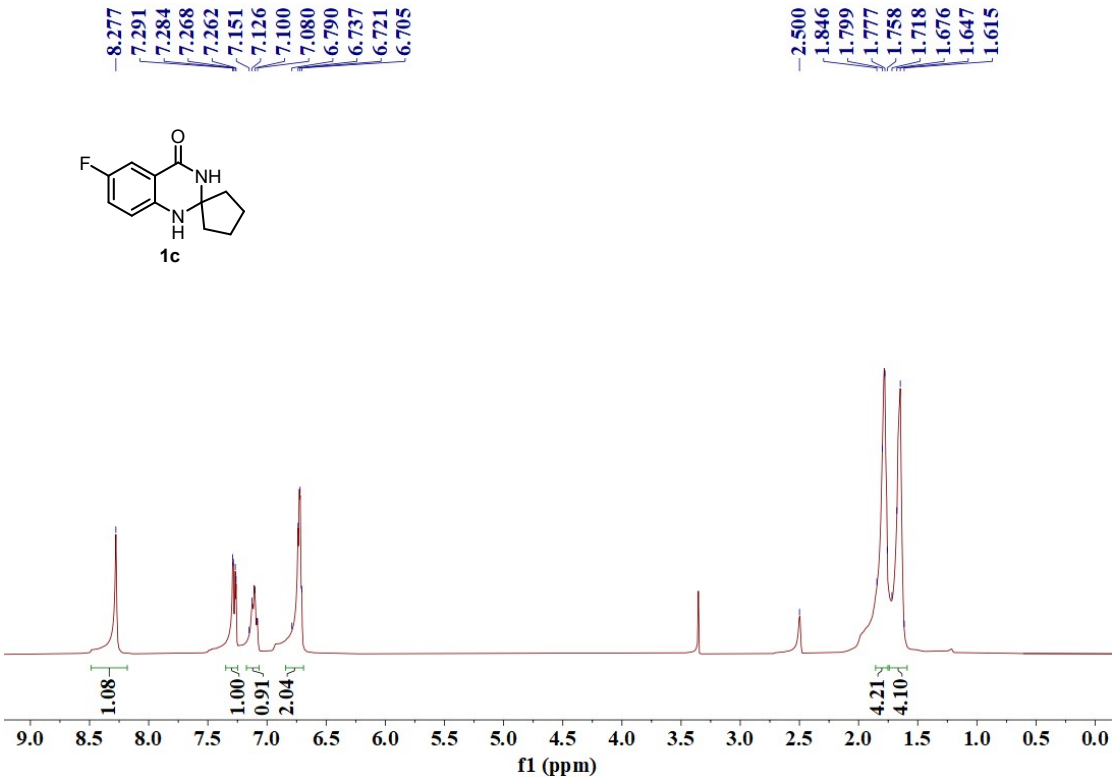
1a

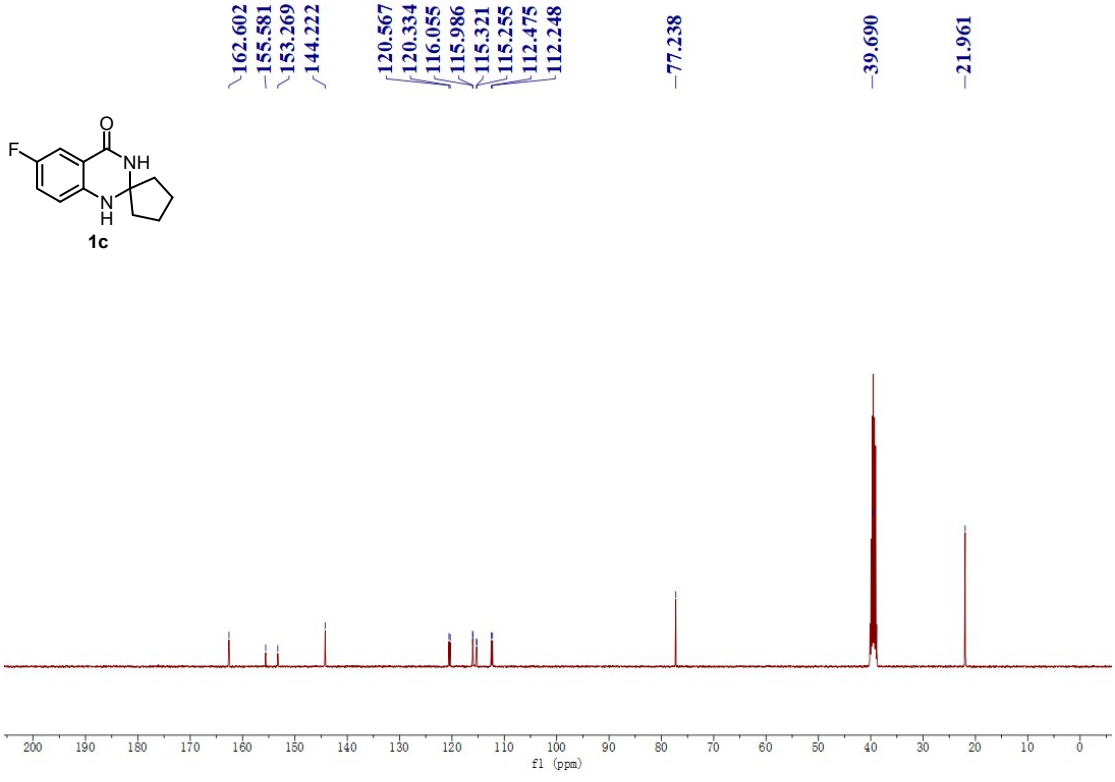
^{1}H NMR and ^{13}C NMR spectra for product 1b (DMSO-d_6)



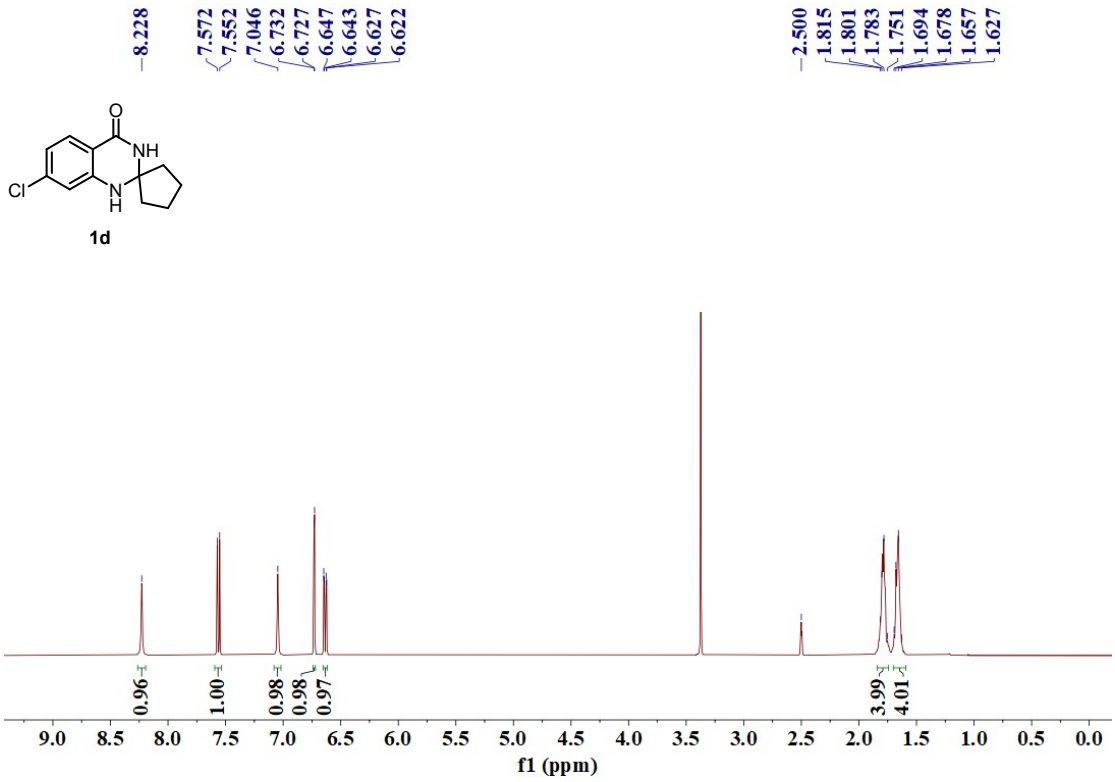


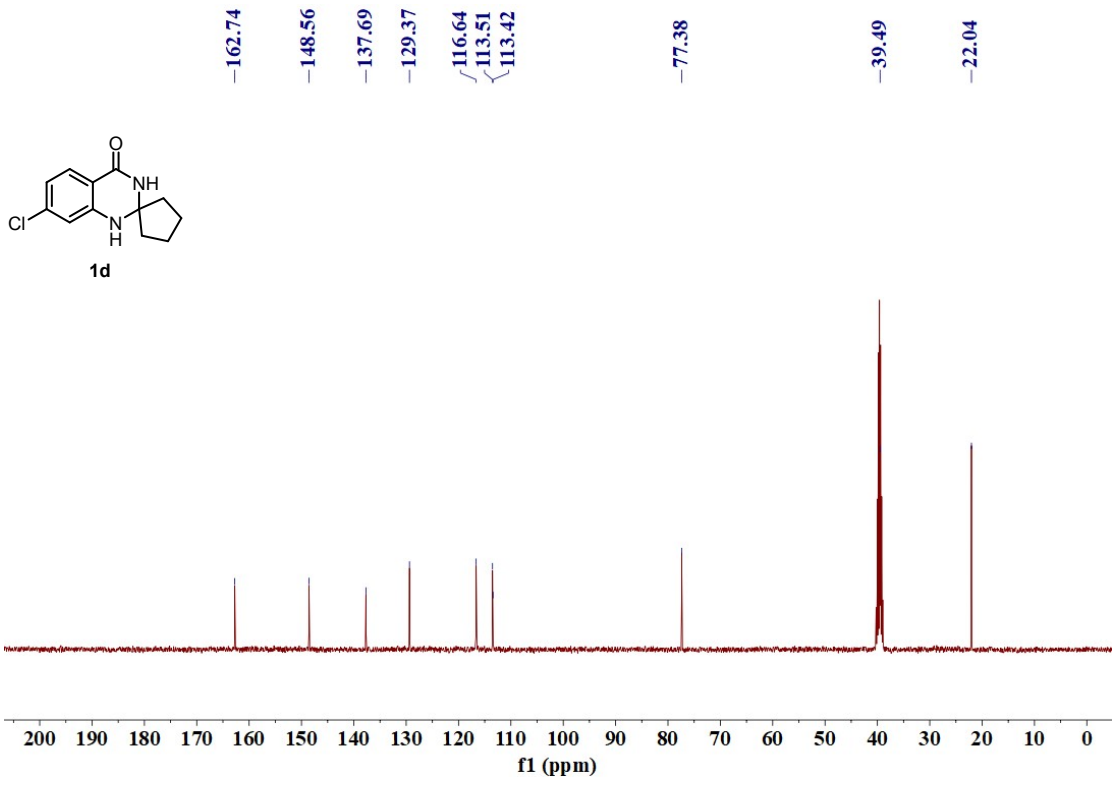
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 1c (DMSO-d_6)



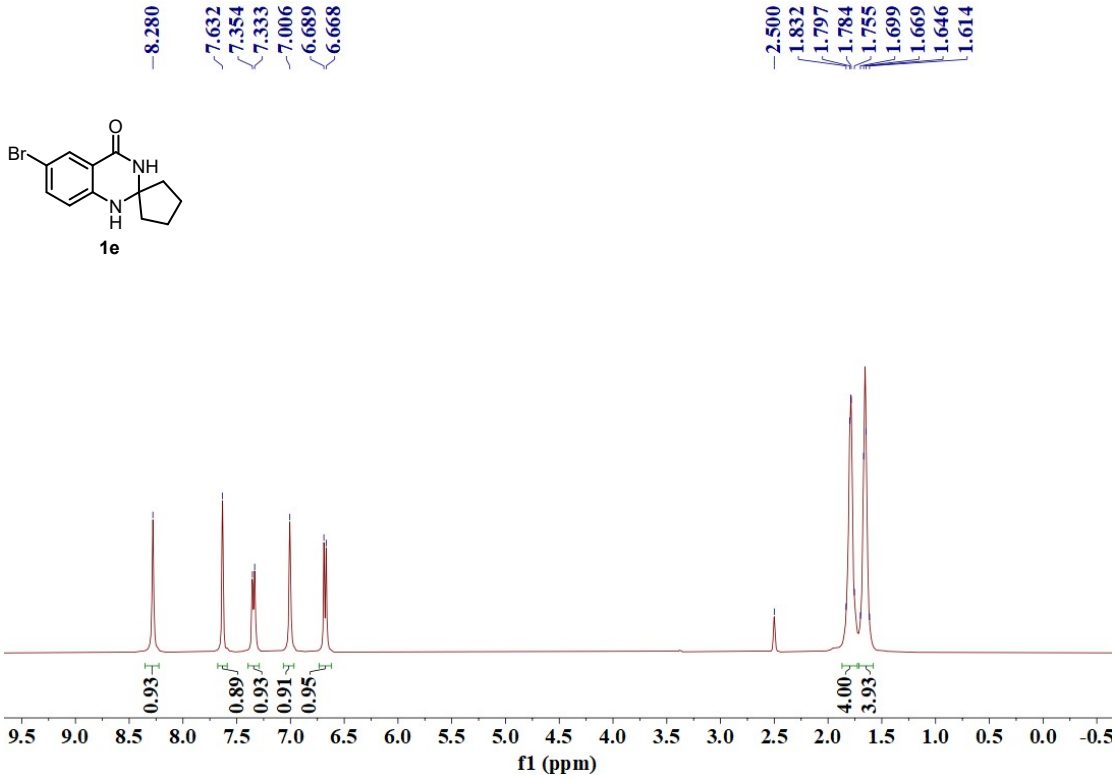


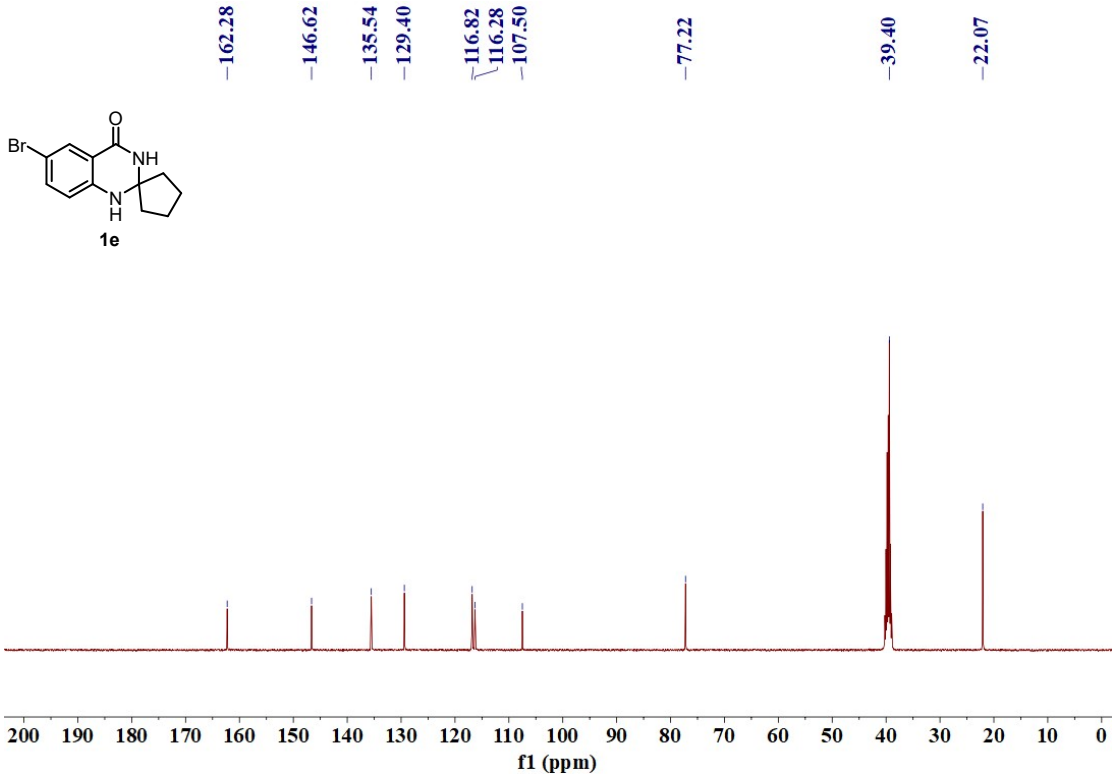
^{1}H NMR and ^{13}C NMR spectra for product 1d (DMSO-d_6)



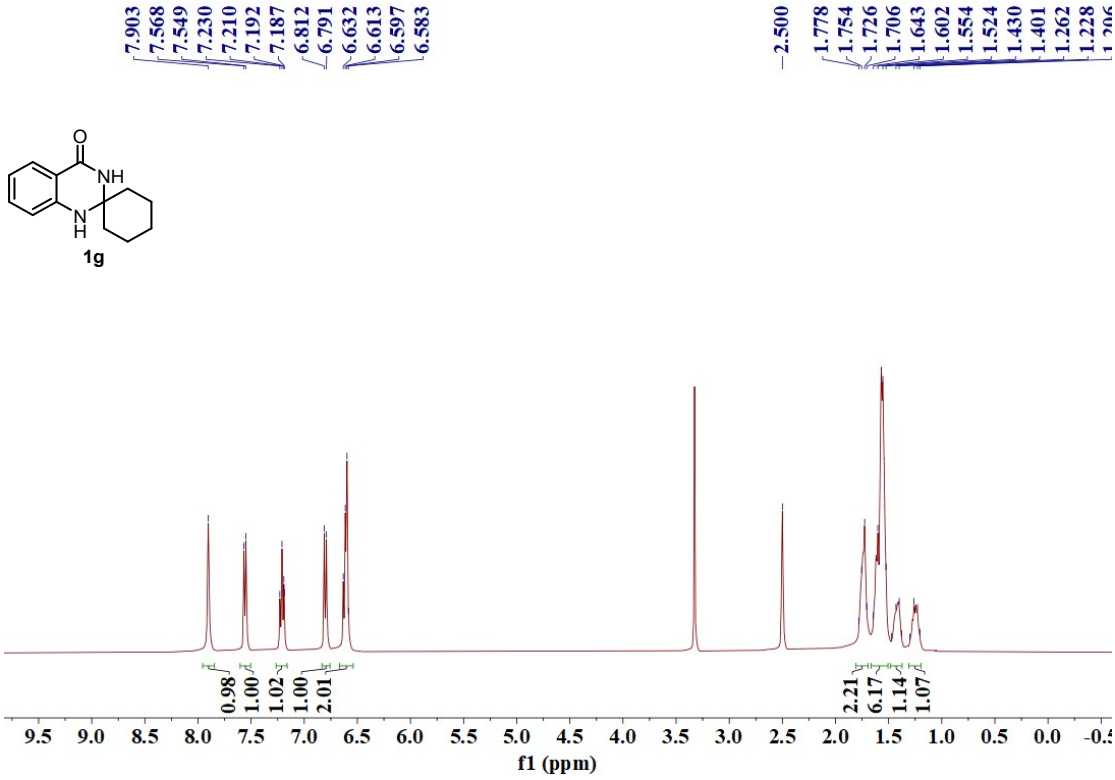


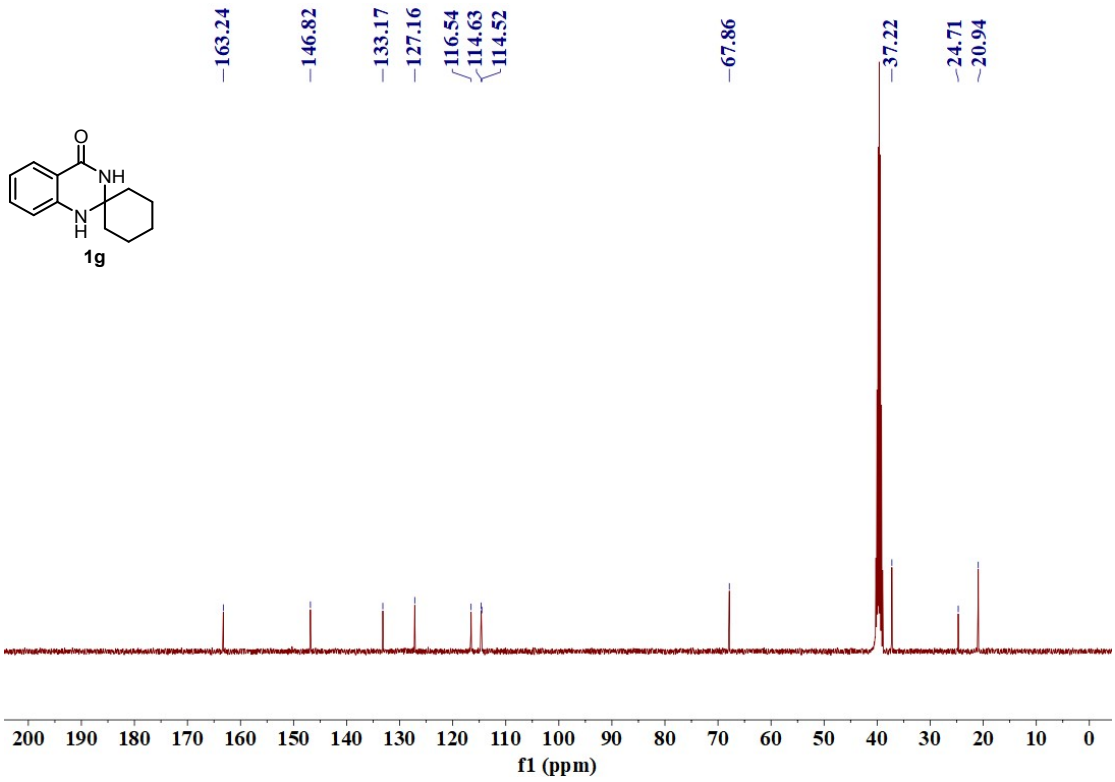
^{1}H NMR and ^{13}C NMR spectra for product 1e (DMSO-d_6)



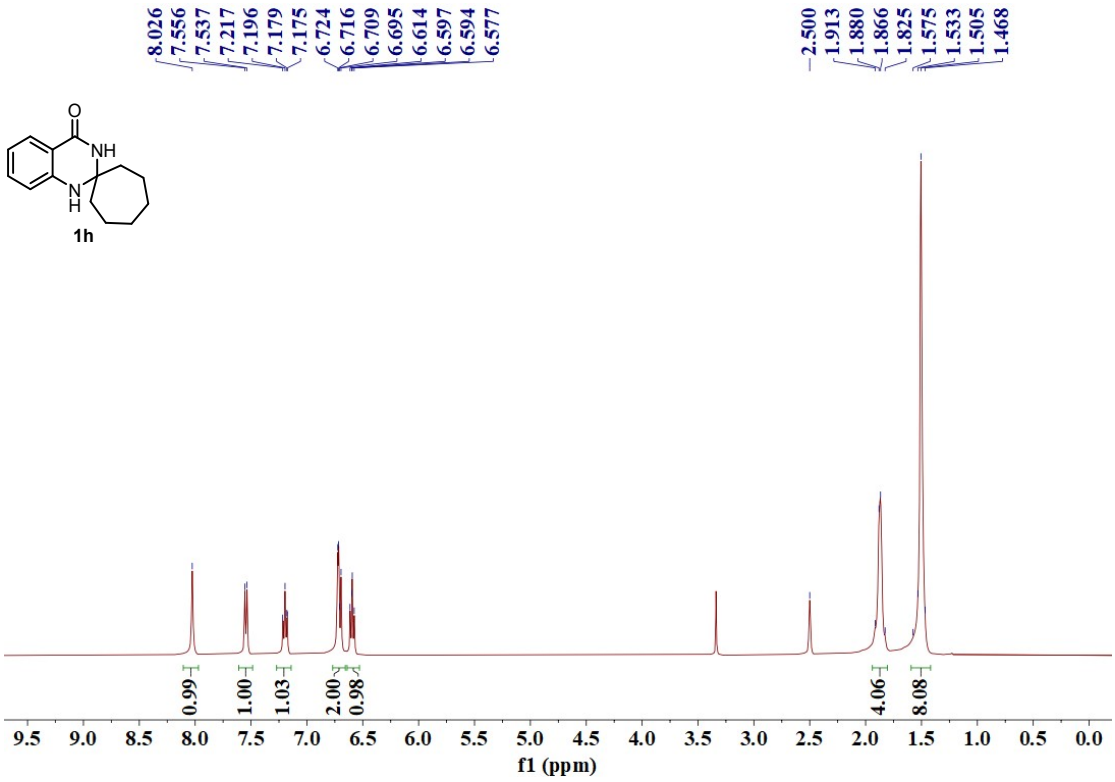


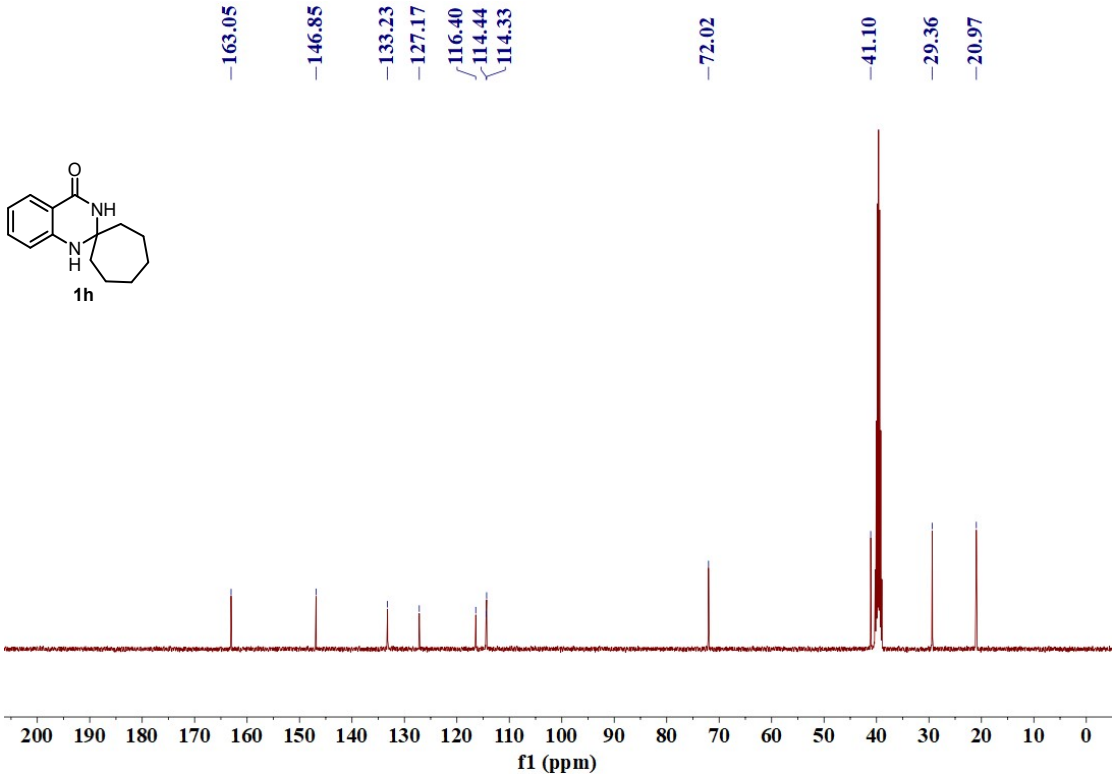
^{1}H NMR and ^{13}C NMR spectra for product 1g (DMSO-d_6)



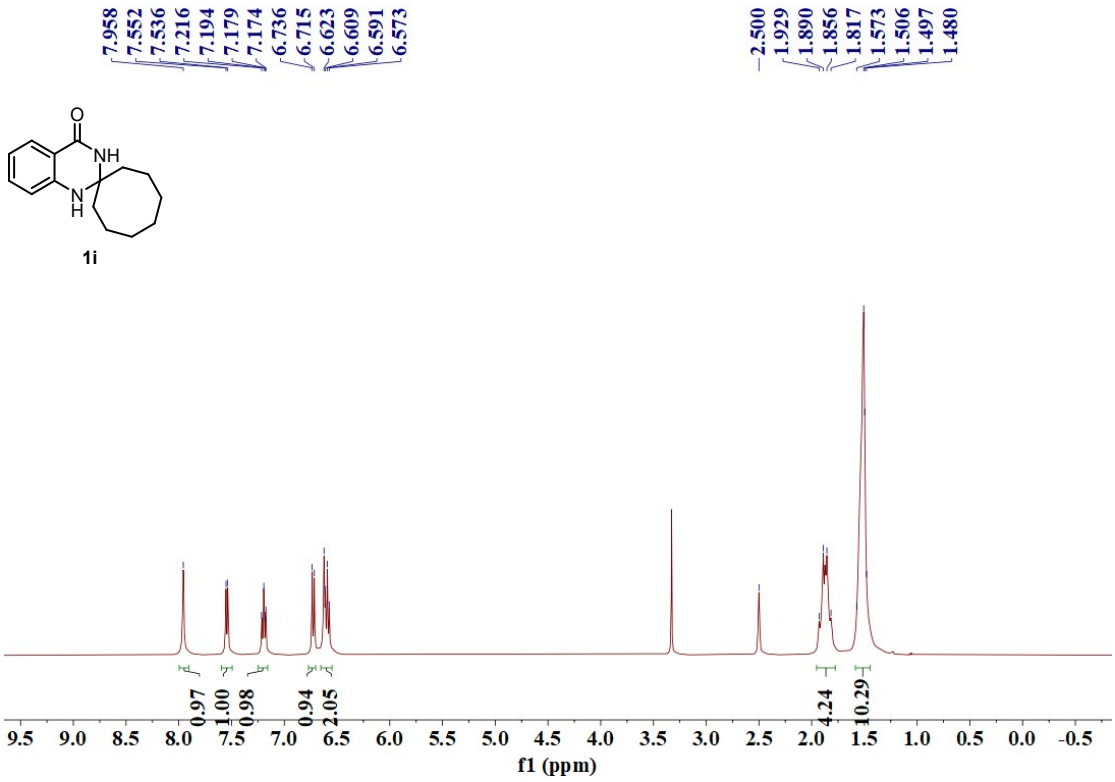


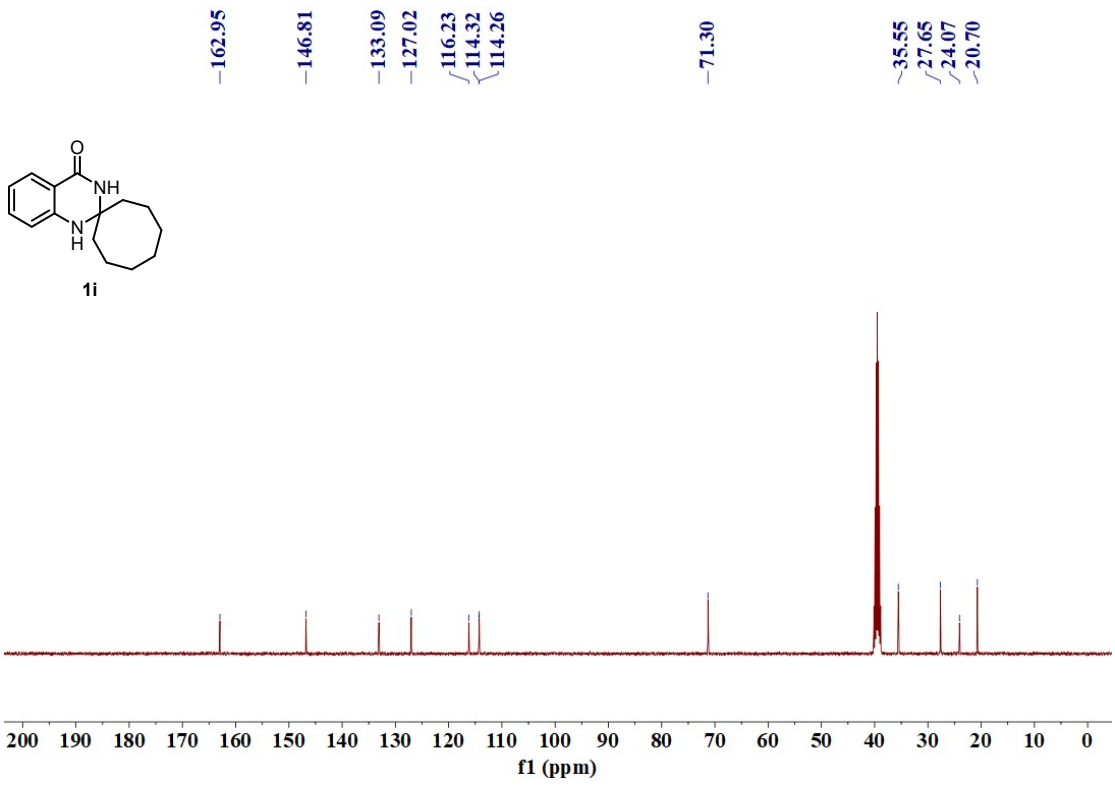
^{1}H NMR and ^{13}C NMR spectra for product 1h (DMSO-d_6)



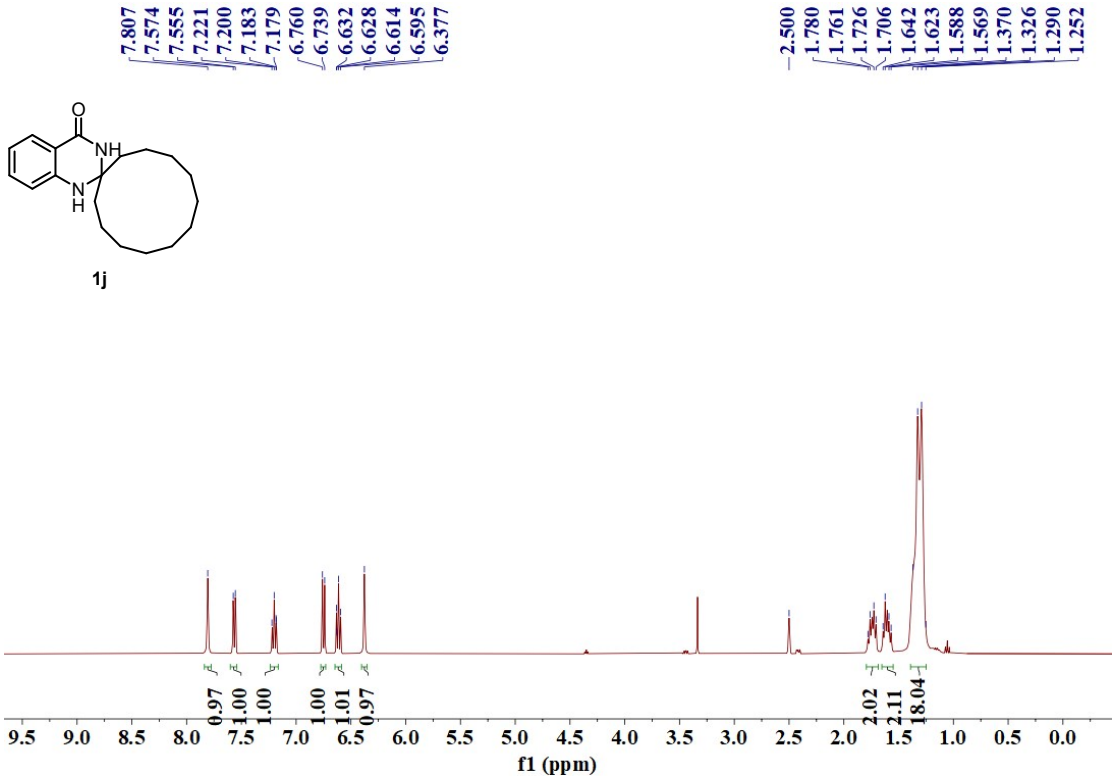


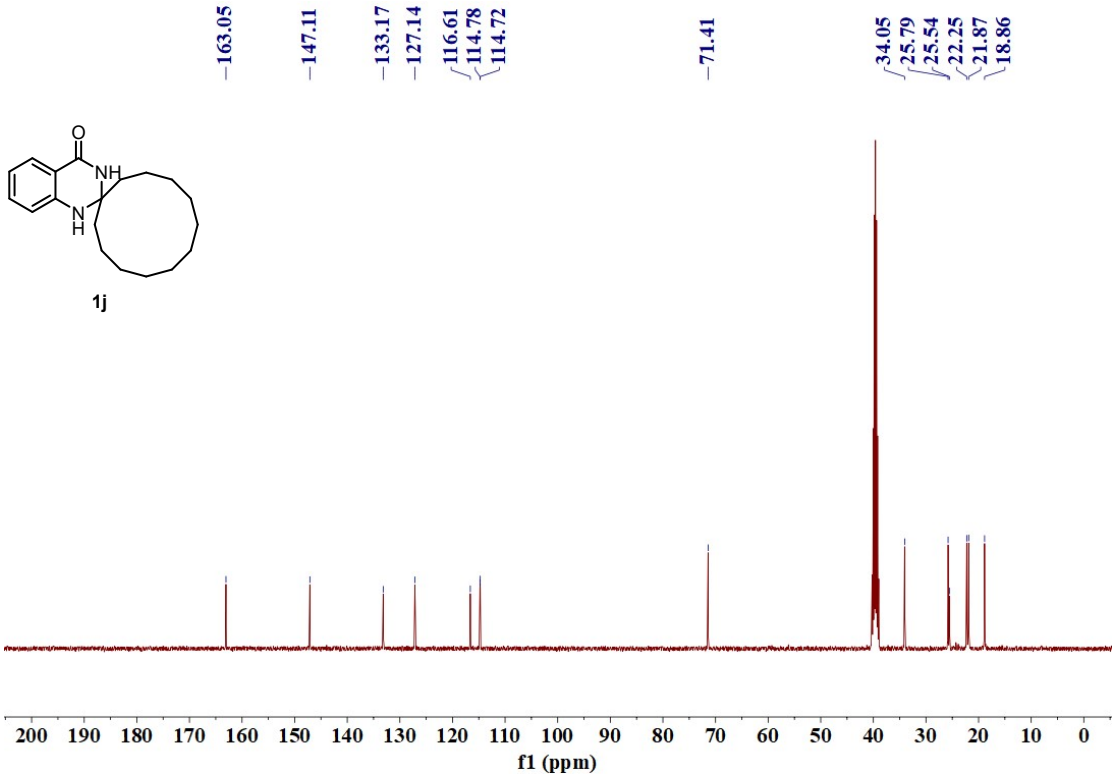
^{1}H NMR and ^{13}C NMR spectra for product 1i (DMSO-d_6)





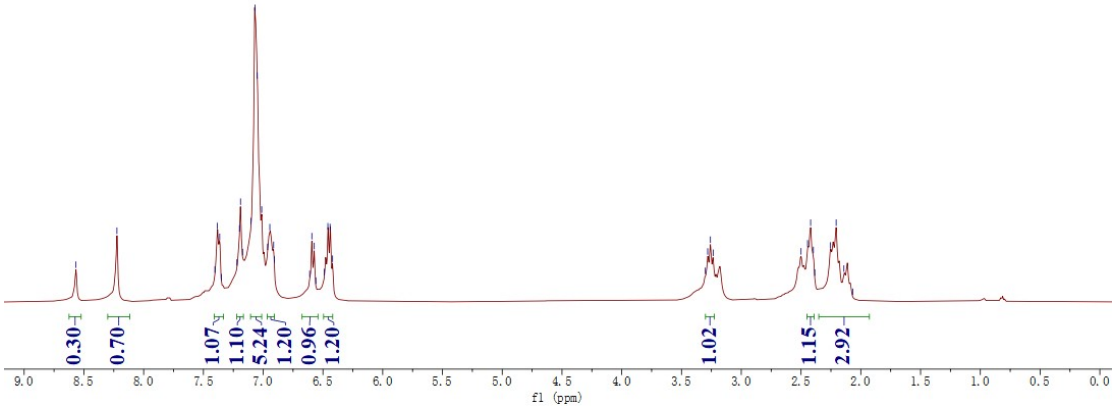
^{1}H NMR and ^{13}C NMR spectra for product 1j (DMSO-d_6)





^{1}H NMR and ^{13}C NMR spectra for product 1k (DMSO-d_6)

1k, dr = 1:2.3



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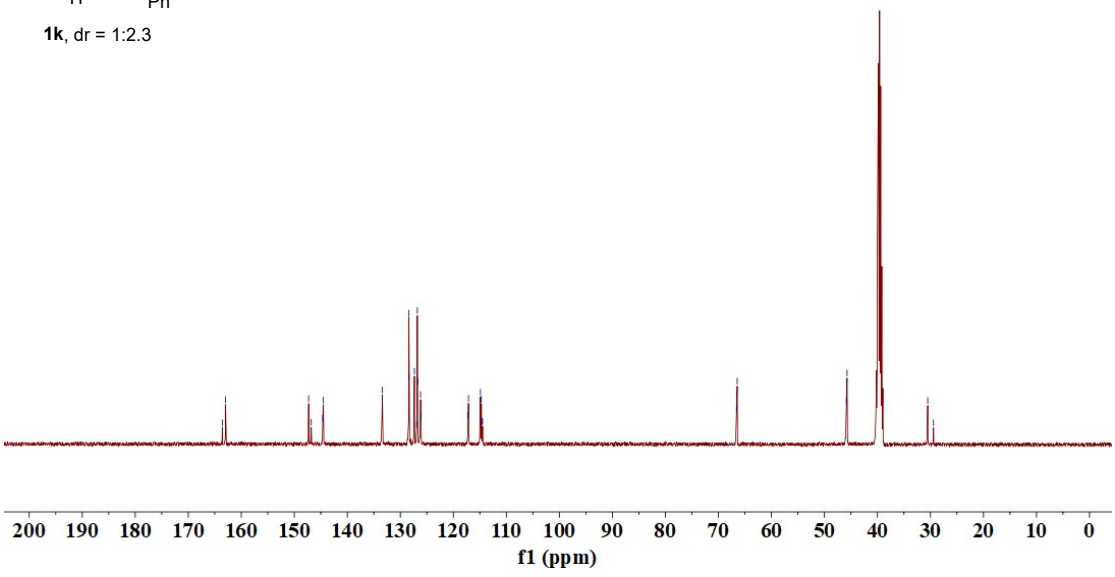
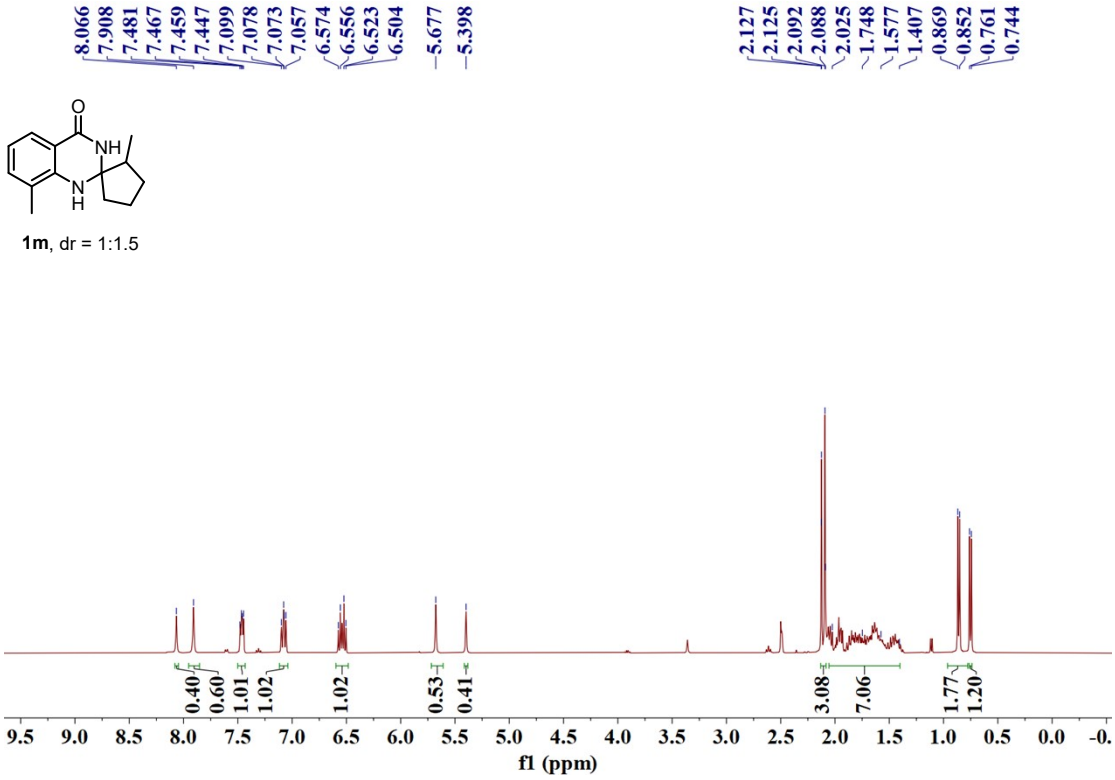
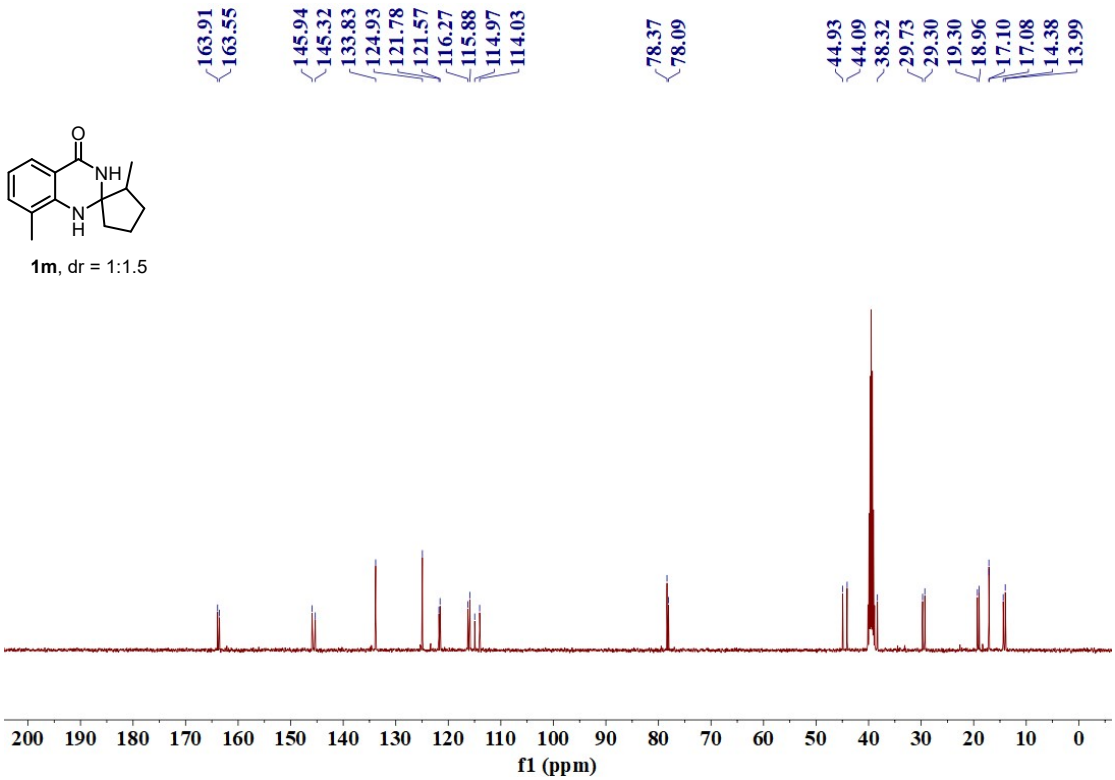
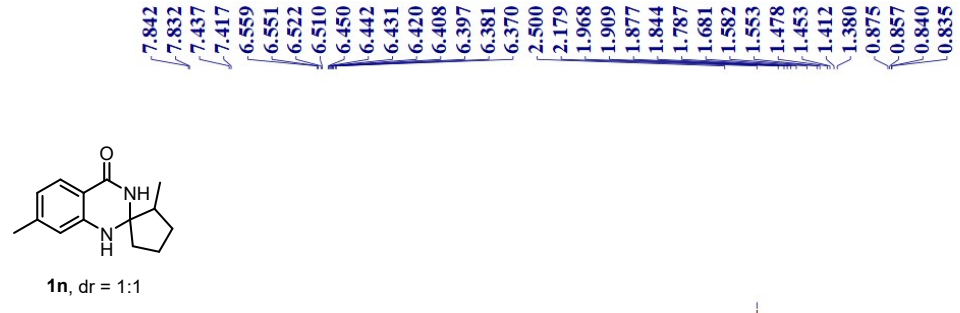


^{1}H NMR and ^{13}C NMR spectra for product 1m (DMSO-d_6)

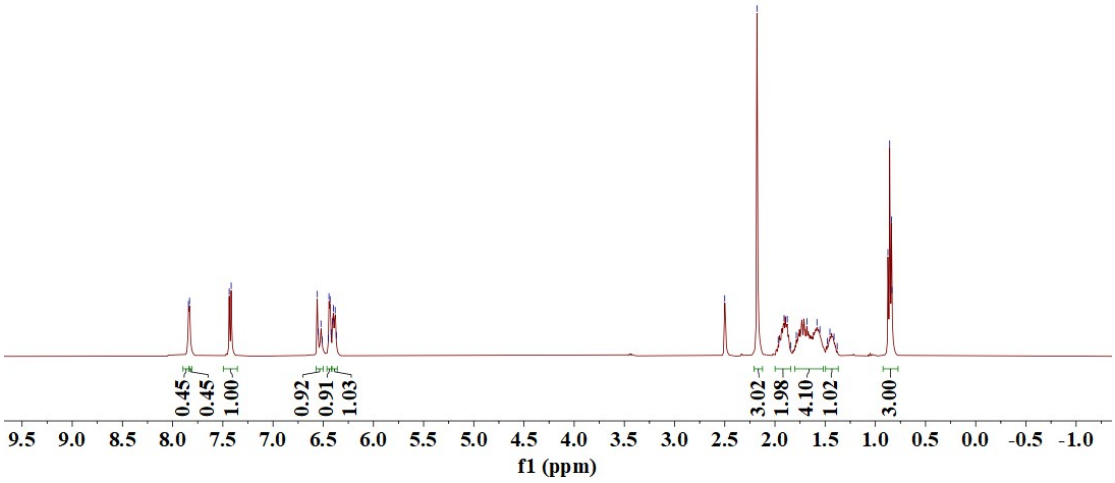


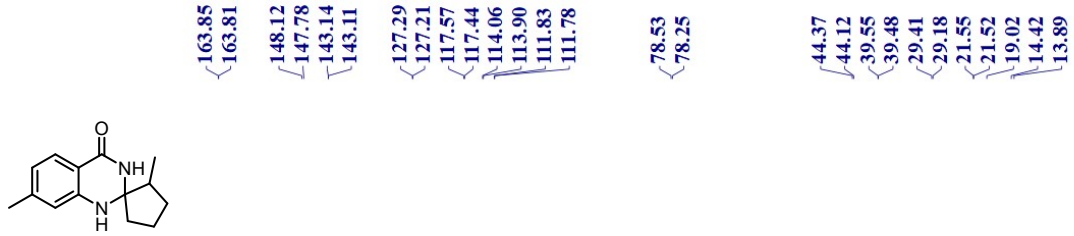


^{1}H NMR and ^{13}C NMR spectra for product 1n (DMSO-d_6)

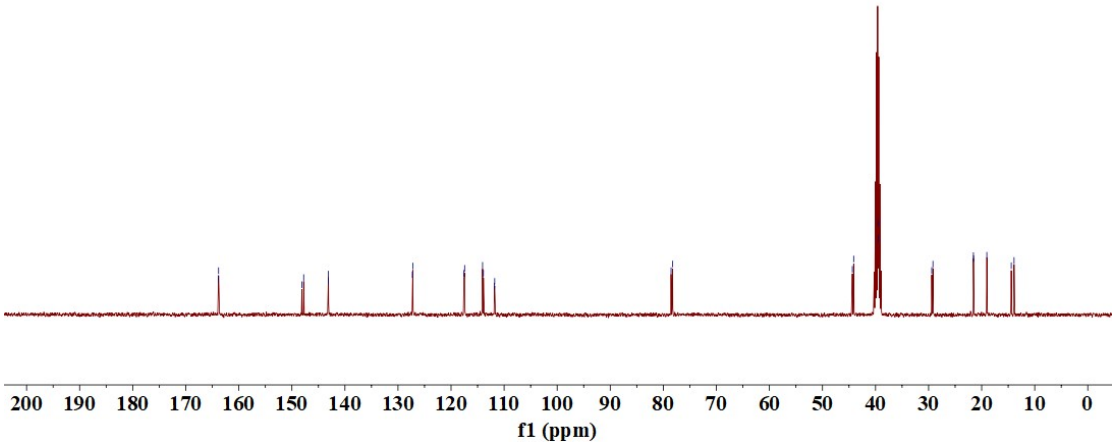


1n, dr = 1:1

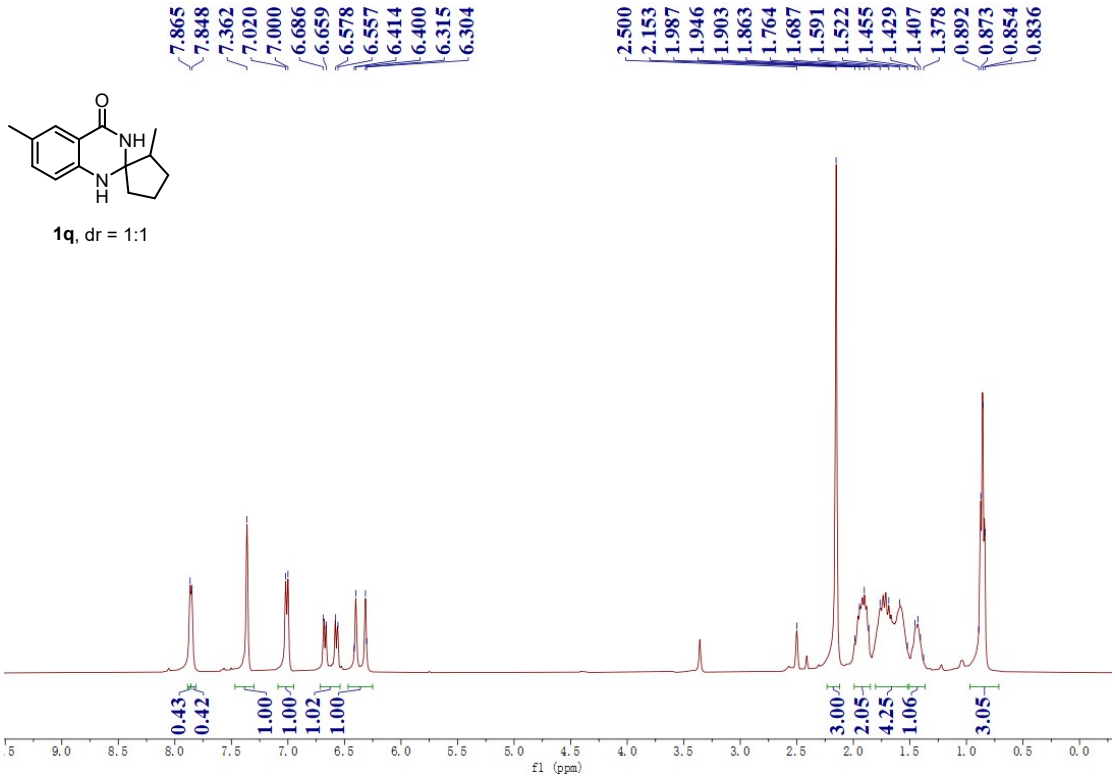


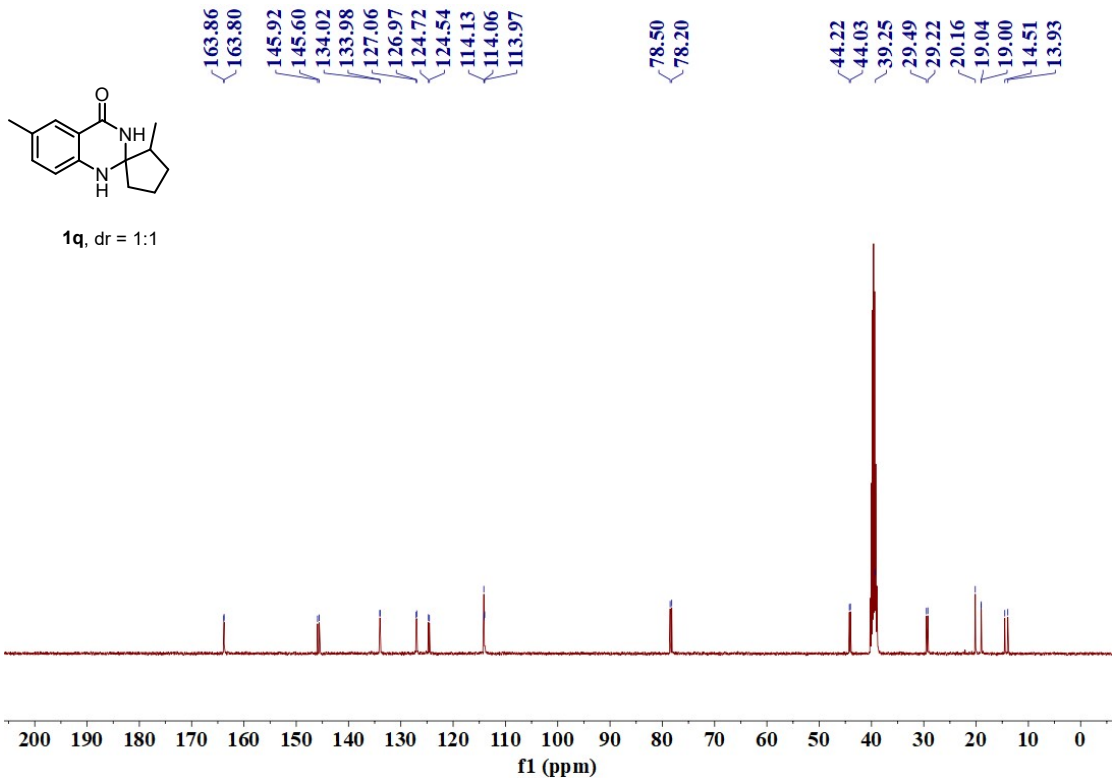


1n, dr = 1:1

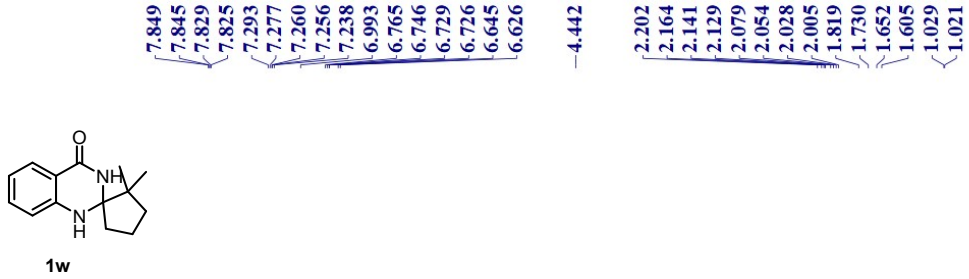


^{1}H NMR and ^{13}C NMR spectra for product 1n (DMSO-d_6)

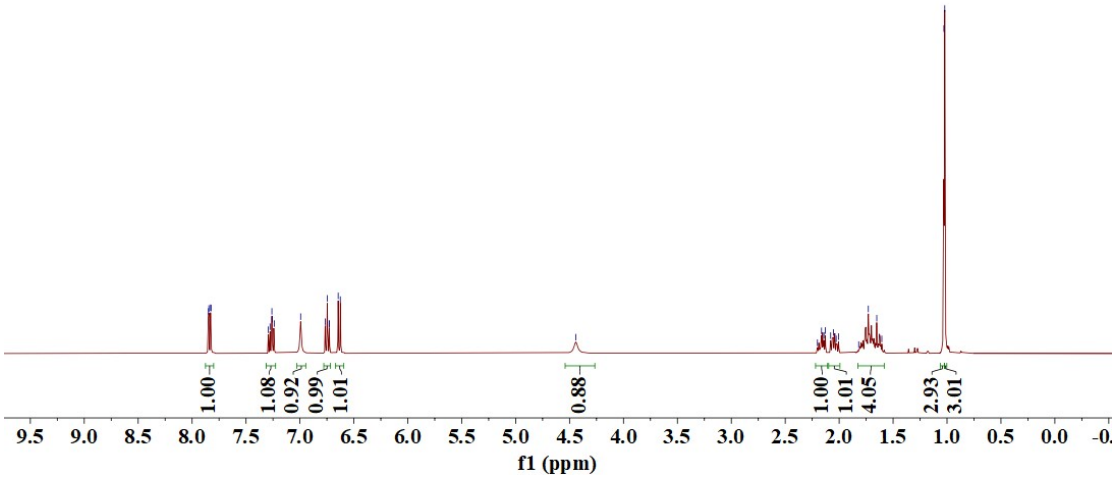


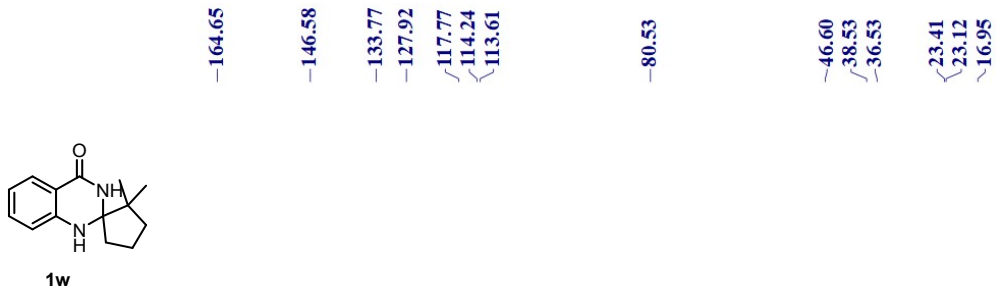


^{1}H NMR and ^{13}C NMR spectra for product 1w ($CDCl_3$)

