Supporting Information

Carbocyclization-Oximation of Alkenes via Boryl Radical-Mediated Halogen Atom Transfer to Access Fluorinated 4-(Carbaldehyde Oxime)Quinolinones

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1. General conditions

All reagents were purchased from commercial sources and used without further purification. ^{1}H NMR, ^{13}C NMR, ^{19}F NMR spectra were recorded on a Bruker Ascend™ 400 or Bruker Ascend™ 500 spectrometer in deuterated solvents containing TMS as an internal reference standard. All high-resolution mass spectra (HRMS) were measured on a mass spectrometer by using electrospray ionization orthogonal acceleration time-of-flight (ESI-OA-TOF). Melting points were measured on a melting point apparatus equipped with a thermometer and were uncorrected. All the reactions were monitored by thin-layer chromatography (TLC) using GF254 silica gel-coated TLC plates. Purification by flash column chromatography was performed over SiO_2 (silica gel 200−300 mesh). The light source used in this research was purchased from Xuzhou Aijia Electronic Technology Co., Ltd (Figure S1: 395 nm, 30 W blue LED). The material of 10 mL Schlenk flask is borosilicate glass. The reaction mixture was illuminated with 30 W blue LEDs lamp (the distance was about 5 cm).

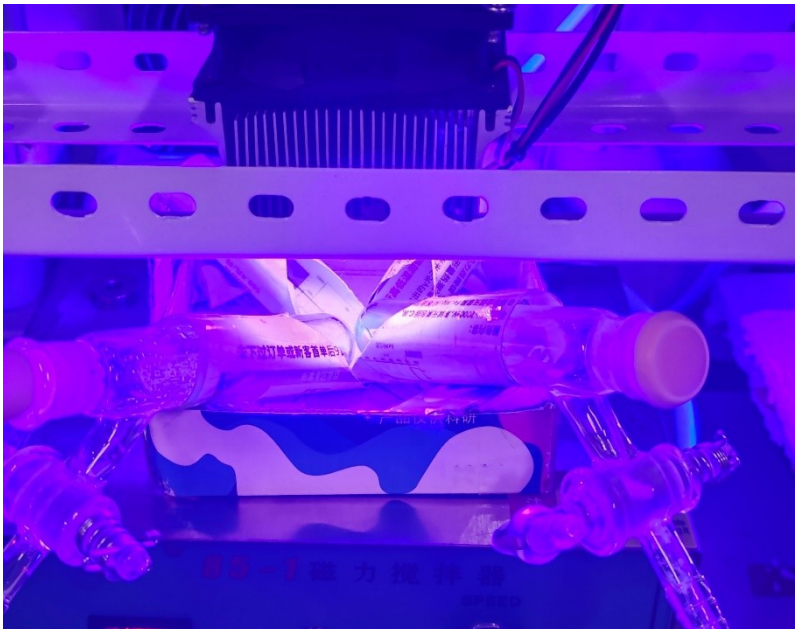
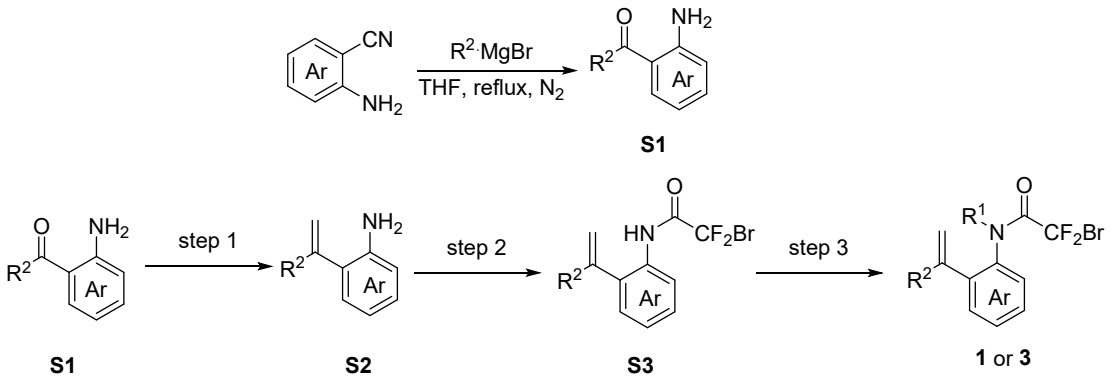


Figure 1 Photochemical setup

2. Typical experimental procedures

2.1 The general procedure for the synthesis of substrates 1

1a-1w were prepared from 2-aminobenzaldehyde. **3a-3i** were prepared from 2-aminobenzonitriles.



General procedure for the synthesis of S1.

To an oven-dried round-bottom flask equipped with a magnetic stir bar, 2-aminobenzonitrile (10.0 mmol, 1.0 equiv) and dry THF (20 mL) were added under a nitrogen atmosphere. The solution was cooled to 0 °C, and the appropriate organomagnesium bromide (3.0 M in THF, 10.0 mL, 30.0 mmol, 3.0 equiv) was added dropwise via syringe. The reaction mixture was then heated at 65 °C in an oil bath for 4 h. Upon completion of the reaction (monitored by TLC), the mixture was cooled to 0 °C and carefully quenched with aqueous HCl (3 M). The resulting mixture was extracted with EtOAc (3 × 40 mL). The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4, filtered, and concentrated in vacuo. The crude residue was purified by silica gel column chromatography (eluent: petroleum ether/EtOAc, 20:1 v/v) to afford compound **S1**.

Step 1: General procedure for the synthesis of S2

To an oven-dried round-bottom flask equipped with a magnetic stir bar, methyltriphenylphosphonium bromide (Ph_3PMeBr, 10.0 mmol, 2.0 equiv), potassium *tert*-butoxide (10.0 mmol, 2.0 equiv), and dry THF (20 mL) were added portionwise at 0 °C under a nitrogen atmosphere. After stirring for 30 min, compound **S1** (5.0 mmol, 1.0 equiv) was added portionwise at 0 °C. The reaction mixture was then allowed to warm to room temperature and stirred for 4 h. Upon completion of the reaction (monitored by TLC), the mixture was quenched with saturated aqueous NH_4Cl and extracted with EtOAc (3 × 20 mL). The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4, filtered, and concentrated in vacuo. The crude residue was purified by silica gel column chromatography (eluent: petroleum ether/EtOAc, 16:1 v/v) to afford the desired product **S2**.

Step 2: General procedure for the synthesis of S3

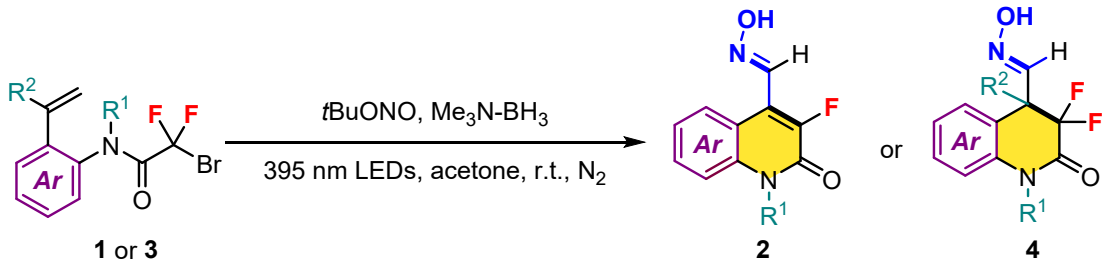
To an oven-dried round-bottom flask equipped with a magnetic stir bar, compound **S2** (1.2 mmol, 1.0 equiv), EDCI (2.4 mmol, 2.0 equiv), DMAP (0.12 mmol, 10 mol %), and dry DCM (5 mL) were

added. Under continuous stirring, bromodifluoroacetic acid ($BrCF_2COOH$, 2.4 mmol, 2.0 equiv) was added slowly. The reaction mixture was stirred at room temperature for 2 h. Upon completion of the reaction (monitored by TLC), the mixture was directly concentrated in vacuo. The crude residue was purified by silica gel column chromatography (eluent: petroleum ether/EtOAc, 20:1 v/v) to afford compound **S3**.

Step 3: General procedure for the synthesis of substrates 1 or 3

In a 25 mL pressure resistant bottle equipped with a magnetic stir bar, **S3** (1.0 mmol, 1.0 equiv.), K_2CO_3 (2.0 mmol, 2.0 equiv.), MeCN (5.0 mL) and R^1-I/Br (2.0 mmol, 2.0 equiv.) were charged sequentially. The reaction mixture was stirred at room temperature, Upon the reaction completed, the mixture was quenched with water and extracted with EtOAc (3 × 20 mL). The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4, filtered, and concentrated in vacuo. The residue was purified by silica gel column chromatography (eluent: petroleum ether/EtOAc = 15:1) to afford **1**or **3**.

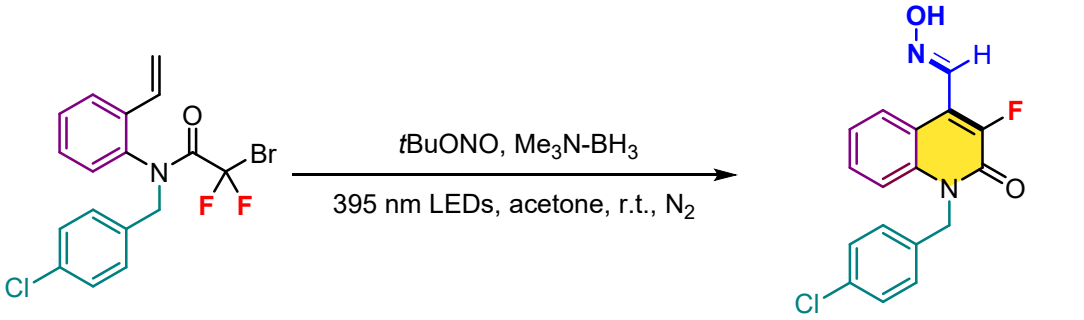
2.2 General procedure for the synthesis of products 2 or 4



1 or **3** **2** **4**

In a 10 mL dry Schlenk flask equipped with a magnetic stirring bar were added Me_3N-BH_3 (0.8 mmol, 2.0 equiv.). Then the tube was evacuated and charged with nitrogen three times. Substrates **1** or **3** (0.4 mmol, 1.0 equiv.), *t*BuONO (0.8 mmol, 2.0 equiv.) and acetone (4.0 mL) were were added successively through a syringe under nitrogen atmosphere. The tube was stirred at room temperature under irradiation of 395 nm LEDs (30 W) for 8 h and then monitored by TLC. Upon the reaction completed, the reaction mixture was concentrated in vacuo. The residue was purified by column chromatography on silica gel (petroleum ether-ethyl acetate, mostly 3:1) to afford the desired products **2** or **4**.

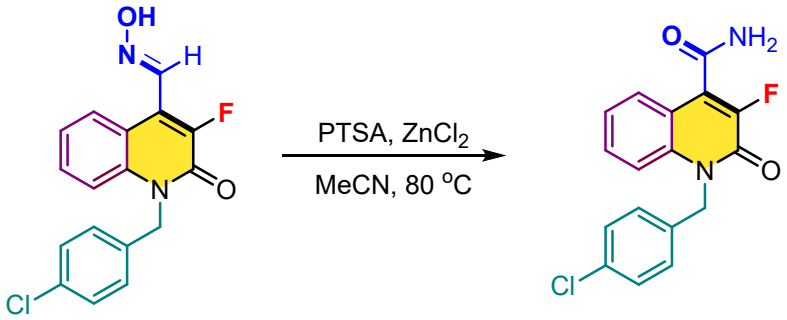
2.3 The gram-scale synthesis of compound 2h



1h, 7 mmol, 2.13g **2h**, 4.89 mmol, 1.18g, 70%

In a 100 mL dry Schlenk flask equipped with a magnetic stirring bar were added Me_3N-BH_3 (14.0 mmol, 2.0 equiv.). Then the tube was evacuated and charged with nitrogen three times. Substrates **1h** (7.0 mmol, 1.0 equiv.), *t*BuONO (14.0 mmol, 2.0 equiv.) and acetone (50.0 mL) were were added successively through a syringe under nitrogen atmosphere. The tube was stirred at room temperature under irradiation of 395 nm LEDs (30 W) for 12 h and then monitored by TLC. Upon the reaction completed, the reaction mixture was concentrated in vacuo. The residue was purified by column chromatography on silica gel (petroleum ether-ethyl acetate, mostly 3:1) to afford the final products **2h** (4.89 mmol, 1.18g, 70%).

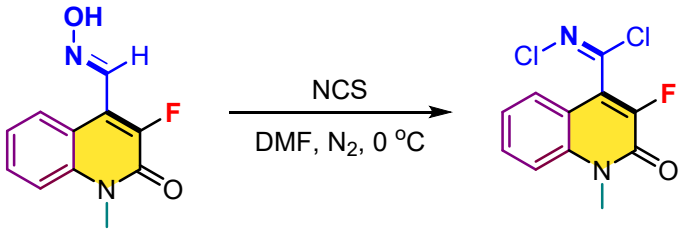
2.4 The general procedure for the synthesis of products 5



2h **5**, 91%

In a 10 mL pressure resistant bottle equipped with a magnetic stir bar, **2h** (0.2 mmol, 1.0 equiv.), p-Toluenesulfonamide (PSTA) (0.02 mmol, 0.1 equiv.), $ZnCl_2$ (0.024 mmol, 0.12 equiv.) and CH_3CN (2.0 mL) were added. The reaction solution was refluxed stirred for 5 h. And then monitored by TLC. Upon the reaction completed, the reaction mixture was concentrated in vacuo. The residue was purified by column chromatography on silica gel (petroleum ether-ethyl acetate, mostly 6:1) to afford the final product **5** (60.07 mg, 91%).

2.5 The general procedure for the synthesis of products 6



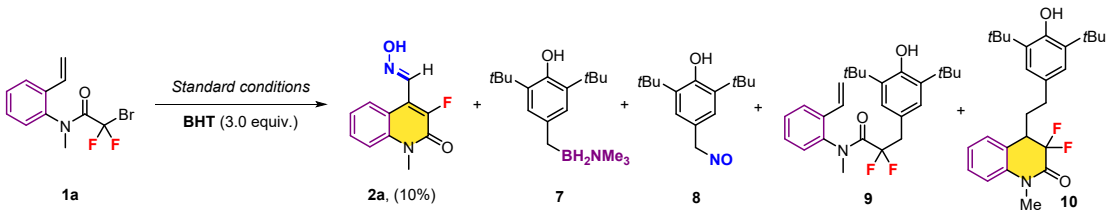
2a **6**, 82%

In a 10 mL Schlenk flask equipped with a magnetic stirring bar, **2a** (0.2 mmol, 1.0 equiv.) and DMF (2.0 mL) were charged sequentially. Then the tube was evacuated and charged with nitrogen three times. Then the flask was tightly wrapped in aluminum foil and placed in an ice-water bath. *N*-Chlorosuccinimide (NCS) (0.12 mmol, 0.6 equiv.) was dissolved in a small amount of DMF and then added dropwise to the bottle. After stirring in an ice-water bath for half an hour, the remaining NCS (0.48 mmol, 2.4 equiv.) was added dropwise to the flask in the same manner. The reaction mixture was stirred for another half hour in an ice-water bath. And then monitored by TLC. Upon the reaction completed, the reaction mixture was concentrated in vacuo. The residue was purified

by column chromatography on silica gel (petroleum ether-ethyl acetate, mostly 8:1) to afford the final product **6** (44.61 mg, 82%).

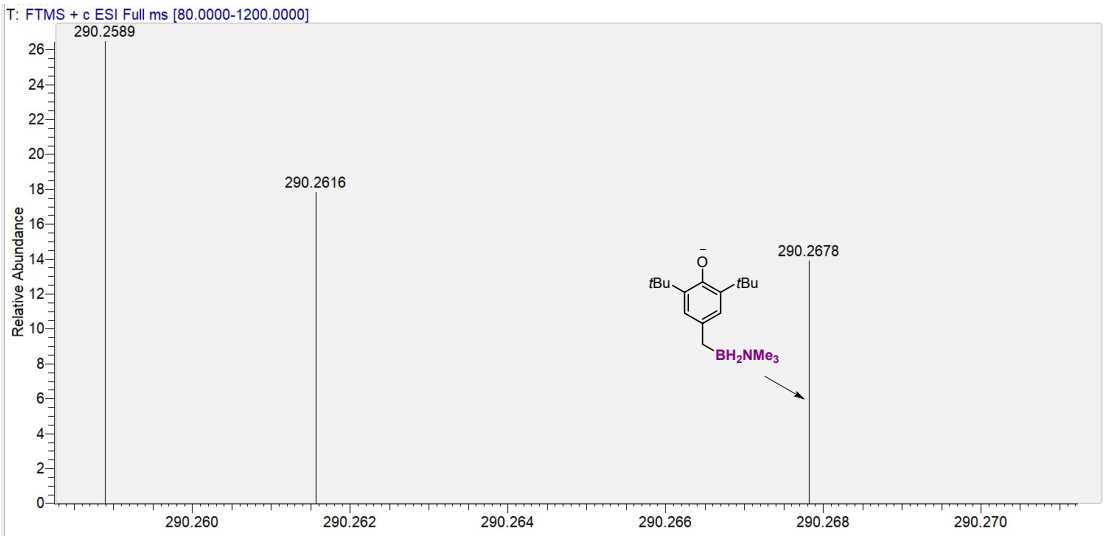
3. Control experiments

3.1 Control experiment in the presence of BHT

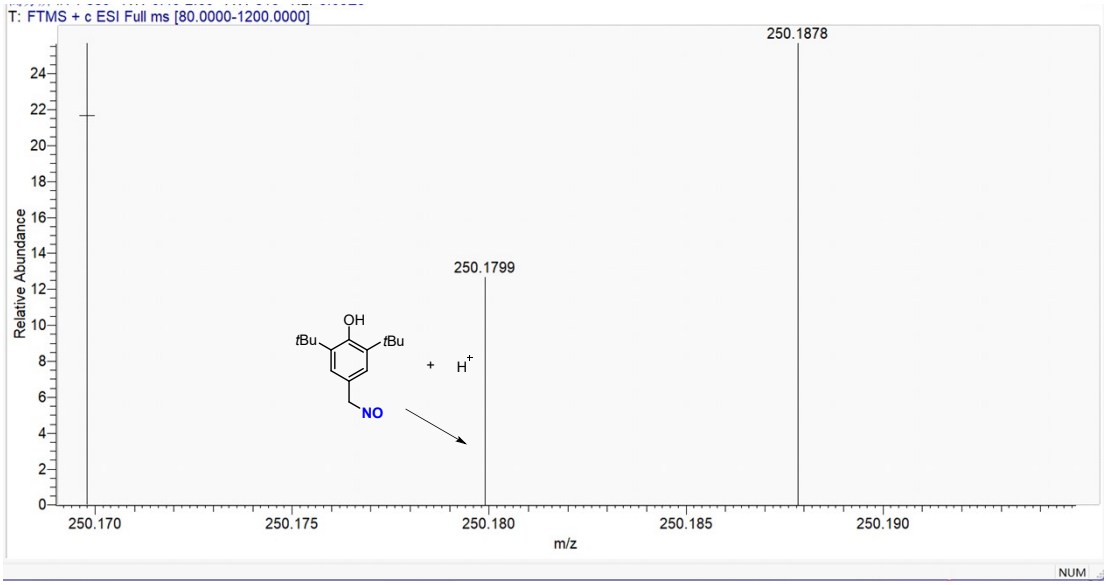


In a 10 mL dry Schlenk flask equipped with a magnetic stirring bar were added Me_3N-BH_3 (0.4 mmol, 2.0 equiv.) and butylated hydroxytoluene (BHT) (0.6 mmol, 3.0 equiv.). Then the tube was evacuated and charged with nitrogen three times. Substrate **1a** (0.2 mmol, 1.0 equiv.), *t*BuONO (0.4 mmol, 2.0 equiv.) and acetone (2.0 mL) were were added successively through a syringe under nitrogen atmosphere. The tube was closed with a stopcock and stirred at room temperature under irradiation of 30 W 395 nm LEDs for 8 h and then monitored by TLC. We successfully detected the adduct **7**, **8**, **9** and **10** by HRMS analysis, and the yield of product **2a** decreased to 10%.

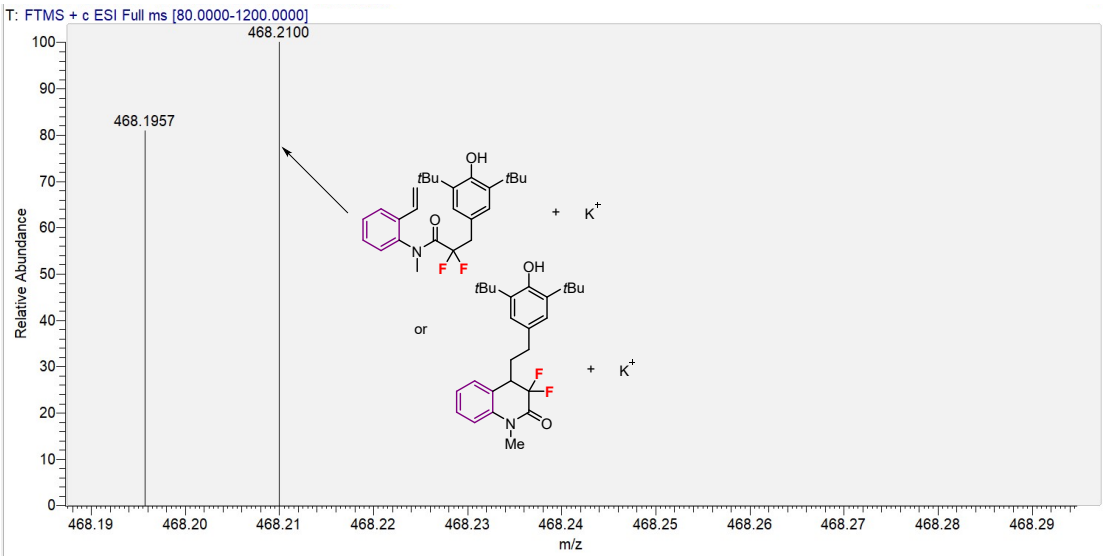
HRMS (ESI) m/z: $[M-H]^-$calcd for $C_{18}H_{33}BNO^-$ 290.2661; found: 290.2678.



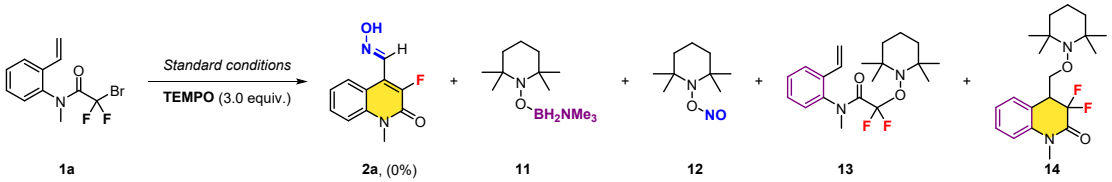
HRMS (ESI) m/z: $[M+H]^+$ calcd for $C_{15}H_{24}NO_2^+$ 250.1802; found: 250.1799.



HRMS (ESI) m/z: $[M+K]^+$ calcd for $C_{26}H_{33}F_2KNO_2^+$ 468.2111; found: 468.2100.



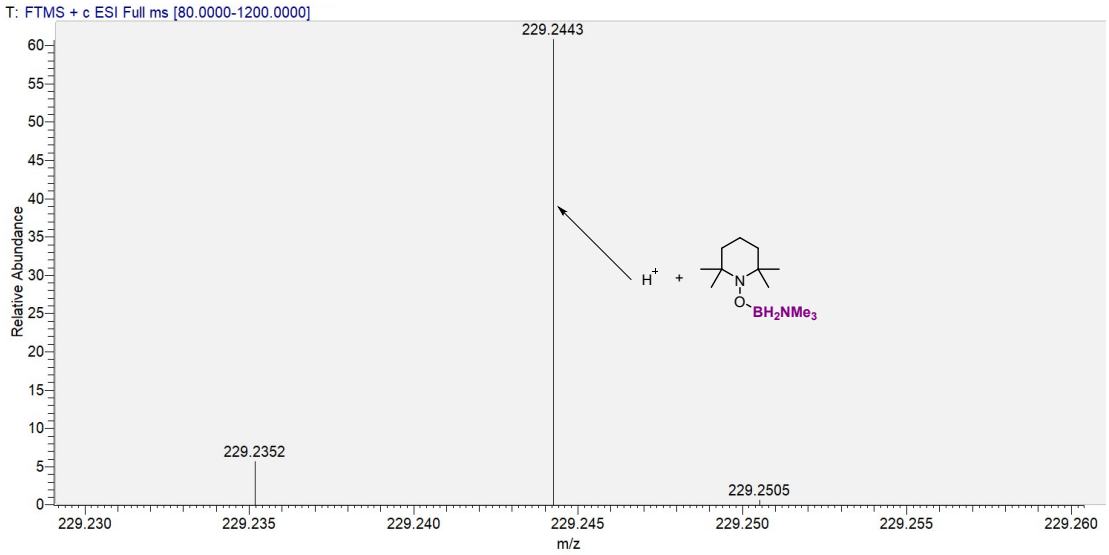
3.2 Control experiment in the presence of TEMPO



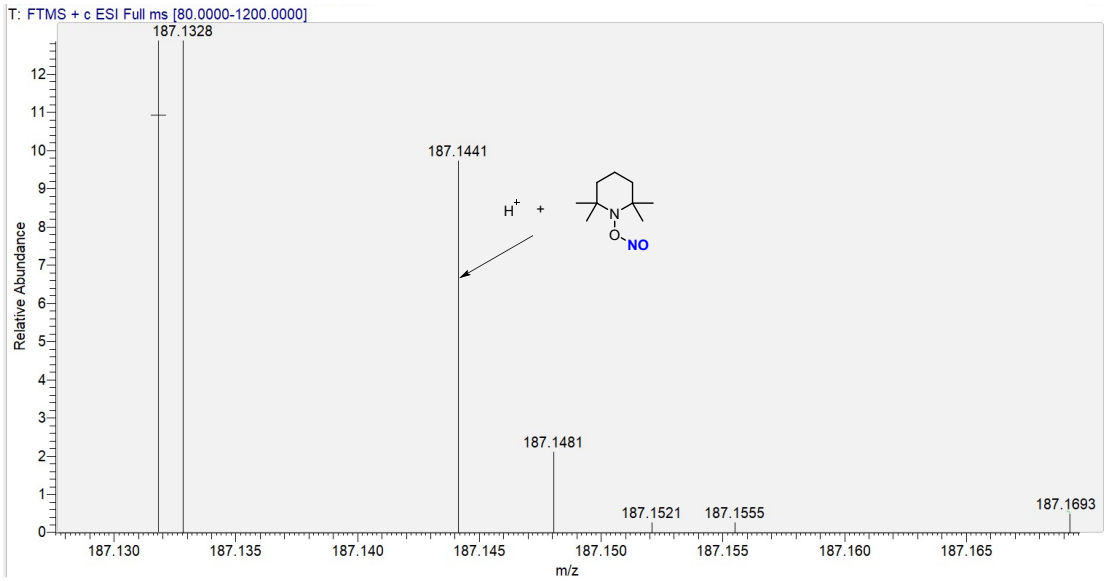
In a 10 mL dry Schlenk flask equipped with a magnetic stirring bar were added Me_3N-BH_3 (0.4 mmol, 2.0 equiv.) and TEMPO (0.6 mmol, 3.0 equiv.). Then the tube was evacuated and charged with nitrogen three times. Substrate **1a** (0.2 mmol, 1.0 equiv.), *t*BuONO (0.4 mmol, 2.0 equiv.) and acetone (2.0 mL) were were added successively through a syringe under nitrogen atmosphere. The tube was closed with a stopcock and stirred at room temperature under irradiation of 30 W 395 nm LEDs for 8 h and then monitored by TLC. We successfully detected the adduct **11**, **12**, **13**, and **14**

by HRMS analysis, and the yield of product **2a** decreased to 0%. Me_3N-BH_2Br (**15**) and difluoromethylated quinolinone (**16**) were also detected in the presence of TEMPO.

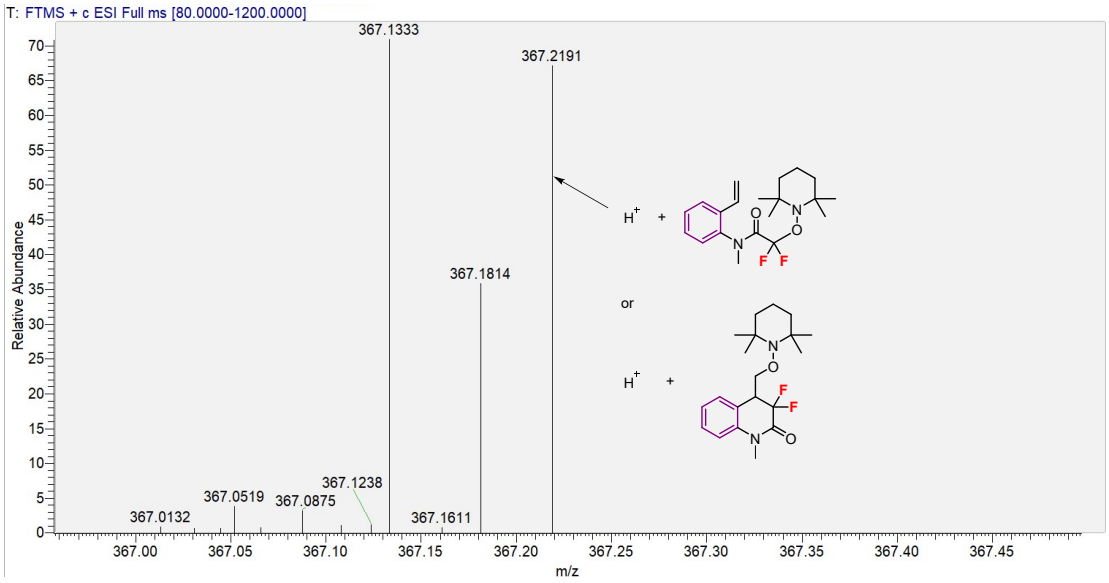
HRMS (ESI) m/z: $[M+H]^+$ calcd for $C_{12}H_{30}BN_2O^+$ 229.2446; found: 229.2443.



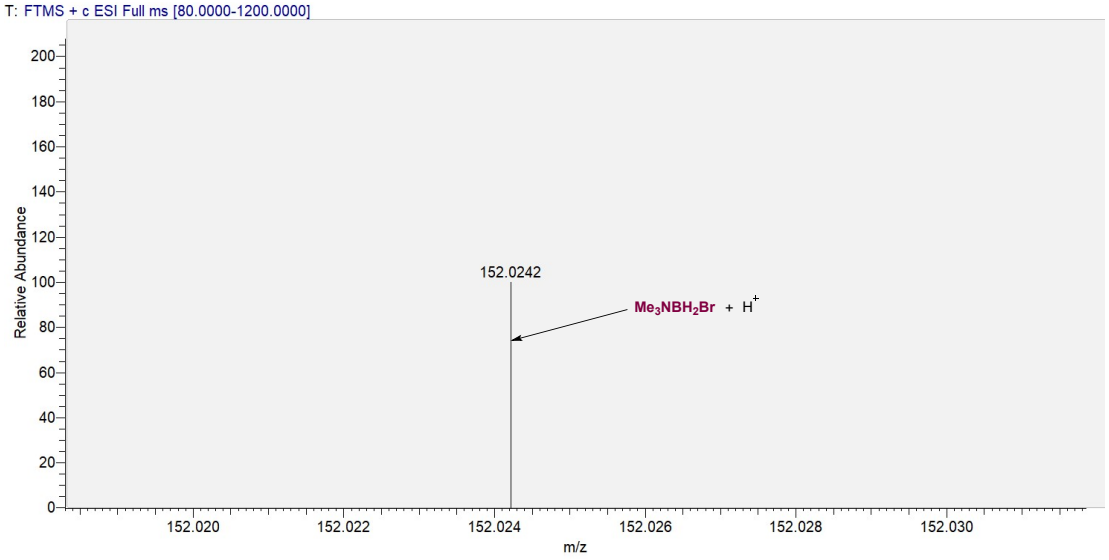
HRMS (ESI) m/z: $[M+H]^+$ calcd for $C_9H_{19}N_2O_2^+$ 187.1442; found: 187.1441.



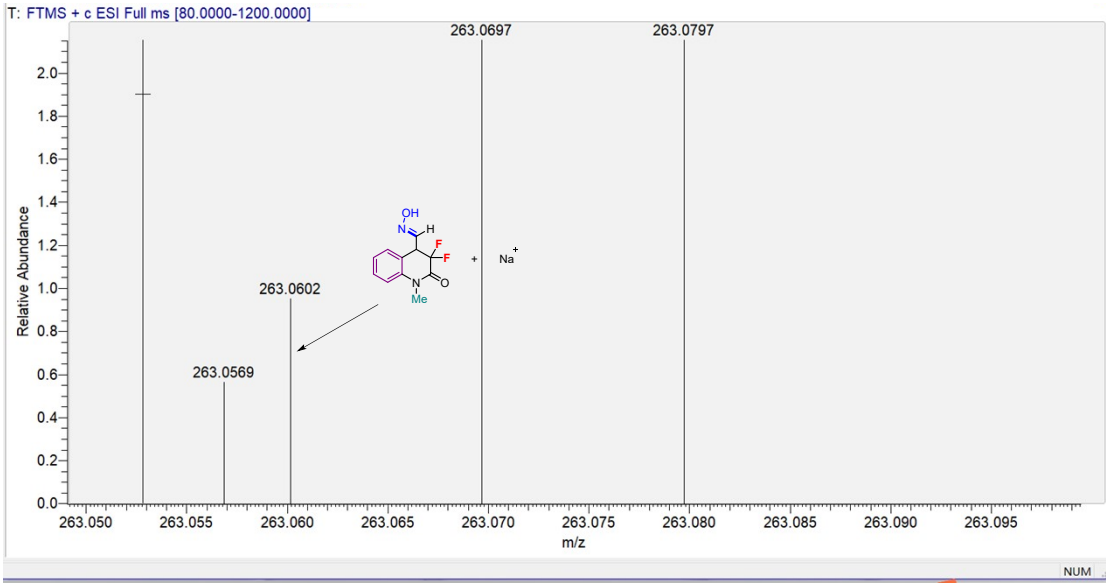
HRMS (ESI) m/z: $[M+H]^+$ calcd for $C_{20}H_{29}F_2N_2O_2^+$ 367.2192; found: 367.2191.



HRMS (ESI) m/z: $[M+H]^+$ calcd for $C_3H_{12}BBrN^+$ 152.0241; found: 152.0242.



HRMS (ESI) m/z: $[M+Na]^+$ calcd for $C_{11}H_{10}F_2N_2NaO_2^+$ 263.0603; found: 263.0602.



4. UV/vis absorption spectra

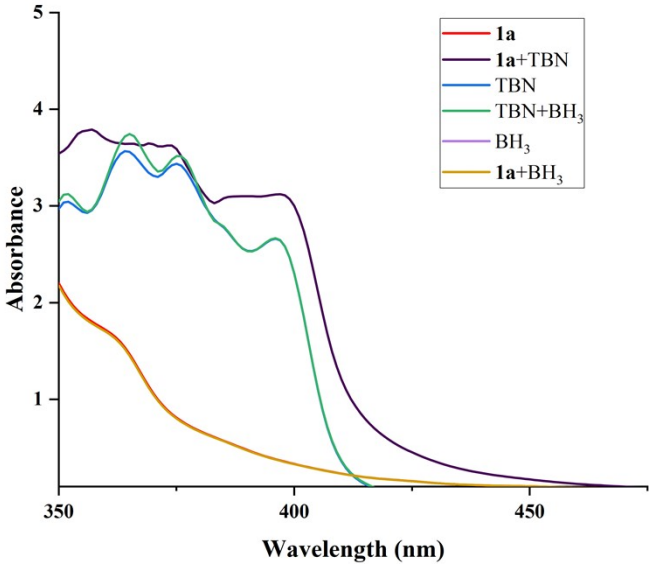


Figure 2. UV/vis absorption spectra (0.1M)

5. Effect of visible light irradiation experimental procedure

In a 10 mL dry Schlenk flask equipped with a magnetic stirring bar were added Me_3N-BH_3 (0.4 mmol, 2.0 equiv.). Then the tube was evacuated and charged with nitrogen three times. Substrate **1a** (0.2 mmol, 1.0 equiv.), *t*BuONO (0.4 mmol, 2.0 equiv.) and acetone (2.0 mL) were were added successively through a syringe under nitrogen atmosphere. The tube was closed with a stopcock and stirred at room temperature under irradiation of 395 nm LEDs (30 W). After 1 hour, the blue LEDs were turned off, and the tube was taken from the irradiation device and 0.2 ml of the mixture was taken out for analysis. The remaining mixture was evacuated and charged with nitrogen three times and stirred in the absence of light for an additional 1 hour. Then, the tube was was removed from the light-protected area and 0.2 mL of the mixture was taken out for analysis. Repeat the above steps using nitrogen atmosphere protection for the mixture. After stirring for 1 hour each under light and dark conditions, take samples for analysis. The yield was determined by ^{1}H NMR spectroscopy using toluene as the internal standard.

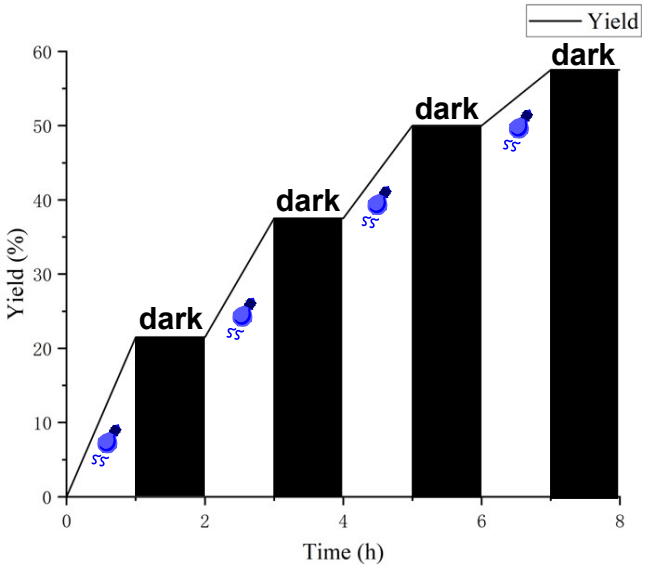


Figure 3. Light on/ off experiment

6. Calculation of apparent quantum efficiency (A. Q. E)

Experimental procedure:

In a 10 mL dry Schlenk flask equipped with a magnetic stirring bar were added Me_3N-BH_3 (0.4 mmol, 2.0 equiv.). Then the tube was evacuated and charged with nitrogen three times. Substrates **1** (0.2 mmol, 1.0 equiv.), *t*BuONO (0.4 mmol, 2.0 equiv.) and acetone (2.0 mL) were were added successively through a syringe under nitrogen atmosphere. The tube was closed with a stopcock and stirred at room temperature under irradiation of 30 W 395 nm LEDs. After 1.0 h, the blue LEDs were turned off, and the tube was taken from the irradiation device and 0.2 ml of the mixture was taken out for analysis. The photon flux of the light source was determined by an optical power meter to be 42.27 mW (average of three experiments).

$$E_{photon} = \frac{hc}{\lambda_{inc\,(395\,nm)}} = \frac{6.63\ \times 10^{-34} J \cdot S \times 3 \times 10^{8} m \cdot s^{-1}}{395 \times 10^{-9} m} = 5.035 \times 10^{-19} J$$

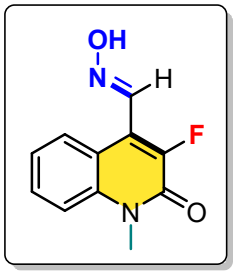
$$E_{total} = P \cdot S \cdot t = 42.27 \times 10^{-3} W \cdot cm^{-2} \times 3.55\ cm^{2} \times 1.0 \times 3600\ s = 5.402 \times 10^{2}\ J$$

$$Number\ of\ incident\ photons = \frac{E_{total}}{E_{photon}} = 1.782\ mmol$$

$$A.Q.E(\%) = \frac{Number\ of\ product}{Number\ of\ incident\ photons} = \frac{0.043\ mmol}{1.782\ mmol} = 2.4\% < 1$$

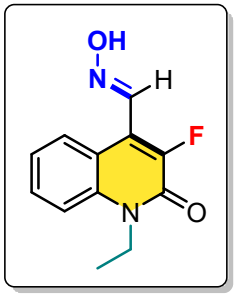
Where h (J·s) is Planck's constant, c ($m \cdot s^{-1}$) is the speed of light and λ_{inc} (m) is the wavelength of the incident light. P ($W \cdot cm^{-2}$) is the power density of the incident light, S (cm^2) is the irradiation area, and t (s) is the photoreaction time. The A.Q.E(%) result indicated that the reaction not involved radical chain pathway.

7. Products characterization



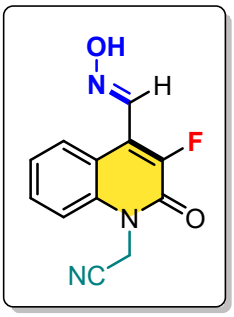
(*E*)-3-fluoro-1-methyl-2-oxo-1,2-dihydroquinoline-4-carbaldehyde oxime (2a)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (62.53 mg, 71%): m. p.: 218-219 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.88 (s, 1H), 7.86 (d, J = 1.8 Hz, 1H), 7.70 – 7.59 (m, 2H), 7.55 – 7.49 (m, 1H), 7.42 – 7.31 (m, 1H), 3.71 (s, 3H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 154.7 (d, J = 26.9 Hz), 146.0 (d, J = 251.0 Hz), 137.4, 136.3, 130.0 (d, J = 2.6 Hz), 126.1 (d, J = 6.5 Hz), 123.0, 121.6 (d, J = 15.1 Hz), 116.2, 115.3, 29.8. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -124.66 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{11}H_{10}FN_2O_2^+$ 221.0721; found: 221.0720.