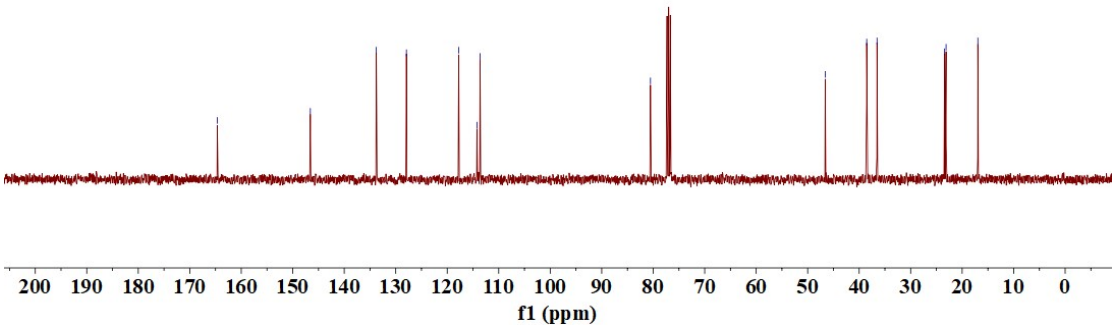


1w

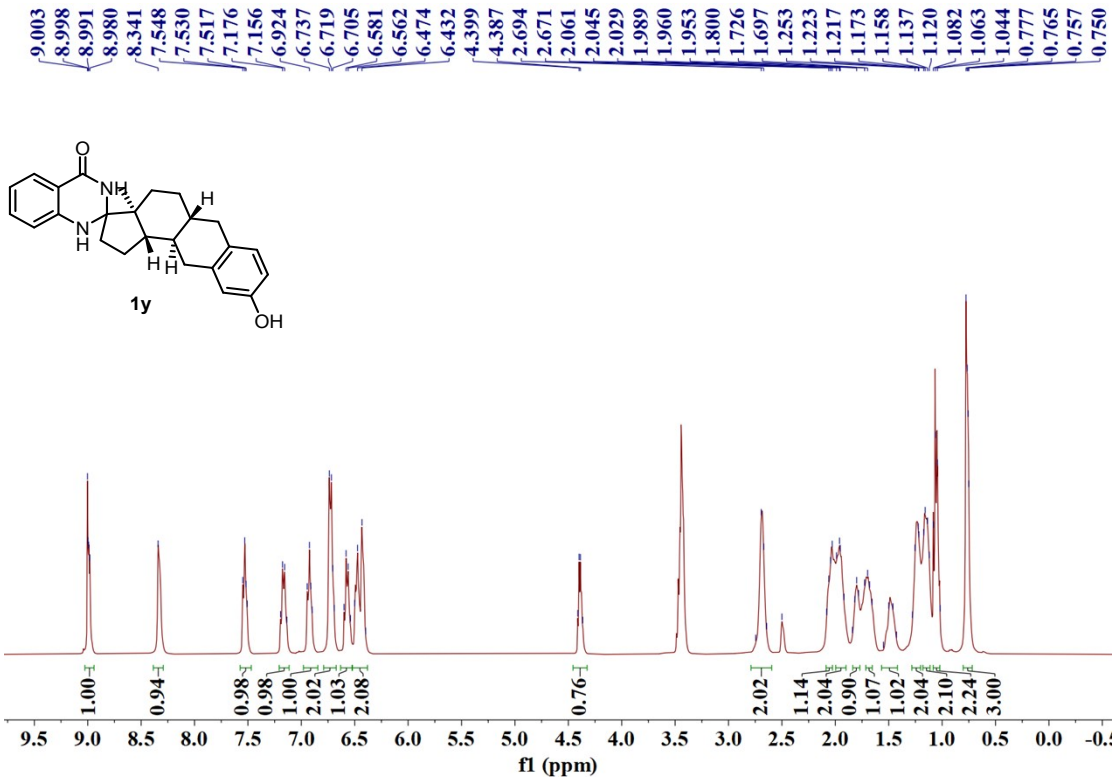


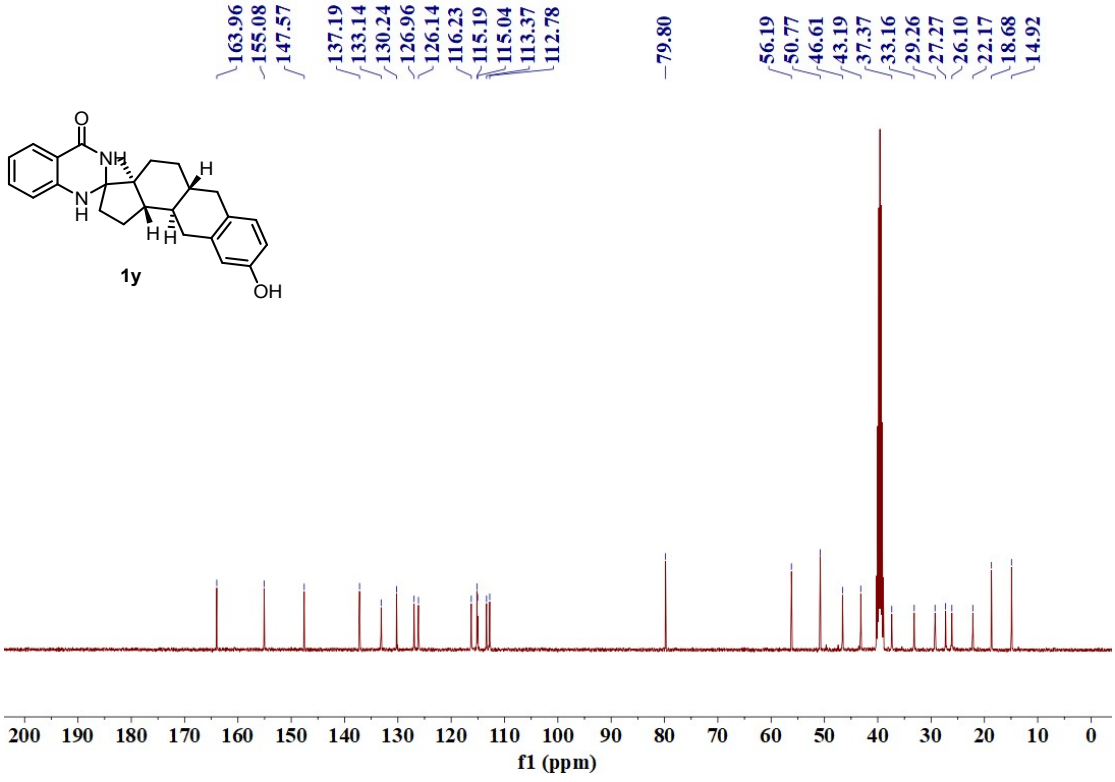


1w

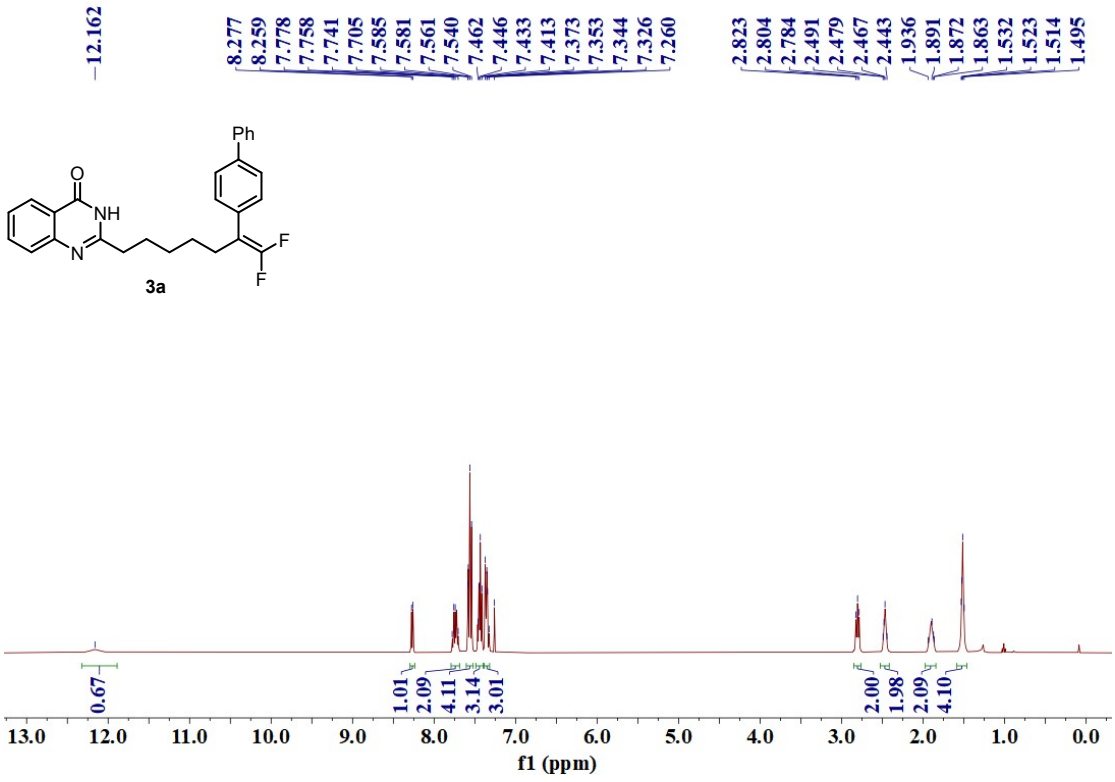


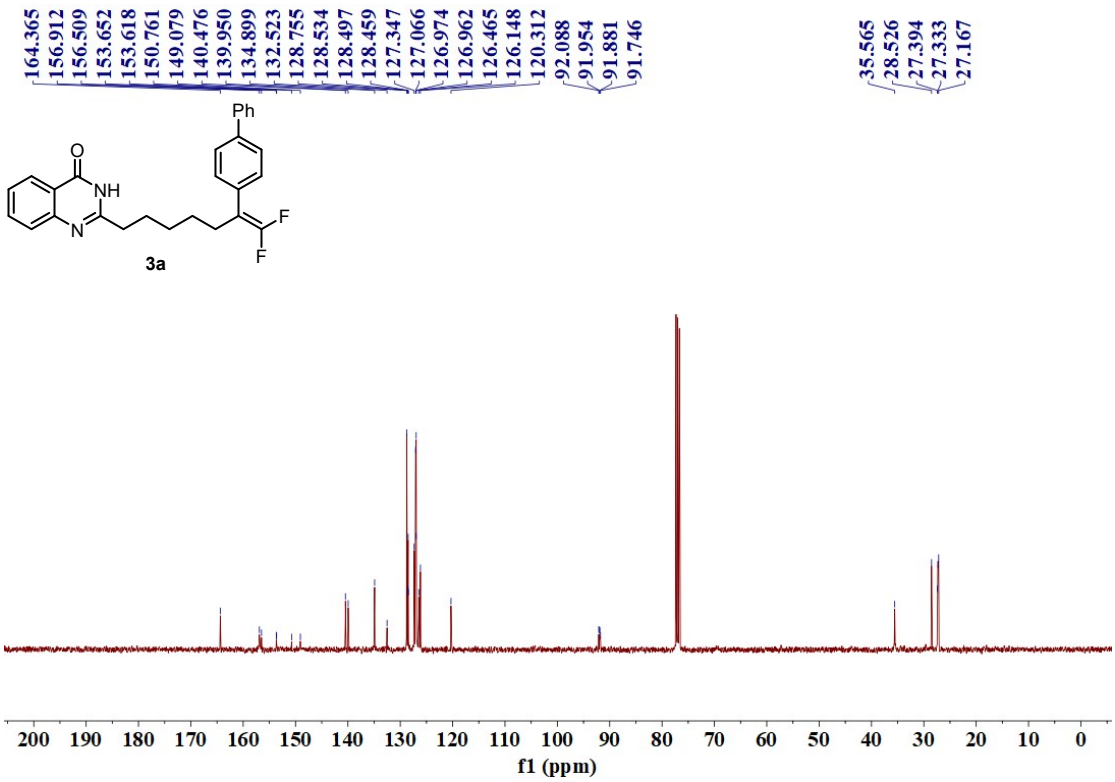
^{1}H NMR and ^{13}C NMR spectra for product 1y (DMSO-d_6)

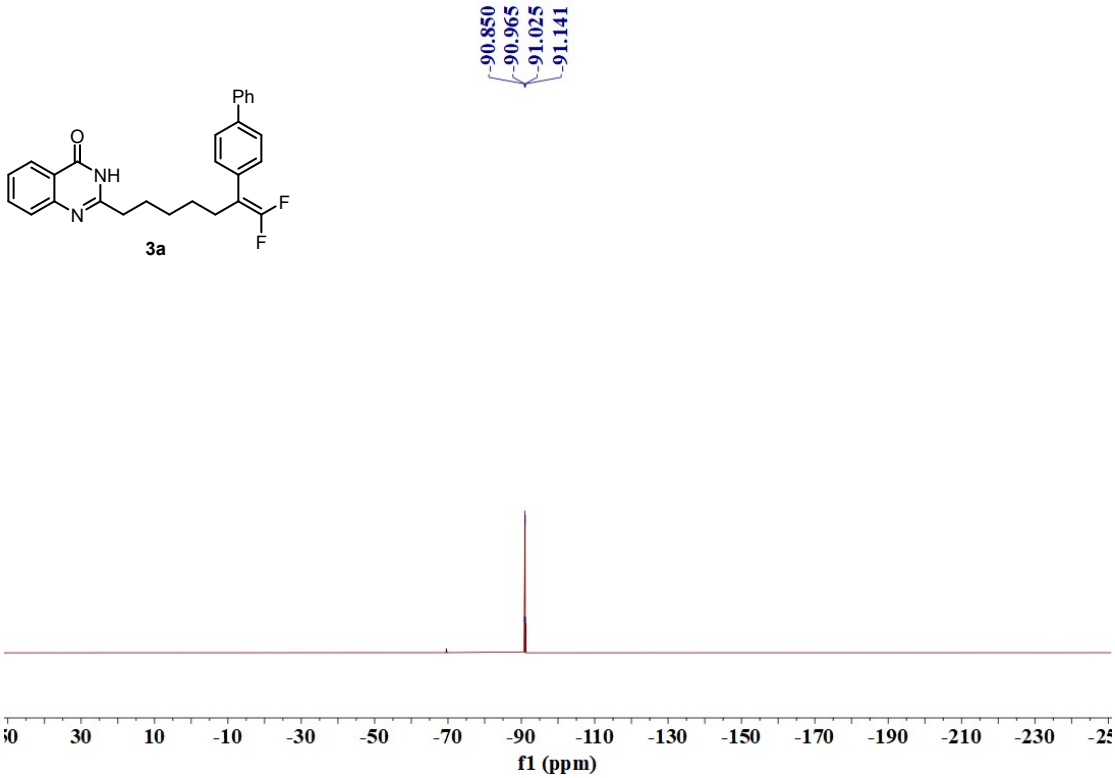




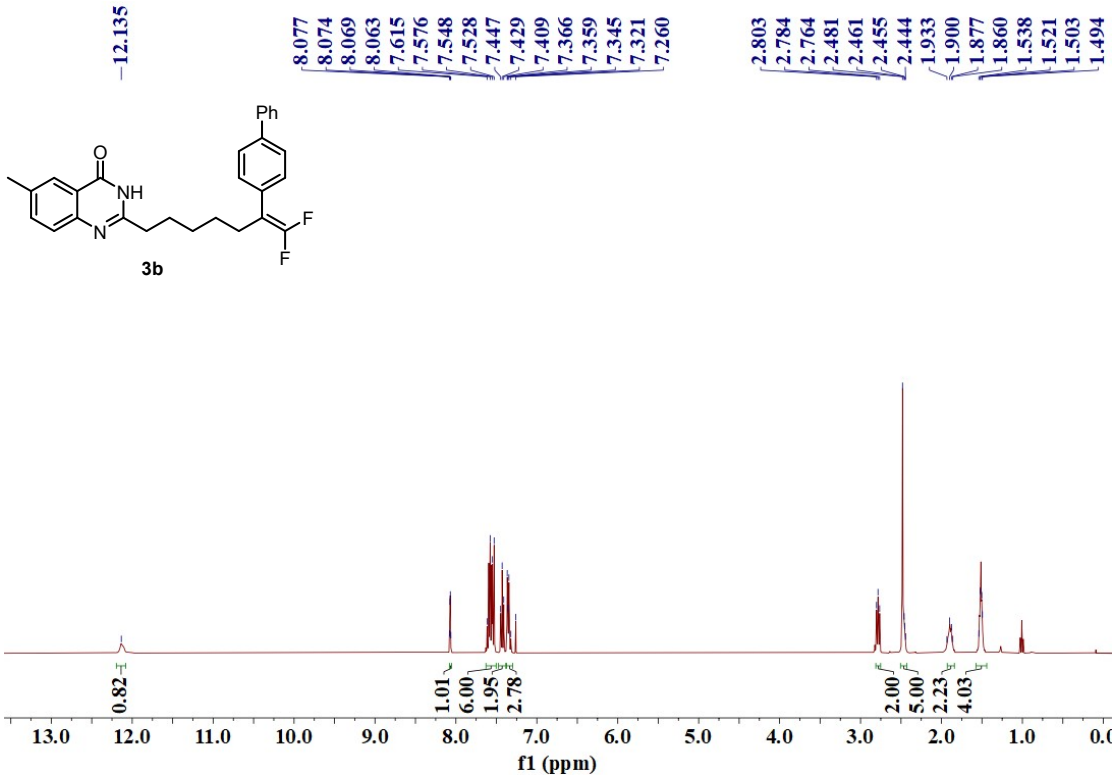
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3a (Chloroform-*d*)

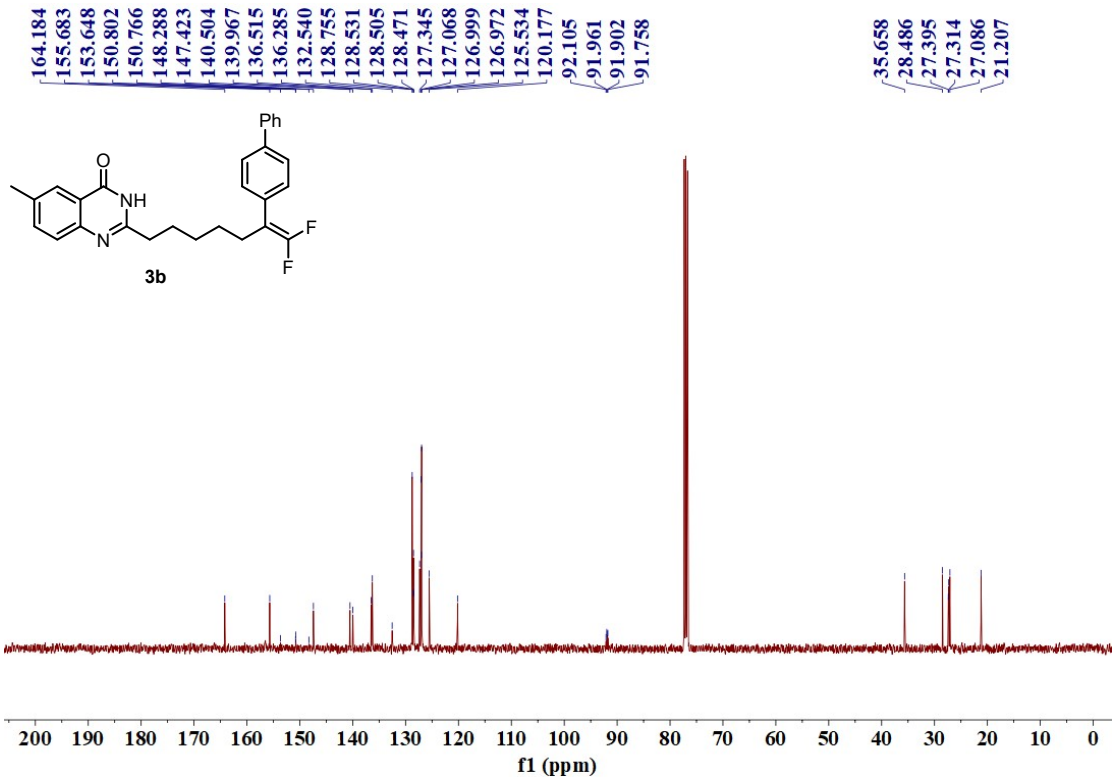


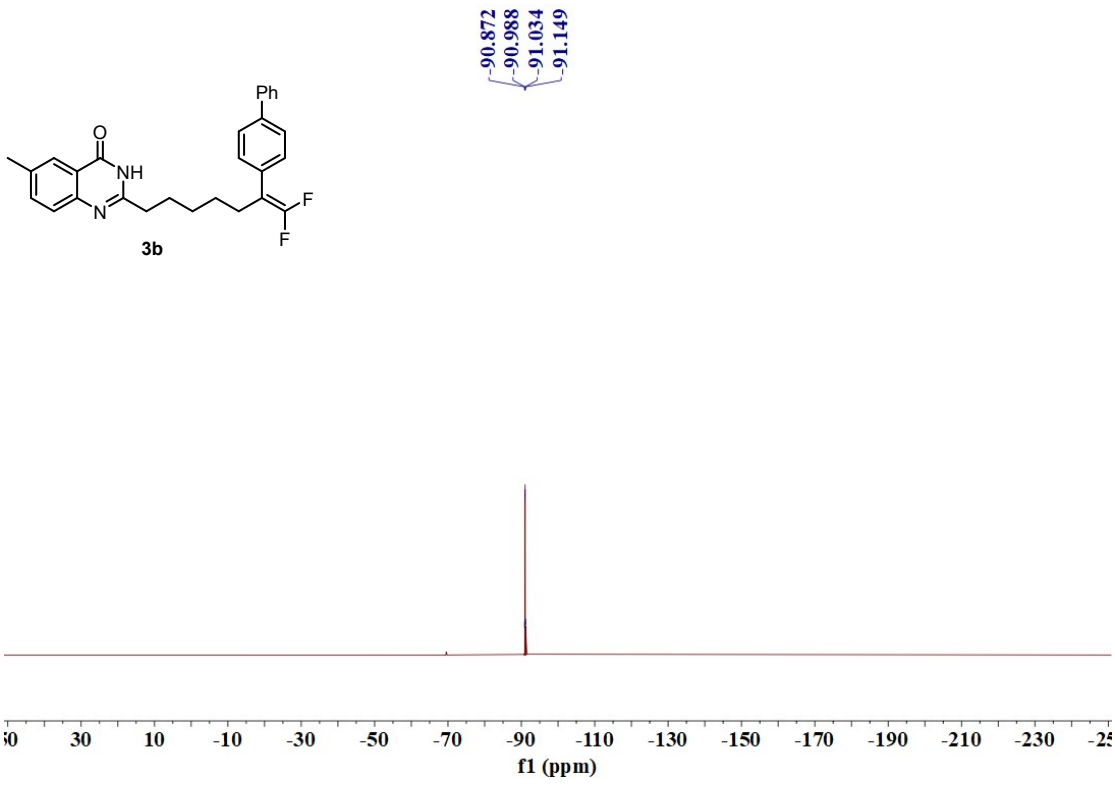




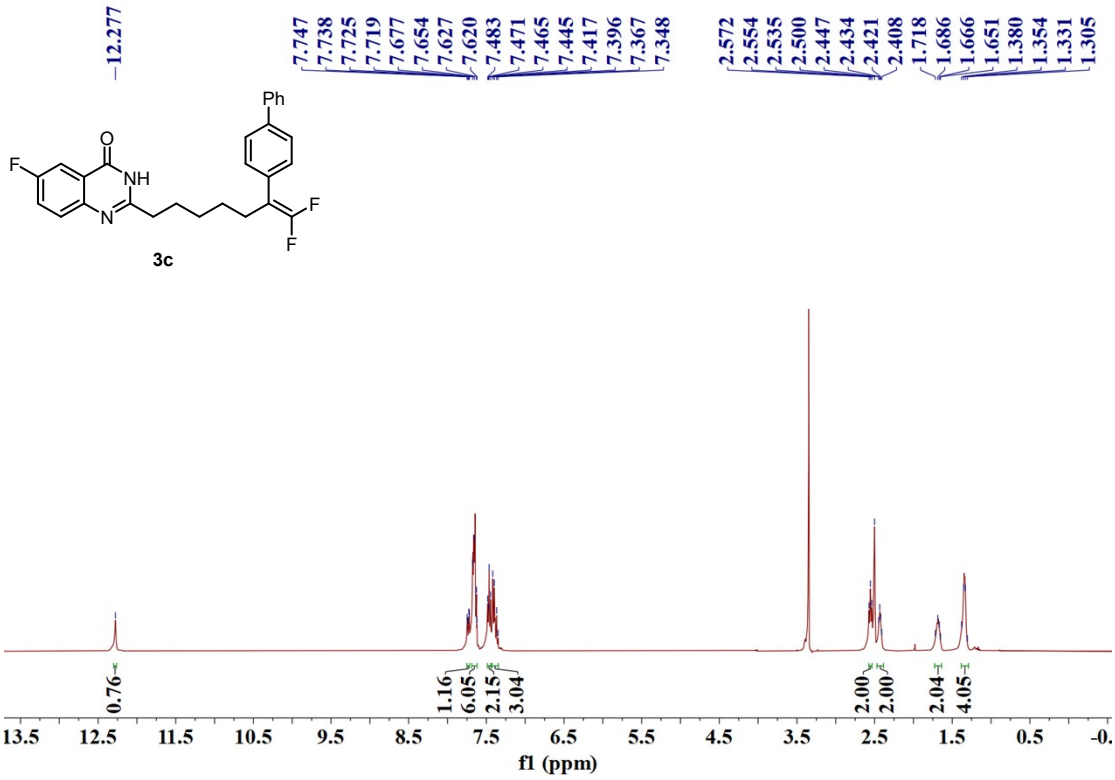
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3b (Chloroform-*d*)

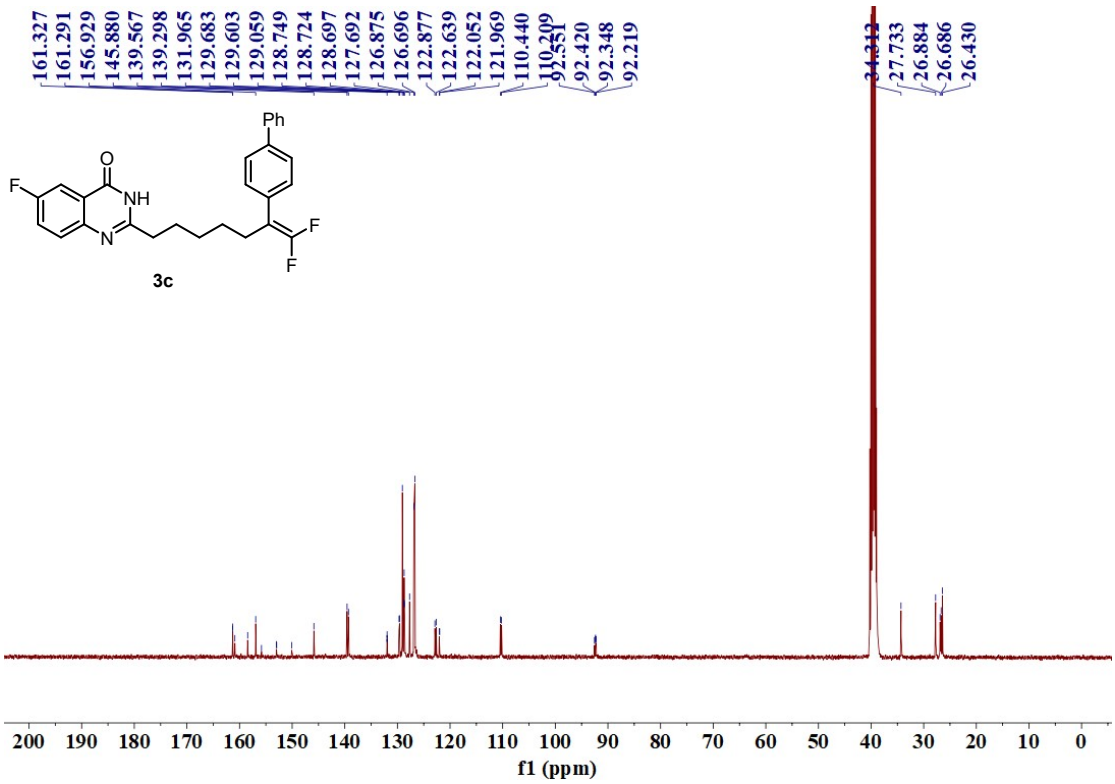


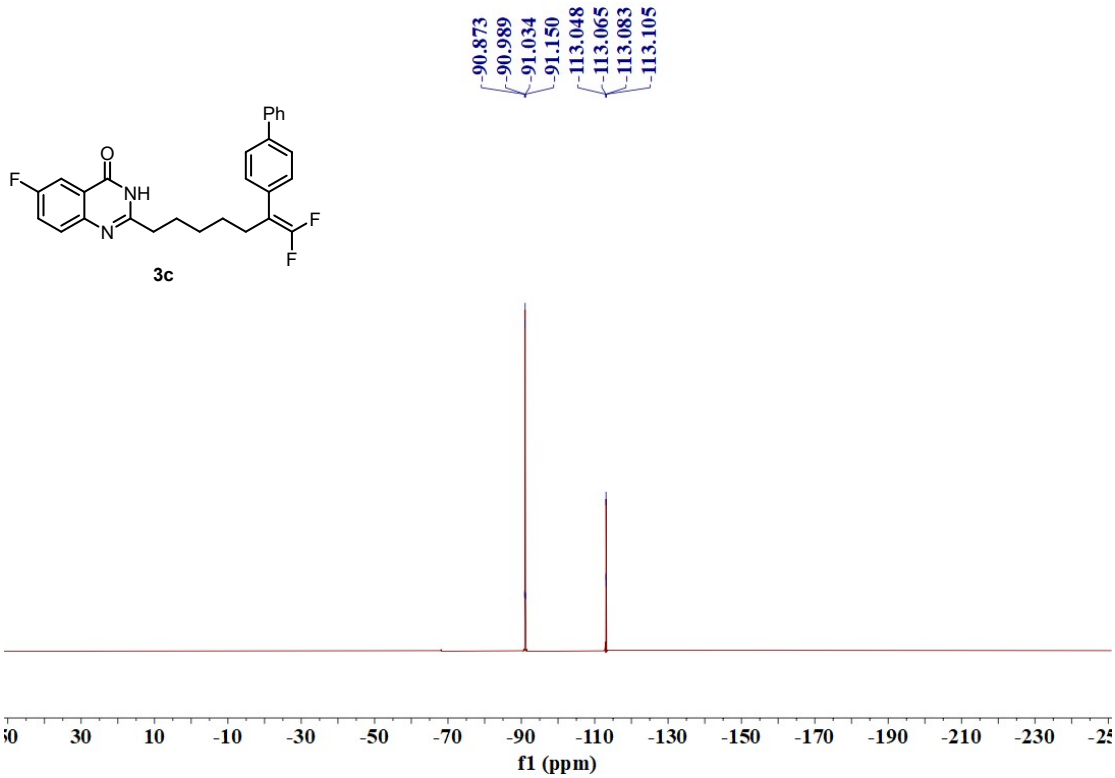

164.184
155.683
153.648
150.802
150.766
148.288
147.423
140.504
139.967
136.515
136.285
132.540
128.755
128.531
128.505
128.471
127.345
127.068
126.999
126.972
125.534
120.177
92.105
91.961
91.902
91.758
35.658
28.486
27.395
27.314
27.086
21.207
Ph
O
NH
N
F
F
3b
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


90.872
90.988
91.034
91.149
Ph
O
NH
N
F
F
3b
30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230
f1 (ppm)

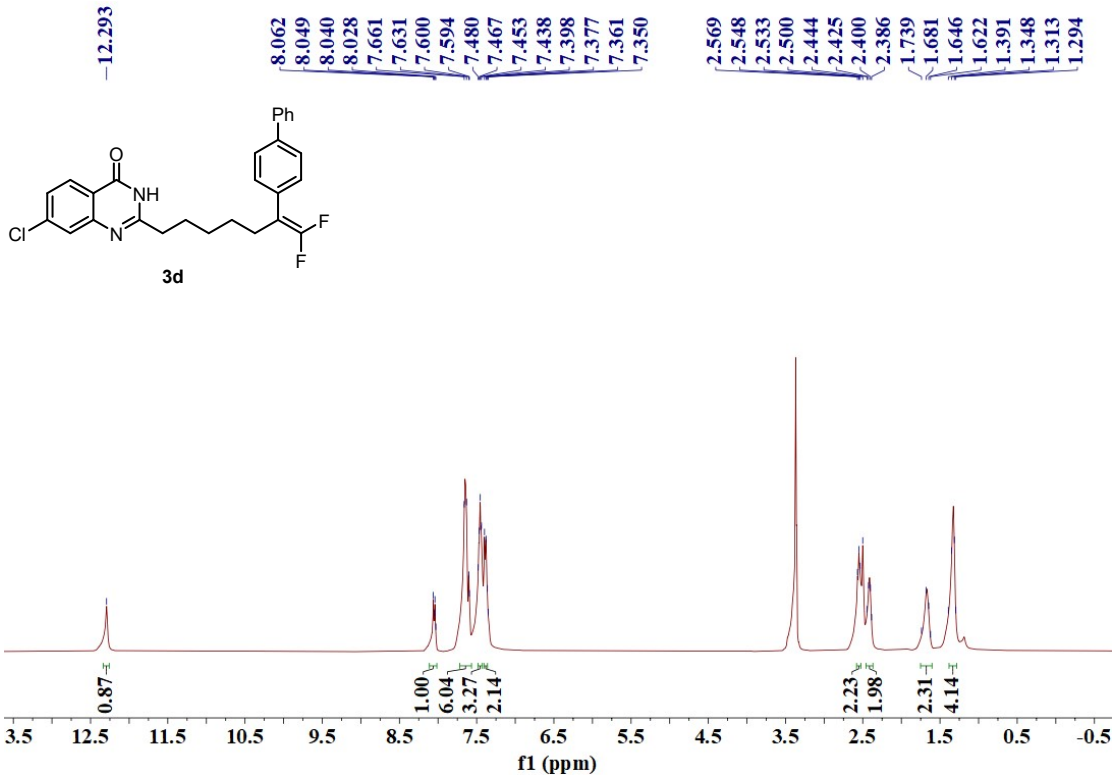
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3c (DMSO-d_6)

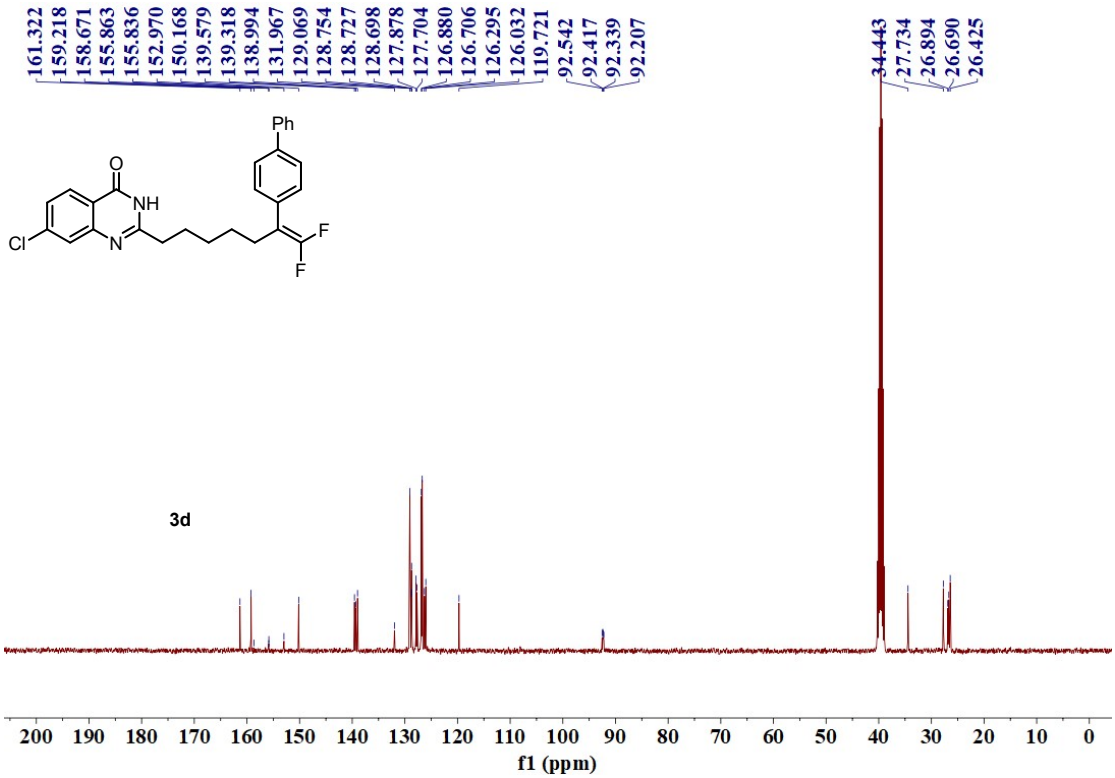


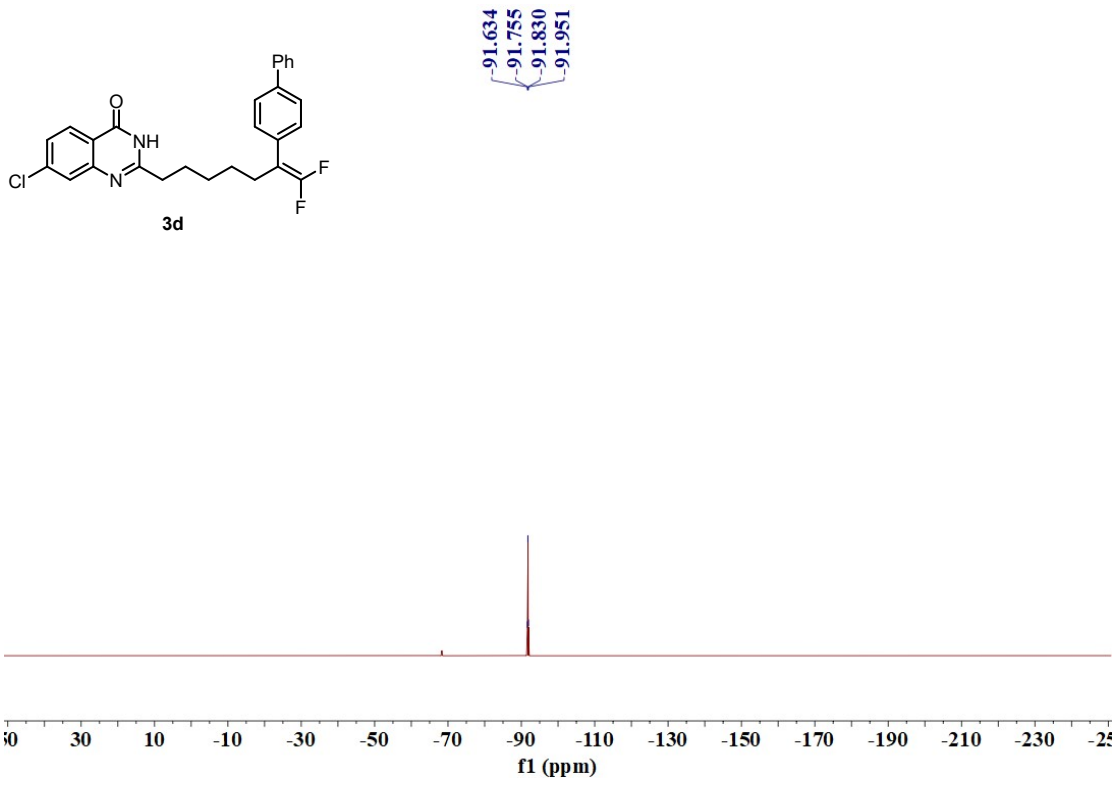




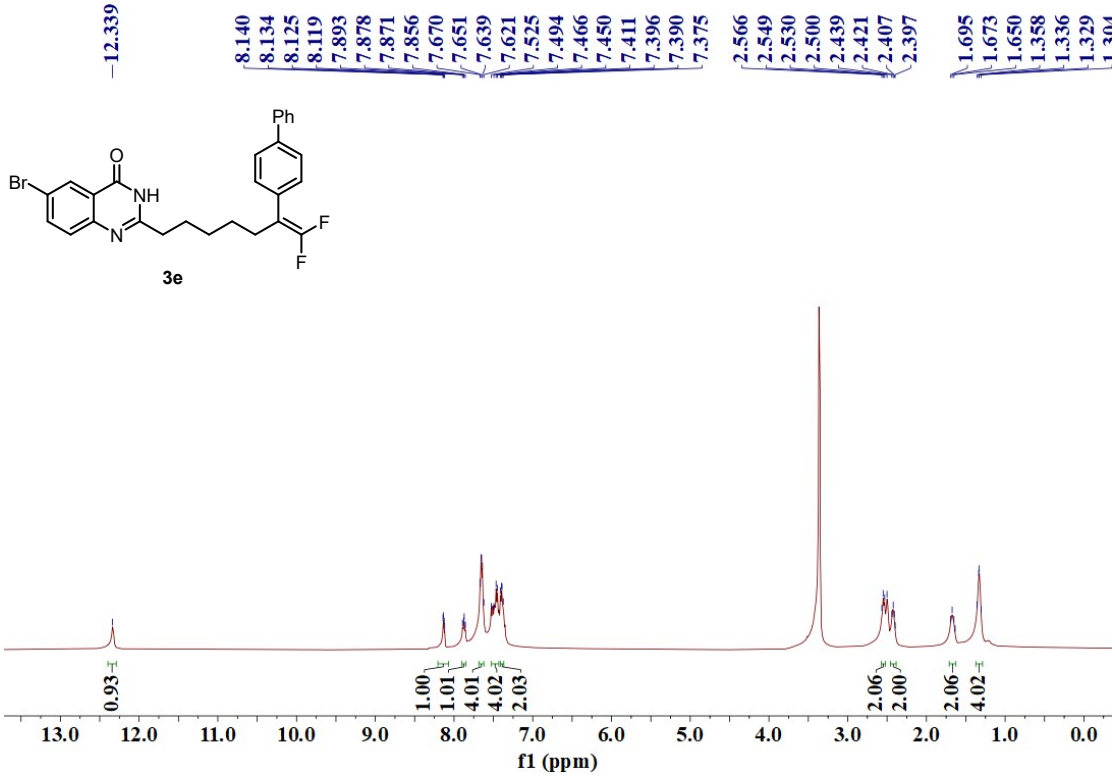
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3d (DMSO-d_6)

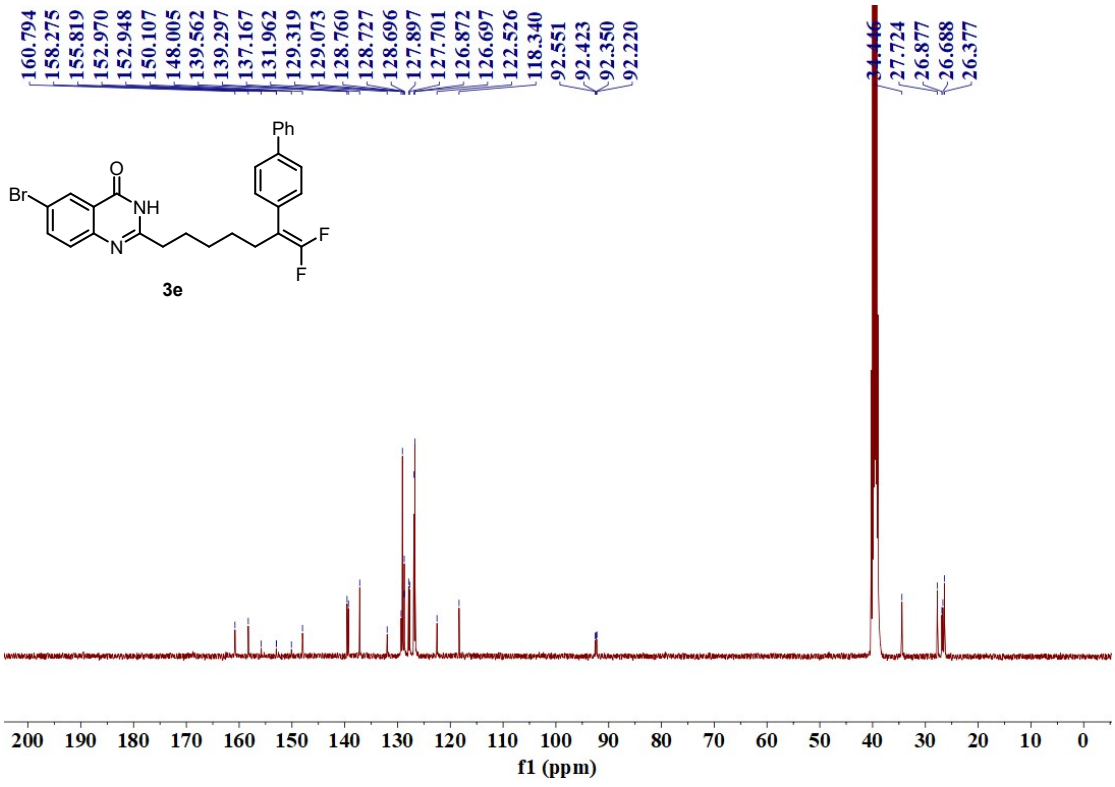


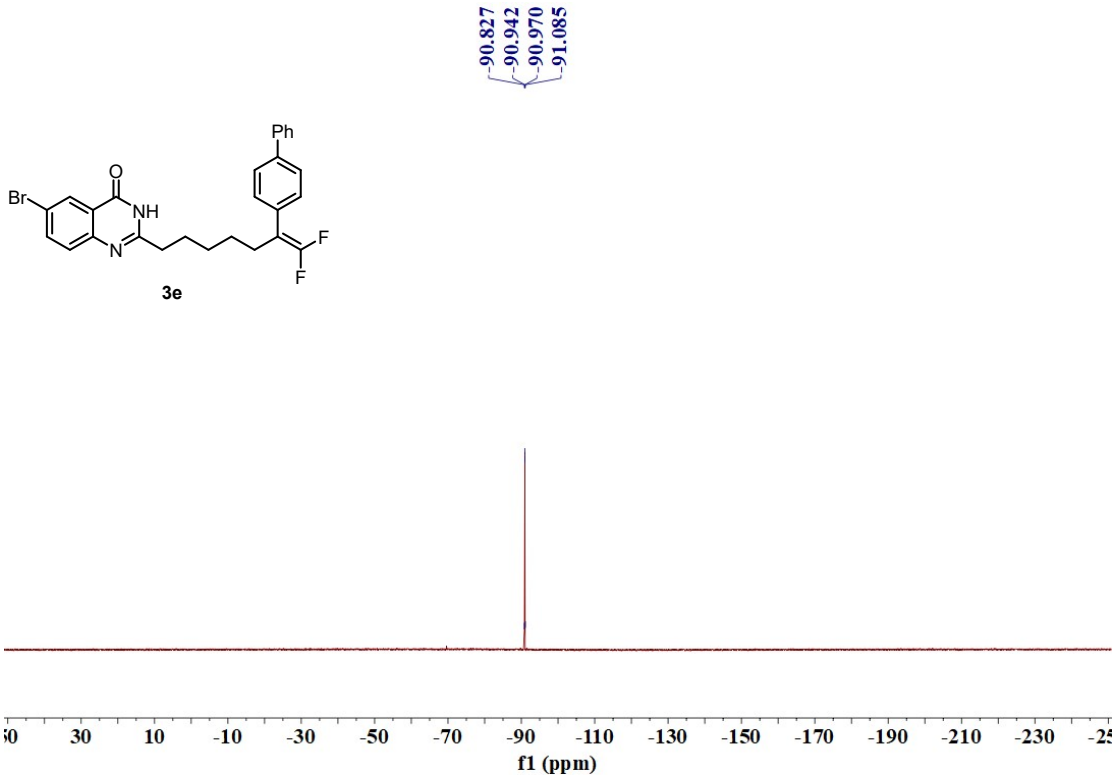

161.322
159.218
158.671
155.863
155.836
152.970
150.168
139.579
139.318
138.994
131.967
129.069
128.754
128.727
128.698
127.878
127.704
126.880
126.706
126.295
126.032
119.721
92.542
92.417
92.339
92.207
34.443
27.734
26.894
26.690
26.425
Ph
O
NH
N
Cl
F
F
3d
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


91.634
91.755
91.830
91.951
Ph
O
NH
N
Cl
F
F
3d
50 30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230
f1 (ppm)

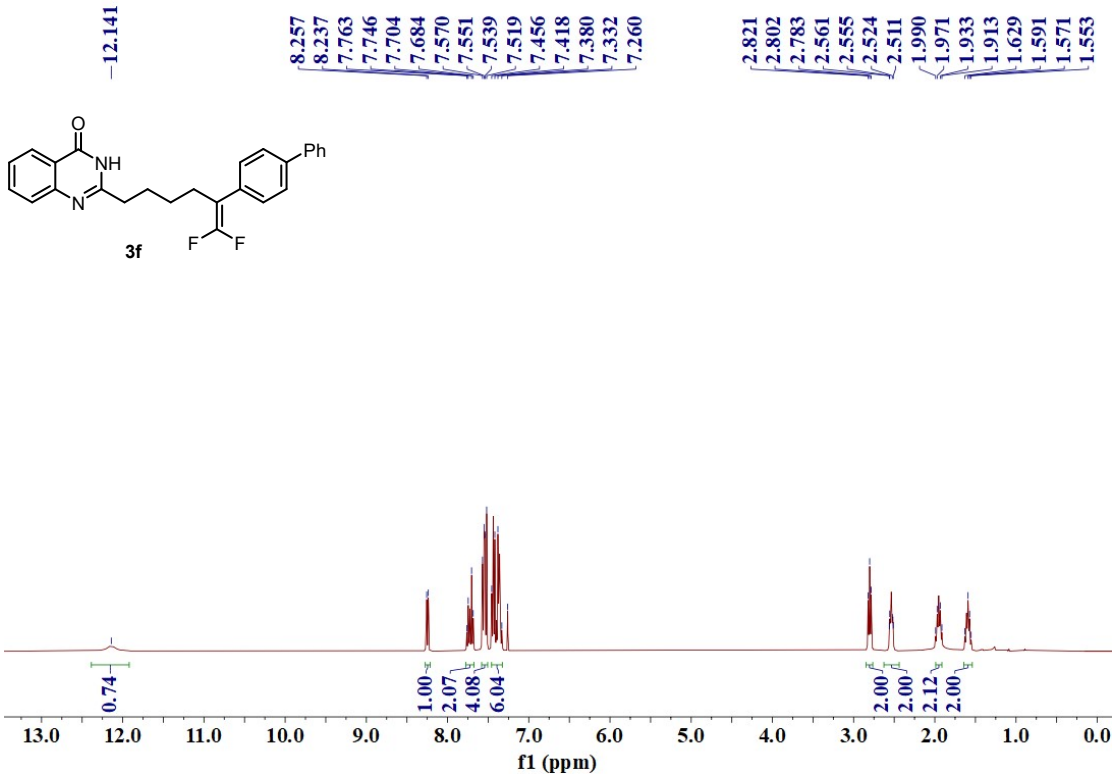
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3e (DMSO-d_6)

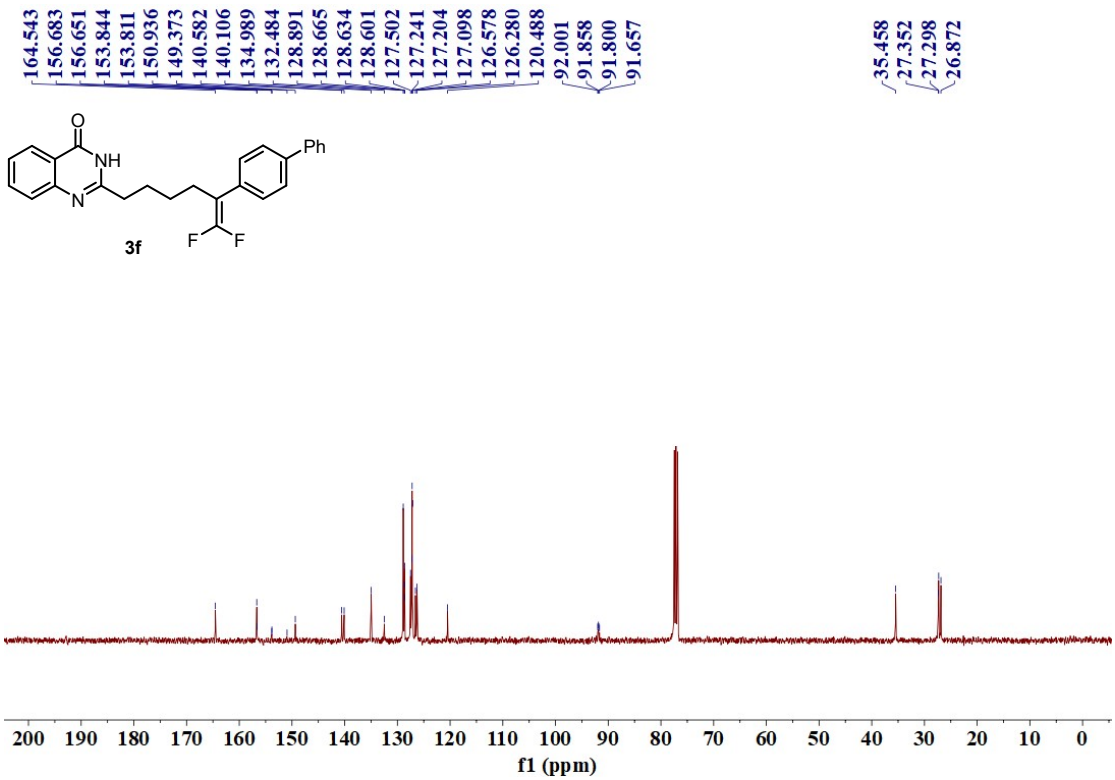


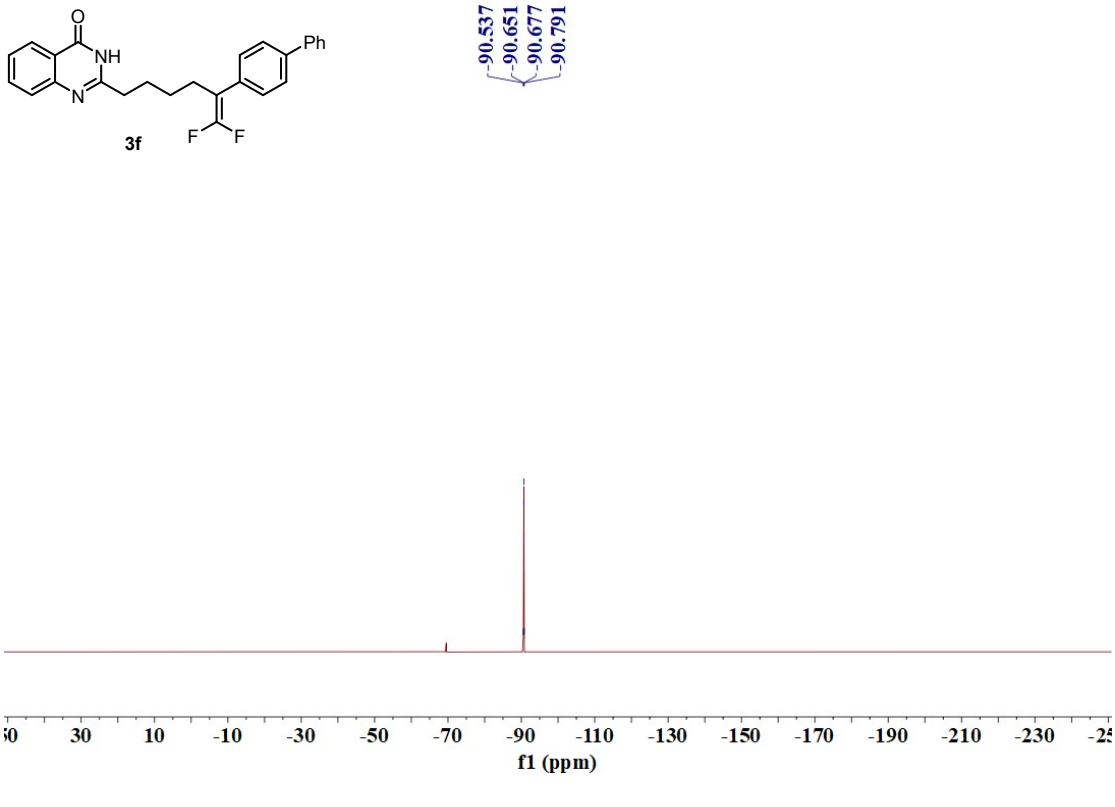




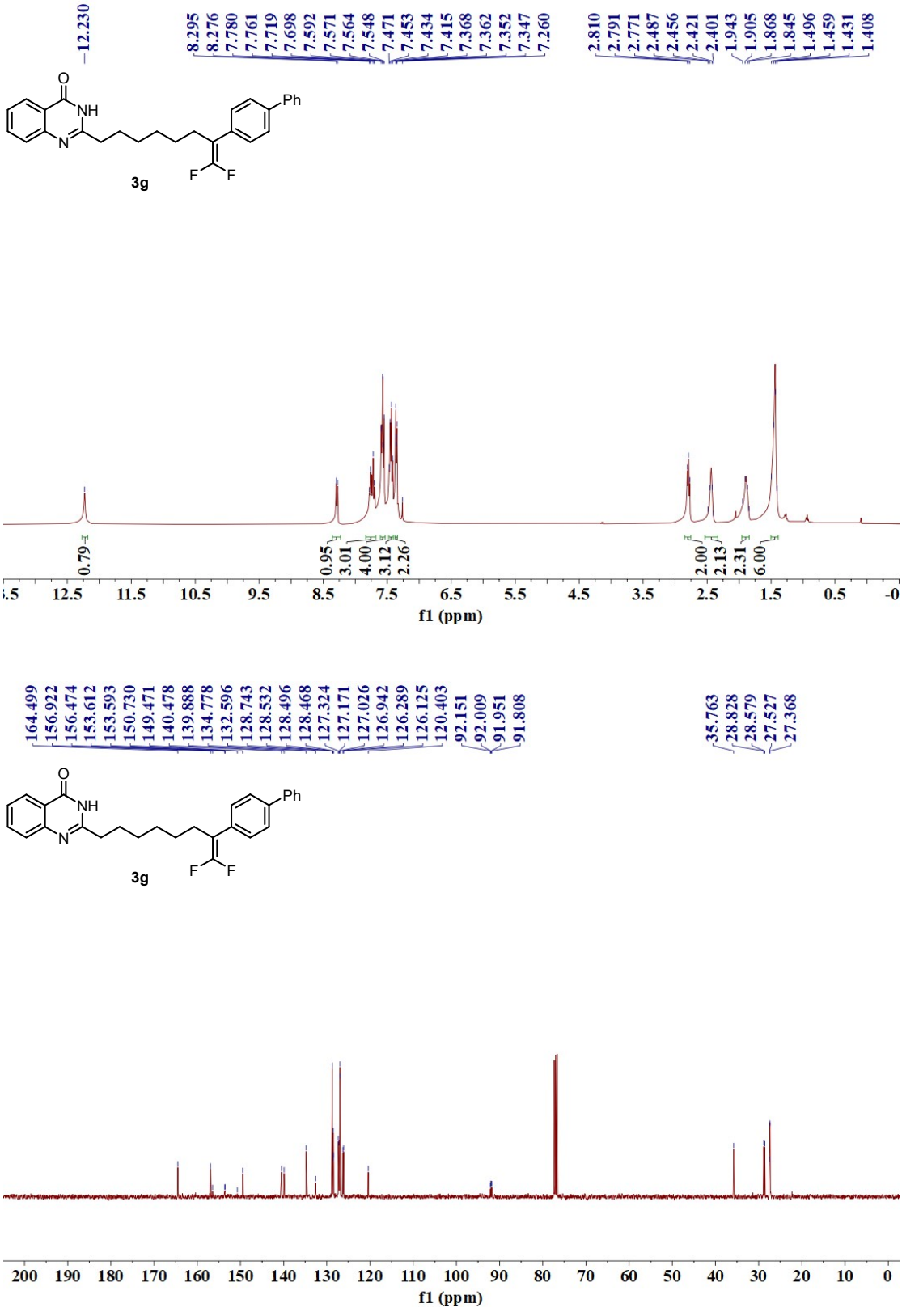
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3f (Chloroform-*d*)

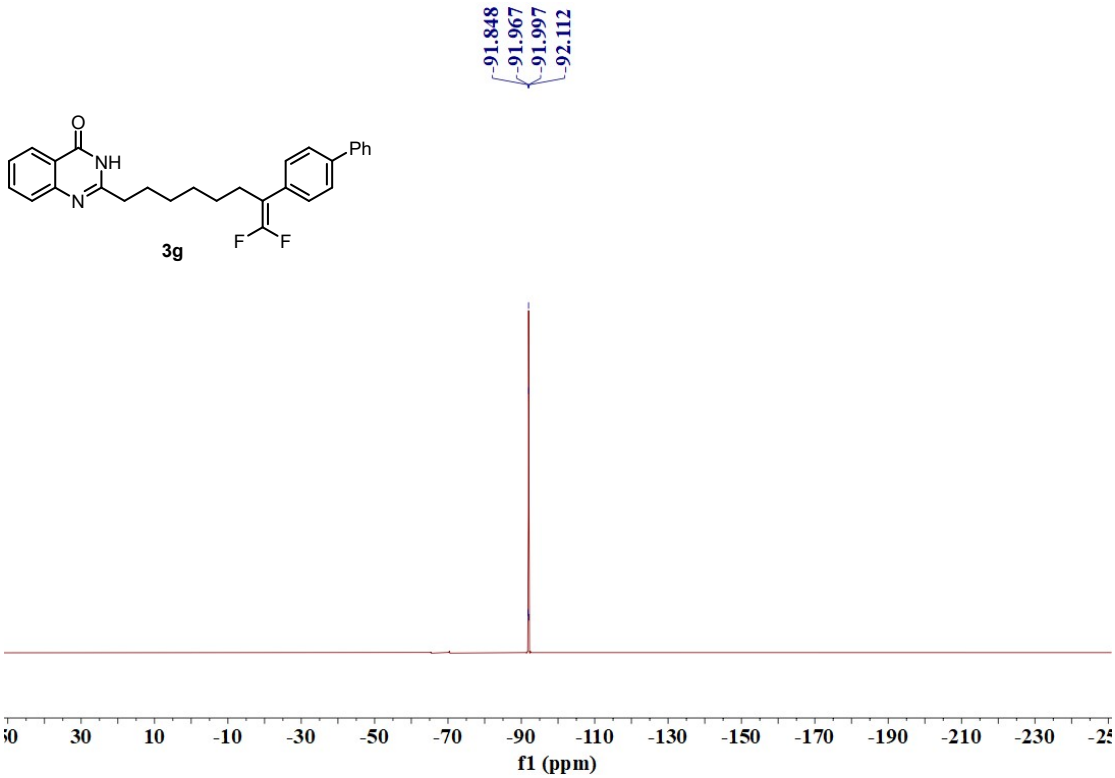



164.543
156.683
156.651
153.844
153.811
150.936
149.373
140.582
140.106
134.989
132.484
128.891
128.665
128.634
128.601
127.502
127.241
127.204
127.098
126.578
126.280
120.488
92.001
91.858
91.800
91.657
35.458
27.352
27.298
26.872
O
NH
N
Ph
F
F
3f
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

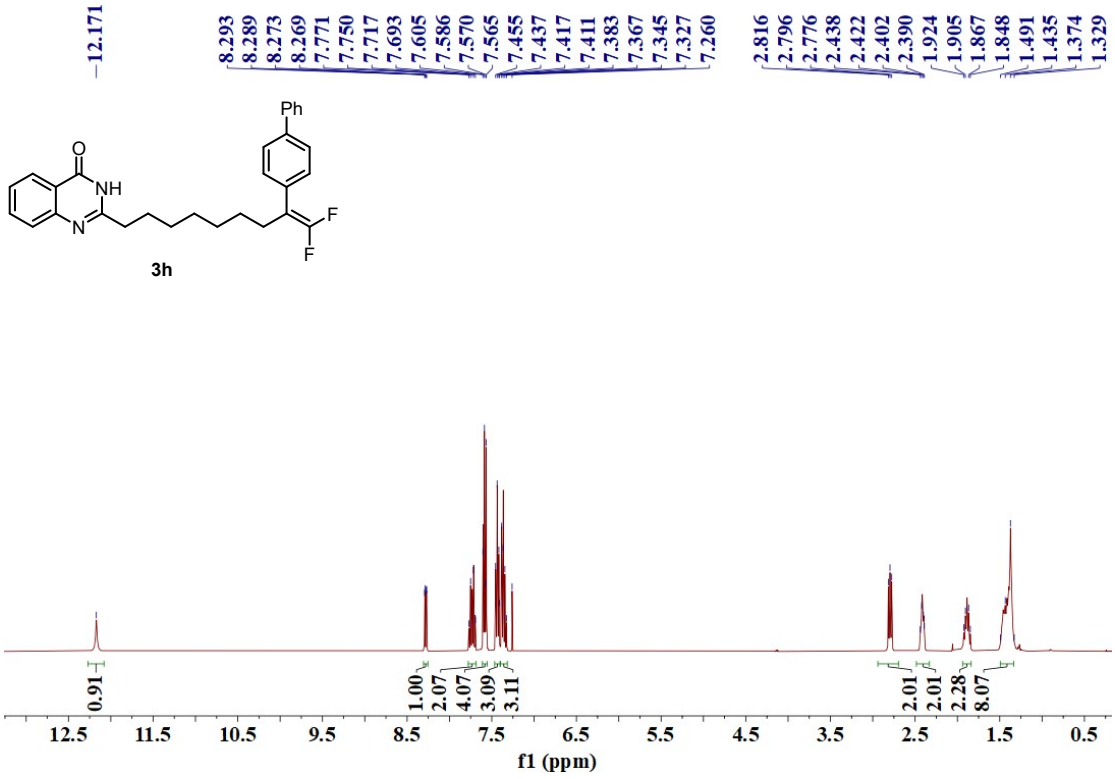

90.537
90.651
90.677
90.791
O
NH
N
Ph
F
F
3f
30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230
f1 (ppm)

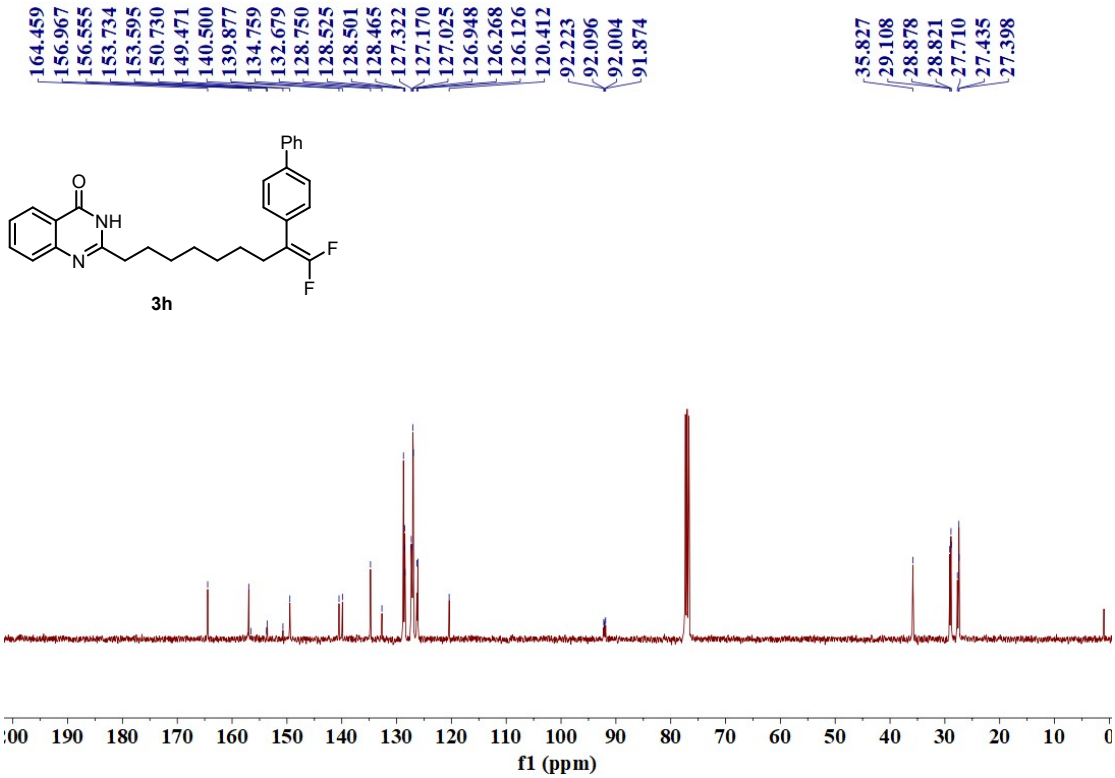
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3g (Chloroform-*d*)

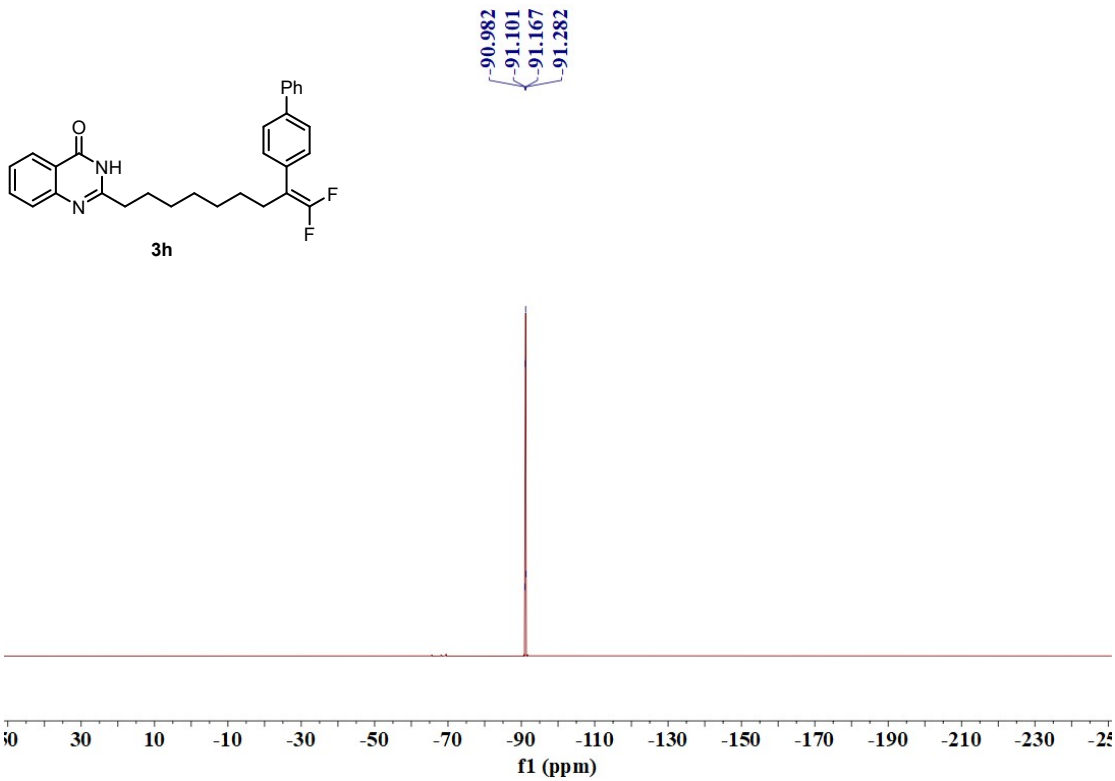




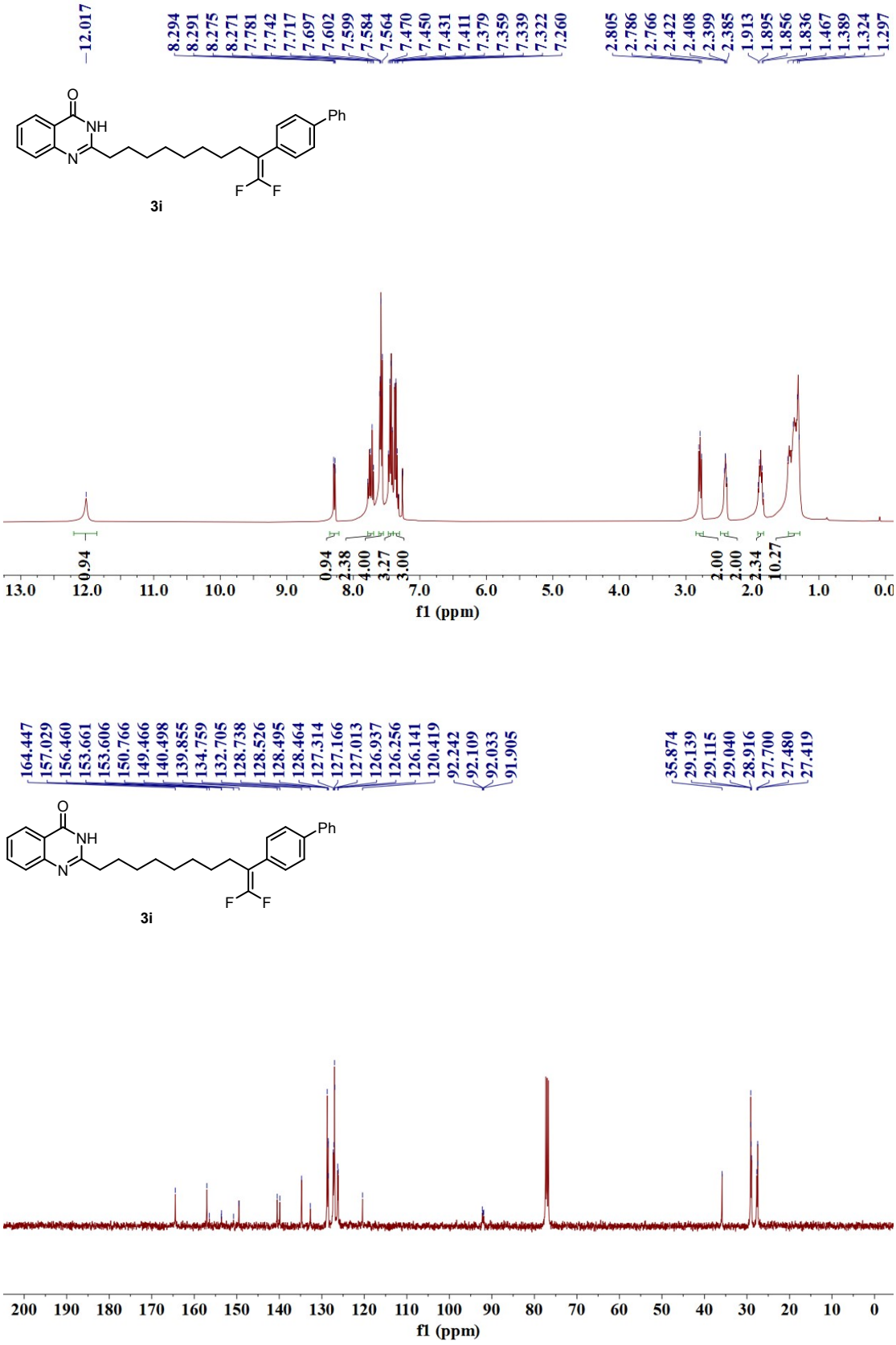
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3h (Chloroform-*d*)

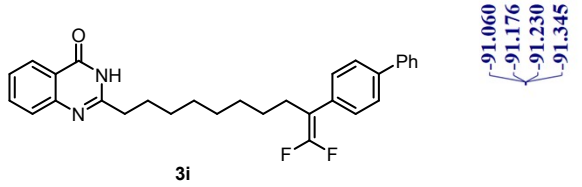



164.459
156.967
156.555
153.734
153.595
150.730
149.471
140.500
139.877
134.759
132.679
128.750
128.525
128.501
128.465
127.322
127.170
127.025
126.948
126.268
126.126
120.412
92.223
92.096
92.004
91.874
35.827
29.108
28.878
28.821
27.710
27.435
27.398
Ph
O
NH
N
F
F
3h
f1 (ppm)

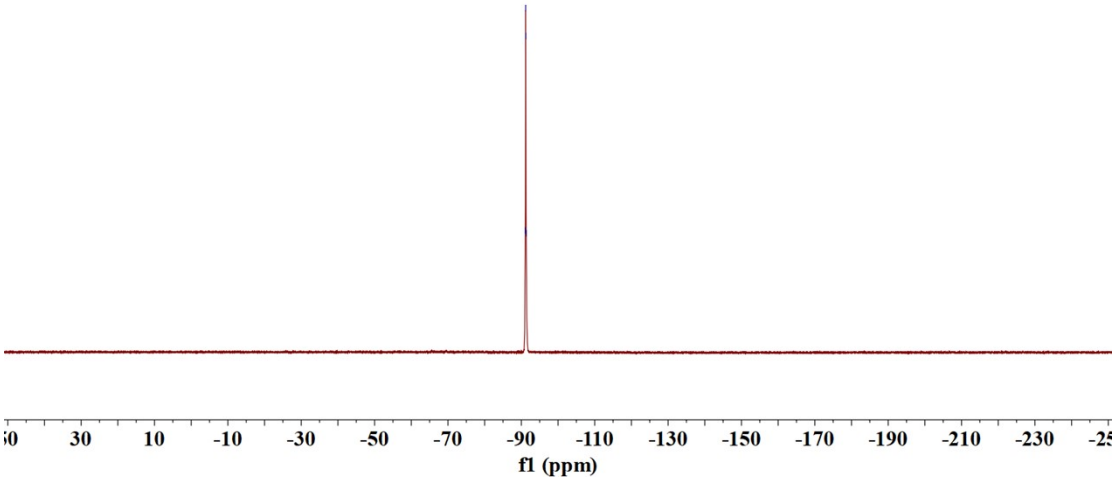

90.982
91.101
91.167
91.282
Ph
O
NH
N
F
F
3h
f1 (ppm)

^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3i (Chloroform-*d*)

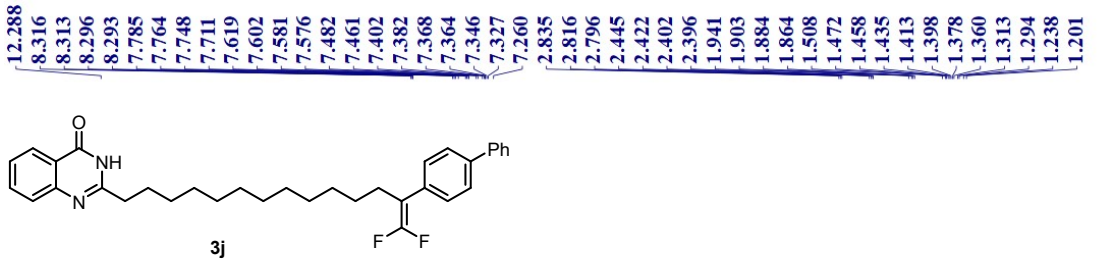




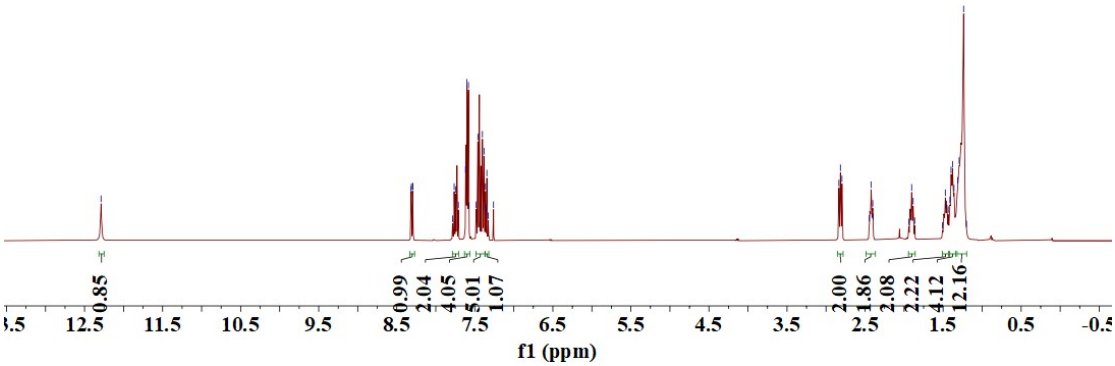
3i

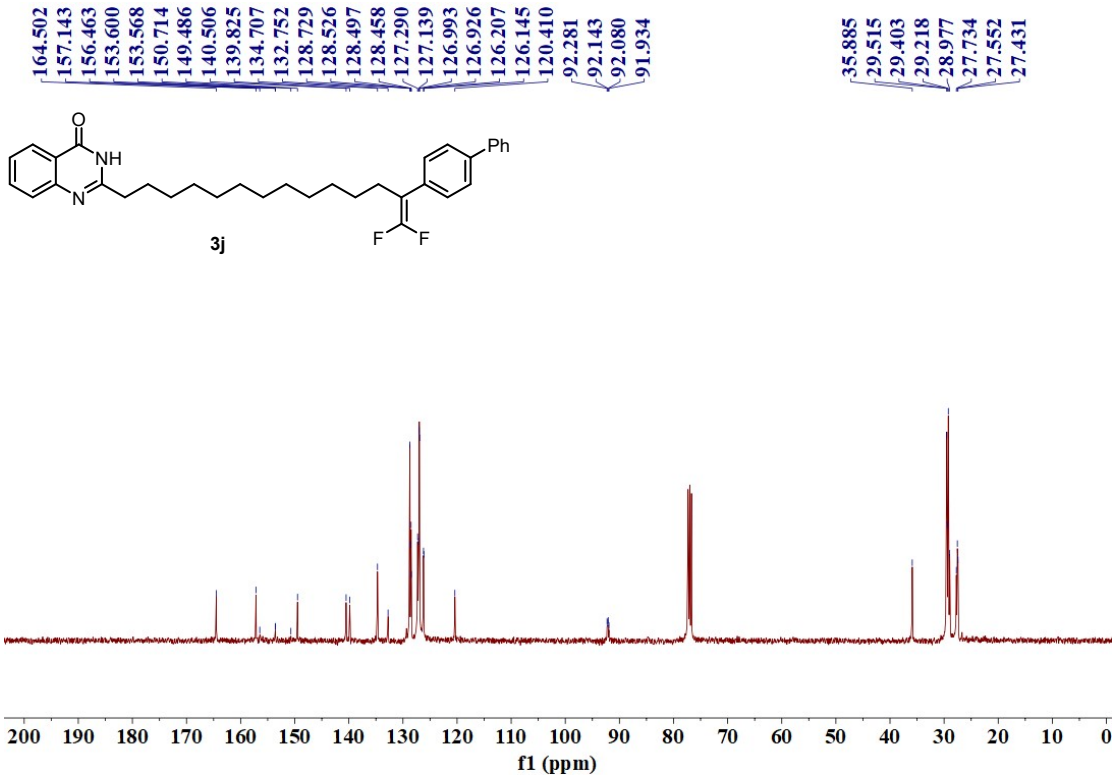


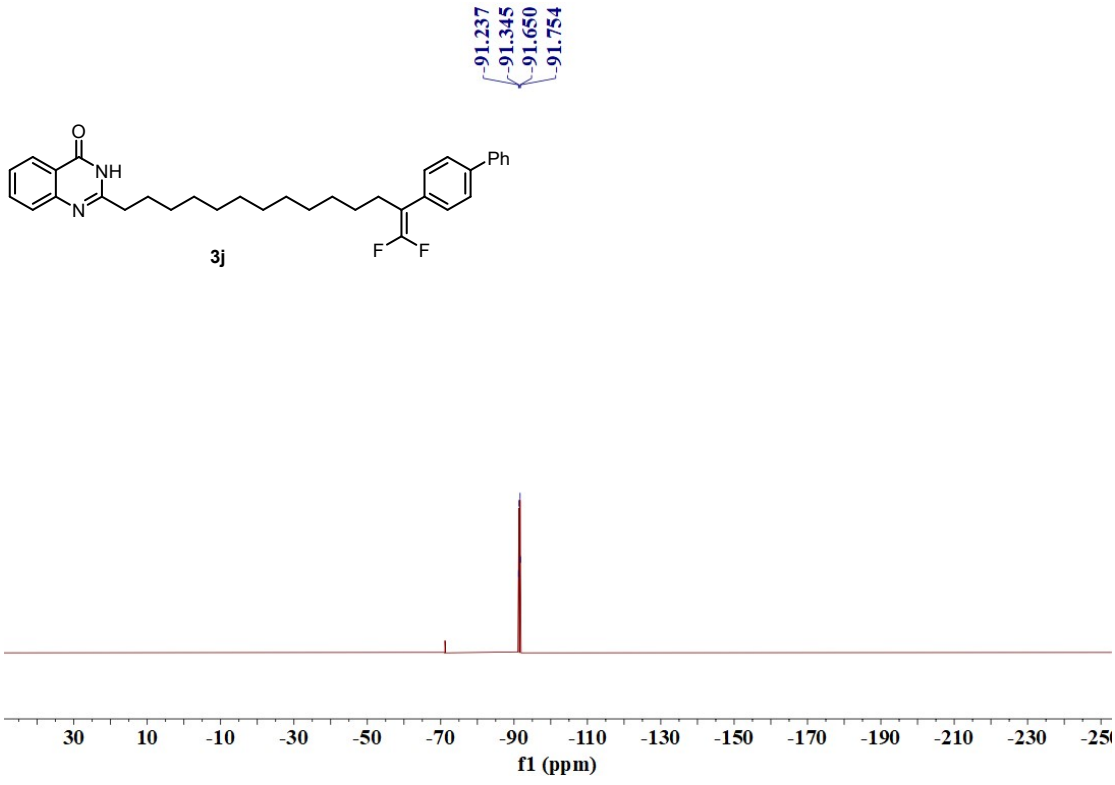
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3j (Chloroform-*d*)



3j

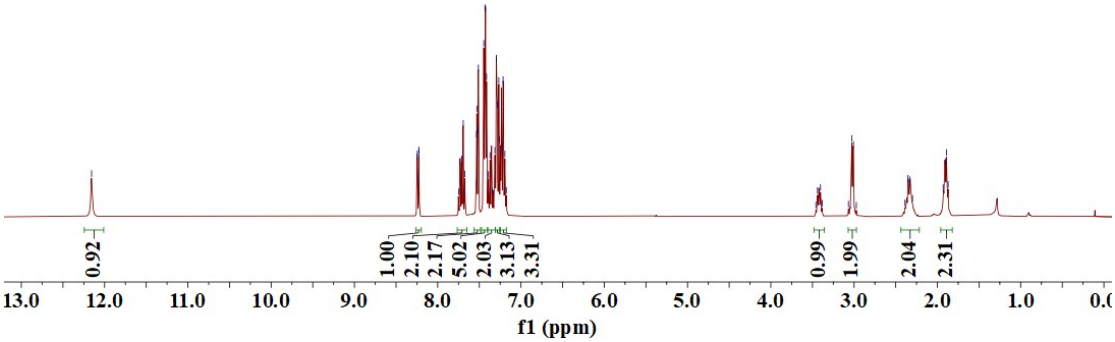


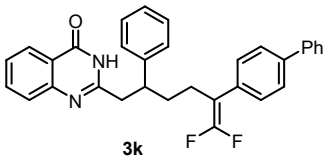

164.502
157.143
156.463
153.600
153.568
150.714
149.486
140.506
139.825
134.707
132.752
128.729
128.526
128.497
128.458
127.290
127.139
126.993
126.926
126.207
126.145
120.410
92.281
92.143
92.080
91.934
35.885
29.515
29.403
29.218
28.977
27.734
27.552
27.431
O
NH
N
Ph
F
F
3j
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


91.237
91.345
91.650
91.754
O
NH
N
Ph
F
F
3j
30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230
f1 (ppm)

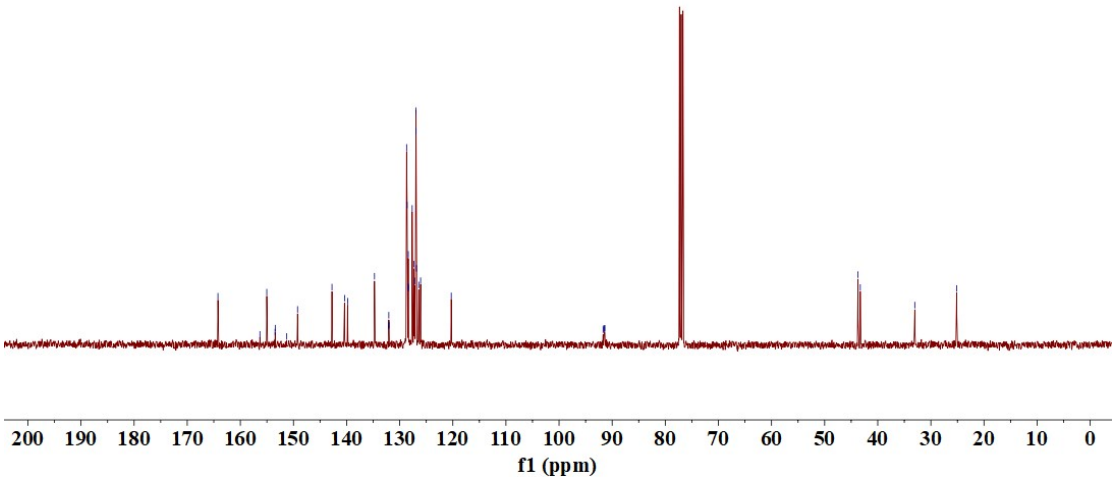
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3k (Chloroform-*d*)

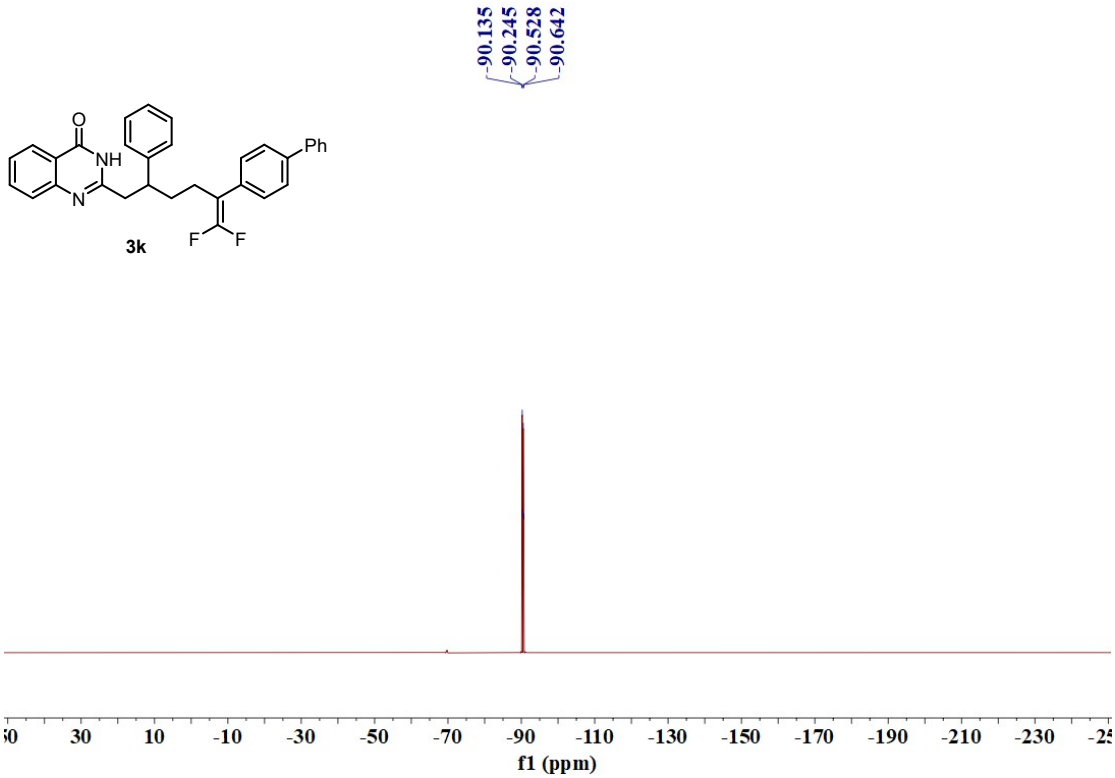
3k



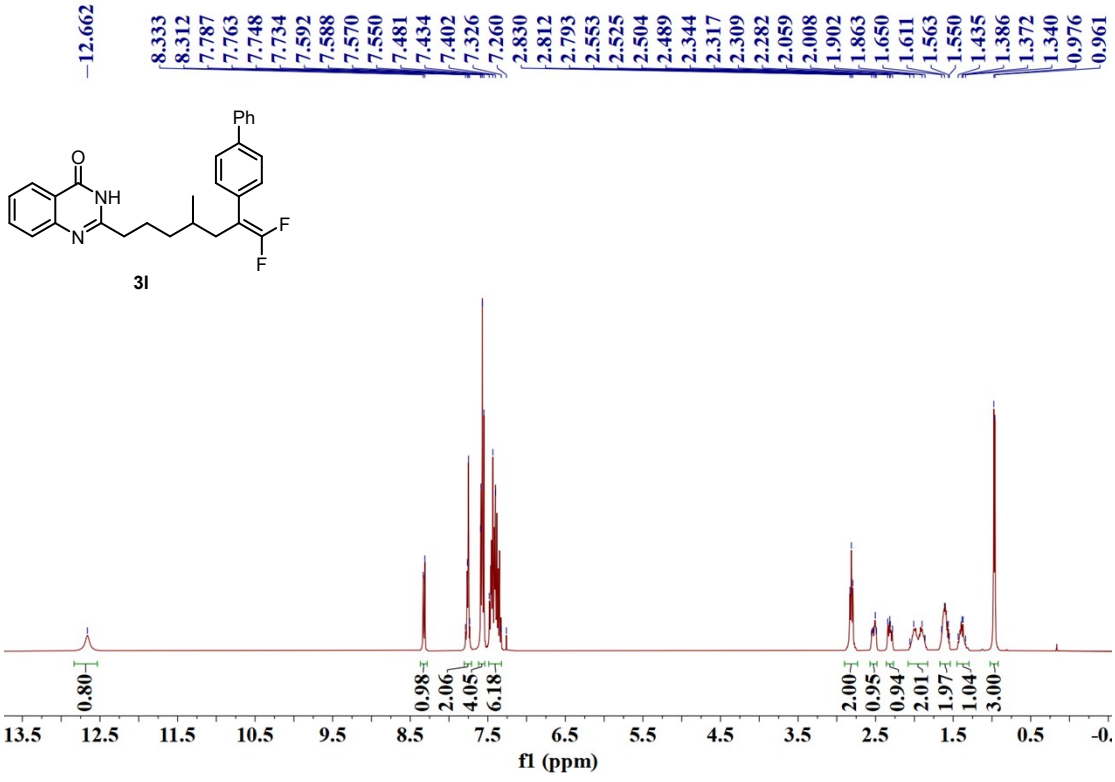


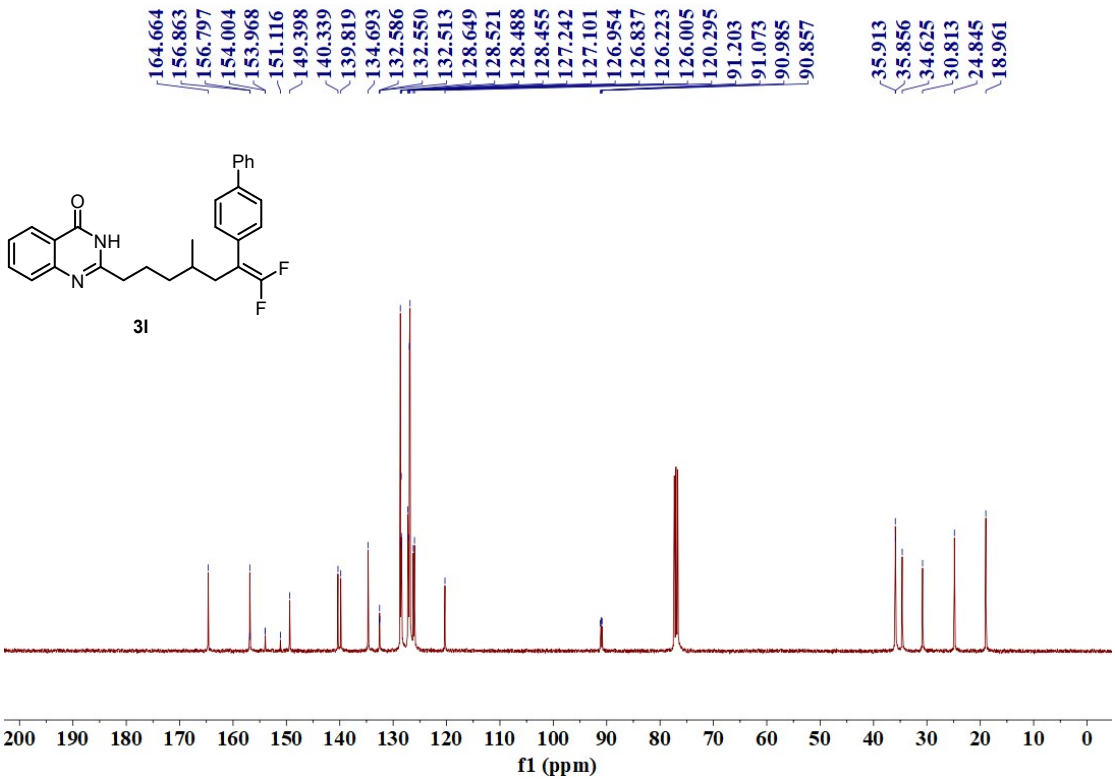
3k

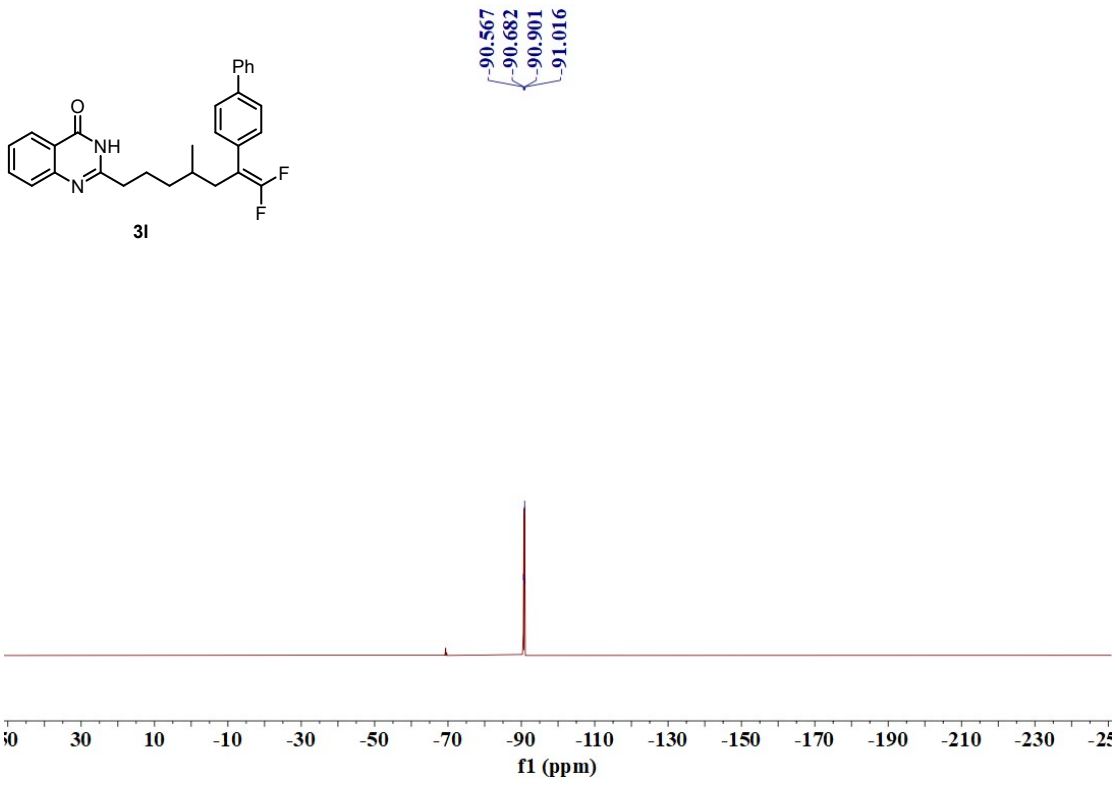




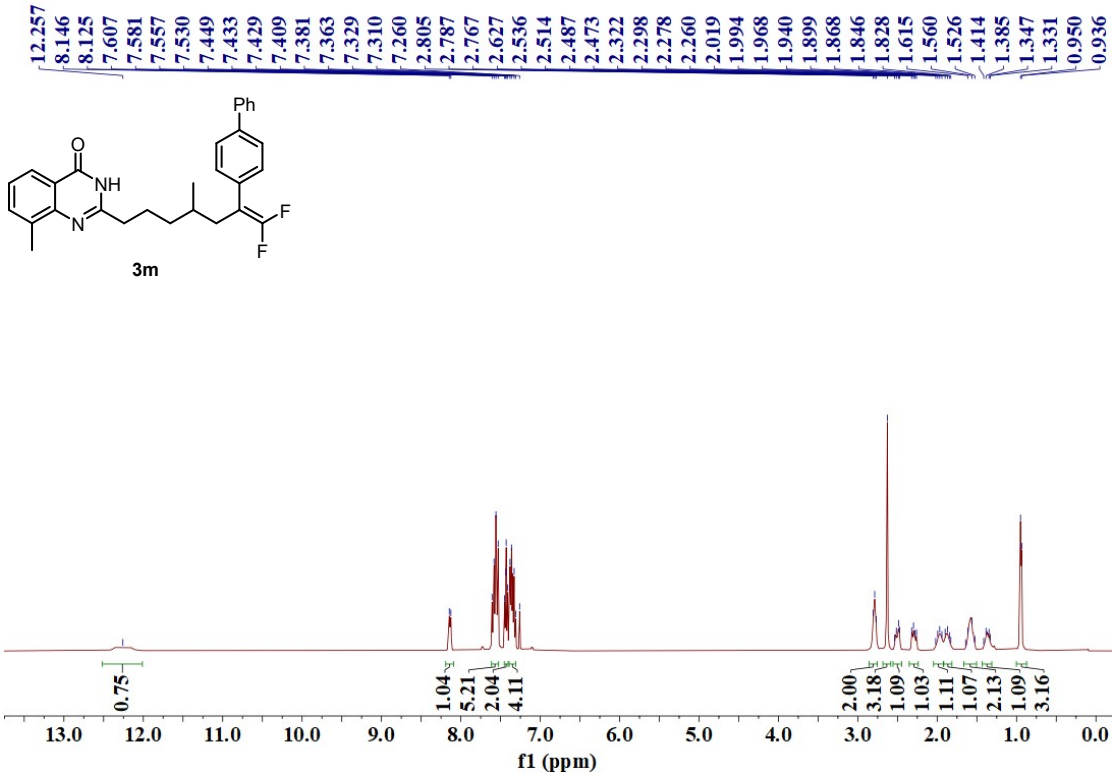
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3l (Chloroform-*d*)

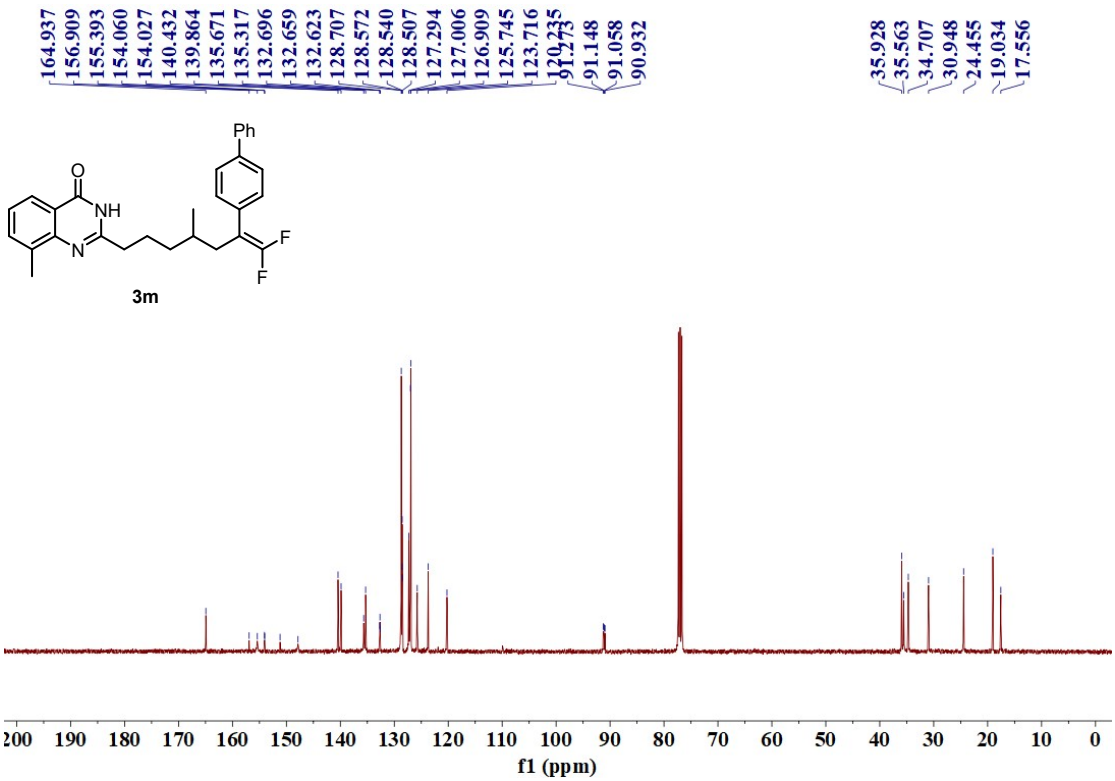


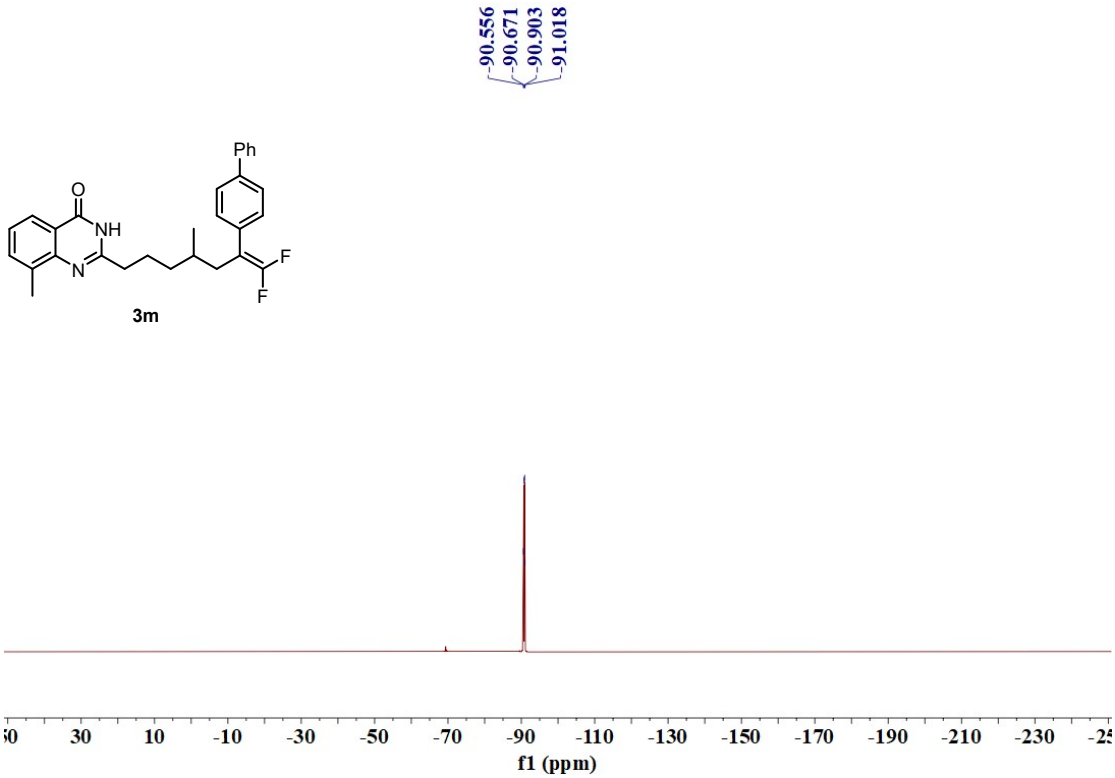

164.664
156.863
156.797
154.004
153.968
151.116
149.398
140.339
139.819
134.693
132.586
132.550
132.513
128.649
128.521
128.488
128.455
127.242
127.101
126.954
126.837
126.223
126.005
120.295
91.203
91.073
90.985
90.857
35.913
35.856
34.625
30.813
24.845
18.961
Ph
O
NH
N
F
F
3l
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


90.567
90.682
90.901
91.016
Ph
O
NH
N
F
F
3l
50 30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230
f1 (ppm)

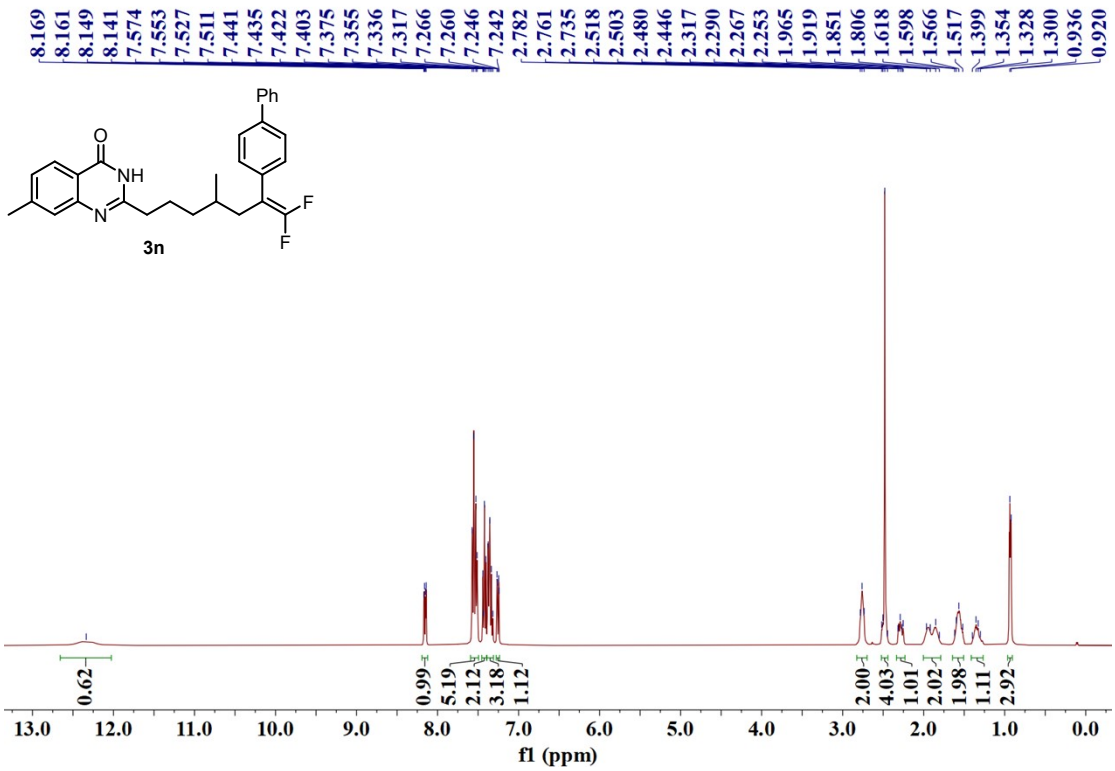
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3m (Chloroform-*d*)

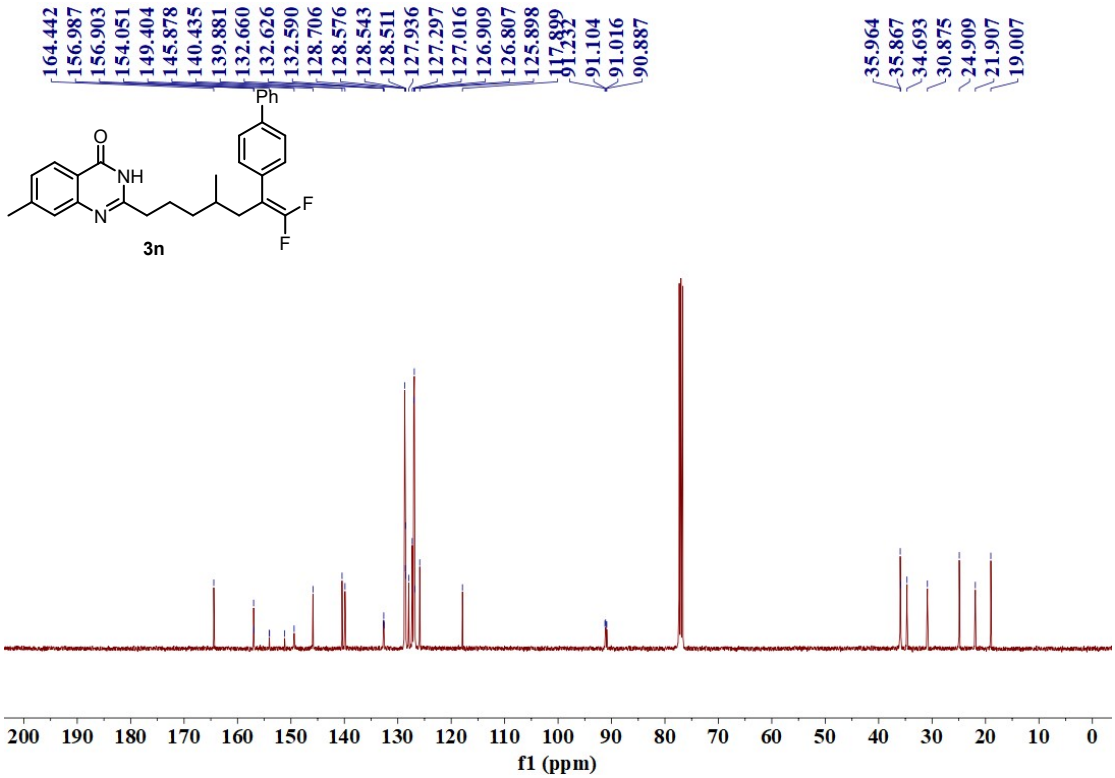


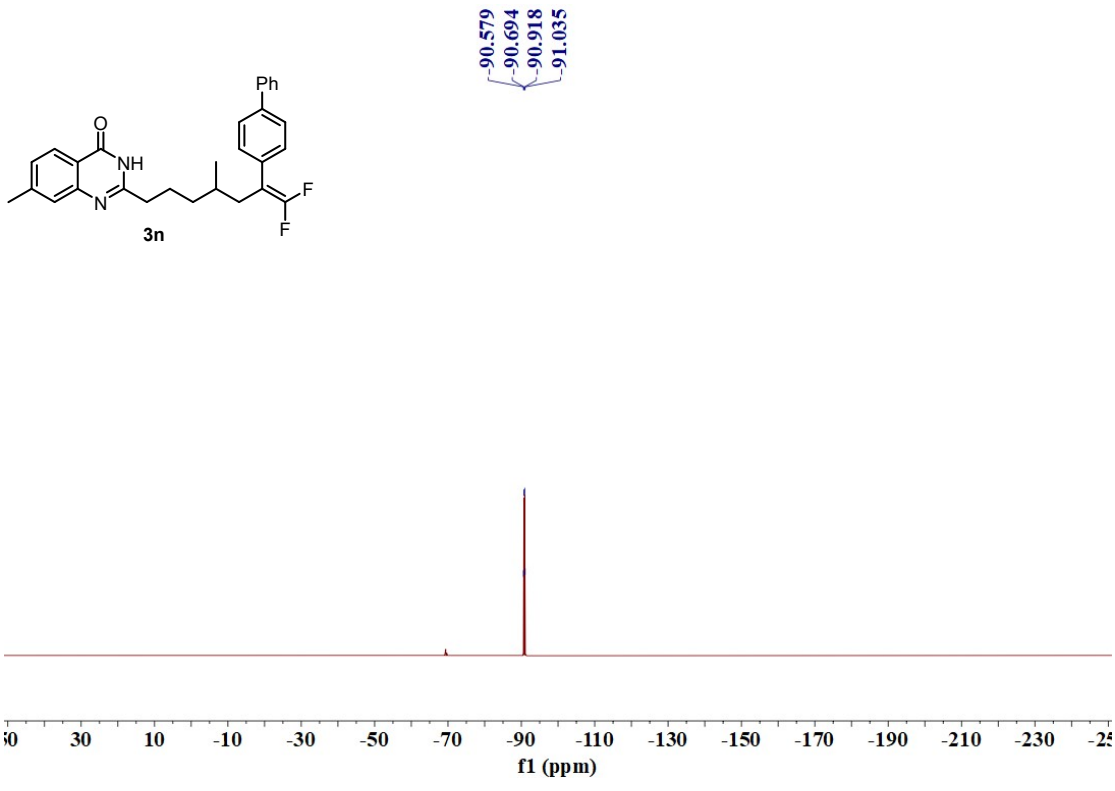




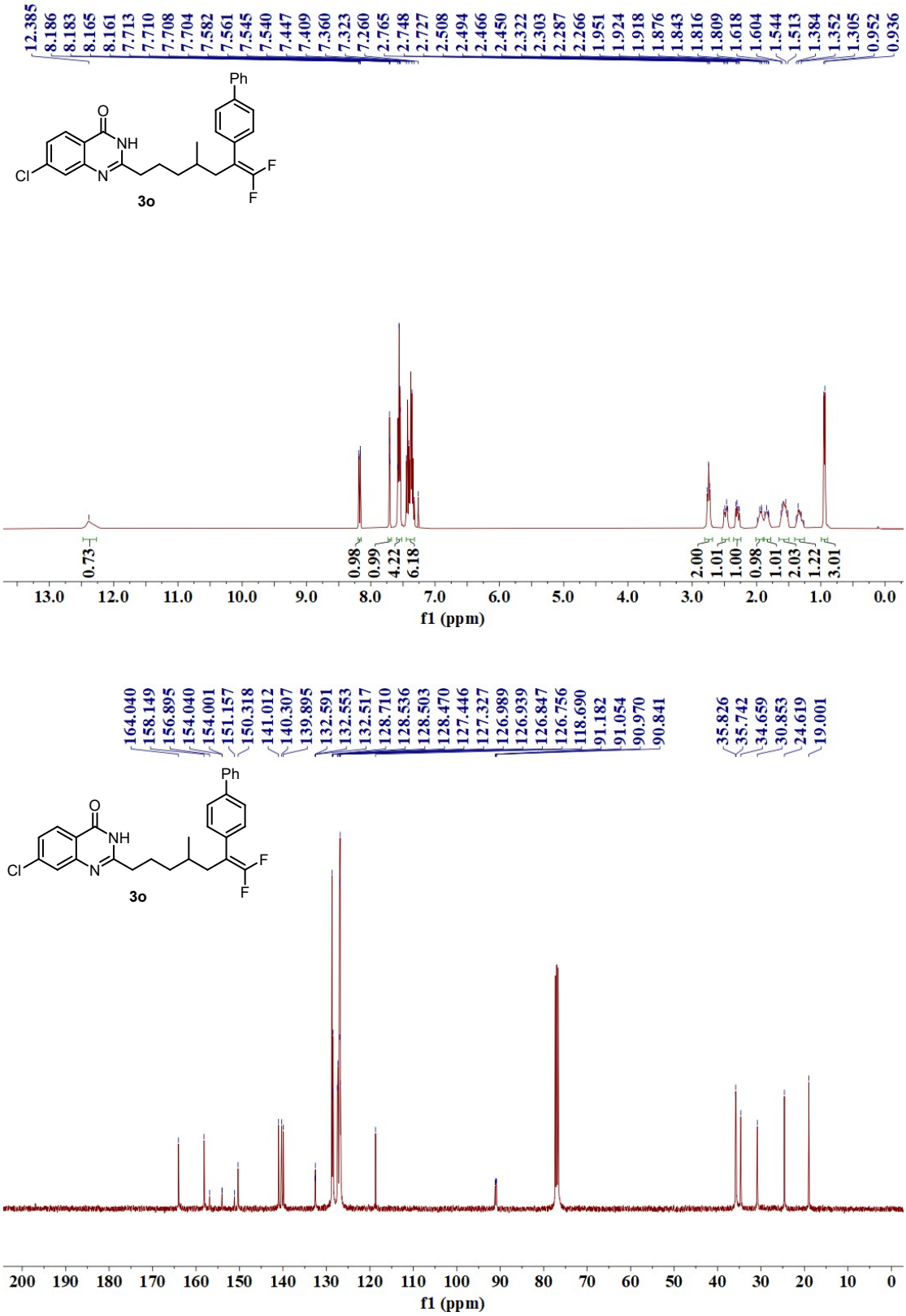
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3n (Chloroform-*d*)

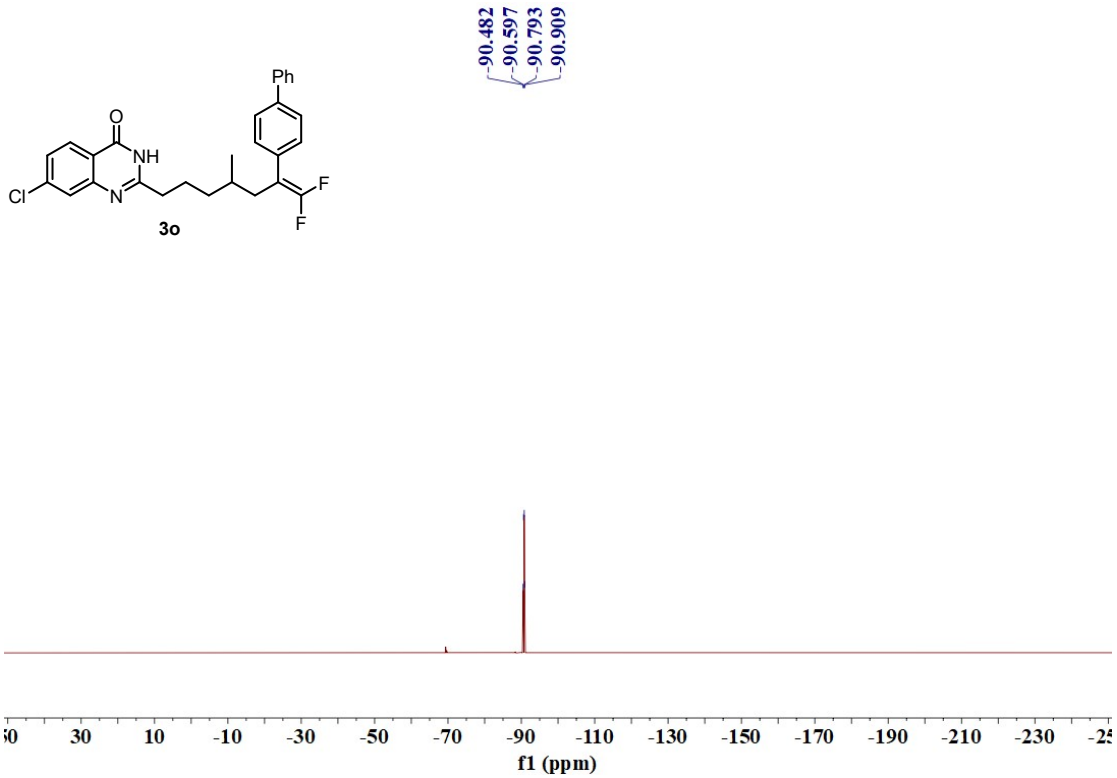



164.442
156.987
156.903
154.051
149.404
145.878
140.435
139.881
132.660
132.626
132.590
128.706
128.576
128.543
128.511
127.936
127.297
127.016
126.909
126.807
125.898
117.899
91.232
91.104
91.016
90.887
35.964
35.867
34.693
30.875
24.909
21.907
19.007
Ph
O
NH
N
F
F
3n
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

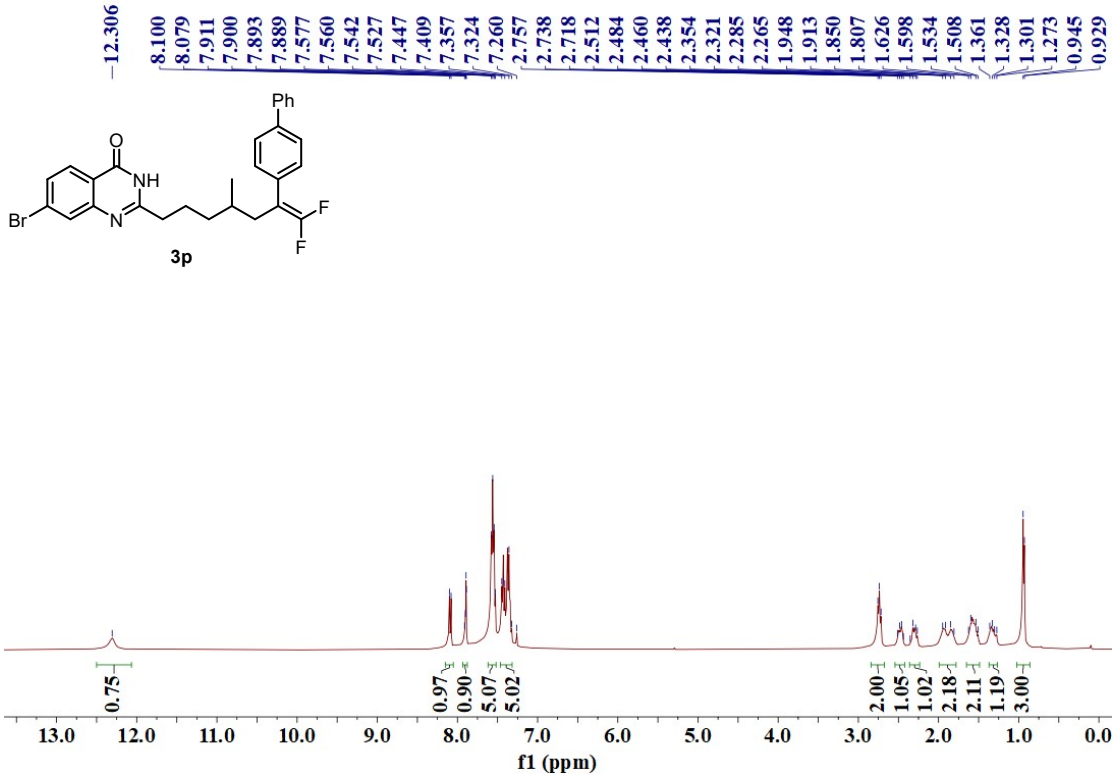

-90.579
-90.694
-90.918
-91.035
Ph
O
NH
N
F
F
3n
50 30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230 -25
f1 (ppm)

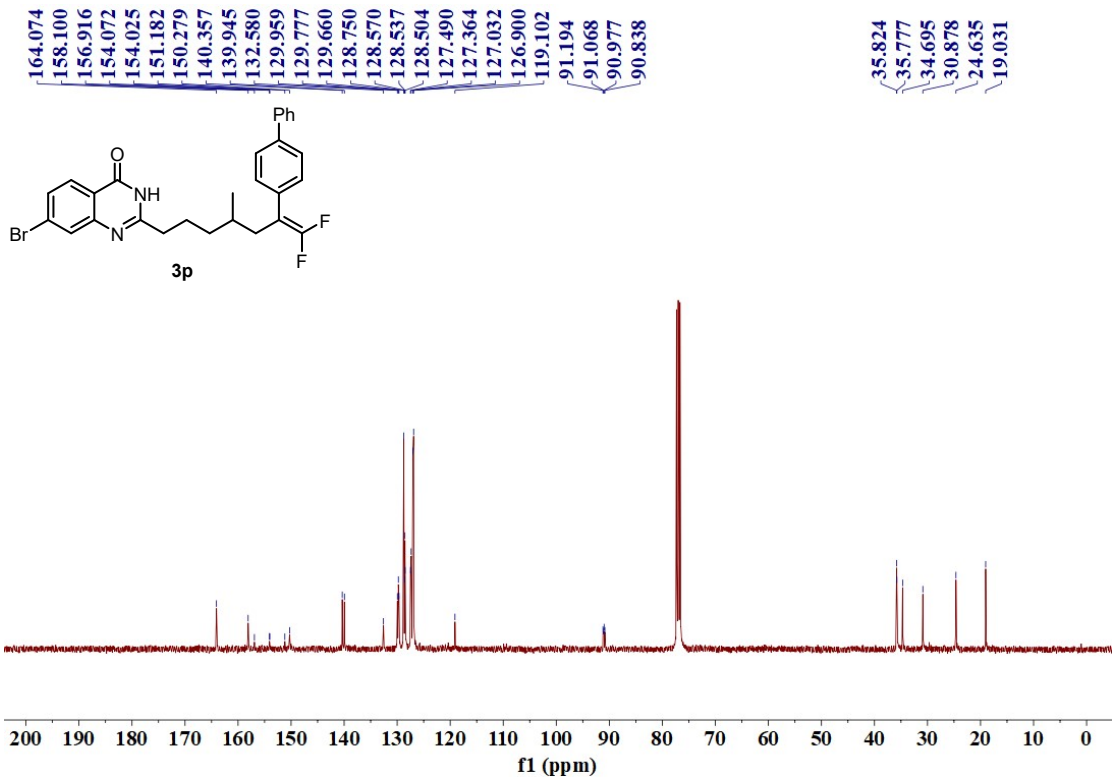
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3o (Chloroform-*d*)