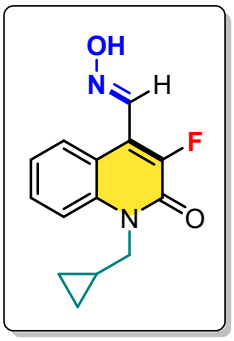
(*E*)-1-ethyl-3-fluoro-2-oxo-1,2-dihydroquinoline-4-carbaldehyde oxime (2b)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (64.89 mg, 69%): m. p.: 216-217 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.90 (s, 1H), 7.86 (d, J = 1.7 Hz, 1H), 7.72 – 7.61 (m, 2H), 7.53 (dd, J = 7.9, 1.4 Hz, 1H), 7.35 (ddd, J = 8.1, 6.5, 1.7 Hz, 1H), 4.37 (d, J = 7.1 Hz, 2H), 1.26 (t, J = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 154.8 (d, J = 26.8 Hz), 146.3 (d, J = 251.1 Hz), 137.9, 135.7, 130.6 (d, J = 2.3 Hz), 126.9 (d, J = 6.4 Hz), 123.4, 122.3 (d, J = 14.9 Hz), 117.0 (d, J = 4.8 Hz), 115.5, 37.9, 13.1. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -124.90 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{12}H_{12}FN_2O_2^+$ 235.0877; found: 235.0875.



(*E*)-2-(3-fluoro-4-((hydroxyimino)methyl)-2-oxoquinolin-1(2H)-yl)acetonitrile (2c)

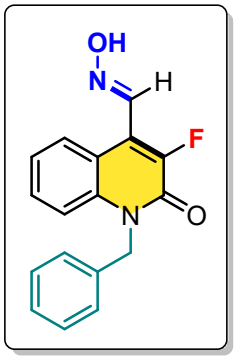
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 2:1, v/v), white solid (76.77 mg, 78%): m. p.: 219-220 °C, R_f (petroleum ether/EtOAc = 2:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 12.01 (s, 1H), 7.89 (d, J = 1.8 Hz, 1H), 7.81 – 7.70 (m, 2H), 7.64 – 7.57 (m, 1H), 7.45 (ddd, J = 8.1, 5.9, 2.3 Hz, 1H), 5.55 (s, 2H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 154.9 (d, J = 28.3 Hz), 145.9 (d, J = 252.1 Hz), 137.5, 135.1, 131.1 (d, J = 2.6 Hz), 127.3 (d, J = 6.5 Hz), 124.5, 123.7 (d, J = 15.2 Hz), 117.1 (d, J = 4.4 Hz), 116.2, 115.4, 31.3. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -124.79 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{12}H_9FN_3O_2^+$ 246.0673; found: 246.0672.



(*E*)-1-(cyclopropylmethyl)-3-fluoro-2-oxo-1,2-dihydroquinoline-4-carbaldehyde oxime (2d)

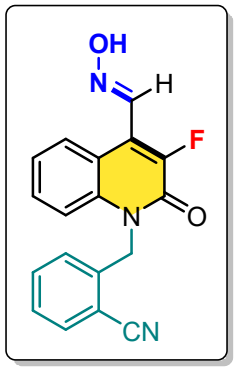
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (86.68 mg, 83%): m. p.: 178-179 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.92 (s, 1H), 7.86 (s, 1H), 7.77 (d, J = 8.6 Hz, 1H), 7.66 (t, J = 7.8 Hz, 1H), 7.53 (d, J = 7.9 Hz, 1H), 7.35 (t, J = 7.5 Hz, 1H), 4.29 (d, J = 6.9 Hz, 2H), 1.28 (hept, J = 6.5 Hz, 1H), 0.48 (d, J = 7.6 Hz, 4H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 155.4 (d, J = 26.3 Hz), 146.4 (d, J = 251.2 Hz), 138.0, 136.1, 130.6 (d, J = 2.7 Hz), 126.8 (d, J = 6.4 Hz), 123.4, 122.4 (d, J = 15.2 Hz), 116.9 (d, J = 4.8 Hz), 115.9, 46.3, 10.3, 4.2. **^{19}F NMR** (376 MHz, DMSO-

d_6) δ -124.57 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{14}H_{14}FN_2O_2^+$ 261.1034; found: 261.1029.



(*E*)-1-benzyl-3-fluoro-2-oxo-1,2-dihydroquinoline-4-carbaldehyde oxime (2e)

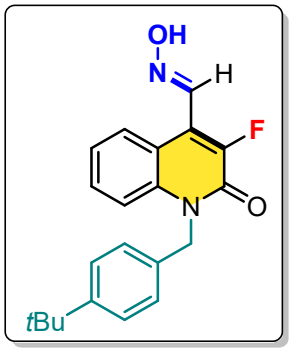
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (93.88 mg, 79%): m. p.: 173-174 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.96 (s, 1H), 7.90 (s, 1H), 7.60 – 7.45 (m, 3H), 7.32 (d, J = 6.7 Hz, 3H), 7.25 (t, J = 7.4 Hz, 3H), 5.61 (s, 2H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 155.7 (d, J = 27.0 Hz), 146.4 (d, J = 251.3 Hz), 143.6, 137.9, 136.6, 136.1, 130.5, 129.2, 127.8, 127.1 – 126.7 (m), 123.7, 122.9, 117.1 (d, J = 4.6 Hz), 116.2, 45.9. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -124.37 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{17}H_{14}FN_2O_2^+$ 297.1034; found: 297.1029.



(*E*)-2-((3-fluoro-4-((hydroxyimino)methyl)-2-oxoquinolin-1(2H)-yl)methyl)benzonitrile (2f)

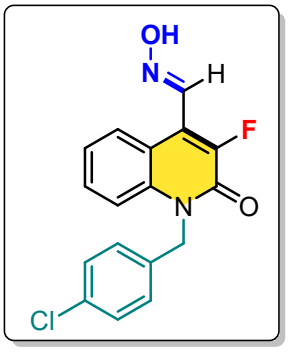
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 2:1, v/v), white solid (82.45 mg, 64%): m. p.: 241-242 °C, R_f (petroleum ether/EtOAc = 2:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.99 (s, 1H), 8.08 – 7.82 (m, 2H), 7.58 (t, J = 9.3 Hz, 3H), 7.53 – 7.32 (m, 3H), 6.90 (d, J = 7.9 Hz, 1H), 5.75 (s, 2H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 155.6 (d, J = 27.1 Hz), 146.3 (d, J = 251.6 Hz), 139.8, 137.7, 136.2, 134.2, 134.0, 130.9, 128.6, 127.1 (d,

J = 6.4 Hz), 126.4, 123.9, 123.1 (d, J = 14.9 Hz), 117.5, 117.2 (d, J = 4.8 Hz), 115.8, 110.7, 45.0. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -124.44 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{18}H_{13}FN_3O_2^+$ 322.0986; found: 322.0983.



(*E*)-1-(4-(tert-butyl)benzyl)-3-fluoro-2-oxo-1,2-dihydroquinoline-4-carbaldehyde oxime (2g)

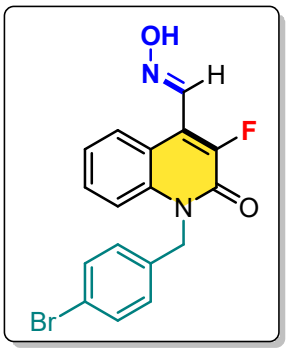
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3.5:1, v/v), white solid (105.95 mg, 75%): m. p.: 224-225 °C, R_f (petroleum ether/EtOAc = 3.5:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.95 (s, 1H), 7.54 (dt, J = 4.8, 2.2 Hz, 3H), 7.38 – 7.27 (m, 3H), 7.17 (d, J = 8.3 Hz, 2H), 5.57 (s, 2H), 1.23 (s, 9H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 155.6 (d, J = 26.9 Hz), 150.2, 146.4 (d, J = 251.4 Hz), 137.9, 136.1, 133.6, 130.6, 126.9, 126.9, 126.0, 123.6, 122.8 (d, J = 15.2 Hz), 117.0 (d, J = 4.5 Hz), 116.2, 45.5, 34.7, 31.5. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -124.43 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{21}H_{22}FN_2O_2^+$ 353.1660; found: 353.1658.



(*E*)-1-(4-chlorobenzyl)-3-fluoro-2-oxo-1,2-dihydroquinoline-4-carbaldehyde oxime (2h)

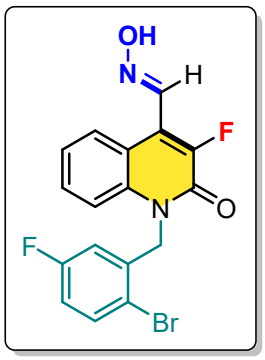
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (99.32 mg, 75%): m. p.: 208-209 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.96 (s, 1H), 7.90 (d, J = 1.6 Hz, 1H), 7.60 – 7.52 (m, 2H),

7.50 – 7.46 (m, 1H), 7.44 – 7.23 (m, 5H), 5.60 (s, 2H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 155.7 (d, J = 27.0 Hz), 146.4 (d, J = 251.4 Hz), 137.8, 135.9, 135.6, 132.4, 130.6, 129.2, 129.1, 127.0 (d, J = 6.4 Hz), 123.8, 122.9 (d, J = 15.3 Hz), 117.1 (d, J = 4.4 Hz), 116.1, 45.3. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -124.35 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{17}H_{13}ClFN_2O_2^+$ 331.0644; found: 331.0642.



(*E*)-1-(4-bromobenzyl)-3-fluoro-2-oxo-1,2-dihydroquinoline-4-carbaldehyde oxime (2i)

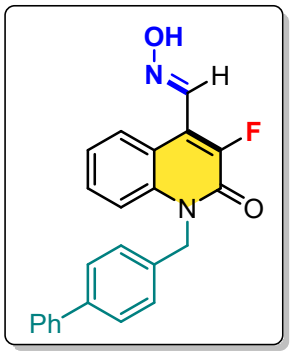
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (104.90 mg, 70%): m. p.: 233-234 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.95 (s, 1H), 7.89 (d, J = 1.7 Hz, 1H), 7.58 – 7.44 (m, 5H), 7.33 (t, J = 7.5 Hz, 1H), 7.21 (d, J = 8.2 Hz, 2H), 5.58 (s, 2H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 155.5, 146.4 (d, J = 251.4 Hz), 137.8, 136.1, 135.9, 132.1, 130.6, 129.4, 127.0, 123.8, 123.0, 120.9, 117.1, 116.1, 45.4. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -124.36 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{17}H_{13}BrFN_2O_2^+$ 375.0139; found: 375.0136.



(*E*)-1-(2-bromo-5-fluorobenzyl)-3-fluoro-2-oxo-1,2-dihydroquinoline-4-carbaldehyde oxime (2j)

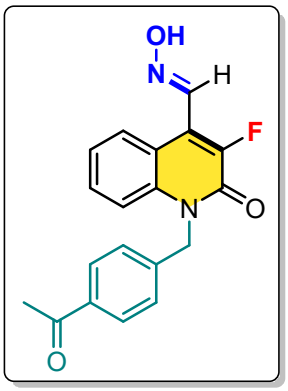
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate =

3:1, v/v), white solid (99.02 mg, 63%): m. p.: 214-215 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 12.35 (s, 1H), 8.53 (s, 1H), 7.68 – 7.53 (m, 2H), 7.43 – 7.27 (m, 3H), 6.88 (t, J = 8.3 Hz, 1H), 5.57 (s, 2H). **^{13}C NMR** (126 MHz, DMSO) δ 160.4 (d, J = 244.5 Hz), 155.6 (d, J = 27.0 Hz), 147.3, 145.3, 137.8, 136.0, 130.7, 129.9 (d, J = 8.2 Hz), 128.1 (d, J = 4.2 Hz), 127.0 (d, J = 6.1 Hz), 125.3 (d, J = 3.7 Hz), 123.8, 123.1 (dd, J = 38.6, 14.7 Hz), 117.1 (d, J = 4.5 Hz), 116.1 (d, J = 20.5 Hz), 115.7, 46.2. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -114. 62 (s, 1F), -128.60 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{17}H_{12}BrF_2N_2O_2^+$ 393.0045; found: 393.0042.



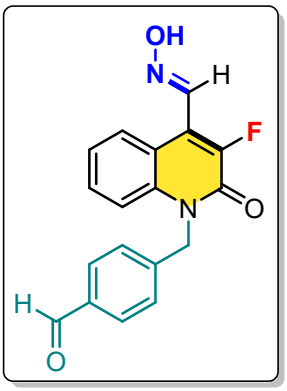
(*E*)-1-([1,1'-biphenyl]-4-ylmethyl)-3-fluoro-2-oxo-1,2-dihydroquinoline-4-carbaldehyde oxime (2k)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (126.82 mg, 85%): m. p.: 210-211 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.96 (s, 1H), 7.91 (s, 1H), 7.65 – 7.59 (m, 4H), 7.56 (d, J = 4.2 Hz, 3H), 7.44 (t, J = 7.4 Hz, 2H), 7.33 (d, J = 7.4 Hz, 4H), 5.66 (s, 2H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 155.7 (d, J = 26.9 Hz), 146.4 (d, J = 251.6 Hz), 140.2, 139.7, 137.9, 136.1, 135.8, 130.6, 129.4, 127.9, 127.7, 127.6, 127.1, 127.0 (d, J = 6.5 Hz), 123.7, 122.9 (d, J = 15.0 Hz), 117.1 (d, J = 4.3 Hz), 116.2, 45.6. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -124.37 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{23}H_{18}FN_2O_2^+$ 373.1347; found: 373.1348.



(*E*)-1-(4-acetylbenzyl)-3-fluoro-2-oxo-1,2-dihydroquinoline-4-carbaldehyde oxime (2l)

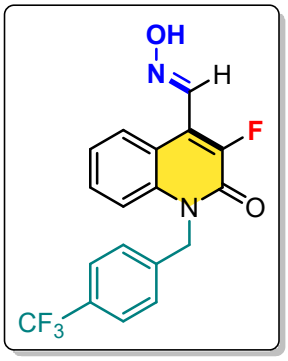
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 2.5:1, v/v), white solid (93.56 mg, 69%): m. p.: 227-228 °C, R_f (petroleum ether/EtOAc = 2.5:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.96 (s, 1H), 7.93 (s, 1H), 7.91 (d, J = 1.6 Hz, 2H), 7.58 – 7.50 (m, 2H), 7.44 (d, J = 8.5 Hz, 1H), 7.39 – 7.29 (m, 3H), 5.69 (s, 2H), 2.53 (s, 3H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 197.9, 155.7 (d, J = 26.9 Hz), 146.4 (d, J = 251.4 Hz), 142.0, 137.8, 136.4, 136.0, 130.6, 129.2, 127.2, 127.0 (d, J = 6.6 Hz), 123.8, 123.0 (d, J = 15.2 Hz), 117.1 (d, J = 4.8 Hz), 116.0, 45.8, 27.1. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -124.33 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{19}H_{16}FN_2O_3^+$ 339.1139; found: 339.1136.



(*E*)-4-((3-fluoro-4-((hydroxyimino)methyl)-2-oxoquinolin-1(2*H*)-yl)methyl)benzaldehyde (2m)

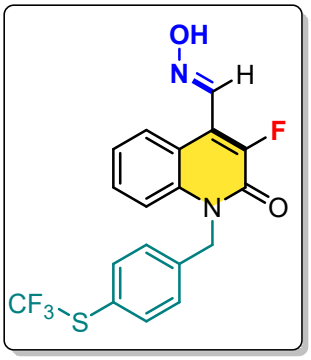
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (81.92 mg, 63%): m. p.: 219-220 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.98 (s, 1H), 9.96 (s, 1H), 7.94 – 7.83 (m, 3H), 7.60 – 7.50 (m, 2H), 7.44 (d, J = 8.2 Hz, 3H), 7.33 (t, J = 7.5 Hz, 1H), 5.71 (s, 2H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 193.1, 155.7 (d, J = 27.0 Hz), 146.4 (d, J = 251.4 Hz), 143.5, 141.5, 137.8, 135.9 (d,

J = 9.9 Hz), 130.7, 130.5 (d, J = 4.3 Hz), 127.7, 127.0 (d, J = 6.3 Hz), 123.9, 123.0 (d, J = 15.3 Hz), 117.1 (d, J = 4.7 Hz), 116.0, 46.0. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -124.33 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{18}H_{14}FN_2O_2^+$ 325.0983; found: 325.0981.



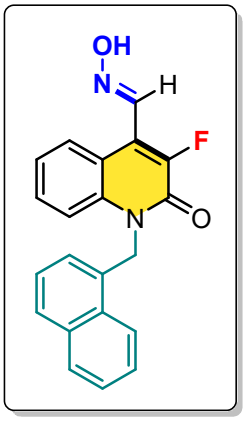
(*E*)-3-fluoro-2-oxo-1-(4-(trifluoromethyl)benzyl)-1,2-dihydroquinoline-4-carbaldehyde oxime (2n)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 2.5:1, v/v), white solid (102.22 mg, 70%): m. p.: 212-213 °C, R_f (petroleum ether/EtOAc = 2.5:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.97 (s, 1H), 7.91 (d, J = 1.7 Hz, 1H), 7.71 (d, J = 8.1 Hz, 2H), 7.55 (td, J = 7.7, 1.3 Hz, 2H), 7.49 – 7.43 (m, 3H), 7.34 (td, J = 7.5, 1.1 Hz, 1H), 5.71 (s, 2H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 155.7 (d, J = 27.2 Hz), 146.4 (d, J = 251.6 Hz), 141.5, 137.8, 136.0, 130.7 (d, J = 2.4 Hz), 128.5 (d, J = 32.0 Hz), 127.8, 127.0 (d, J = 6.3 Hz), 126.1 (d, J = 3.9 Hz), 123.8, 123.0 (d, J = 15.2 Hz), 117.2, 116.0, 45.7. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -60.97 (s, 3F), -124.37 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{18}H_{13}FN_2O_2^+$ 365.0908; found: 365.0905.



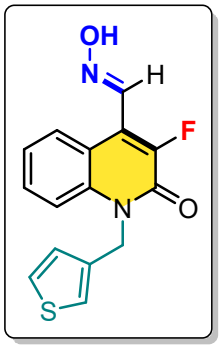
(*E*)-3-fluoro-2-oxo-1-(4-((trifluoromethyl)thio)benzyl)-1,2-dihydroquinoline-4-carbaldehyde oxime (2o)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (106.41 mg, 67%): m. p.: 250-251 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.97 (s, 1H), 7.90 (s, 1H), 7.69 (d, J = 7.9 Hz, 2H), 7.56 (d, J = 7.8 Hz, 2H), 7.48 (d, J = 8.6 Hz, 1H), 7.43 – 7.28 (m, 3H), 5.68 (s, 2H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 155.7 (d, J = 27.1 Hz), 146.4 (d, J = 250.8 Hz), 140.4, 137.8, 137.1, 136.0, 131.6, 130.7, 128.6, 127.0, 123.8, 123.0 (d, J = 15.2 Hz), 122.3, 117.2, 116.0, 45.6. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -42.13 (s, 3F), -124.36 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{18}H_{13}F_4N_2O_2S^+$ 397.0628; found: 397.0626.



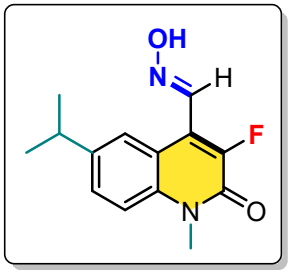
(*E*)-3-fluoro-1-(naphthalen-1-ylmethyl)-2-oxo-1,2-dihydroquinoline-4-carbaldehyde oxime (2p)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 2:1, v/v), white solid (122.18 mg, 88%): m. p.: 237-238 °C, R_f (petroleum ether/EtOAc = 2:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 12.02 (s, 1H), 8.33 (d, J = 8.3 Hz, 1H), 8.02 (d, J = 7.8 Hz, 1H), 7.96 (d, J = 1.4 Hz, 1H), 7.84 (d, J = 8.2 Hz, 1H), 7.75 – 7.68 (m, 1H), 7.67 – 7.59 (m, 2H), 7.52 – 7.43 (m, 1H), 7.33 (td, J = 7.7, 3.6 Hz, 1H), 7.26 (d, J = 8.5 Hz, 1H), 6.64 (d, J = 6.9 Hz, 1H), 6.06 (s, 2H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 155.6 (d, J = 27.1 Hz), 146.4 (d, J = 251.4 Hz), 137.9, 136.3, 133.9, 131.1, 130.7, 130.6, 129.2, 128.0, 127.1, 126.9 (d, J = 6.4 Hz), 126.7, 125.9, 123.8, 123.5, 123.0 (d, J = 15.1 Hz), 122.0, 117.1 (d, J = 4.7 Hz), 116.2, 44.5. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -124.41 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{21}H_{16}FN_2O_2^+$ 347.1190; found: 347.1187.



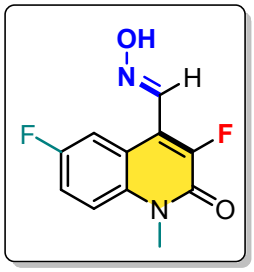
(*E*)-3-fluoro-2-oxo-1-(thiophen-3-ylmethyl)-1,2-dihydroquinoline-4-carbaldehyde oxime (2q)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 4:1, v/v), white solid (105.46 mg, 87%): m. p.: 215-216 °C, R_f (petroleum ether/EtOAc = 4:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.93 (s, 1H), 7.87 (d, J = 1.7 Hz, 1H), 7.68 (d, J = 8.5 Hz, 1H), 7.61 – 7.47 (m, 3H), 7.42 (dd, J = 3.0, 1.3 Hz, 1H), 7.33 (t, J = 7.5 Hz, 1H), 7.07 (dd, J = 4.9, 1.3 Hz, 1H), 5.56 (s, 2H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 155.4 (d, J = 27.3 Hz), 146.4 (d, J = 251.4 Hz), 137.9, 137.2, 135.9, 130.5, 127.6, 127.4, 126.9 (d, J = 6.6 Hz), 123.6, 123.5, 122.7 (d, J = 15.2 Hz), 117.0 (d, J = 4.6 Hz), 116.0, 42.0. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -124.42 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{15}H_{12}FN_2O_2S^+$ 303.0598; found: 303.0596.



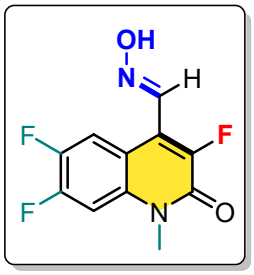
(*E*)-3-fluoro-6-isopropyl-1-methyl-2-oxo-1,2-dihydroquinoline-4-carbaldehyde oxime (2r)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (79.99 mg, 76%): m. p.: 179-180 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.87 (s, 1H), 7.87 (d, J = 1.7 Hz, 1H), 7.56 (d, J = 1.7 Hz, 2H), 7.34 (d, J = 1.4 Hz, 1H), 3.69 (s, 3H), 2.99 (hept, J = 6.9 Hz, 1H), 1.23 (d, J = 6.9 Hz, 6H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 155.1 (d, J = 26.9 Hz), 146.6 (d, J = 250.7 Hz), 143.5, 138.0, 135.1, 129.0 (d, J = 2.7 Hz), 123.6 (d, J = 6.1 Hz), 122.0 (d, J = 14.9 Hz), 116.6 (d, J = 4.5 Hz), 115.9, 33.2, 30.3, 24.3. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -124.52 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{14}H_{16}FN_2O_2^+$ 263.1190; found: 263.1188.



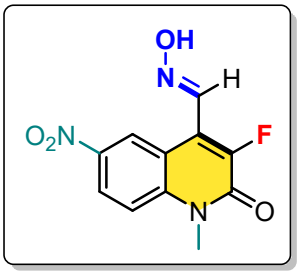
(*E*)-3,6-difluoro-1-methyl-2-oxo-1,2-dihydroquinoline-4-carbaldehyde oxime (2s)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (74.59 mg, 78%): m. p.: 210-211 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.95 (s, 1H), 7.85 (s, 1H), 7.58 – 7.47 (m, 2H), 7.24 (td, J = 8.6, 2.2 Hz, 1H), 3.68 (s, 3H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 163.3 (d, J = 246.3 Hz), 155.4 (d, J = 27.0 Hz), 145.9 (d, J = 250.3 Hz), 138.5 (d, J = 11.5 Hz), 137.7, 129.0 (dd, J = 10.3, 6.7 Hz), 121.9 (d, J = 15.6 Hz), 113.3 (d, J = 2.9 Hz), 111.4 (d, J = 23.2 Hz), 102.9 (d, J = 27.7 Hz), 30.6. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -108.81 (s, 1F), -125.99 (d, J = 5.8 Hz, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{11}H_9F_2N_2O_2^+$ 239.0627; found: 239.0621.



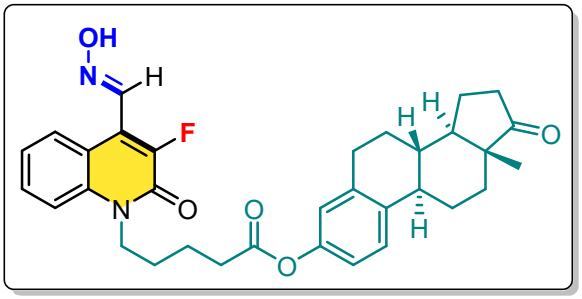
(*E*)-3,6,7-trifluoro-1-methyl-2-oxo-1,2-dihydroquinoline-4-carbaldehyde oxime (2t)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (83.29 mg, 81%): m. p.: 220-221 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 12.03 (s, 1H), 7.86 – 7.77 (m, 2H), 7.52 (dd, J = 11.1, 8.6 Hz, 1H), 3.68 (s, 3H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 155.1 (d, J = 27.1 Hz), 148.9 (dd, J = 142.5, 3.4 Hz), 147.3 – 145.5 (m), 144.7, 137.3, 134.4, 121.2 (d, J = 16.8 Hz), 114.5 (d, J = 19.2 Hz), 113.4, 105.6 (d, J = 23.3 Hz), 31.1. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -122.83 (d, J = 6.9 Hz, 1F), -133.31 (dd, J = 23.8, 7.2 Hz, 1F), -144.16 (d, J = 23.7 Hz, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{11}H_8F_3N_2O_2^+$ 257.0532; found: 257.0531.



(*E*)-3-fluoro-1-methyl-6-nitro-2-oxo-1,2-dihydroquinoline-4-carbaldehyde oxime (2u)

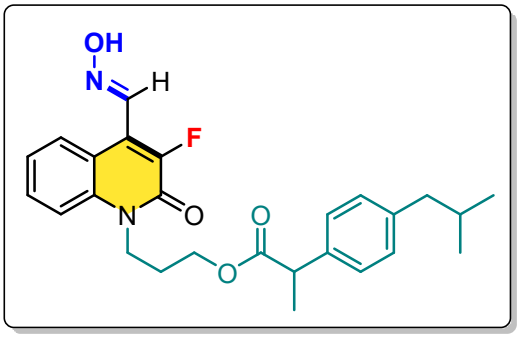
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 2:1, v/v), yellow solid (47.89. mg, 45%): m. p.: 223-224 °C, R_f (petroleum ether/EtOAc = 2:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 12.16 (s, 1H), 8.44 (dd, J = 9.3, 2.7 Hz, 1H), 8.27 (d, J = 2.6 Hz, 1H), 7.98 (d, J = 1.8 Hz, 1H), 7.85 (d, J = 9.4 Hz, 1H), 3.77 (s, 3H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 155.3, 147.7 (d, J = 253.6 Hz), 142.7, 141.1, 137.1, 124.8, 122.5 (d, J = 7.2 Hz), 122.0, 117.3, 116.6 (d, J = 5.8 Hz), 31.1. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -121.40 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{11}H_9FN_3O_4^+$ 266.0572; found: 266.0568.



(8*S*,9*R*,13*R*,14*R*)-13-methyl-17-oxo-7,8,9,11,12,13,14,15,16,17-decahydro-6*H*-cyclopenta[a]phenanthren-3-yl 5-(3-fluoro-4-((*E*)-(hydroxyimino)methyl)-2-oxoquinolin-1(2*H*)-yl)pentanoate (2v)

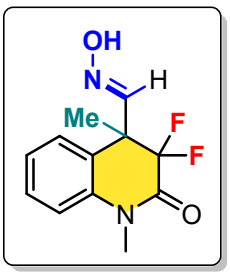
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 2:1, v/v), white solid (145.41 mg, 65%): m. p.: 220-221 °C, R_f (petroleum ether/EtOAc = 2:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 12.29 (s, 1H), 8.48 (s, 1H), 8.44 (dd, J = 8.2, 1.4 Hz, 1H), 7.68 (ddd, J = 14.1, 9.0, 7.6 Hz, 2H), 7.43 – 7.34 (m, 1H), 7.29 (d, J = 8.5 Hz, 1H), 6.87 – 6.73 (m, 2H), 4.38 (d, J = 6.7 Hz, 2H), 2.86 – 2.76 (m, 2H), 2.65 (d, J = 6.7 Hz, 2H), 2.47 – 2.33 (m, 2H), 2.25 (d, J = 11.1 Hz, 1H), 2.14 – 1.89 (m, 3H), 1.77 (dt, J = 6.9, 3.0 Hz, 5H), 1.64 – 1.31 (m, 6H), 0.84 (s, 3H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 172.2, 155.2, 148.7, 148.6 (d, J = 254.3 Hz), 141.4,

138.2, 137.6, 136.0, 130.6, 127.7, 126.7, 123.5, 122.0, 121.3, 119.3, 117.0, 115.8, 50.0, 47.8, 44.0, 42.3, 37.9, 35.8, 33.5, 31.8, 29.3, 26.9, 26.0 (d, J = 46.0 Hz), 22.1, 21.6, 13.9. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -128.77 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{33}H_{36}FN_2O_5^+$ 559.2603; found: 559.2595.



(*E*)-3-(3-fluoro-4-((hydroxyimino)methyl)-2-oxoquinolin-1(2H)-yl)propyl 2-(4-isobutylphenyl)propanoate (2w)

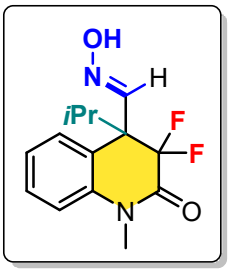
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 2:1, v/v), white solid (125.09 mg, 69%): m. p.: 134-135 °C, R_f (petroleum ether/EtOAc = 2:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 12.30 (s, 1H), 8.47 (s, 1H), 8.46 – 8.39 (m, 1H), 7.59 (t, J = 7.8 Hz, 1H), 7.49 (d, J = 8.6 Hz, 1H), 7.36 (t, J = 7.7 Hz, 1H), 7.24 – 7.05 (m, 4H), 4.34 – 4.24 (m, 2H), 4.13 (d, J = 6.3 Hz, 2H), 3.71 (q, J = 7.1 Hz, 1H), 2.38 (d, J = 7.1 Hz, 2H), 2.05 – 1.87 (m, 2H), 1.74 (dt, J = 13.5, 6.7 Hz, 1H), 1.37 (dd, J = 7.1, 1.8 Hz, 3H), 0.79 (dt, J = 6.7, 1.9 Hz, 6H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 174.3, 155.0 (d, J = 26.4 Hz), 148.6 (d, J = 255.5 Hz), 141.4, 140.3, 138.3, 136.0, 130.5, 129.6, 127.7, 127.5, 123.5, 121.3, 117.1, 115.4, 62.6, 44.6, 30.0, 26.8, 22.6 (d, J = 2.6 Hz), 18.8. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -128.88 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{26}H_{30}FN_2O_4^+$ 453.2184; found: 453.2176.



(*E*)-3,3-difluoro-1,4-dimethyl-2-oxo-1,2,3,4-tetrahydroquinoline-4-carbaldehyde oxime (4a)

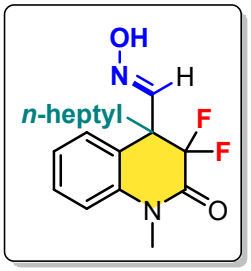
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (88.77 mg, 87%): m. p.: 170-171 °C, R_f (petroleum ether/EtOAc = 3:1, v/v)

0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.42 (s, 1H), 7.46 (td, J = 7.8, 1.5 Hz, 1H), 7.41 (s, 1H), 7.32 (dd, J = 7.9, 2.0 Hz, 2H), 7.23 (t, J = 7.5 Hz, 1H), 3.40 (s, 3H), 1.55 (s, 3H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 159.0 (t, J = 29.3 Hz), 146.7, 137.4, 129.8, 126.9, 126.2, 125.0, 116.9, 116.4 – 111.1 (m), 48.2 – 45.2 (m), 30.6, 16.0. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -117.14 (d, J = 262.1 Hz, 1F), -118.96 (d, J = 263.5 Hz, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{12}H_{13}F_2N_2O_2^+$ 255.0940; found: 255.0938.



(*E*)-3,3-difluoro-4-isopropyl-1-methyl-2-oxo-1,2,3,4-tetrahydroquinoline-4-carbaldehyde oxime (4b)

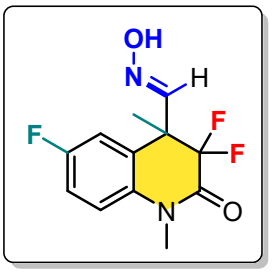
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (91.69 mg, 81%): m. p.: 148-149 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.53 (s, 1H), 7.44 – 7.37 (m, 1H), 7.23 – 7.09 (m, 3H), 3.44 (s, 3H), 2.60 (p, J = 6.9 Hz, 1H), 0.95 (d, J = 6.8 Hz, 3H), 0.88 (d, J = 6.9 Hz, 3H). **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 160.3 (t, J = 29.4 Hz), 148.6 (d, J = 6.9 Hz), 138.2, 129.9, 129.2, 123.9, 122.6 (d, J = 5.7 Hz), 115.8, 113.7 (dd, J = 256.2, 245.5 Hz), 54.1 (dd, J = 21.3, 16.4 Hz), 30.5 (d, J = 53.5 Hz), 29.7, 18.7, 17.8. **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -105.85 (d, J = 274.4 Hz, 1F), -119.88 (d, J = 275.5 Hz, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{14}H_{17}F_2N_2O_2^+$ 283.1253; found: 283.1247.



(*E*)-3,3-difluoro-4-heptyl-1-methyl-2-oxo-1,2,3,4-tetrahydroquinoline-4-carbaldehyde oxime

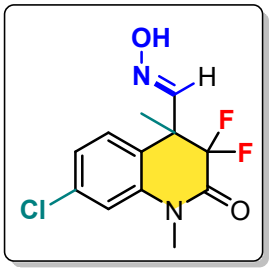
(4c)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 4:1, v/v), white solid (116.67 mg, 86%): m. p.: 141-142 °C, R_f (petroleum ether/EtOAc = 4:1, v/v) 0.3. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.04 (s, 1H), 7.61 (s, 1H), 7.44 – 7.37 (m, 1H), 7.22 – 7.08 (m, 3H), 3.48 (s, 3H), 2.06 (td, J = 13.2, 4.0 Hz, 1H), 1.69 (td, J = 13.0, 4.7 Hz, 1H), 1.46 – 1.12 (m, 10H), 0.83 (t, J = 7.0 Hz, 3H). **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 159.7 (t, J = 29.7 Hz), 147.7 (d, J = 6.0 Hz), 137.3, 129.2, 128.6, 124.6 (d, J = 4.8 Hz), 124.2, 115.9, 113.4 (dd, J = 255.4, 248.2 Hz), 50.8 (dd, J = 22.2, 16.8 Hz), 31.7, 30.3, 30.2, 29.8, 28.9, 24.1, 22.6, 14.0. **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -111.44 (d, J = 267.3 Hz, 1F), -120.83 (d, J = 267.2 Hz, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{18}H_{25}F_2N_2O_2^+$ 339.1879; found: 339.1873.



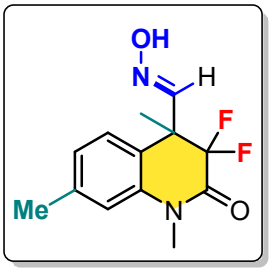
(*E*)-3,3,6-trifluoro-1,4-dimethyl-2-oxo-1,2,3,4-tetrahydroquinoline-4-carbaldehyde oxime (4d)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (90.66 mg, 83%): m. p.: 149-150 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.12 (s, 1H), 7.50 (s, 1H), 7.15 – 7.04 (m, 2H), 6.99 (dd, J = 8.7, 2.6 Hz, 1H), 3.46 (s, 3H), 1.59 (s, 3H). **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 159.4 (d, J = 245.7 Hz), 159.1 (t, J = 29.4 Hz), 147.9 (t, J = 4.0 Hz), 133.6 (d, J = 3.0 Hz), 128.0 – 127.8 (m), 117.4 (d, J = 8.0 Hz), 115.9 (d, J = 22.5 Hz), 114.2 (d, J = 24.8 Hz), 112.5 (t, J = 251.8 Hz), 47.2 (t, J = 21.7 Hz), 30.7, 16.0 (t, J = 3.6 Hz). **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -116.87 (s, 1F), -118.84.(s, 2F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{12}H_{12}F_3N_2O_2^+$ 273.0845; found: 273.0841.



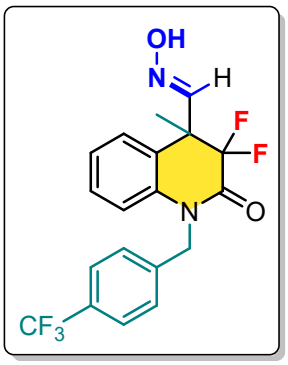
(*E*)-7-chloro-3,3-difluoro-1,4-dimethyl-2-oxo-1,2,3,4-tetrahydroquinoline-4-carbaldehyde oxime (4e)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (130.18 mg, 81%): m. p.: 151-152 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.98 (s, 1H), 7.49 (s, 1H), 7.16 (s, 2H), 7.10 (s, 1H), 3.45 (s, 3H), 1.58 (s, 3H). **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 159.4 (t, J = 29.5 Hz), 148.1 (t, J = 4.0 Hz), 138.4, 135.3, 127.8, 124.5, 124.3, 116.3, 112.5 (t, J = 252.0 Hz), 46.9 (t, J = 21.5 Hz), 30.5, 16.1 (t, J = 3.6 Hz). **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -118.74 (s, 2F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{12}H_{12}ClF_2N_2O_2^+$ 289.0550; found: 289.0545.



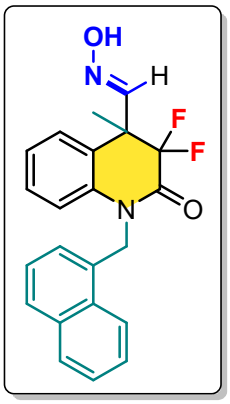
(*E*)-3,3-difluoro-1,4,7-trimethyl-2-oxo-1,2,3,4-tetrahydroquinoline-4-carbaldehyde oxime (4f)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 4:1, v/v), yellow solid (89.34 mg, 83%): m. p.: 145-146 °C, R_f (petroleum ether/EtOAc = 4:1, v/v) 0.3. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.02 (s, 1H), 7.51 (s, 1H), 7.11 (d, J = 7.8 Hz, 1H), 6.99 (d, J = 7.9 Hz, 1H), 6.92 (s, 1H), 3.46 (s, 3H), 2.39 (s, 3H), 1.57 (s, 3H). **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 159.7 (t, J = 29.4 Hz), 148.7 (t, J = 4.0 Hz), 139.6, 137.1, 126.5, 125.3, 122.8 (d, J = 3.0 Hz), 116.7, 112.9 (t, J = 251.7 Hz), 46.9 (t, J = 21.4 Hz), 30.4, 21.5, 16.1 (t, J = 3.4 Hz). **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -118.87 (d, J = 13.9 Hz, 2F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{13}H_{15}F_2N_2O_2^+$ 269.1096; found: 269.1091.



(*E*)-3,3-difluoro-4-methyl-2-oxo-1-(4-(trifluoromethyl)benzyl)-1,2,3,4-tetrahydroquinoline-4-carbaldehyde oxime (4g)

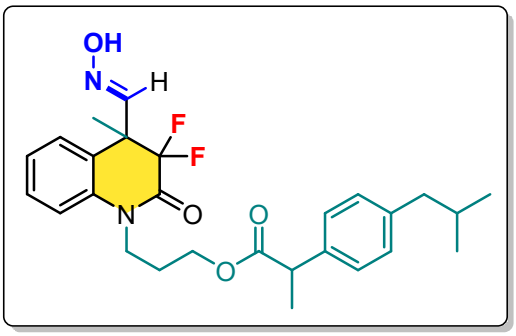
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 3:1, v/v), white solid (134.10 mg, 84%): m. p.: 153-154 °C, R_f (petroleum ether/EtOAc = 3:1, v/v) 0.3. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.88 (s, 1H), 7.59 (d, J = 8.1 Hz, 2H), 7.51 (s, 1H), 7.34 (d, J = 8.0 Hz, 2H), 7.29 – 7.24 (m, 2H), 7.19 – 7.14 (m, 1H), 6.93 – 6.89 (m, 1H), 5.36 (d, J = 16.5 Hz, 1H), 5.20 (d, J = 16.6 Hz, 1H), 1.66 (s, 3H). **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 160.2 (t, J = 29.4 Hz), 148.6 (t, J = 3.9 Hz), 139.4, 136.2, 130.2, 129.9, 129.5, 126.9 (d, J = 9.8 Hz), 125.9 (dd, J = 9.6, 5.4 Hz), 125.3, 125.0, 122.6, 116.3, 112.9 (t, J = 251.7 Hz), 47.2 (t, J = 21.5 Hz), 46.4, 16.0. **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -62.59 (s, 3F), -117.99 – -119.84 (m, 2F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{19}H_{16}F_5N_2O_2^+$ 399.1126; found: 399.1121.



(*E*)-3,3-difluoro-4-methyl-1-(naphthalen-1-ylmethyl)-2-oxo-1,2,3,4-tetrahydroquinoline-4-carbaldehyde oxime (4h)

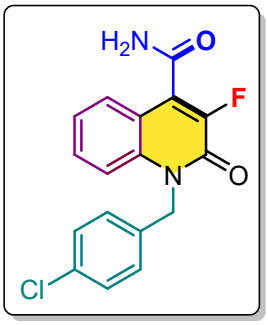
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 2.5:1, v/v), white solid (134.10 mg, 86%): m. p.: 214-215 °C, R_f (petroleum ether/EtOAc = 2.5:1, v/v) 0.3. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 11.54 (s, 1H), 8.22 (d, J = 8.3 Hz, 1H), 8.01 (d, J = 8.0

Hz, 1H), 7.87 (d, J = 8.2 Hz, 1H), 7.64 (dt, J = 20.2, 7.1 Hz, 2H), 7.52 (s, 1H), 7.42 (t, J = 7.6 Hz, 2H), 7.24 (dt, J = 26.1, 7.5 Hz, 2H), 7.03 (d, J = 7.2 Hz, 1H), 6.96 (d, J = 8.1 Hz, 1H), 5.79 – 5.64 (m, 2H), 1.68 (s, 3H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 159.7 (t, J = 29.4 Hz), 147.0, 136.5, 133.9, 130.8, 130.6, 129.9, 129.2, 128.1, 127.3, 127.1, 126.7, 126.2, 126.0, 125.2, 123.4, 122.2, 117.1, 116.6 – 111.2 (m), 47.2 (t, J = 21.3 Hz), 44.8, 16.0. **^{19}F NMR** (376 MHz, DMSO-d_6) δ -116.43 (d, J = 262.7 Hz, 1F), -119.33 (d, J = 263.0 Hz, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{22}H_{19}F_2N_2O_2^+$ 381.1409; found: 381.1403.



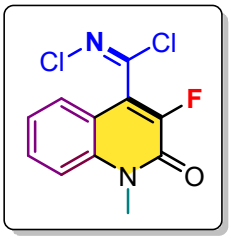
(*E*)-3-(3,3-difluoro-4-((hydroxyimino)methyl)-4-methyl-2-oxo-3,4-dihydroquinolin-1(2*H*)-yl)propyl 2-(4-isobutylphenyl)propanoate (4j)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 2:1, v/v), white solid (159.81 mg, 82%): m. p.: 136-137 °C, R_f (petroleum ether/EtOAc = 2:1, v/v) 0.3. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.34 – 8.24 (m, 1H), 7.42 (d, J = 5.9 Hz, 1H), 7.33 – 7.20 (m, 4H), 7.20 – 7.06 (m, 3H), 6.96 – 6.91 (m, 1H), 4.36 – 4.19 (m, 1H), 4.12 (m, 2H), 3.90 – 3.63 (m, 2H), 2.43 (dt, J = 7.2, 2.0 Hz, 2H), 2.02 – 1.91 (m, 2H), 1.82 (qd, J = 8.0, 3.8 Hz, 1H), 1.62 (s, 3H), 1.51 (qd, J = 4.3, 2.0 Hz, 3H), 0.87 (dt, J = 6.7, 2.1 Hz, 6H). **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 175.1 (d, J = 21.7 Hz), 159.6, 148.6, 140.7, 137.6, 136.0 (d, J = 6.9 Hz), 129.4, 129.3, 127.2, 126.9, 126.3, 124.5 (d, J = 2.7 Hz), 115.6, 112.8, 62.0 (d, J = 13.6 Hz), 46.7 (d, J = 19.7 Hz), 45.4 – 44.9 (m), 39.2 (d, J = 24.2 Hz), 30.2, 29.7, 29.2, 25.9 (d, J = 14.5 Hz), 22.4, 18.4 (d, J = 5.2 Hz), 15.8 (d, J = 3.8 Hz). **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -118.21 (dd, J = 265.2, 29.4 Hz, 1F), -120.68 (d, J = 265.1 Hz, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{27}H_{33}F_2N_2O_4^+$ 487.2403; found: 487.2398.



1-(4-chlorobenzyl)-3-fluoro-2-oxo-1,2-dihydroquinoline-4-carboxamide (5)

The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 4:1, v/v), white solid (60.25 mg, 91%): m. p.: 181-182 °C, R_f (petroleum ether/EtOAc = 4:1, v/v) 0.3. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.93 (d, J = 7.9 Hz, 1H), 7.58 (t, J = 7.9 Hz, 1H), 7.42 (t, J = 7.6 Hz, 1H), 7.32 (dd, J = 14.8, 8.4 Hz, 3H), 7.17 (d, J = 8.2 Hz, 2H), 5.56 (s, 2H). **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 155.4, 154.7 (d, J = 25.2 Hz), 152.7, 135.9, 134.0, 133.1, 131.6 (d, J = 3.2 Hz), 129.3, 128.2, 126.7 (d, J = 6.6 Hz), 124.7, 115.5 (d, J = 3.8 Hz), 110.1, 105.2, 46.5. **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -111.58 (s, 1F). **HRMS** (ESI) m/z: $[M+H]^+$ calcd for $C_{17}H_{13}ClFN_2O_2^+$ 331.0644; found: 331.0641.

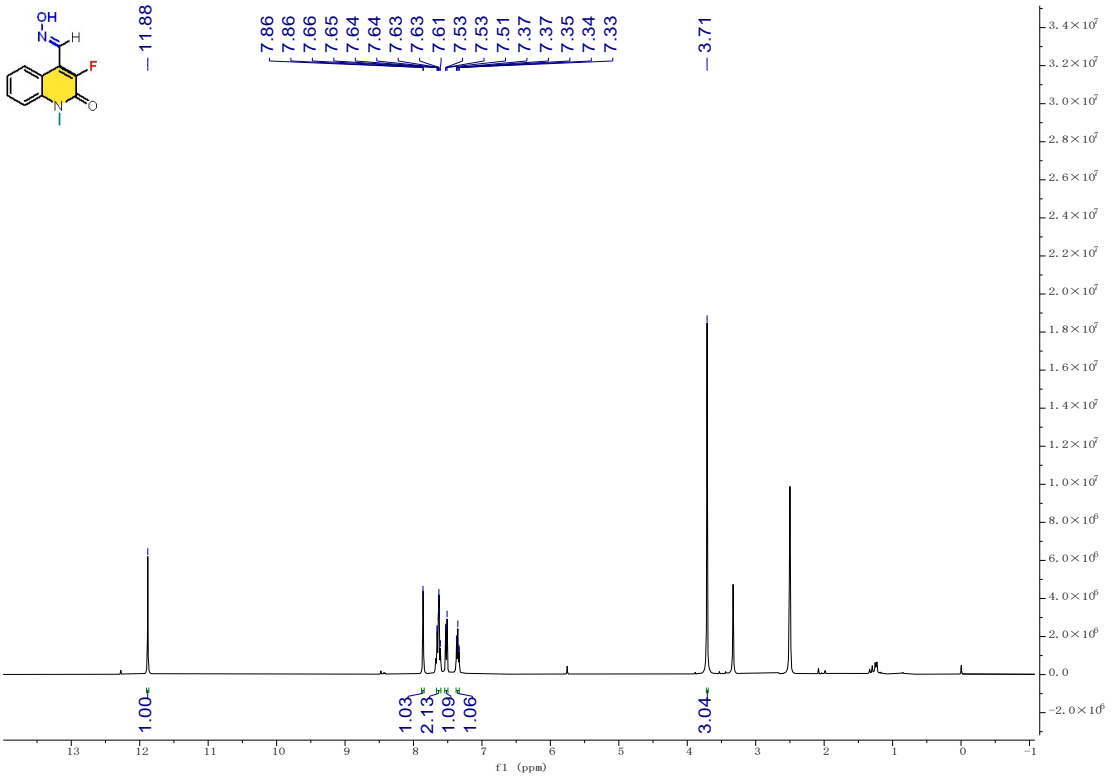


(*E*)-*N*-chloro-3-fluoro-1-methyl-2-oxo-1,2-dihydroquinoline-4-carbimidoyl chloride (6)

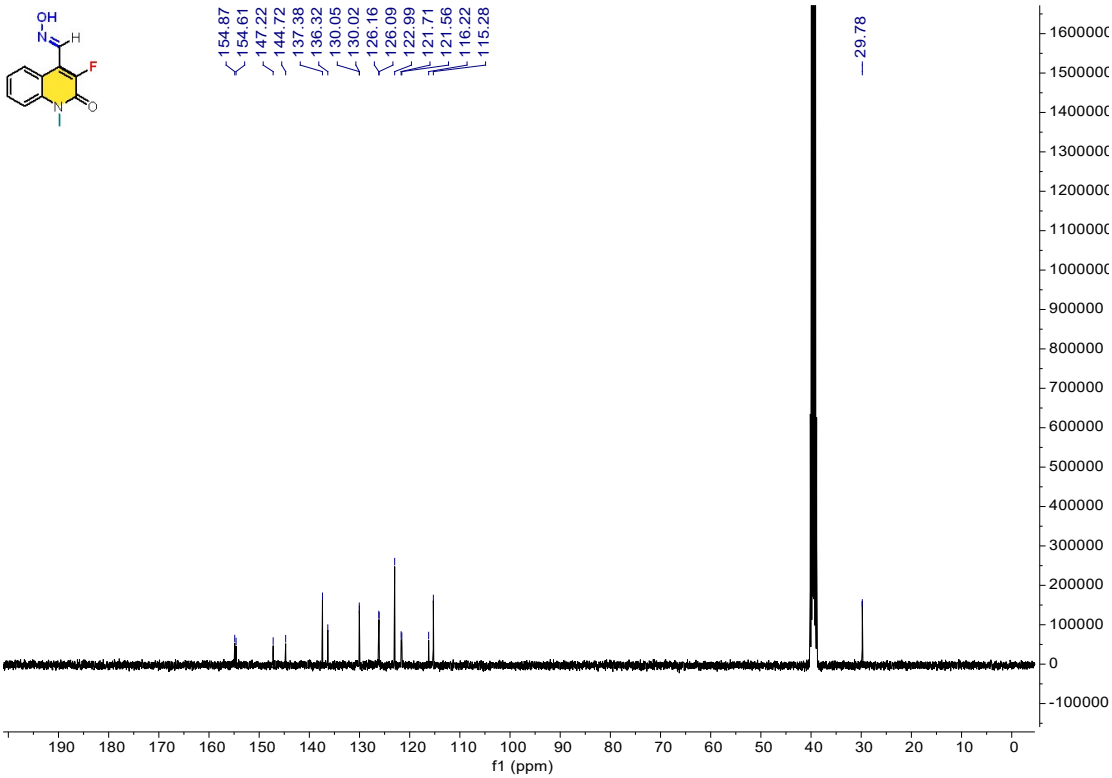
The product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 5:1, v/v), white solid (44.61 mg, 82%): m. p.: 247-248 °C, R_f (petroleum ether/EtOAc = 5:1, v/v) 0.3. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.80 (dd, J = 8.0, 1.5 Hz, 1H), 7.67 (ddd, J = 8.7, 7.2, 1.5 Hz, 1H), 7.44 (dd, J = 8.1, 3.3 Hz, 2H), 3.80 (s, 3H). **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 155.5, 154.7 (d, J = 24.7 Hz), 152.9, 136.6, 131.2 (d, J = 2.9 Hz), 126.3 (d, J = 6.6 Hz), 124.2, 116.9, 114.9, 106.7 (d, J = 13.0 Hz), 30.3. **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -116.22 (s, 1F). **HRMS** (ESI) m/z: $[M+Na]^+$ calcd for $C_{11}H_7Cl_2FN_2NaO^+$ 294.9812; found: 294.9814.

8. NMR spectra of products

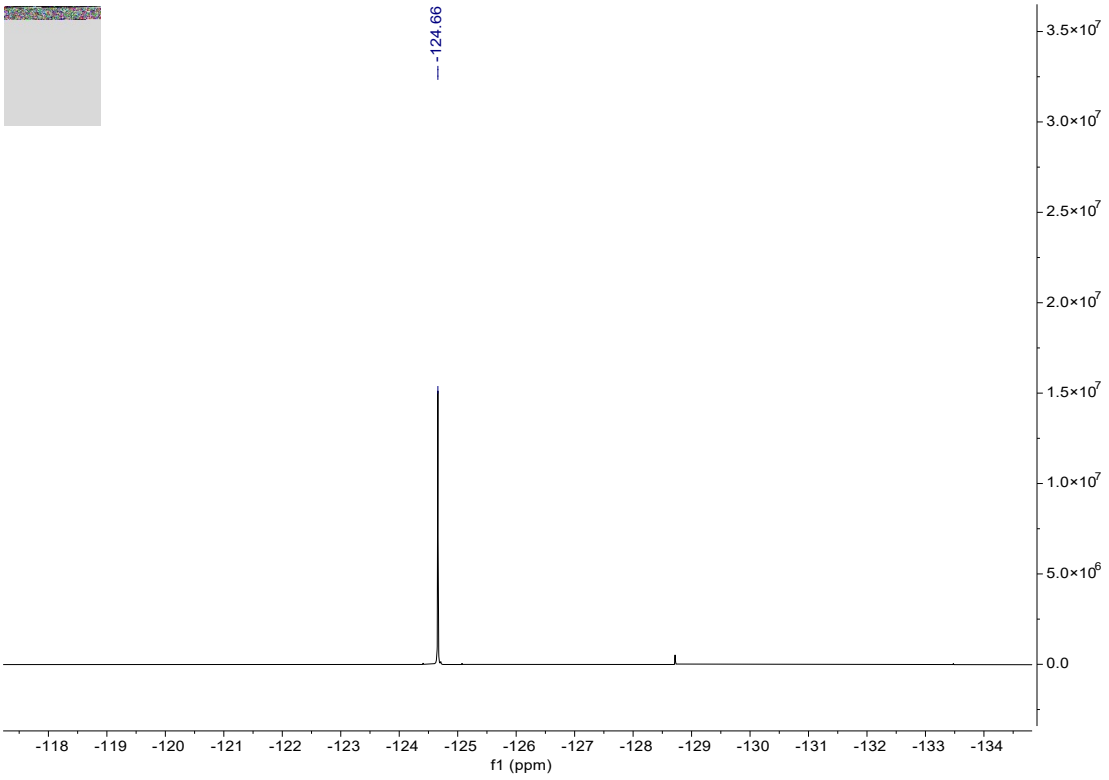
^{1}H NMR (DMSO-d_6, 400 MHz) of **2a**



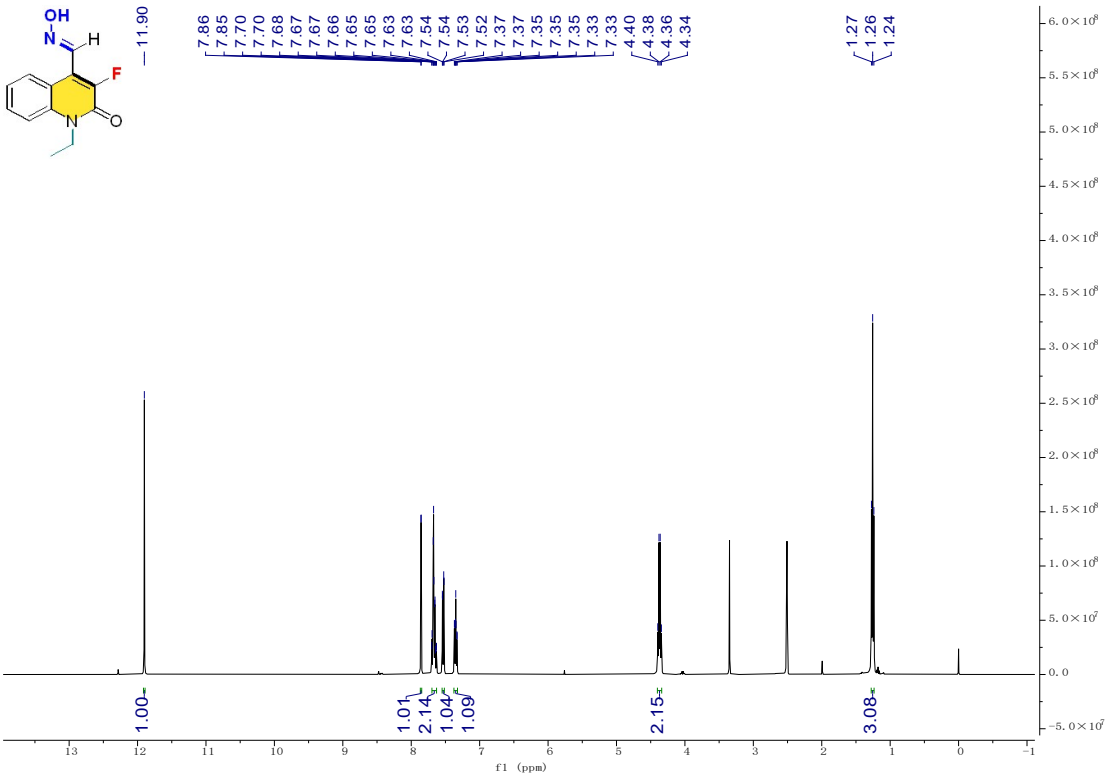
^{13}C NMR (DMSO-d_6, 101 MHz) of **2a**



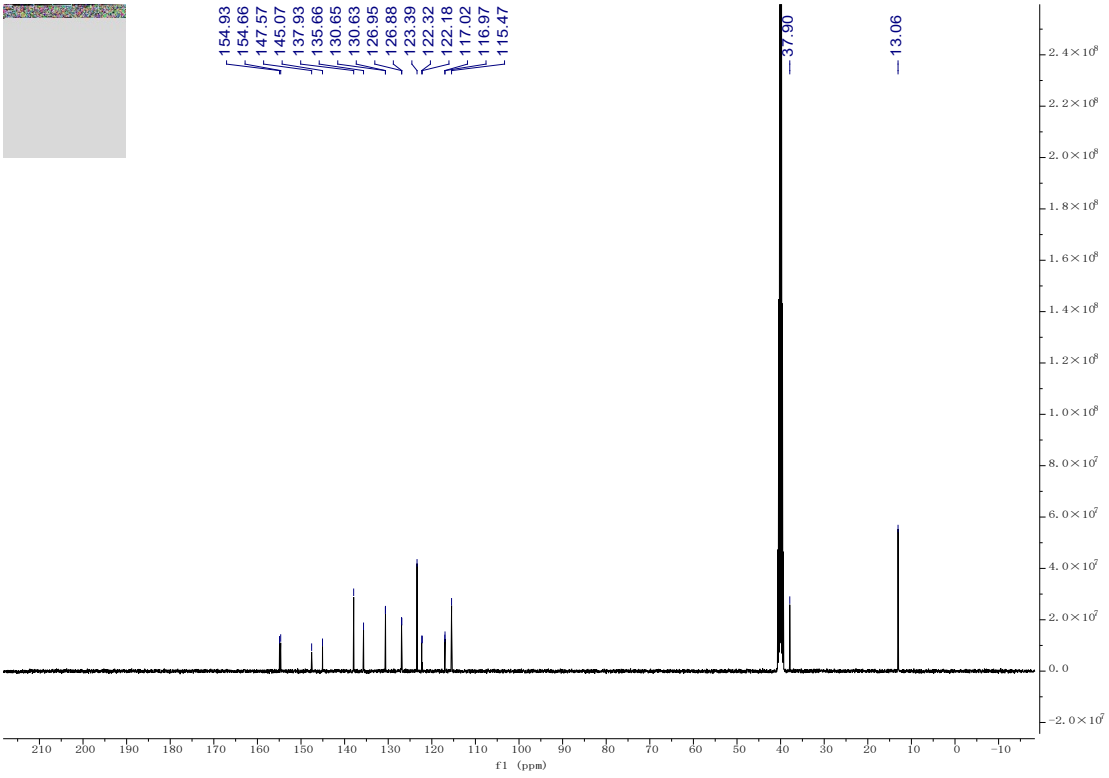
^{19}F NMR (DMSO-d_6, 376 MHz) of **2a**



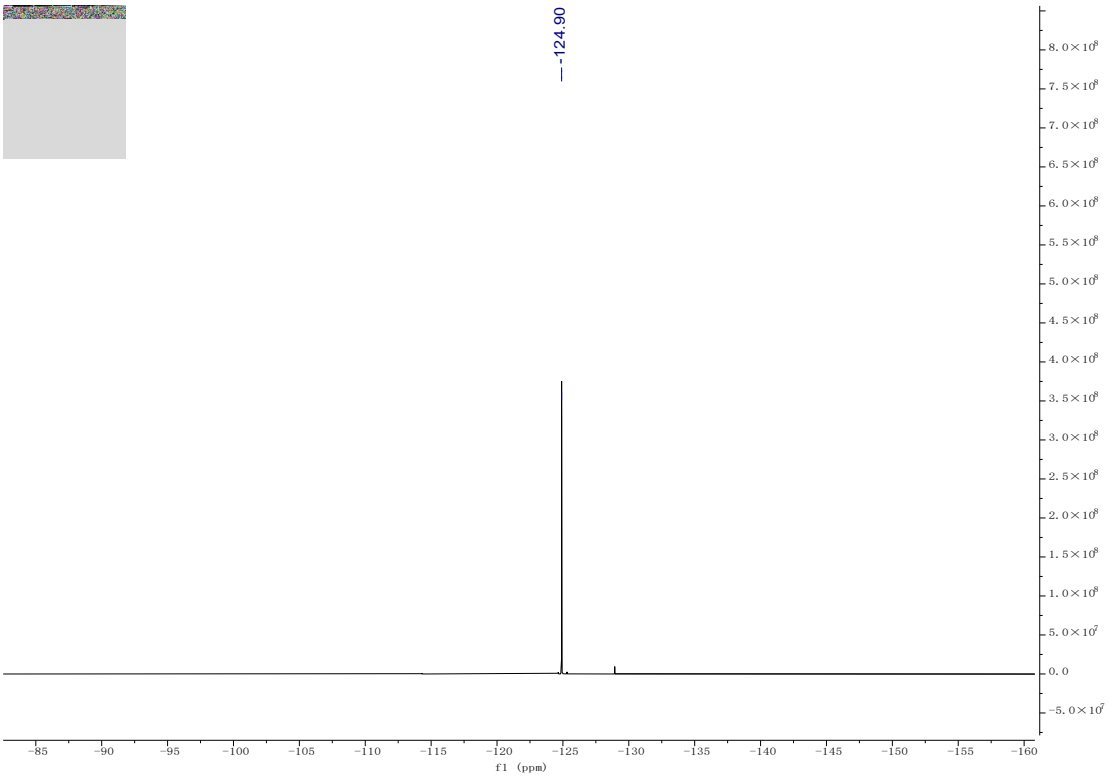
^{1}H NMR (DMSO-d_6, 400 MHz) of **2b**



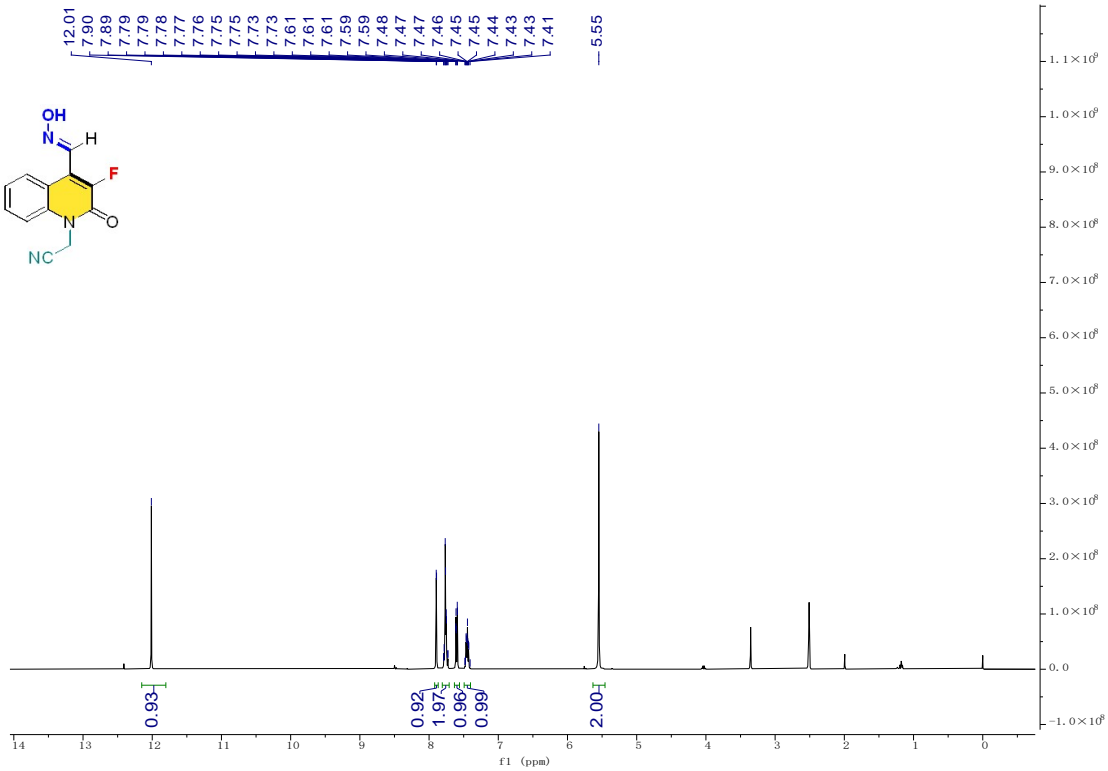
^{13}C NMR (DMSO-d_6, 101 MHz) of **2b**



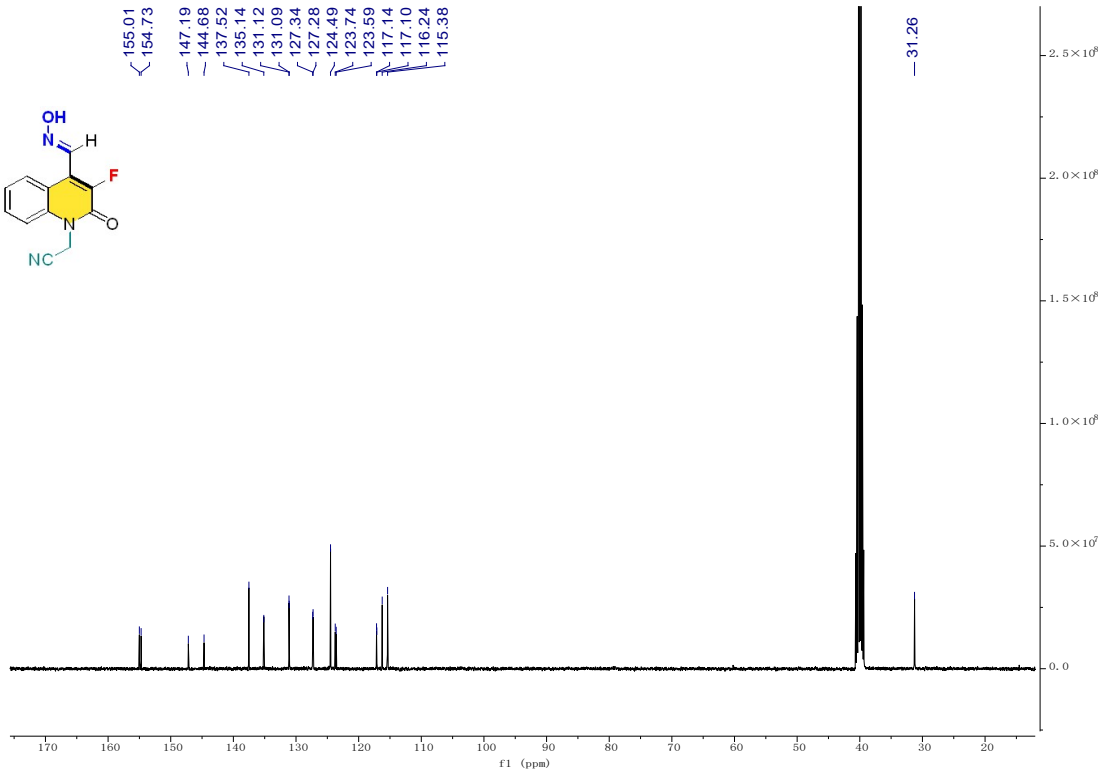
^{19}F NMR (DMSO-d_6, 376 MHz) of **2b**



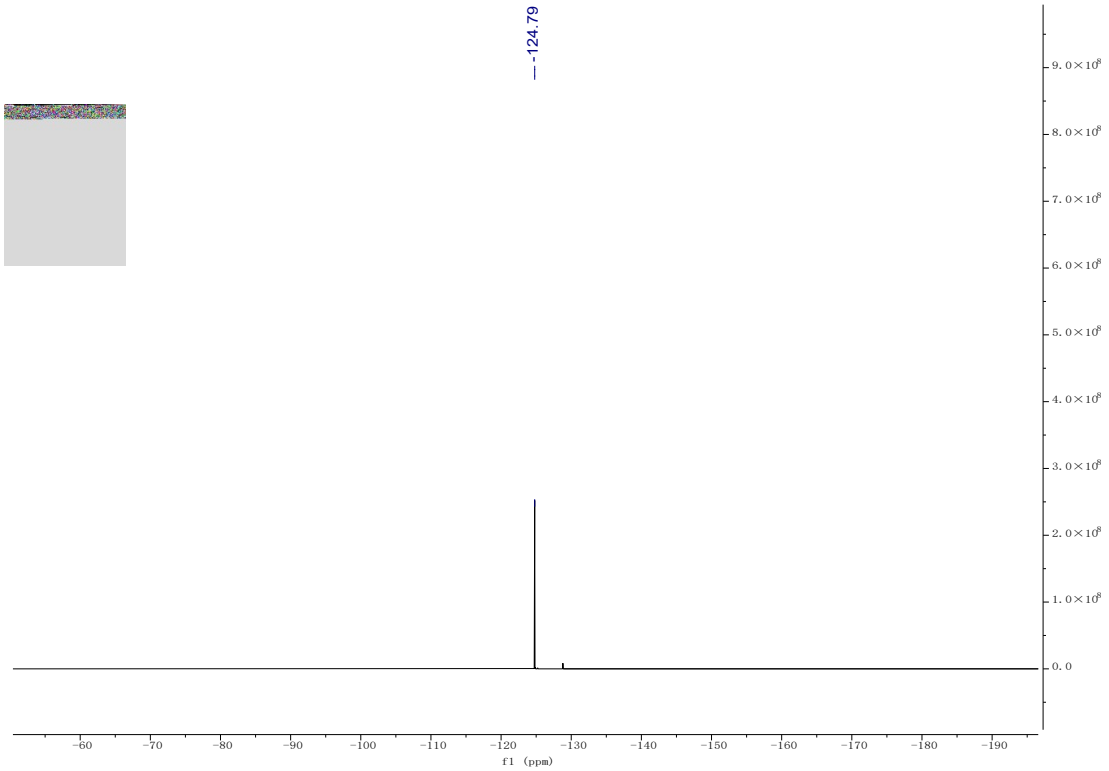
^{1}H NMR (DMSO-d_6, 400 MHz) of **2c**



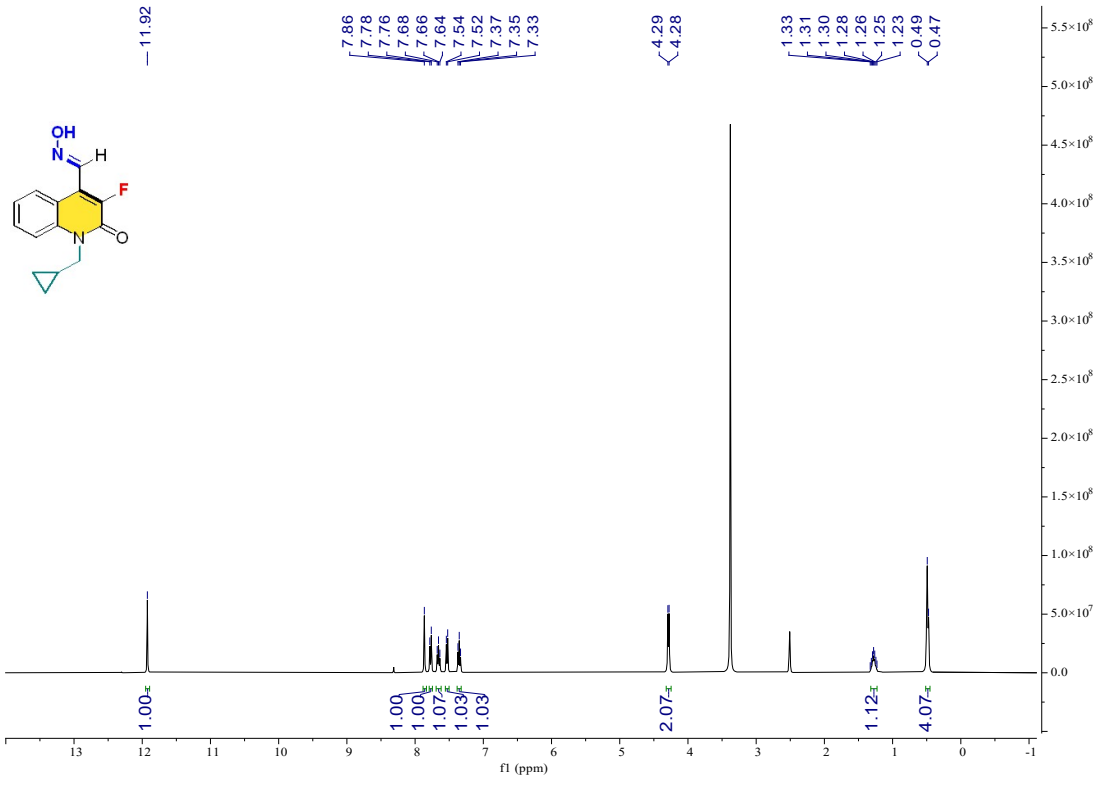
^{13}C NMR (DMSO-d_6, 101 MHz) of **2c**



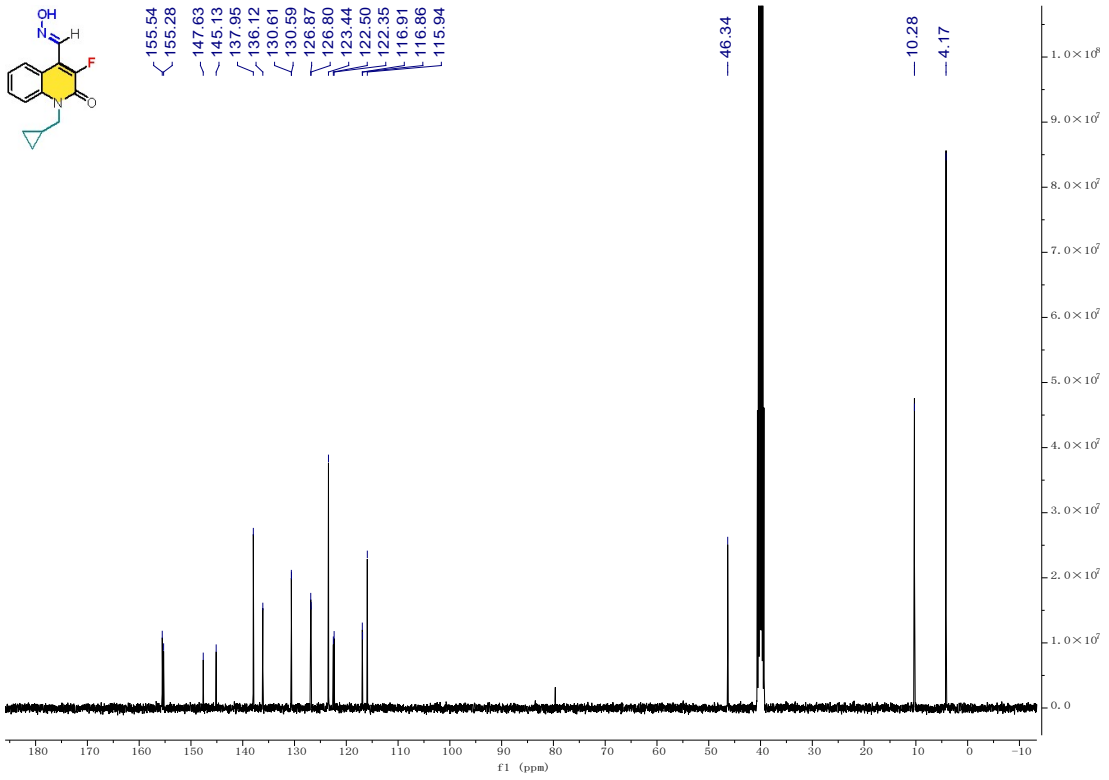
^{19}F NMR (DMSO-d_6, 376 MHz) of **2c**



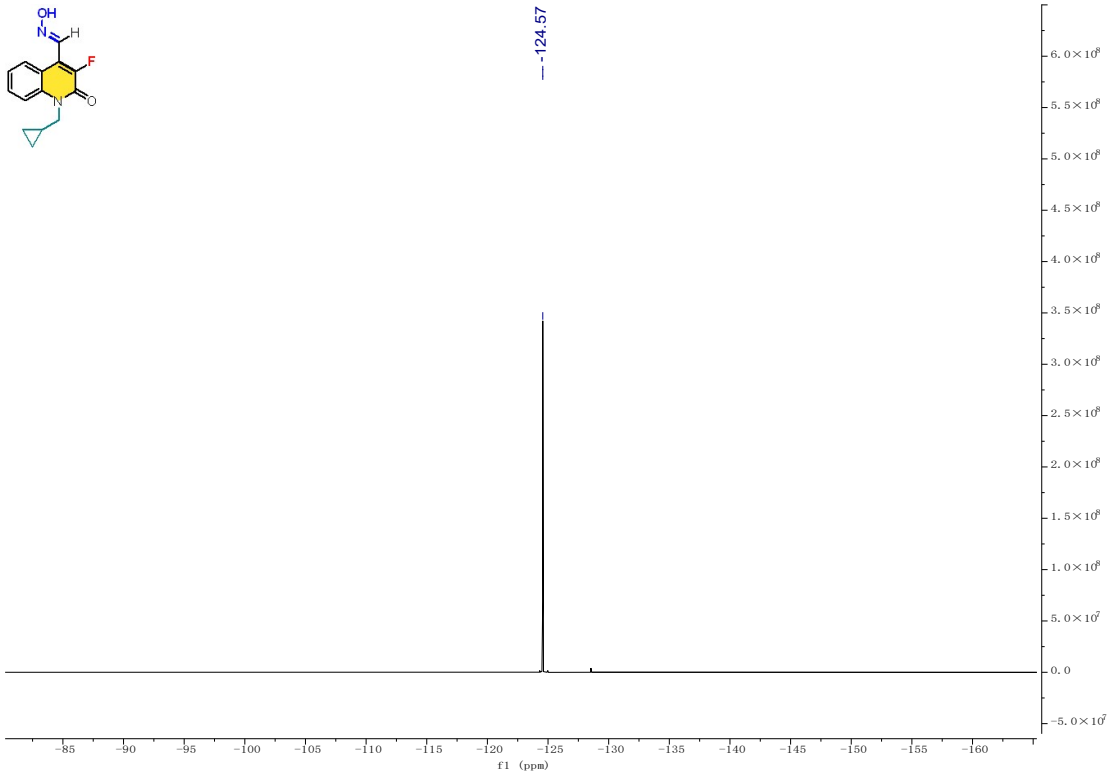
^{1}H NMR (DMSO-d_6, 400 MHz) of **2d**



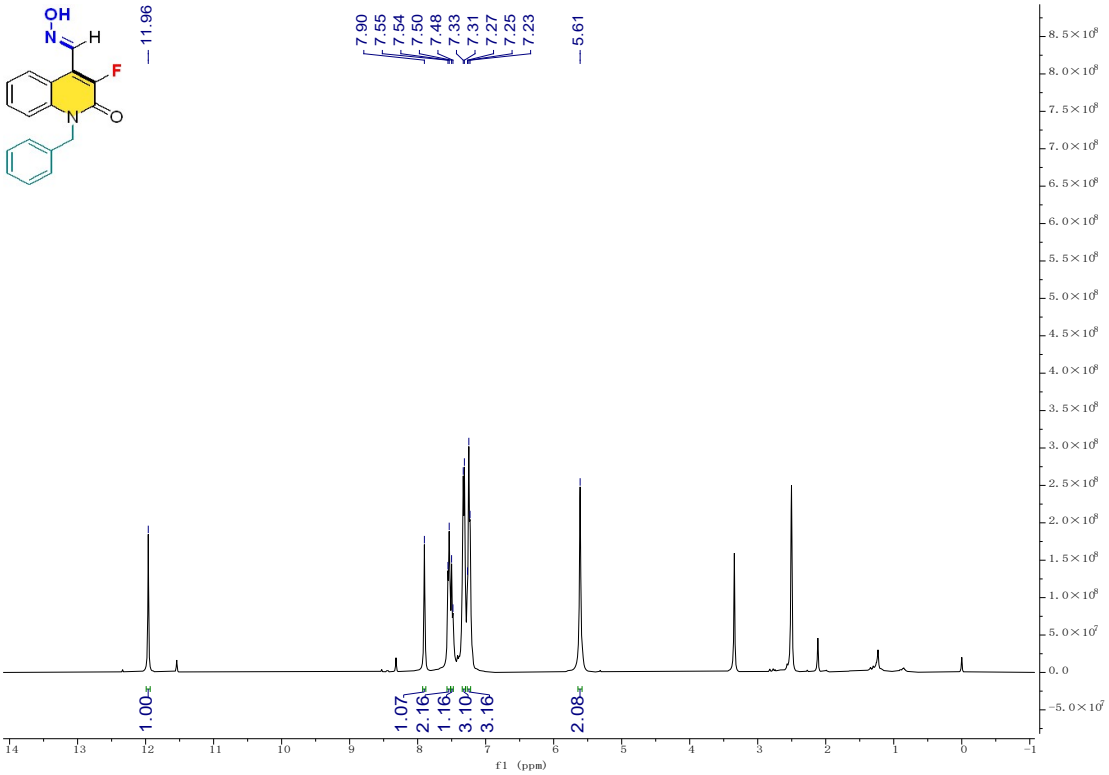
^{13}C NMR (DMSO-d_6, 101 MHz) of **2d**