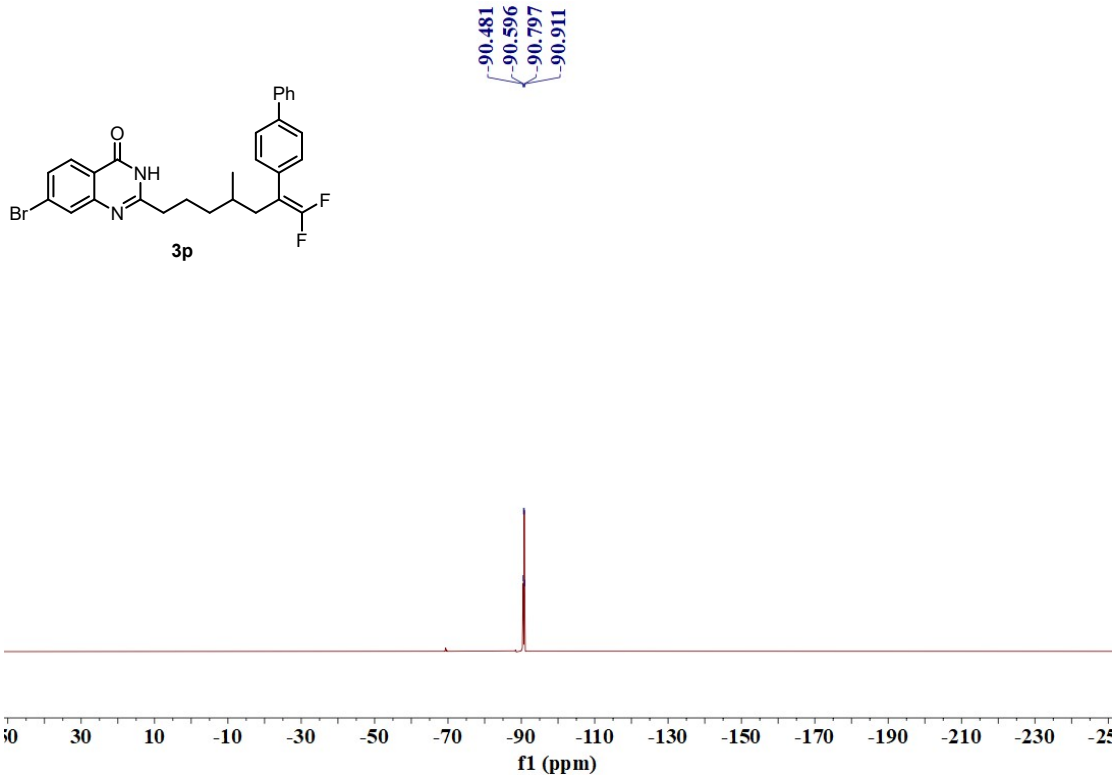




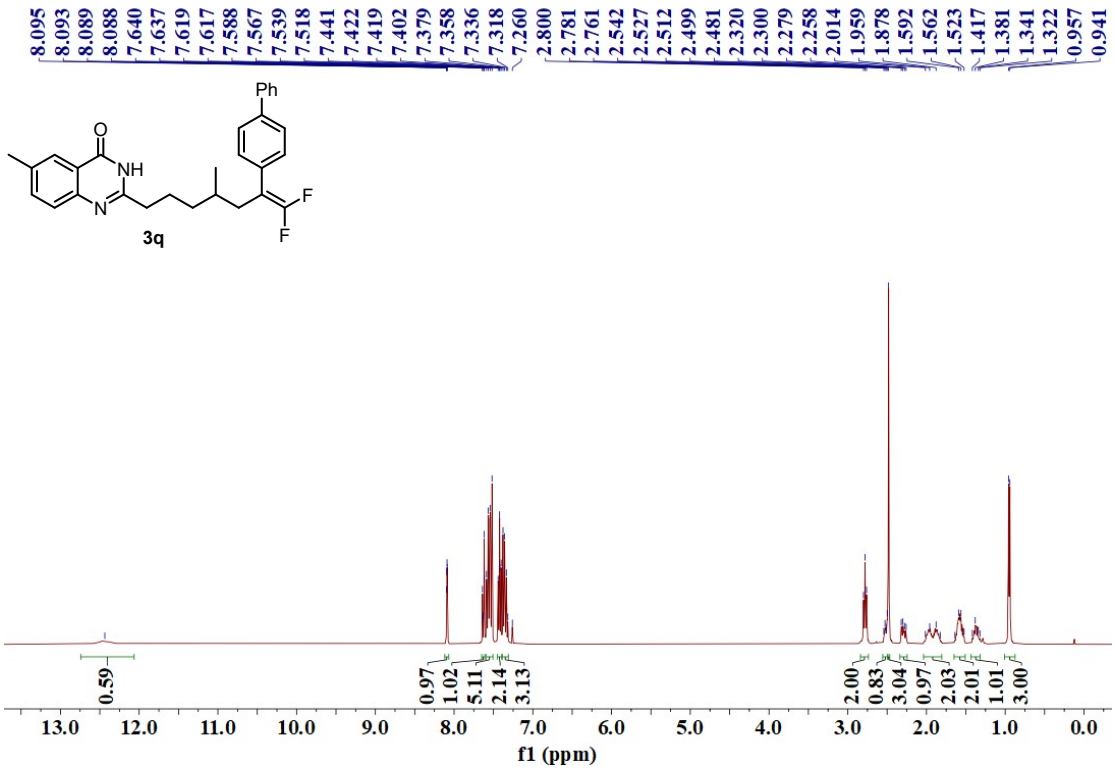
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3p (Chloroform-*d*)

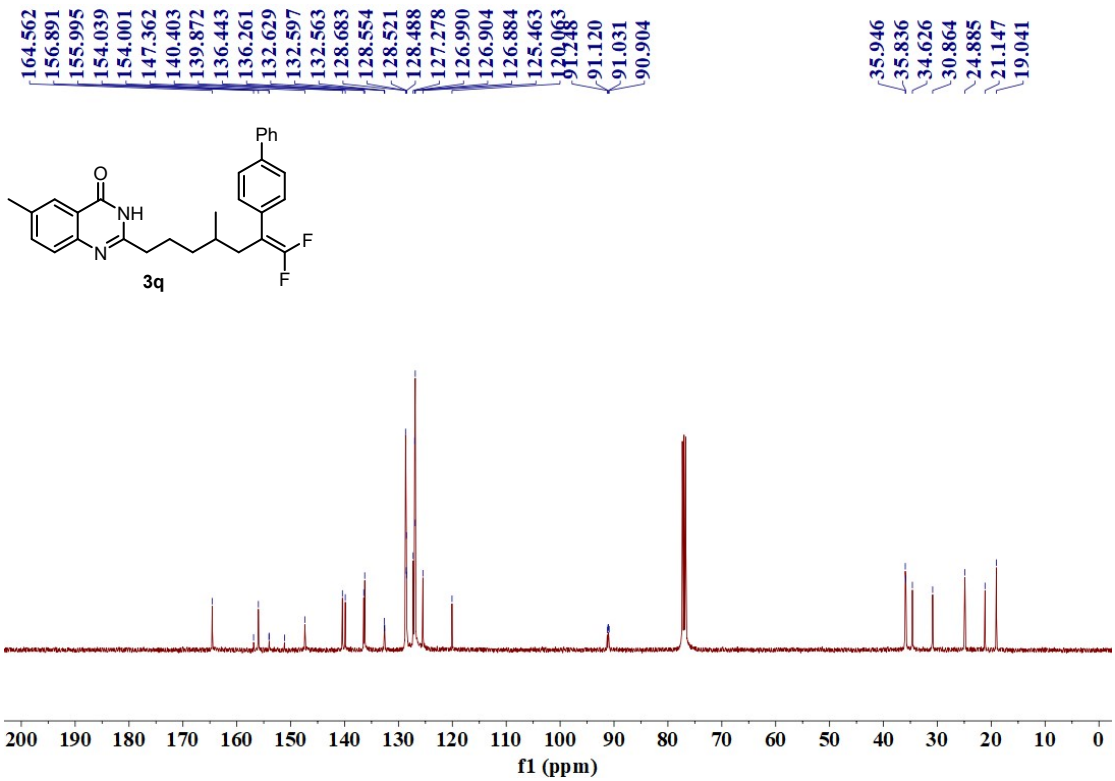


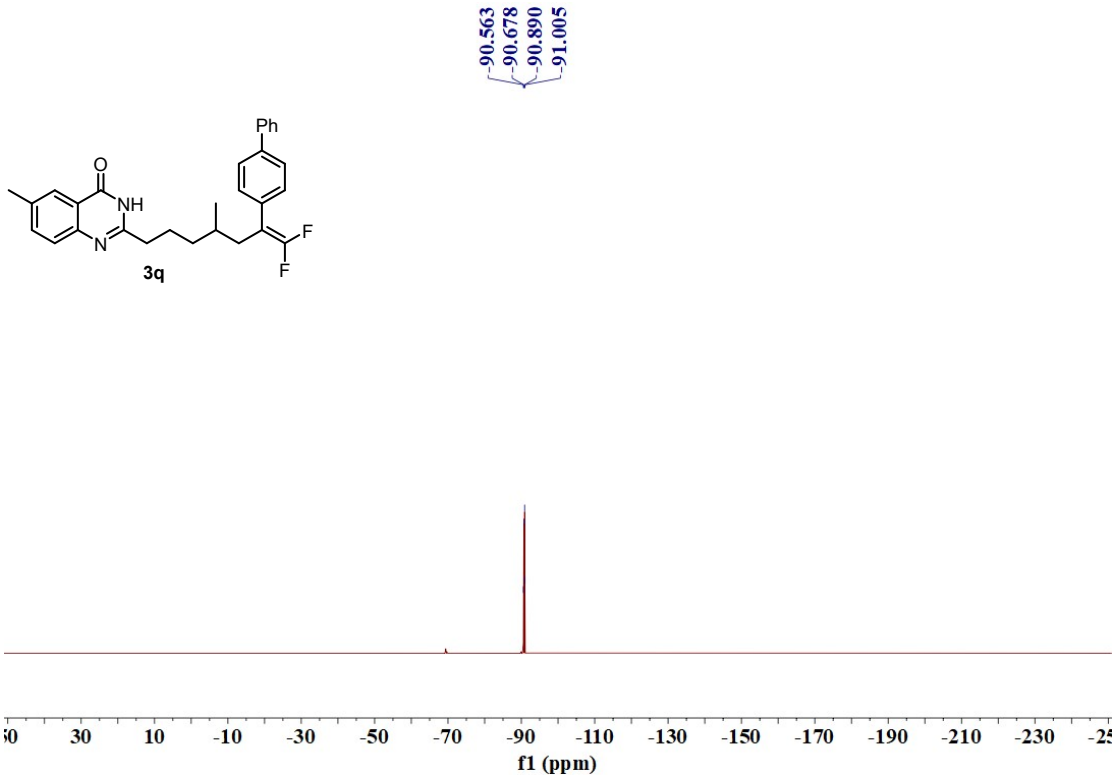

164.074
158.100
156.916
154.072
154.025
151.182
150.279
140.357
139.945
132.580
129.959
129.777
129.660
128.750
128.570
128.537
128.504
127.490
127.364
127.032
126.900
119.102
91.194
91.068
90.977
90.838
35.824
35.777
34.695
30.878
24.635
19.031
Ph
O
NH
Br
N
F
F
3p
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


90.481
90.596
90.797
90.911
Ph
O
NH
Br
N
F
F
3p
50 30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230 -25
f1 (ppm)

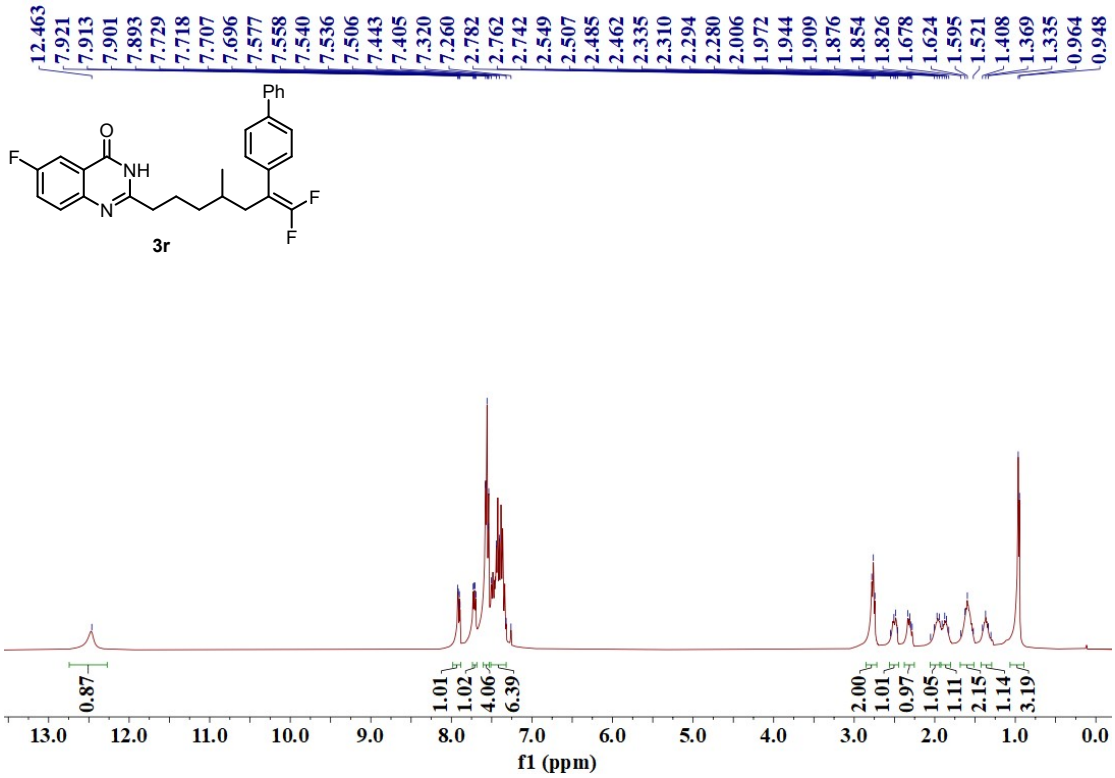
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3q (Chloroform-*d*)

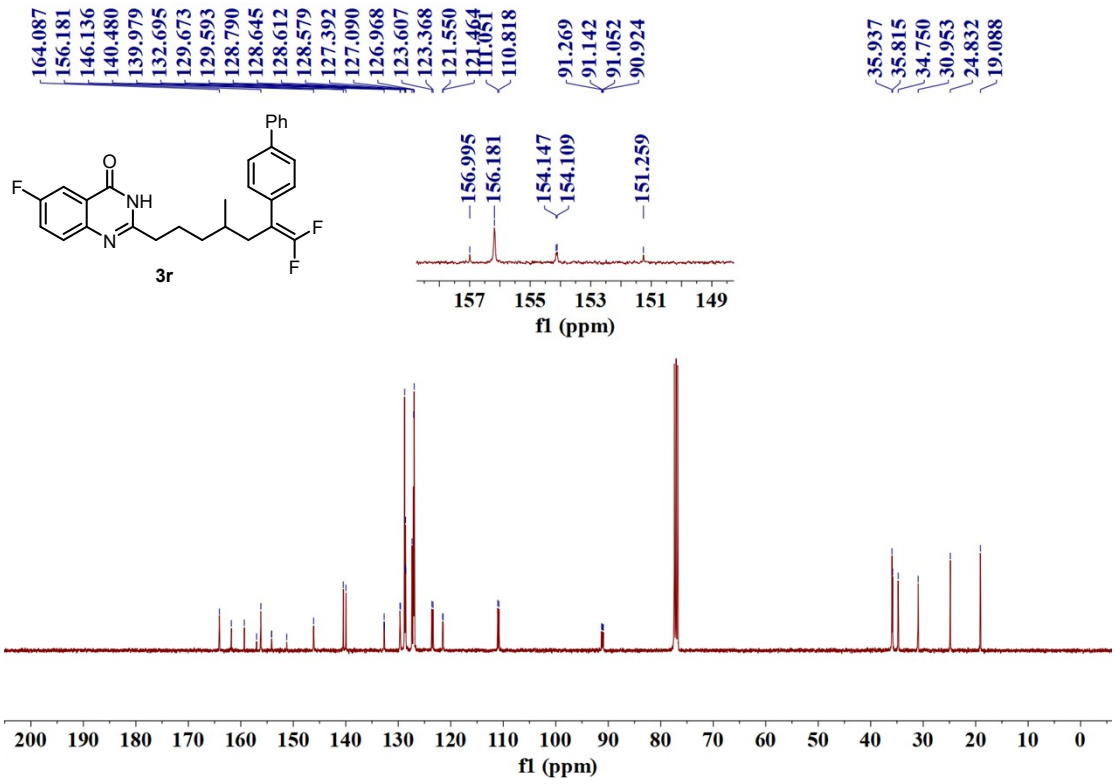


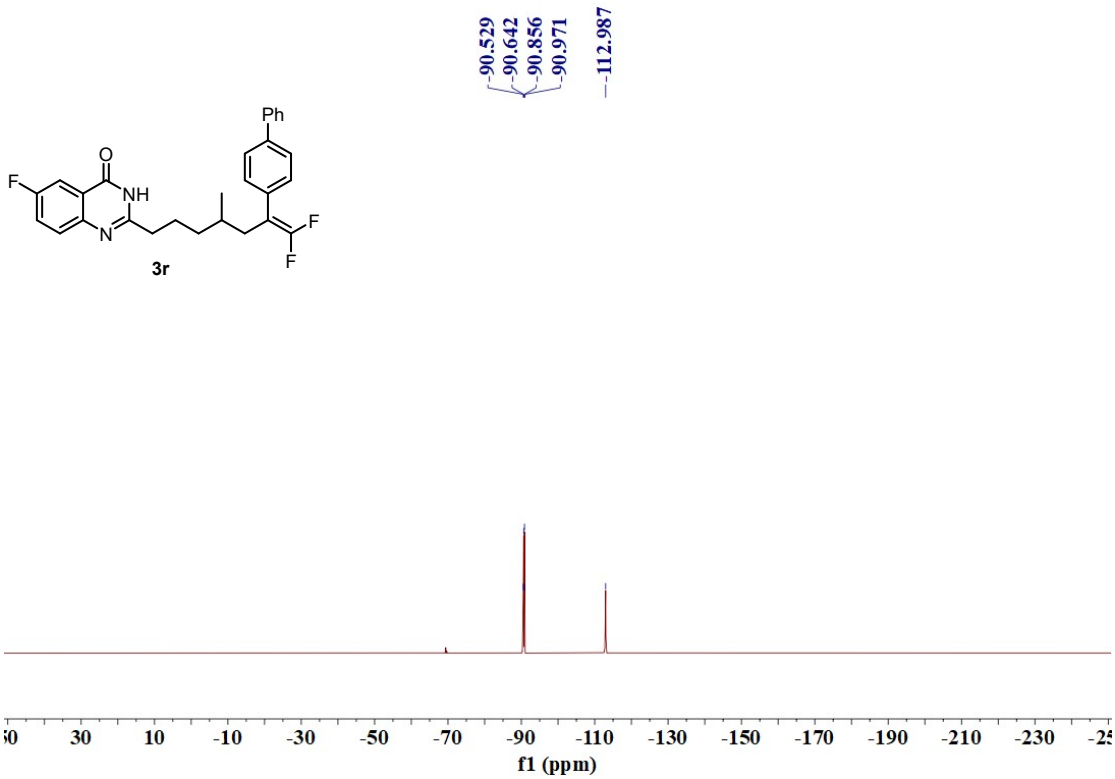




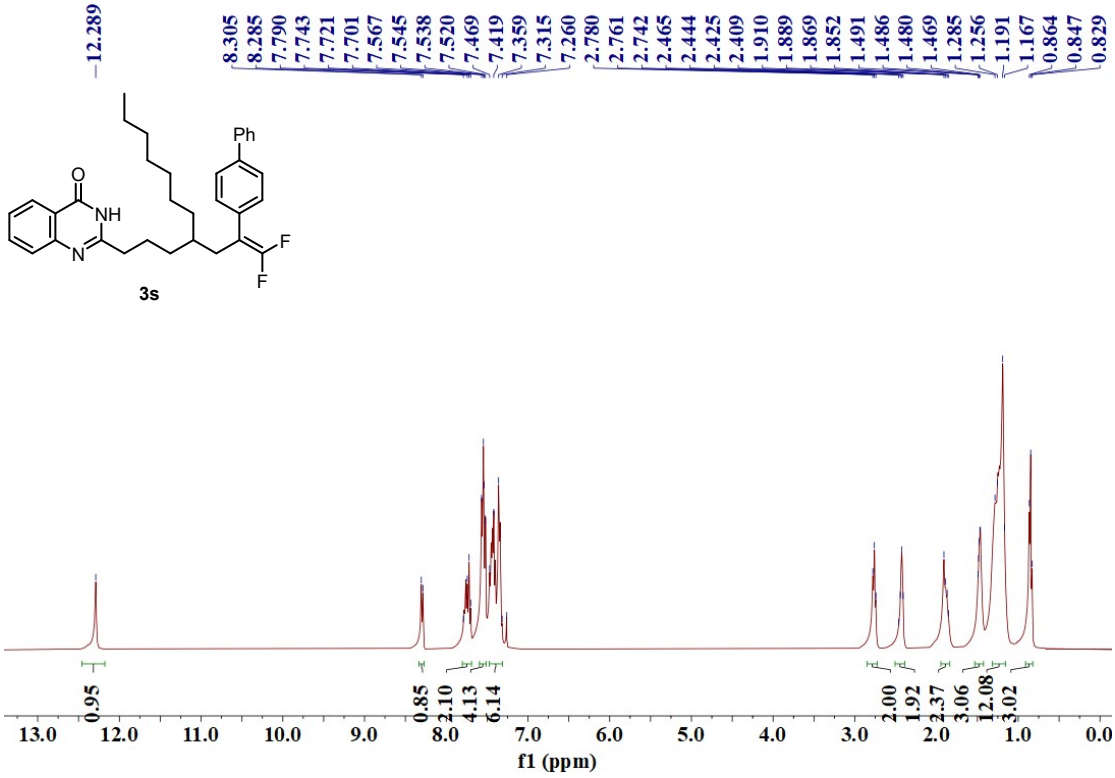
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3r (Chloroform-*d*)

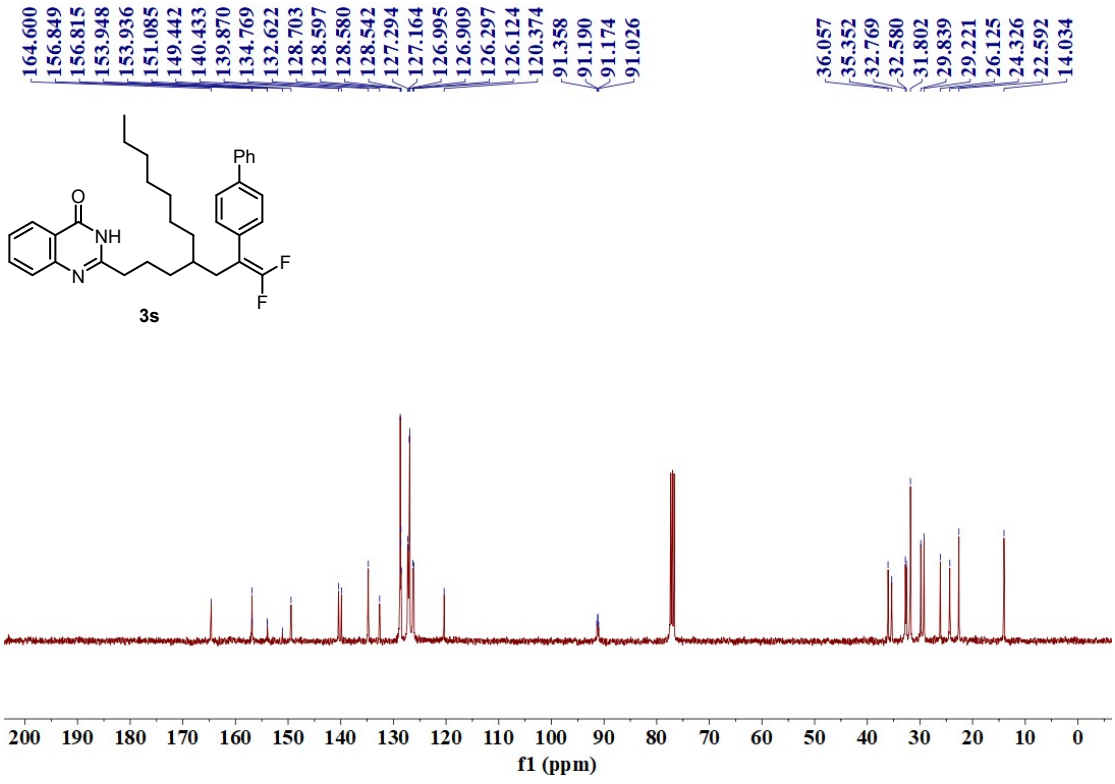


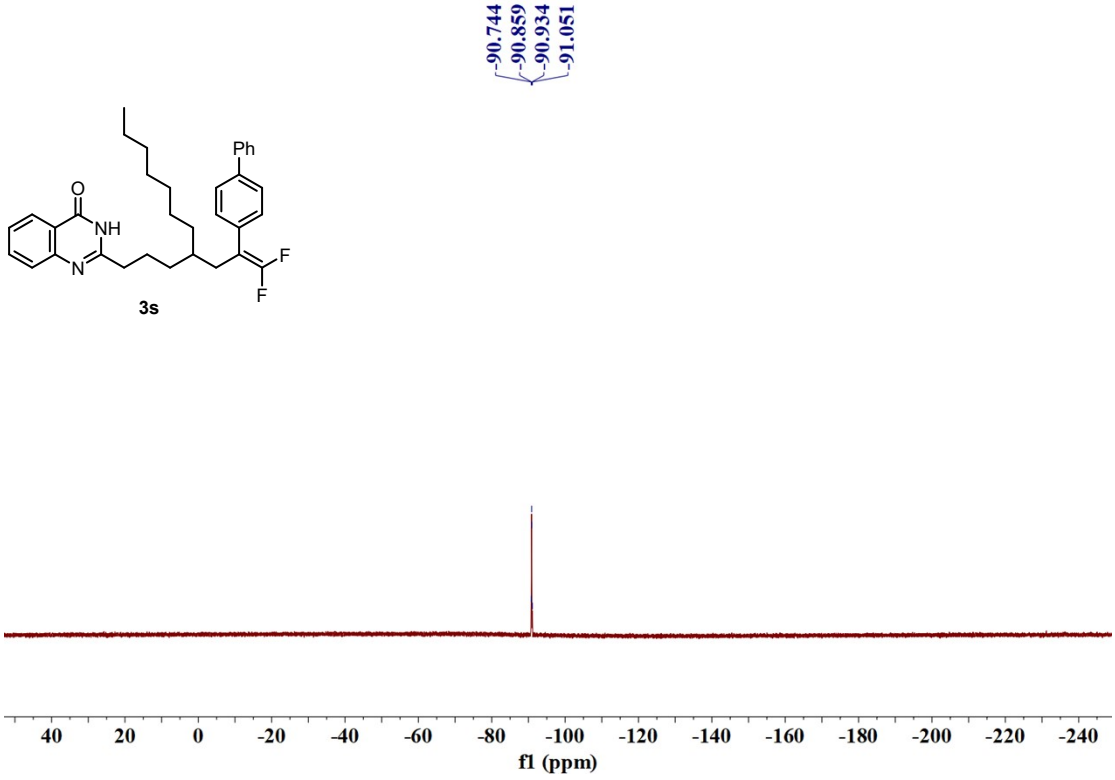

164.087
156.181
146.136
140.480
139.979
132.695
129.673
129.593
128.790
128.645
128.612
128.579
127.392
127.090
126.968
123.607
123.368
121.550
111.051
110.818
91.269
91.142
91.052
90.924
35.937
35.815
34.750
30.953
24.832
19.088
156.995
156.181
154.147
154.109
151.259
157 155 153 151 149
f1 (ppm)
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)
3r


-90.529
-90.642
-90.856
-90.971
-112.987
30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230
f1 (ppm)
3r

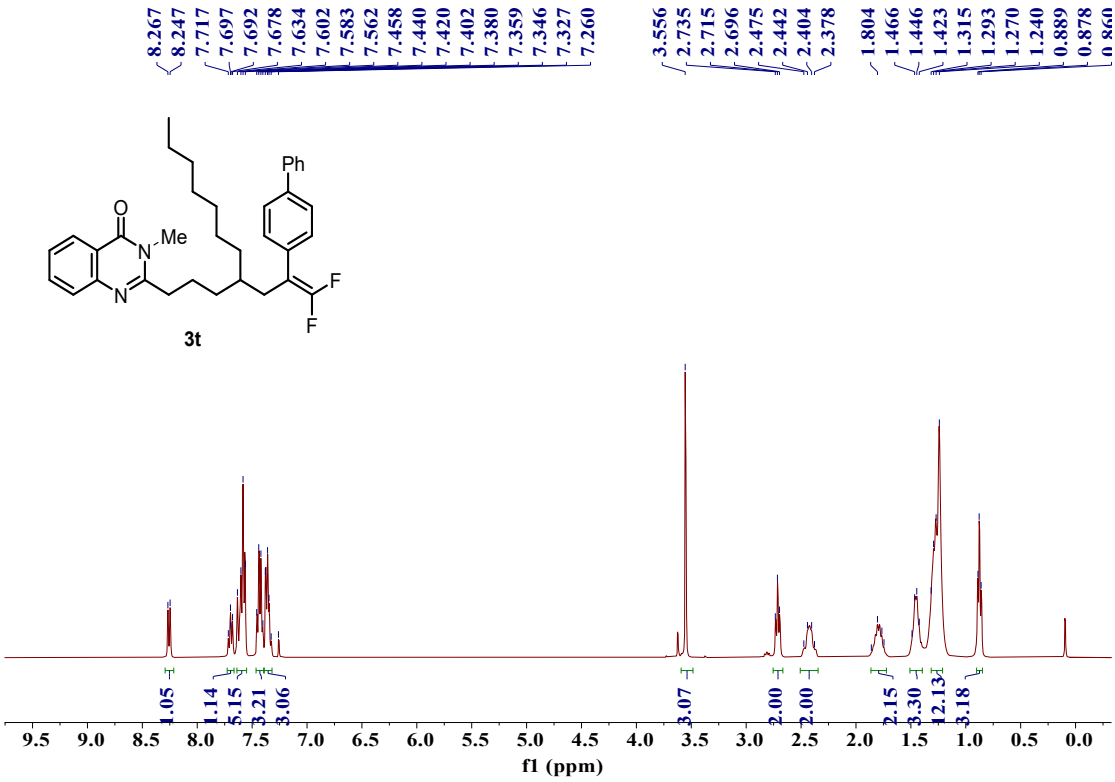
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3s (Chloroform-*d*)

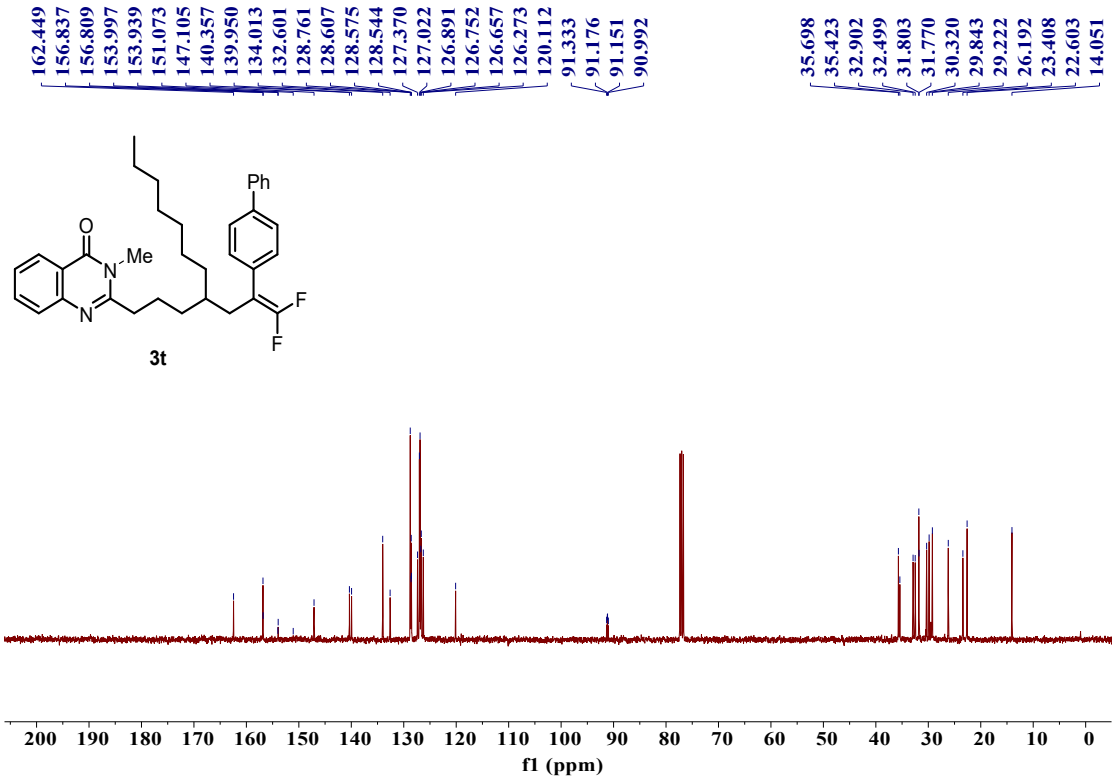


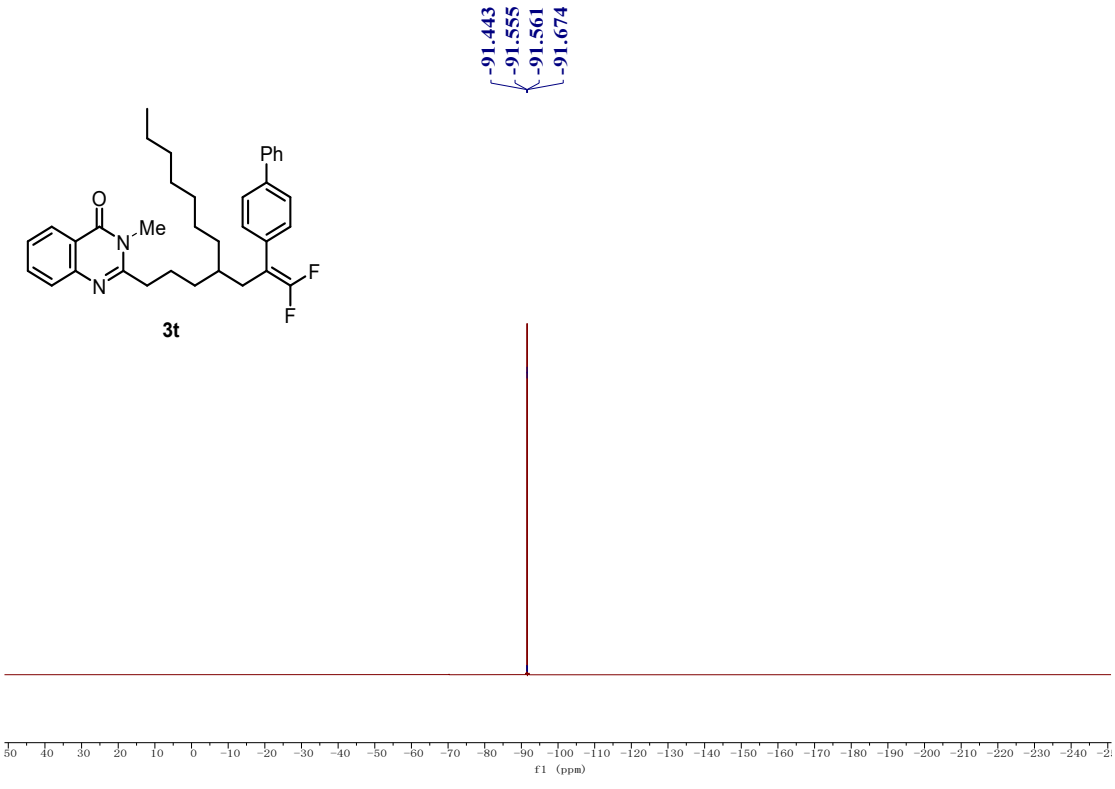




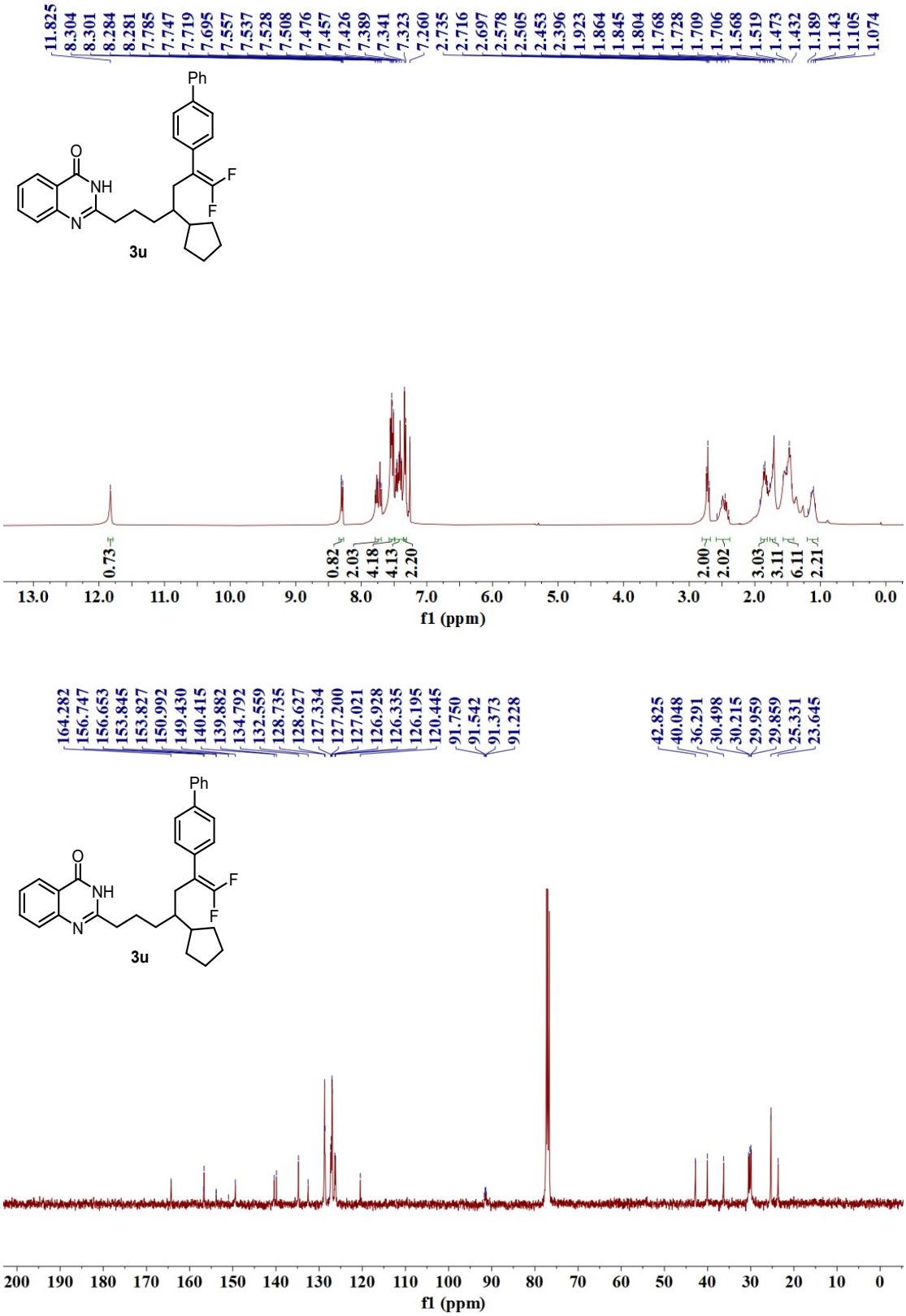
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3t (Chloroform-*d*)

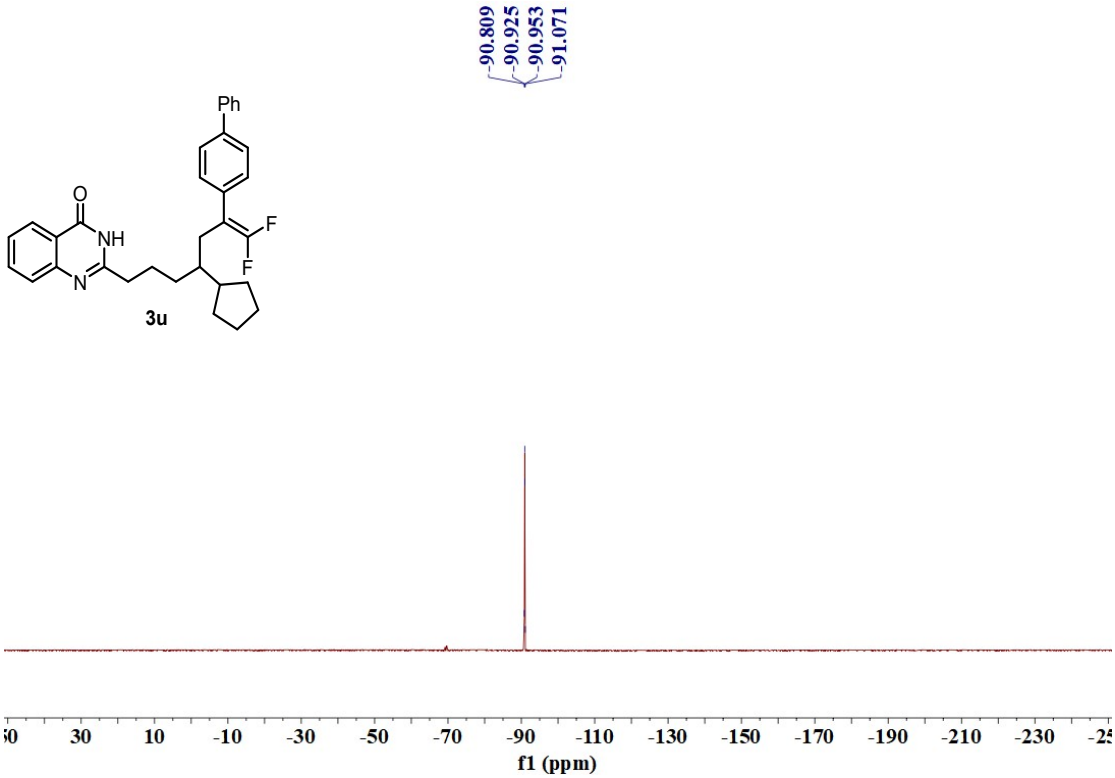



162.449
156.837
156.809
153.997
153.939
151.073
147.105
140.357
139.950
134.013
132.601
128.761
128.607
128.575
128.544
127.370
127.022
126.891
126.752
126.657
126.273
120.112
91.333
91.176
91.151
90.992
35.698
35.423
32.902
32.499
31.803
31.770
30.320
29.843
29.222
26.192
23.408
22.603
14.051
Ph
O
N
Me
N
F
F
3t
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

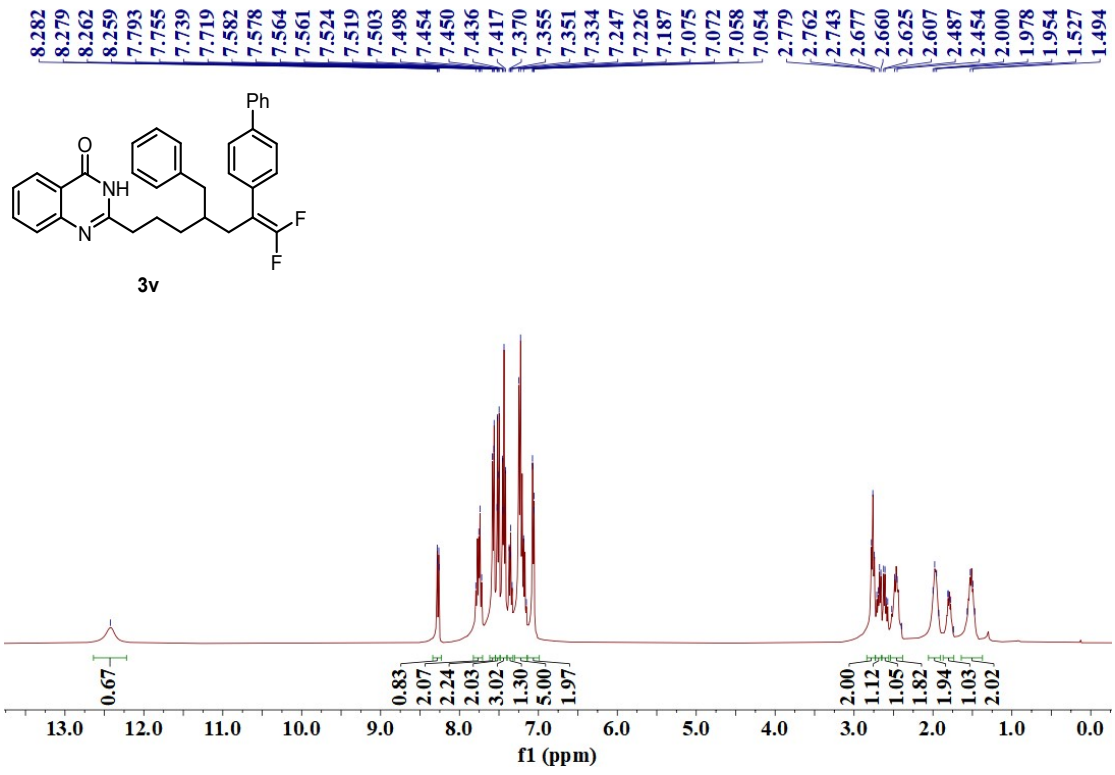

-91.443
-91.555
-91.561
-91.674
Ph
O
N
Me
N
F
F
3t
f1 (ppm)

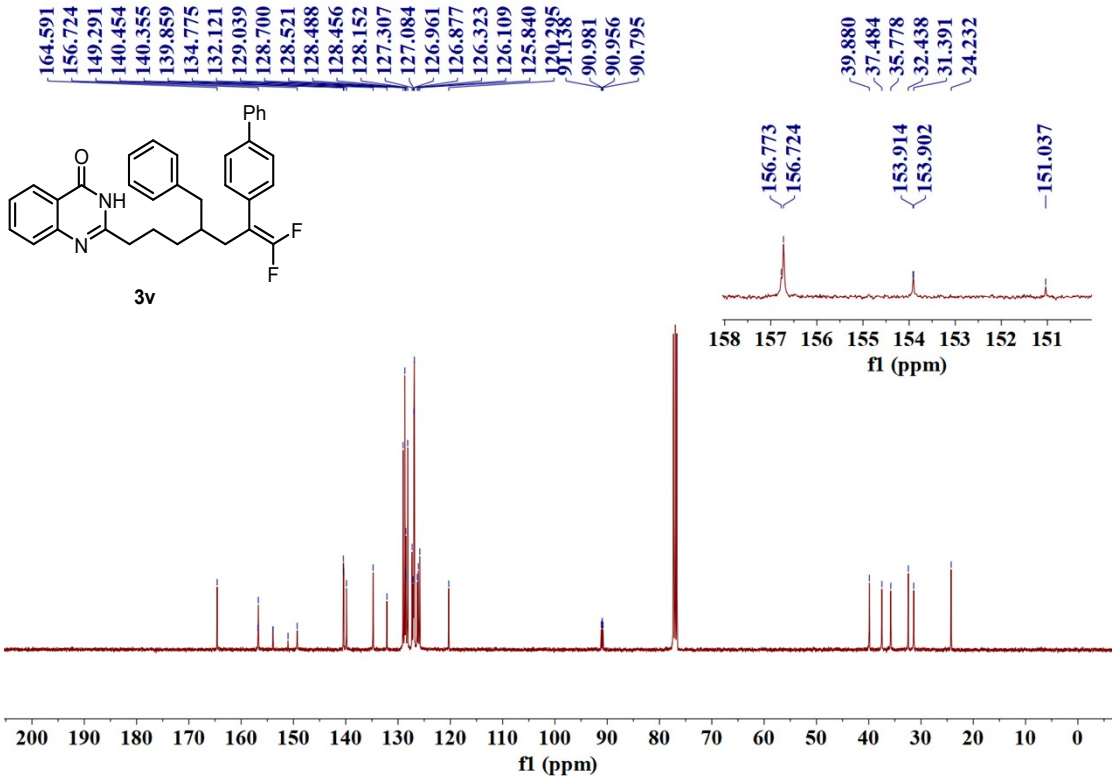
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3u (Chloroform-*d*)

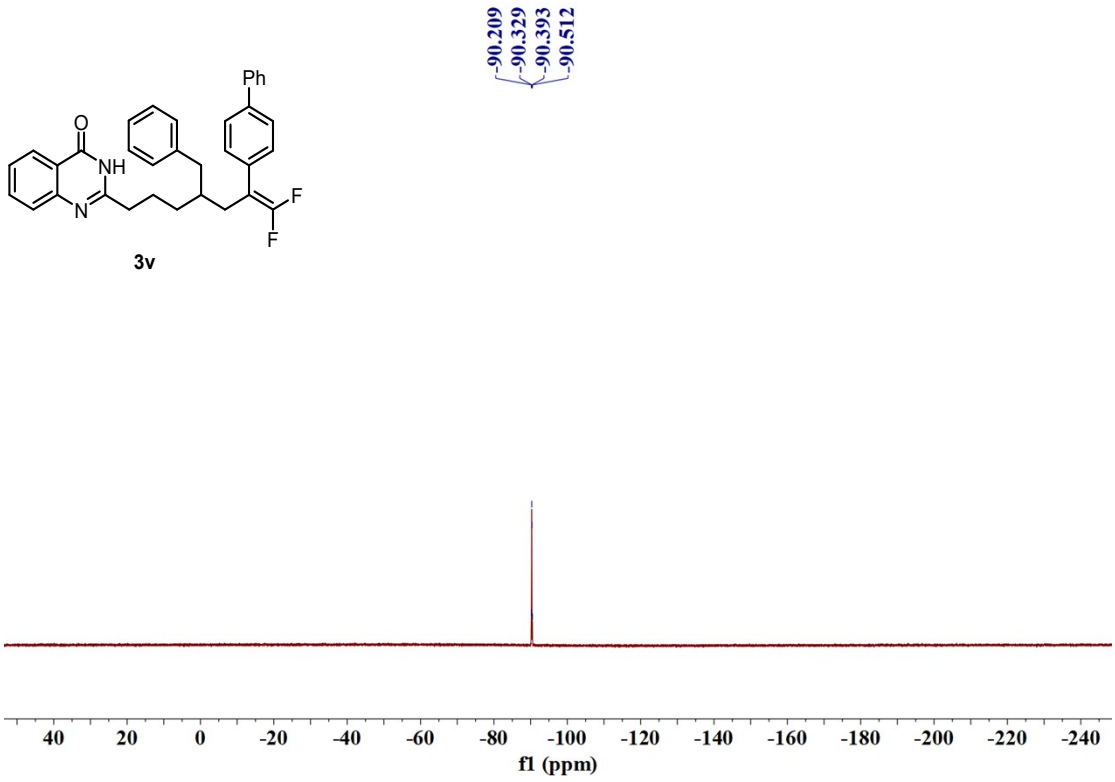




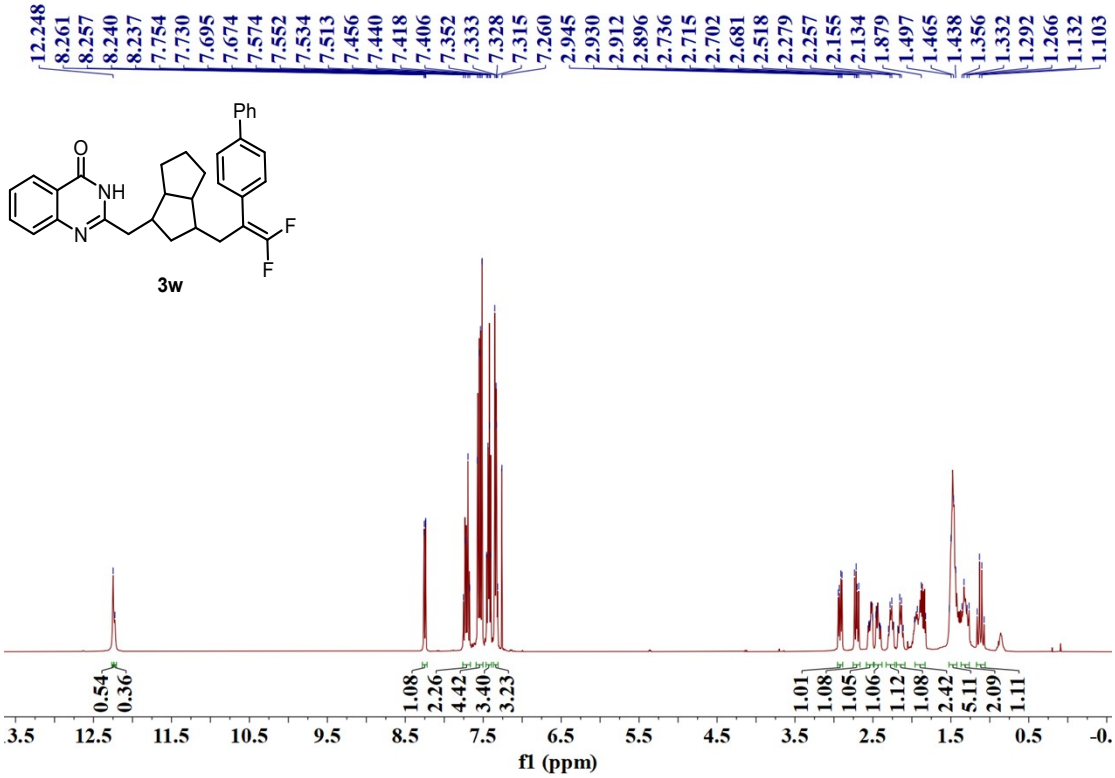
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3v (Chloroform-*d*)

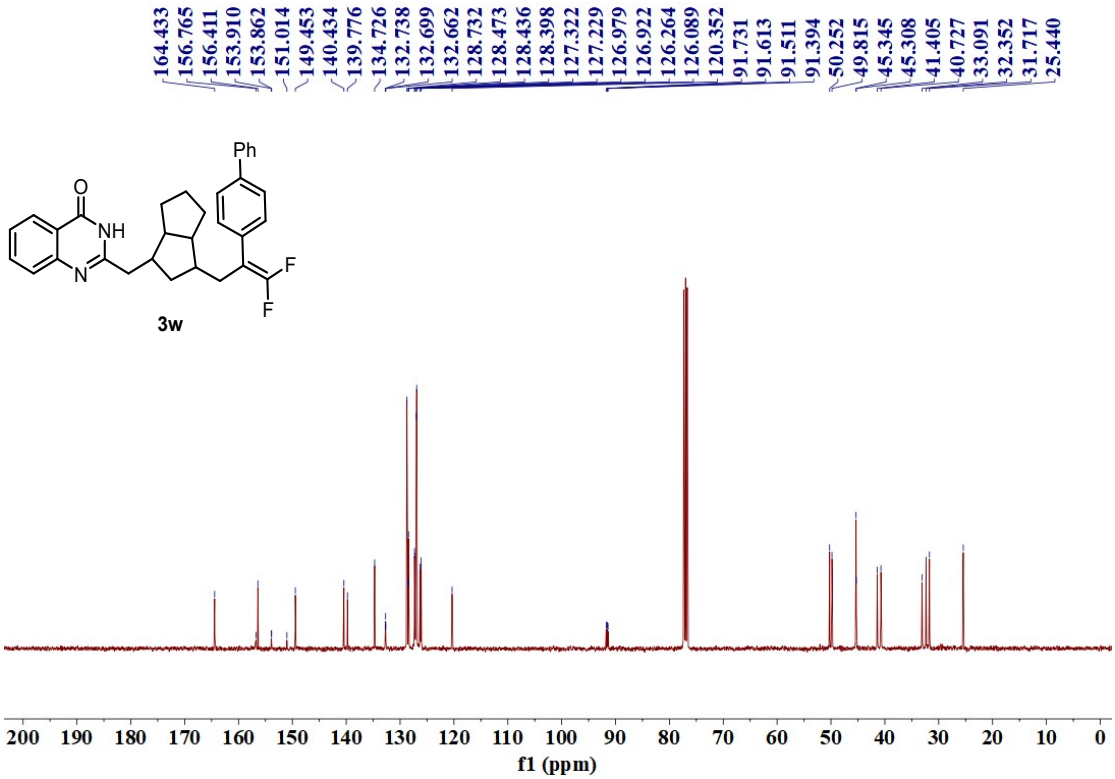


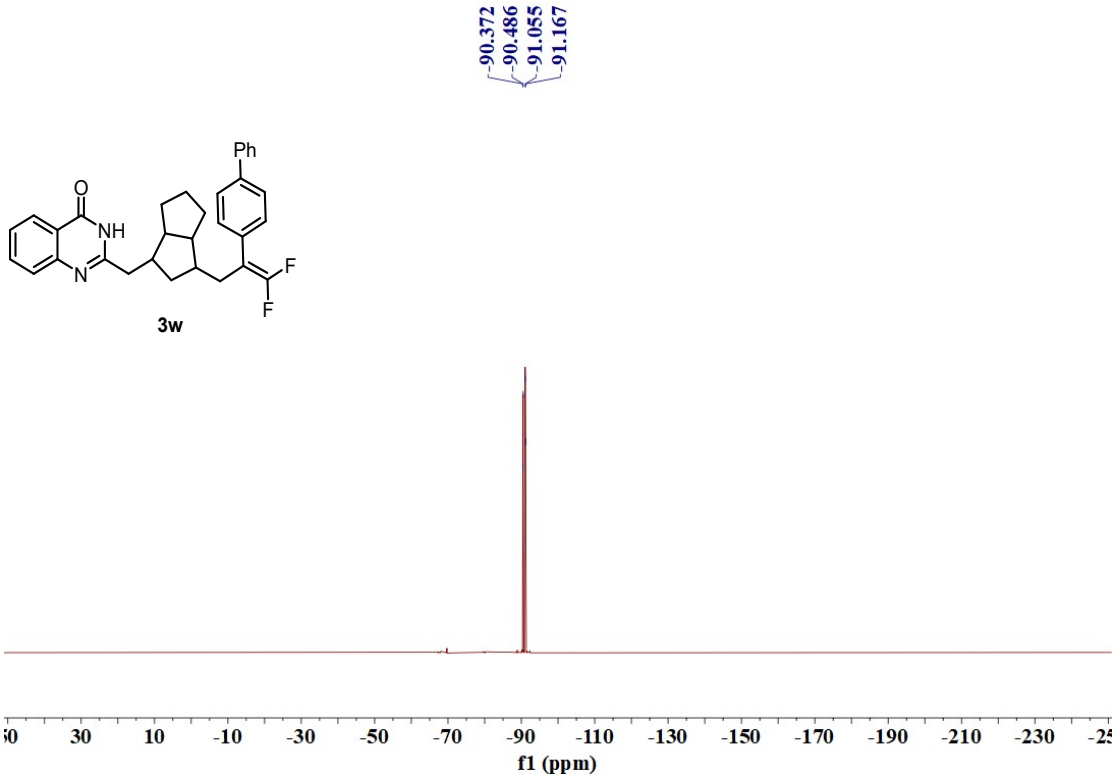

164.591
156.724
149.291
140.454
140.355
139.859
134.775
132.121
129.039
128.700
128.521
128.488
128.456
128.152
127.307
127.084
126.961
126.877
126.323
126.109
125.840
120.295
91.138
90.981
90.956
90.795
39.880
37.484
35.778
32.438
31.391
24.232
Ph
O
NH
N
F
F
3v
156.773
156.724
153.914
153.902
151.037
158 157 156 155 154 153 152 151
f1 (ppm)
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


-90.209
-90.329
-90.393
-90.512
Ph
O
NH
N
F
F
3v
40 20 0 -20 -40 -60 -80 -100 -120 -140 -160 -180 -200 -220 -240
f1 (ppm)

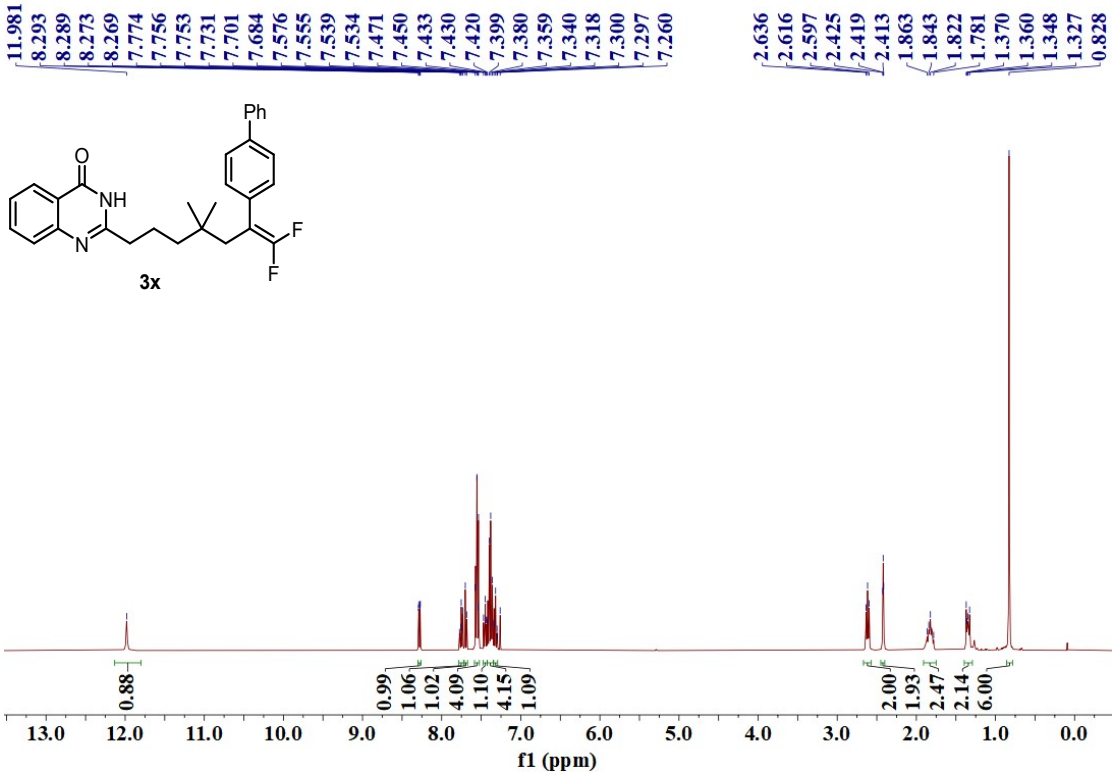
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3w (Chloroform-*d*)