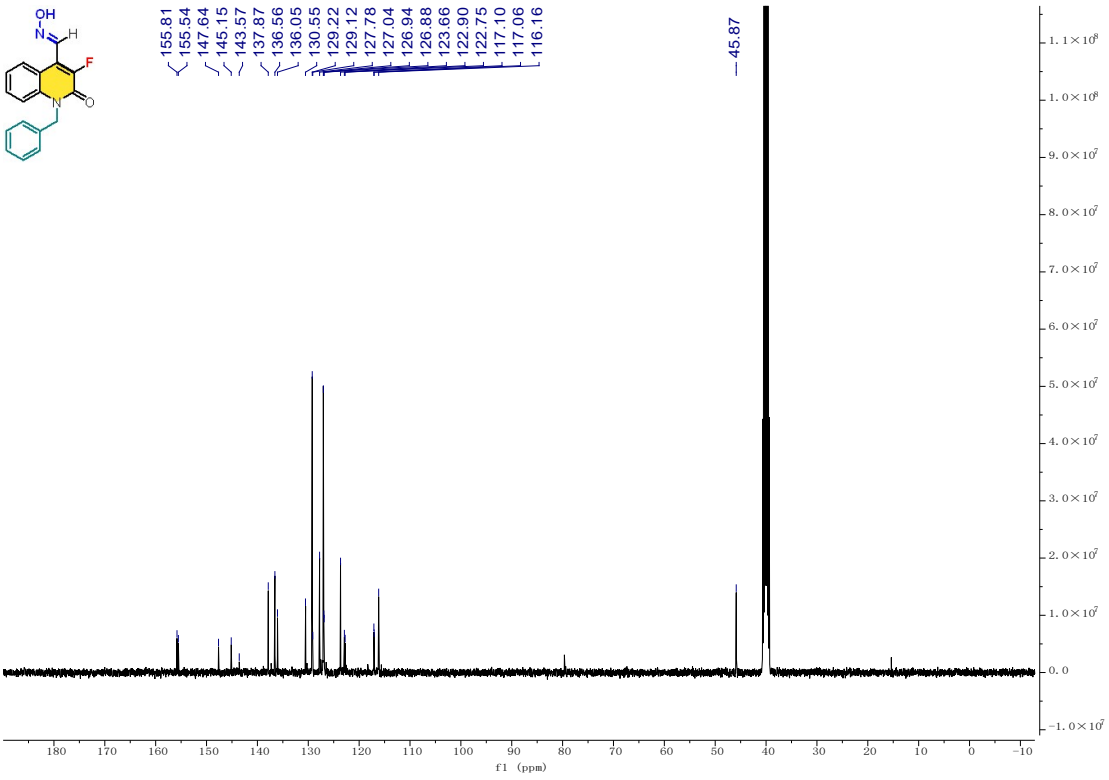
^{19}F NMR (DMSO-d_6, 376 MHz) of **2d**



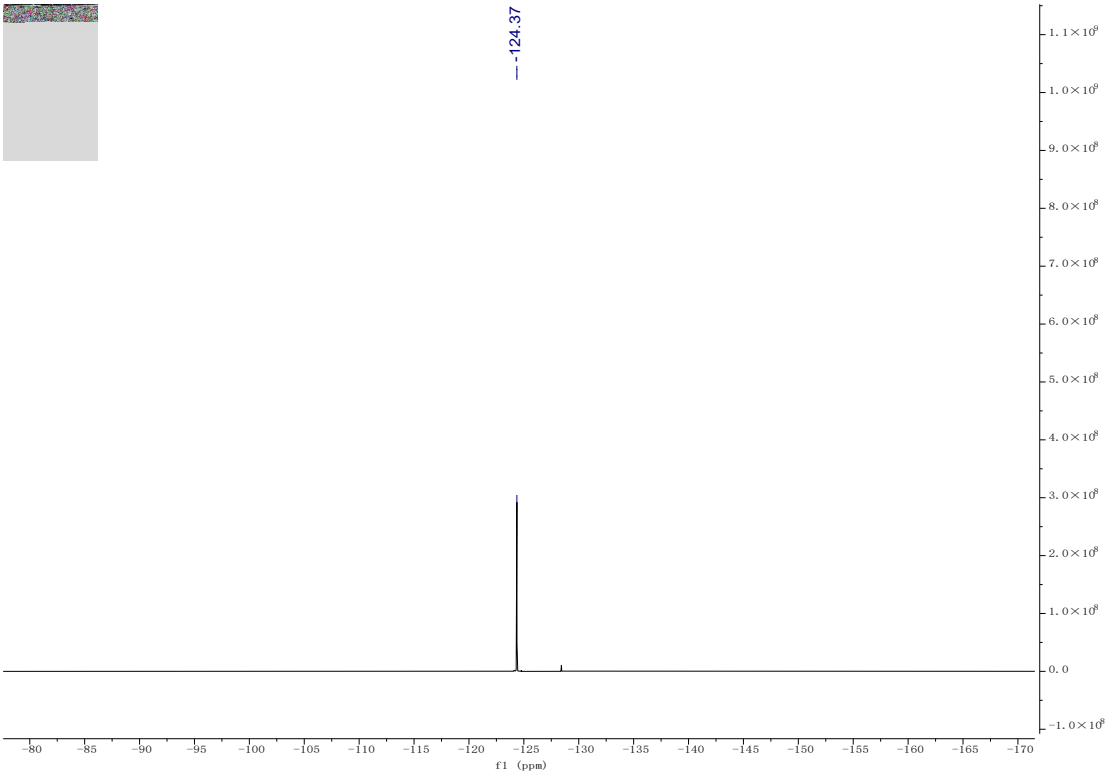
^{1}H NMR (DMSO-d_6, 400 MHz) of **2e**



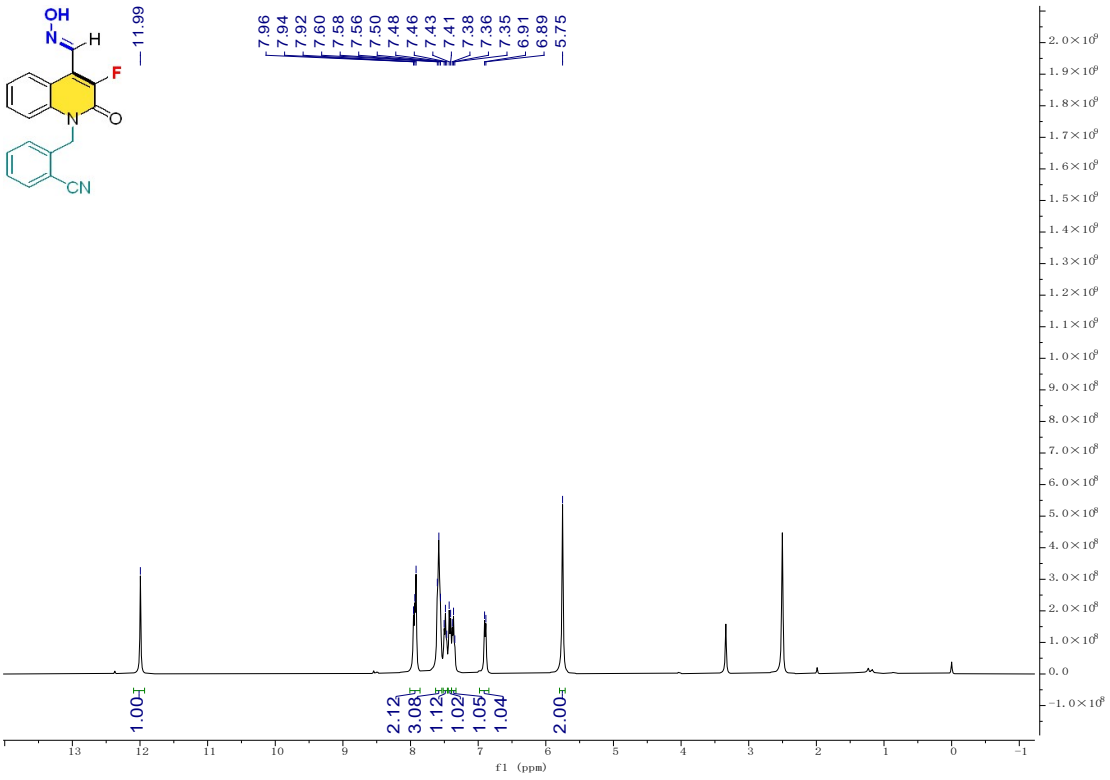
^{13}C NMR (DMSO-d_6, 101 MHz) of **2e**



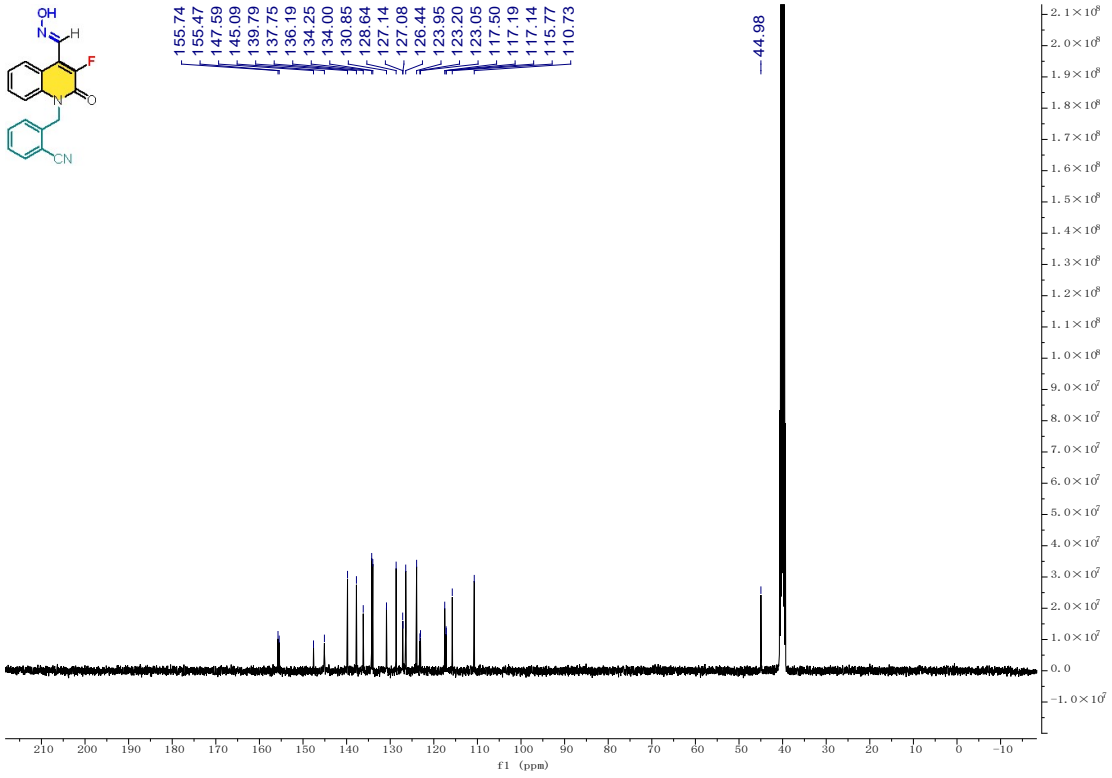
^{19}F NMR (DMSO-d_6, 376 MHz) of **2e**



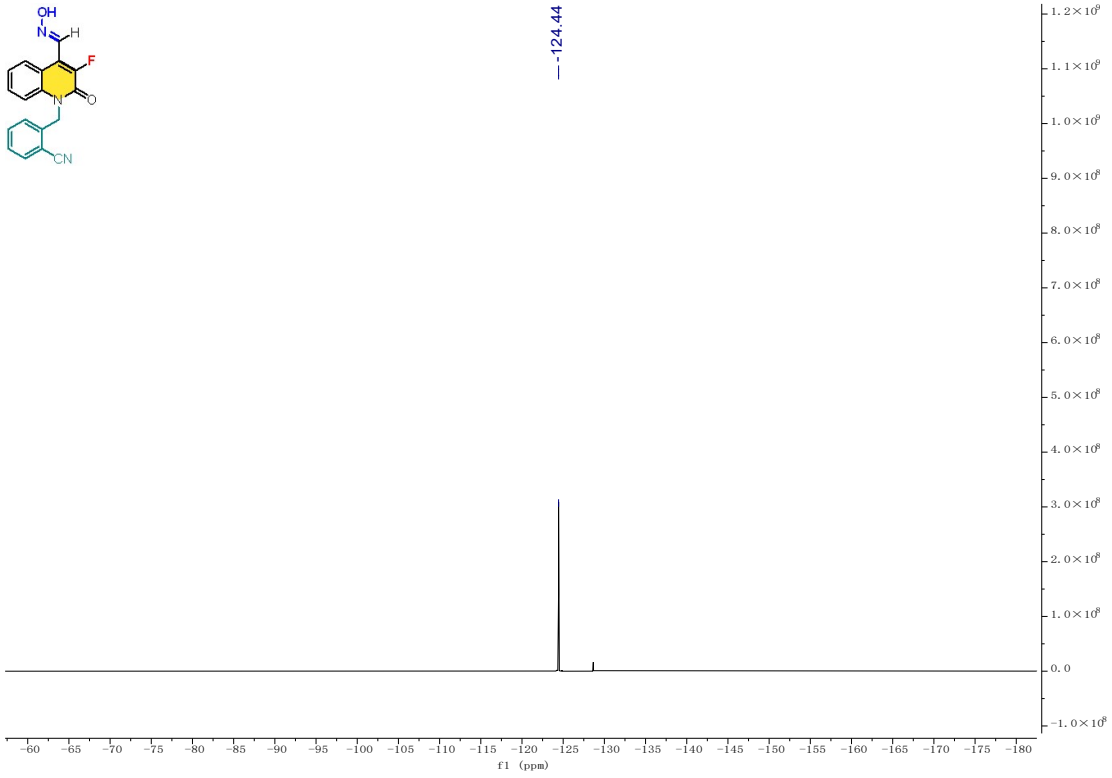
^{1}H NMR (DMSO-d_6, 400 MHz) of **2f**



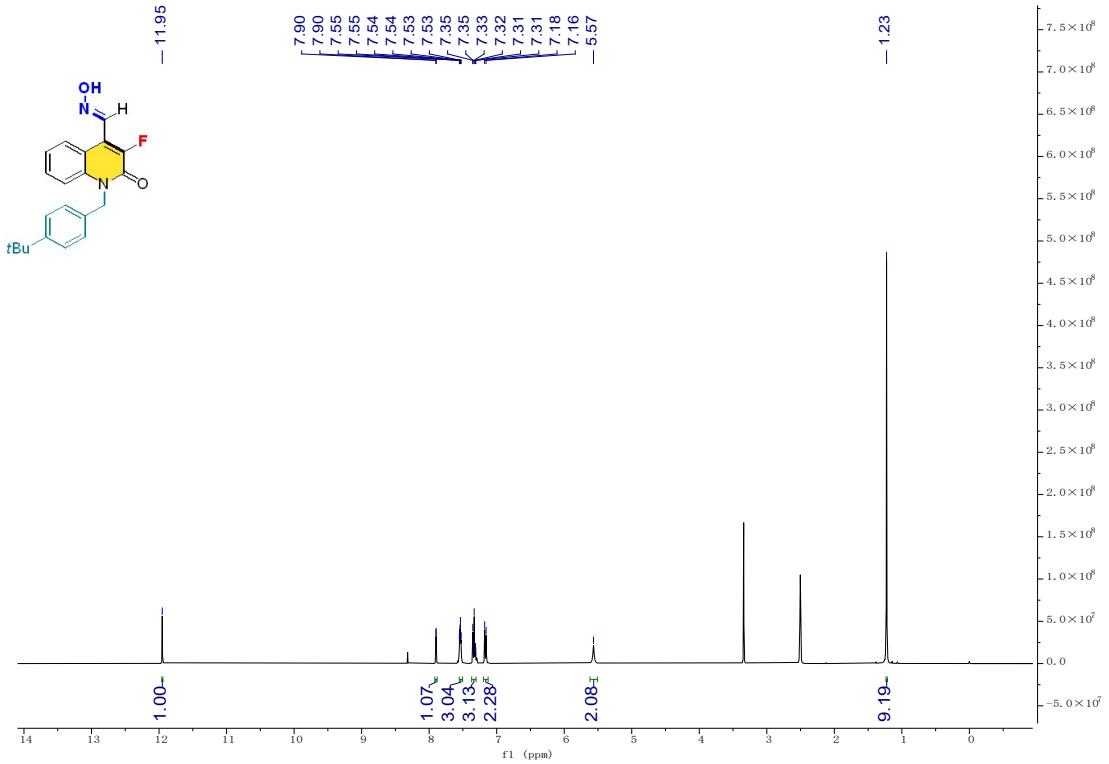
^{13}C NMR (DMSO-d_6, 101 MHz) of **2f**



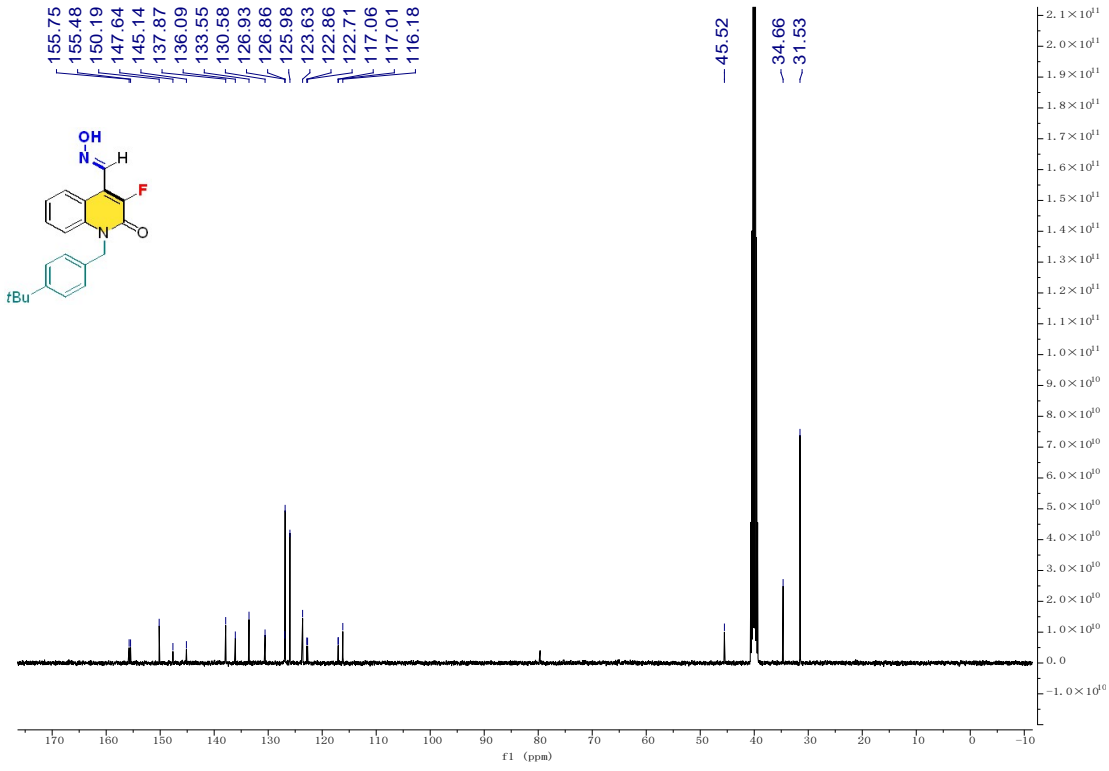
^{19}F NMR (DMSO-d_6, 376 MHz) of **2f**



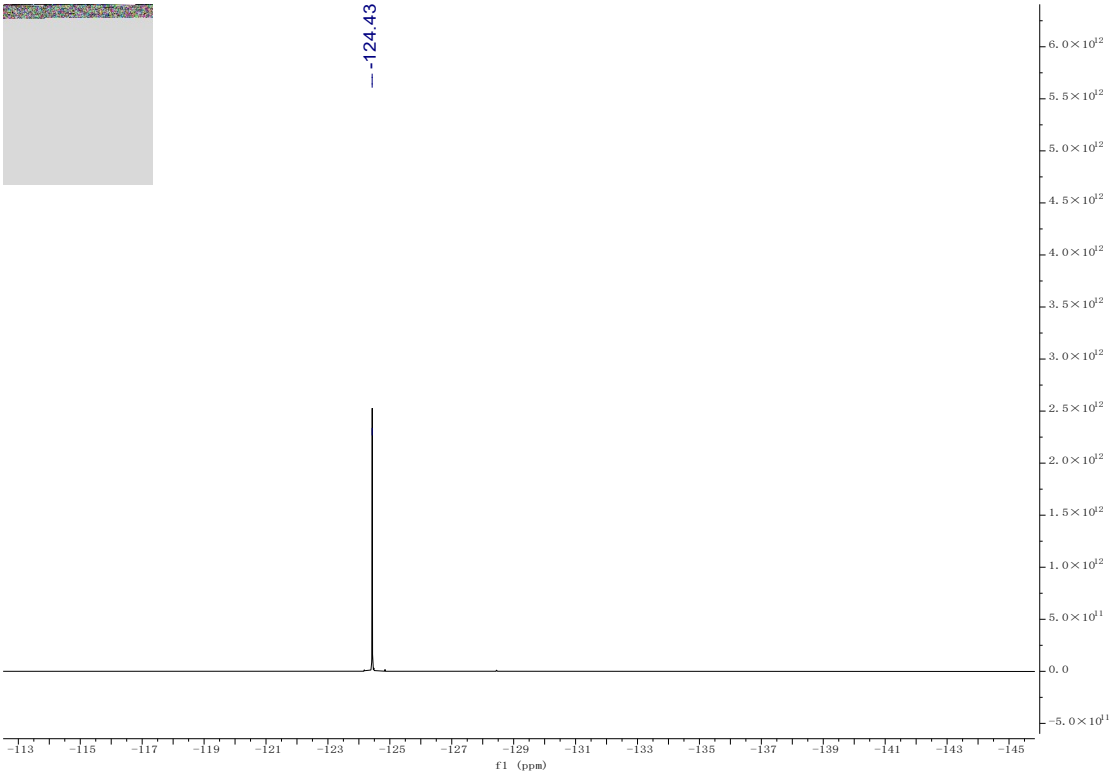
^{1}H NMR (DMSO-d_6, 400 MHz) of **2g**



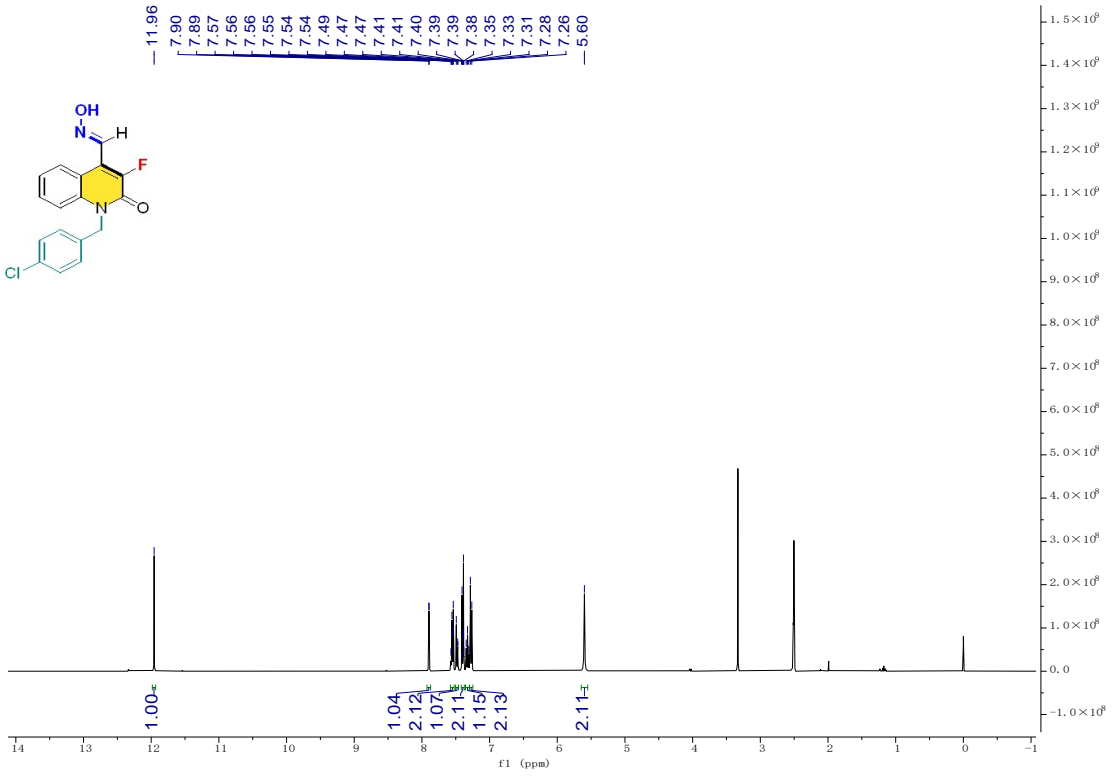
^{13}C NMR (DMSO-d_6, 101 MHz) of **2g**



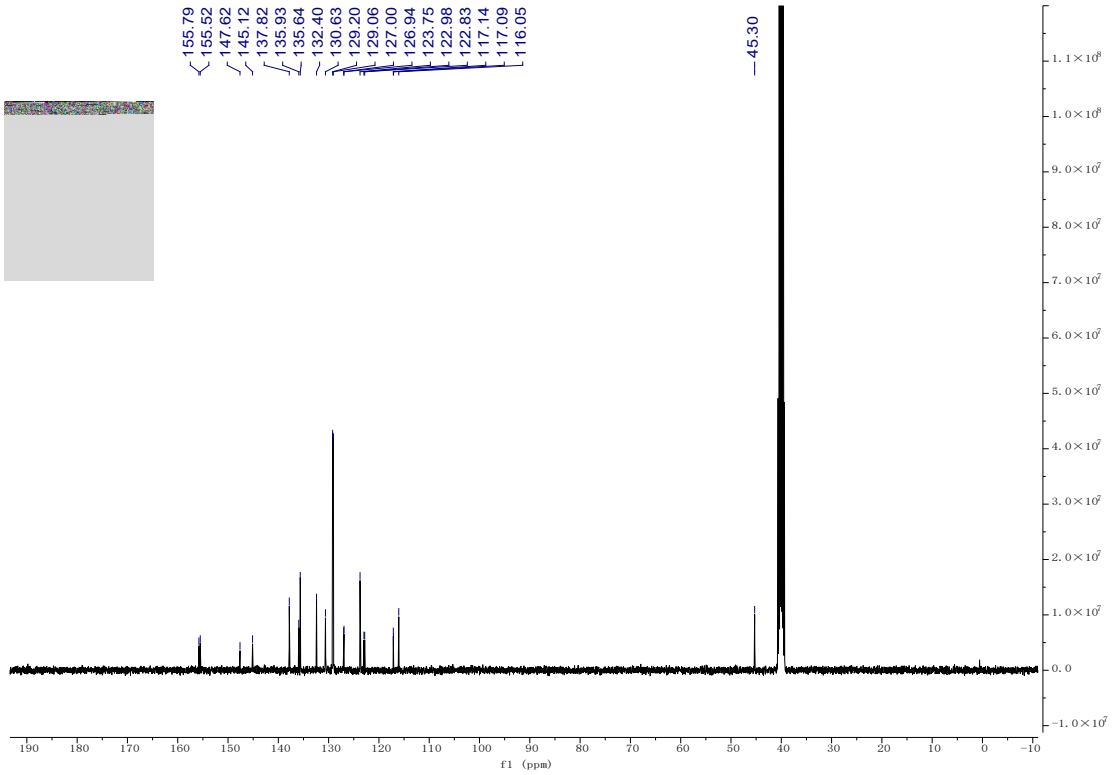
^{19}F NMR (DMSO-d_6, 376 MHz) of **2g**



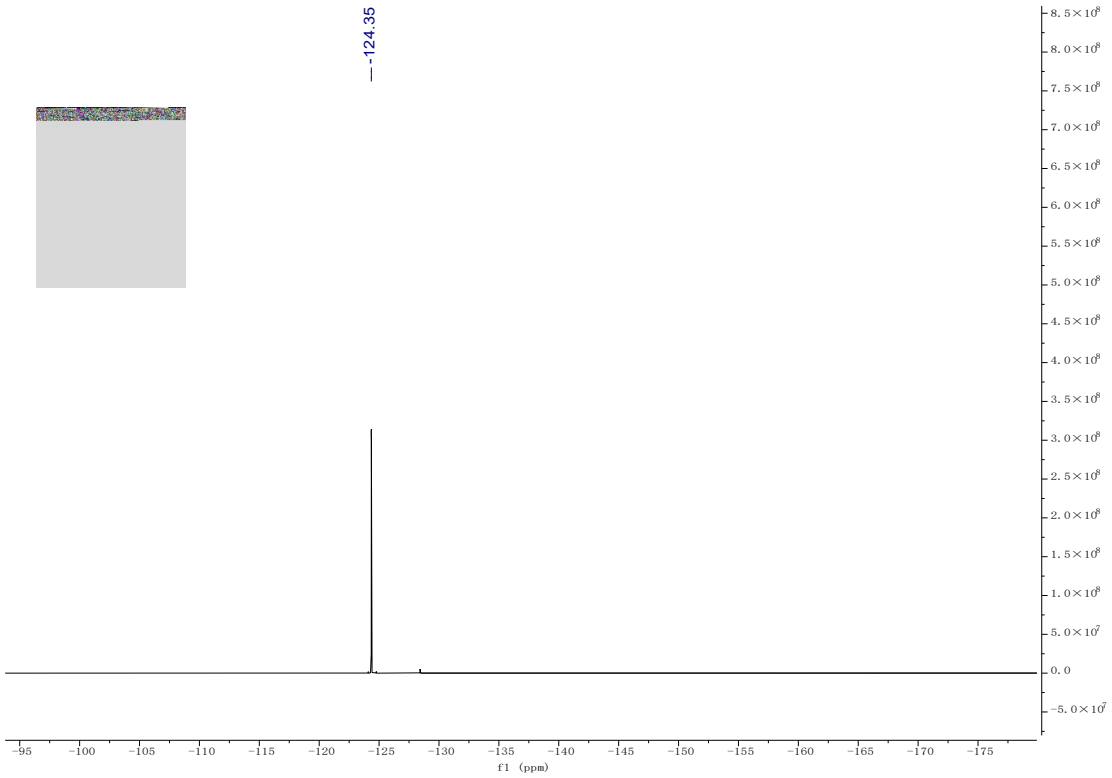
^{1}H NMR (DMSO-d_6, 400 MHz) of **2h**



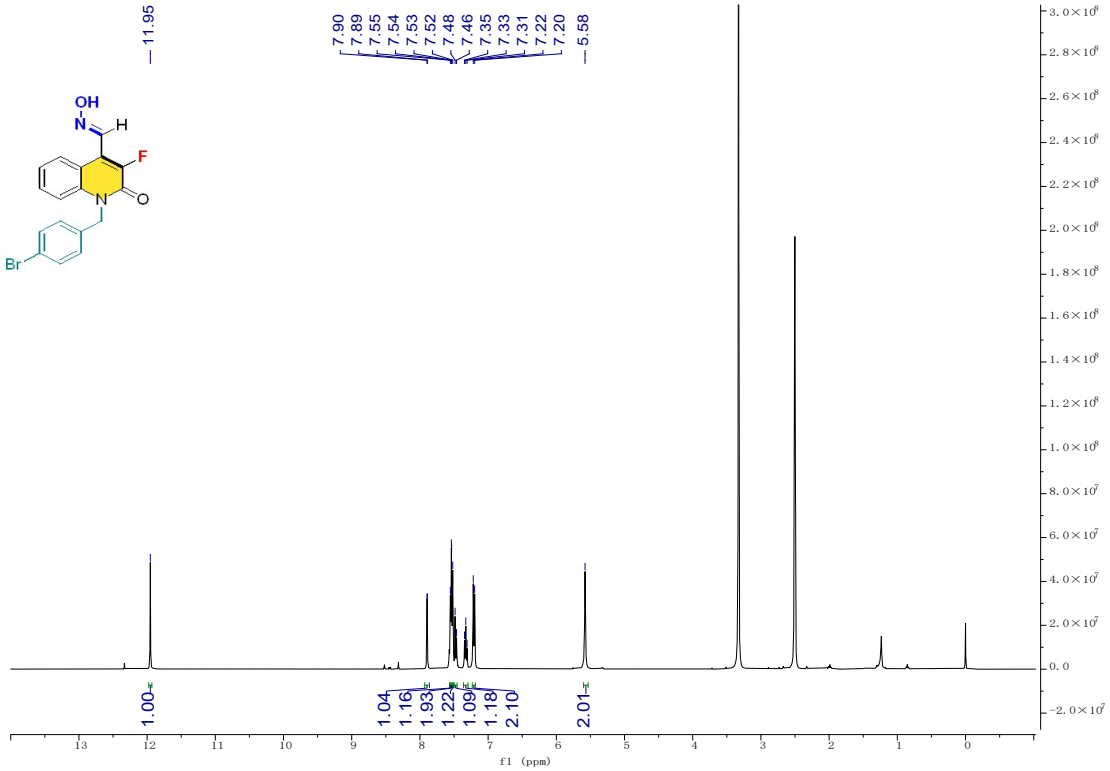
^{13}C NMR (DMSO-d_6, 101 MHz) of **2h**



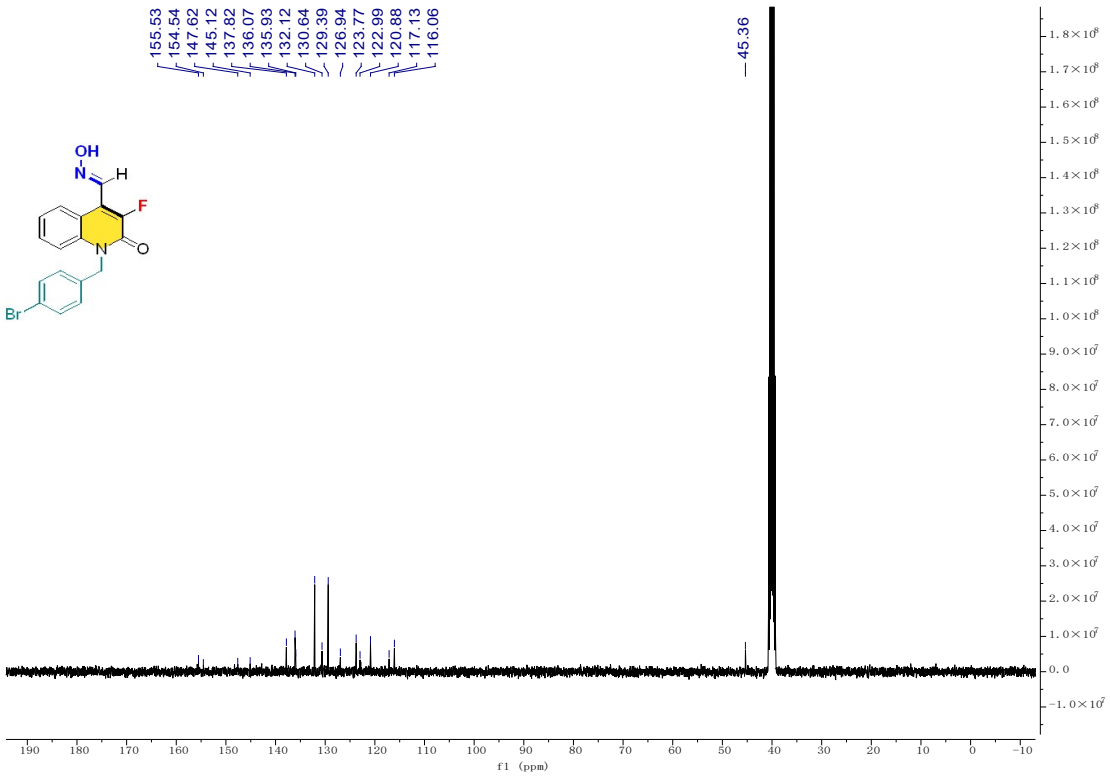
^{19}F NMR (DMSO-d_6, 376 MHz) of **2h**



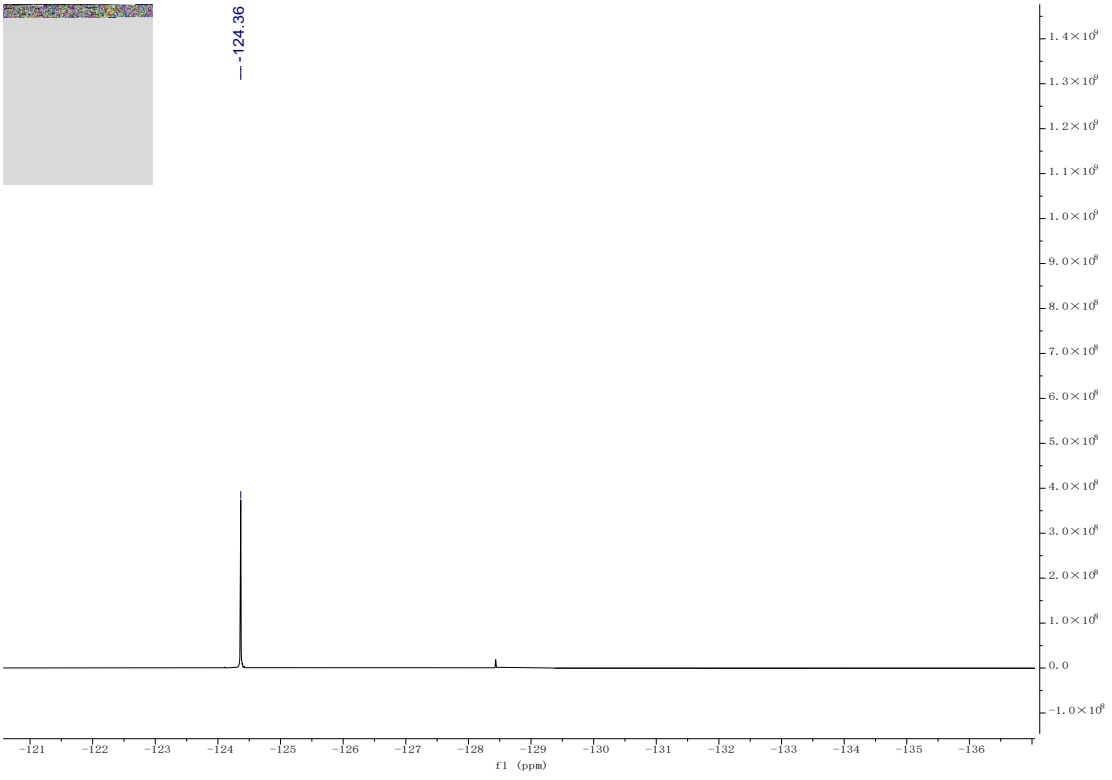
^{1}H NMR (DMSO-d_6, 400 MHz) of **2i**



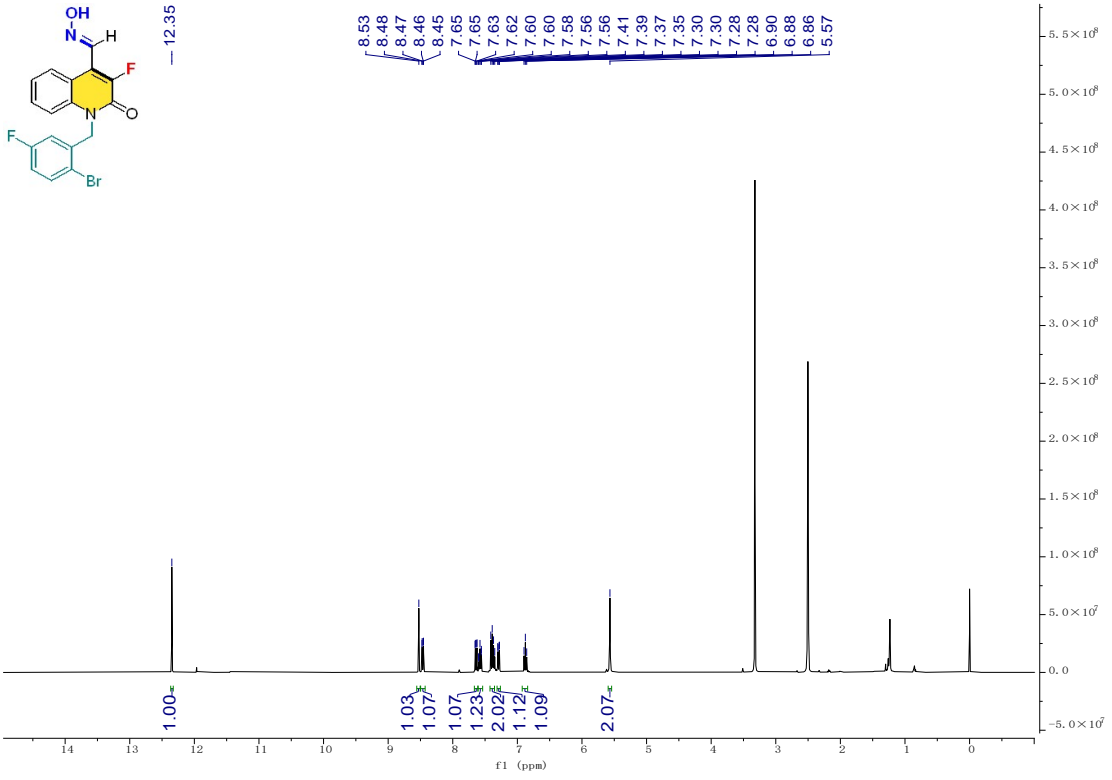
^{13}C NMR (DMSO-d_6, 101 MHz) of **2i**