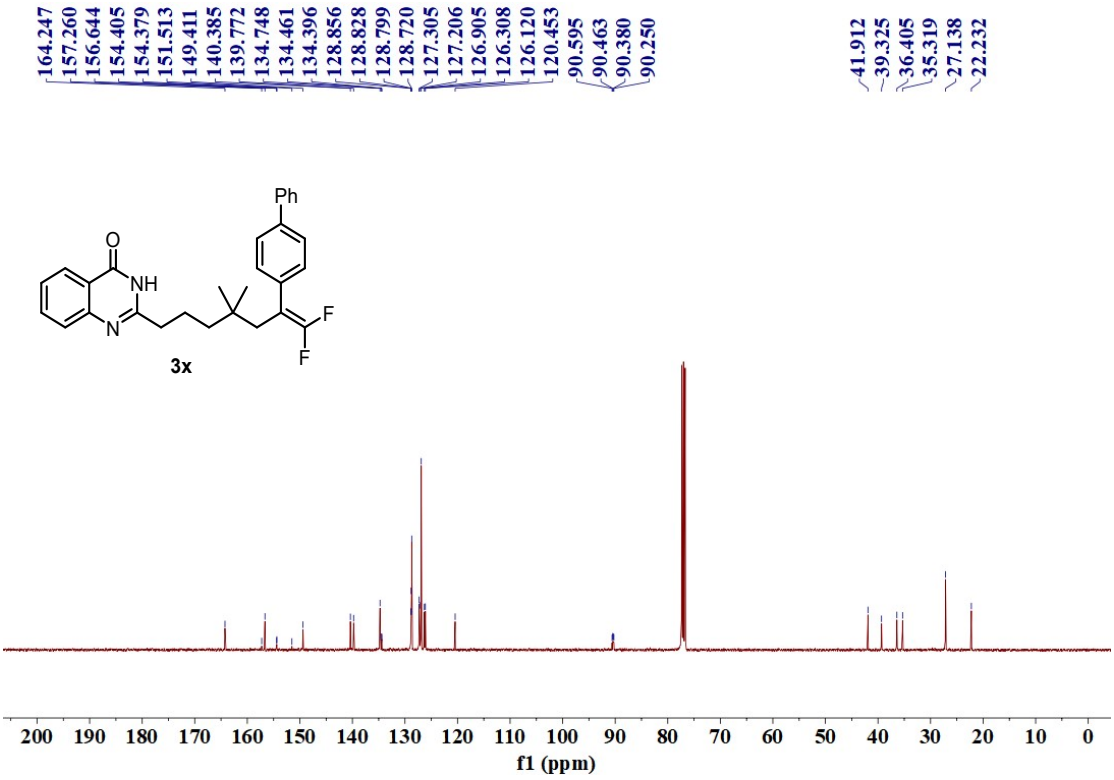


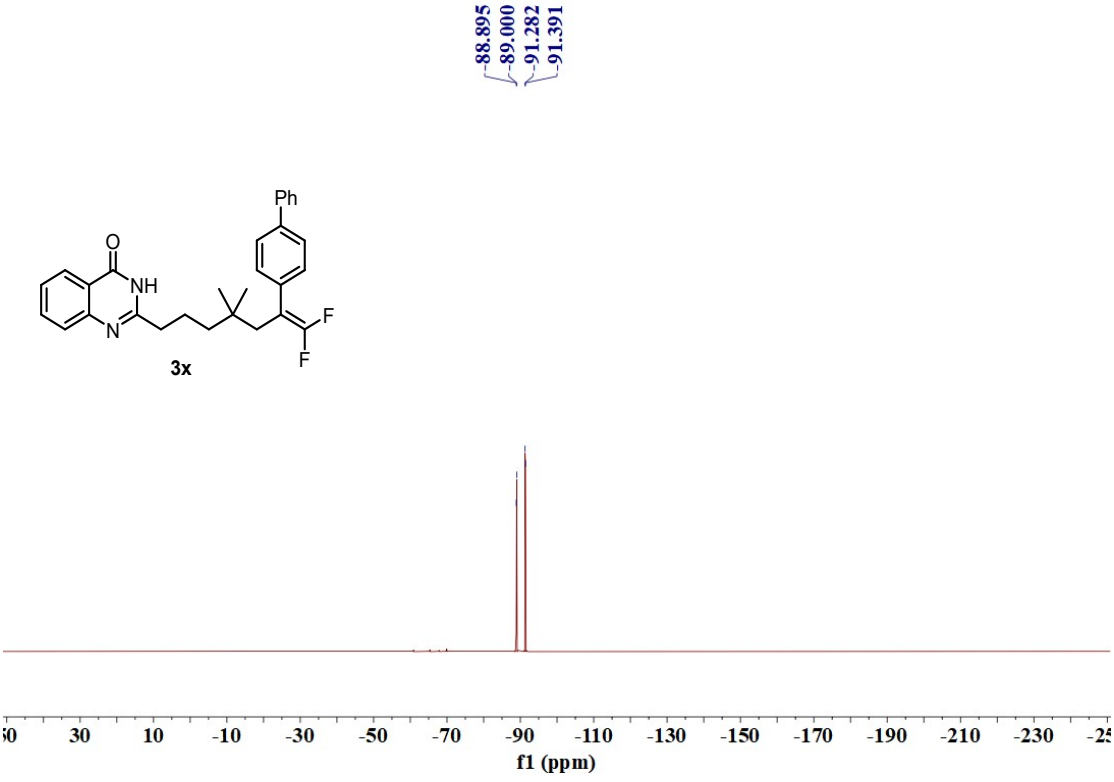




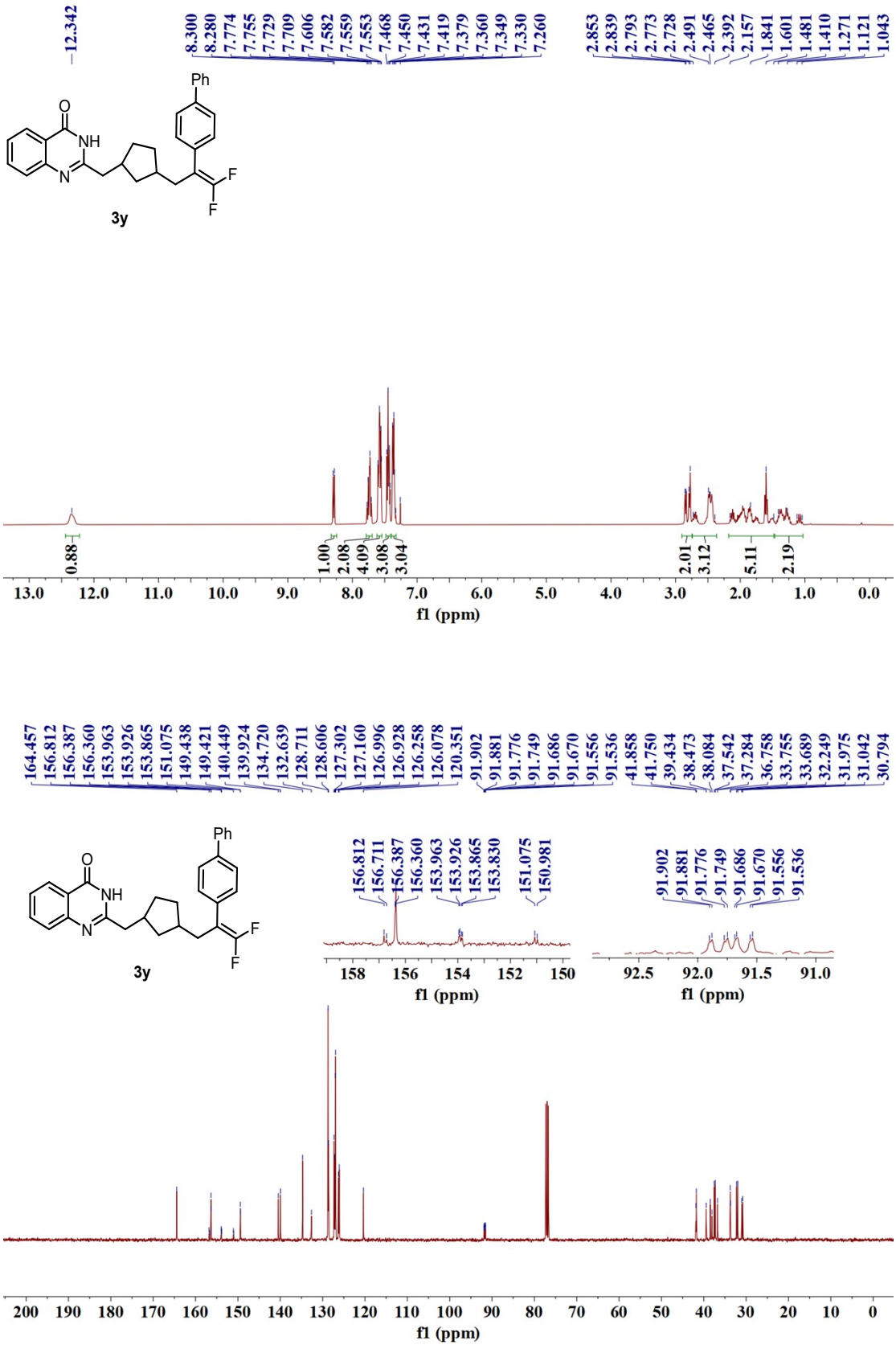
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3x (Chloroform-*d*)

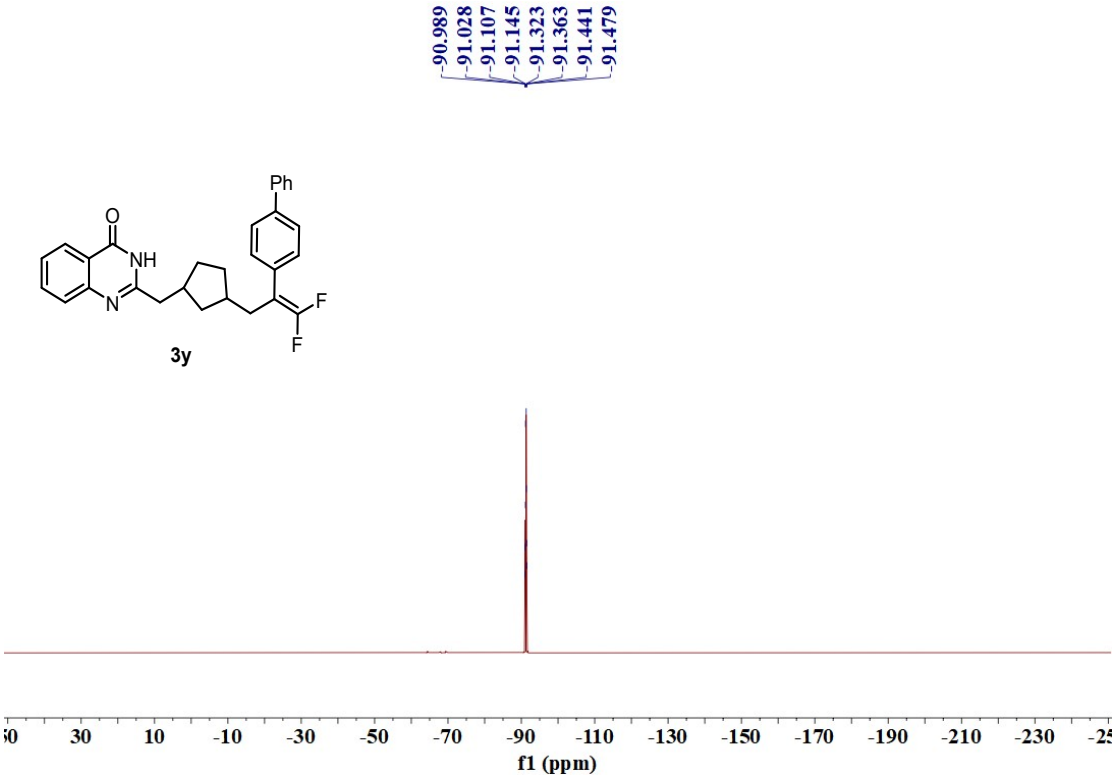



164.247
157.260
156.644
154.405
154.379
151.513
149.411
140.385
139.772
134.748
134.461
134.396
128.856
128.828
128.799
128.720
127.305
127.206
126.905
126.308
126.120
120.453
90.595
90.463
90.380
90.250
41.912
39.325
36.405
35.319
27.138
22.232
Ph
O
NH
N
F
F
3x
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

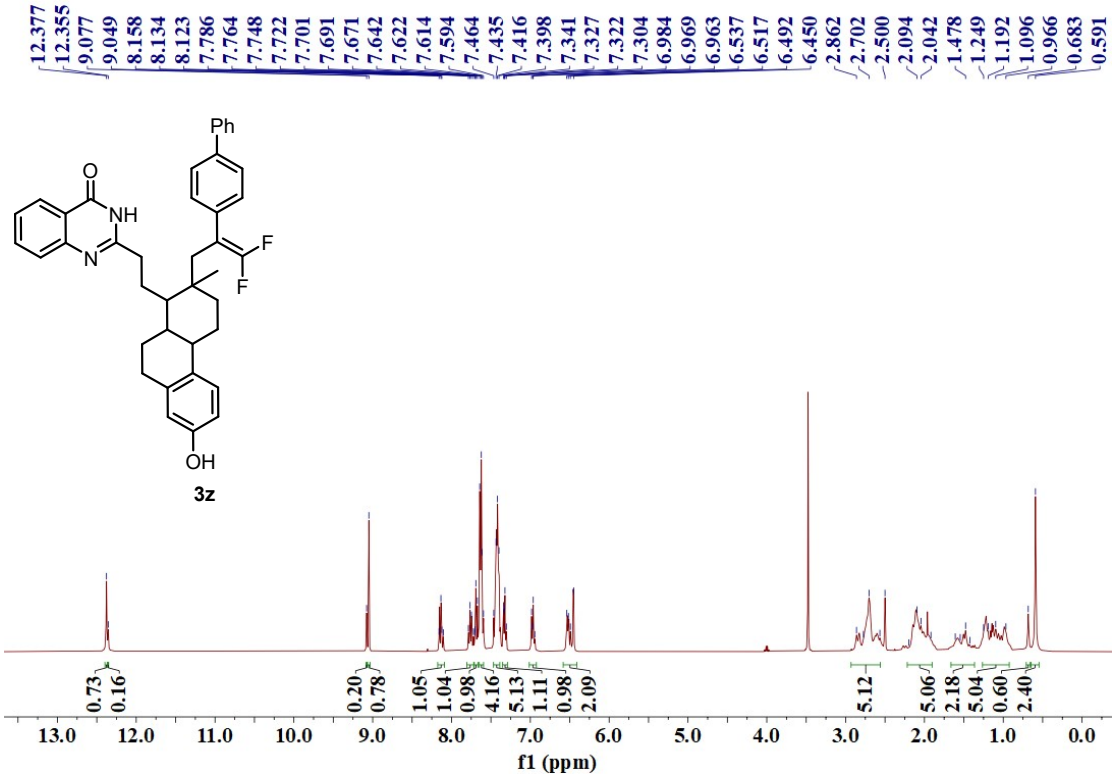

88.895
89.000
91.282
91.391
Ph
O
NH
N
F
F
3x
30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230
f1 (ppm)

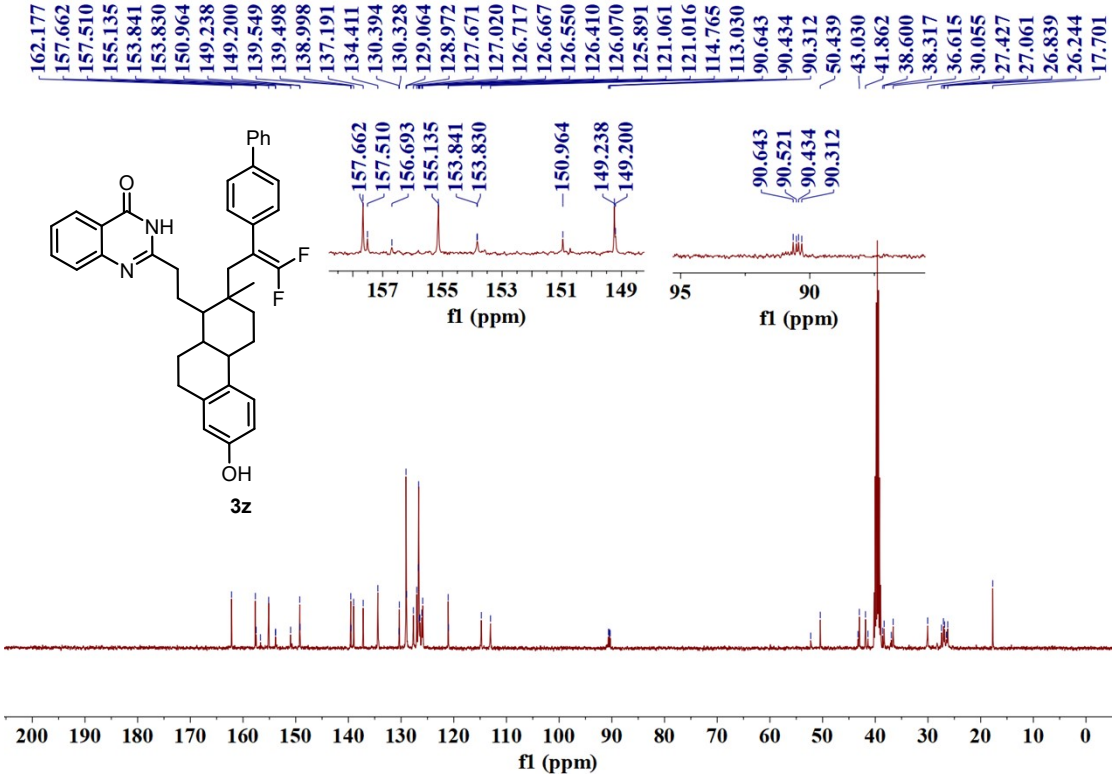
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3y (Chloroform-*d*)

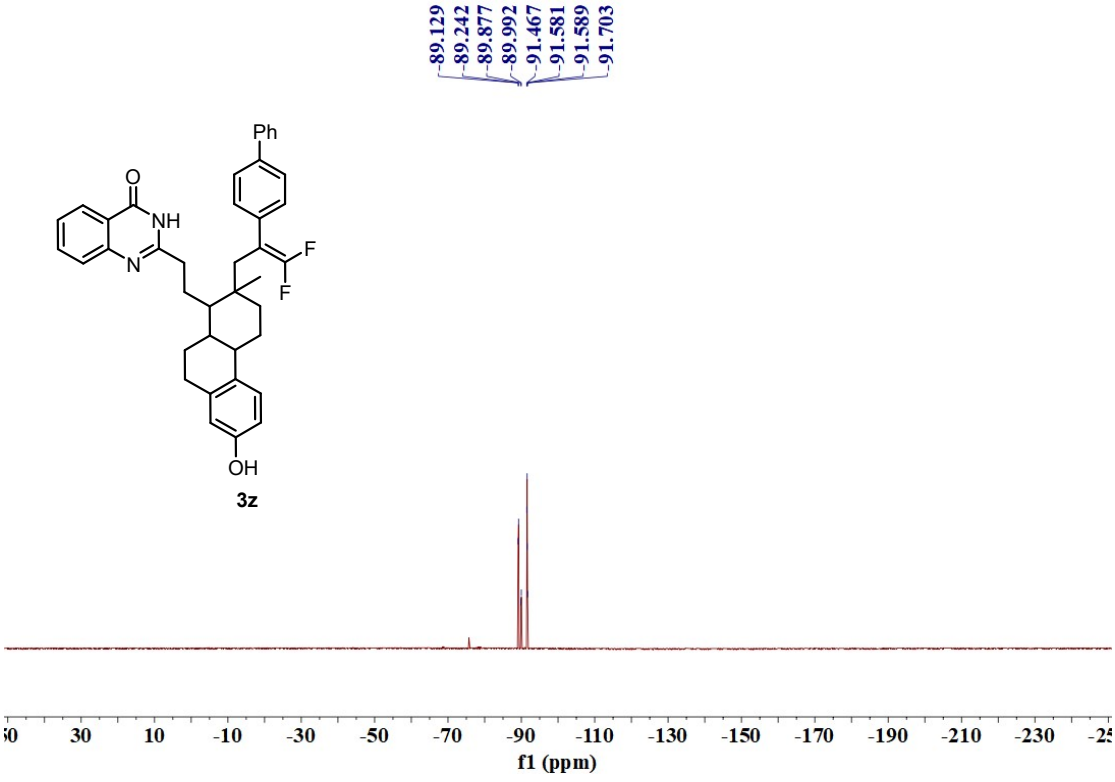




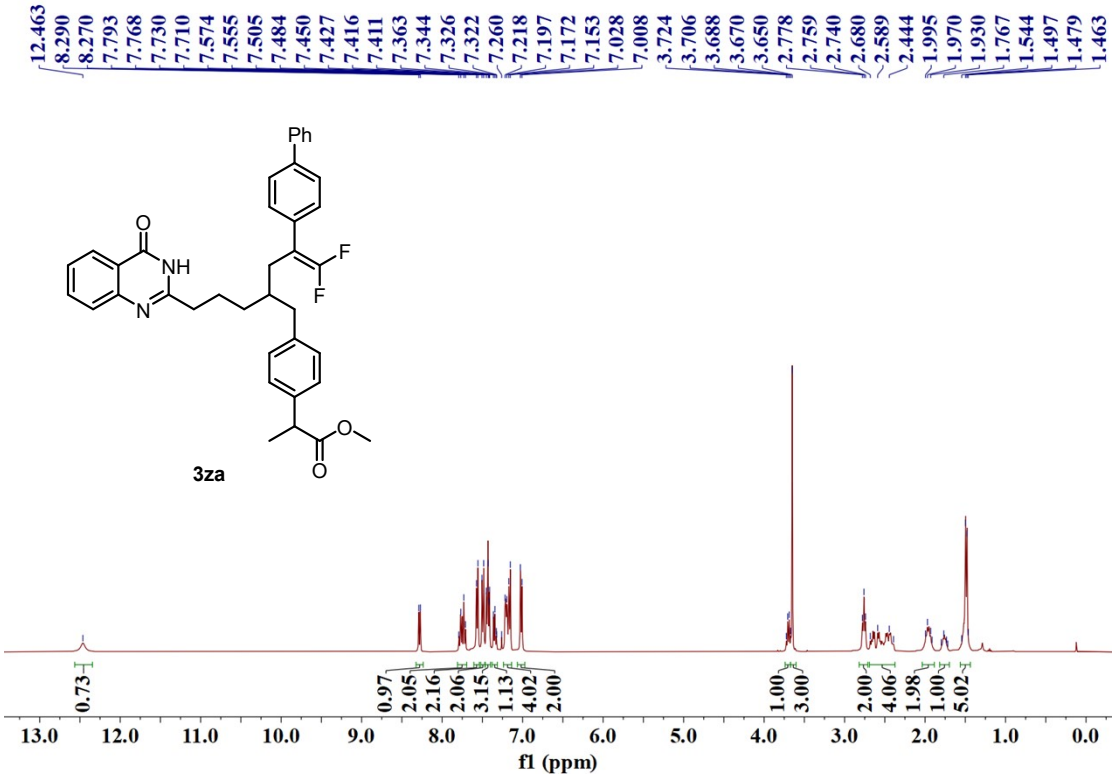
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3z (DMSO-d_6)

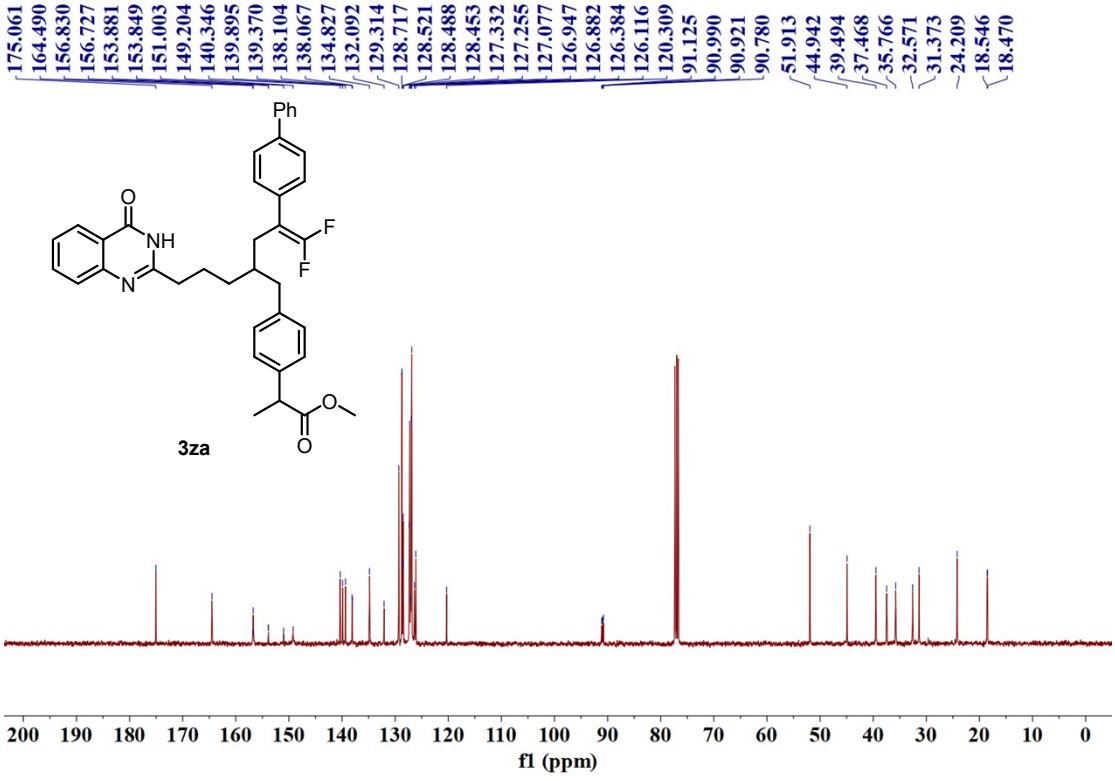


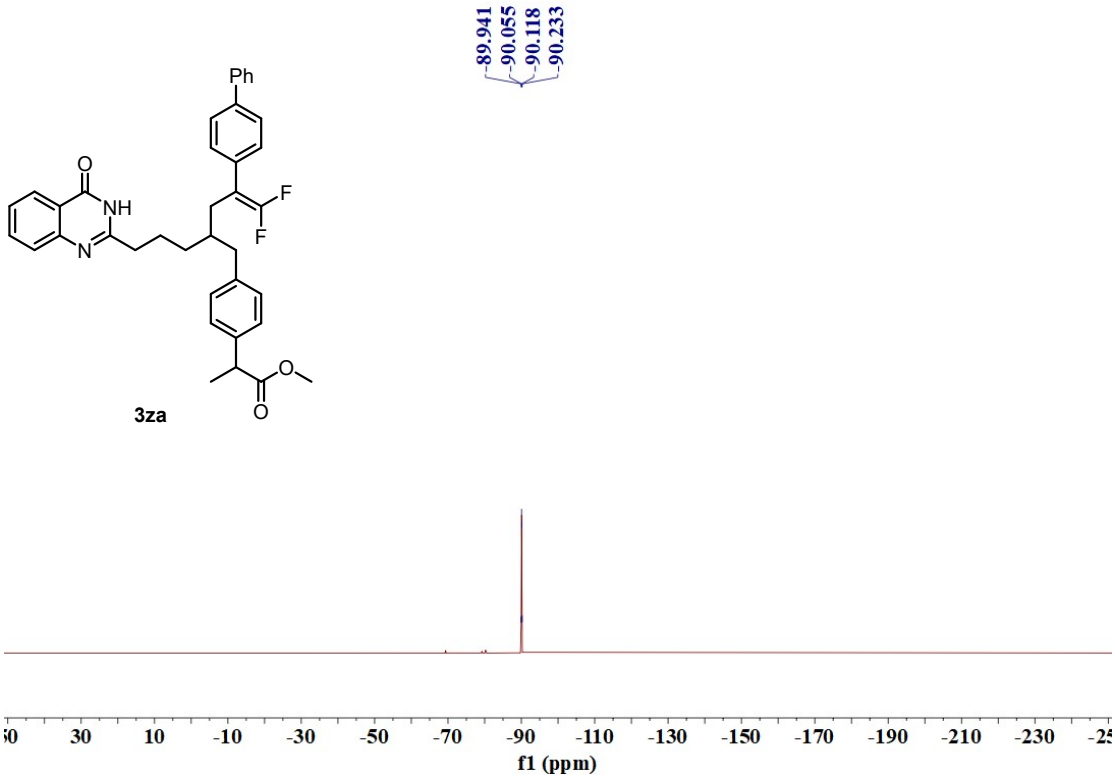

162.177
157.662
157.510
155.135
153.841
153.830
150.964
149.238
149.200
139.549
139.498
138.998
137.191
134.411
130.394
130.328
129.064
128.972
127.671
127.020
126.717
126.667
126.550
126.410
126.070
125.891
121.061
121.016
114.765
113.030
90.643
90.434
90.312
50.439
43.030
41.862
38.600
38.317
36.615
30.055
27.427
27.061
26.839
26.244
17.701
Ph
O
NH
N
F
F
OH
3z
157.662
157.510
156.693
155.135
153.841
153.830
150.964
149.238
149.200
157
155
153
151
149
f1 (ppm)
90.643
90.521
90.434
90.312
95
90
f1 (ppm)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)


89.129
89.242
89.877
89.992
91.467
91.581
91.589
91.703
Ph
O
NH
N
F
F
OH
3z
30
10
-10
-30
-50
-70
-90
-110
-130
-150
-170
-190
-210
-230
f1 (ppm)

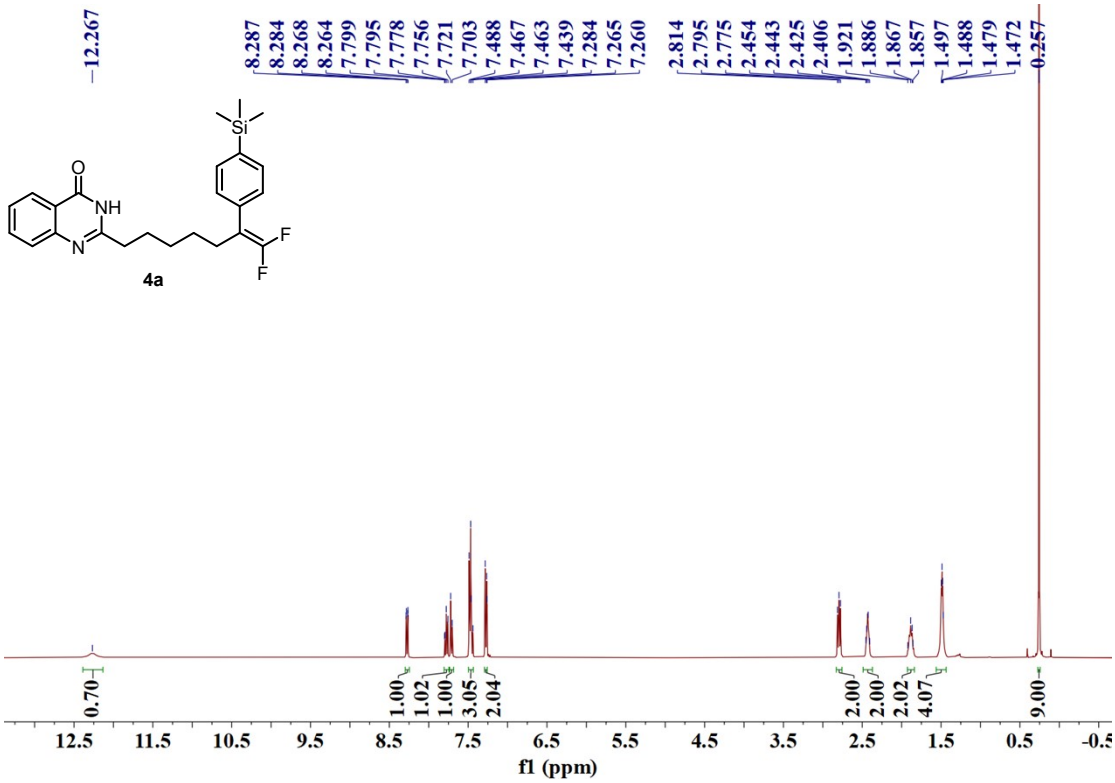
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 3w (Chloroform-*d*)

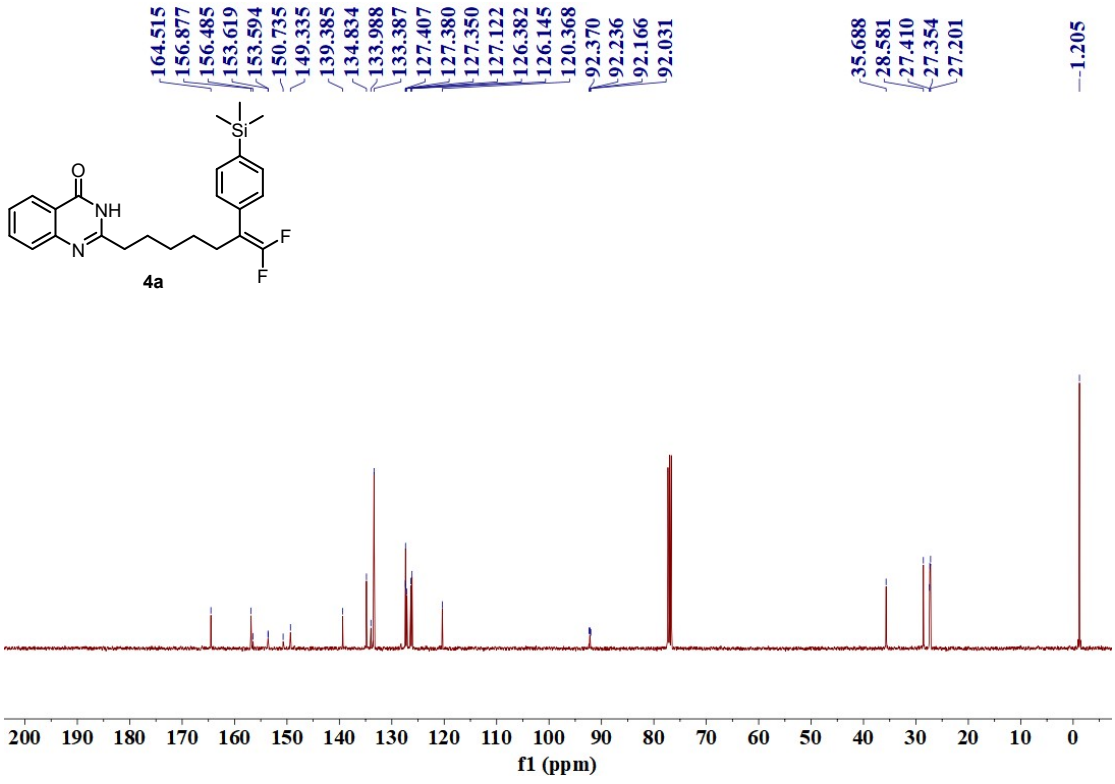


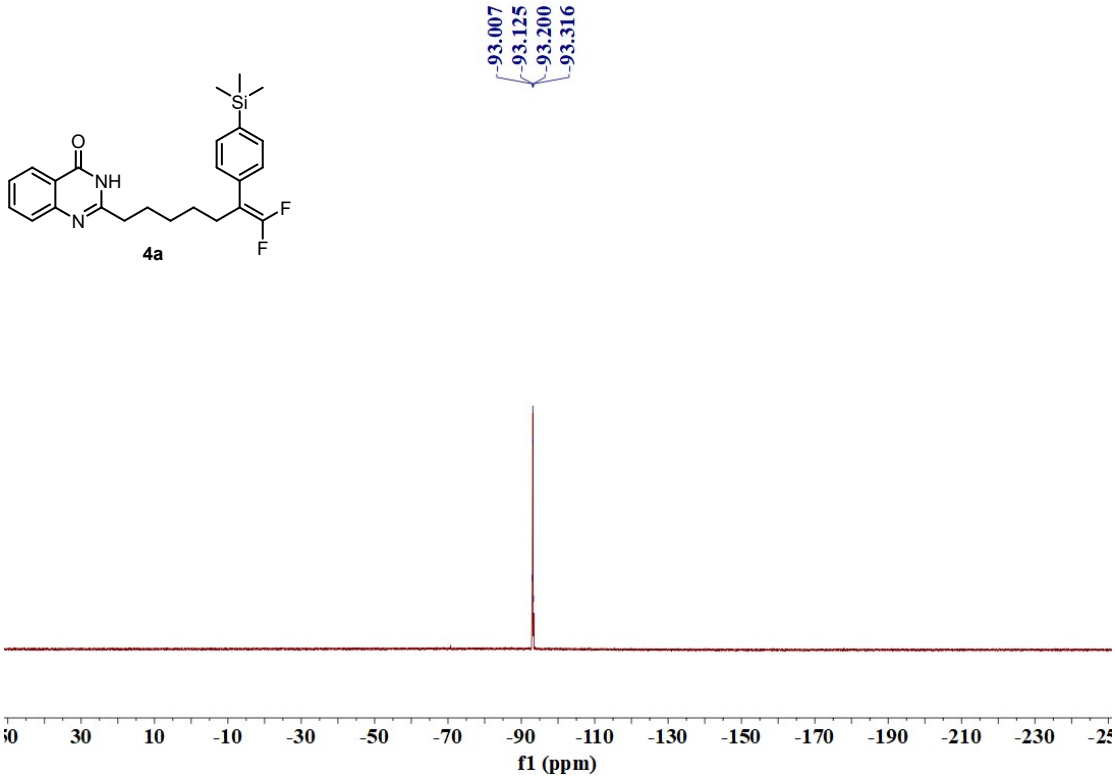



89.941
90.055
90.118
90.233
Ph
O
NH
N
F
F
O
O
3za
30
10
-10
-30
-50
-70
-90
-110
-130
-150
-170
-190
-210
-230
f1 (ppm)

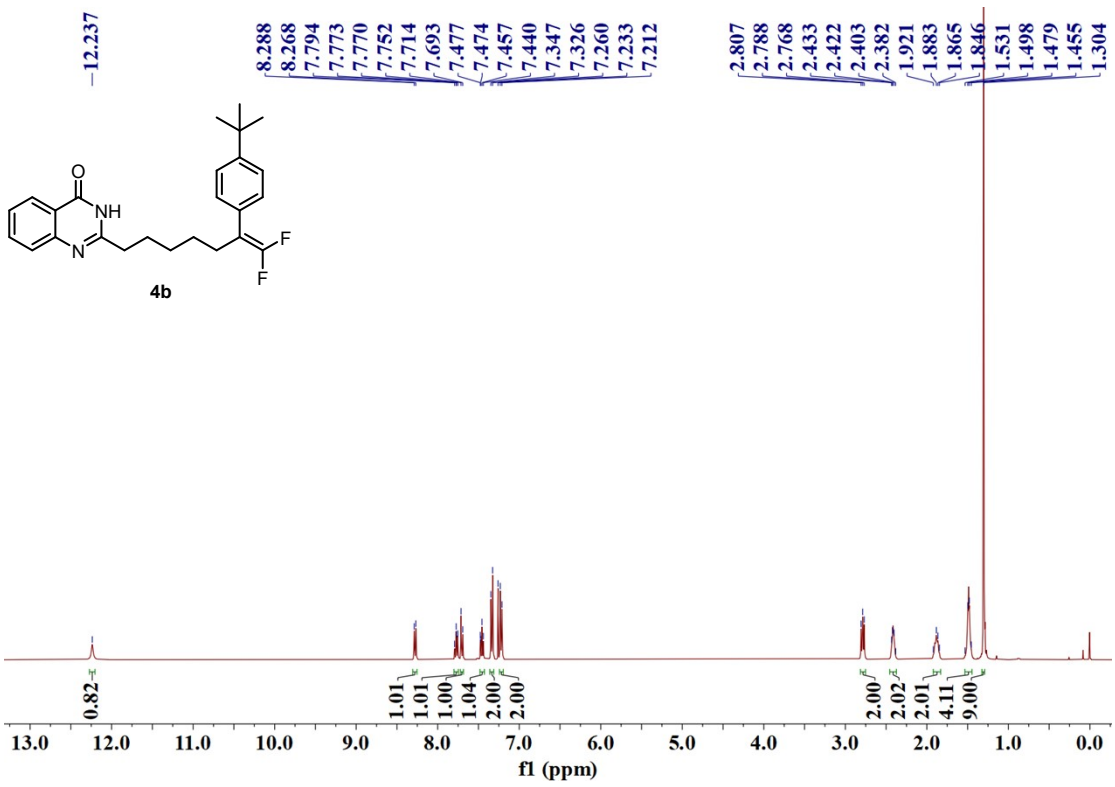
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 4a (Chloroform-*d*)

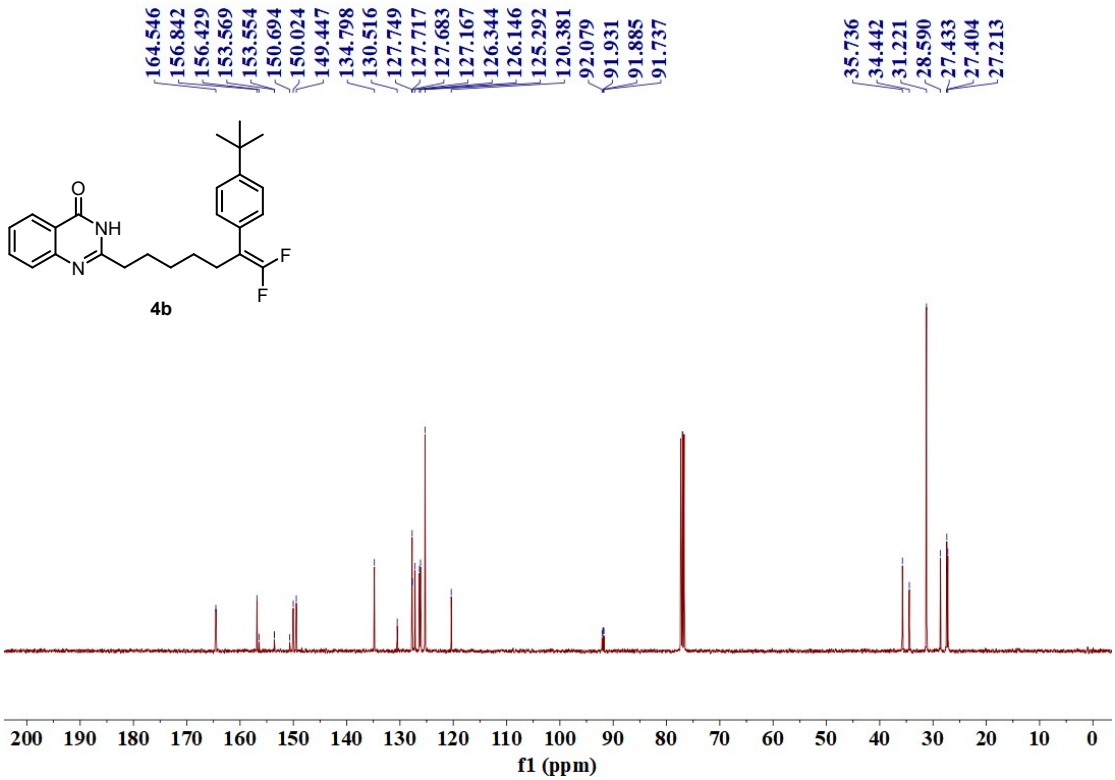


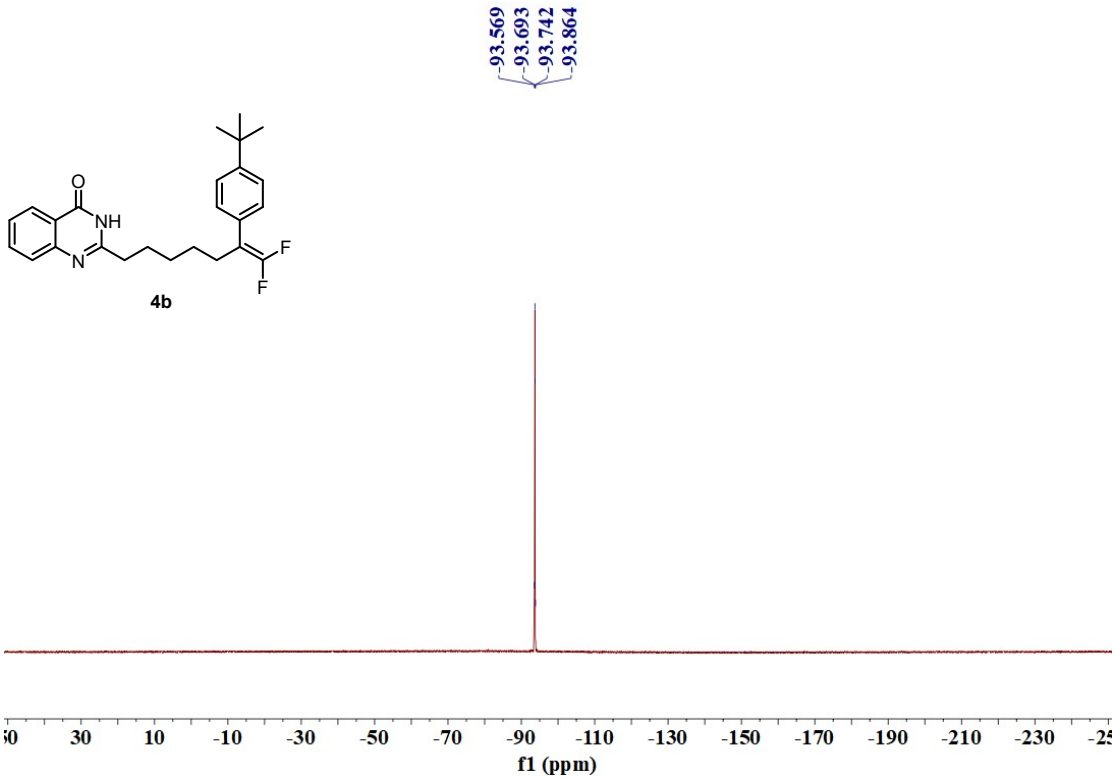




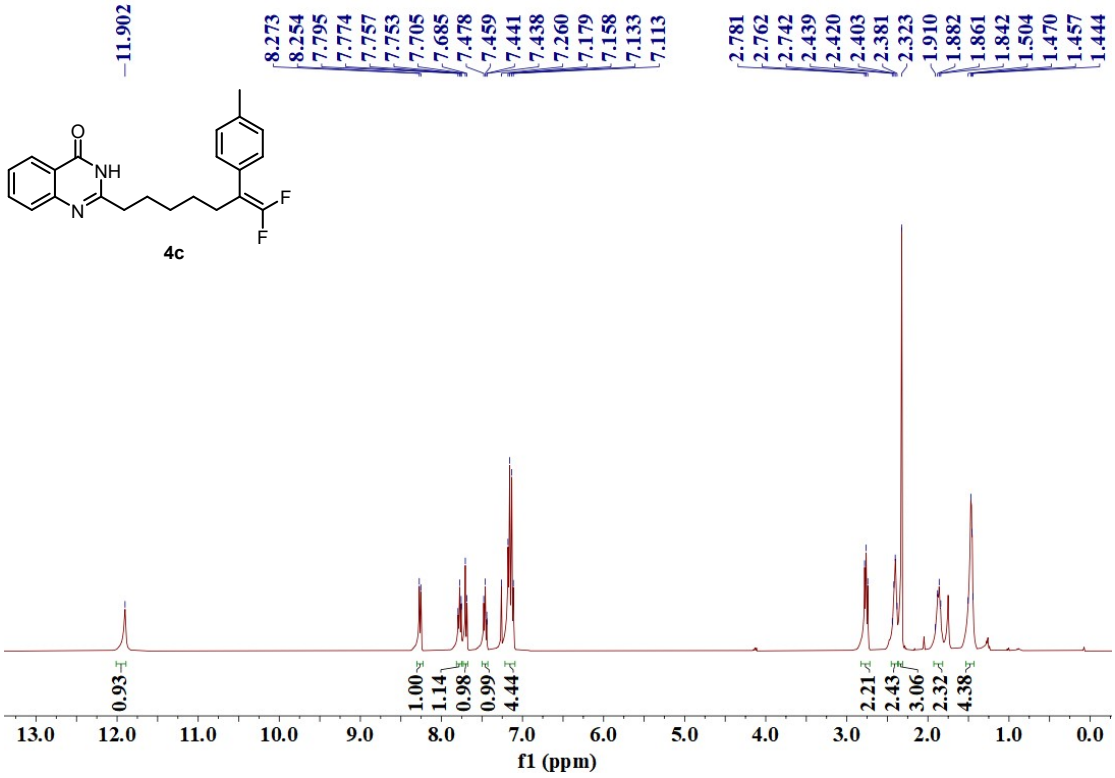
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 4b (Chloroform-*d*)

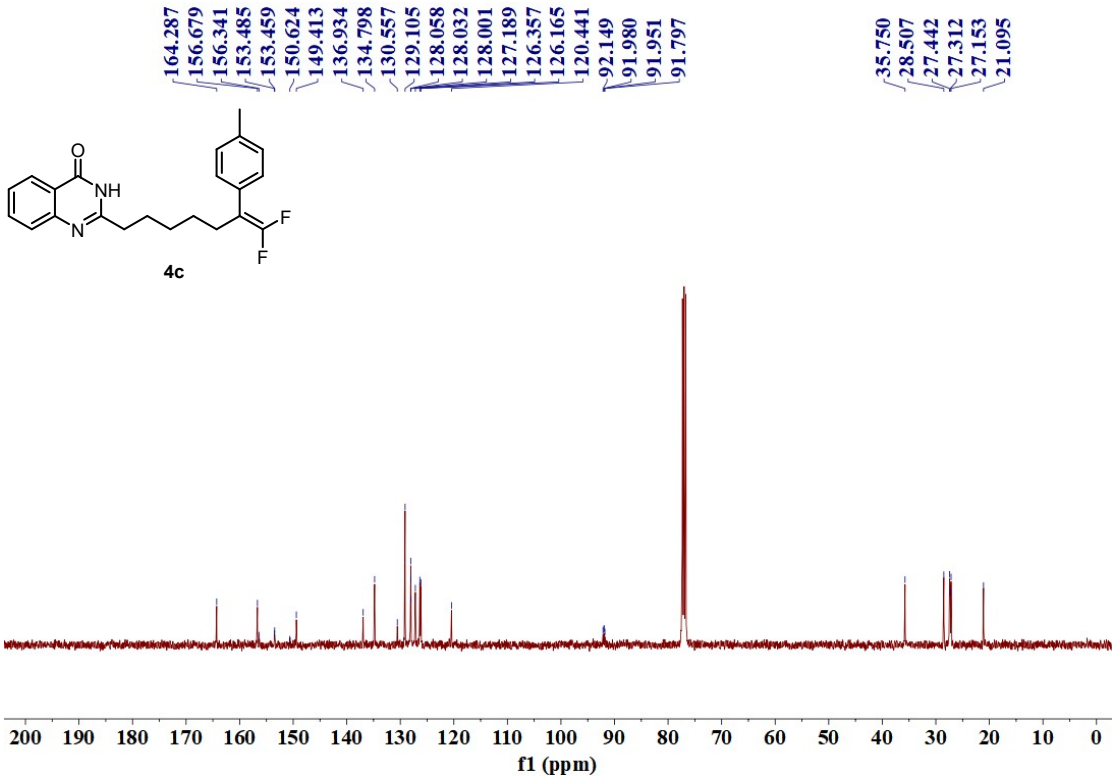


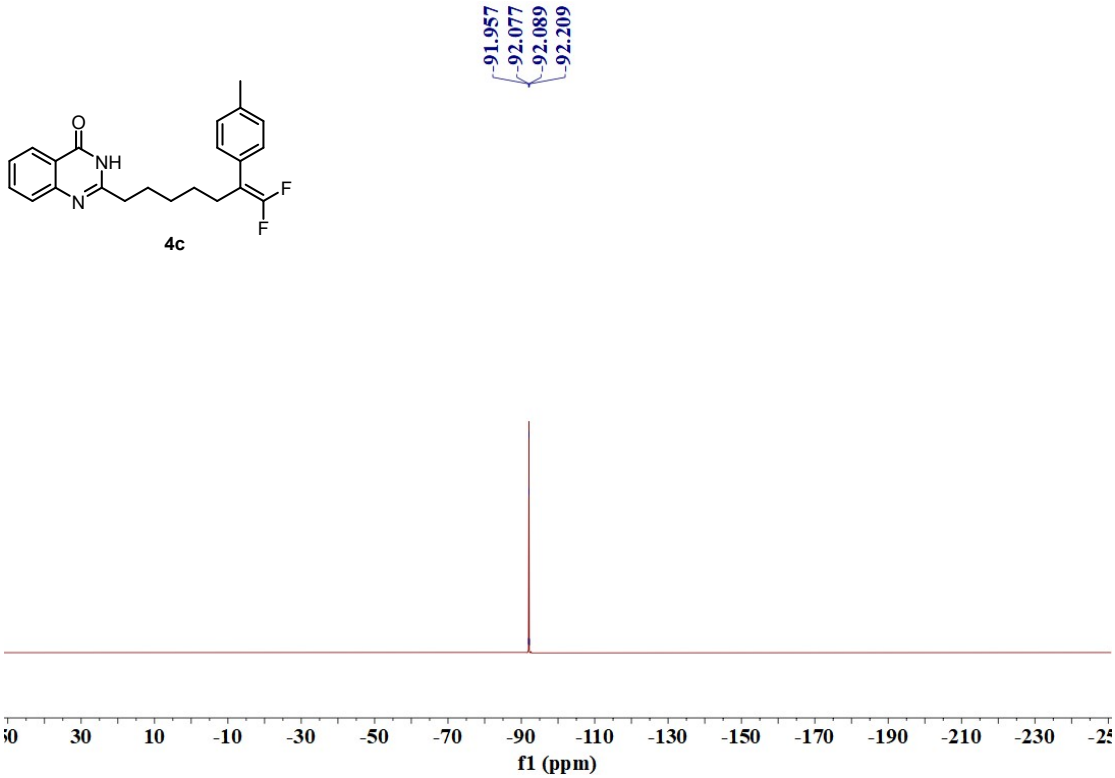

164.546
156.842
156.429
153.569
153.554
150.694
150.024
149.447
134.798
130.516
127.749
127.717
127.683
127.167
126.344
126.146
125.292
120.381
92.079
91.931
91.885
91.737
35.736
34.442
31.221
28.590
27.433
27.404
27.213
O
NH
N
F
F
4b
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


93.569
93.693
93.742
93.864
O
NH
N
F
F
4b
30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230
f1 (ppm)

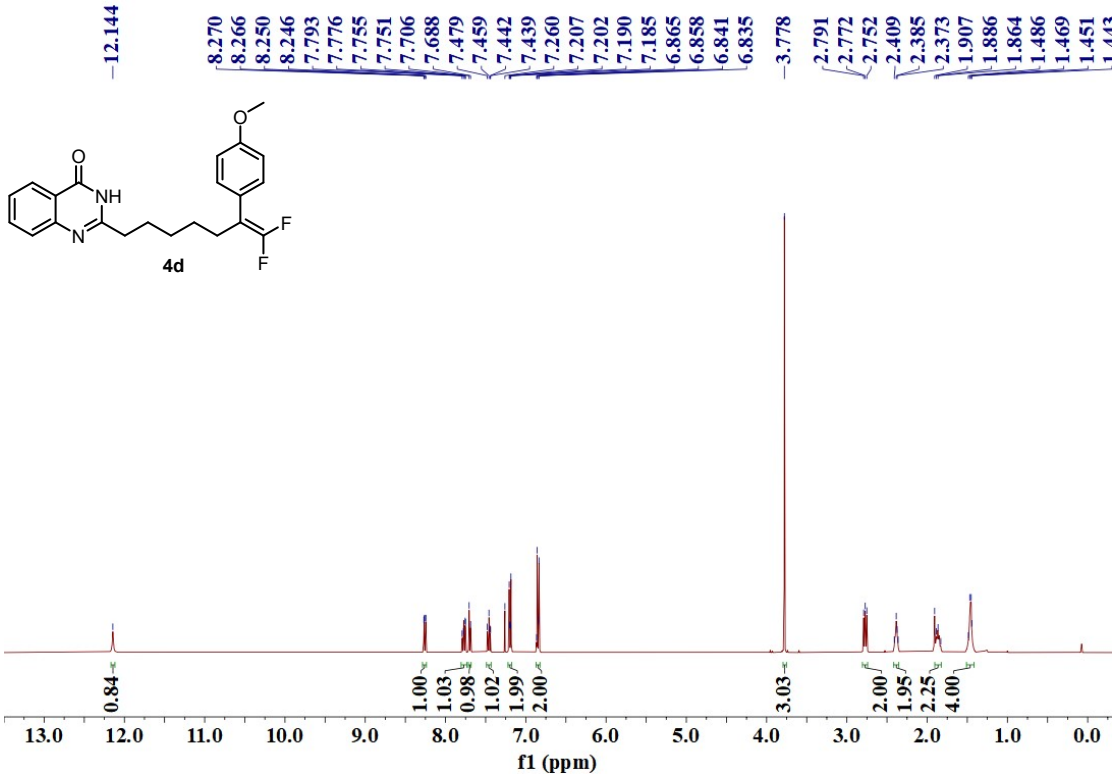
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 4c (Chloroform-*d*)

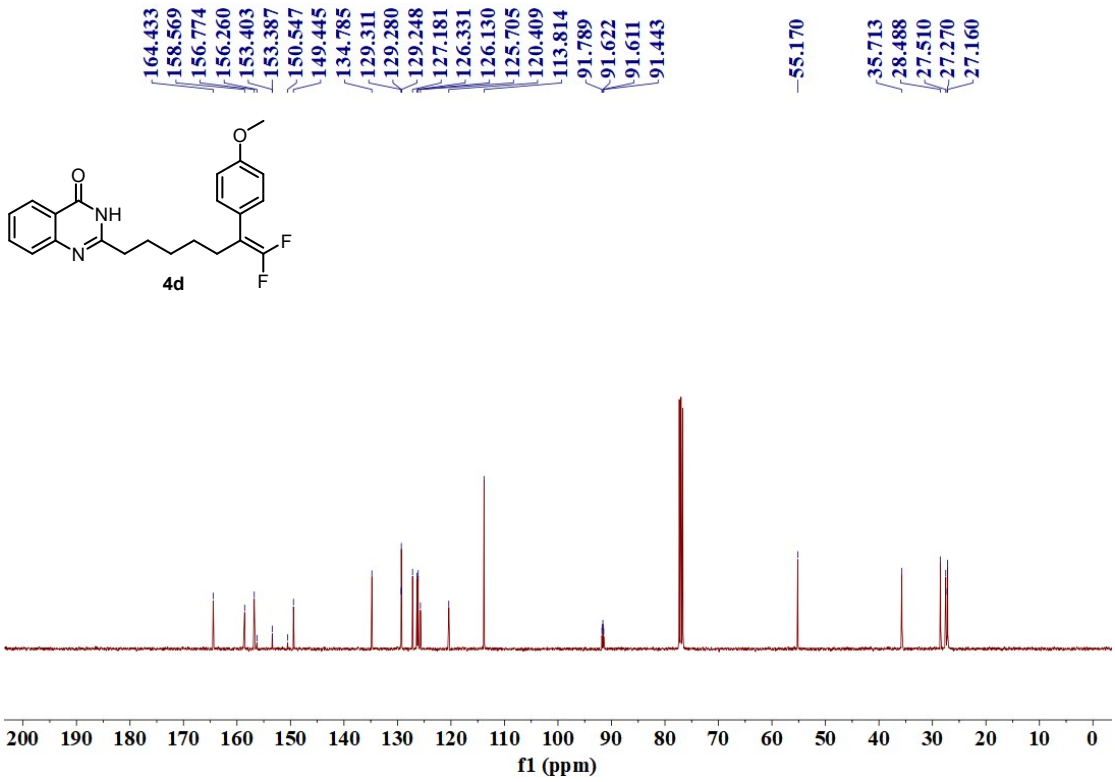


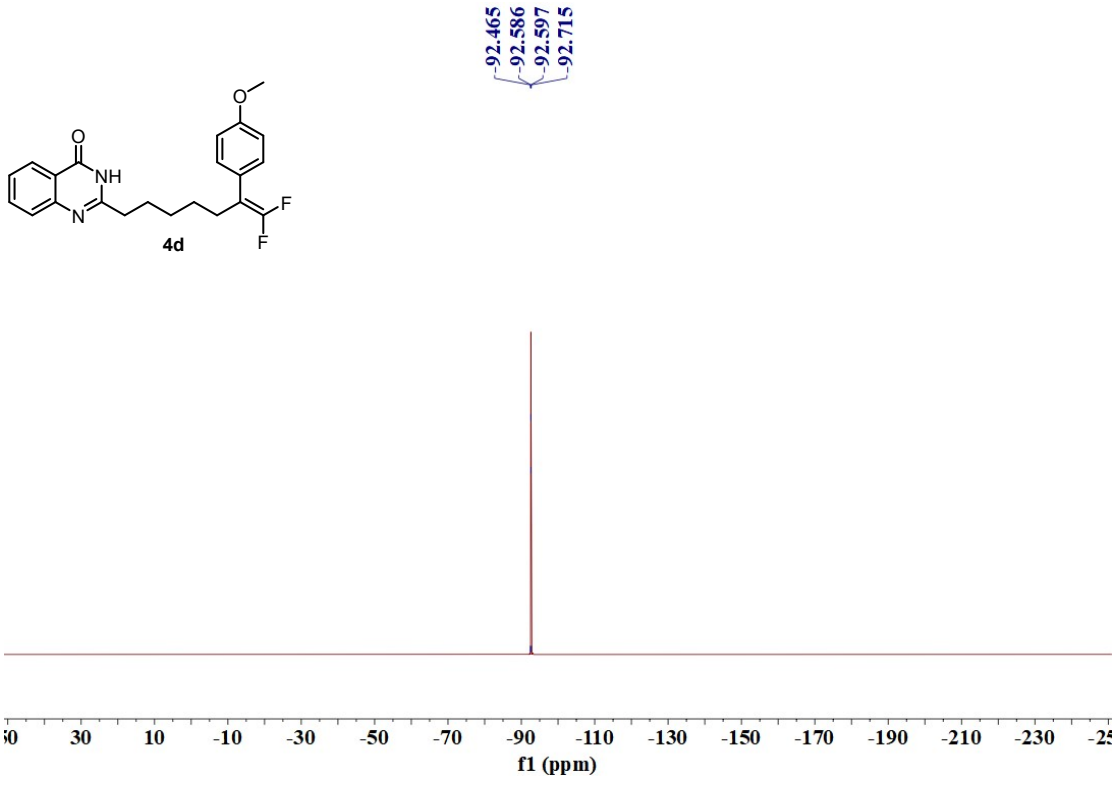




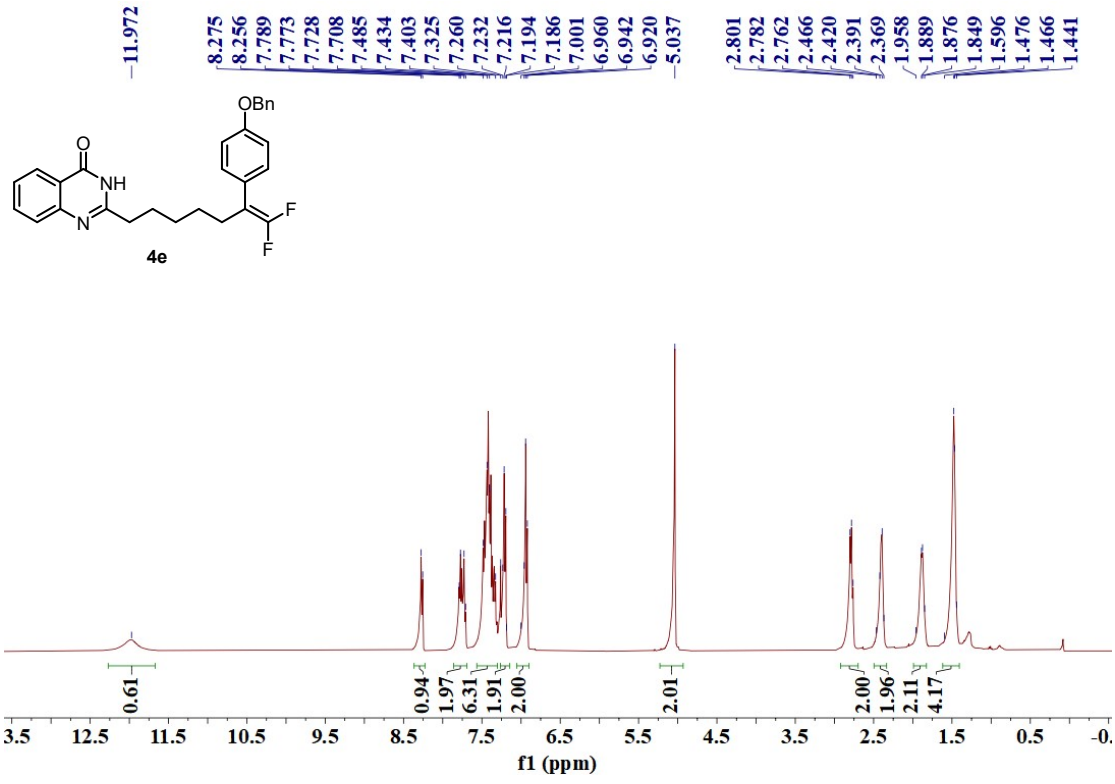
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 4d (Chloroform-*d*)

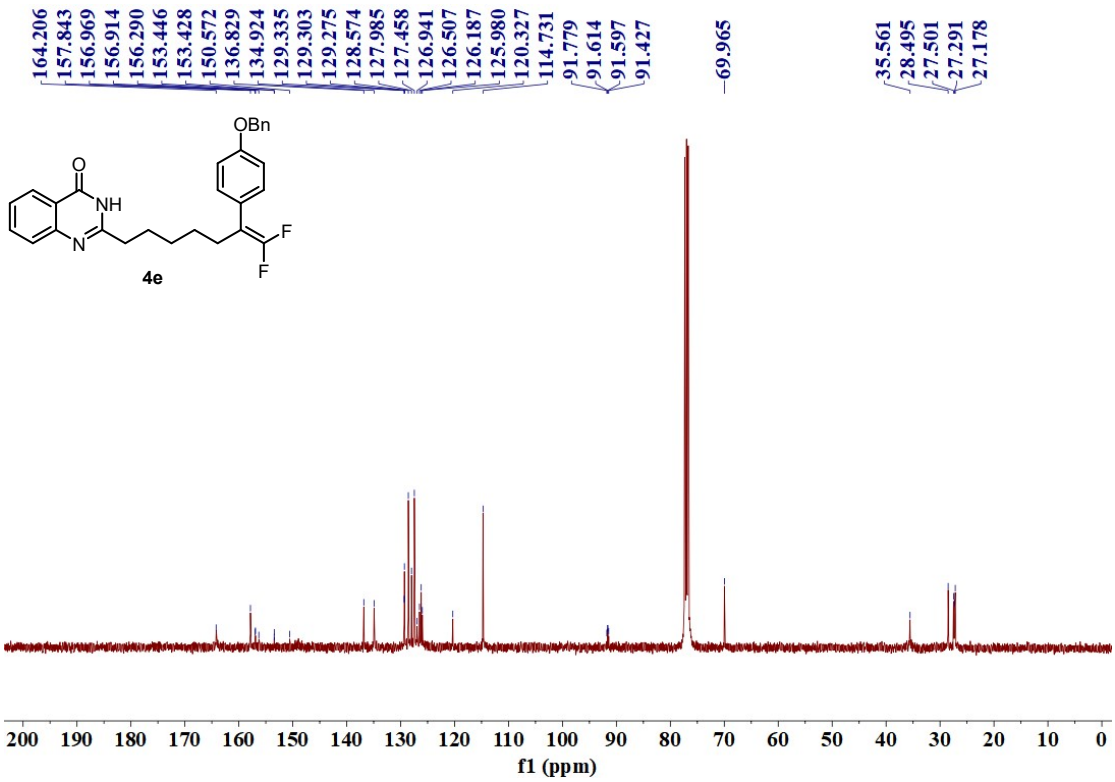


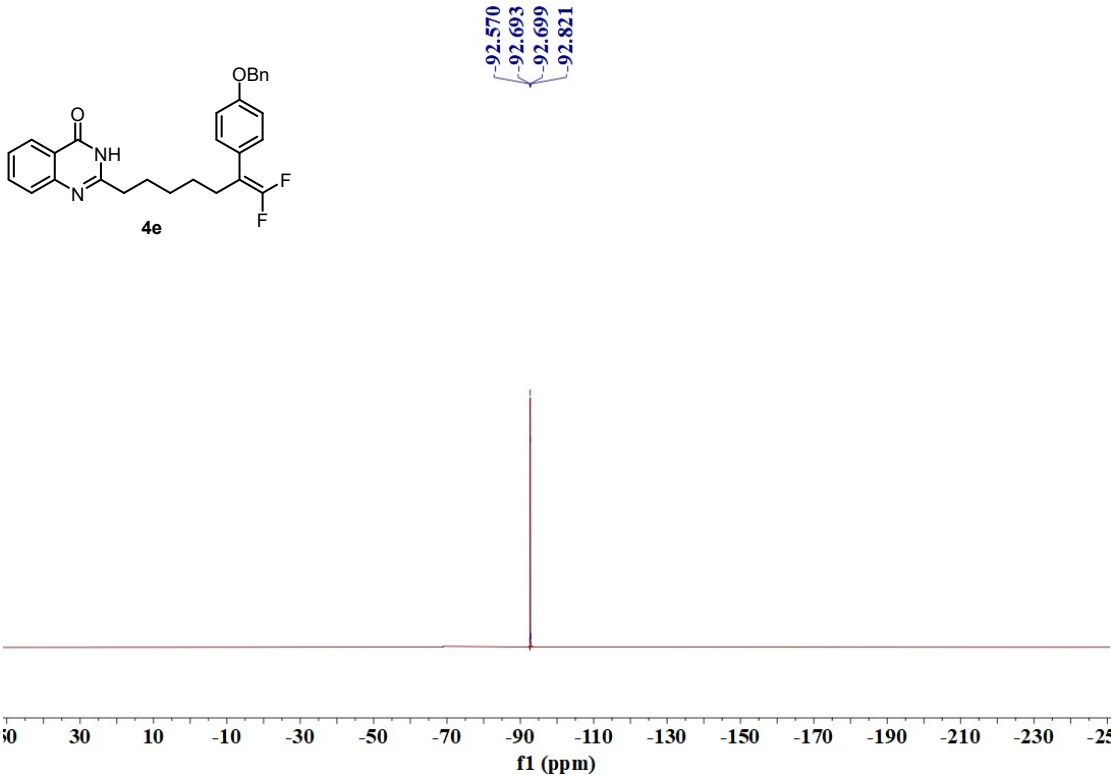

164.433
158.569
156.774
156.260
153.403
153.387
150.547
149.445
134.785
129.311
129.280
129.248
127.181
126.331
126.130
125.705
120.409
113.814
91.789
91.622
91.611
91.443
55.170
35.713
28.488
27.510
27.270
27.160
O
NH
N
O
F
F
4d
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


92.465
92.586
92.597
92.715
O
NH
N
O
F
F
4d
30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230
f1 (ppm)

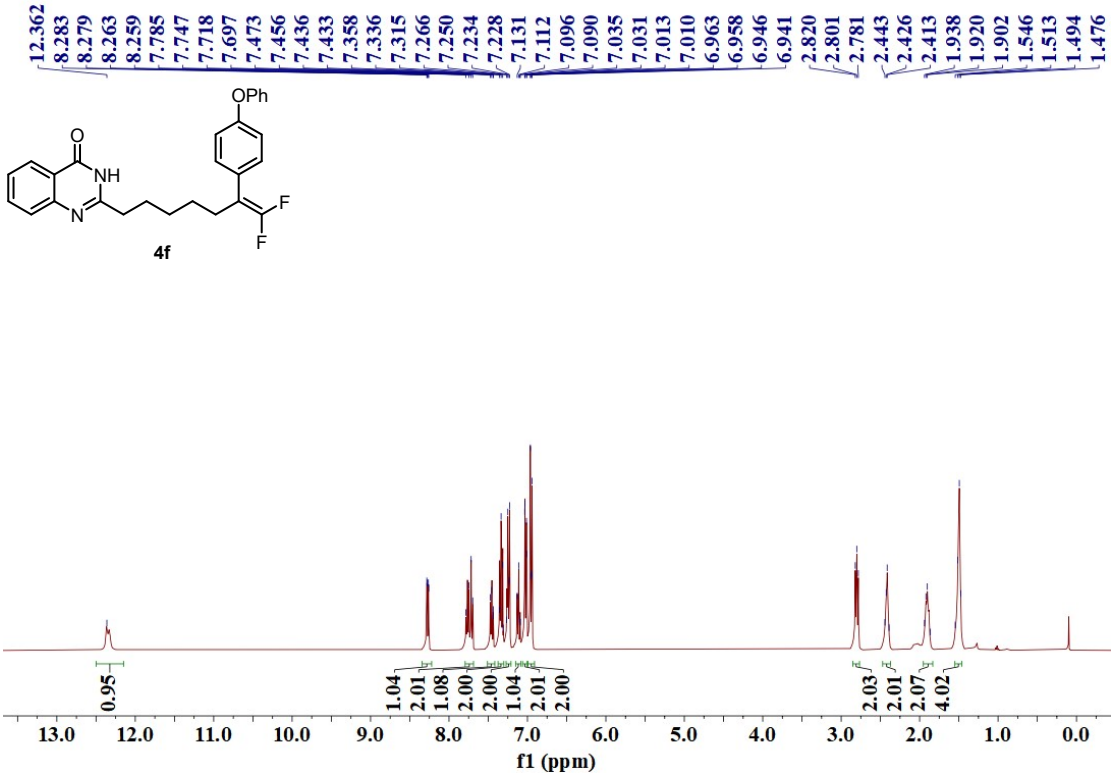
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 4e (Chloroform-*d*)

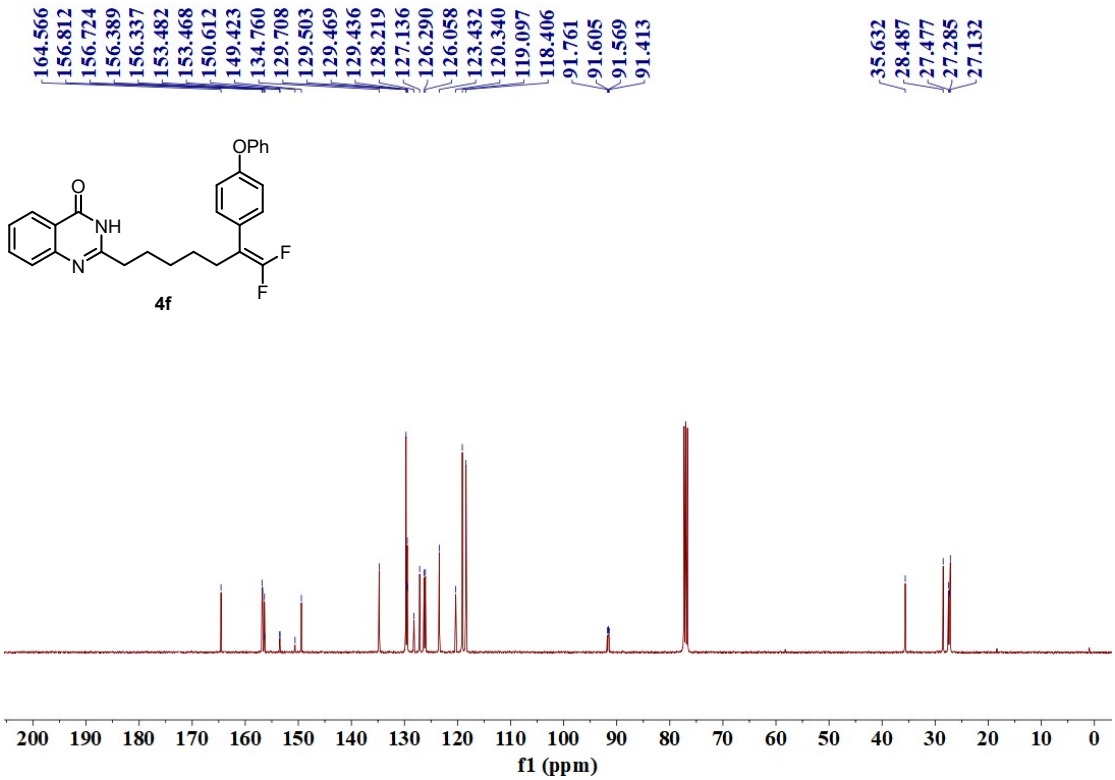


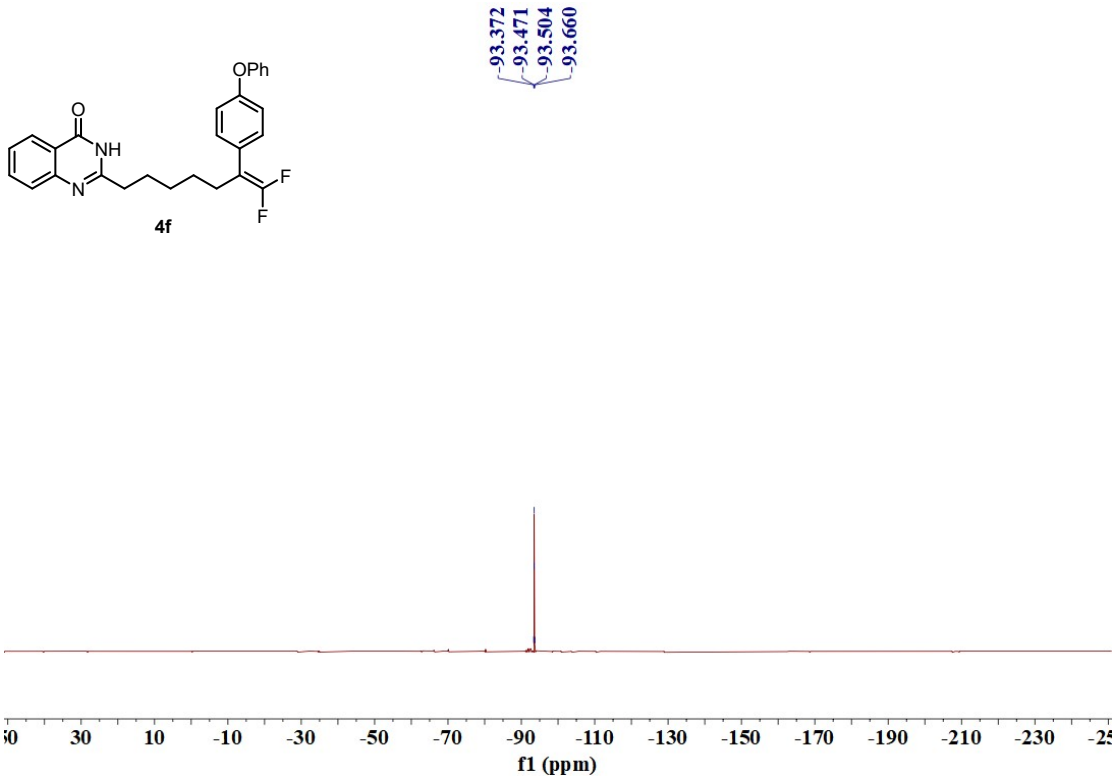




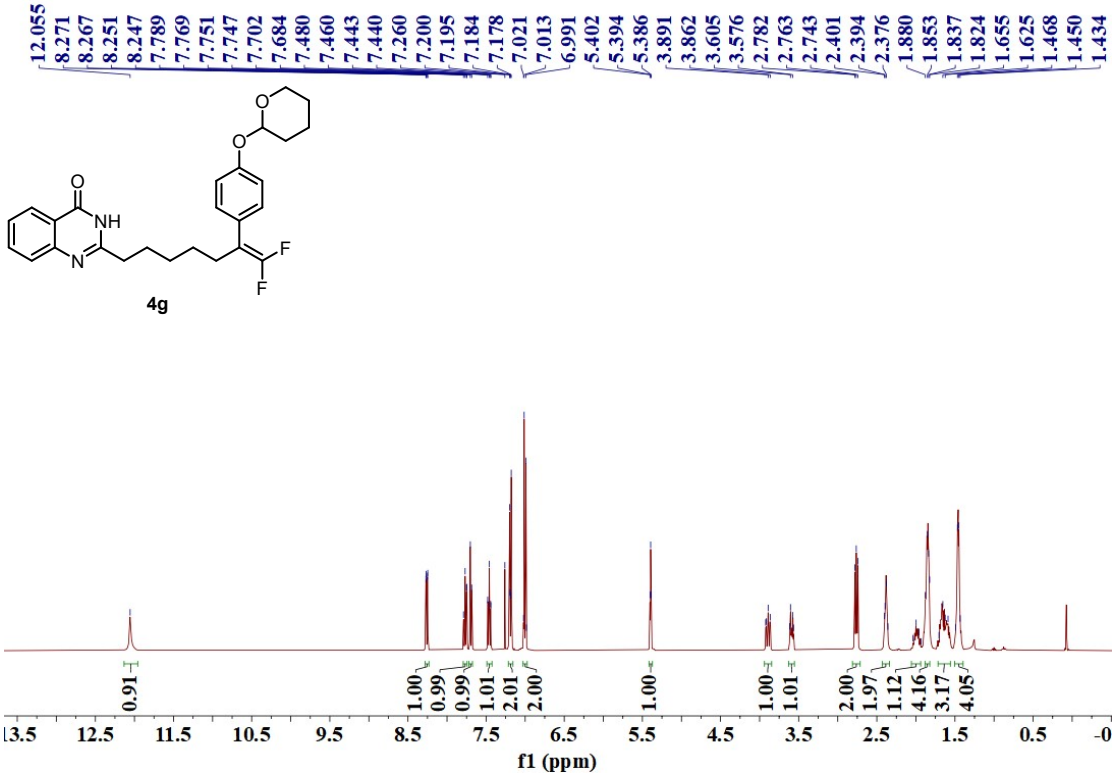
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 4f (Chloroform-*d*)

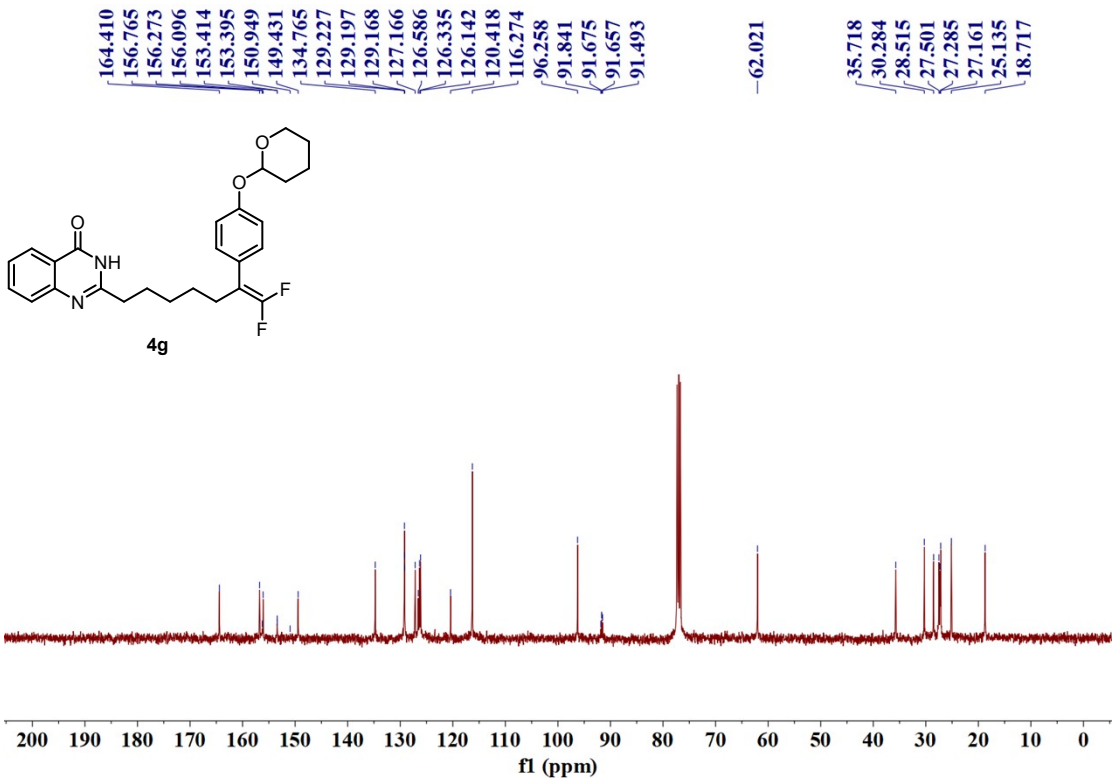


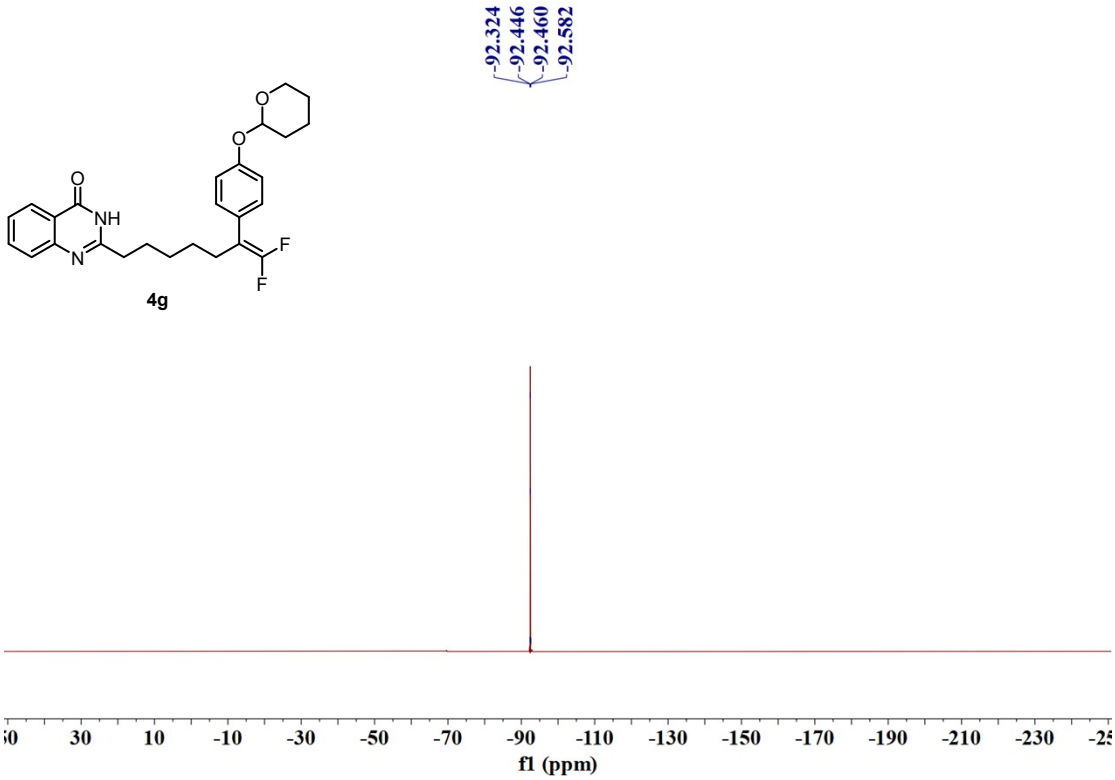

164.566
156.812
156.724
156.389
156.337
153.482
153.468
150.612
149.423
134.760
129.708
129.503
129.469
129.436
128.219
127.136
126.290
126.058
123.432
120.340
119.097
118.406
91.761
91.605
91.569
91.413
35.632
28.487
27.477
27.285
27.132
OPh
O
NH
N
F
F
4f
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


93.372
93.471
93.504
93.660
OPh
O
NH
N
F
F
4f
30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230
f1 (ppm)

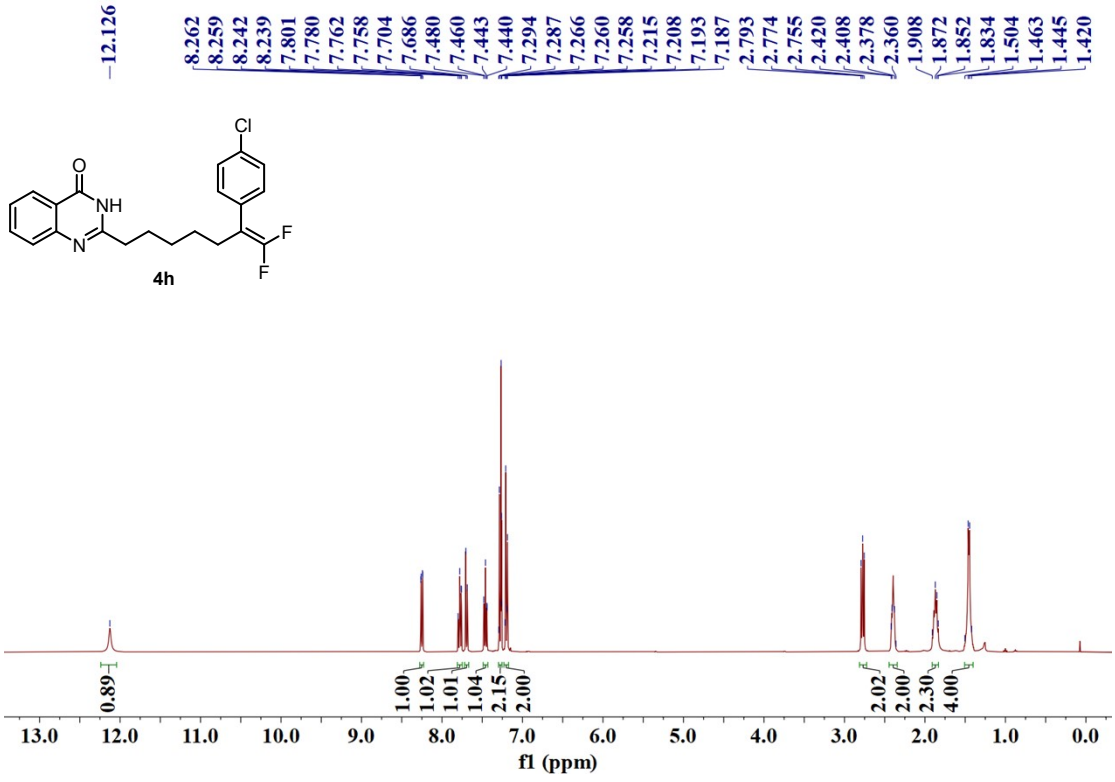
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 4g (Chloroform-*d*)

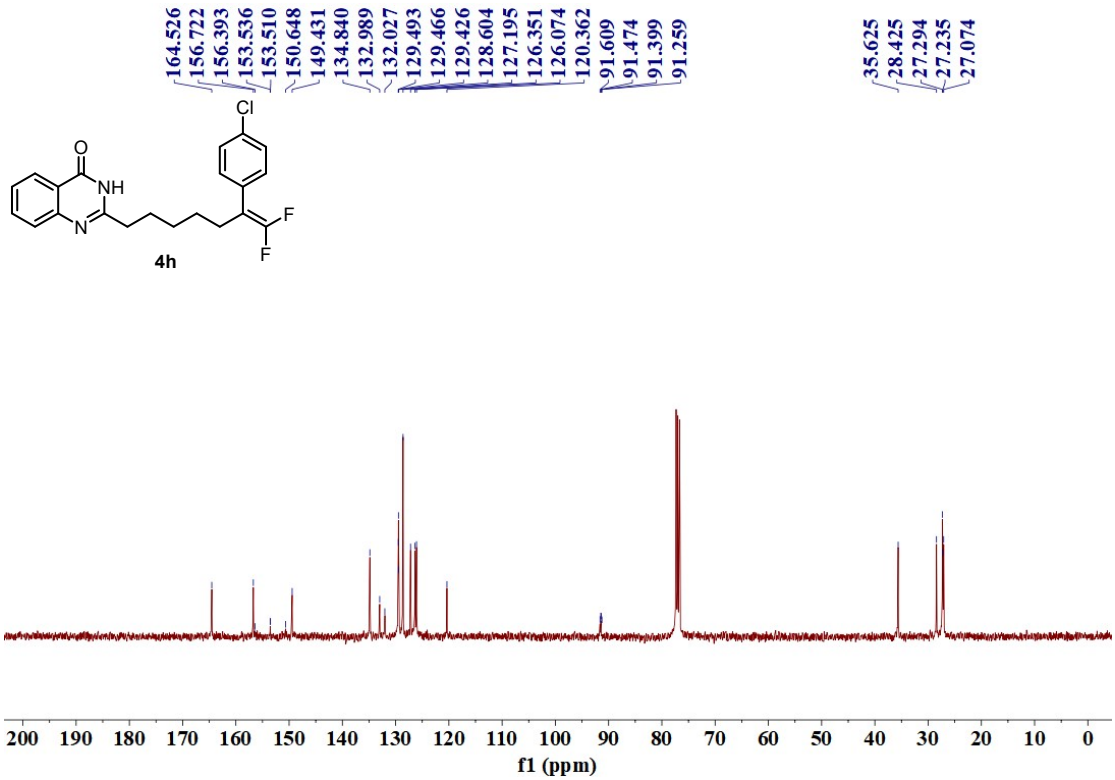


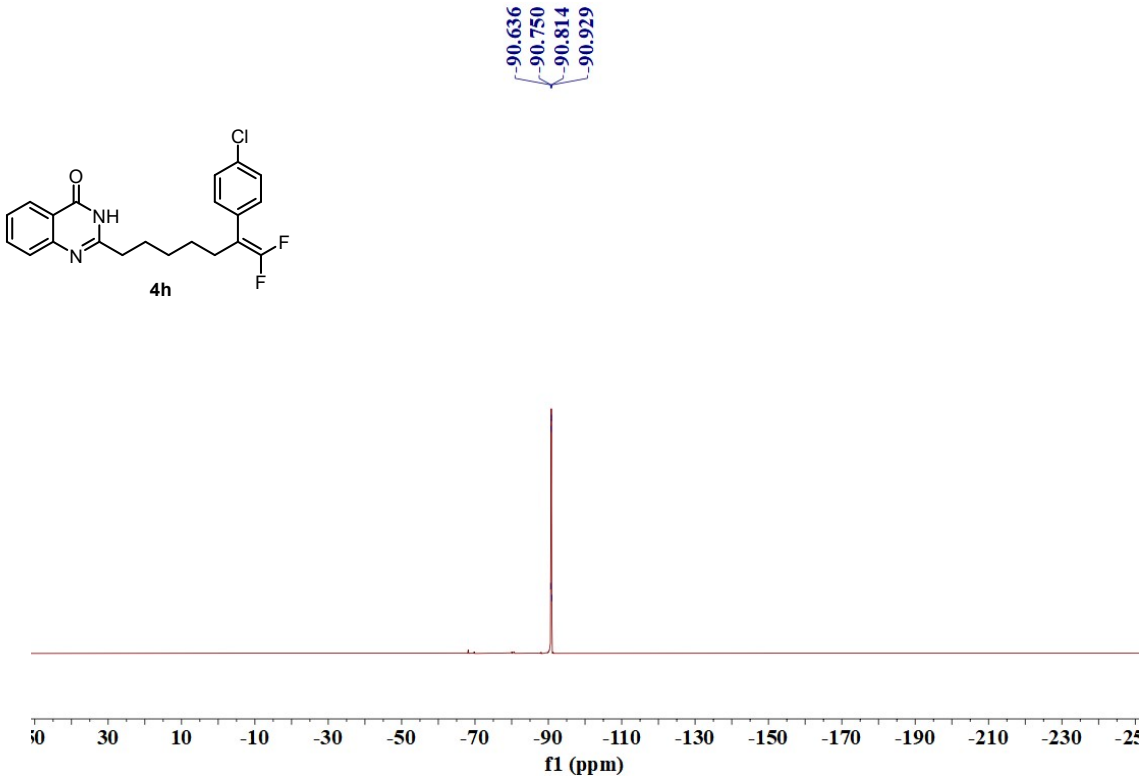




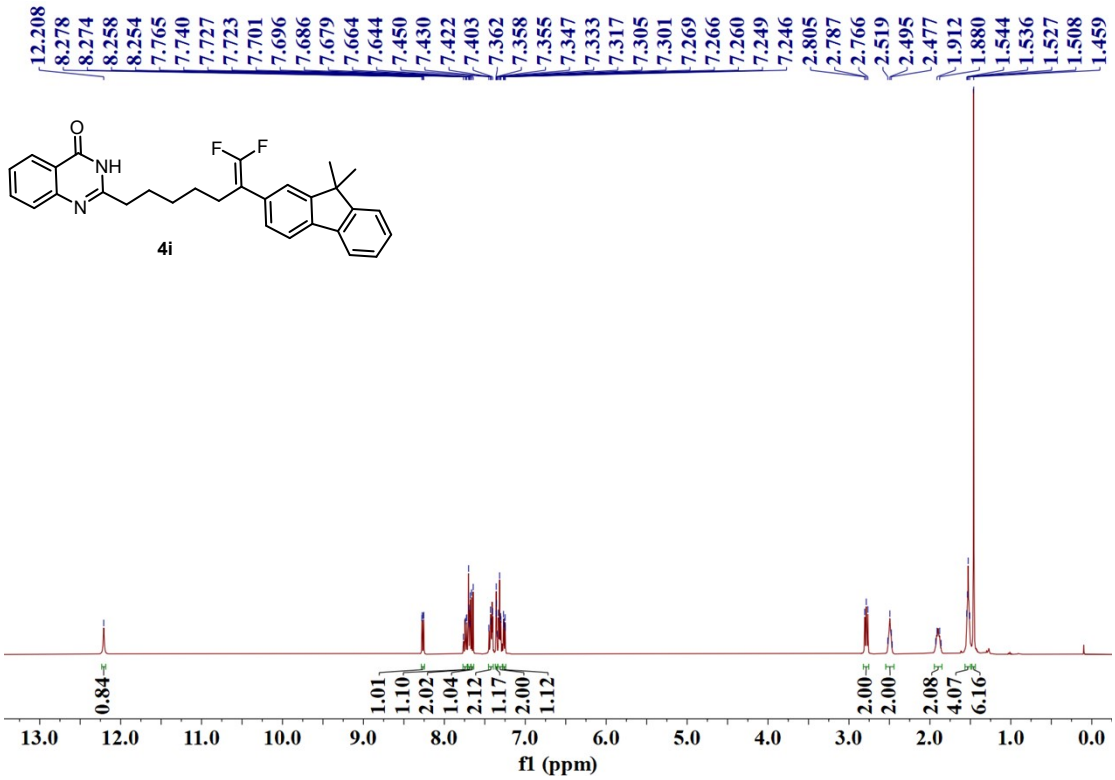
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 4h (Chloroform-*d*)

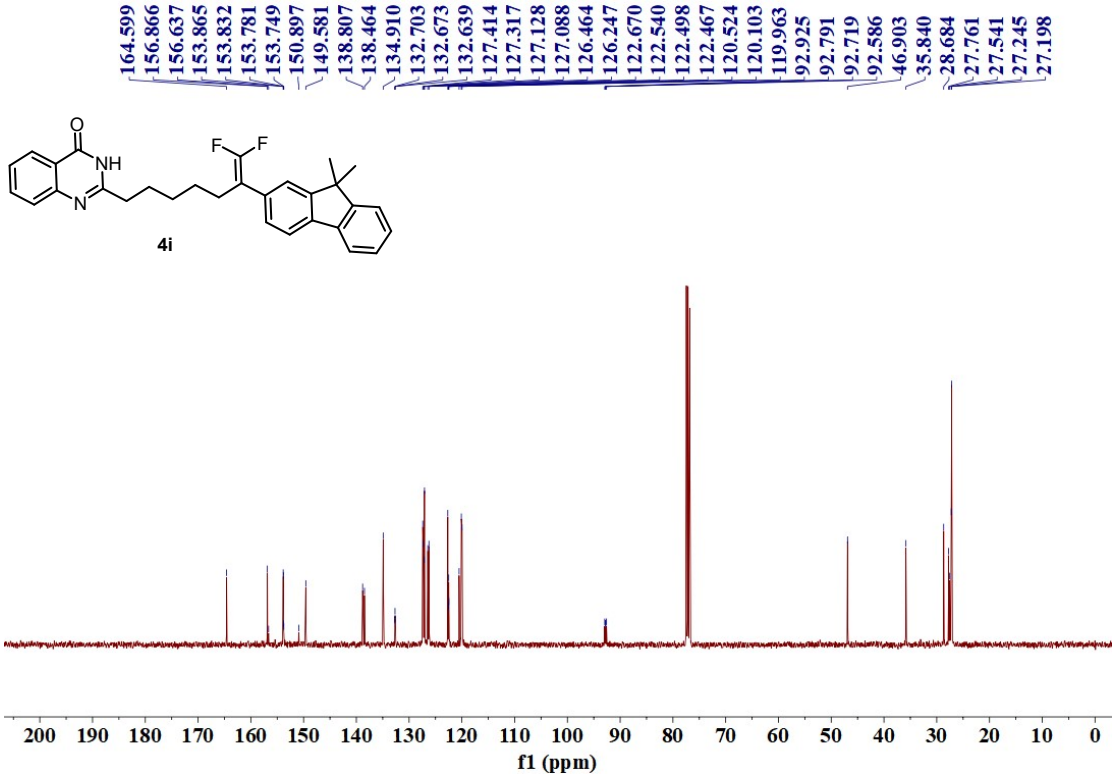


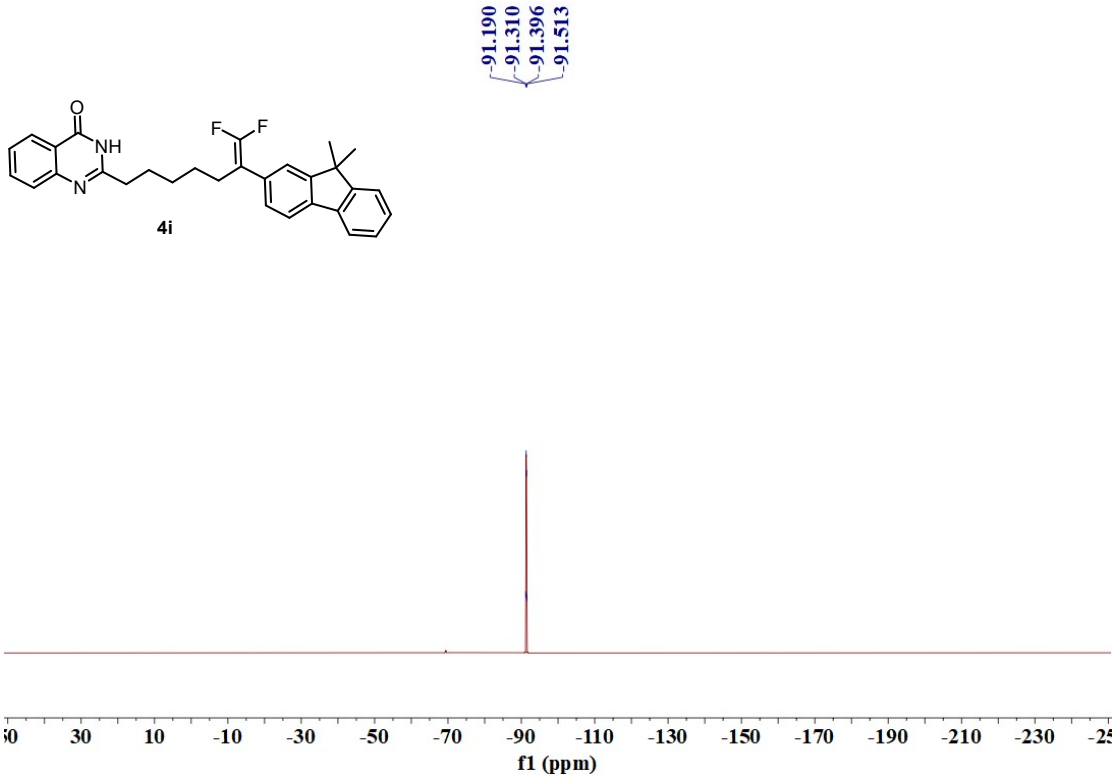

164.526
156.722
156.393
153.536
153.510
150.648
149.431
134.840
132.989
132.027
129.493
129.466
129.426
128.604
127.195
126.351
126.074
120.362
91.609
91.474
91.399
91.259
35.625
28.425
27.294
27.235
27.074
Cl
O
NH
N
F
F
4h
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


90.636
90.750
90.814
90.929
Cl
O
NH
N
F
F
4h
50 30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230 -25
f1 (ppm)

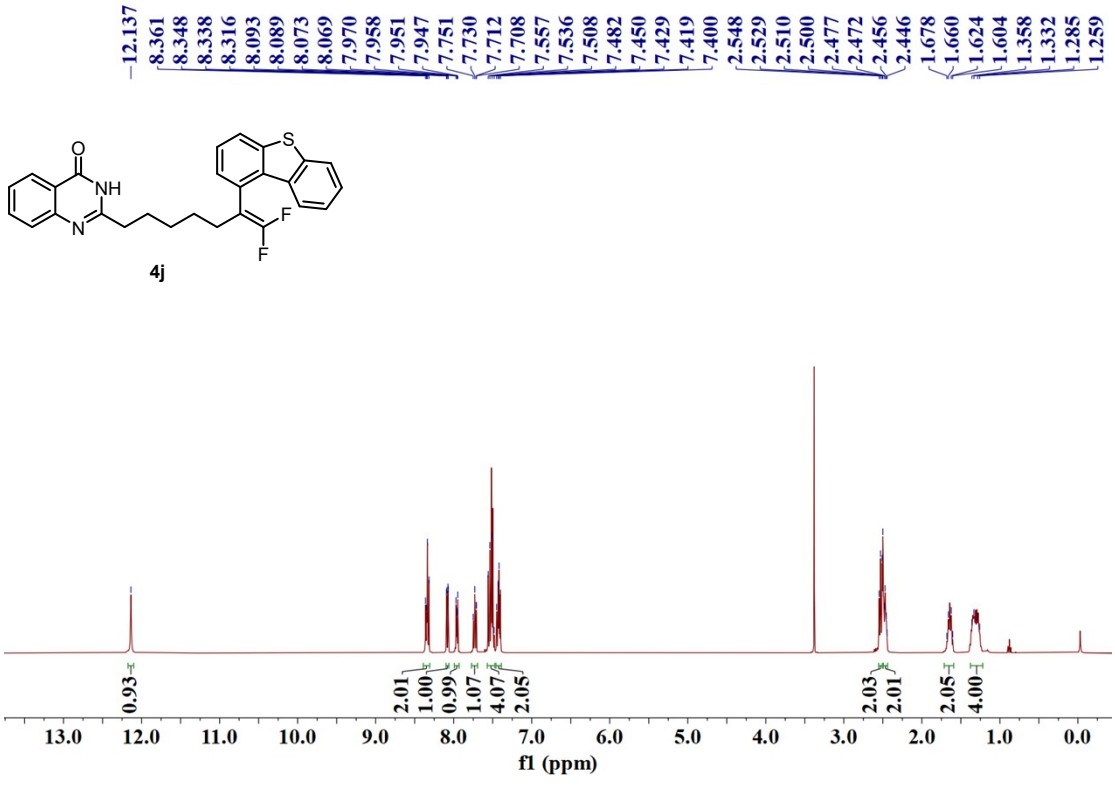
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 4i (Chloroform-*d*)

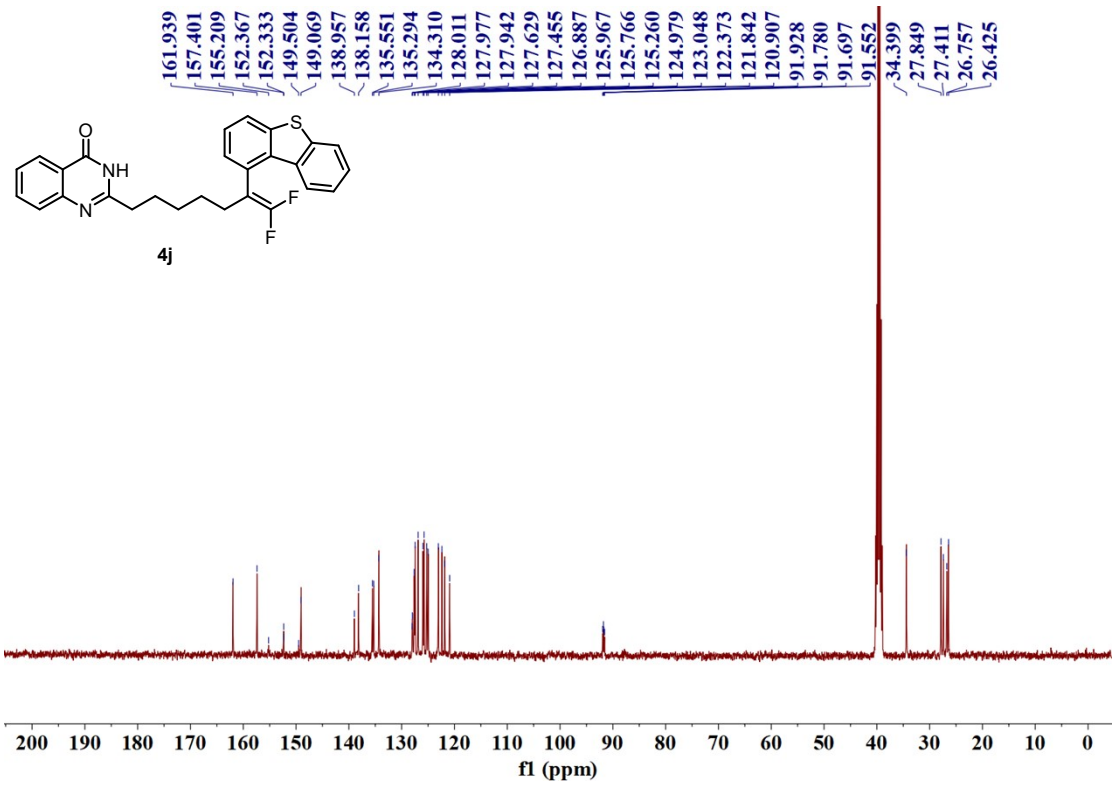


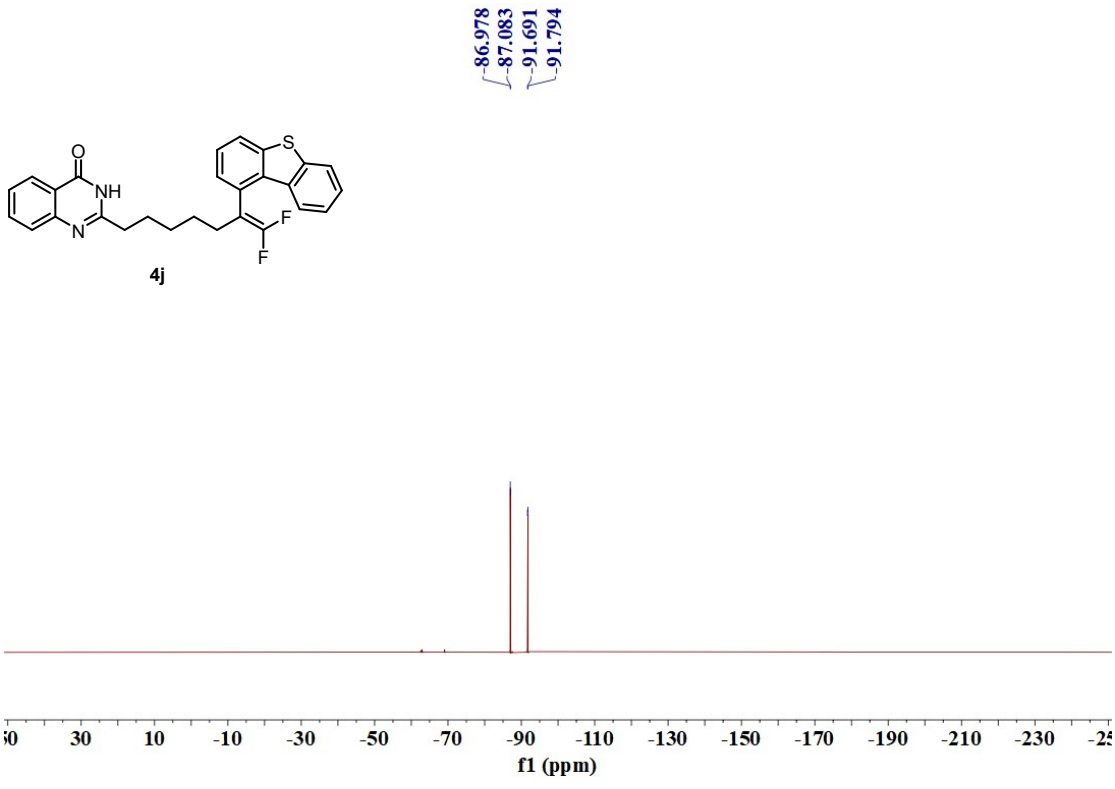




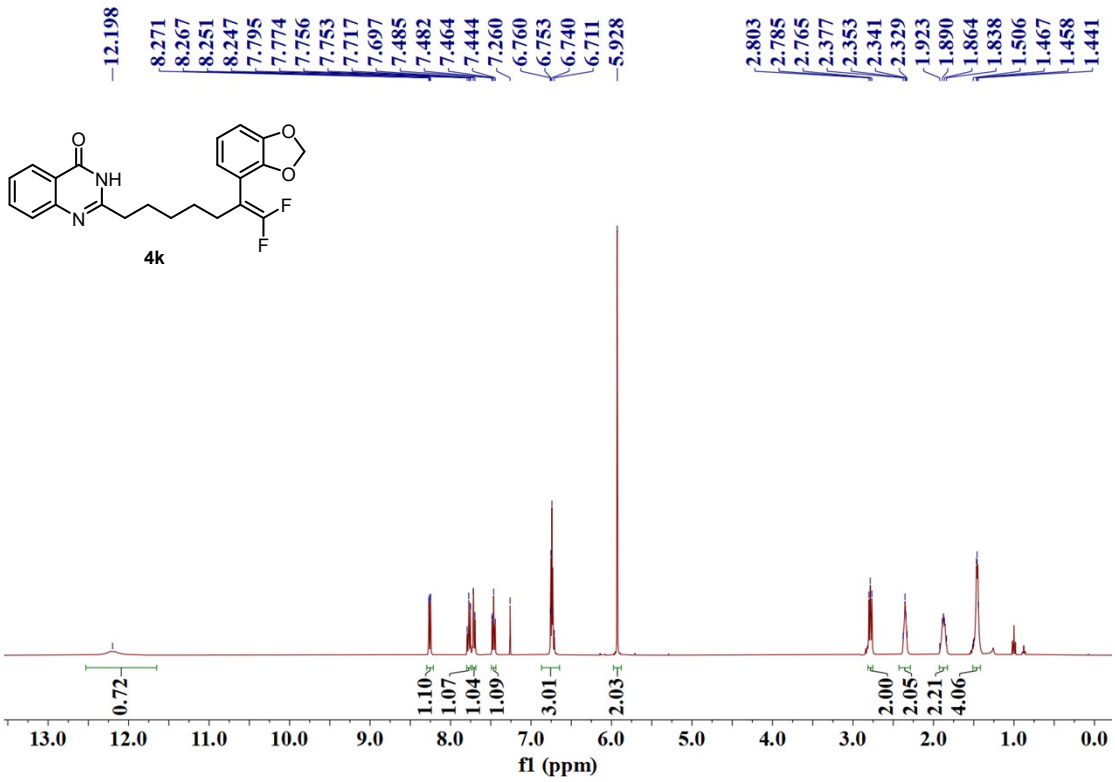
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 4j (DMSO-d_6)

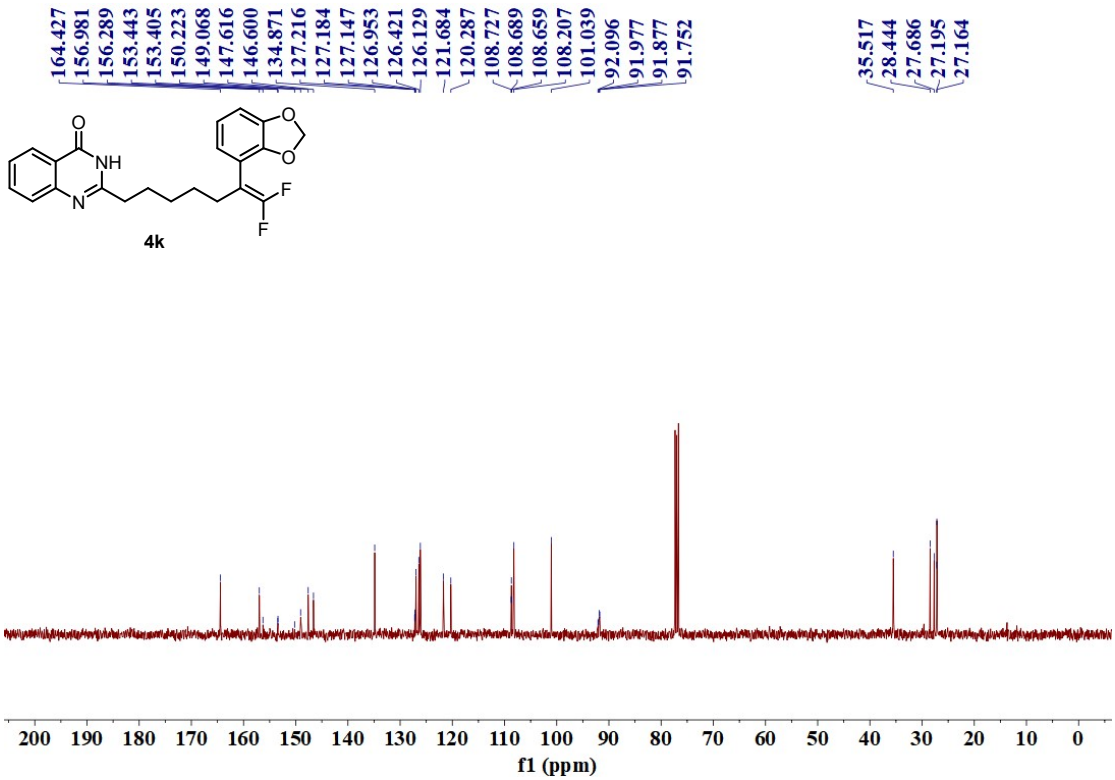


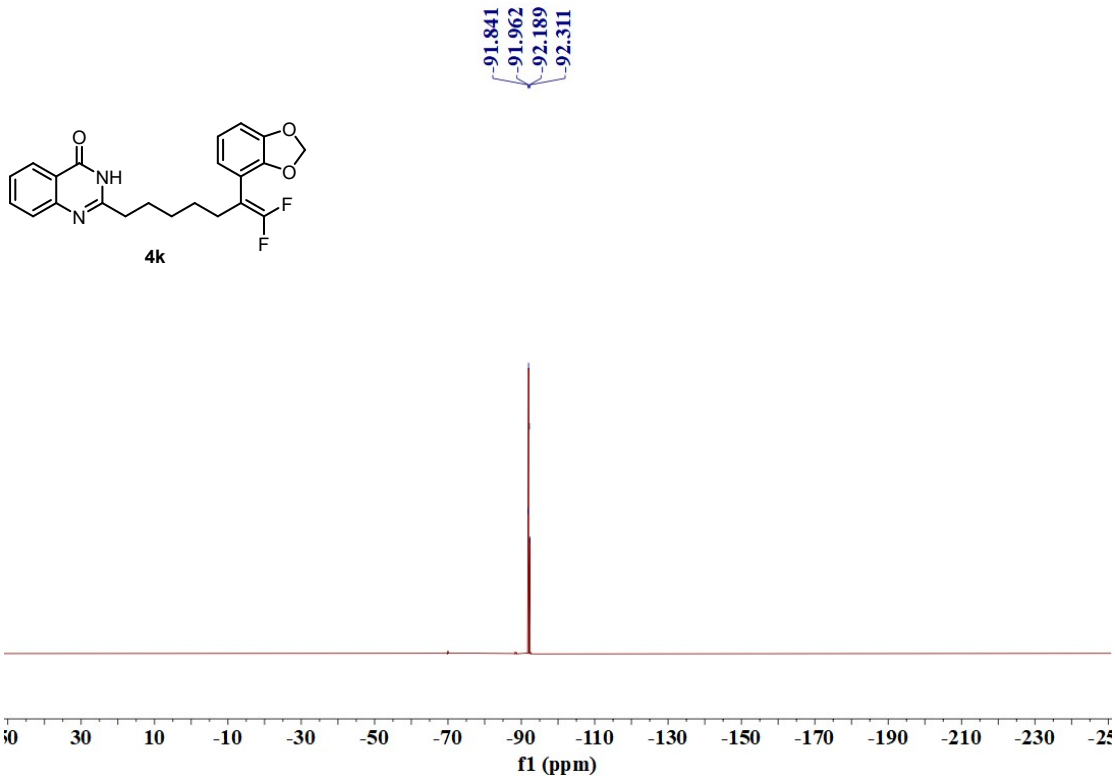

161.939
157.401
155.209
152.367
152.333
149.504
149.069
138.957
138.158
135.551
135.294
134.310
128.011
127.977
127.942
127.629
127.455
126.887
125.967
125.766
125.260
124.979
123.048
122.373
121.842
120.907
91.928
91.780
91.697
91.552
34.399
27.849
27.411
26.757
26.425
O
NH
N
S
F
F
4j
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


86.978
87.083
91.691
91.794
O
NH
N
S
F
F
4j
30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230
f1 (ppm)

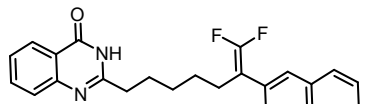
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 4k (Chloroform-*d*)

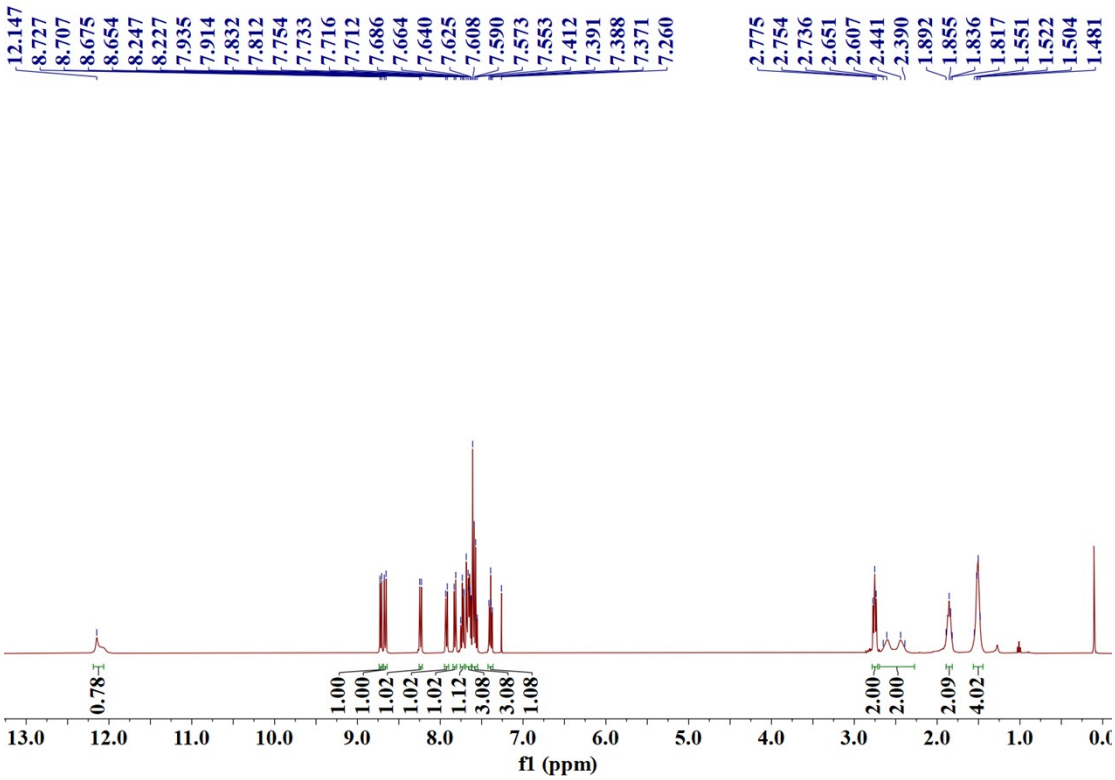


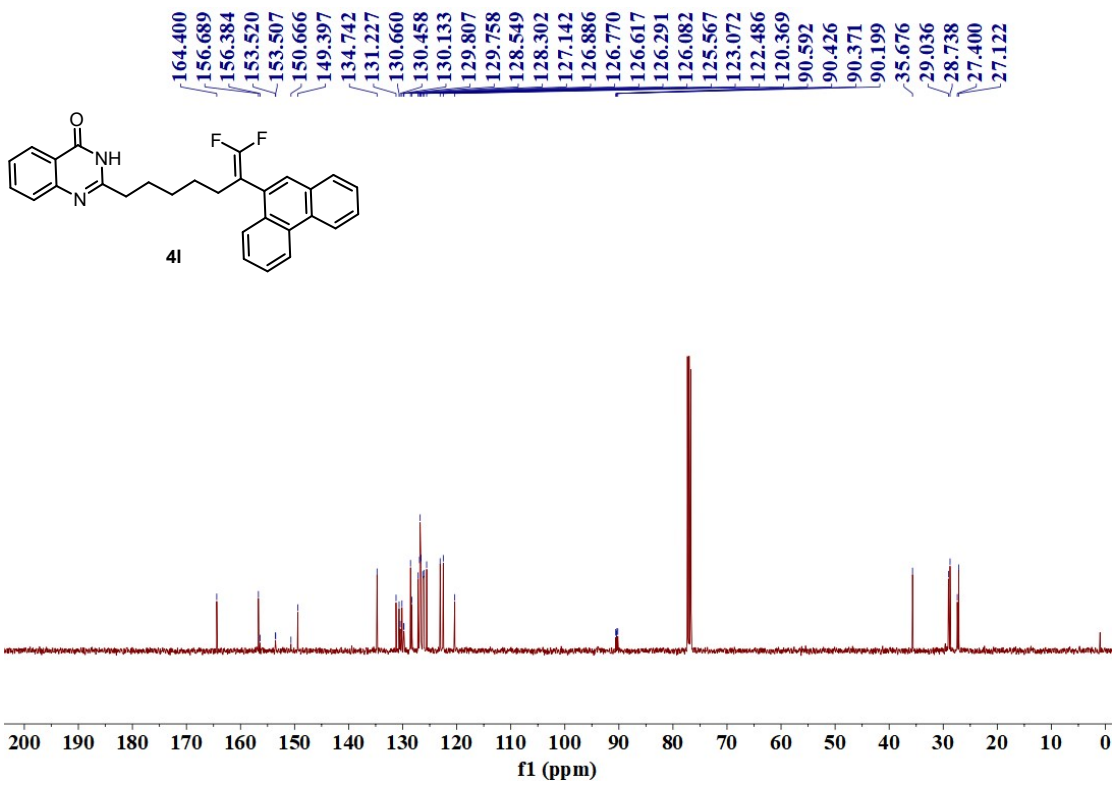


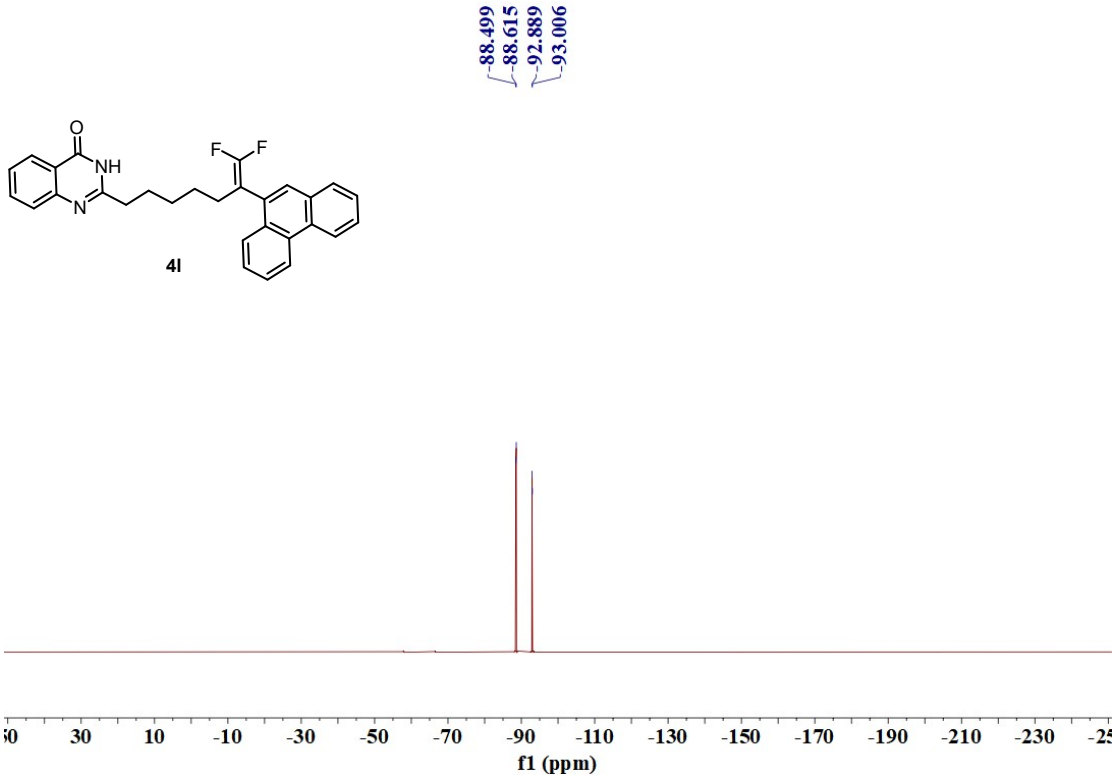


^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 4l (Chloroform-*d*)