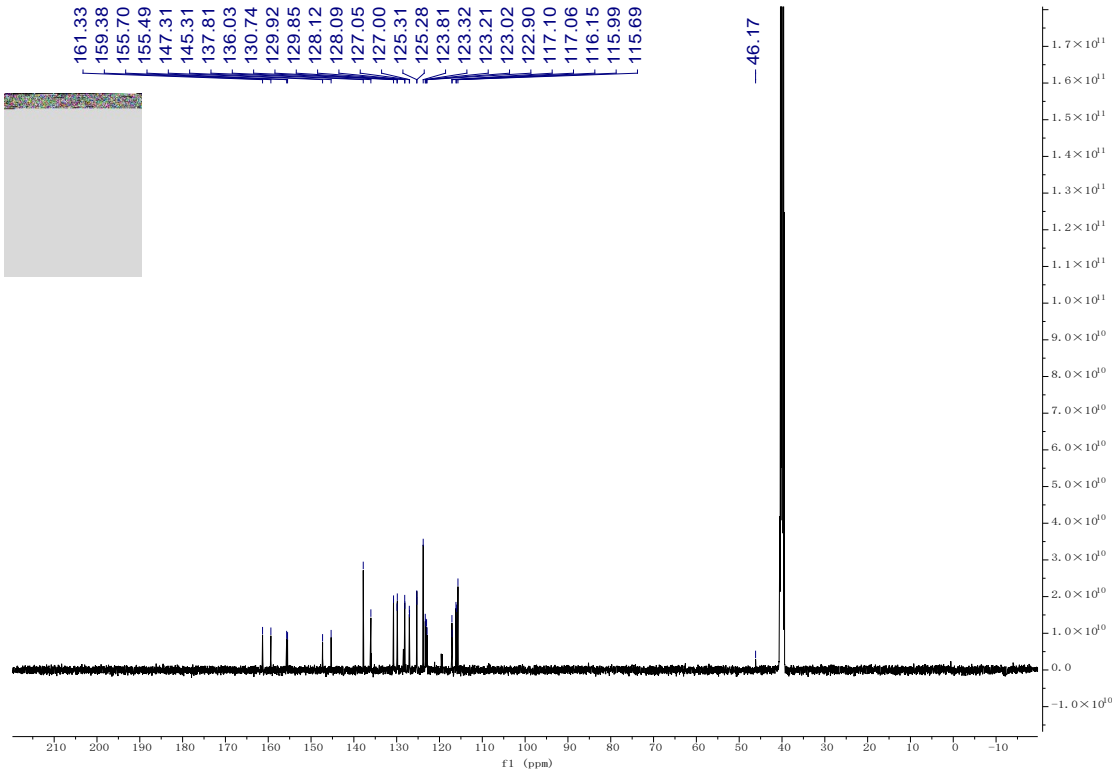
^{19}F NMR (DMSO-d_6, 376 MHz) of **2i**



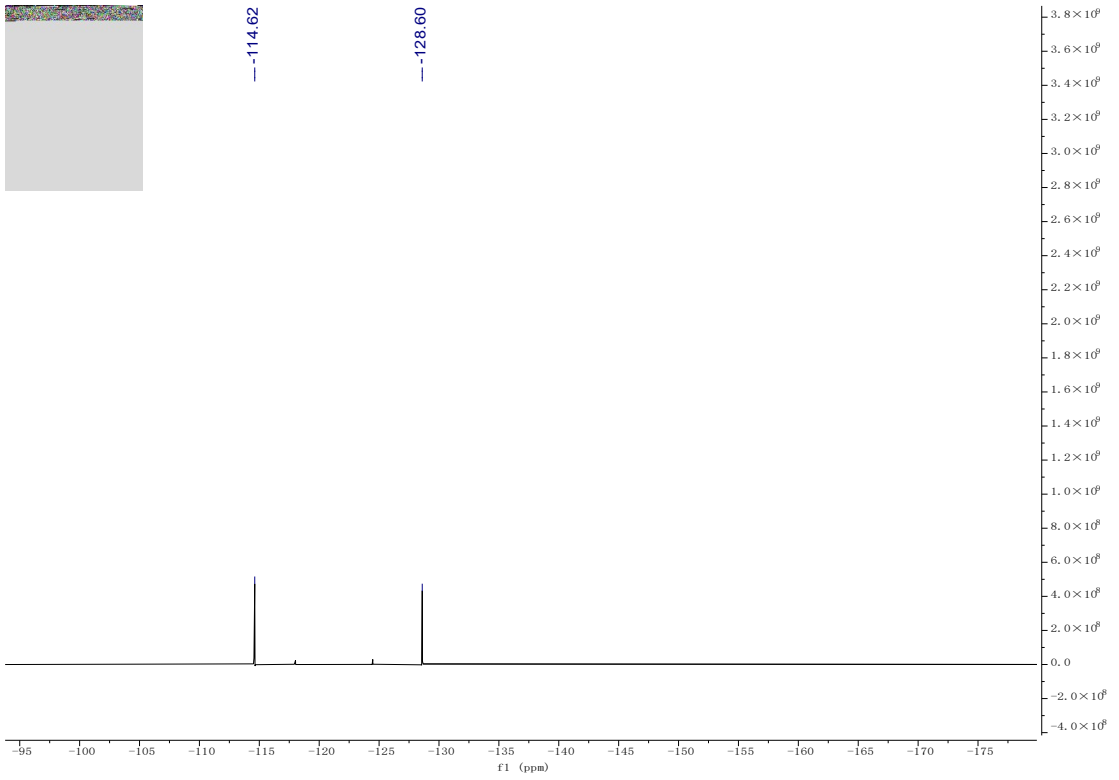
^{1}H NMR (DMSO-d_6, 400 MHz) of **2j**



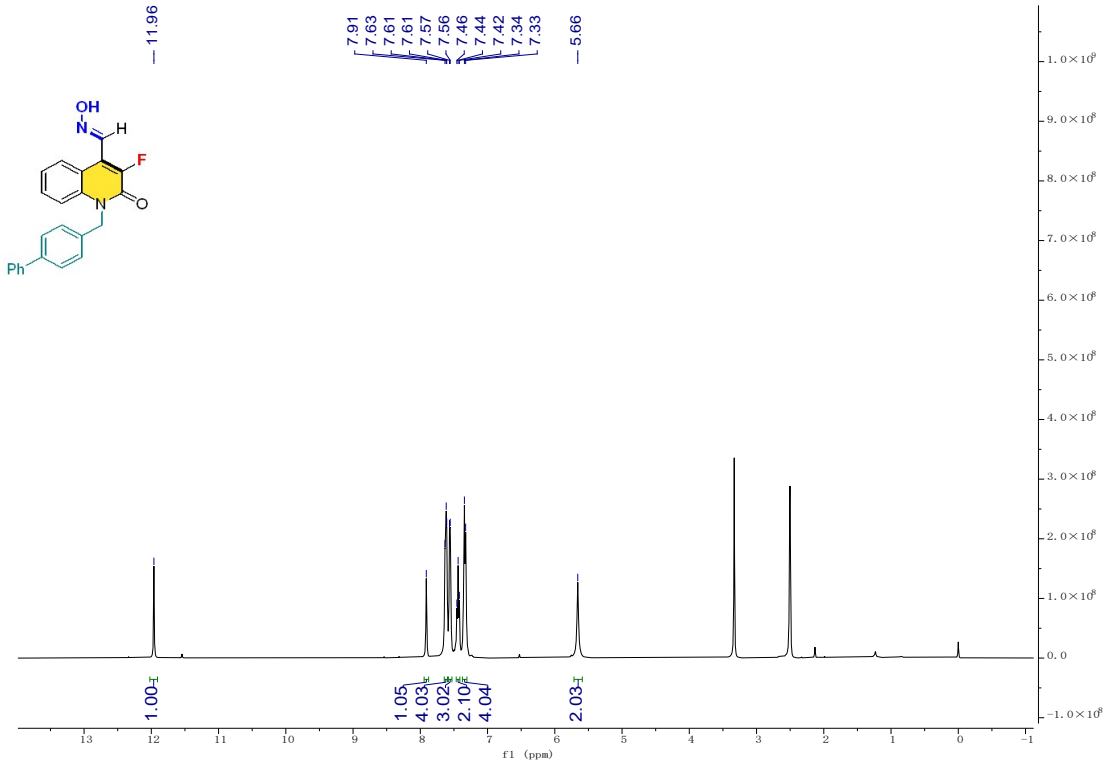
^{13}C NMR (DMSO-d_6, 126 MHz) of **2j**



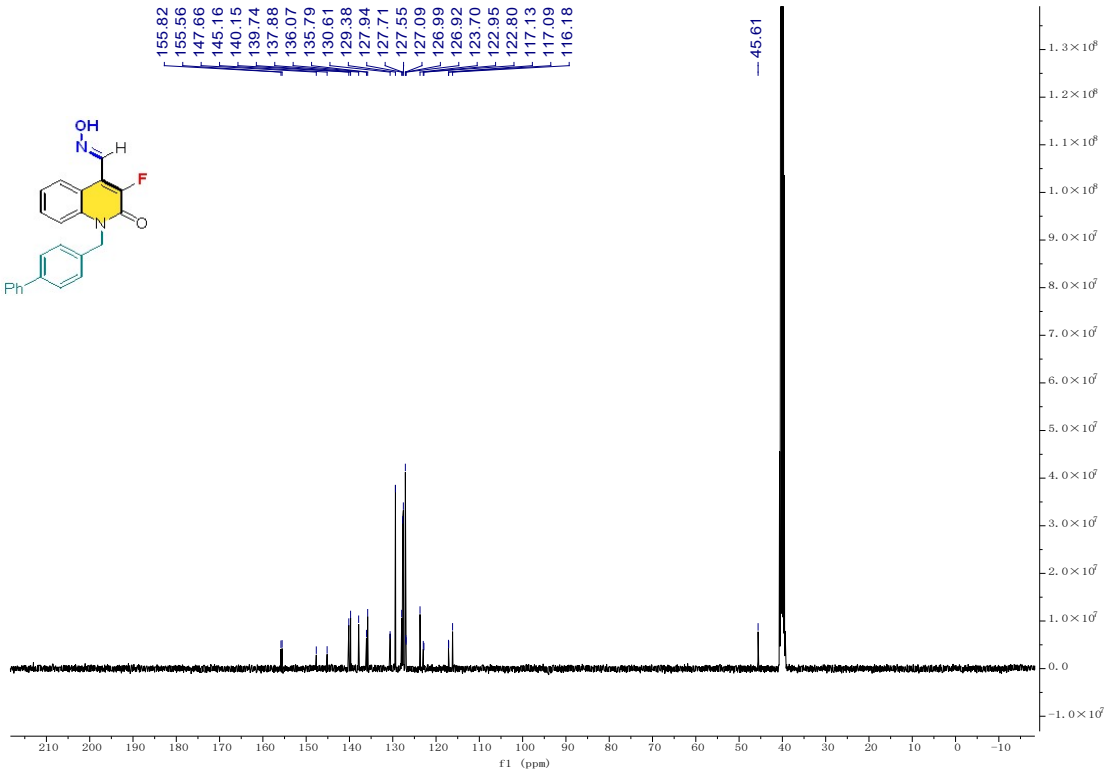
^{19}F NMR (DMSO-d_6, 376 MHz) of **2j**



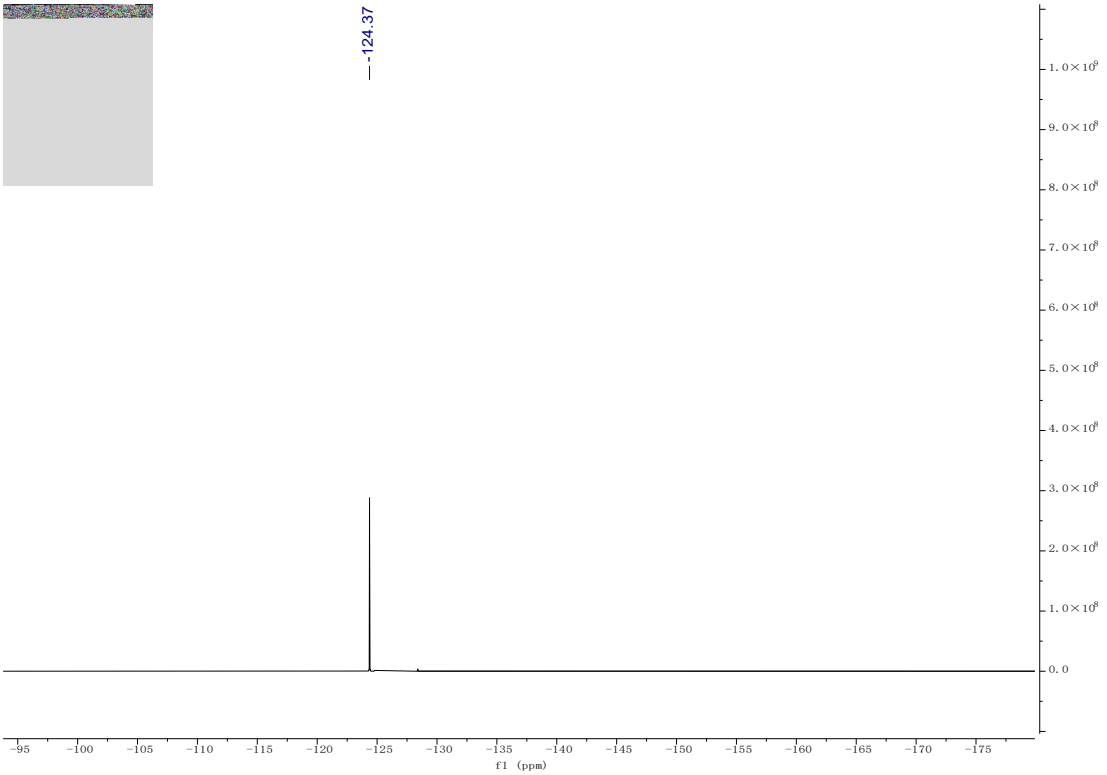
^{1}H NMR (DMSO-d_6, 400 MHz) of **2k**



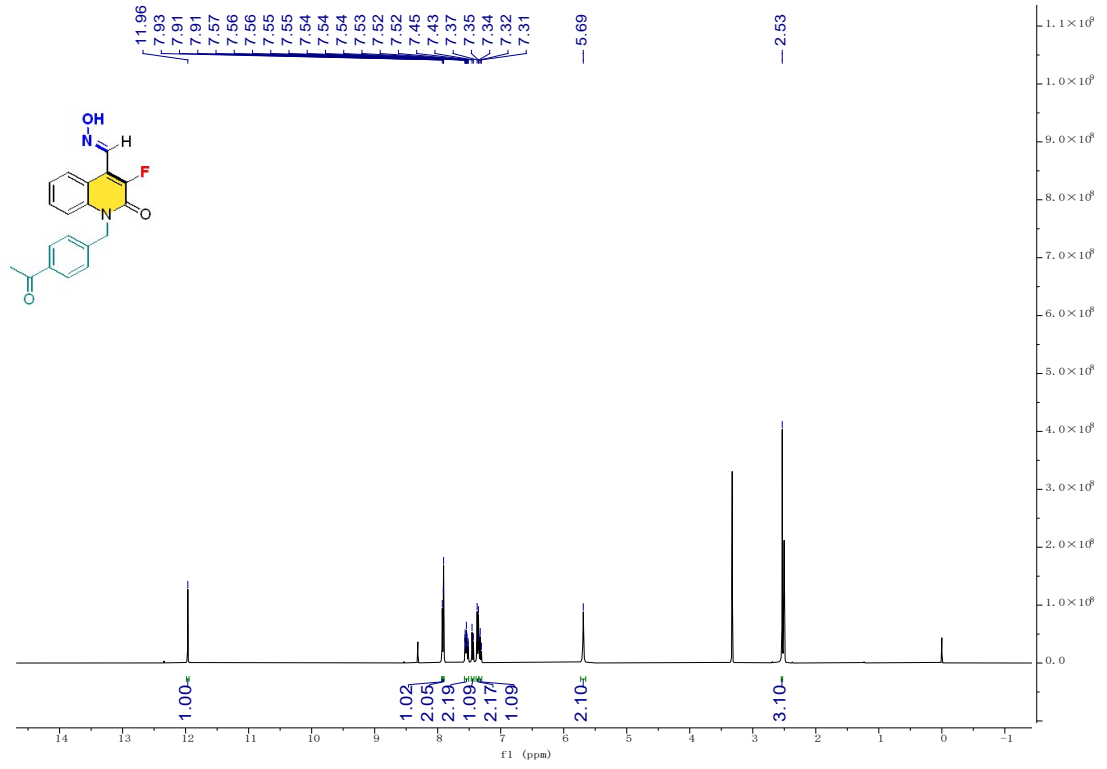
^{13}C NMR (DMSO-d_6, 101 MHz) of **2k**



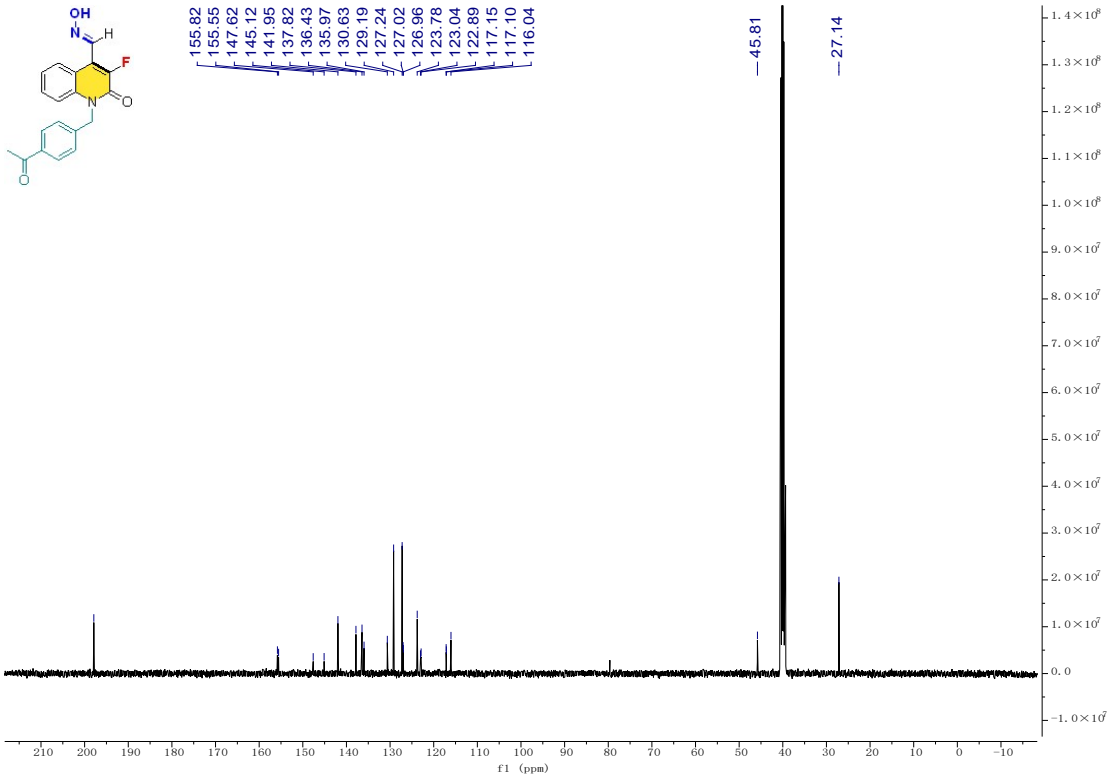
^{19}F NMR (DMSO-d_6, 376 MHz) of **2k**



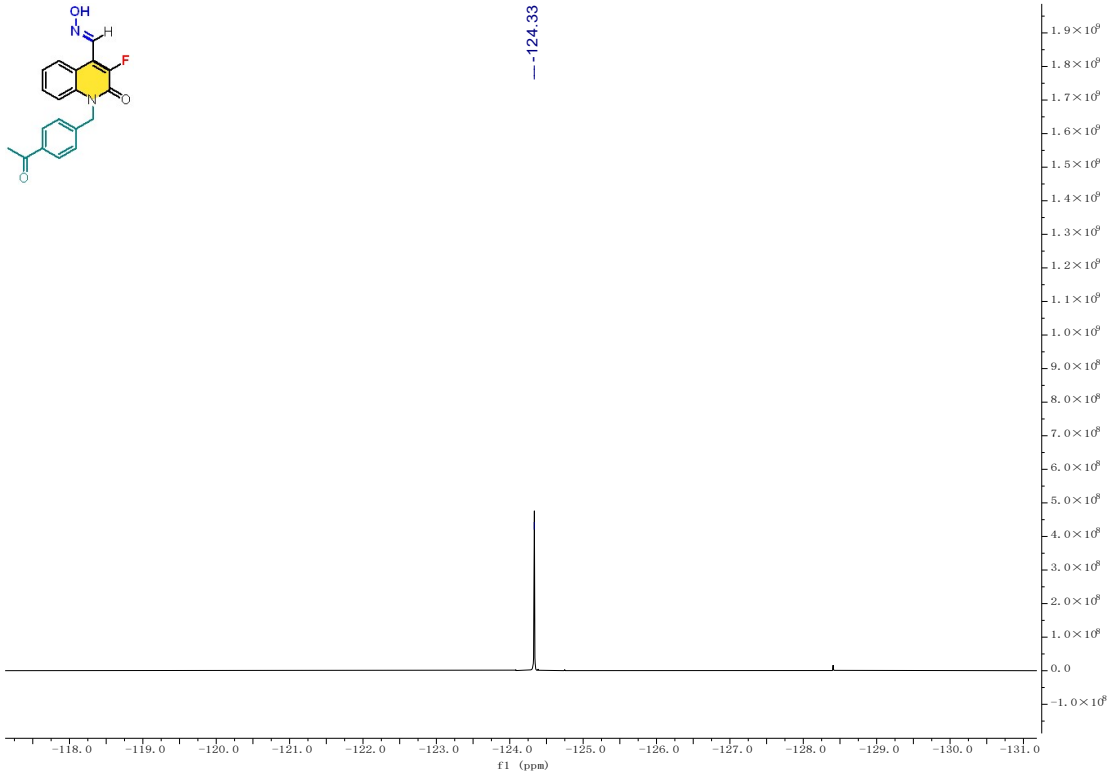
^{1}H NMR (DMSO-d_6, 400 MHz) of **2l**



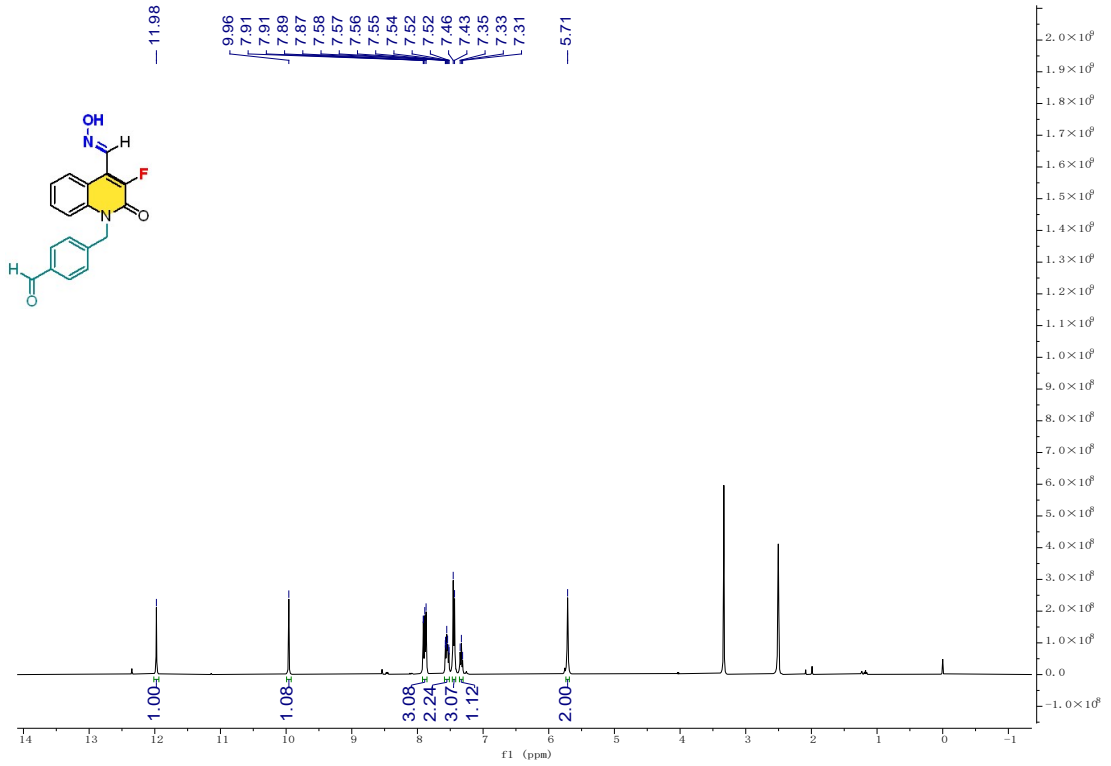
^{13}C NMR (DMSO-d_6, 101 MHz) of **2l**



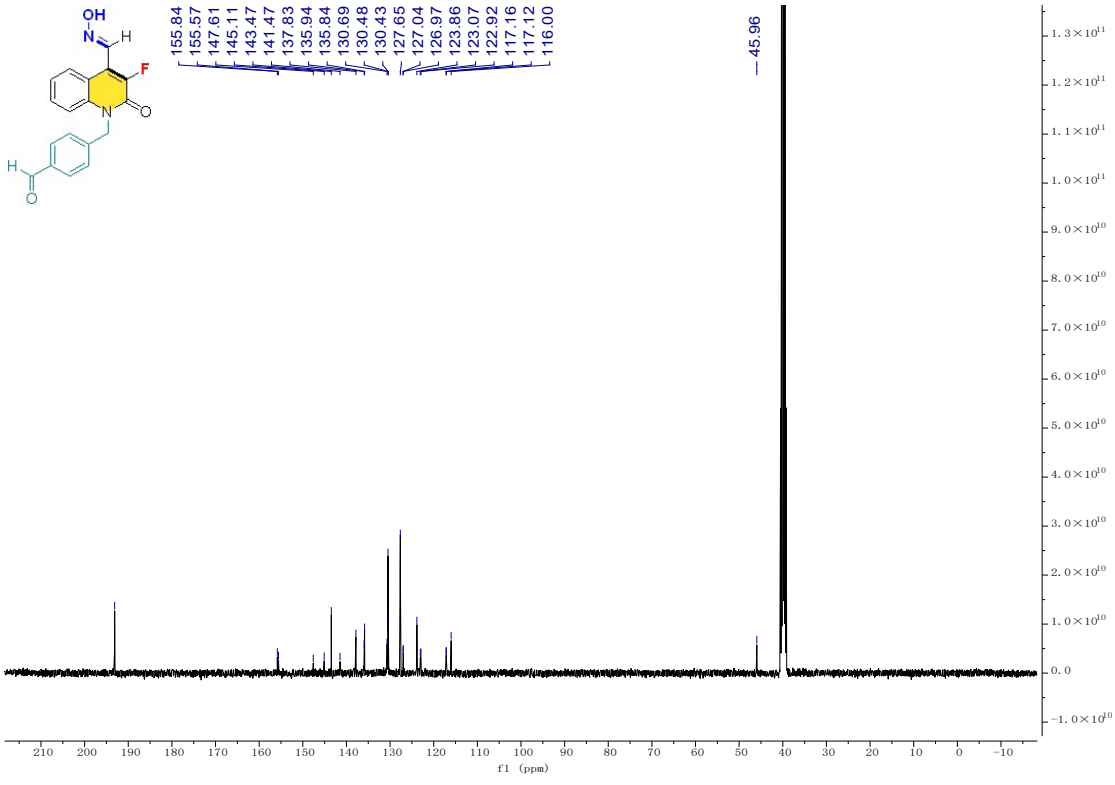
^{19}F NMR (DMSO-d_6, 376 MHz) of **2l**



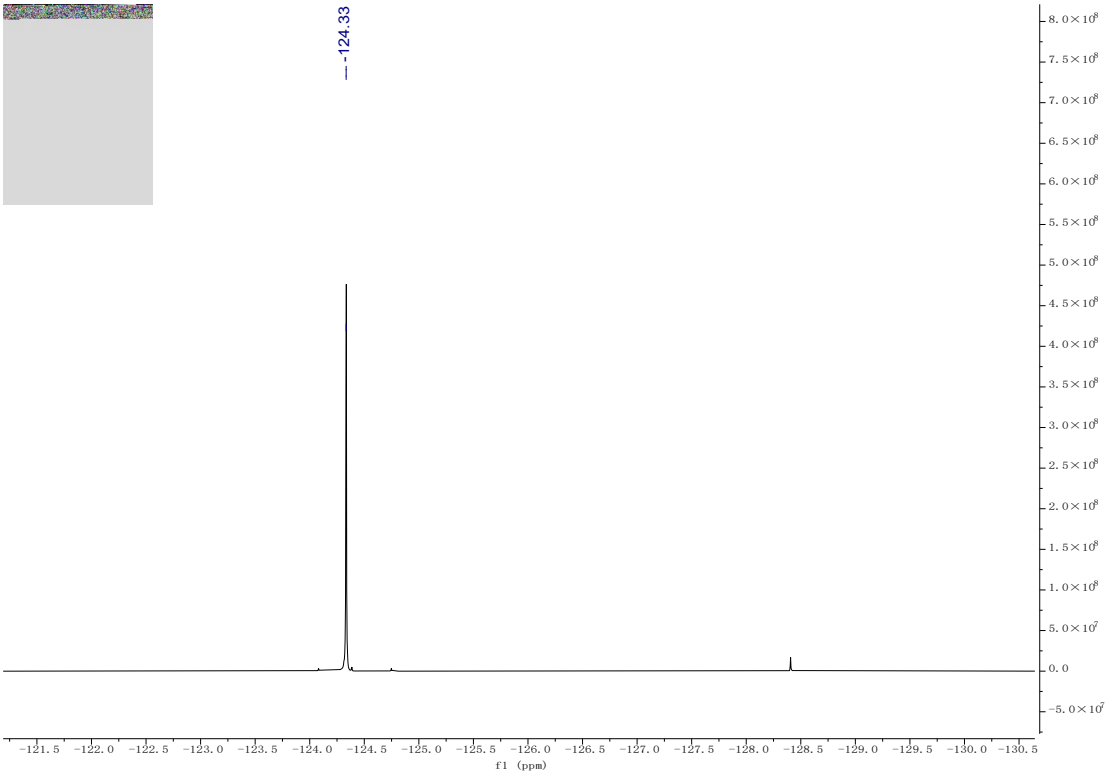
^{1}H NMR (DMSO-d_6, 400 MHz) of **2m**



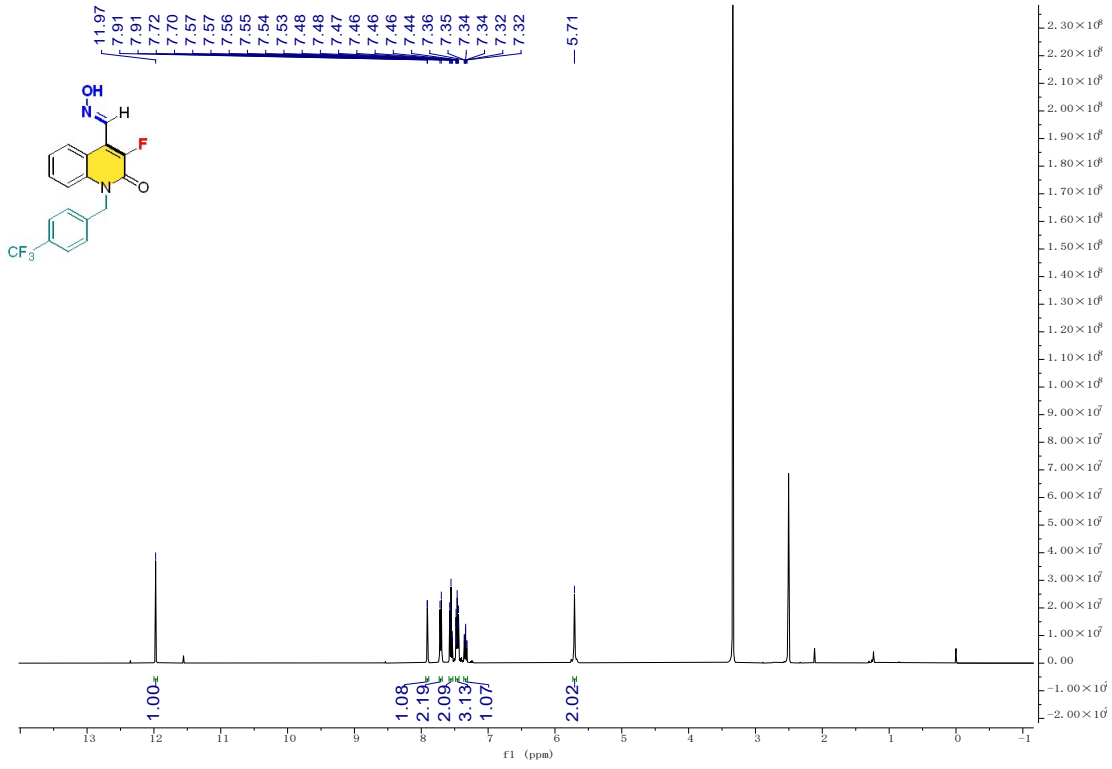
^{13}C NMR (DMSO-d_6, 101 MHz) of **2m**



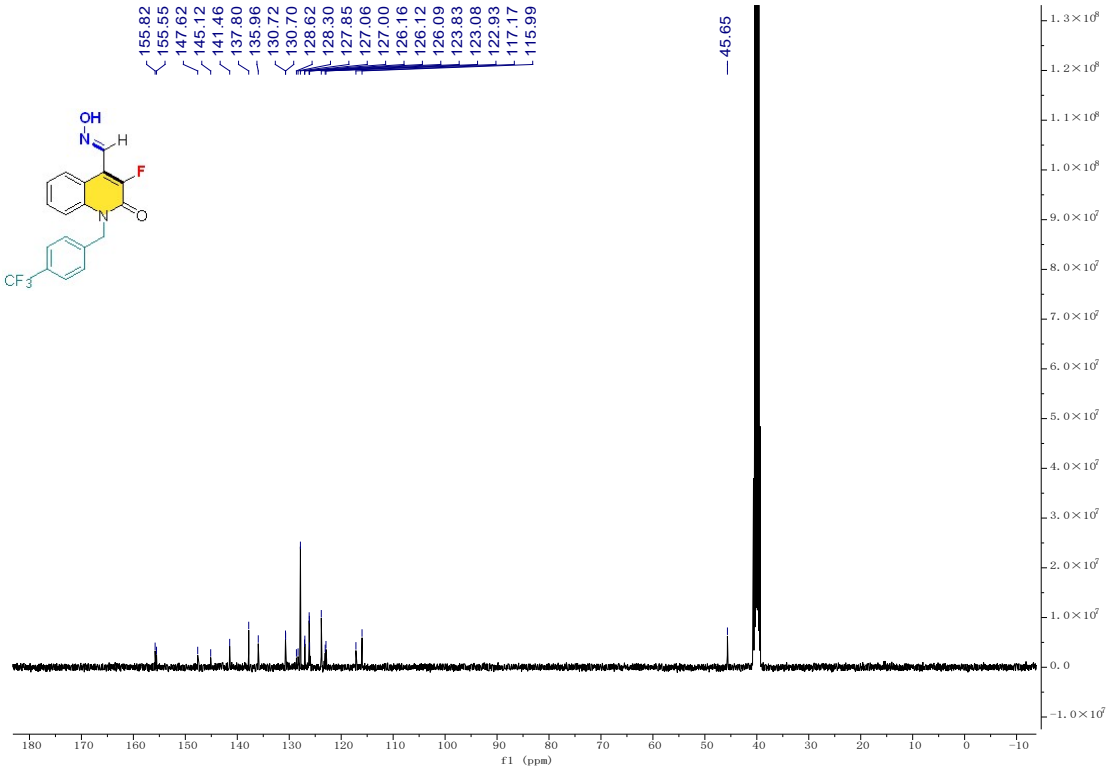
^{19}F NMR (DMSO-d_6, 376 MHz) of **2m**



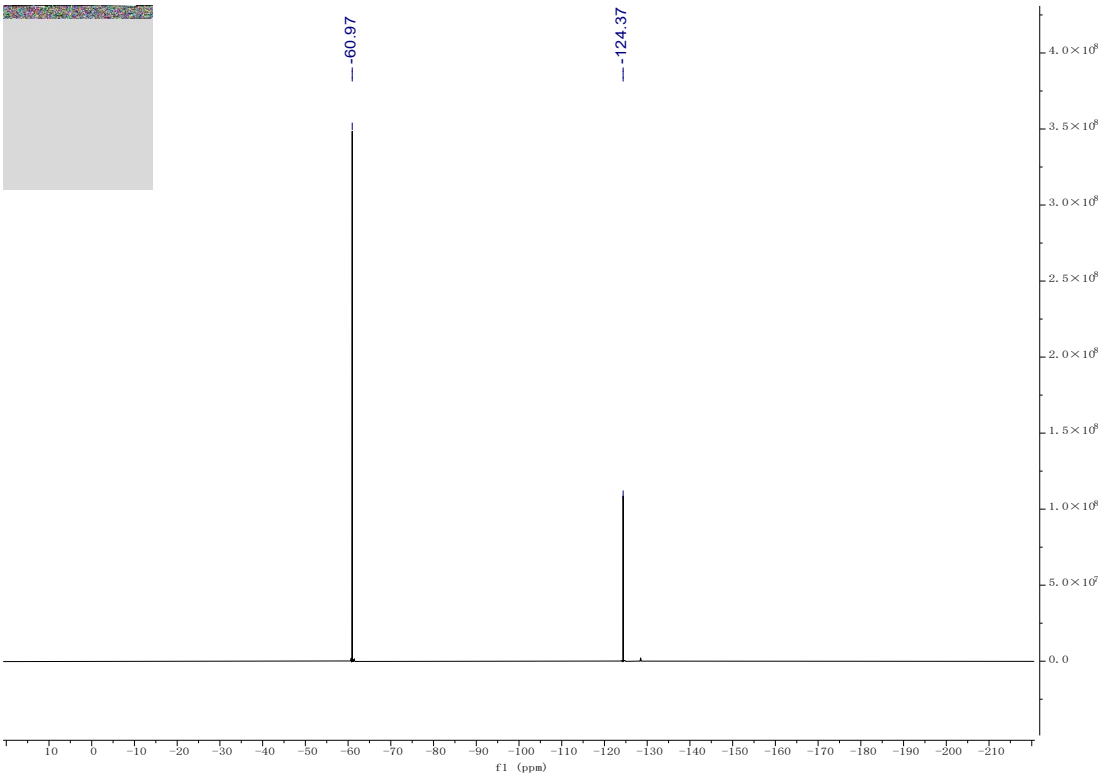
^{1}H NMR (DMSO-d_6, 400 MHz) of **2n**



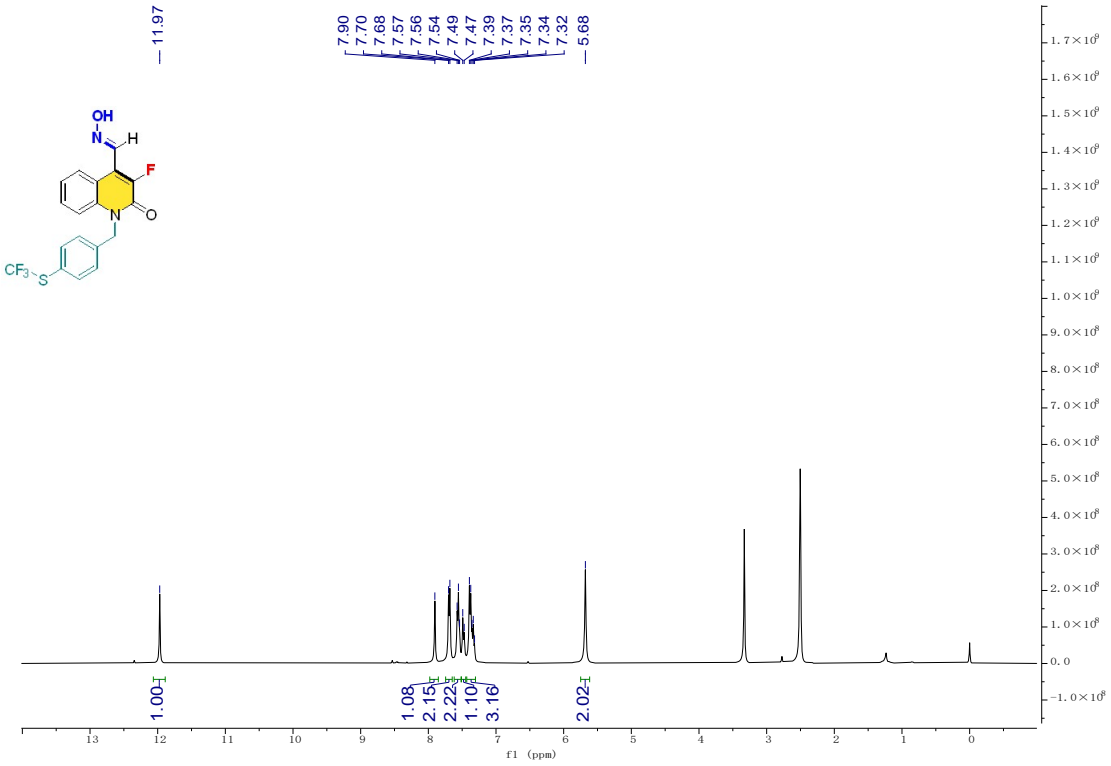
^{13}C NMR (DMSO-d_6, 101 MHz) of **2n**



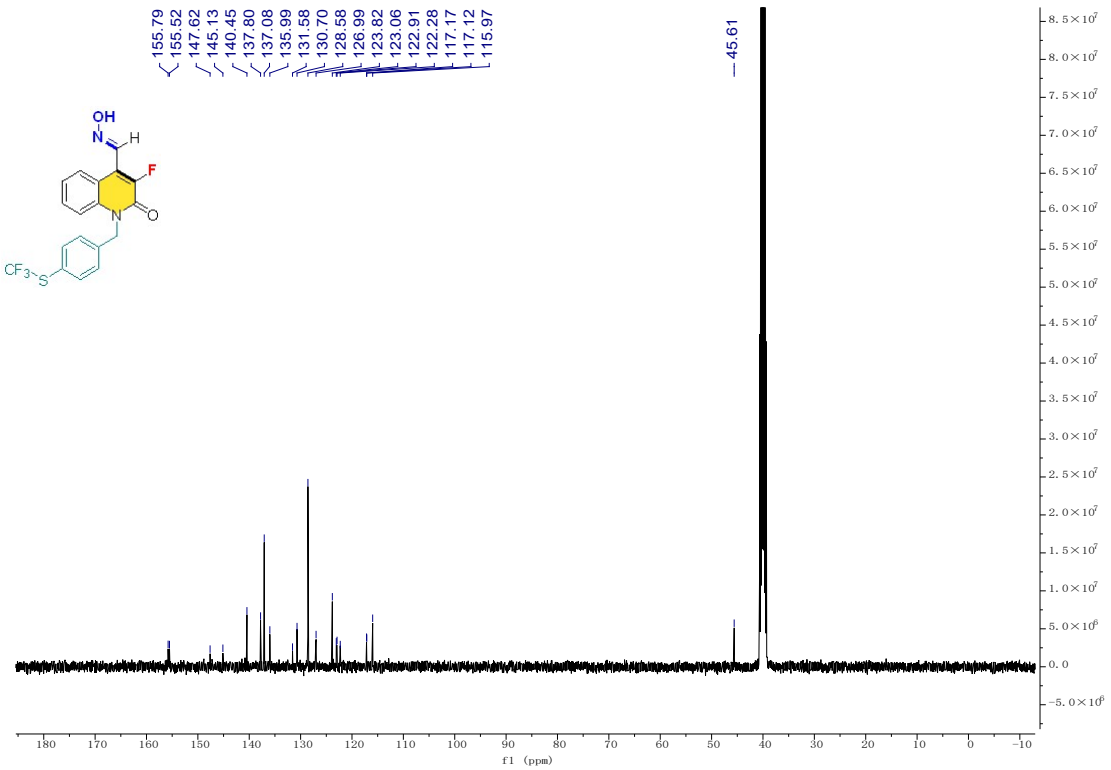
^{19}F NMR (DMSO-d_6, 376 MHz) of **2n**