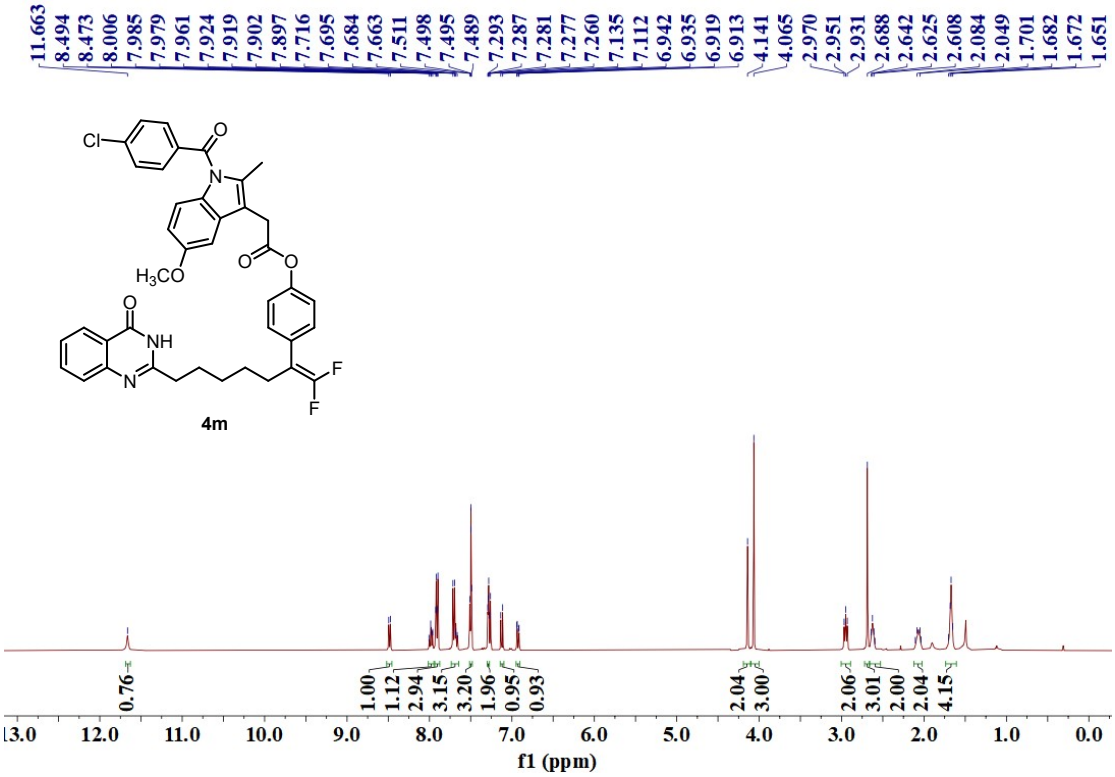


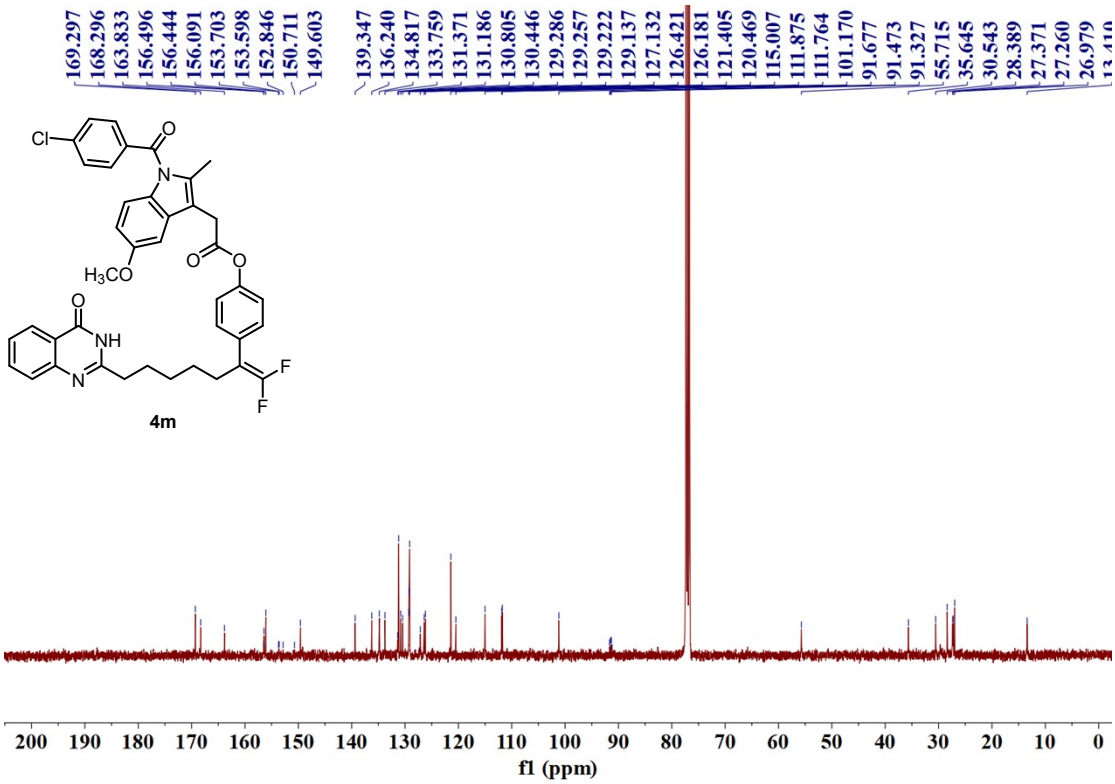

12.147
8.727
8.707
8.675
8.654
8.247
8.227
7.935
7.914
7.832
7.812
7.754
7.733
7.716
7.712
7.686
7.664
7.640
7.625
7.608
7.590
7.573
7.553
7.412
7.391
7.388
7.371
7.260
2.775
2.754
2.736
2.651
2.607
2.441
2.390
1.892
1.855
1.836
1.817
1.551
1.522
1.504
1.481
0.78
1.00
1.00
1.02
1.02
1.02
1.12
3.08
3.08
1.08
2.00
2.00
2.09
4.02
13.0
12.0
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)

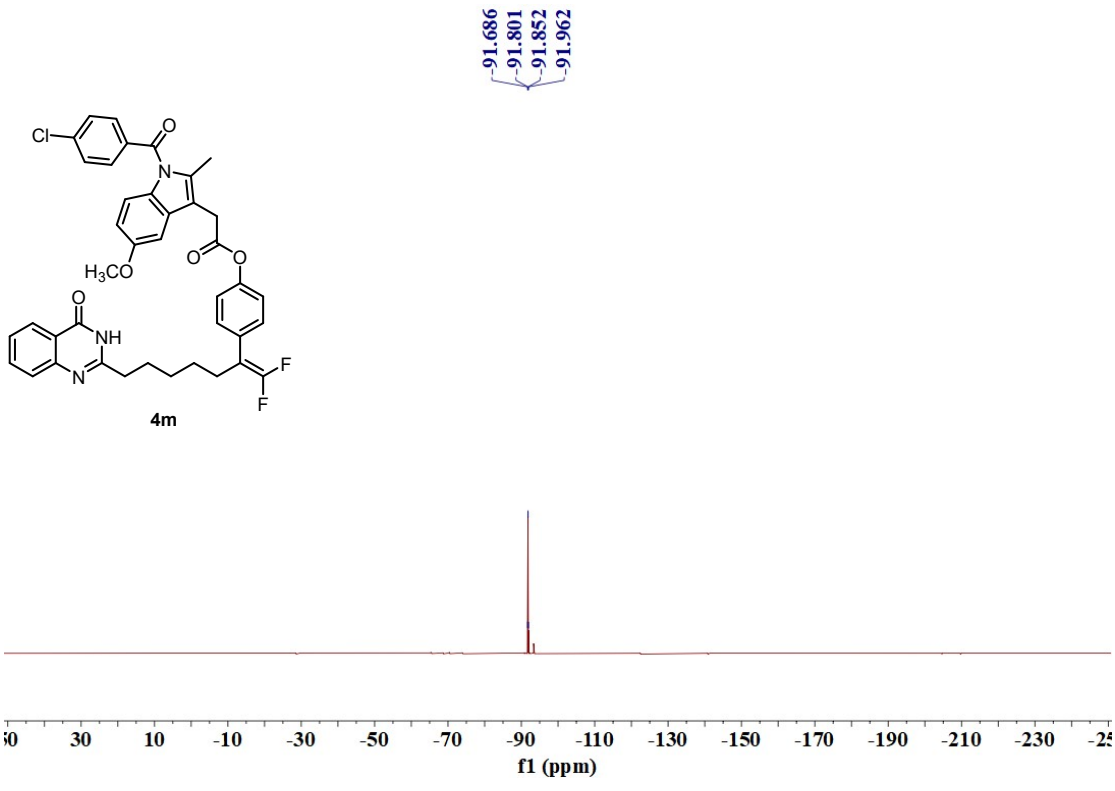

164.400
156.689
156.384
153.520
153.507
150.666
149.397
134.742
131.227
130.660
130.458
130.133
129.807
129.758
128.549
128.302
127.142
126.886
126.770
126.617
126.291
126.082
125.567
123.072
122.486
120.369
90.592
90.426
90.371
90.199
35.676
29.036
28.738
27.400
27.122
O
NH
N
F
F
4l
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)



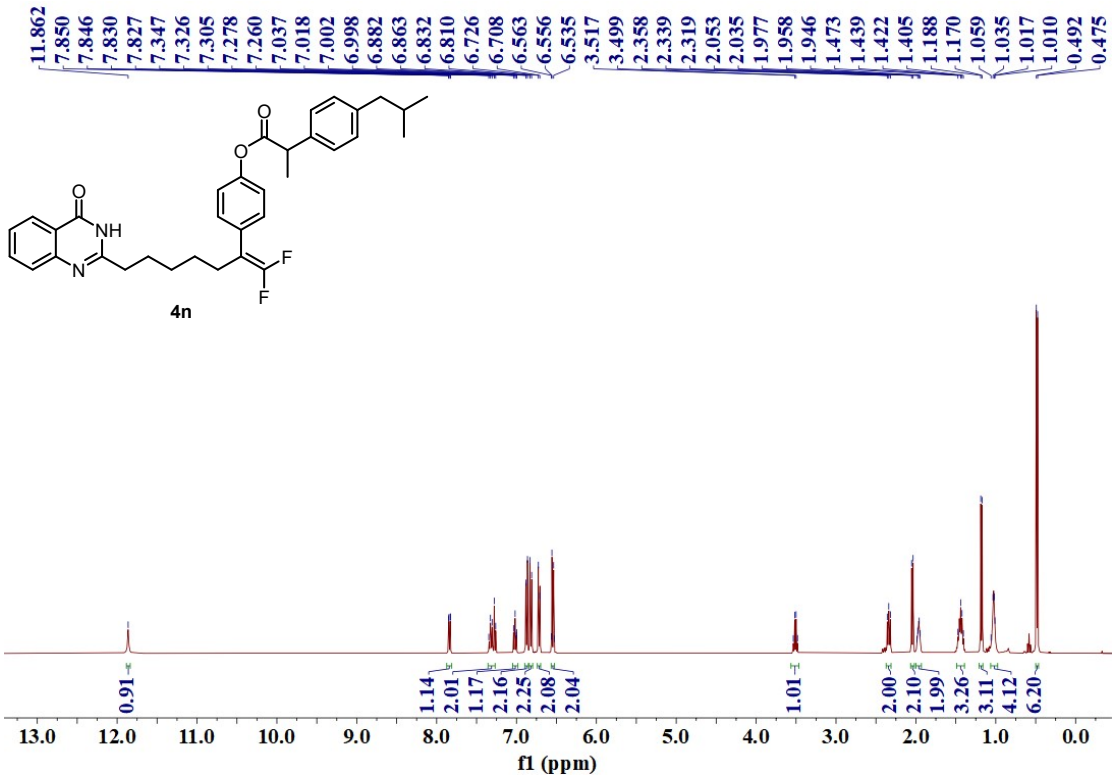
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 4m (Chloroform-*d*)

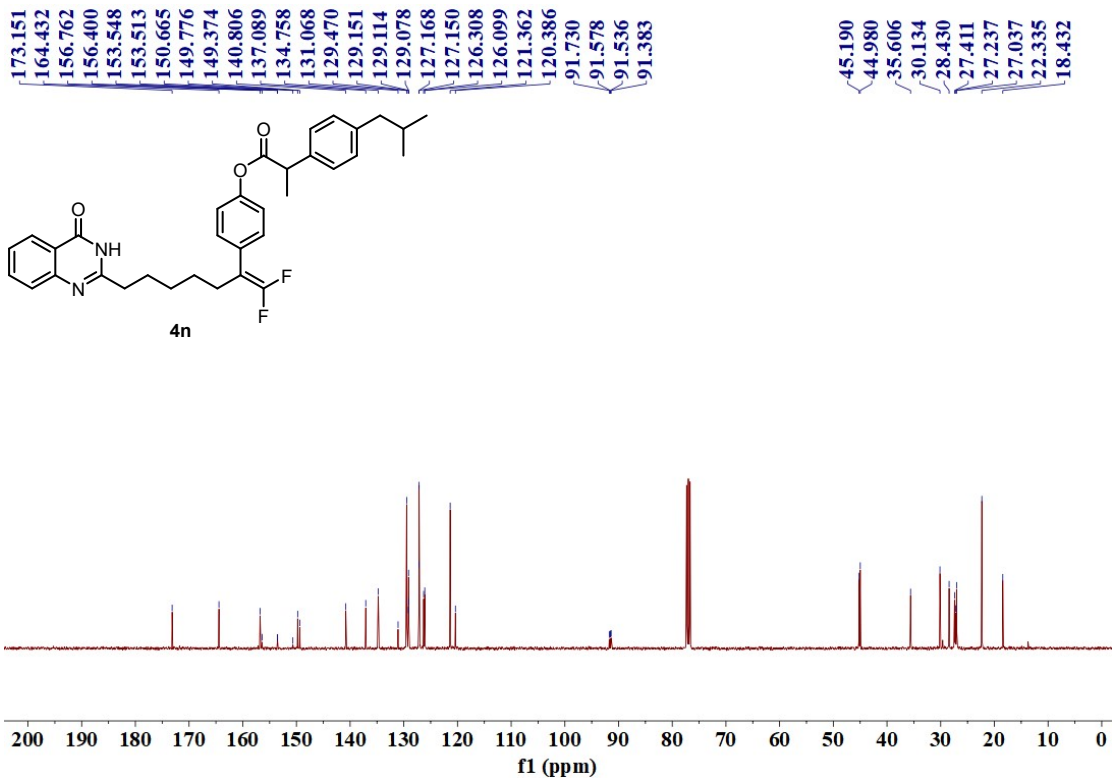


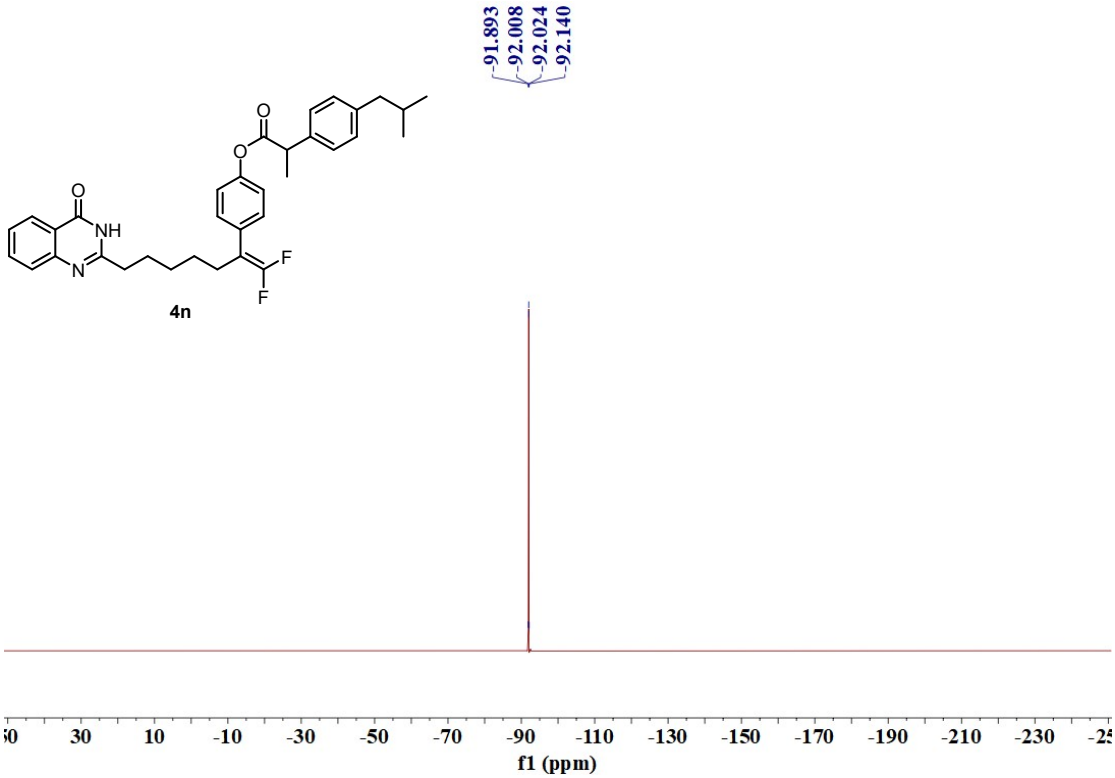




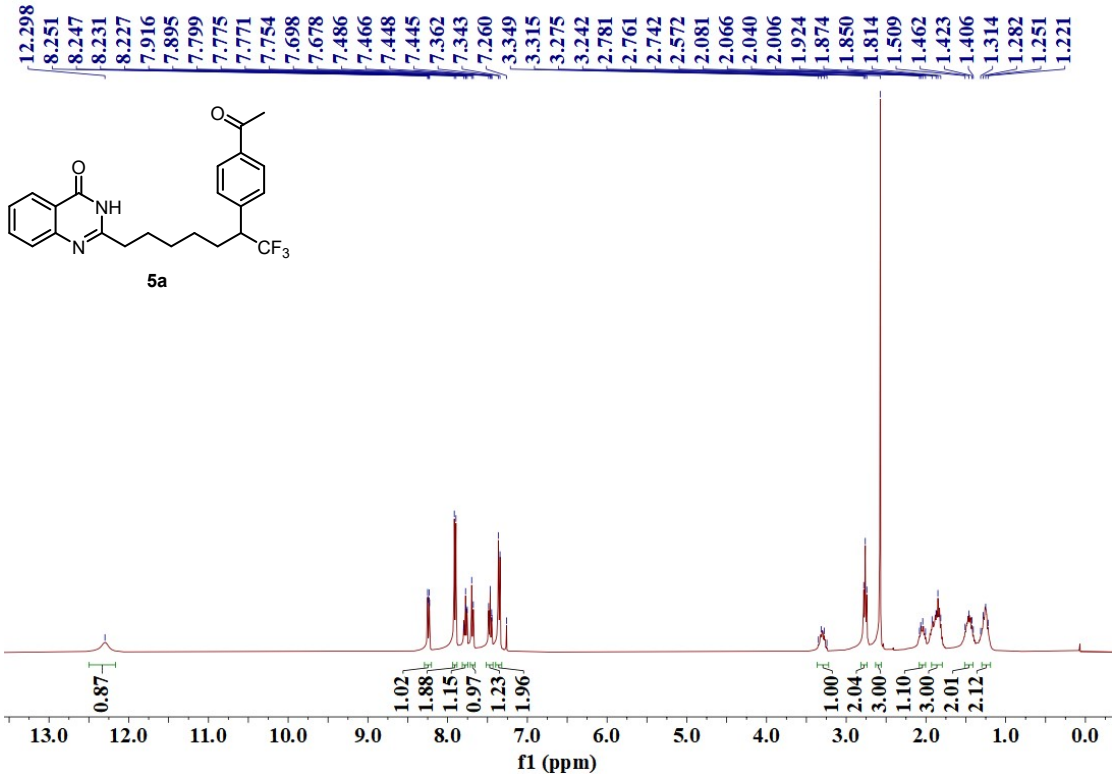
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 4n (Chloroform-*d*)

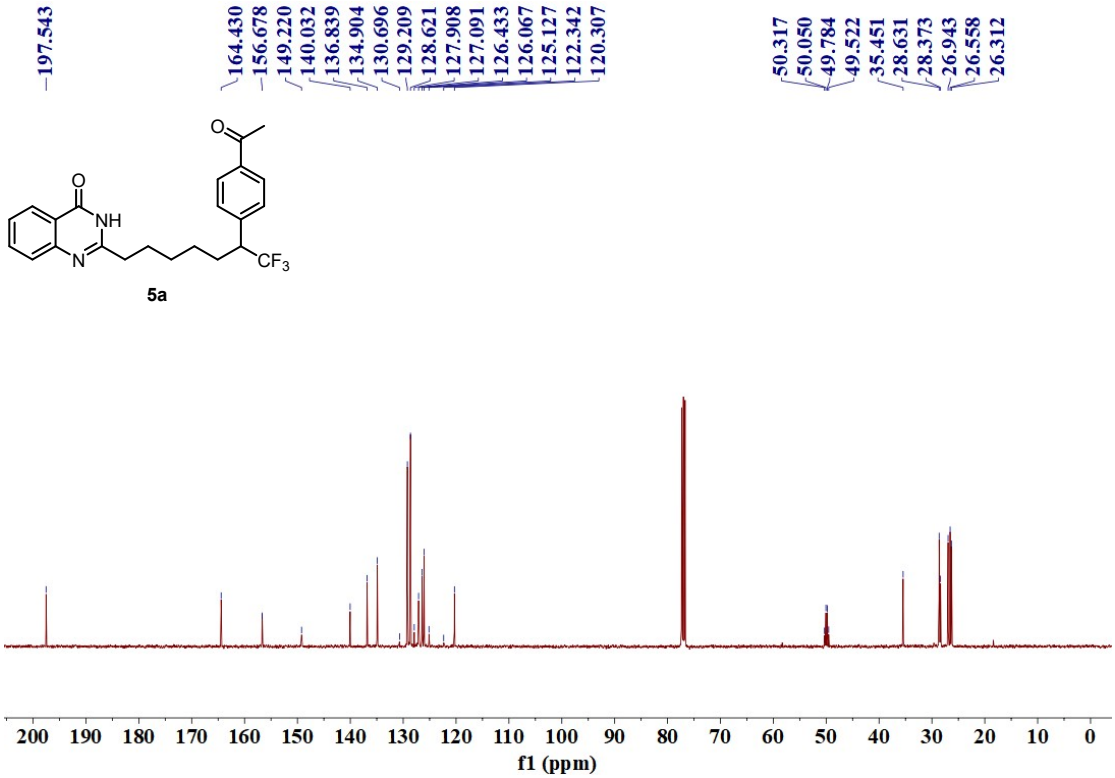

11.862
7.850
7.846
7.830
7.827
7.347
7.326
7.305
7.278
7.260
7.037
7.018
7.002
6.998
6.882
6.863
6.832
6.810
6.726
6.708
6.563
6.556
6.535
3.517
3.499
2.358
2.339
2.319
2.053
2.035
1.977
1.958
1.946
1.473
1.439
1.422
1.405
1.188
1.170
1.059
1.035
1.017
1.010
0.492
0.475
O
NH
N
O
F
F
4n
0.91
1.14
2.01
1.17
2.16
2.25
2.08
2.04
1.01
2.00
2.10
1.99
3.26
3.11
4.12
6.20
13.0
12.0
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)

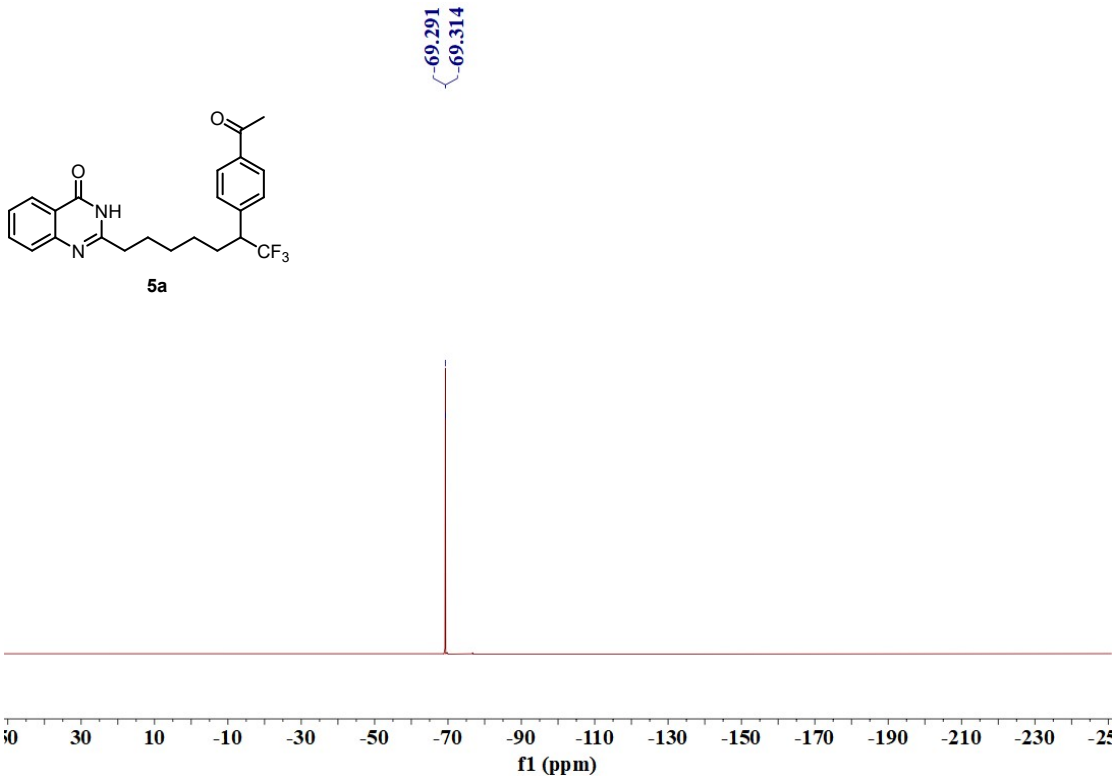

173.151
164.432
156.762
156.400
153.548
153.513
150.665
149.776
149.374
140.806
137.089
134.758
131.068
129.470
129.151
129.114
129.078
127.168
127.150
126.308
126.099
121.362
120.386
91.730
91.578
91.536
91.383
45.190
44.980
35.606
30.134
28.430
27.411
27.237
27.037
22.335
18.432
O
NH
N
O
F
F
4n
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)



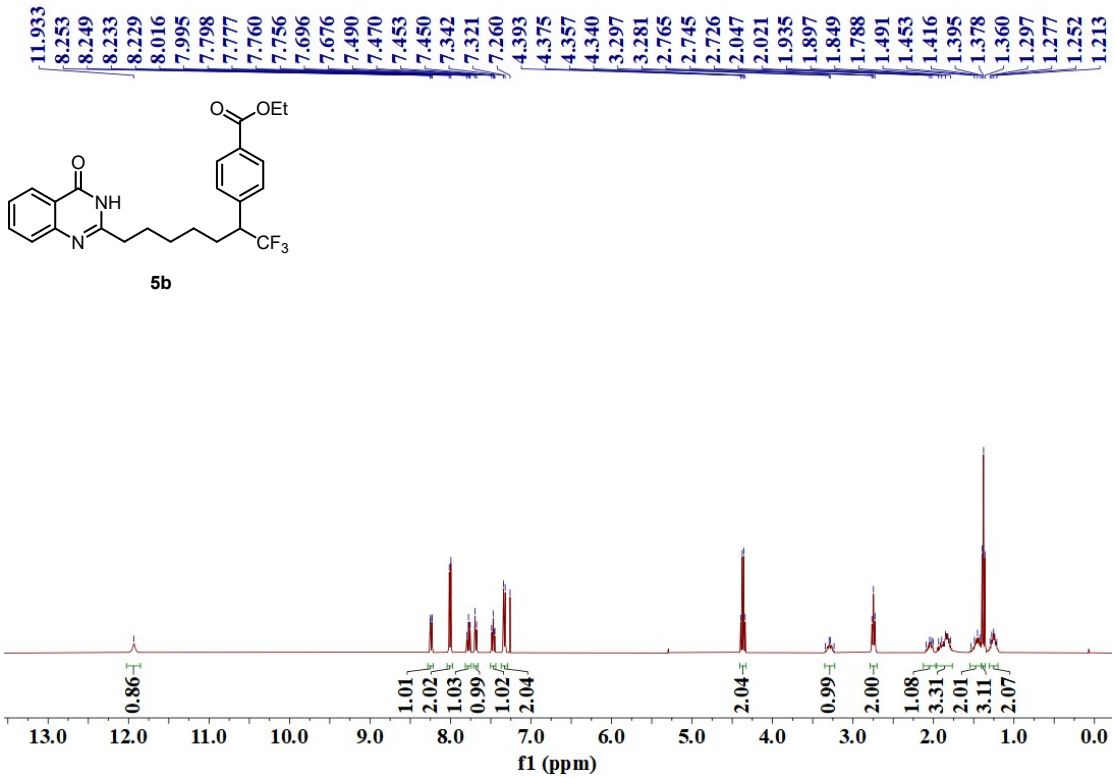
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 5a (Chloroform-*d*)

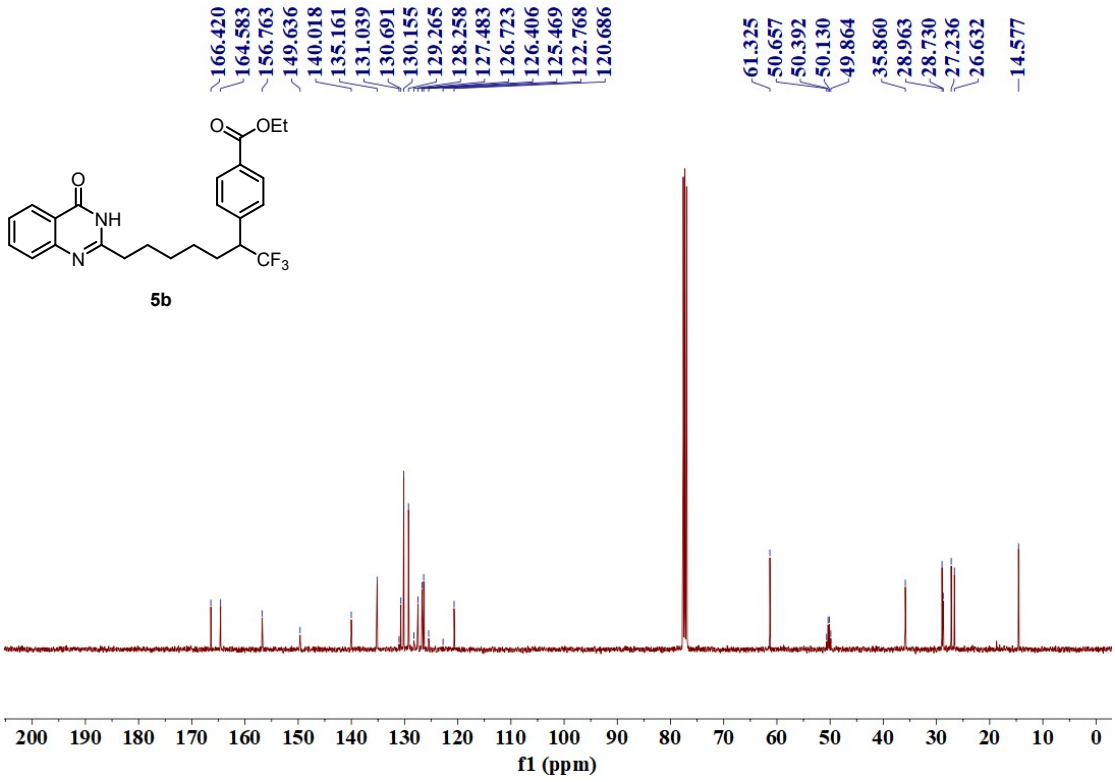


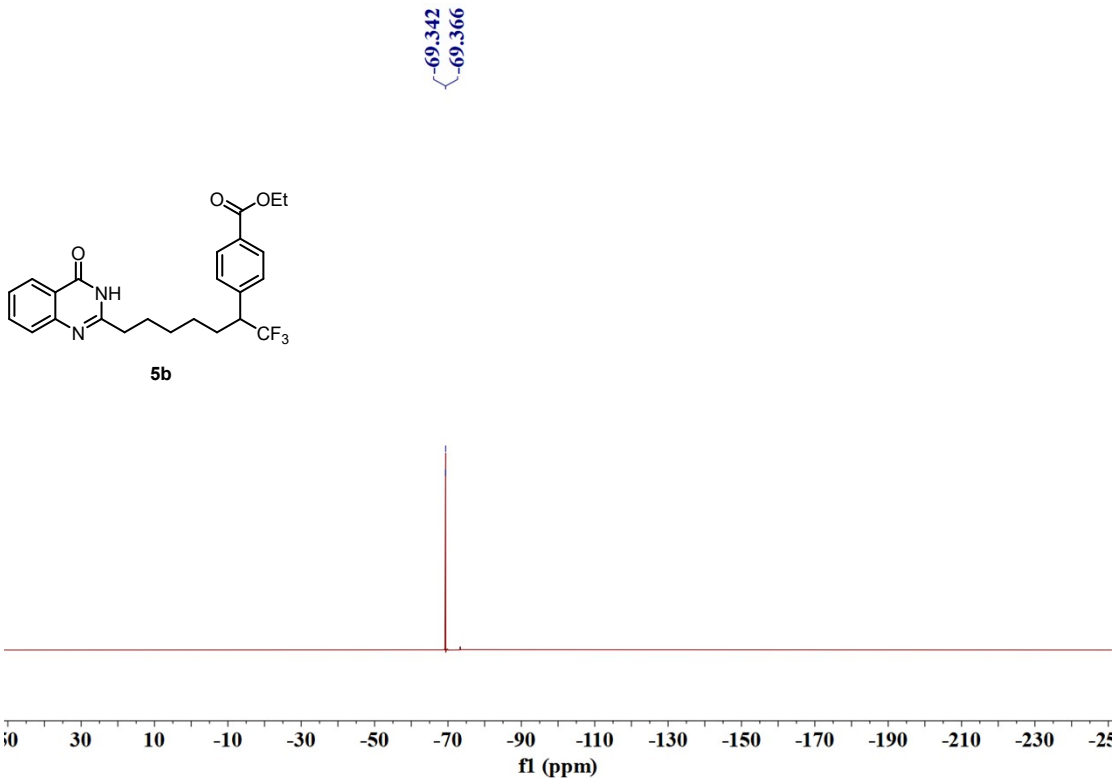




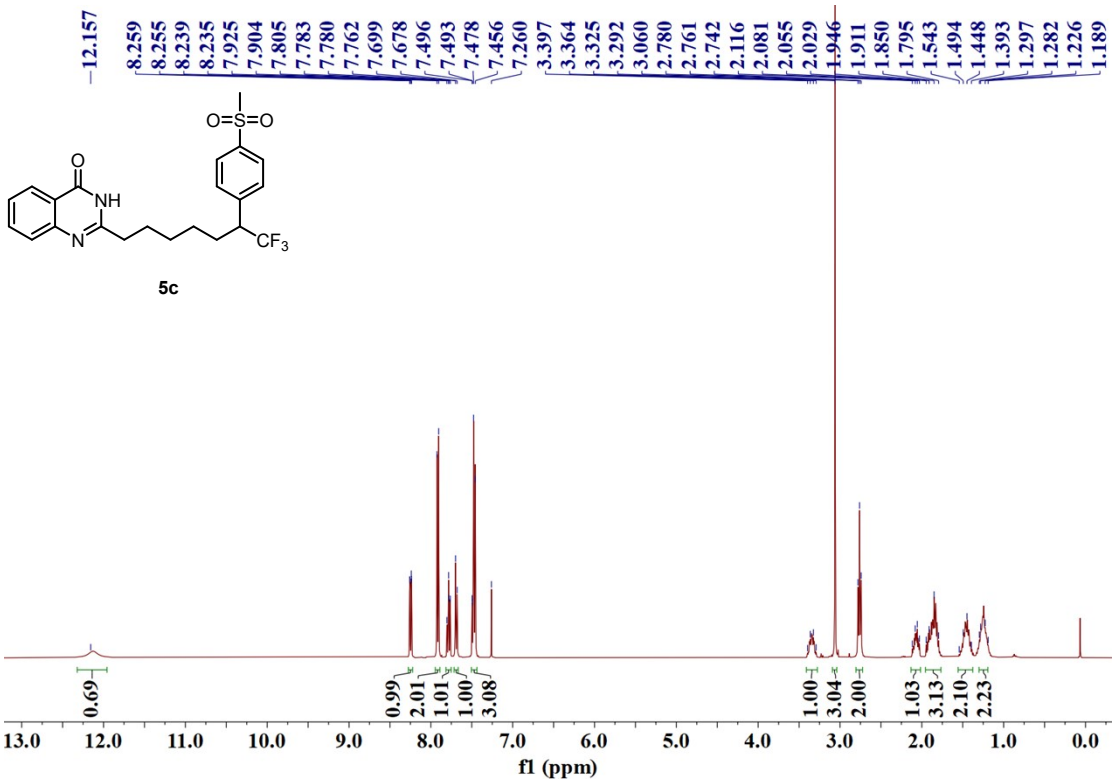
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 5b (Chloroform-*d*)

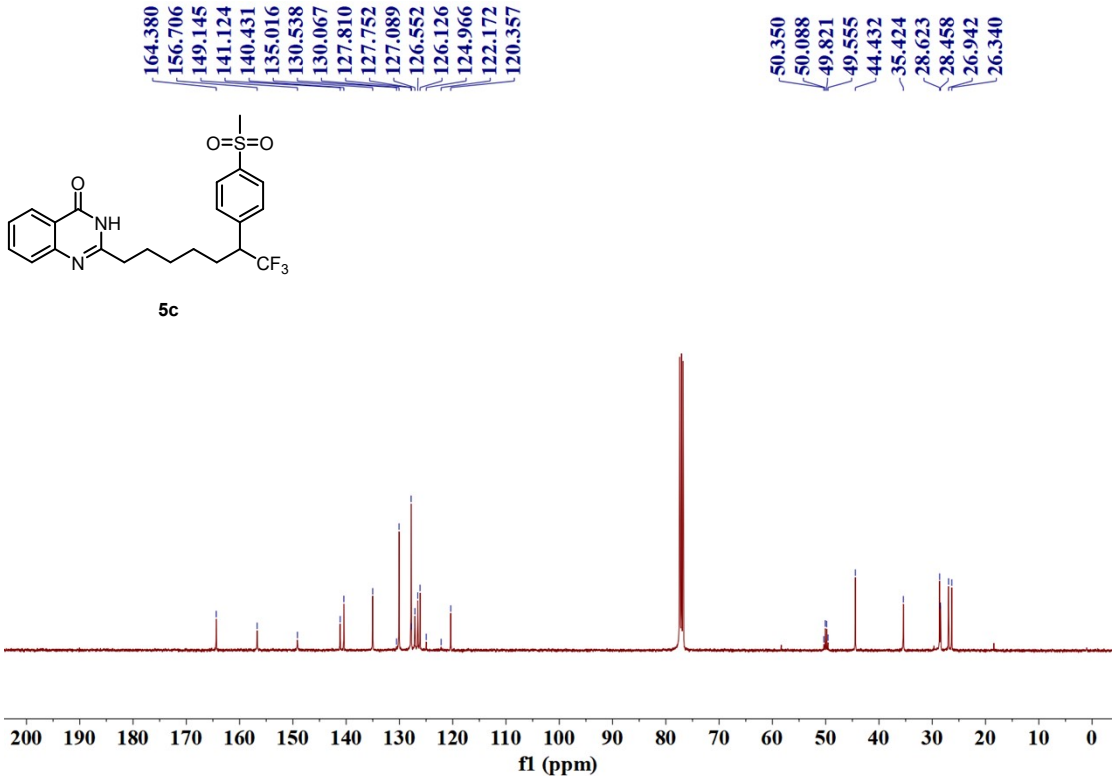

11.933
8.253
8.249
8.233
8.229
8.016
7.995
7.798
7.777
7.760
7.756
7.696
7.676
7.490
7.470
7.453
7.450
7.342
7.321
7.260
4.393
4.375
4.357
4.340
3.297
3.281
2.765
2.745
2.726
2.047
2.021
1.935
1.897
1.849
1.788
1.491
1.453
1.416
1.395
1.378
1.360
1.297
1.277
1.252
1.213
O
OEt
O
NH
N
CF3
5b
0.86
1.01
2.02
1.03
0.99
1.02
2.04
2.04
0.99
2.00
1.08
3.31
2.01
3.11
2.07
13.0
12.0
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
f1 (ppm)

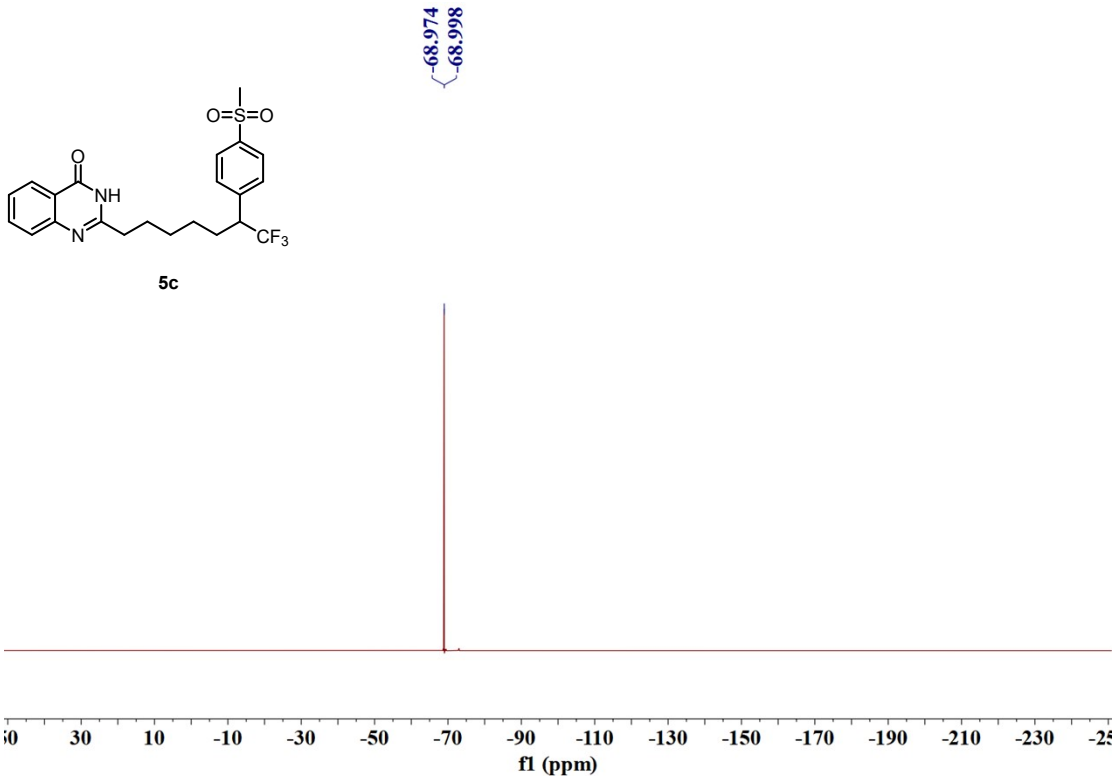

166.420
164.583
156.763
149.636
140.018
135.161
131.039
130.691
130.155
129.265
128.258
127.483
126.723
126.406
125.469
122.768
120.686
61.325
50.657
50.392
50.130
49.864
35.860
28.963
28.730
27.236
26.632
14.577
O
OEt
O
NH
N
CF3
5b
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)



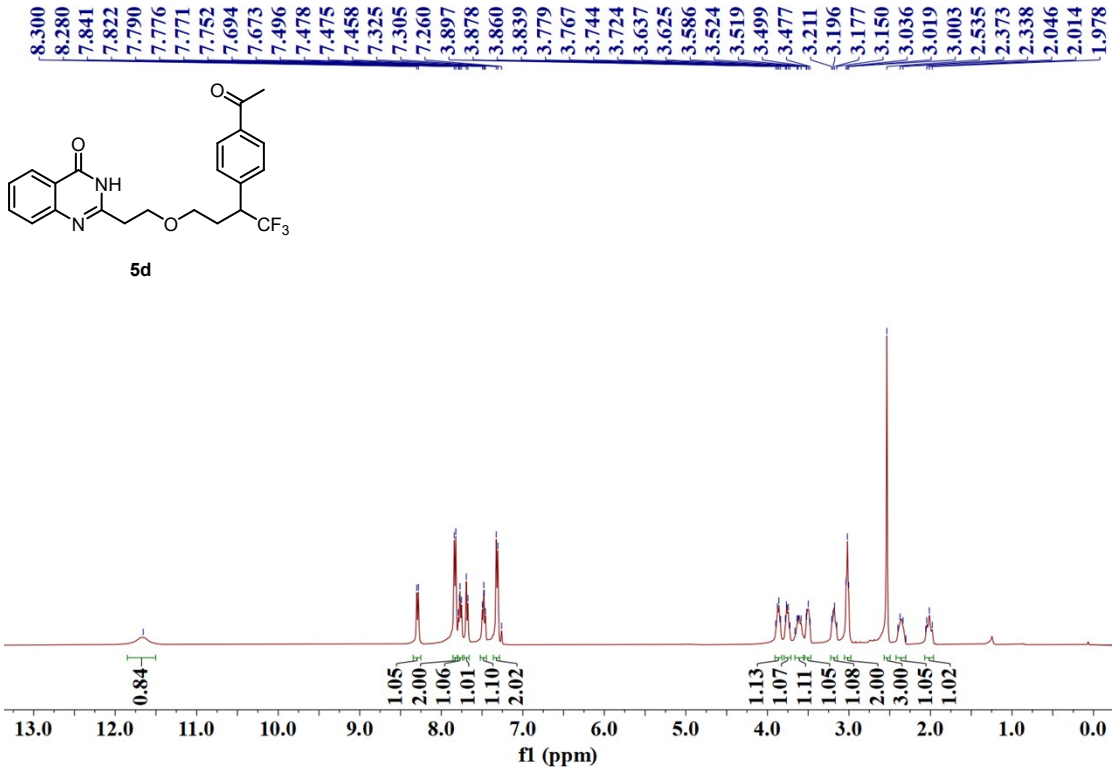
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 5c (Chloroform-*d*)

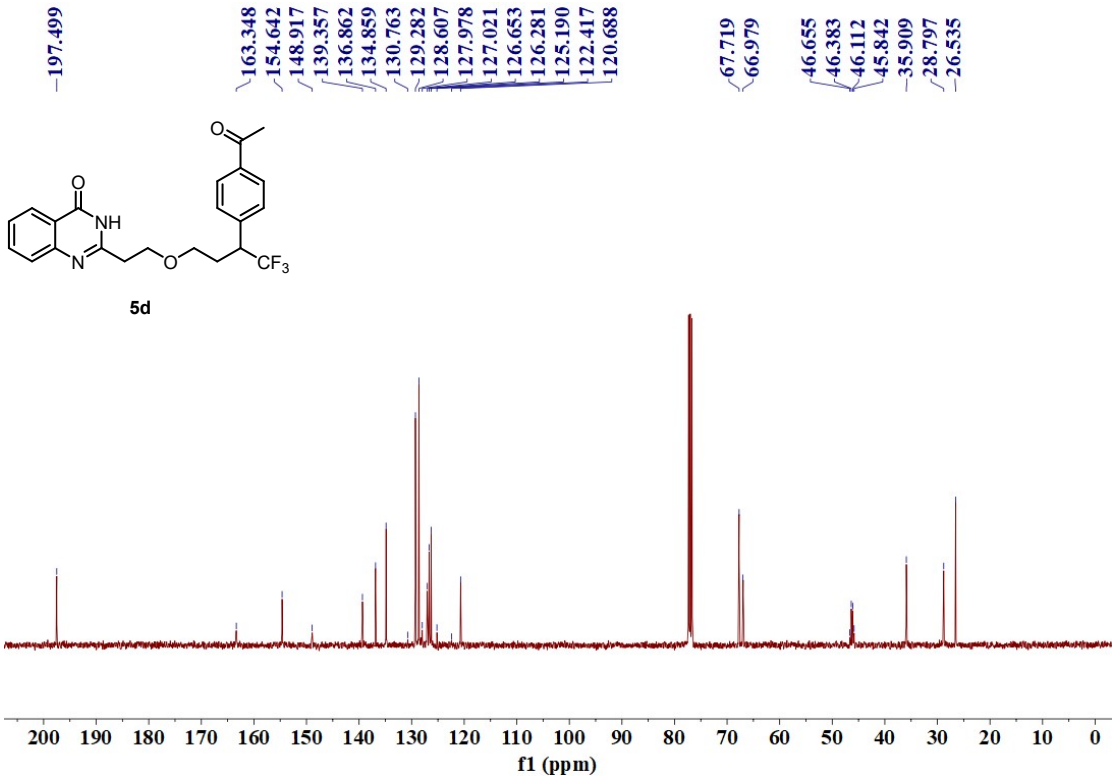


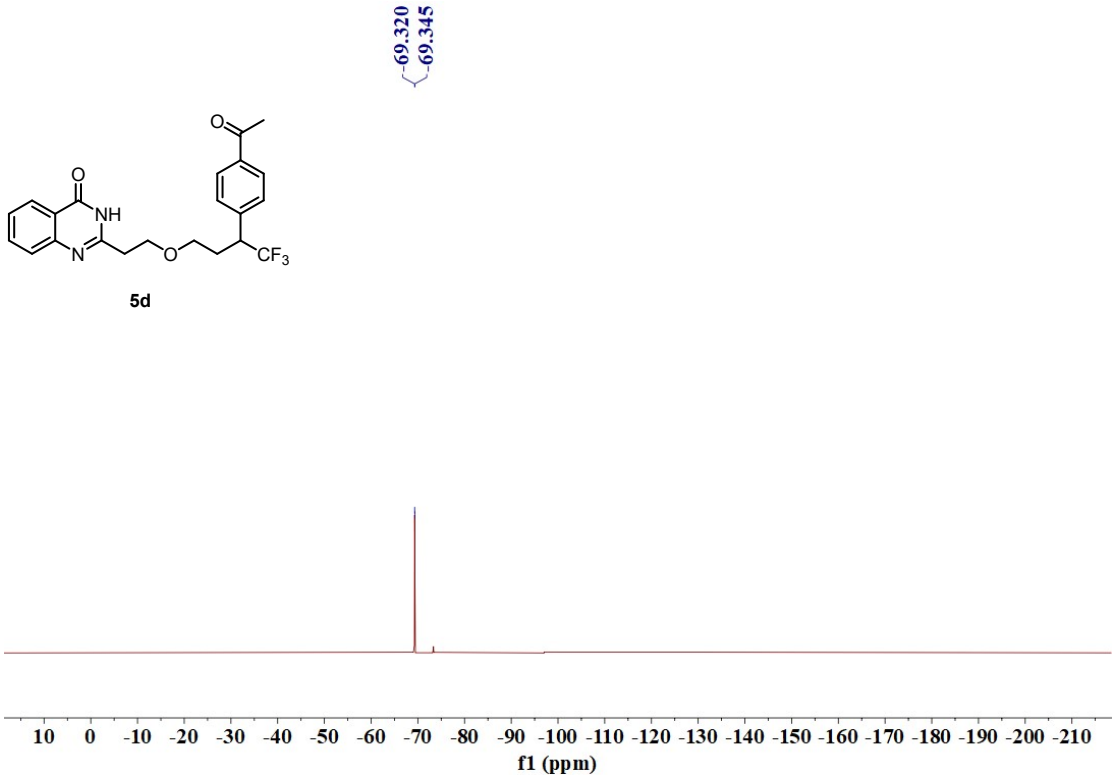

164.380
156.706
149.145
141.124
140.431
135.016
130.538
130.067
127.810
127.752
127.089
126.552
126.126
124.966
122.172
120.357
50.350
50.088
49.821
49.555
44.432
35.424
28.623
28.458
26.942
26.340
O=S=O
O
NH
N
CF3
5c
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


-68.974
-68.998
O=S=O
O
NH
N
CF3
5c
30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230
f1 (ppm)

^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 5d (Chloroform-*d*)



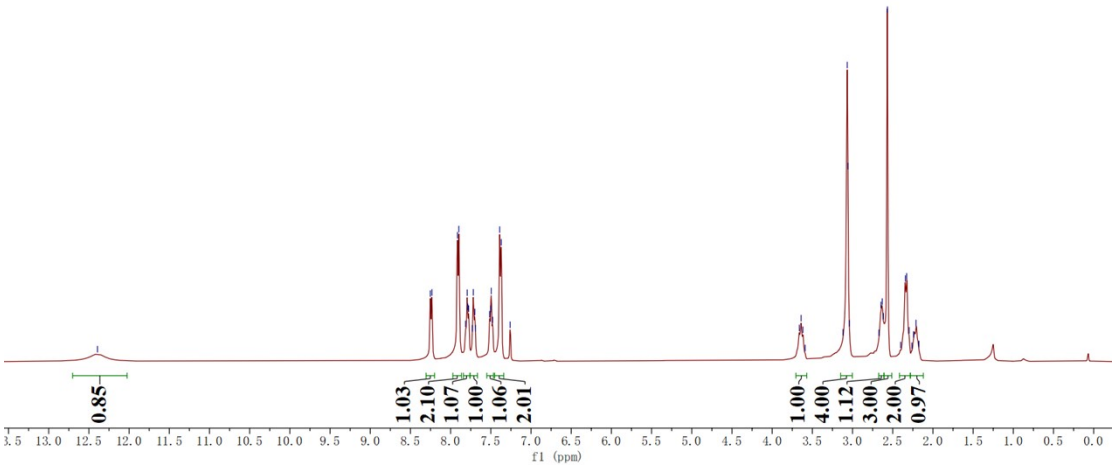


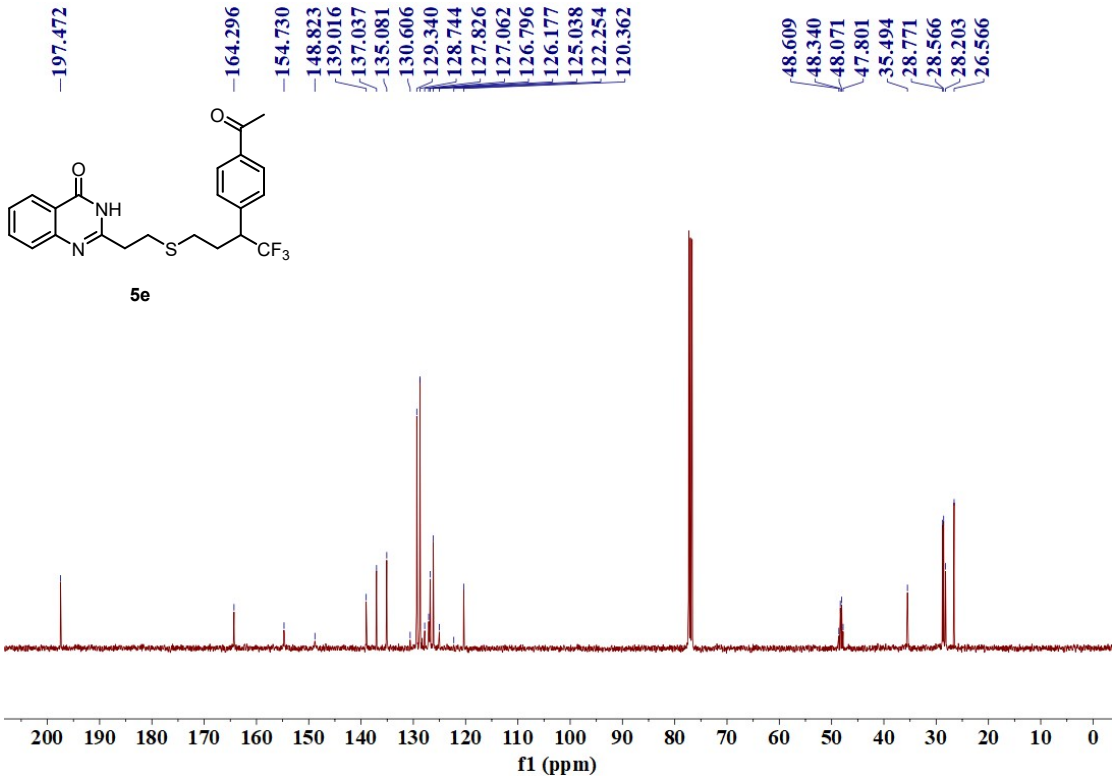


^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 5e (Chloroform-*d*)

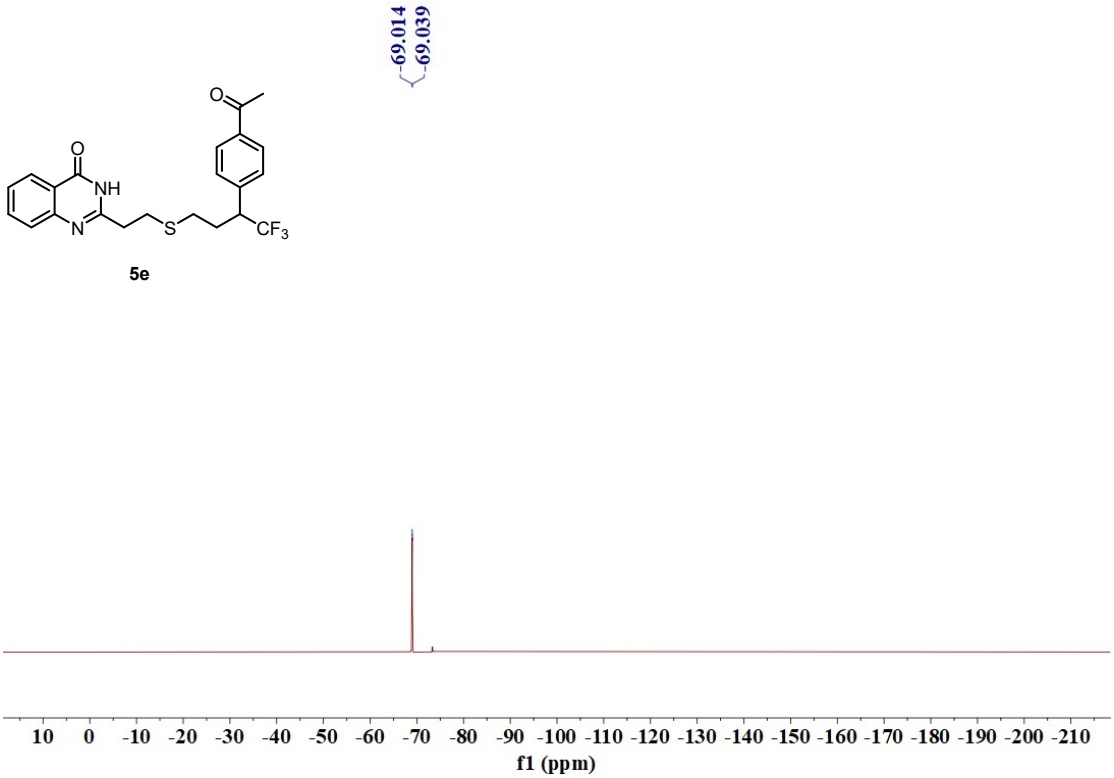

12.393
8.253
8.233
7.915
7.898
7.814
7.794
7.787
7.776
7.730
7.718
7.699
7.691
7.516
7.503
7.495
7.477
7.390
7.371
7.260
3.662
3.640
3.618
3.590
3.118
3.066
3.057
3.039
2.672
2.647
2.631
2.617
2.566
2.401
2.343
2.327
2.296
2.263
2.241
2.211
2.174
O
NH
N
S
CF3

5e

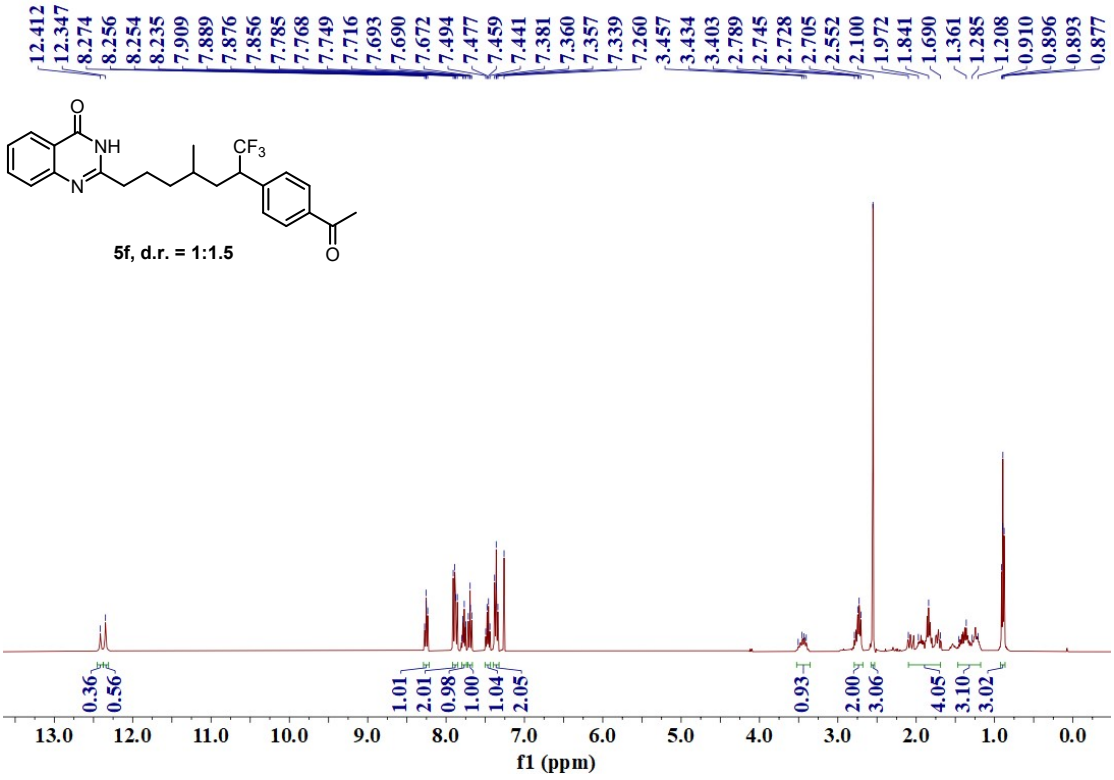

0.85
1.03
2.10
1.07
1.00
1.06
2.01
1.00
4.00
1.12
3.00
2.00
0.97
f1 (ppm)

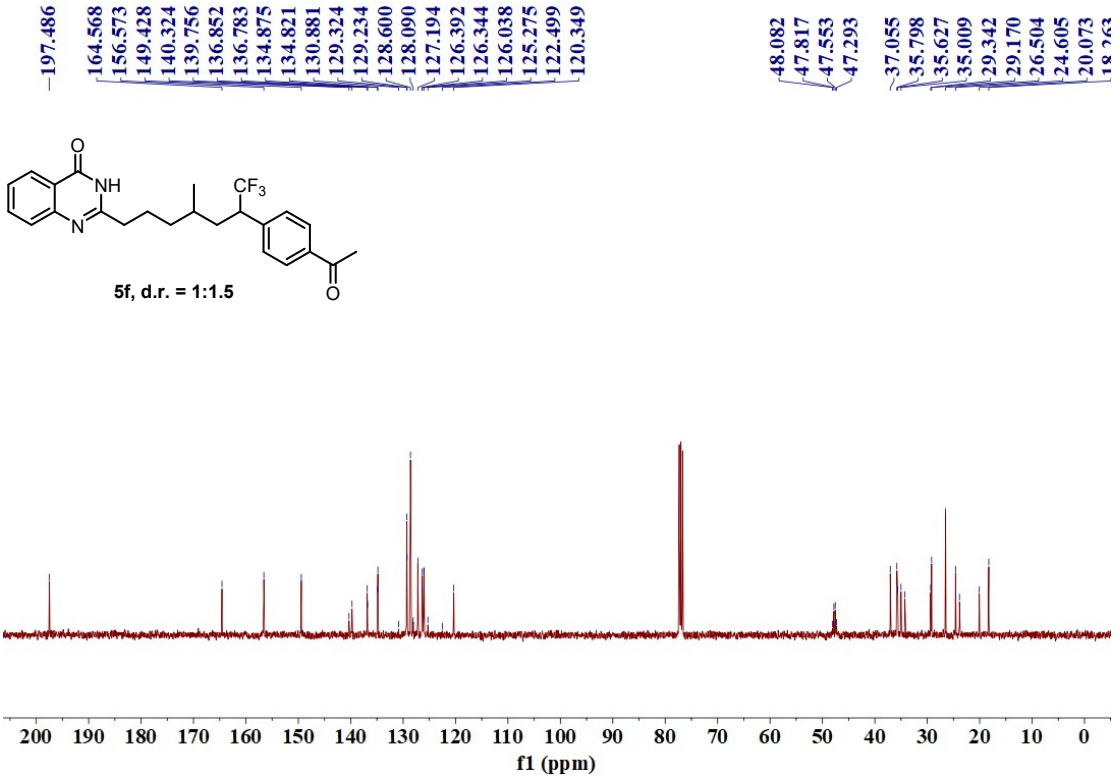

197.472
164.296
154.730
148.823
139.016
137.037
135.081
130.606
129.340
128.744
127.826
127.062
126.796
126.177
125.038
122.254
120.362
48.609
48.340
48.071
47.801
35.494
28.771
28.566
28.203
26.566
O
NH
N
S
CF3
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