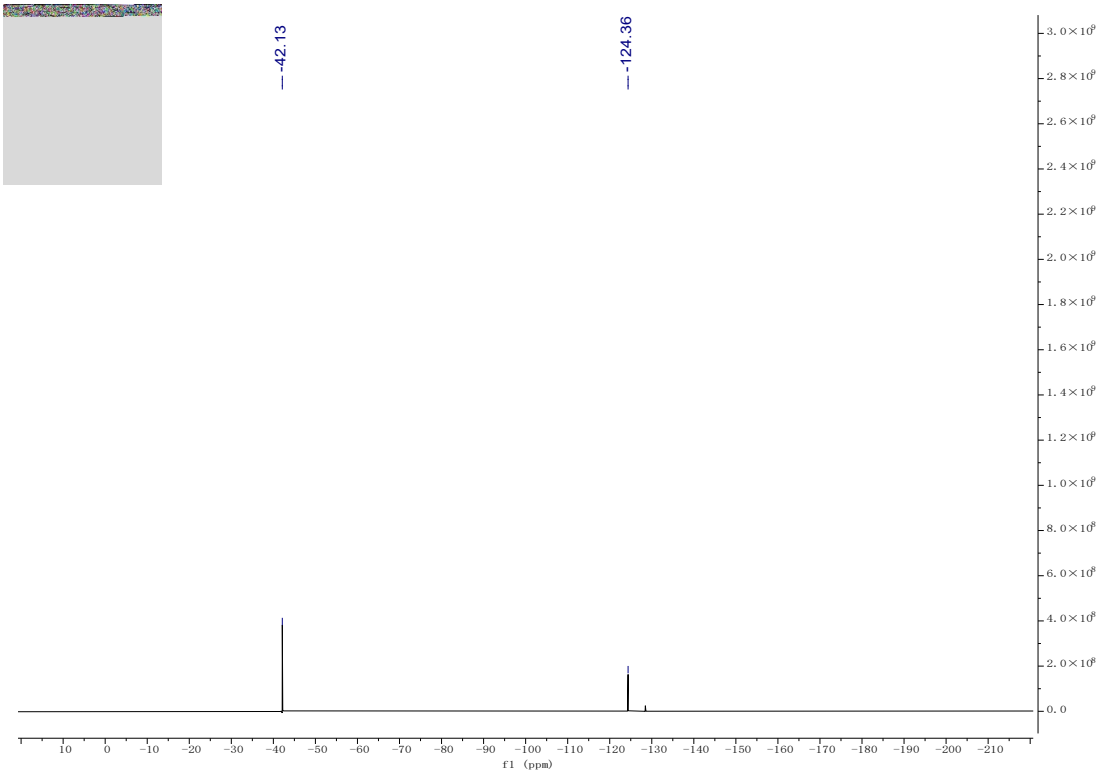
^{1}H NMR (DMSO-d_6, 400 MHz) of **2o**



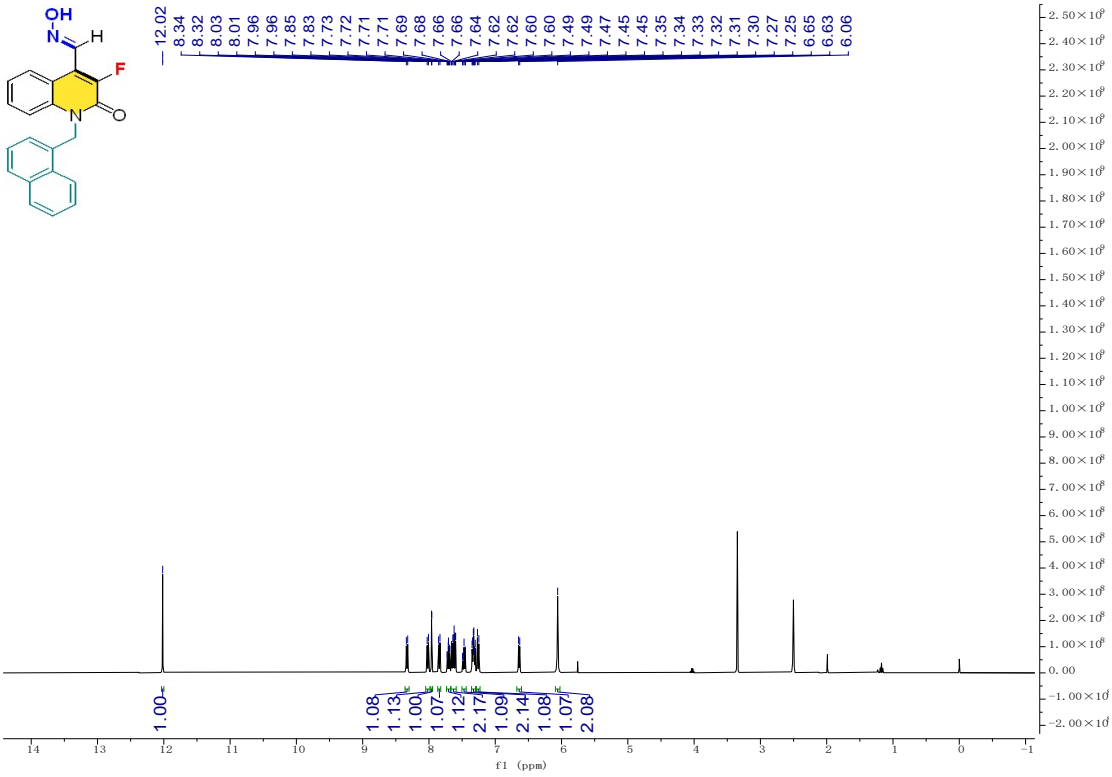
^{13}C NMR (DMSO-d_6, 101 MHz) of **2o**



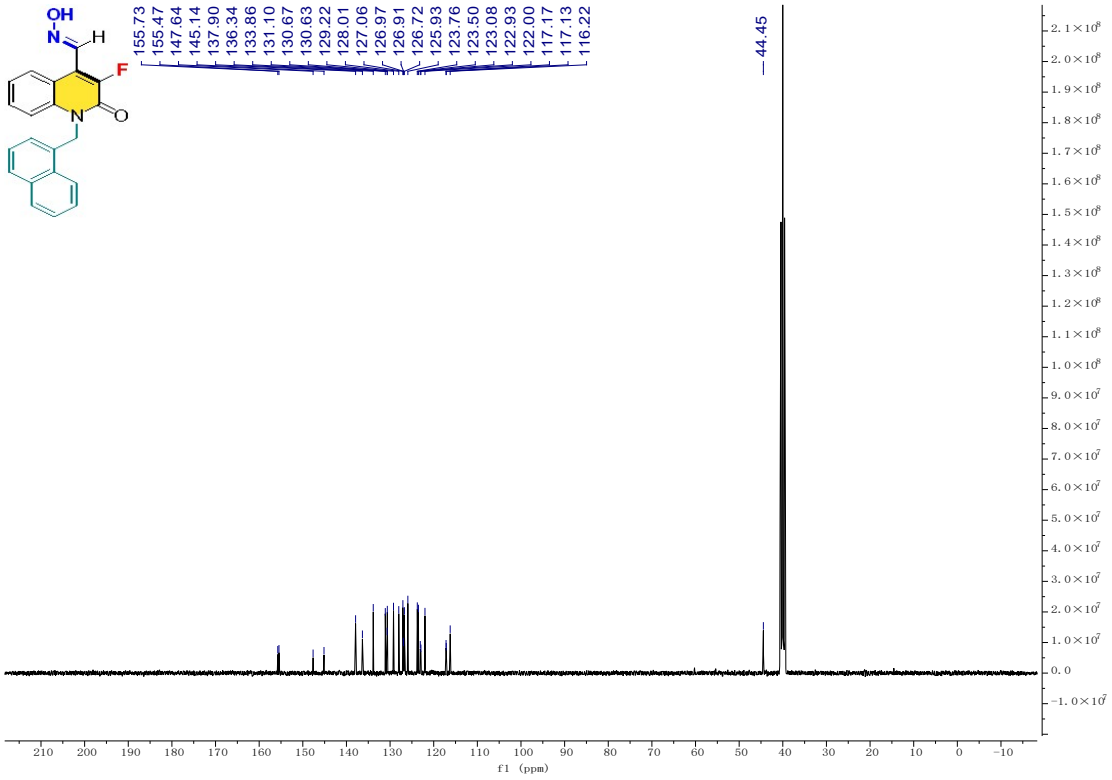
^{19}F NMR (DMSO-d_6, 376 MHz) of **2o**



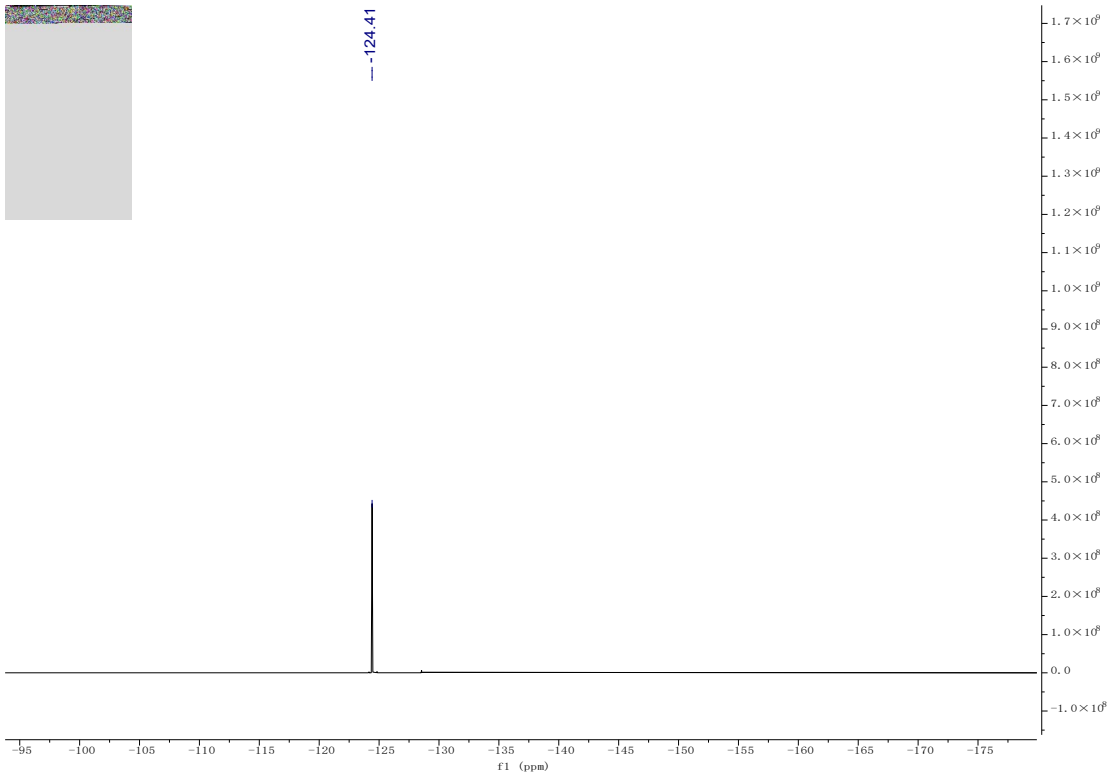
^{1}H NMR (DMSO-d_6, 400 MHz) of **2p**



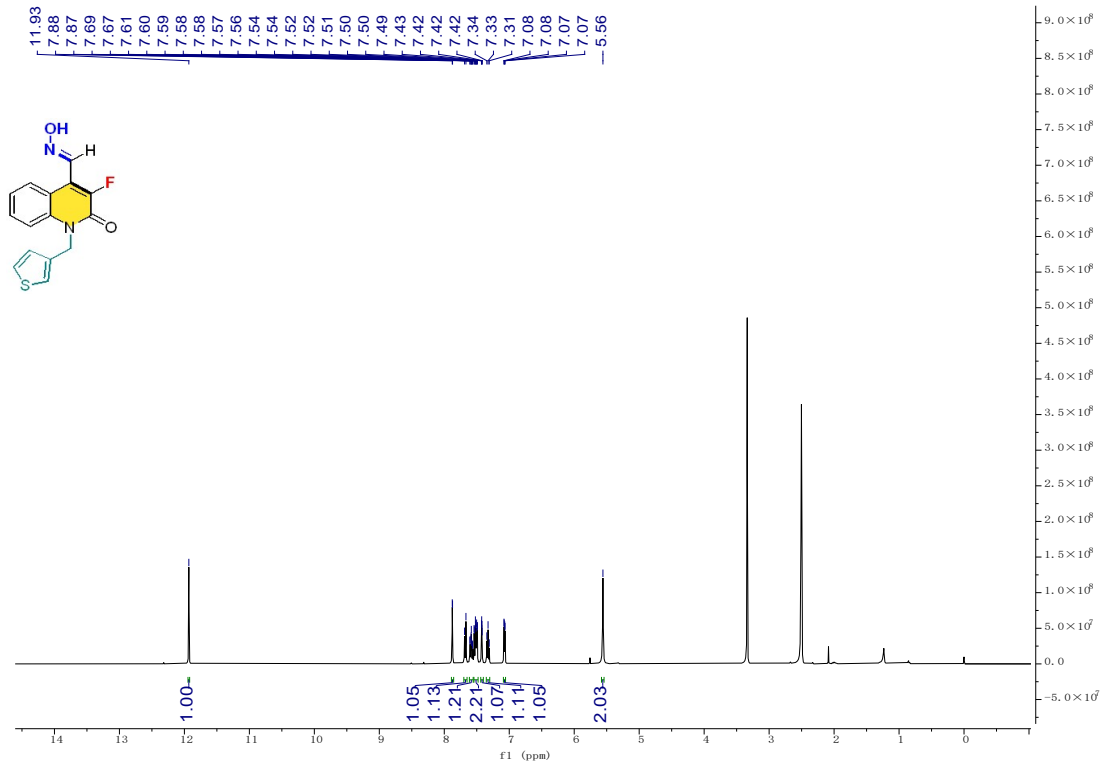
^{13}C NMR (DMSO-d_6, 101 MHz) of **2p**



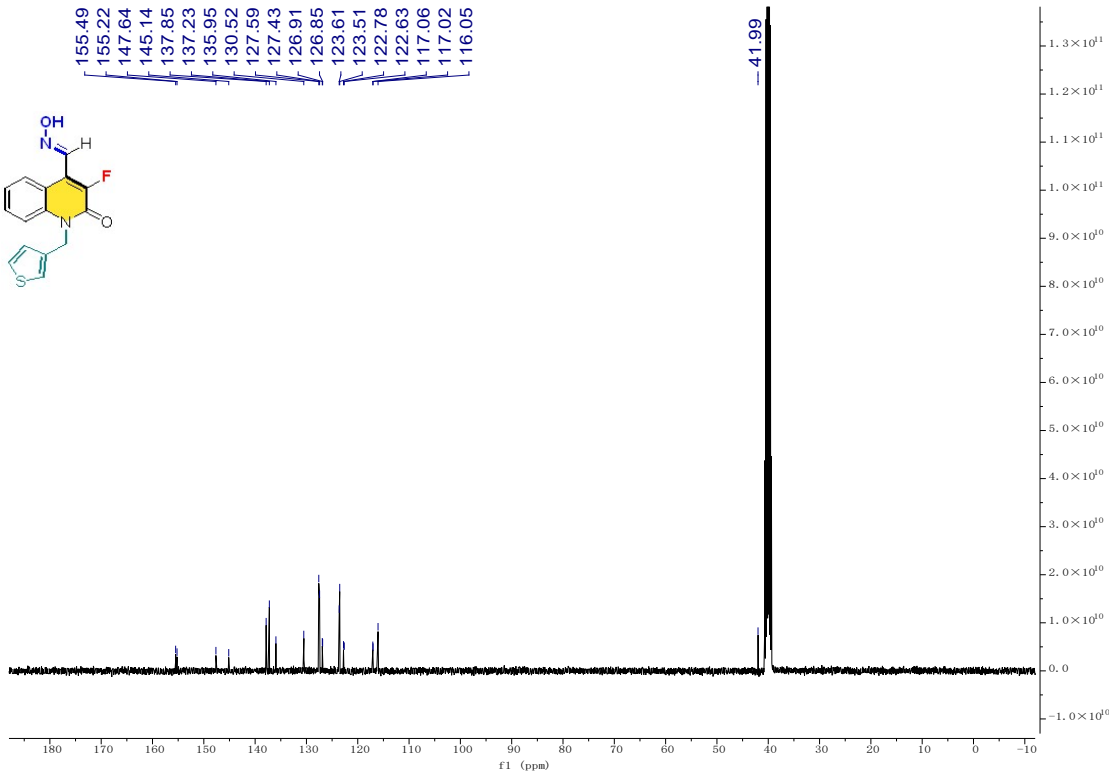
^{19}F NMR (DMSO-d_6, 376 MHz) of **2p**



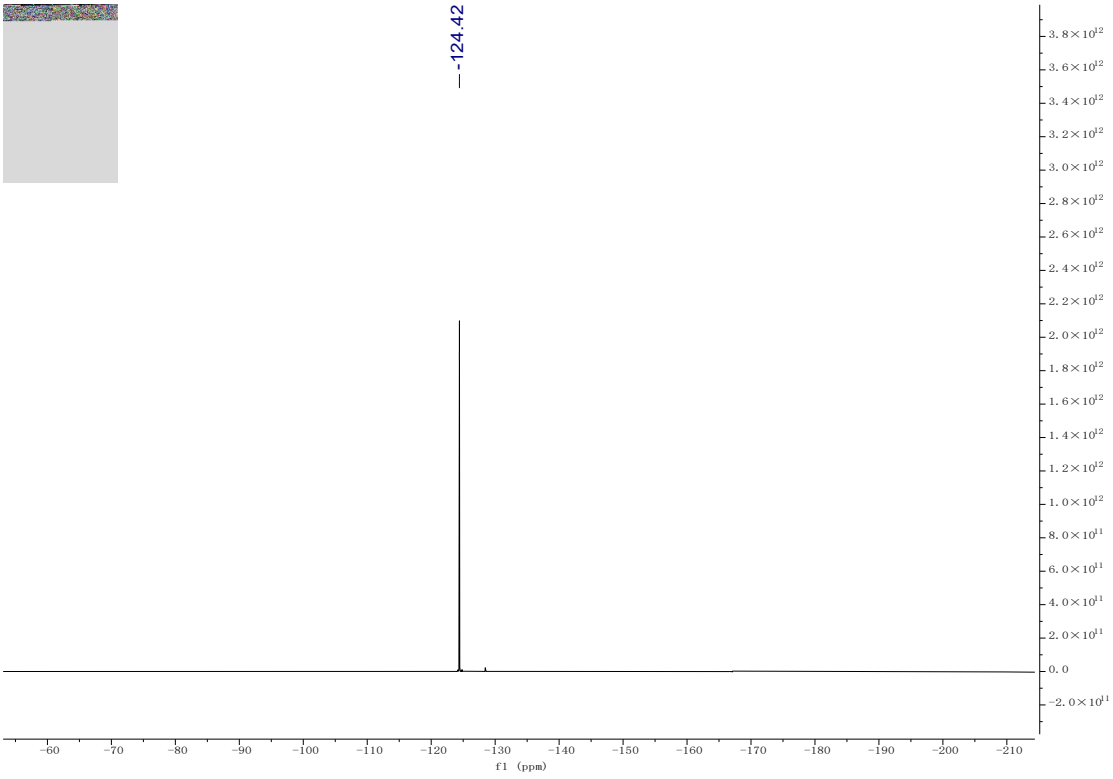
^{1}H NMR (DMSO-d_6, 400 MHz) of **2q**



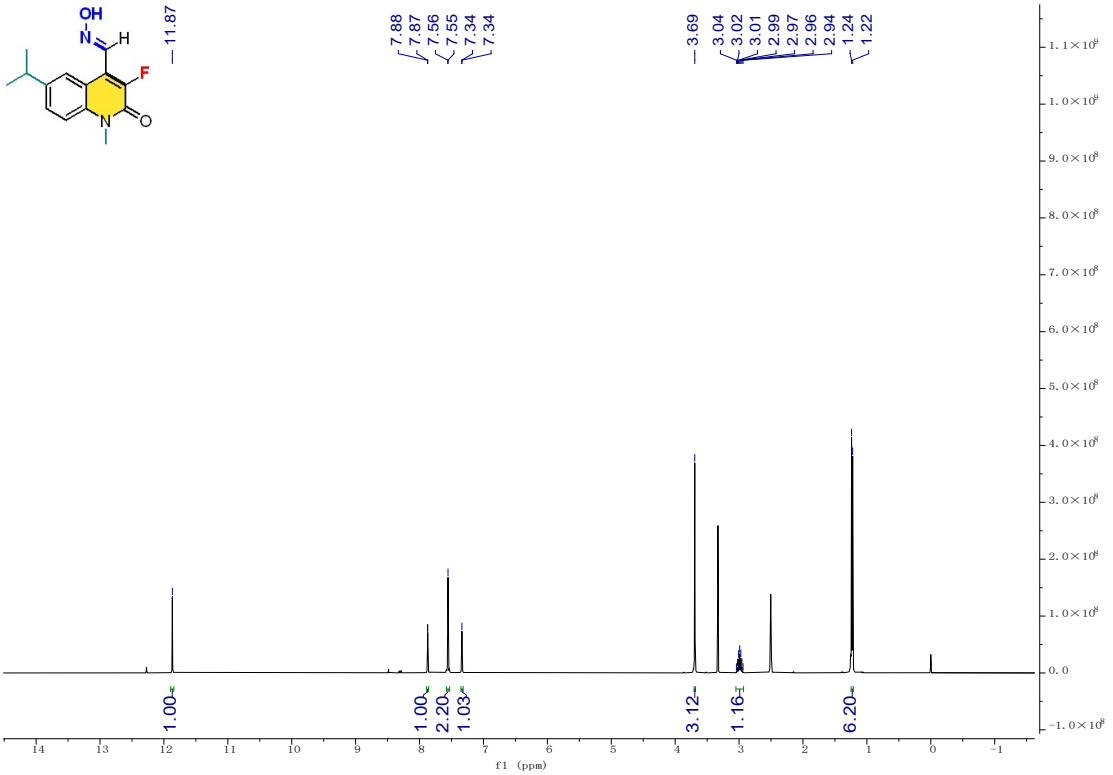
^{13}C NMR (DMSO-d_6, 101 MHz) of **2q**



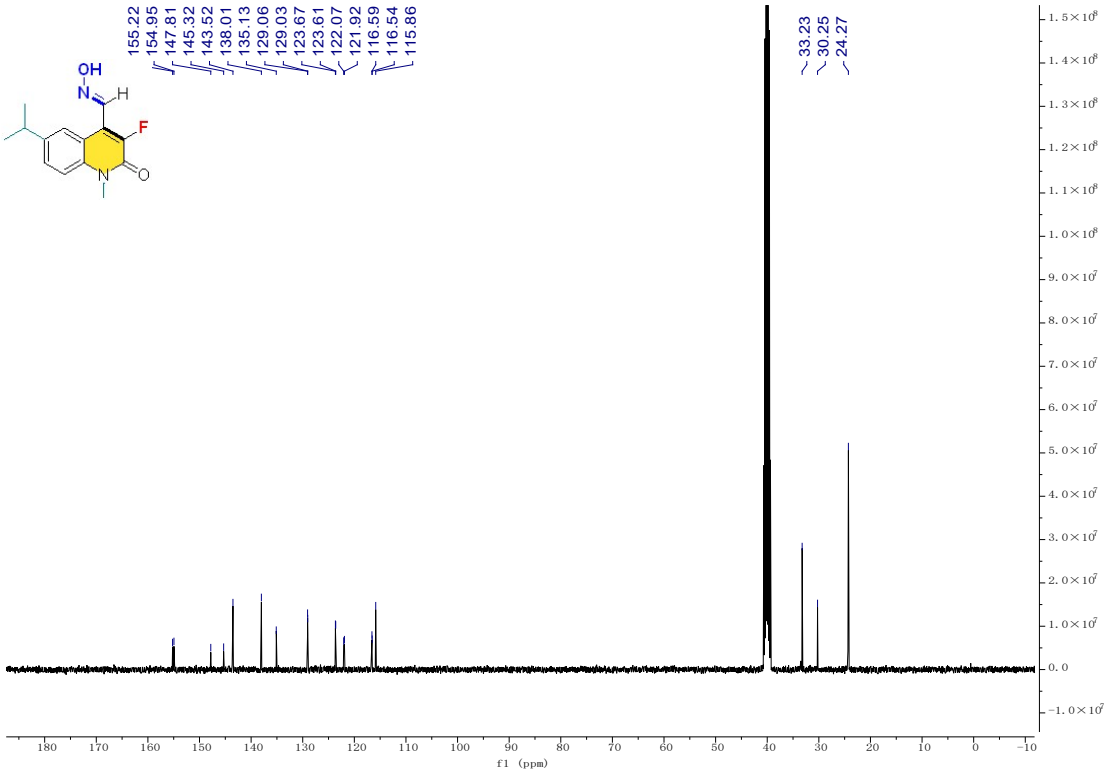
^{19}F NMR (DMSO-d_6, 376 MHz) of **2q**



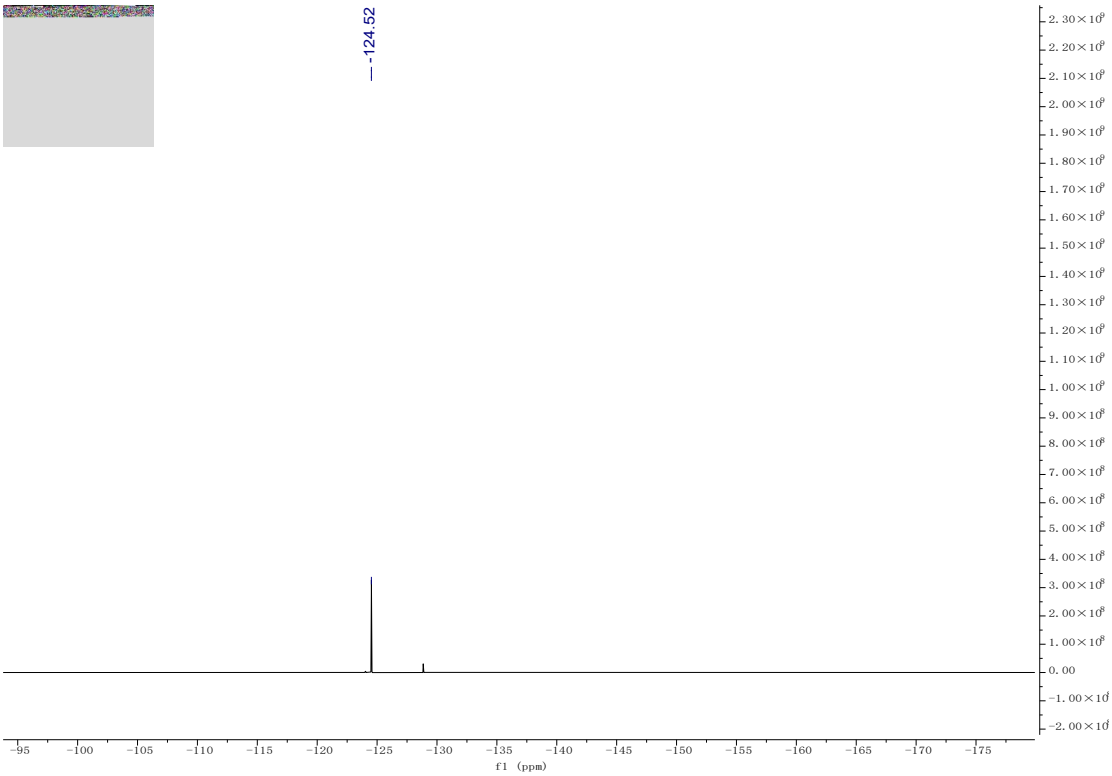
^{1}H NMR (DMSO-d_6, 400 MHz) of **2r**



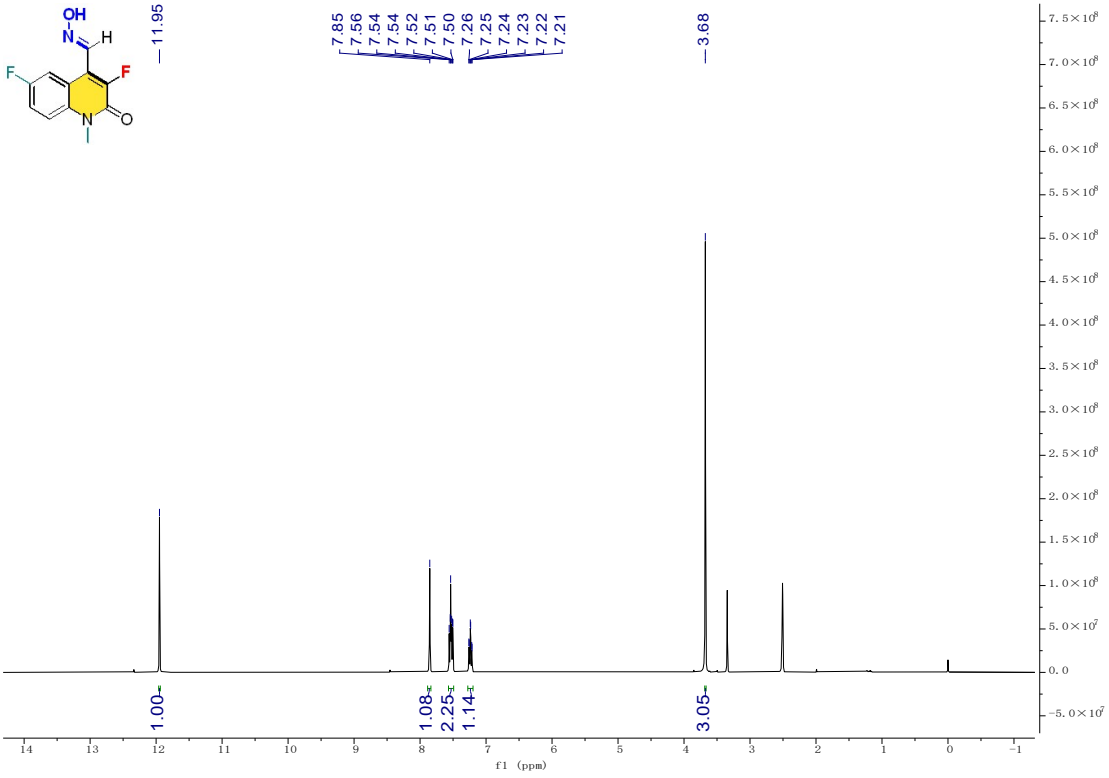
^{13}C NMR (DMSO-d_6, 101 MHz) of **2r**



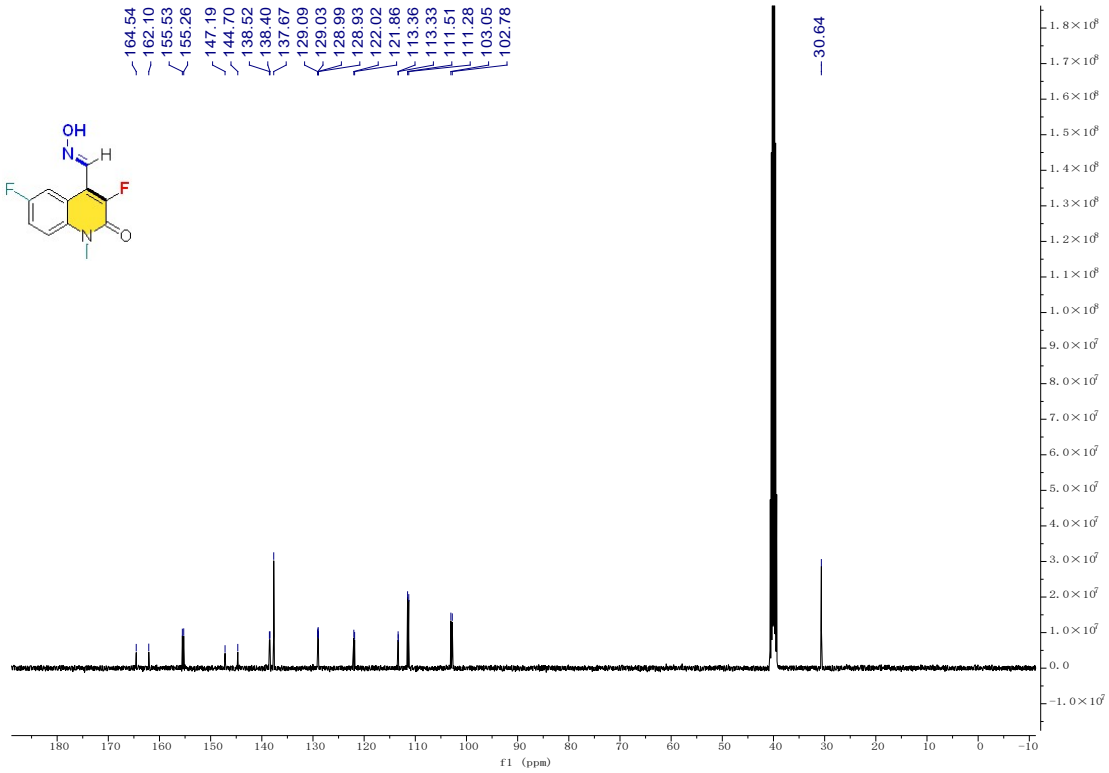
^{19}F NMR (DMSO-d_6, 376 MHz) of **2r**



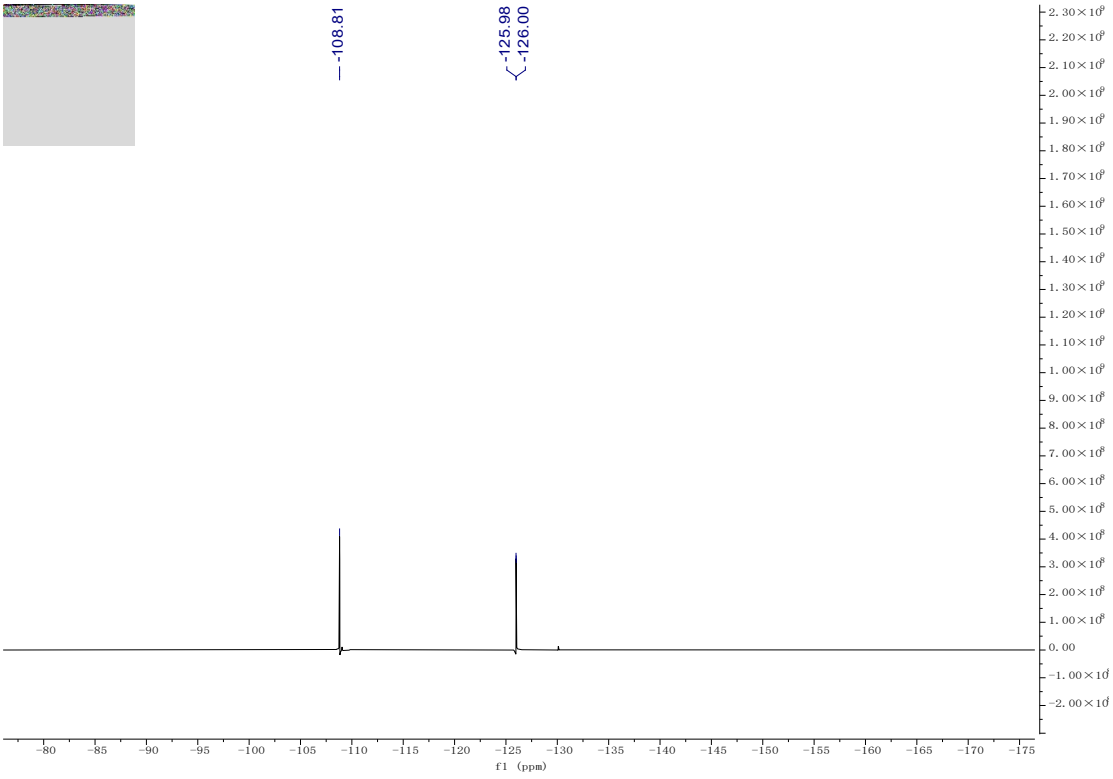
^{1}H NMR (DMSO-d_6, 400 MHz) of **2s**



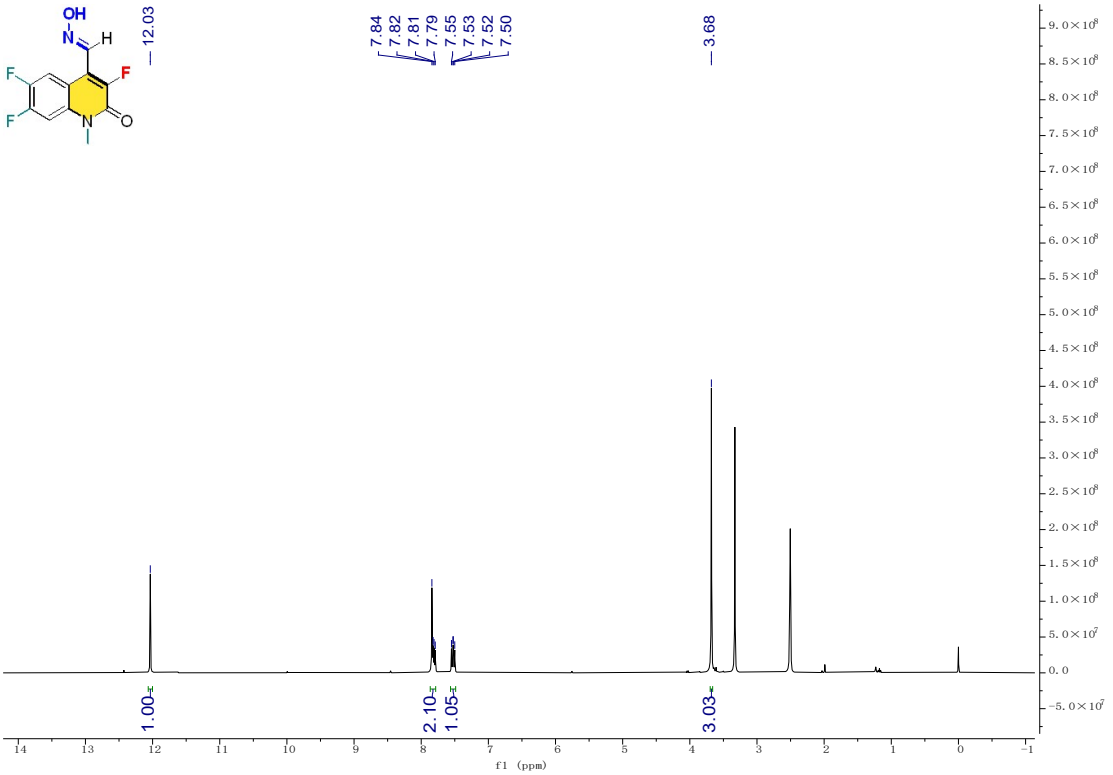
^{13}C NMR (DMSO-d_6, 101 MHz) of **2s**



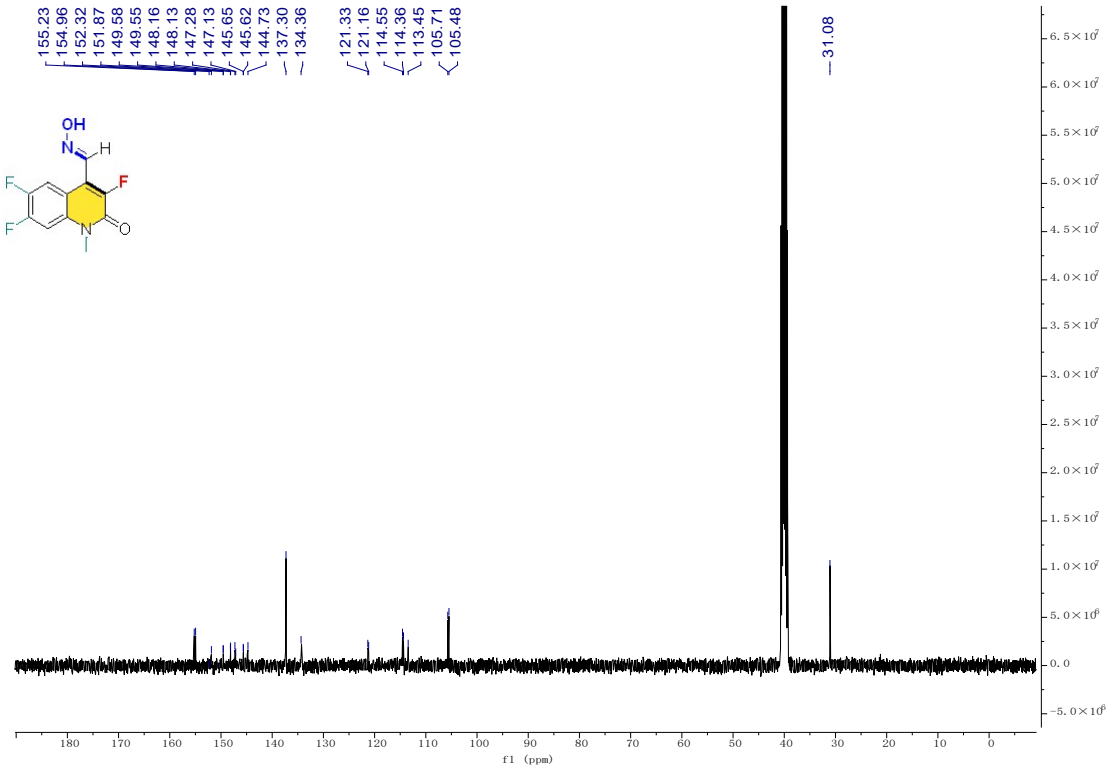
^{19}F NMR (DMSO-d_6, 376 MHz) of **2s**



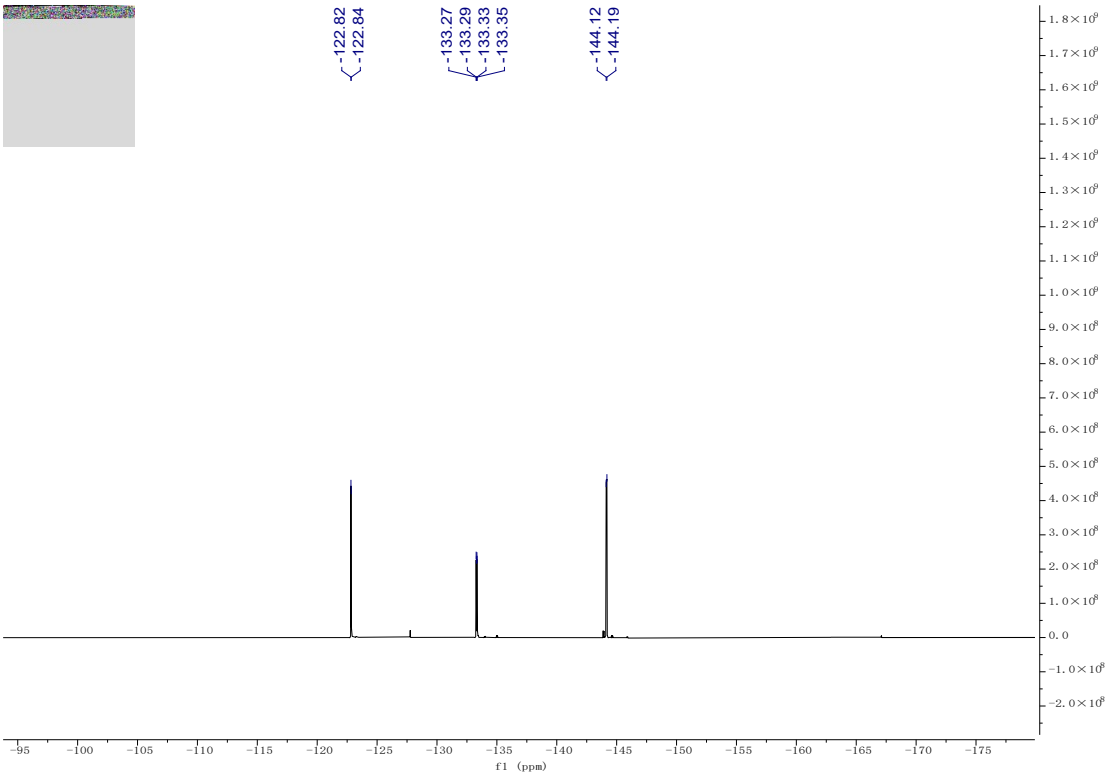
^{1}H NMR (DMSO-d_6, 400 MHz) of **2t**



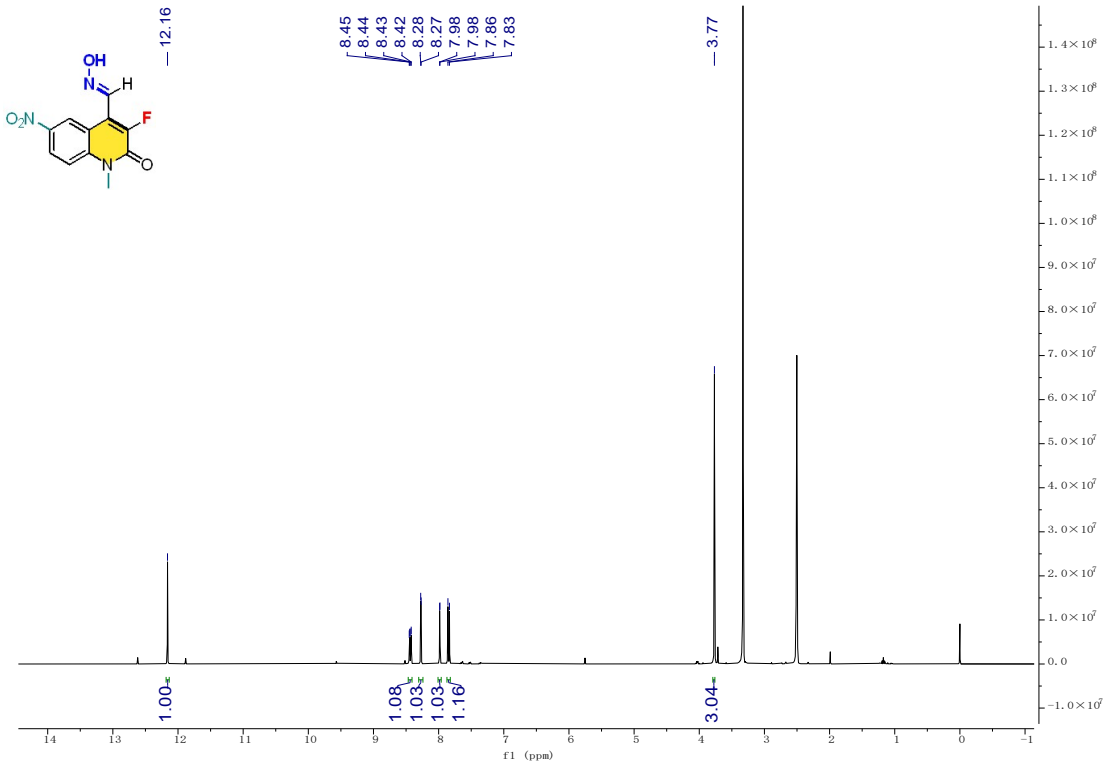
^{13}C NMR (DMSO-d_6, 101 MHz) of **2t**



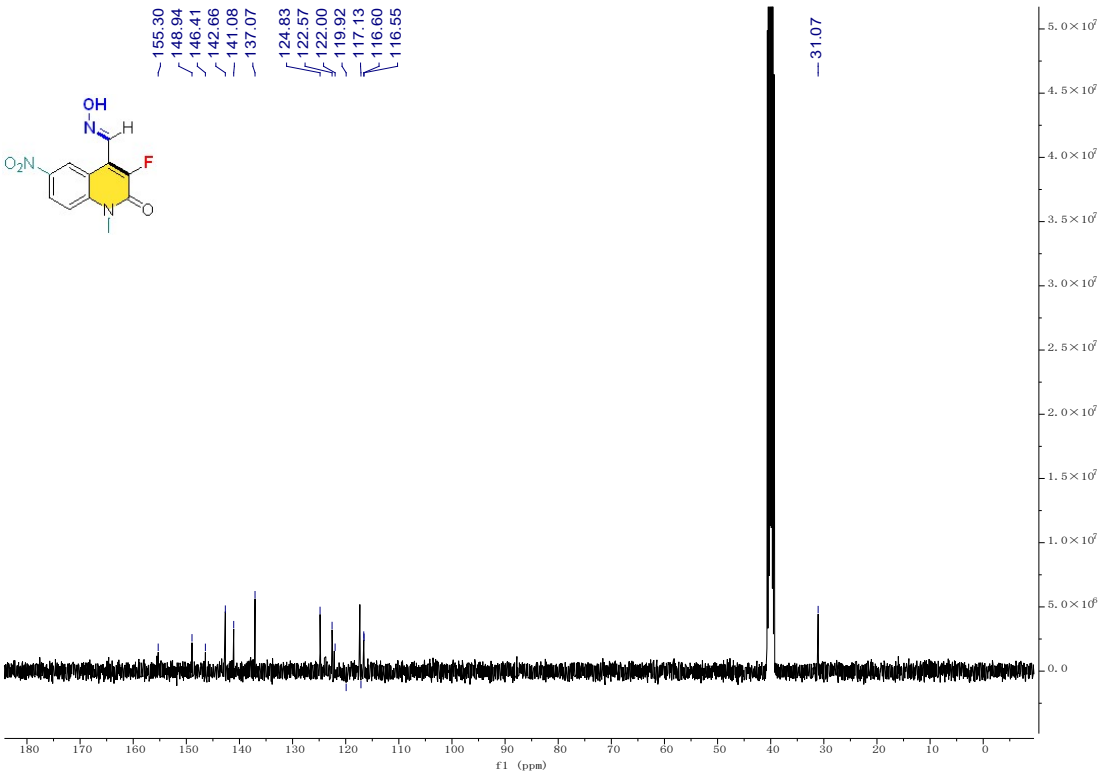
^{19}F NMR (DMSO-d_6, 376 MHz) of **2t**



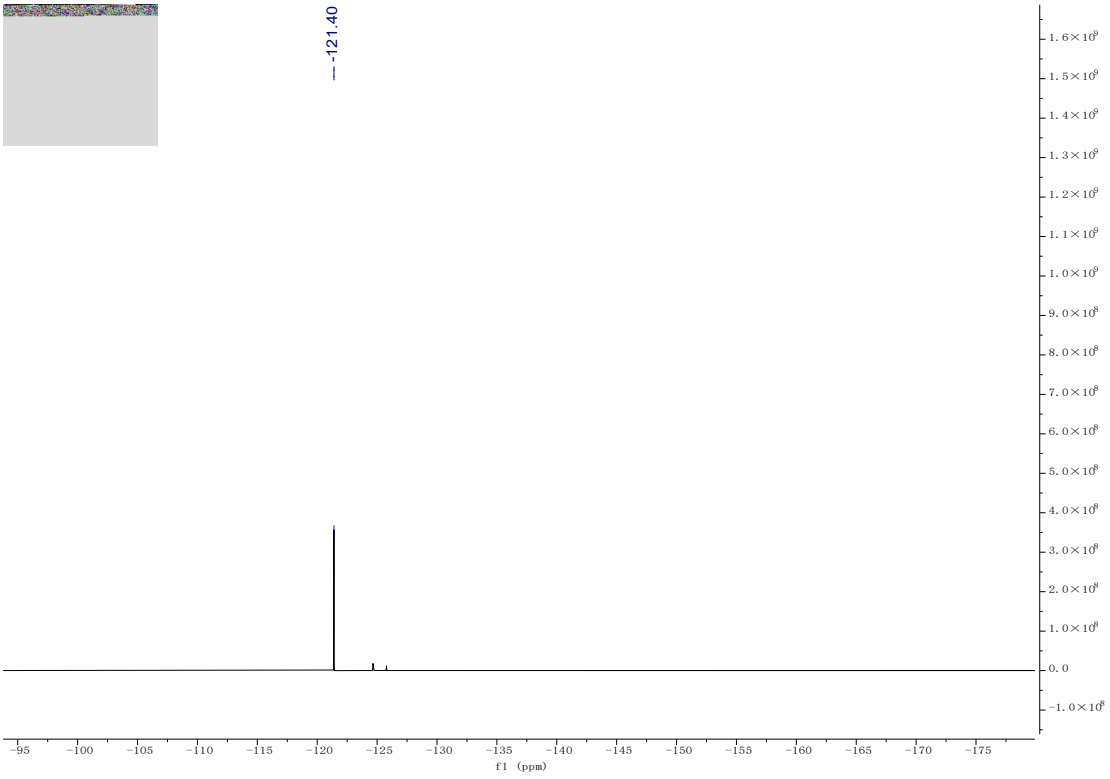
^{1}H NMR (DMSO-d_6, 400 MHz) of **2u**



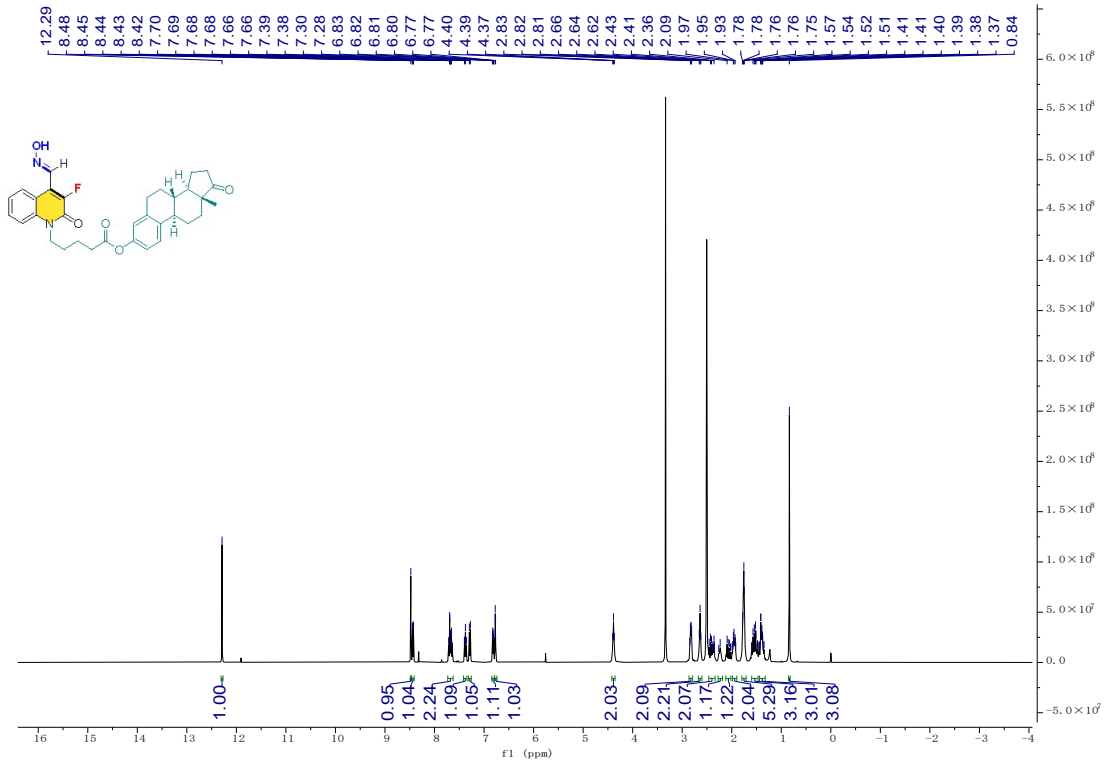
^{13}C NMR (DMSO-d_6, 101 MHz) of **2u**



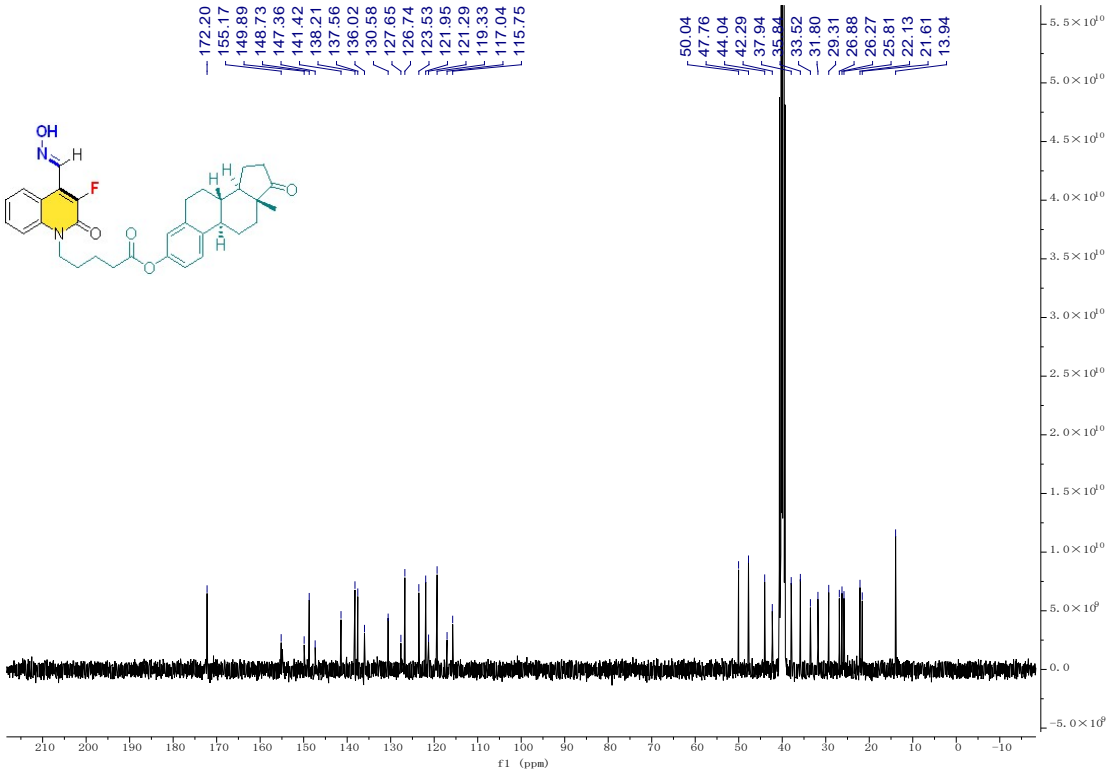
^{19}F NMR (DMSO-d_6, 376 MHz) of **2u**



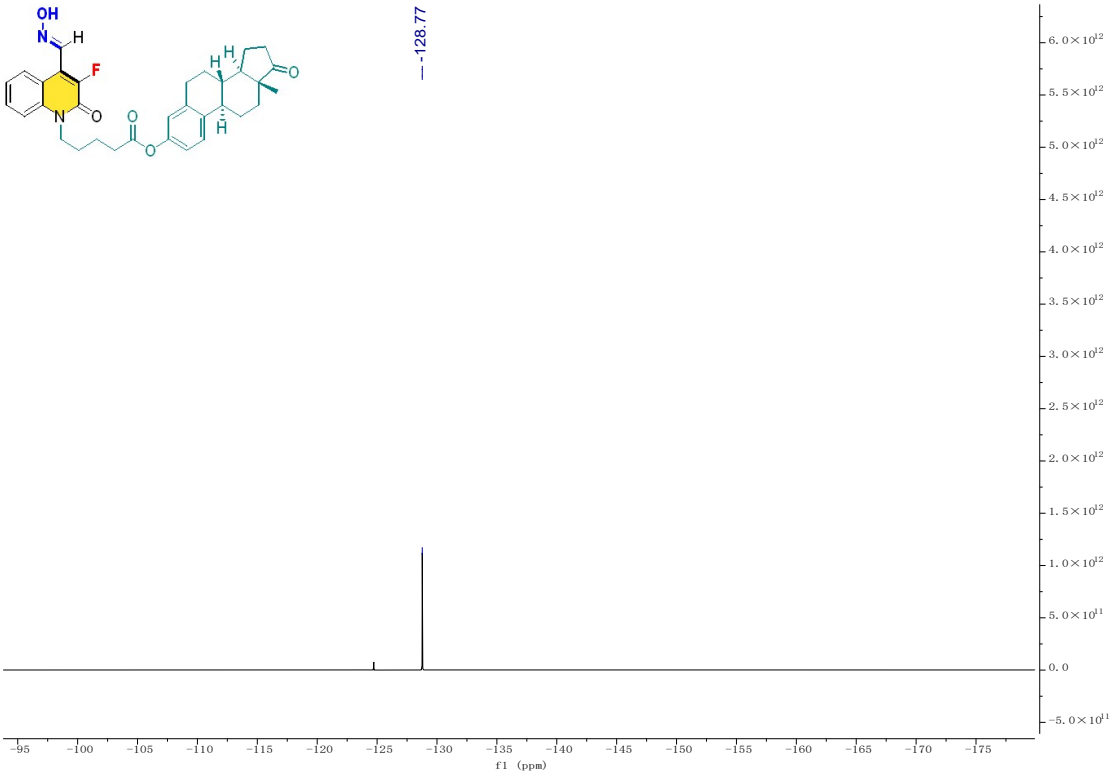
^{1}H NMR (DMSO-d_6, 400 MHz) of **2v**



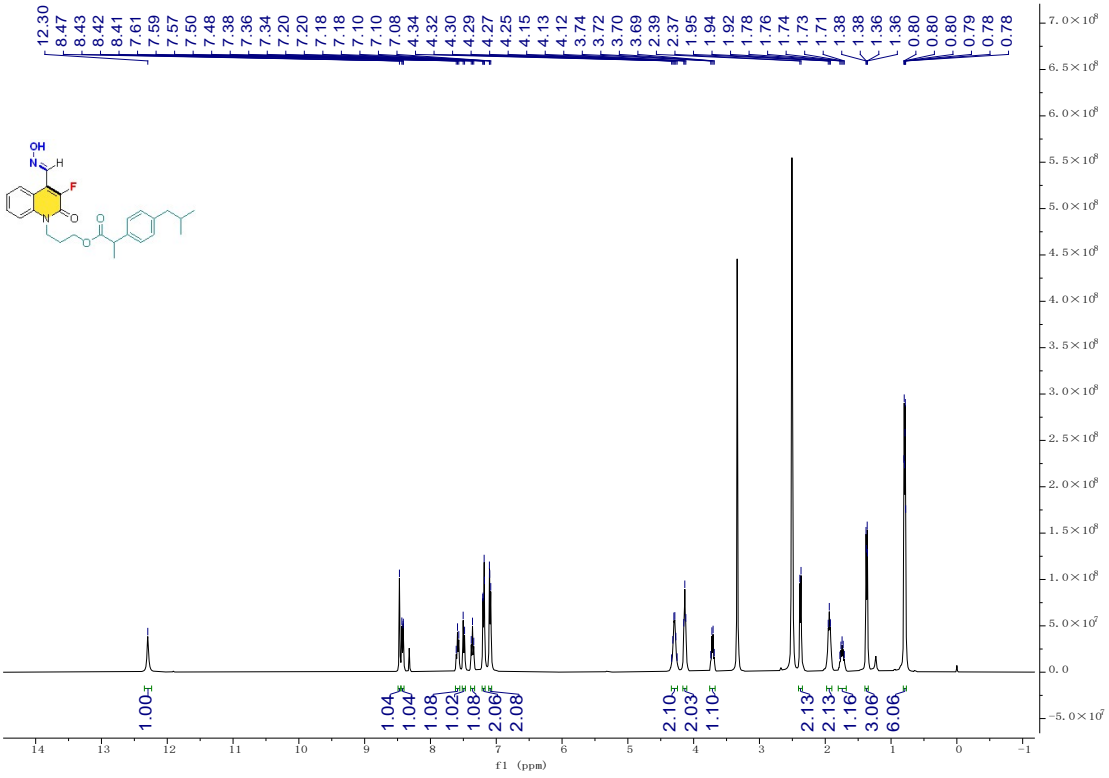
^{13}C NMR (DMSO-d_6, 101 MHz) of **2v**



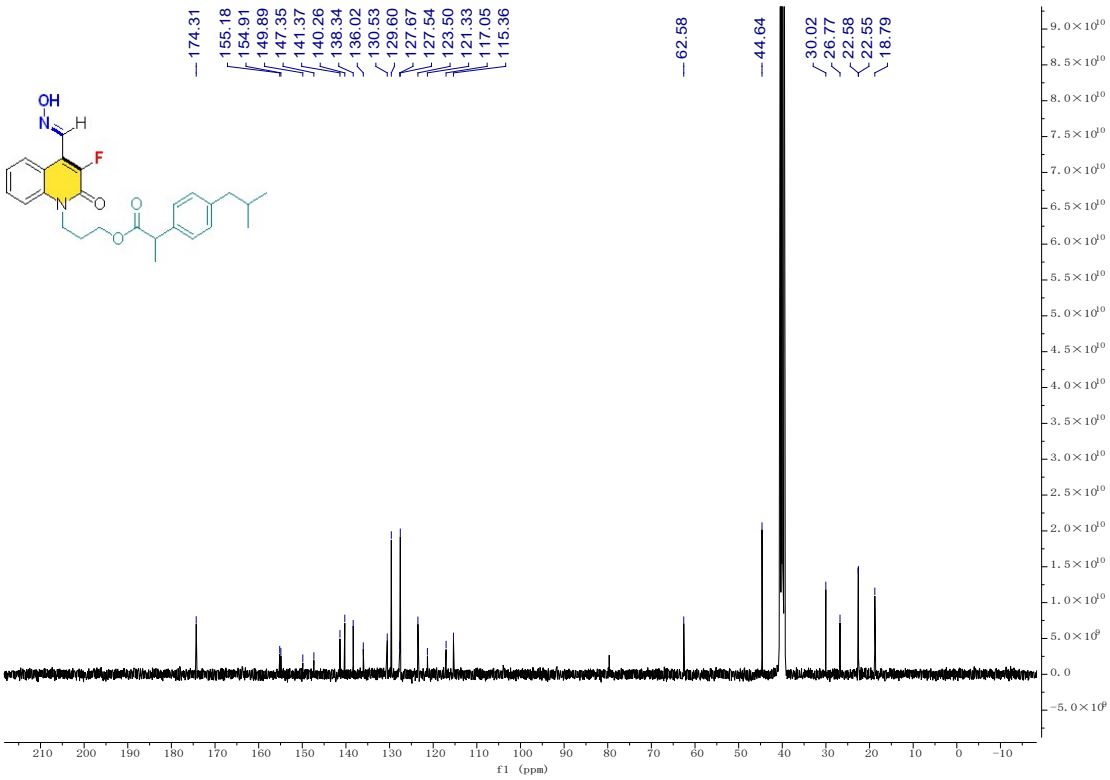
^{19}F NMR (DMSO-d_6, 376 MHz) of **2v**



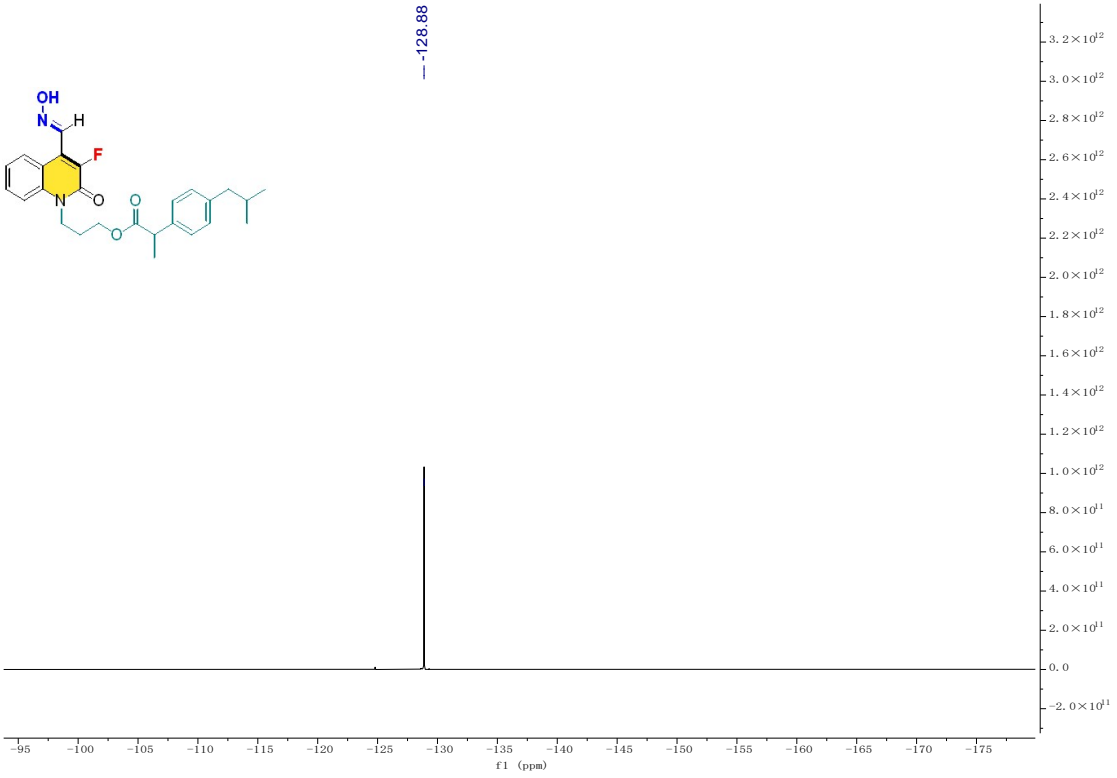
^{1}H NMR (DMSO-d_6, 400 MHz) of **2w**



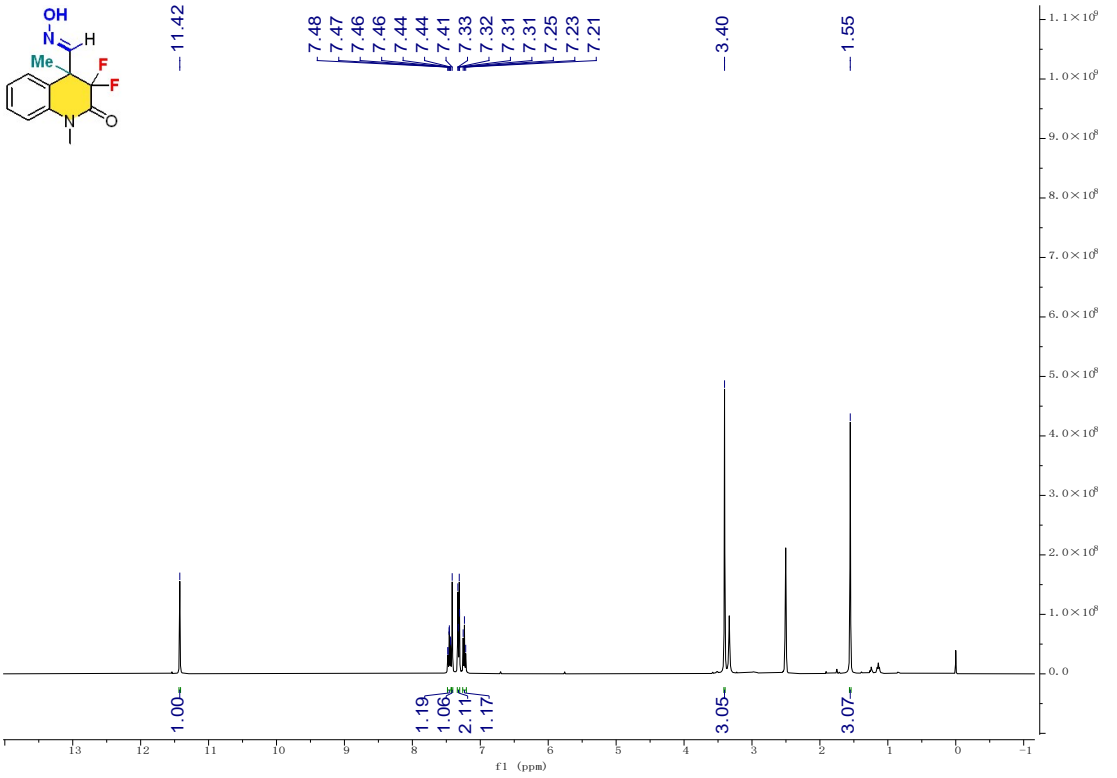
^{13}C NMR (DMSO-d_6, 101 MHz) of **2w**



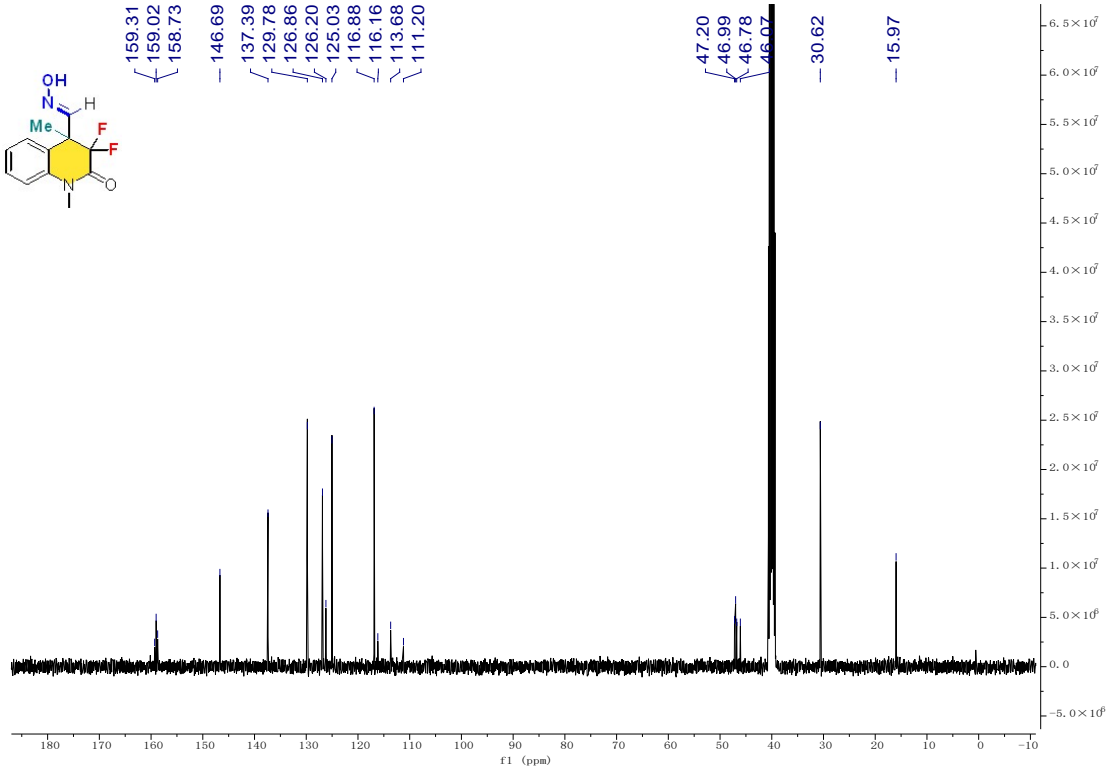
^{19}F NMR (DMSO-d_6, 376 MHz) of **2w**



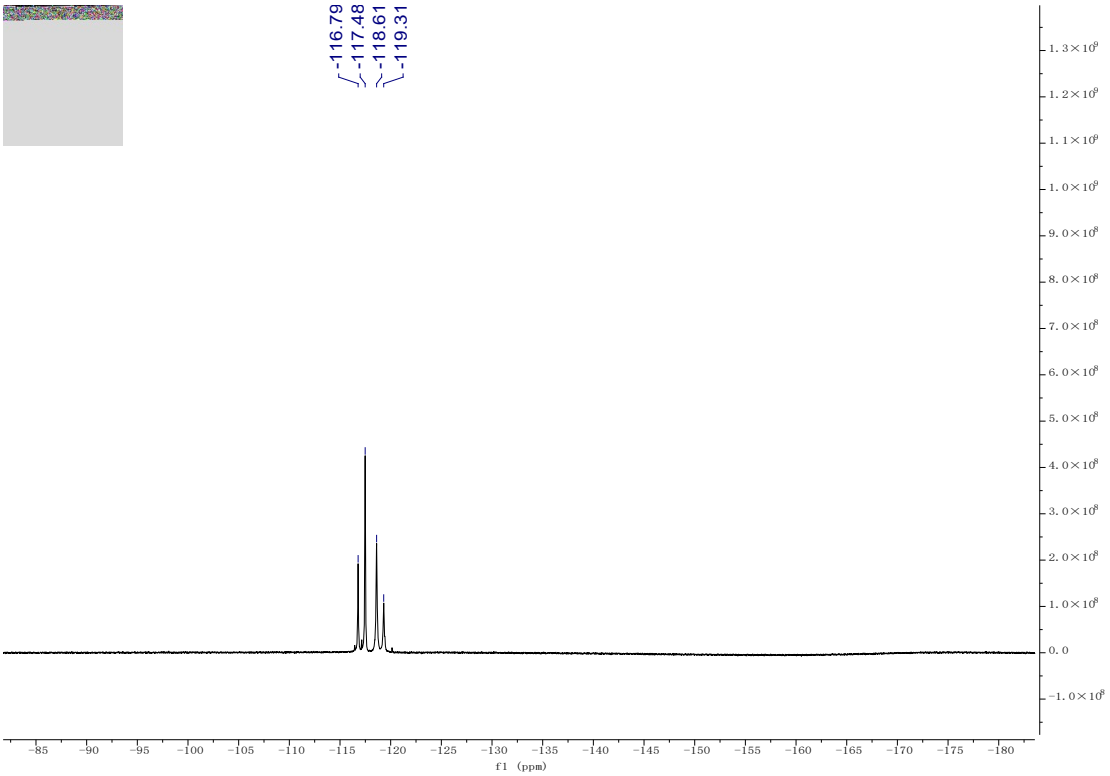
^{1}H NMR (DMSO-d_6, 400 MHz) of **4a**



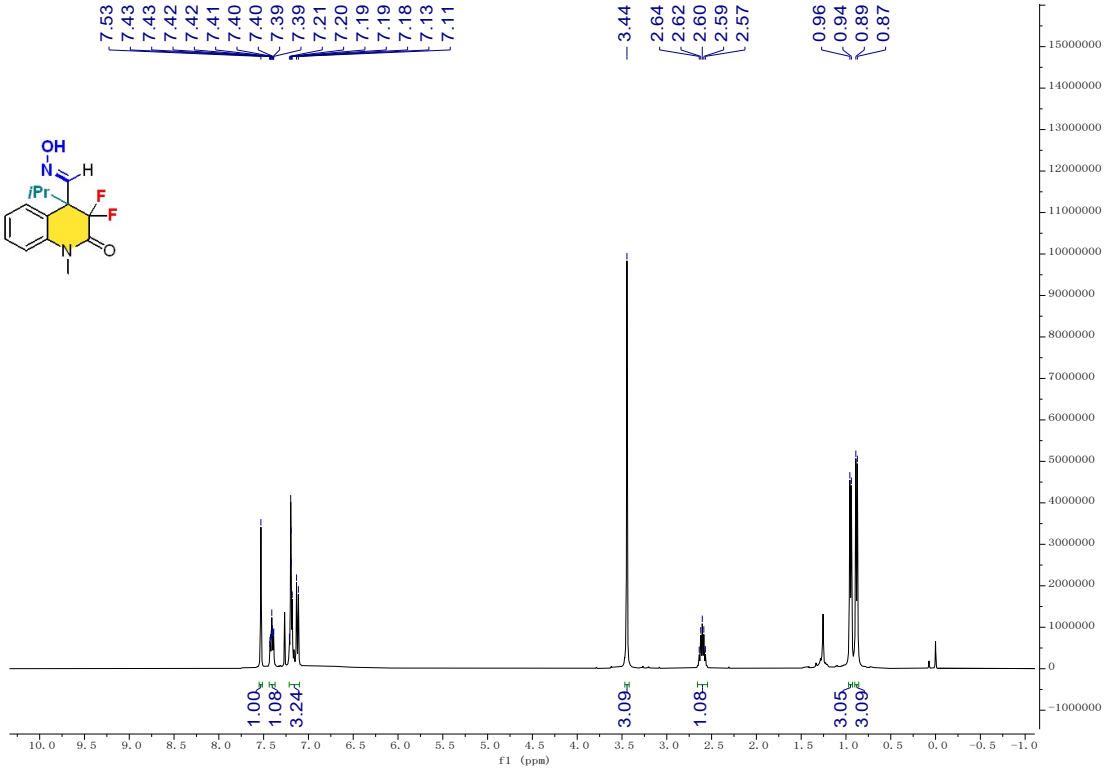
^{13}C NMR (DMSO-d_6, 101 MHz) of **4a**



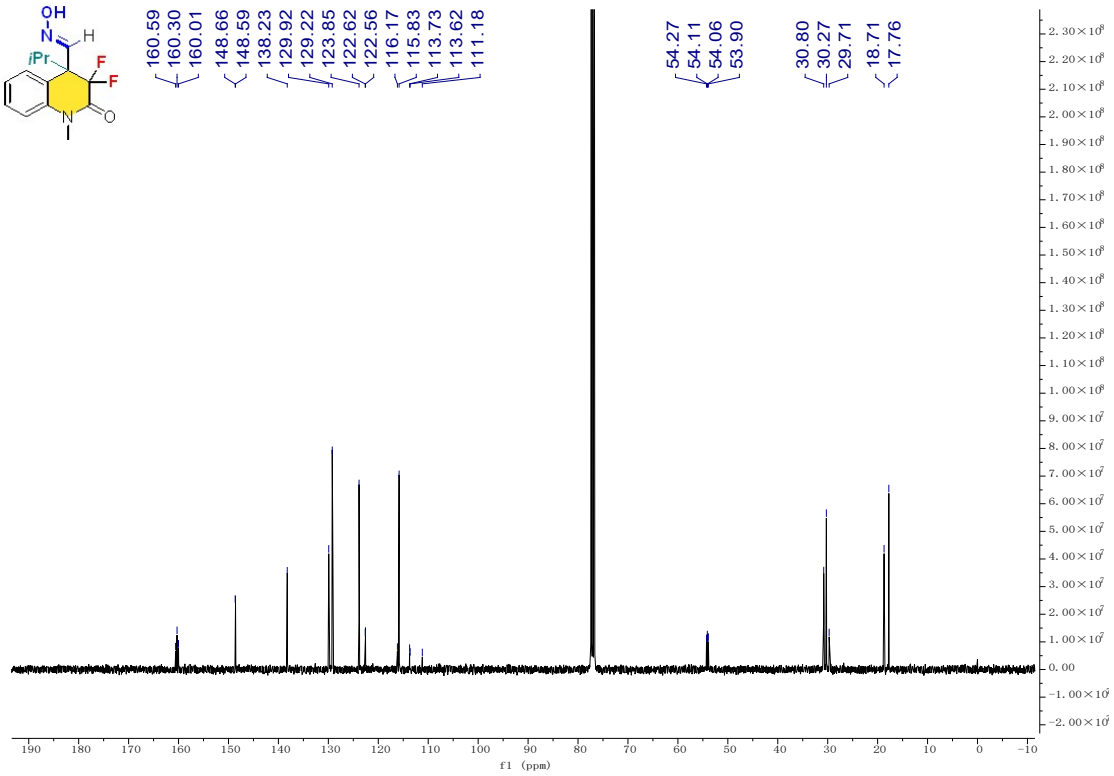
^{19}F NMR (DMSO-d_6, 376 MHz) of **4a**