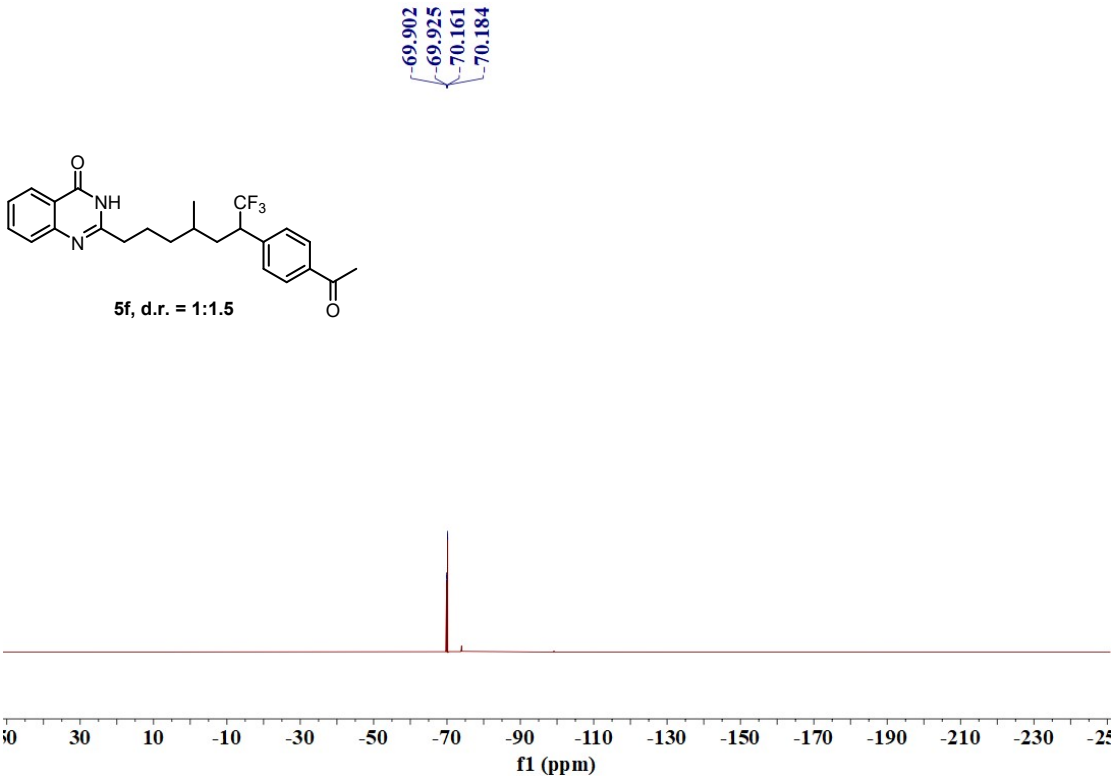
5e



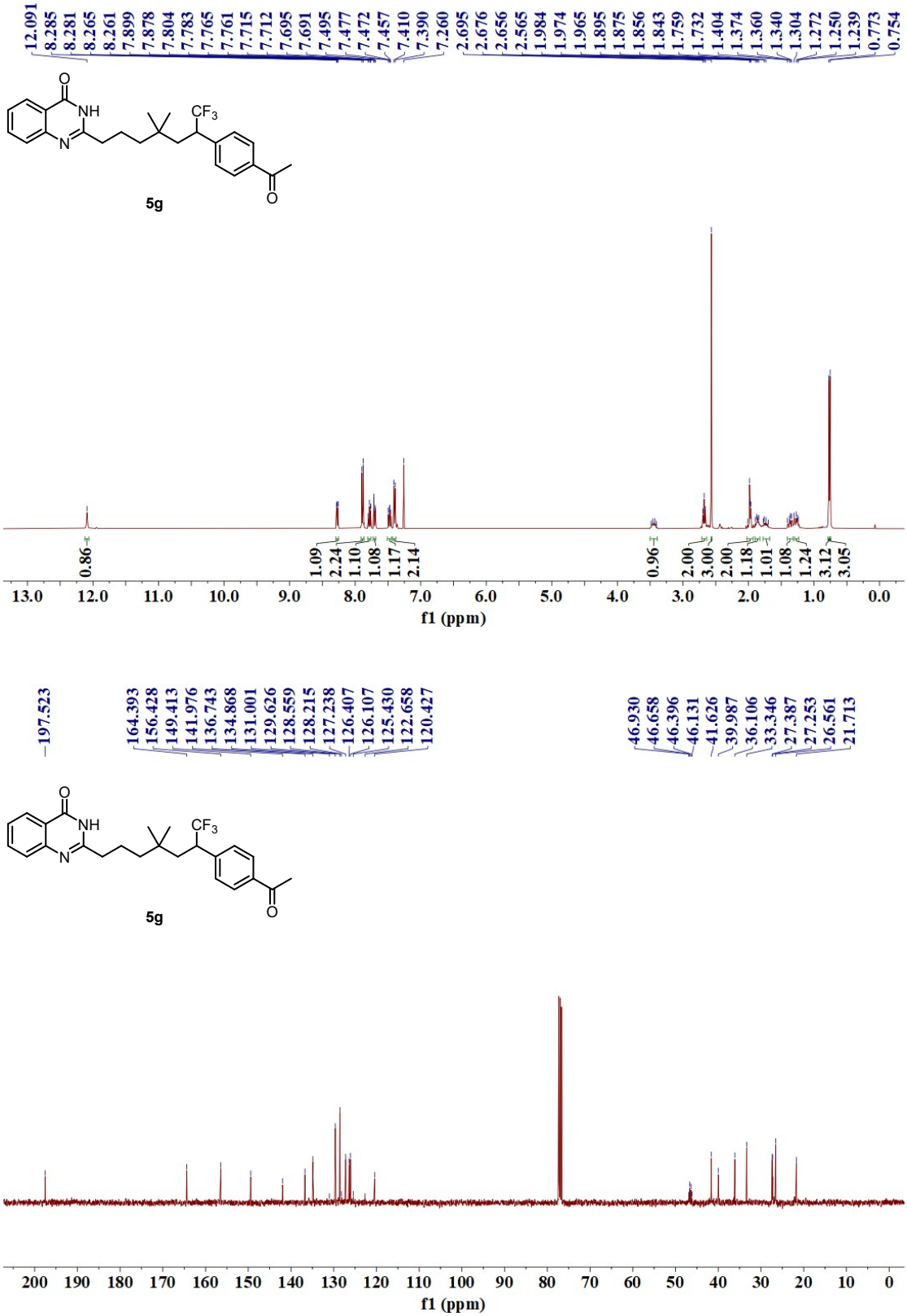
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 5f (Chloroform-*d*)

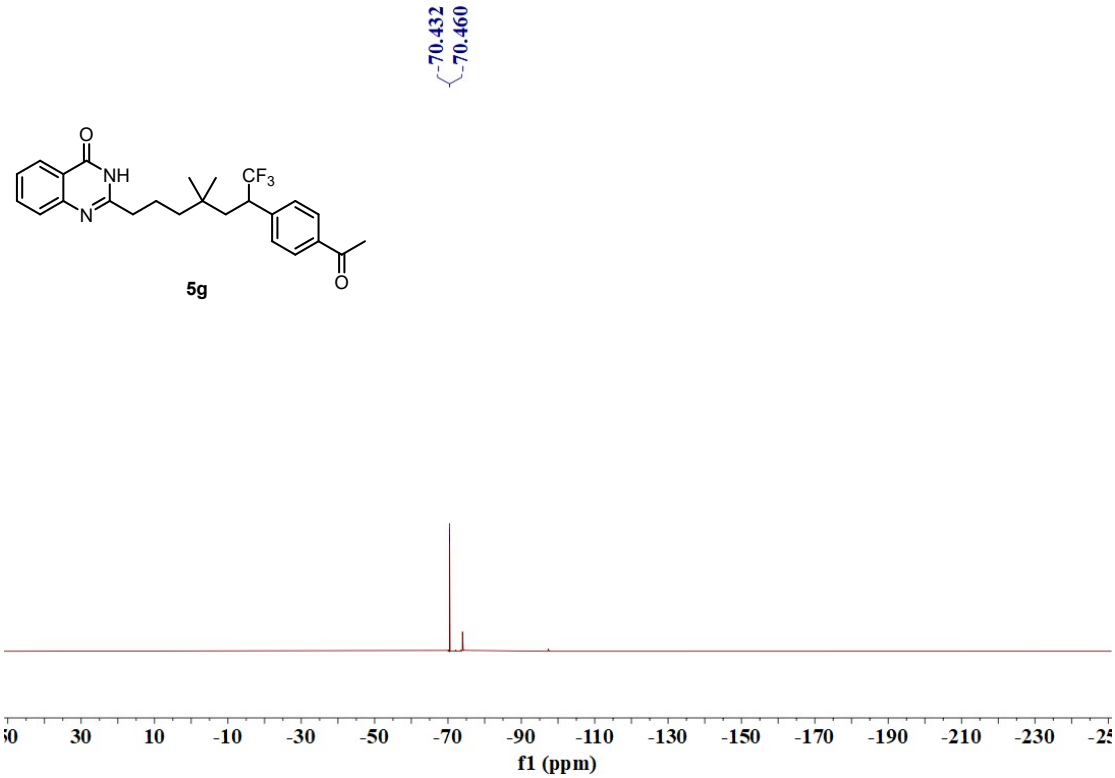



197.486
164.568
156.573
149.428
140.324
139.756
136.852
136.783
134.875
134.821
130.881
129.324
129.234
128.600
128.090
127.194
126.392
126.344
126.038
125.275
122.499
120.349
48.082
47.817
47.553
47.293
37.055
35.798
35.627
35.009
29.342
29.170
26.504
24.605
20.073
NH
N
O
CF3
O
5f, d.r. = 1:1.5
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

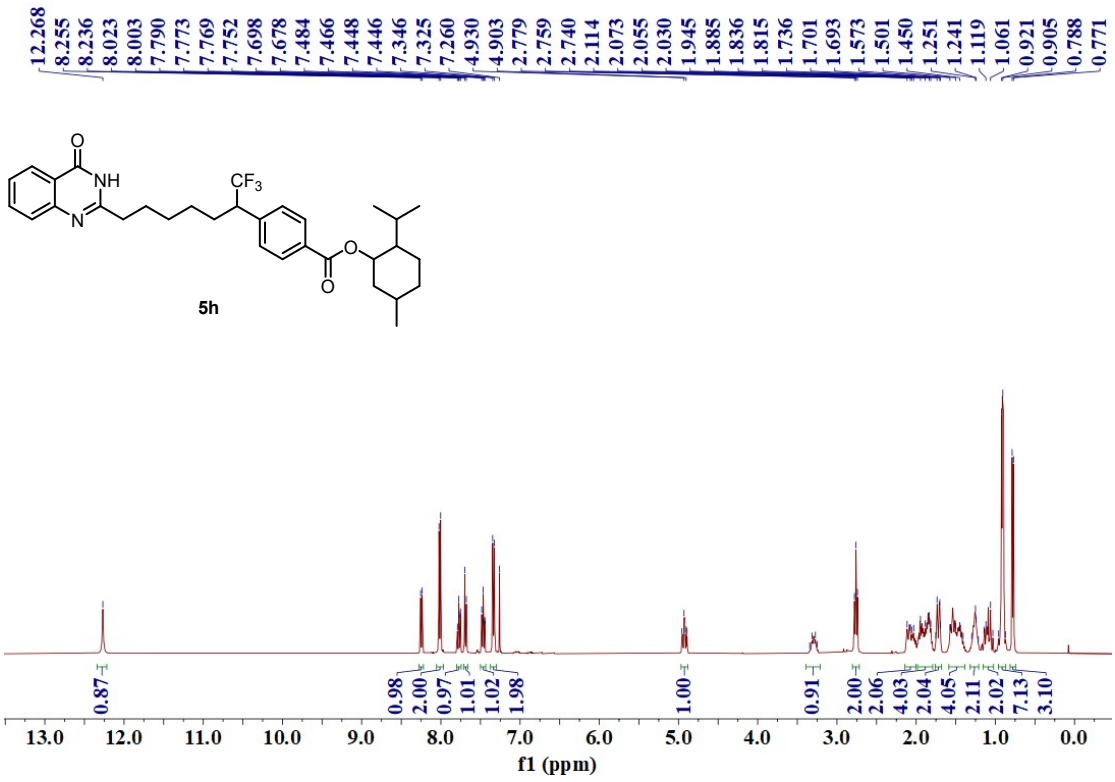

69.902
69.925
70.161
70.184
NH
N
O
CF3
O
5f, d.r. = 1:1.5
30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230
f1 (ppm)

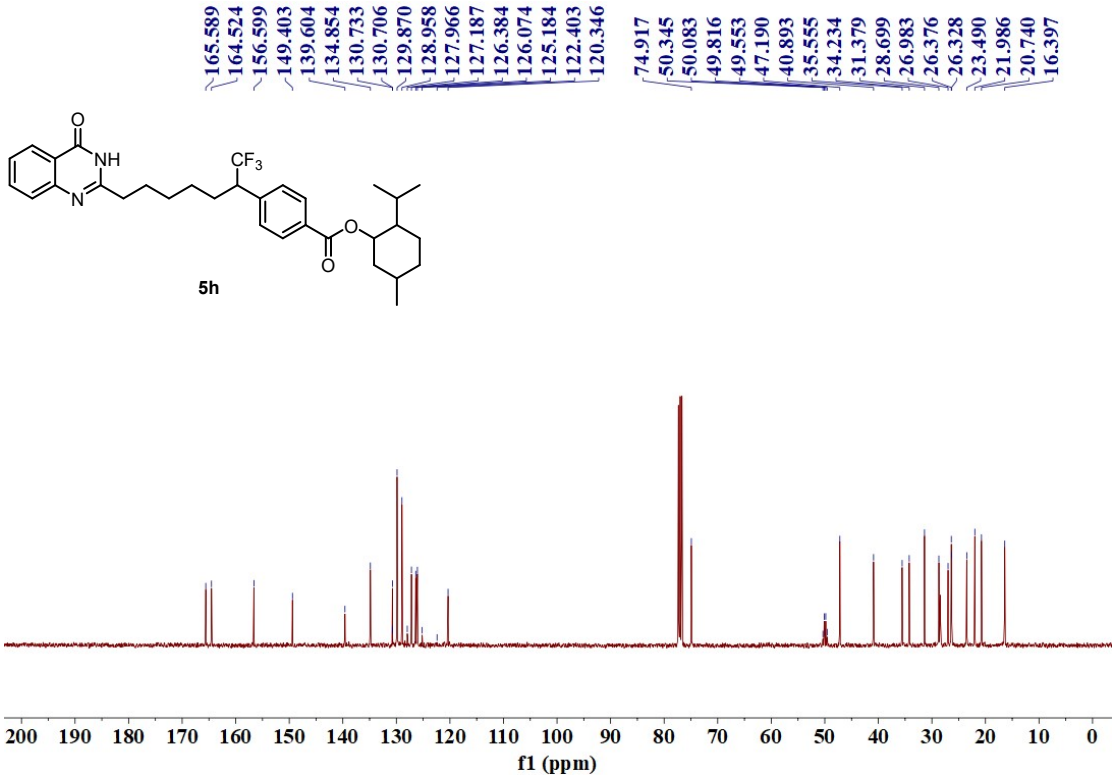
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 5g (Chloroform-*d*)

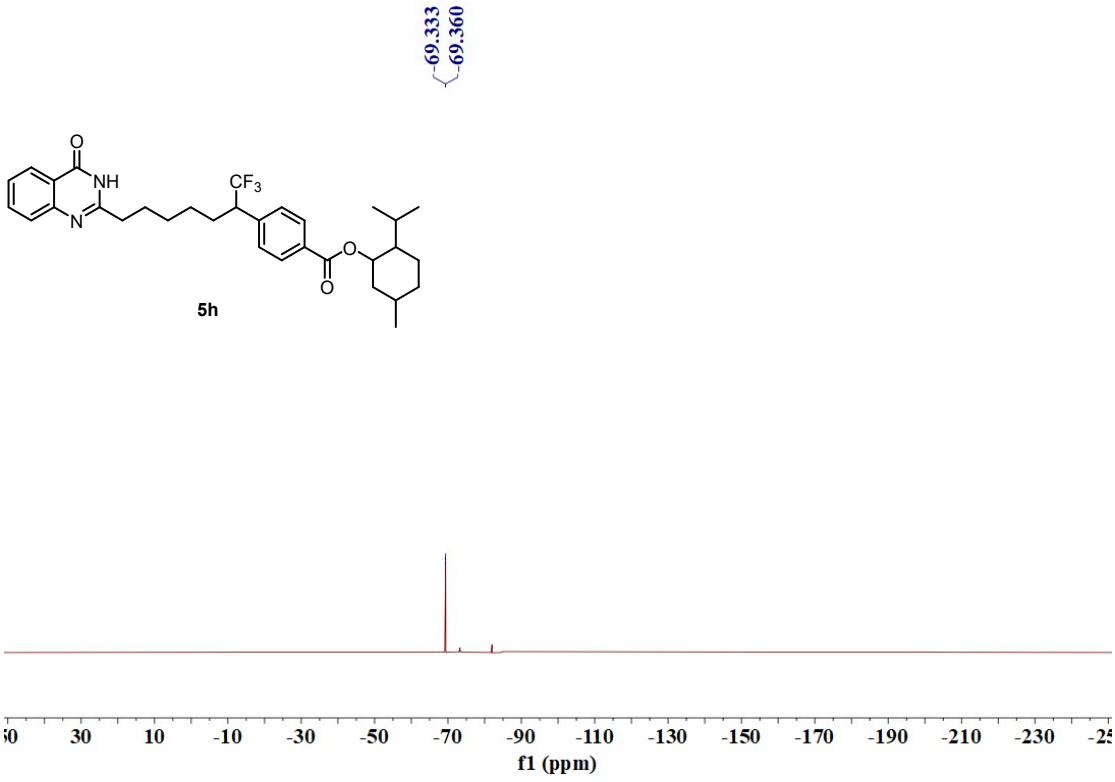




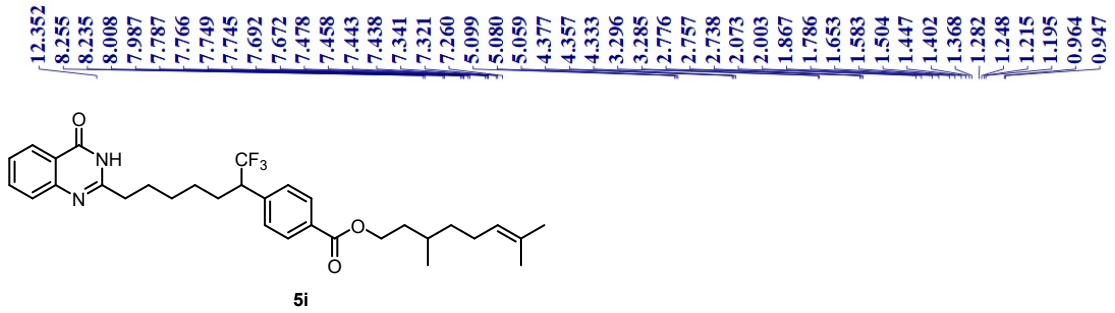
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 5h (Chloroform-*d*)



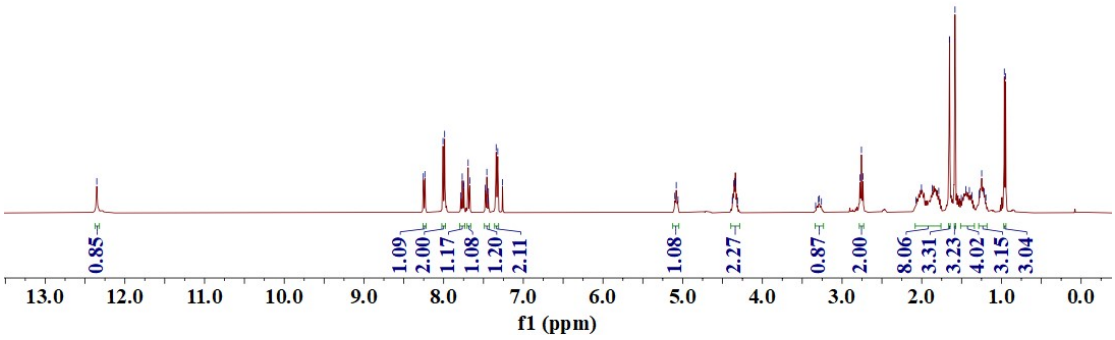

165.589
164.524
156.599
149.403
139.604
134.854
130.733
130.706
129.870
128.958
127.966
127.187
126.384
126.074
125.184
122.403
120.346
74.917
50.345
50.083
49.816
49.553
47.190
40.893
35.555
34.234
31.379
28.699
26.983
26.376
26.328
23.490
21.986
20.740
16.397
NH
N
CF3
O
O
O
5h
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

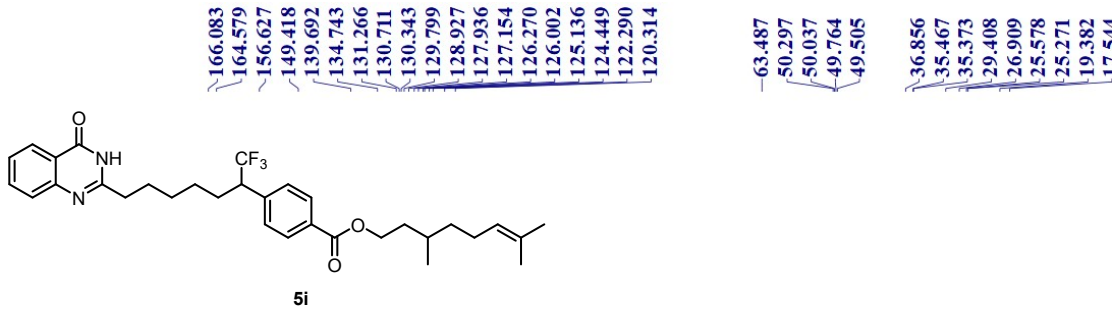

69.333
69.360
NH
N
CF3
O
O
O
5h
30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230
f1 (ppm)

^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 5i (Chloroform-*d*)

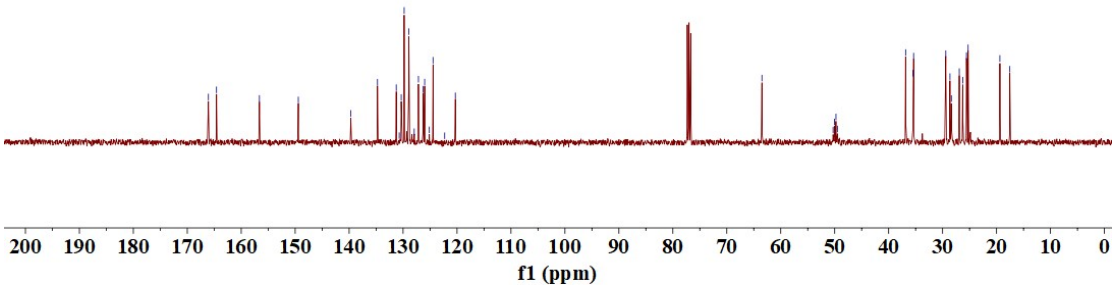


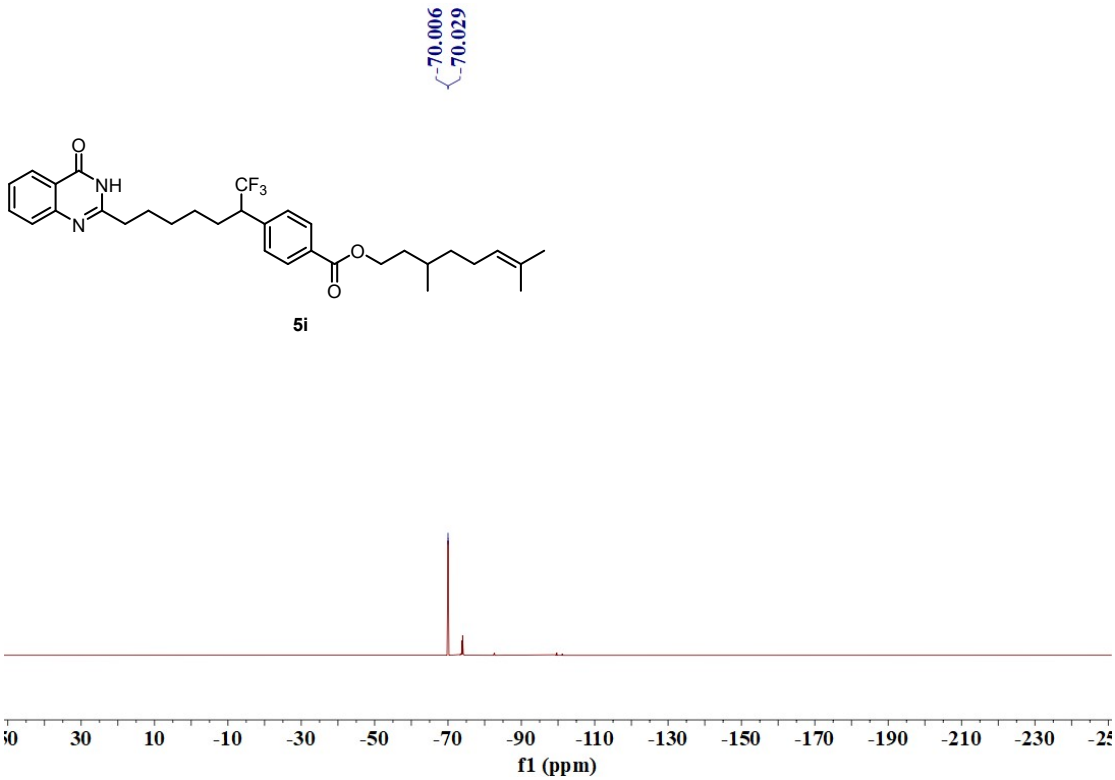
5i



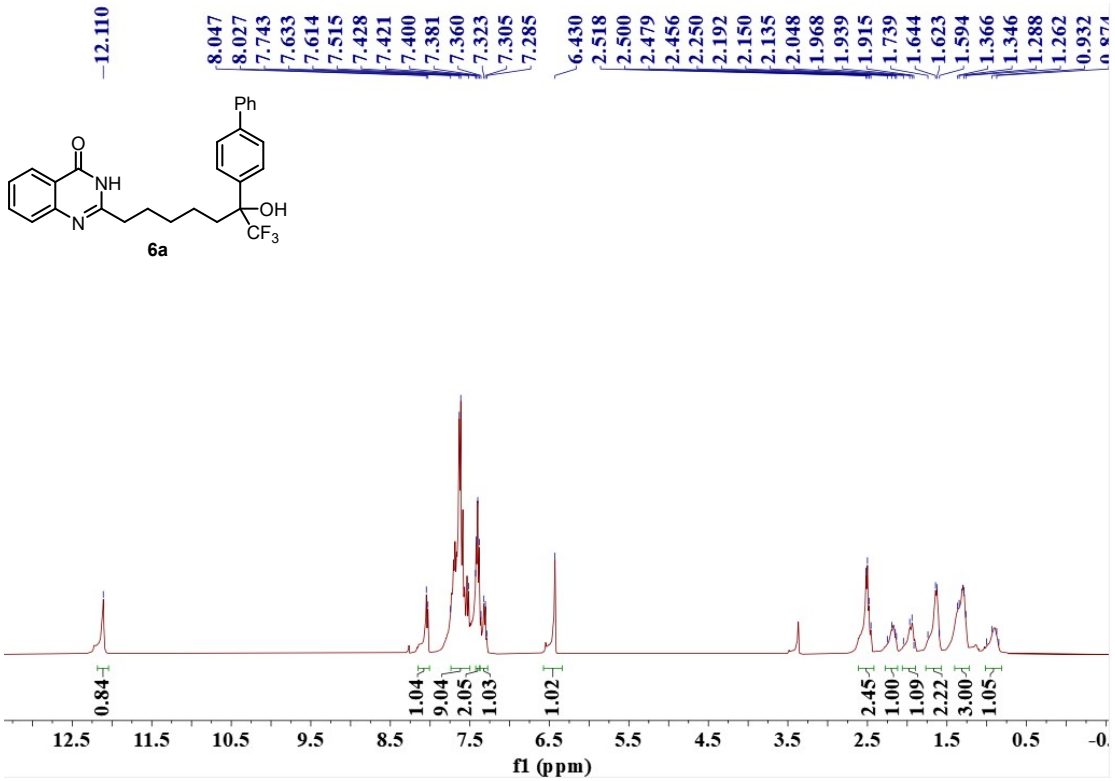


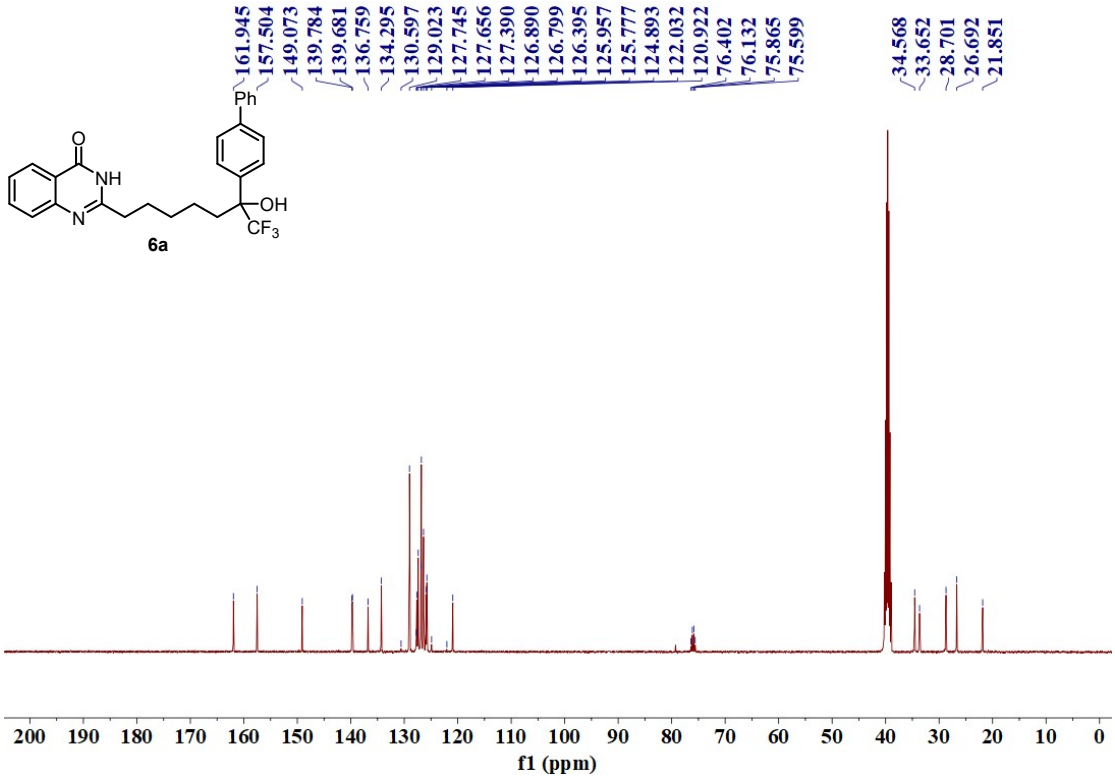
5i

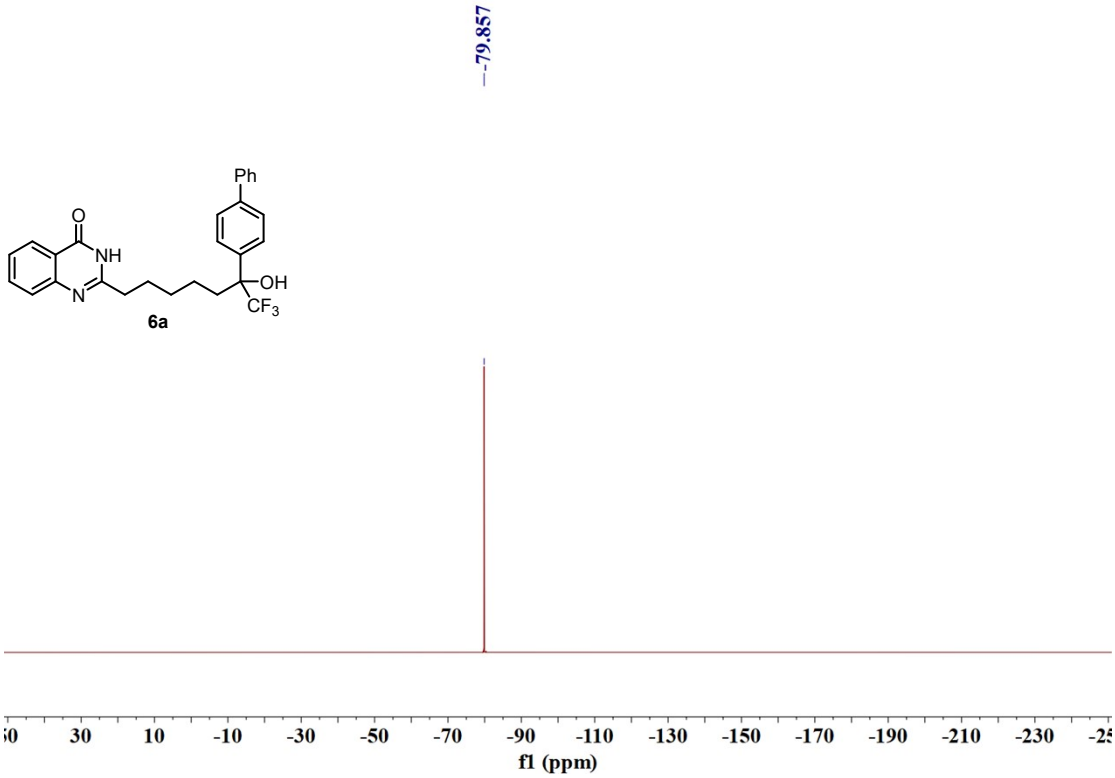




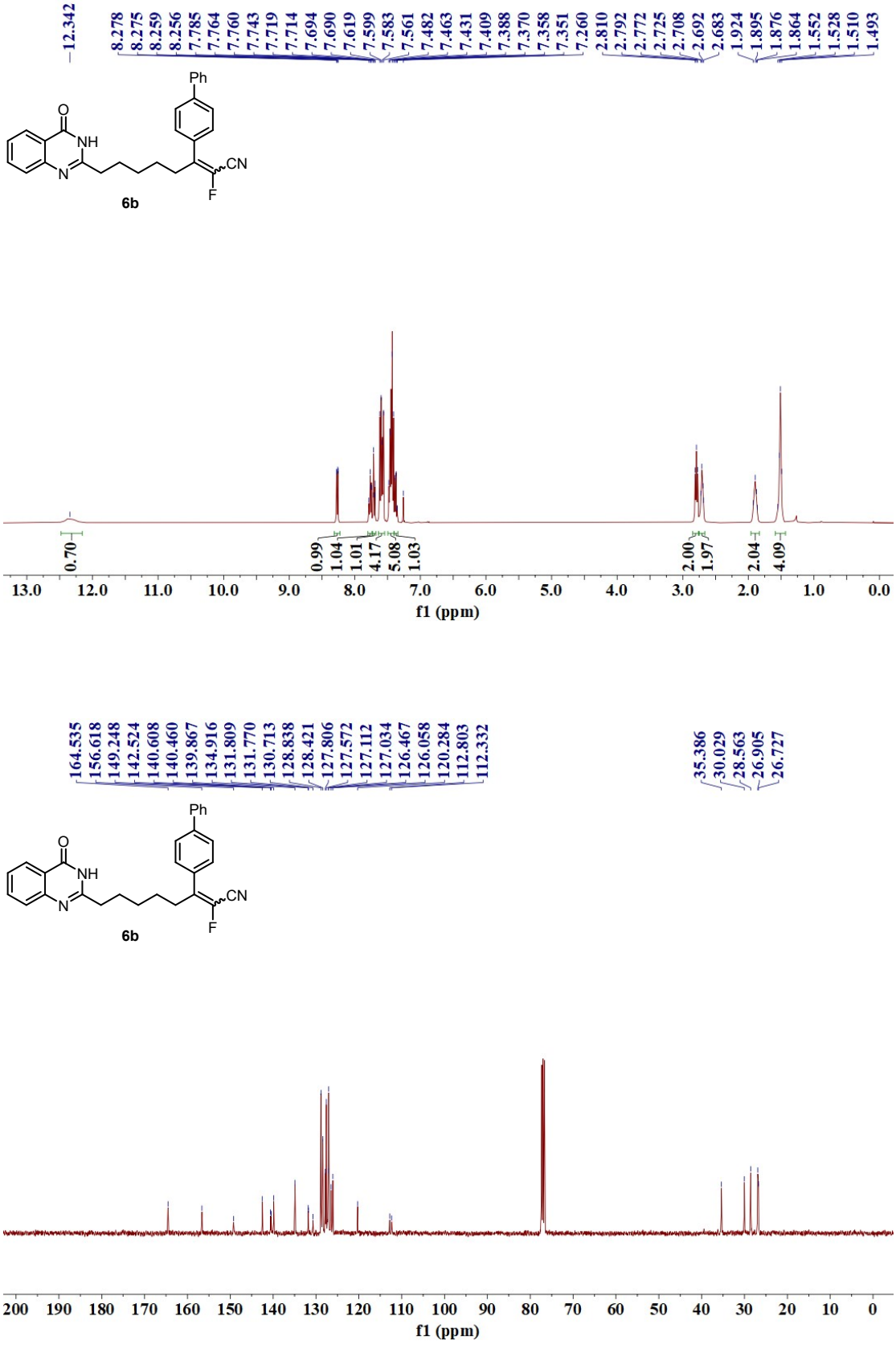
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 6a (DMSO-d_6)

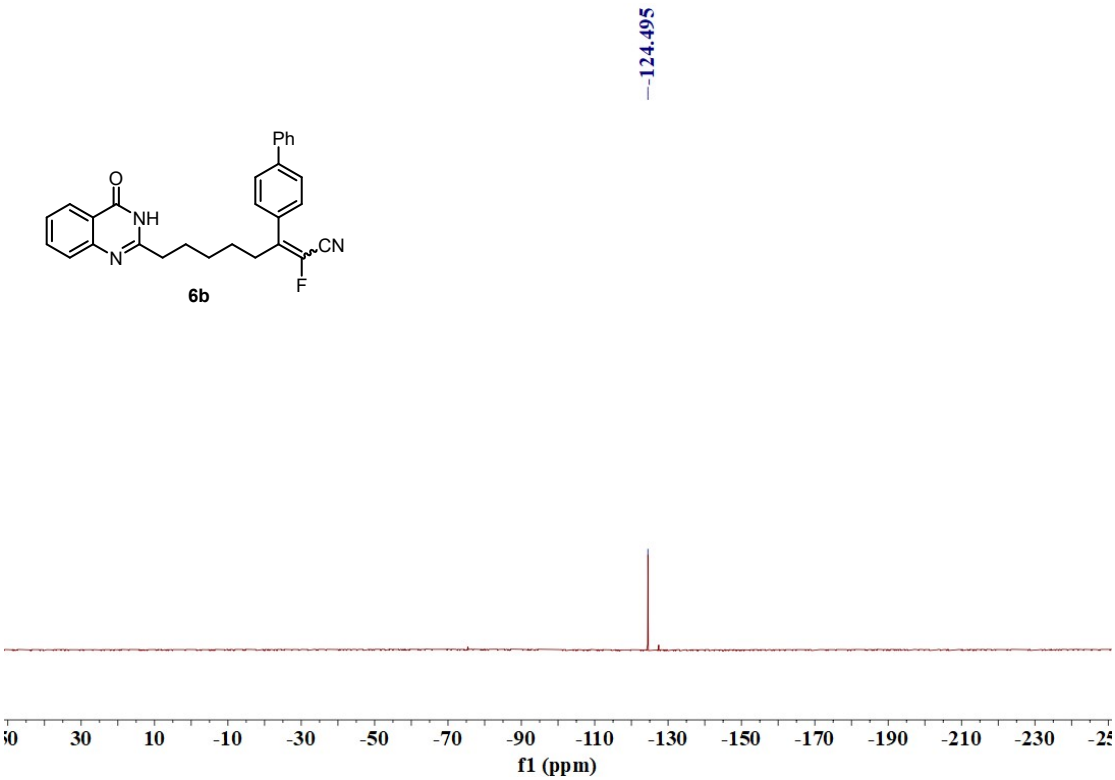



161.945
157.504
149.073
139.784
139.681
136.759
134.295
130.597
129.023
127.745
127.656
127.390
126.890
126.799
126.395
125.957
125.777
124.893
122.032
120.922
76.402
76.132
75.865
75.599
34.568
33.652
28.701
26.692
21.851
Ph
O
NH
N
OH
CF3
6a
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

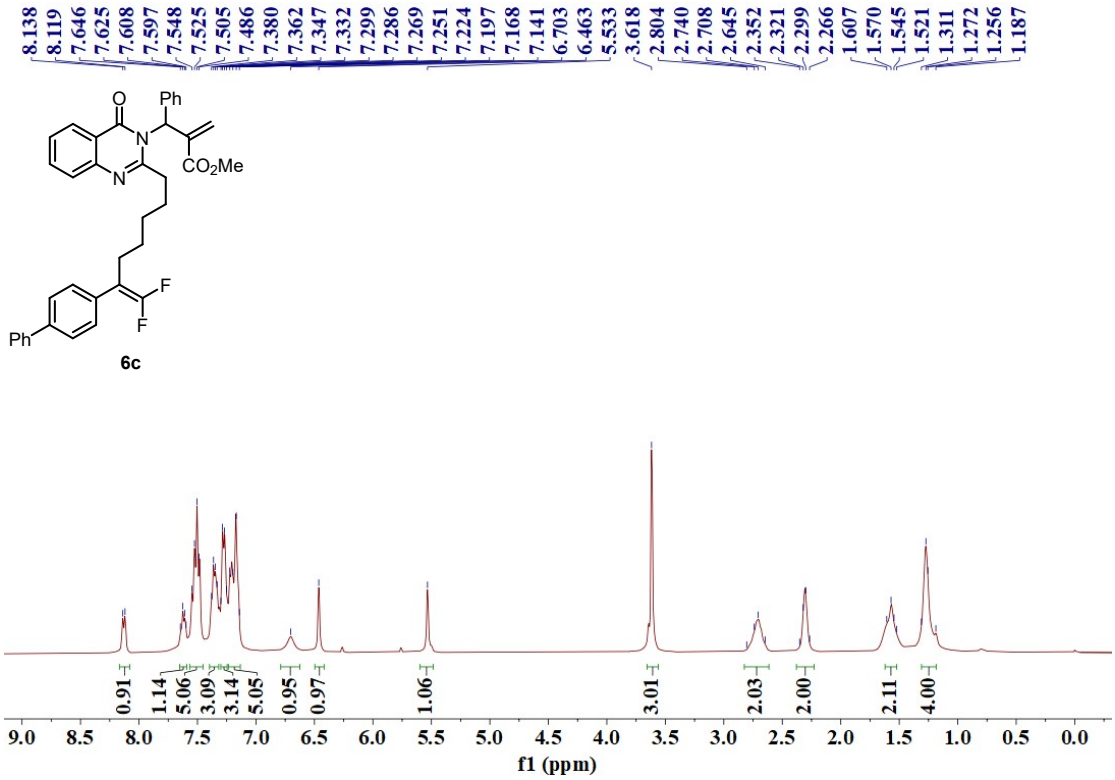

-79.857
Ph
O
NH
N
OH
CF3
6a
30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230
f1 (ppm)

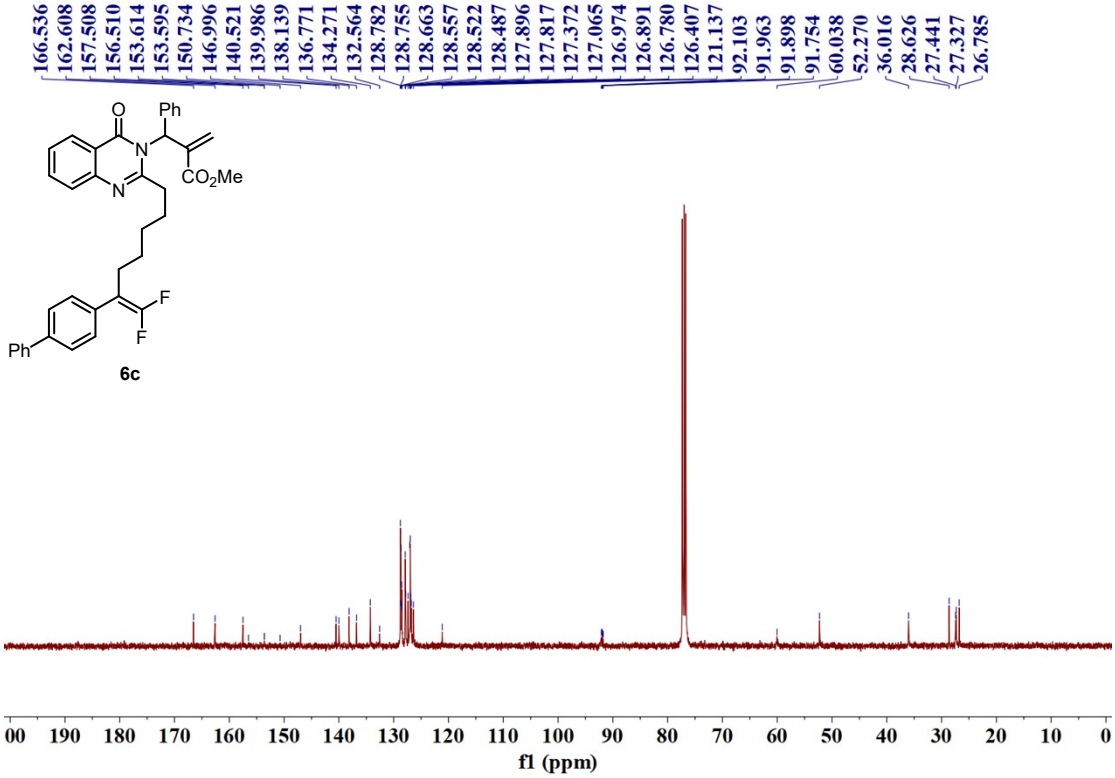
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 6b (Chloroform-*d*)

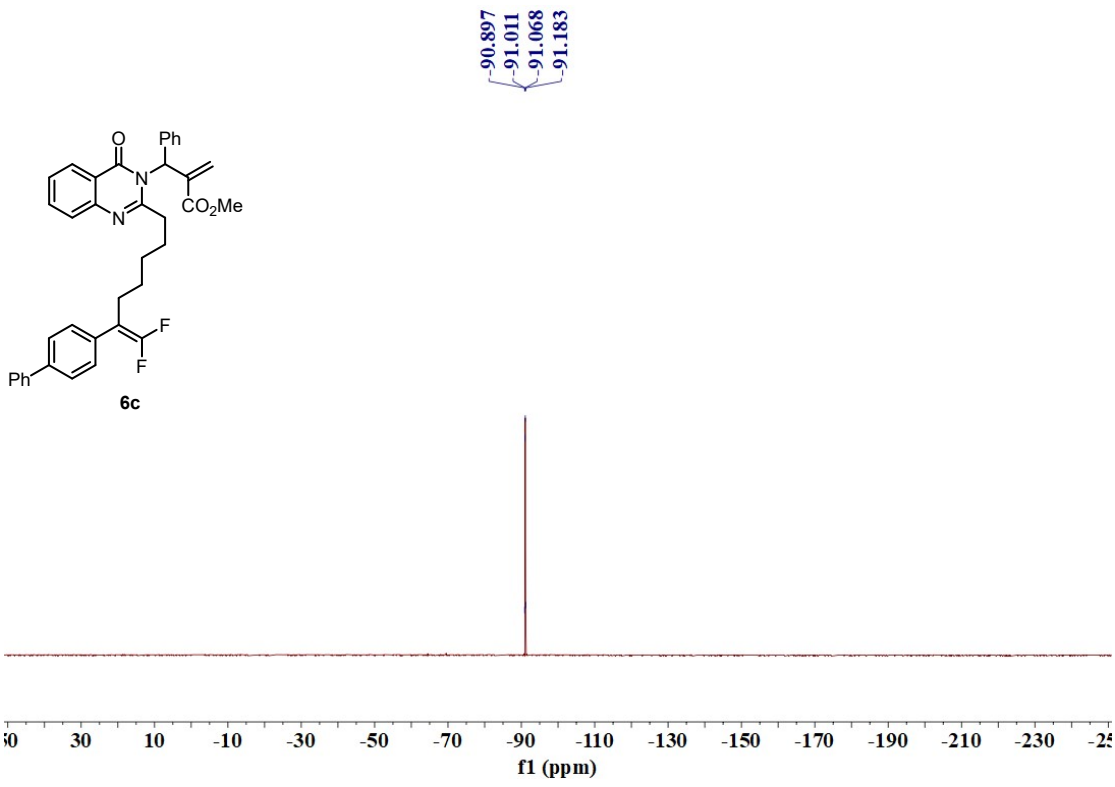




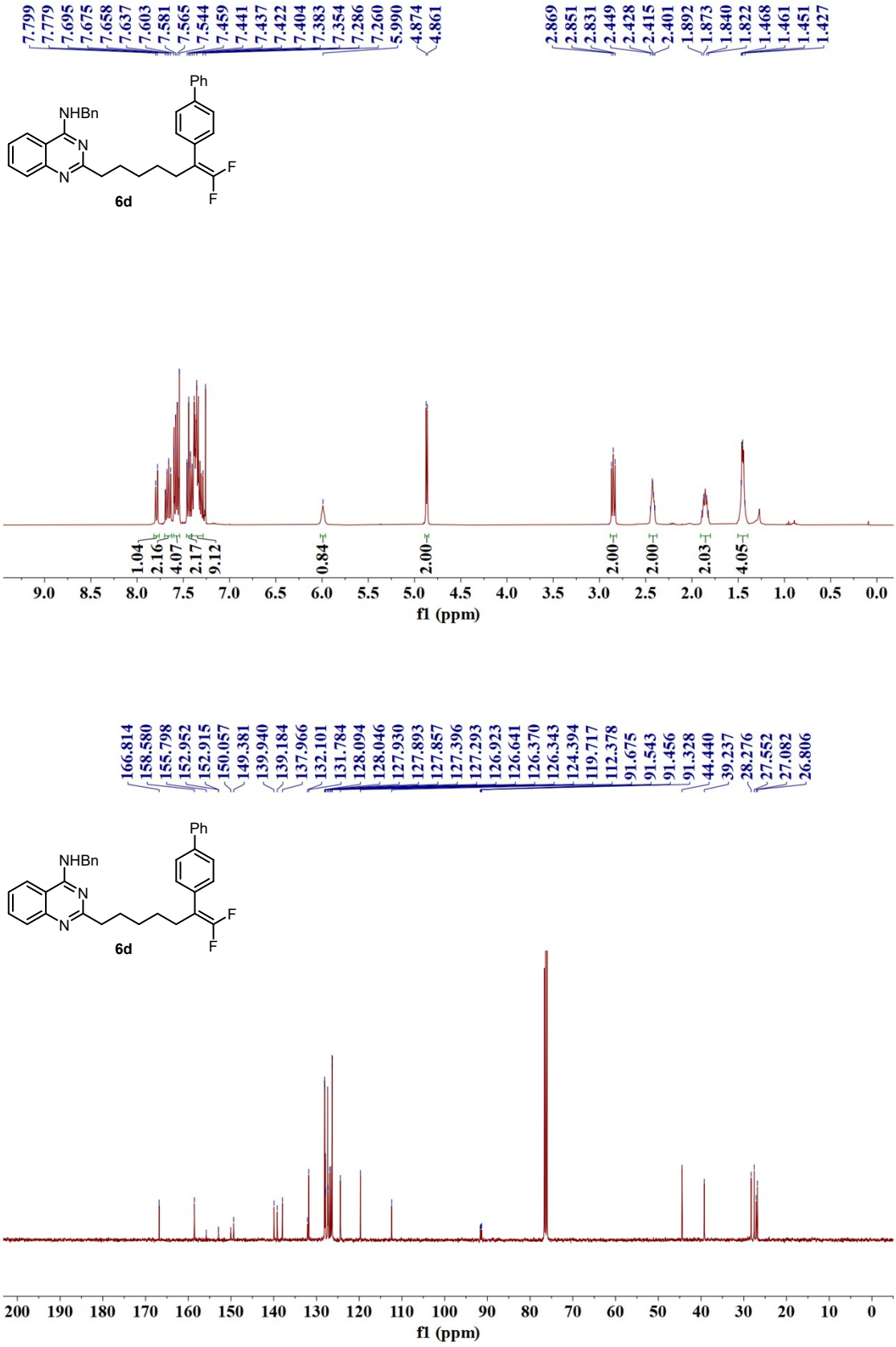
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 6c (Chloroform-*d*)

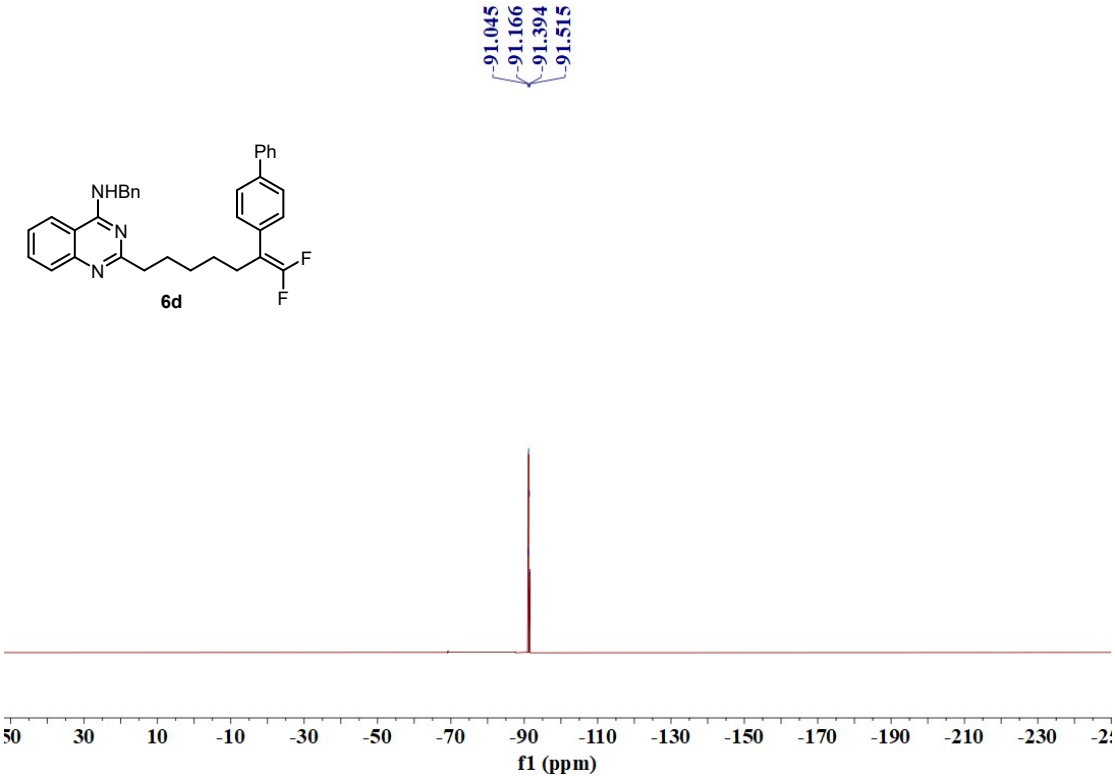



166.536
162.608
157.508
156.510
153.614
153.595
150.734
146.996
140.521
139.986
138.139
136.771
134.271
132.564
128.782
128.755
128.663
128.557
128.522
128.487
127.896
127.817
127.372
127.065
126.974
126.891
126.780
126.407
121.137
92.103
91.963
91.898
91.754
60.038
52.270
36.016
28.626
27.441
27.327
26.785
O
Ph
N
N
CO2Me
F
F
Ph
6c
00 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

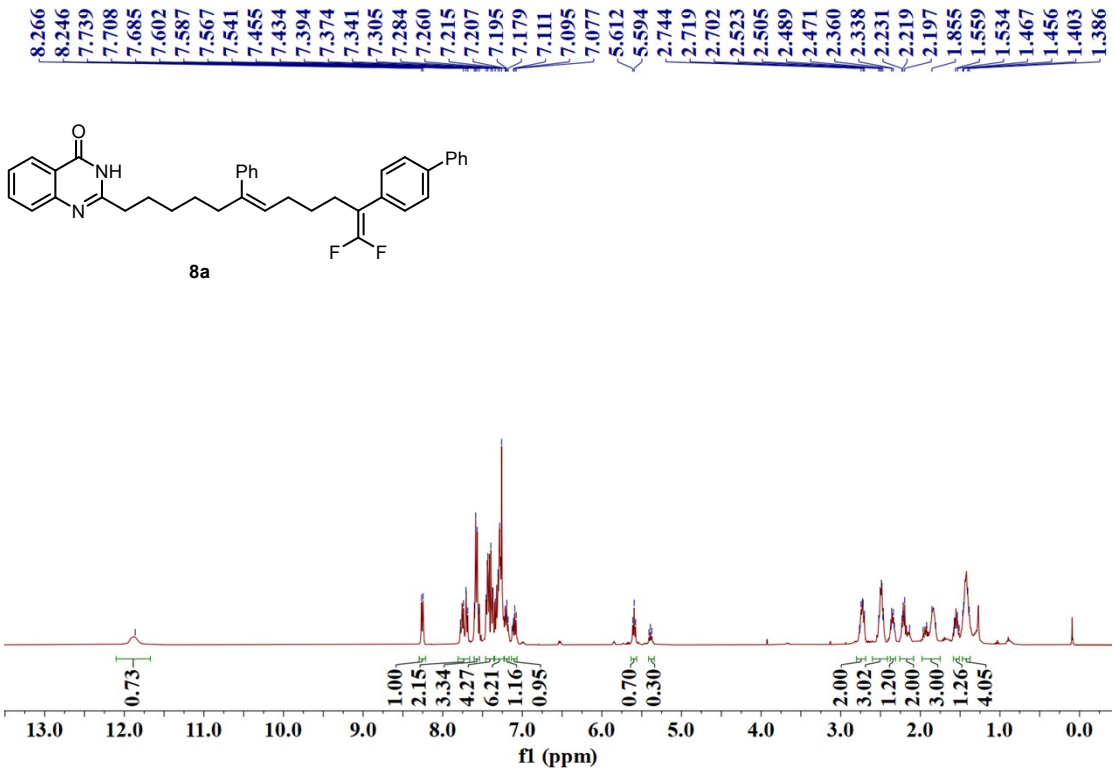

-90.897
-91.011
-91.068
-91.183
O
Ph
N
N
CO2Me
F
F
Ph
6c
50 30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230 -25
f1 (ppm)

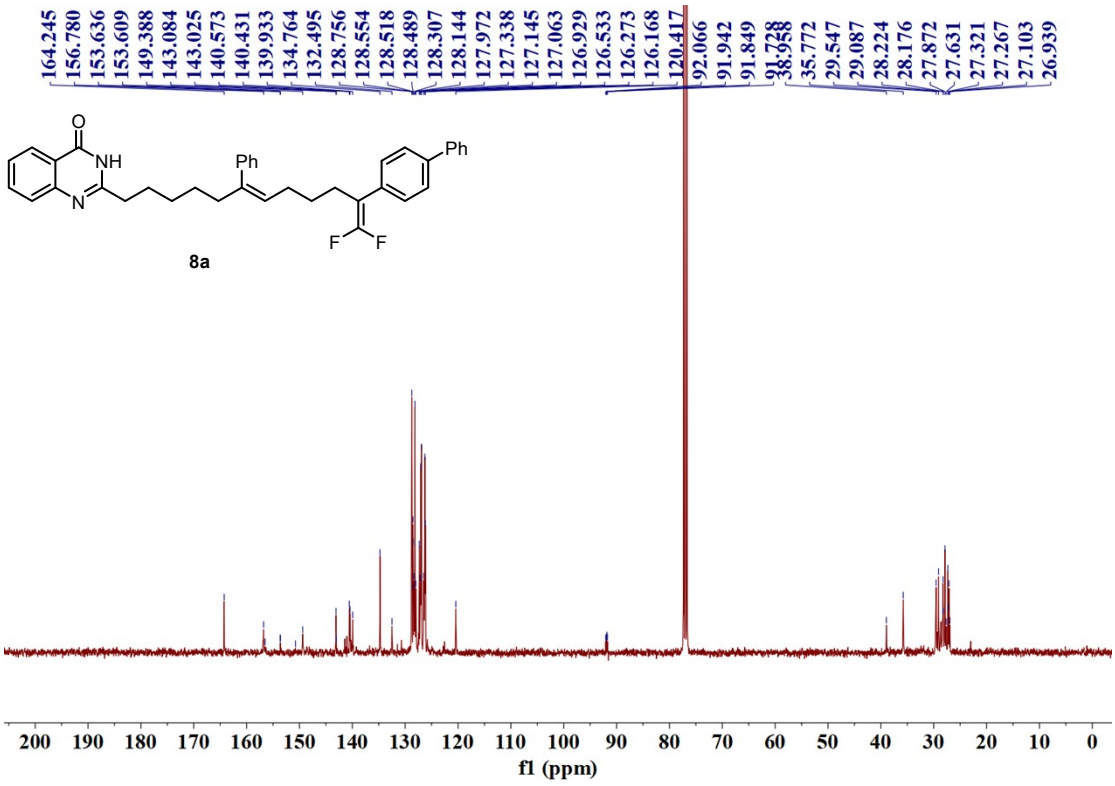
^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 6d (Chloroform-*d*)





^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra for product 8a (Chloroform-*d*)

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143.025
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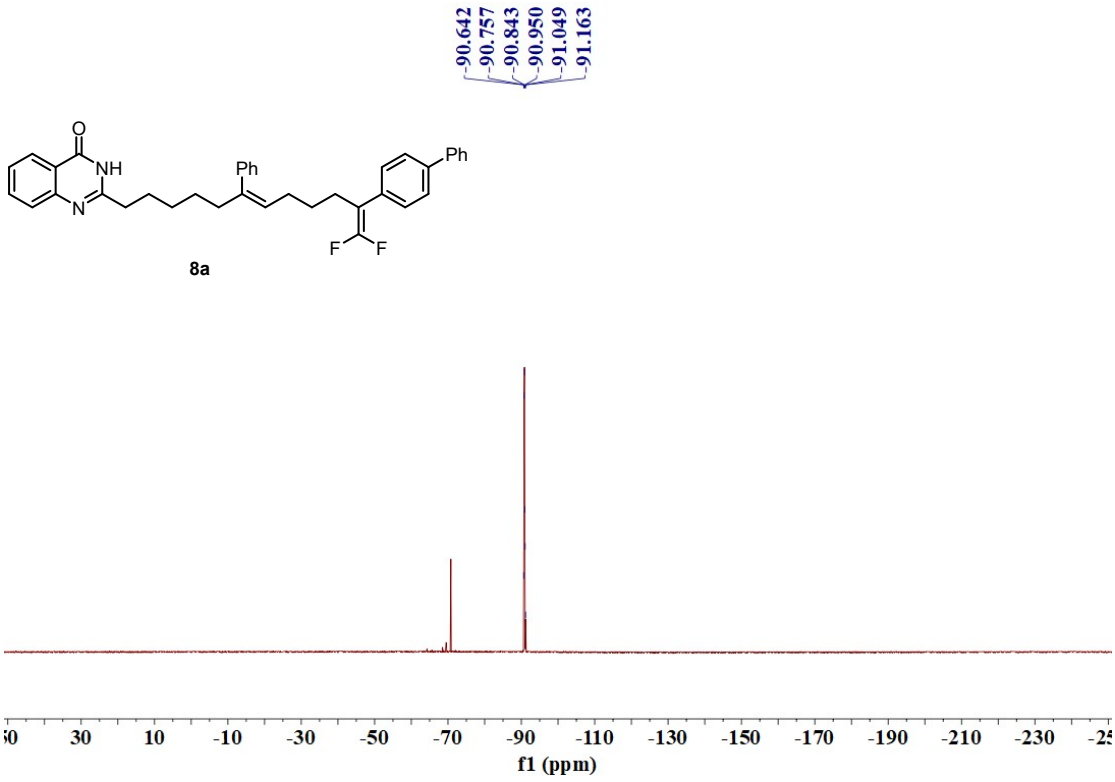
128.756
128.554
128.518
128.489
128.307
128.144
127.972
127.338
127.145
127.063
126.929
126.533
126.273
126.168
120.417
92.066
91.942
91.849
91.728
38.958
35.772
29.547
29.087
28.224
28.176
27.872
27.631
27.321
27.267
27.103
26.939
O
NH
N
Ph
Ph
F
F
8a
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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90.843
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91.049
91.163
O
NH
N
Ph
Ph
F
F
8a
50 30 10 -10 -30 -50 -70 -90 -110 -130 -150 -170 -190 -210 -230 -25
f1 (ppm)