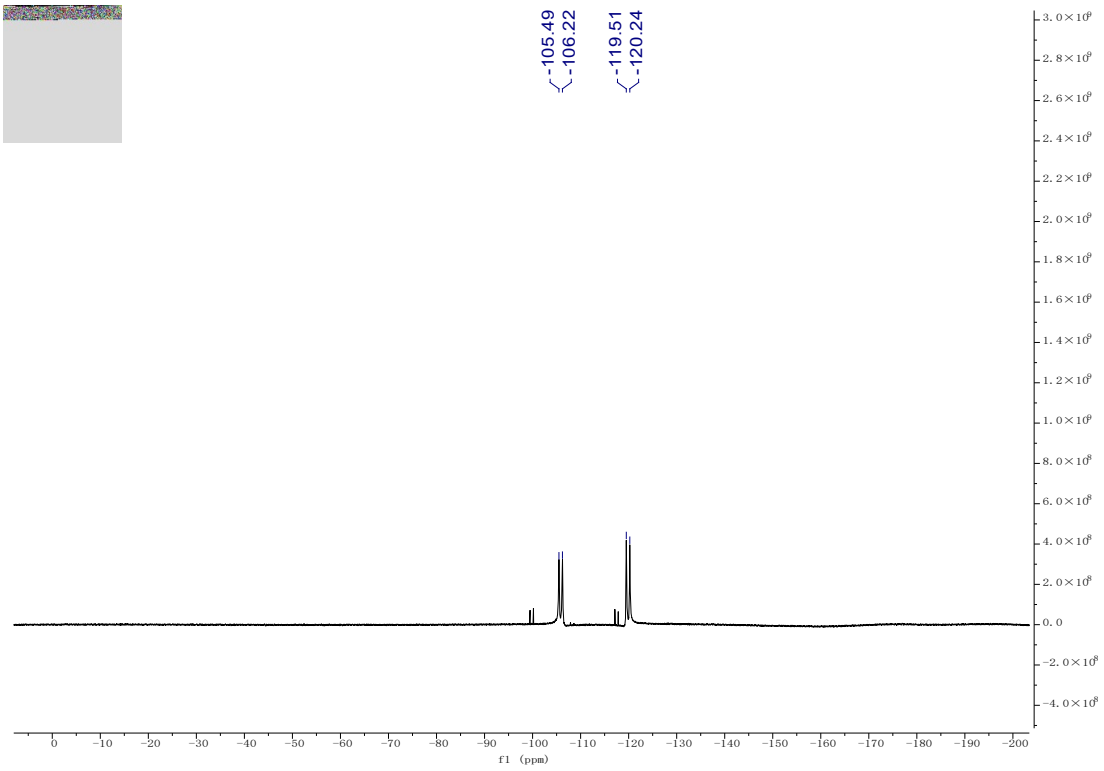
^{1}H NMR (Chloroform-*d*, 400 MHz) of **4b**



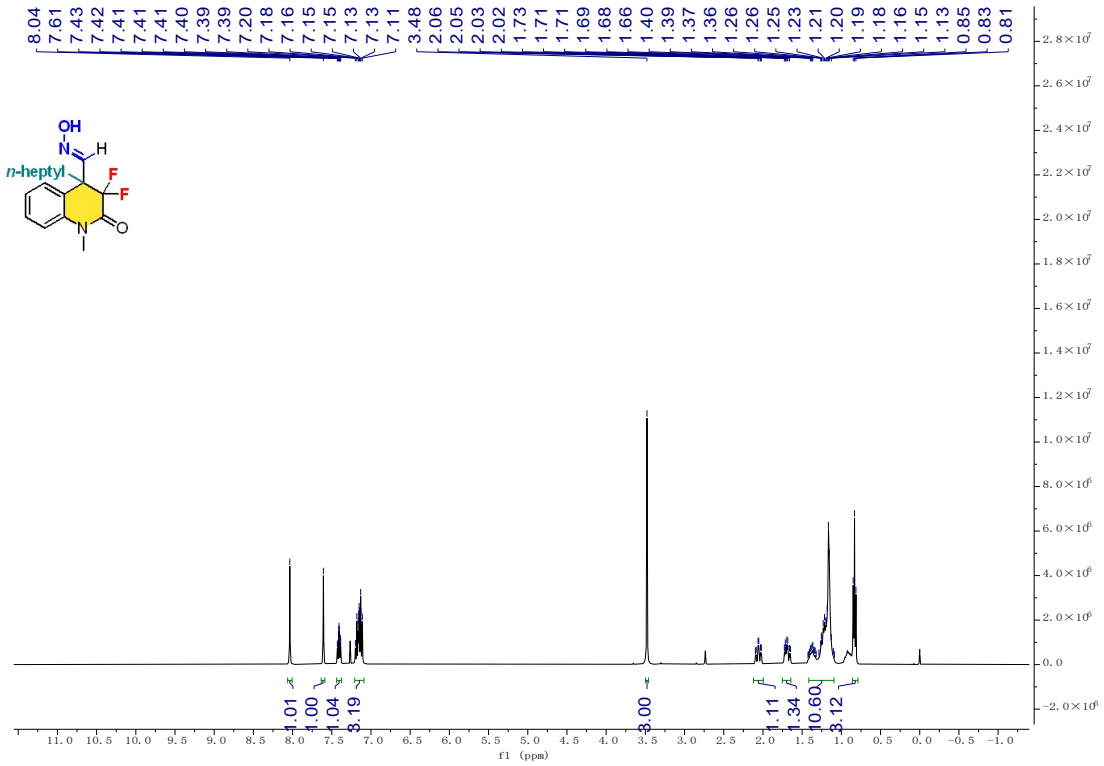
^{13}C NMR (Chloroform-*d*, 101 MHz) of **4b**



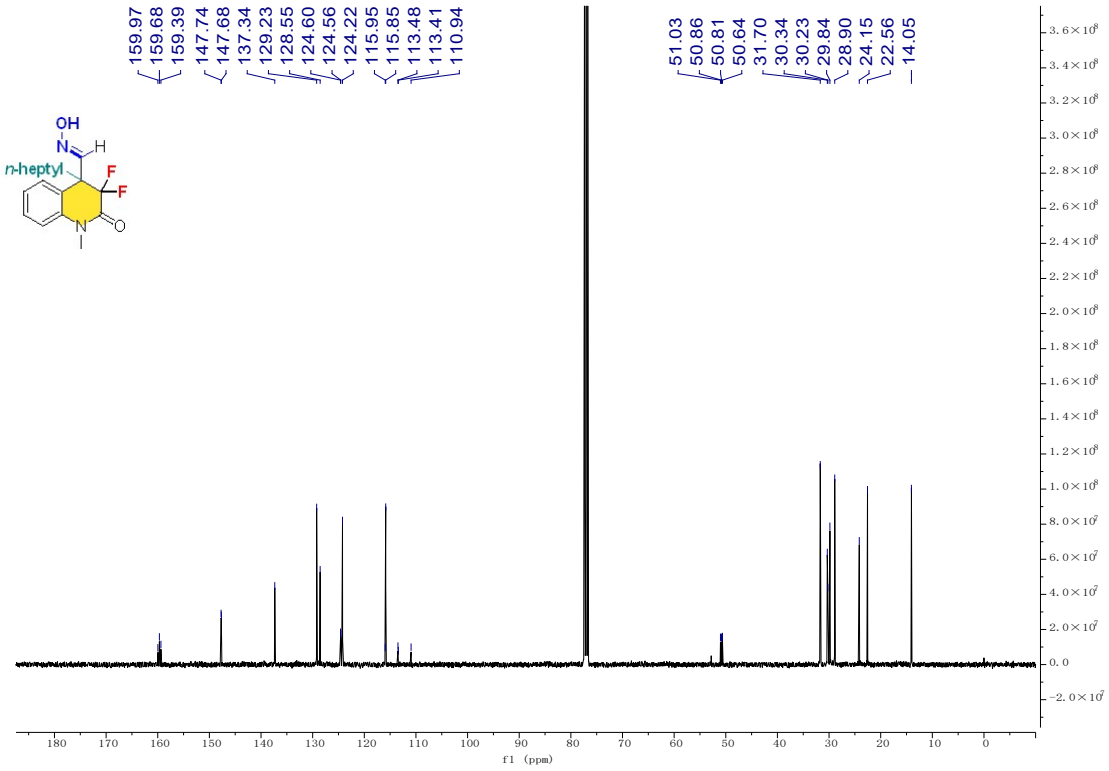
^{19}F NMR (Chloroform-*d*, 376 MHz) of **4b**



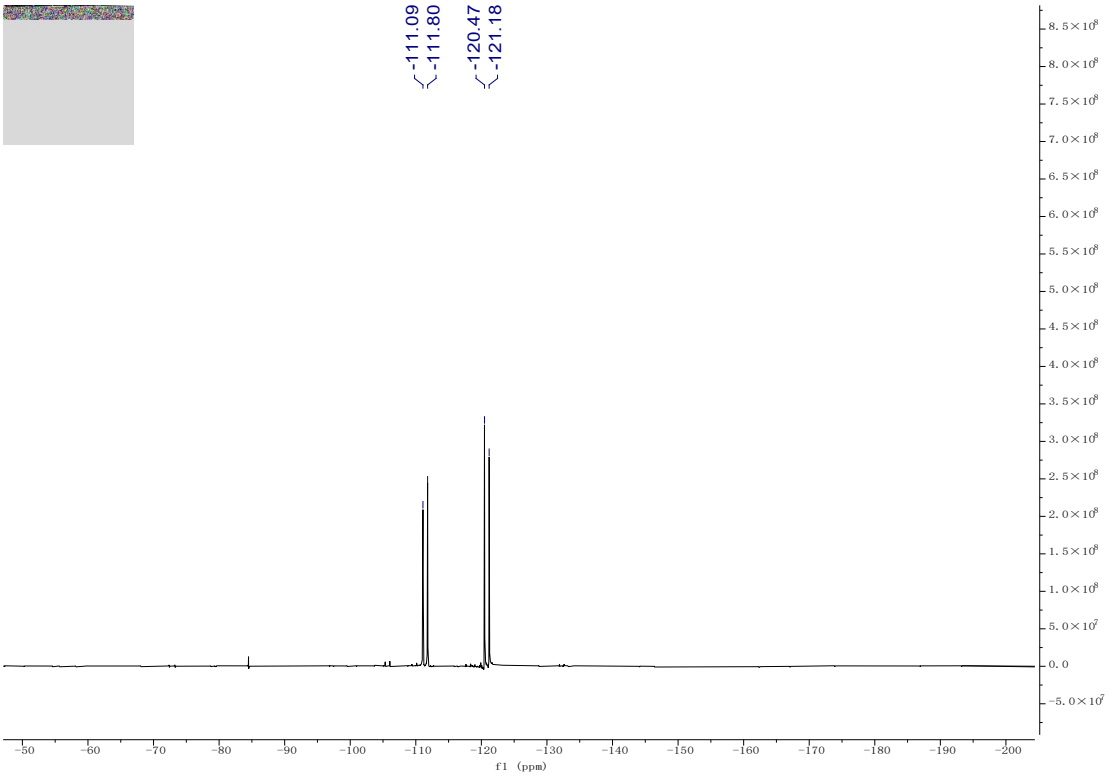
^{1}H NMR (Chloroform-*d*, 400 MHz) of **4c**



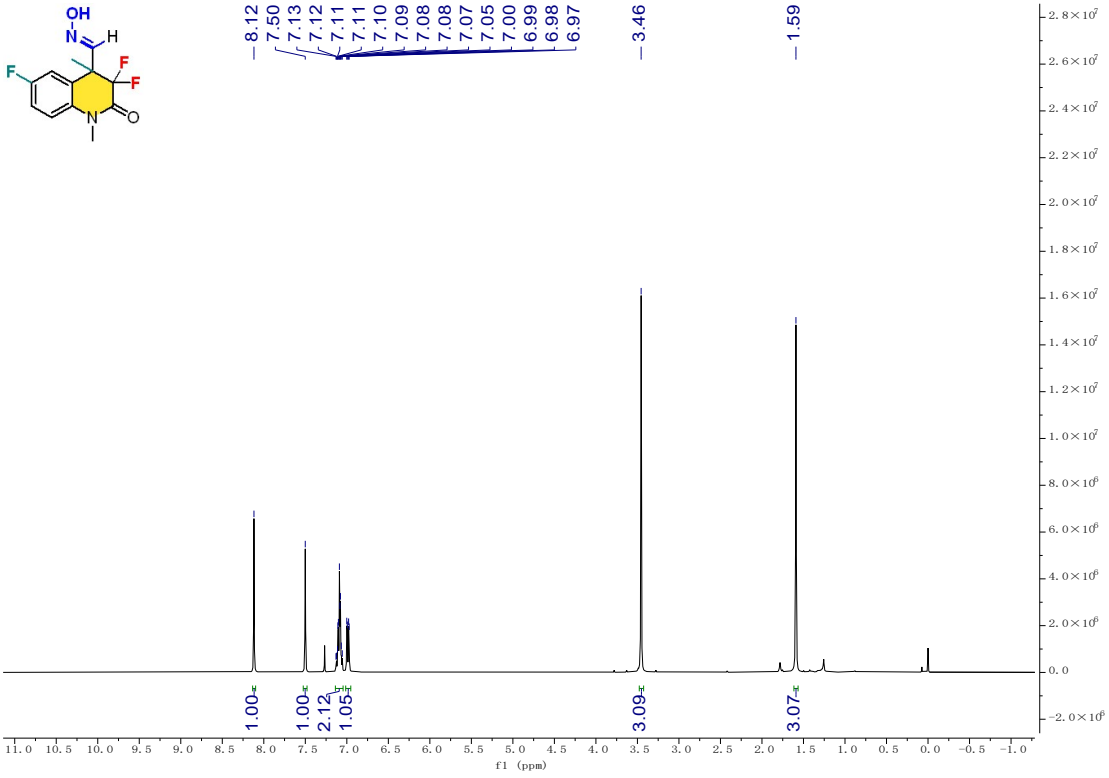
^{13}C NMR (Chloroform-*d*, 101 MHz) of **4c**



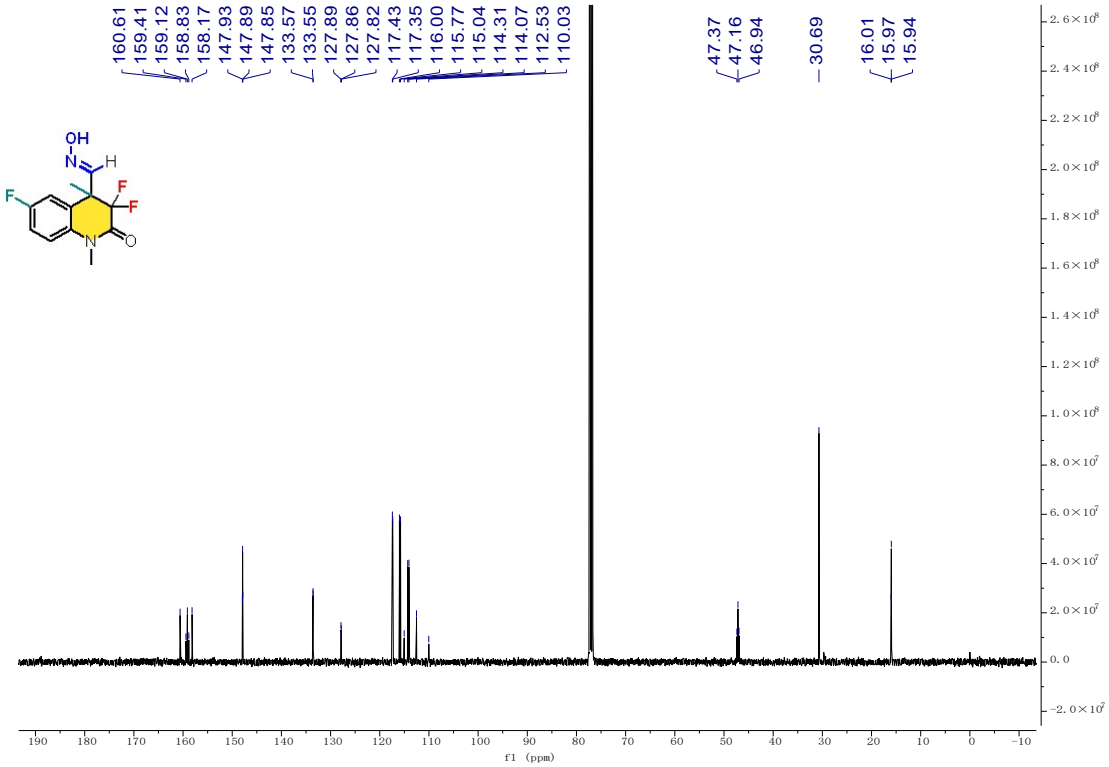
^{19}F NMR (Chloroform-*d*, 376 MHz) of **4c**



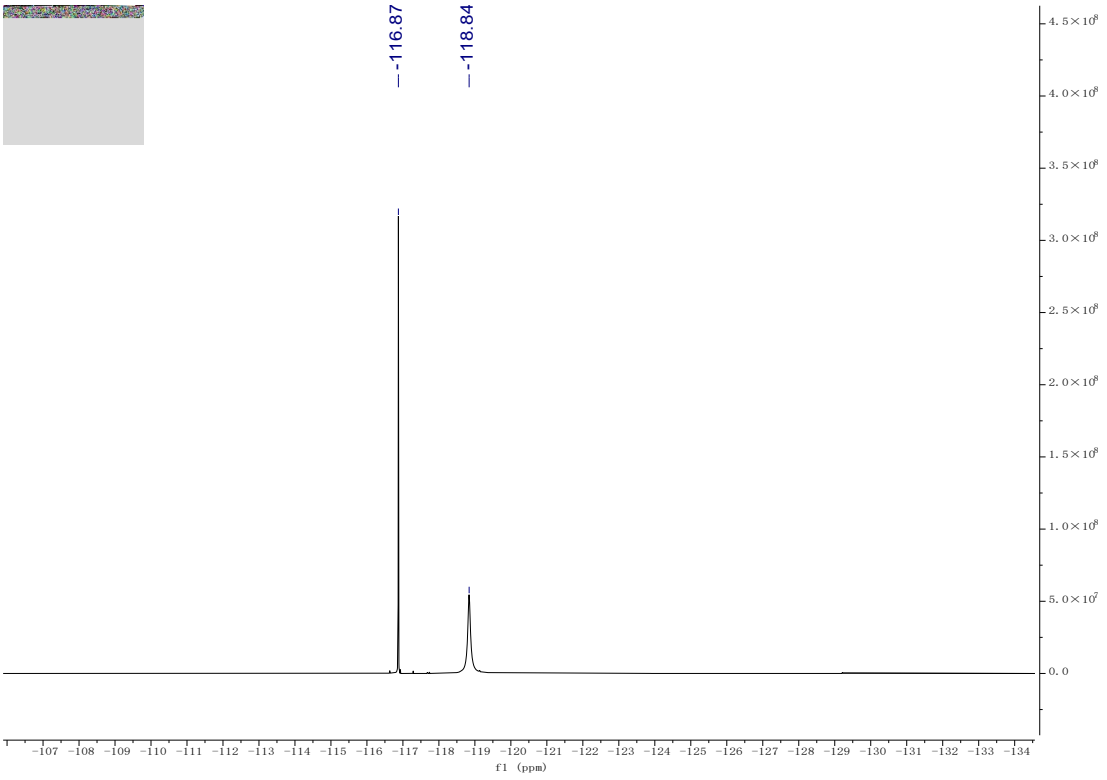
^{1}H NMR (Chloroform-*d*, 400 MHz) of **4d**



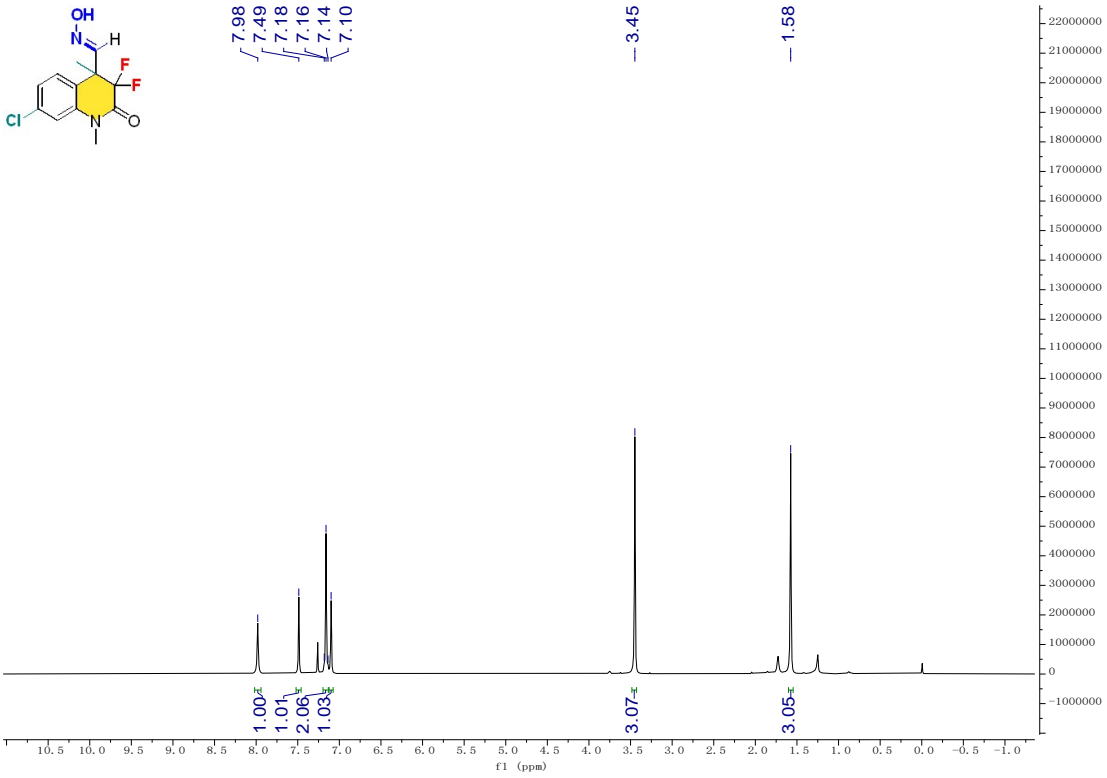
^{13}C NMR (Chloroform-*d*, 101 MHz) of **4d**



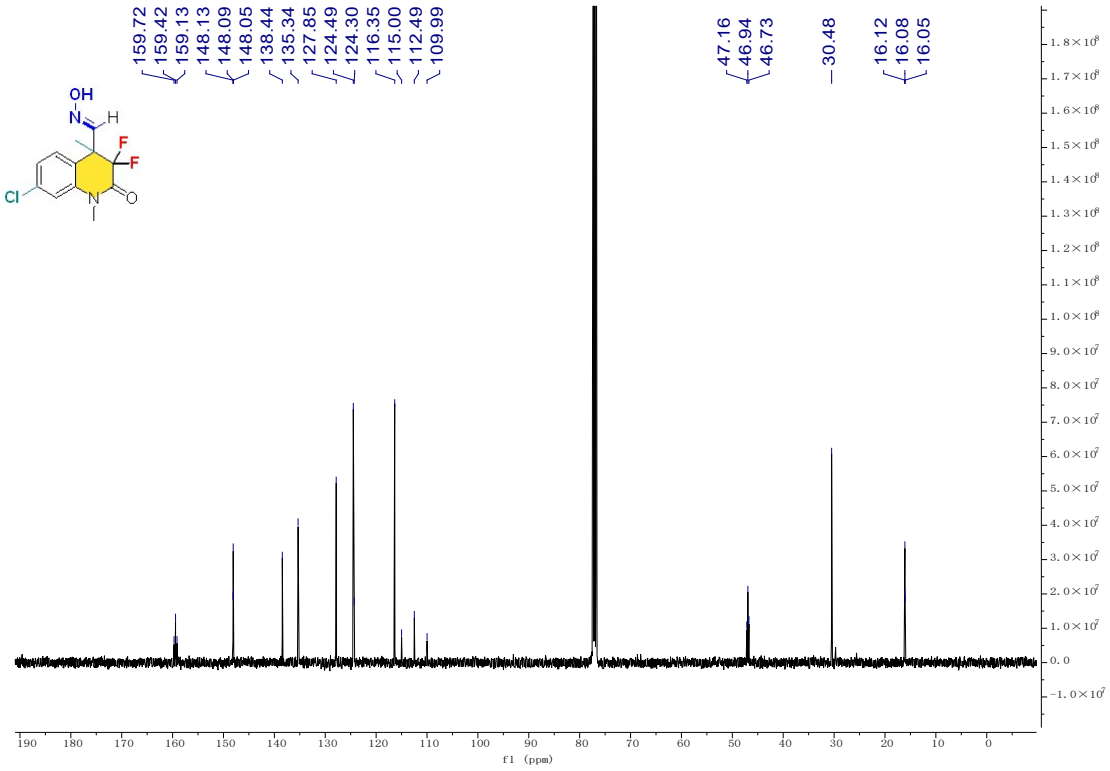
^{19}F NMR (Chloroform-*d*, 376 MHz) of **4d**



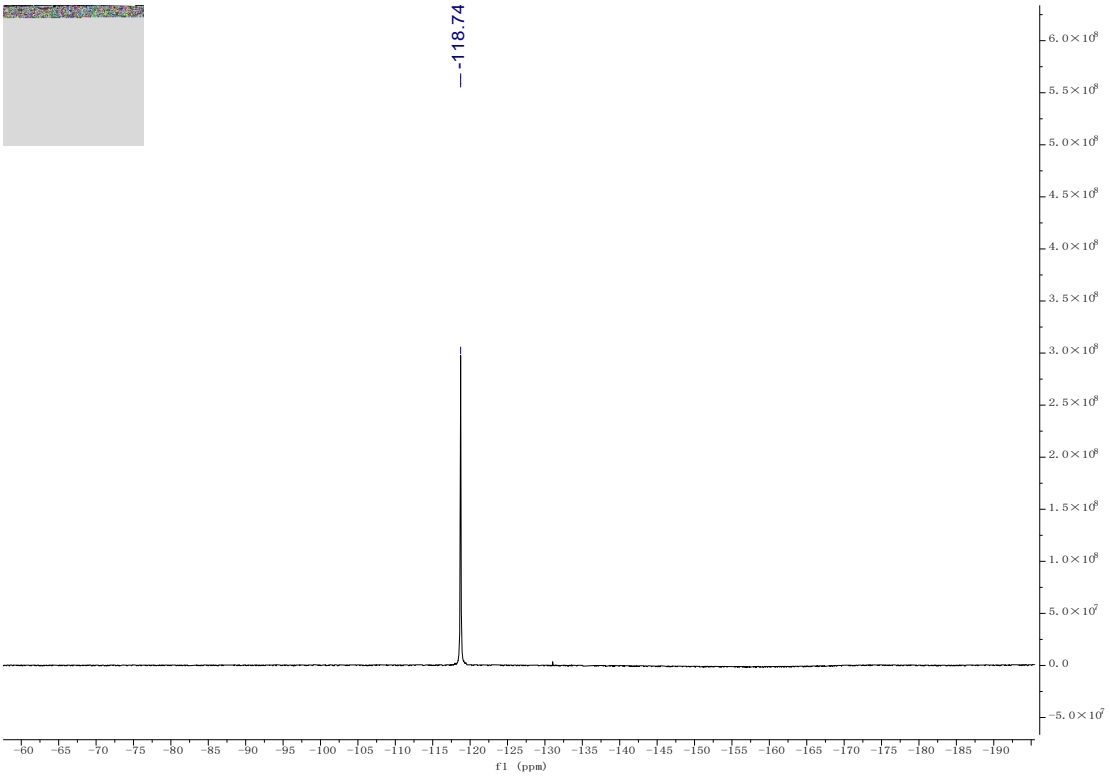
^{1}H NMR (Chloroform-*d*, 400 MHz) of **4e**



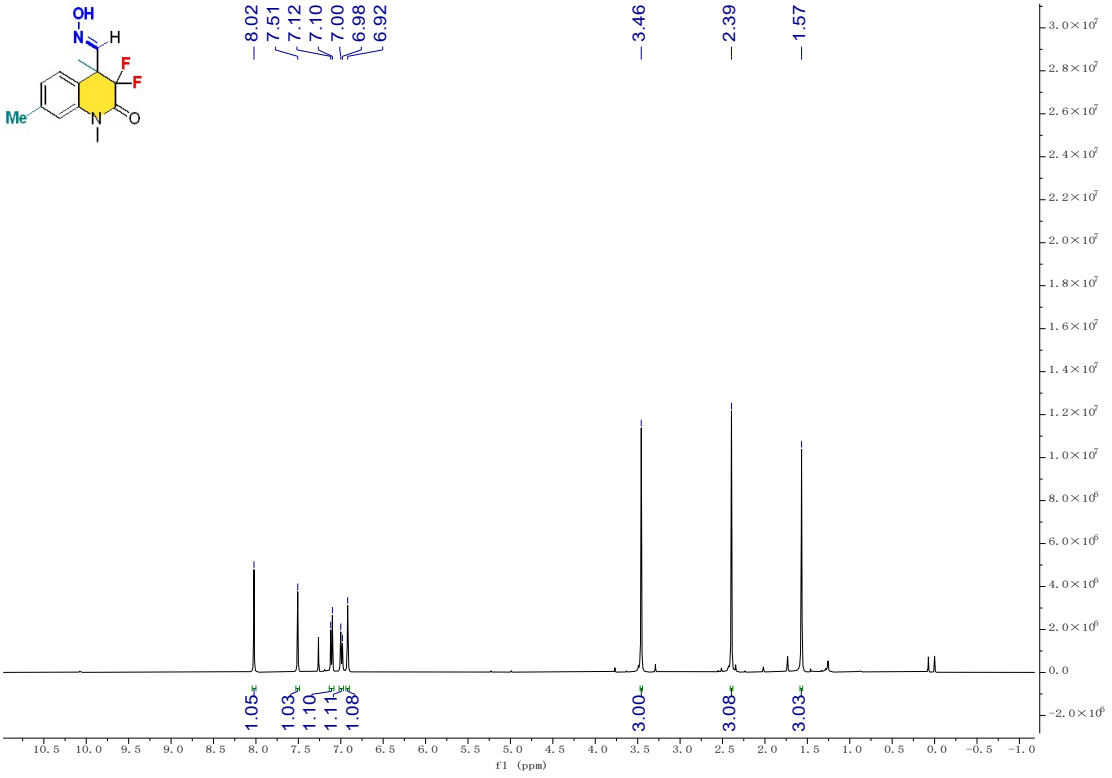
^{13}C NMR (Chloroform-*d*, 101 MHz) of **4e**



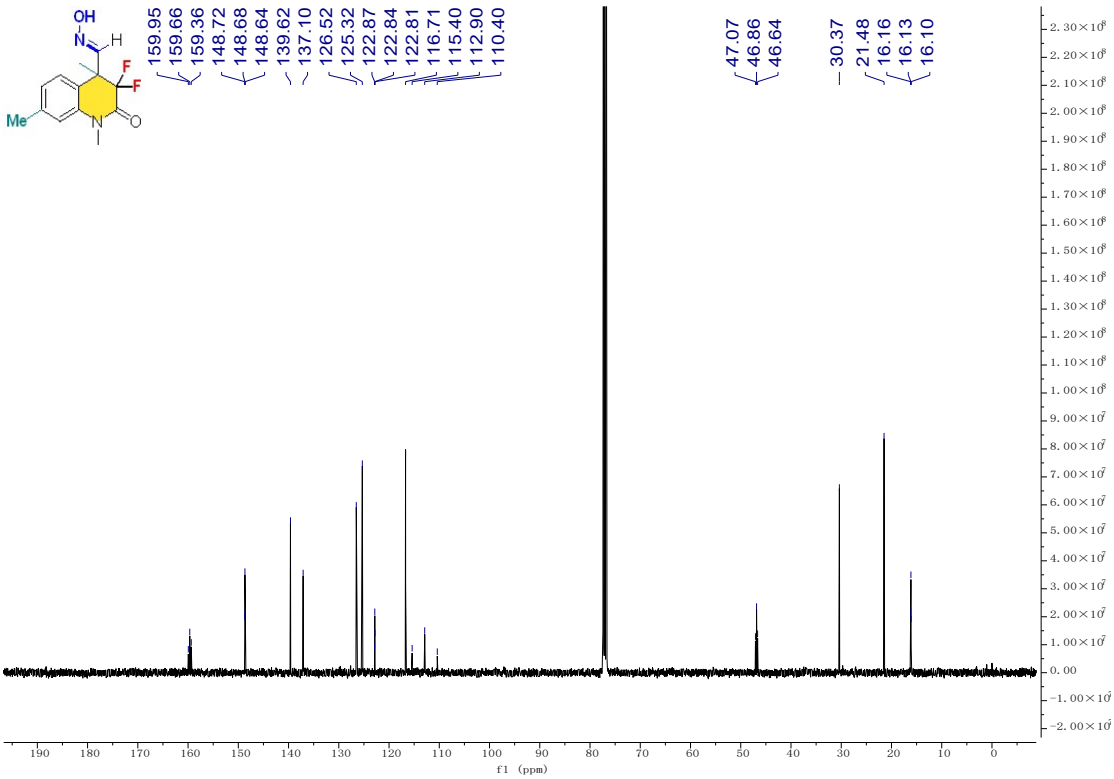
^{19}F NMR (Chloroform-*d*, 376 MHz) of **4e**



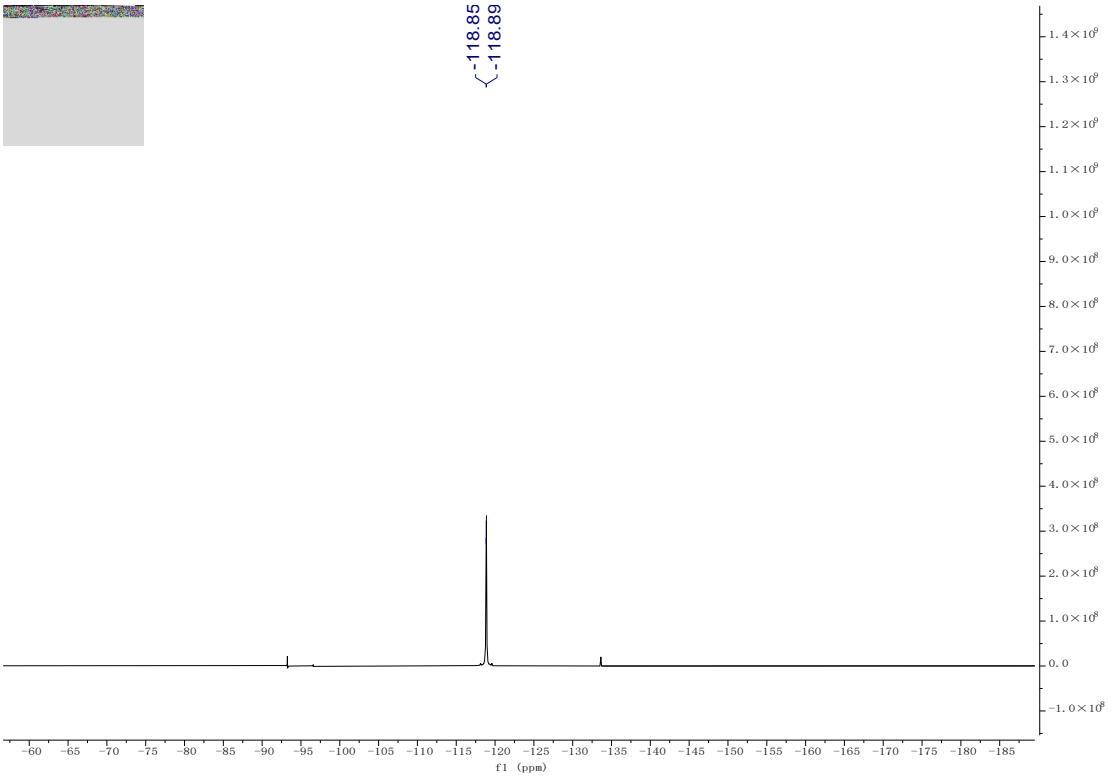
^{1}H NMR (Chloroform-*d*, 400 MHz) of **4f**



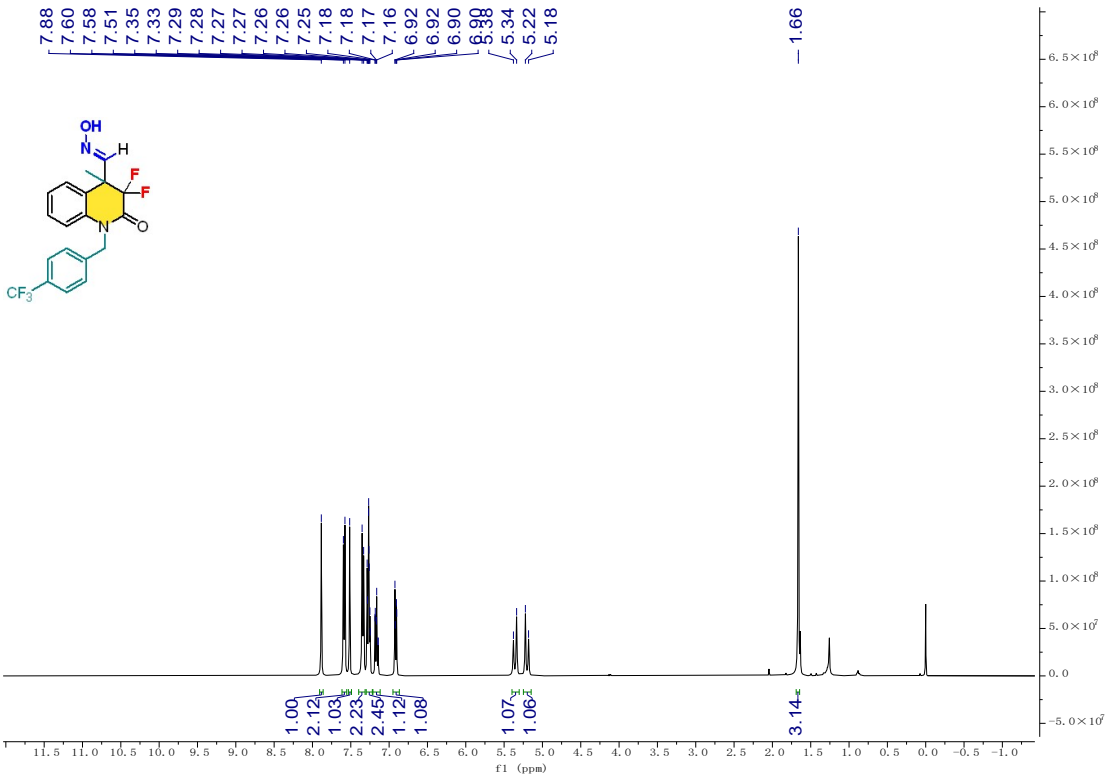
^{13}C NMR (Chloroform-*d*, 101 MHz) of **4f**



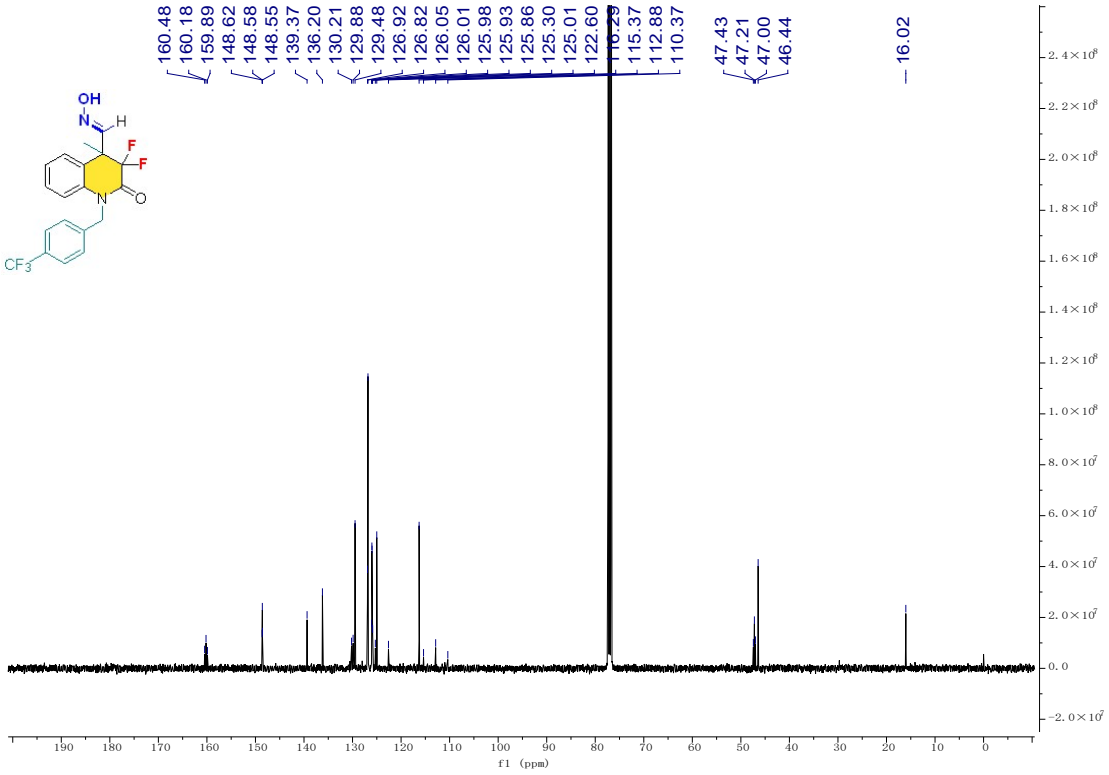
^{19}F NMR (Chloroform-*d*, 376 MHz) of **4f**



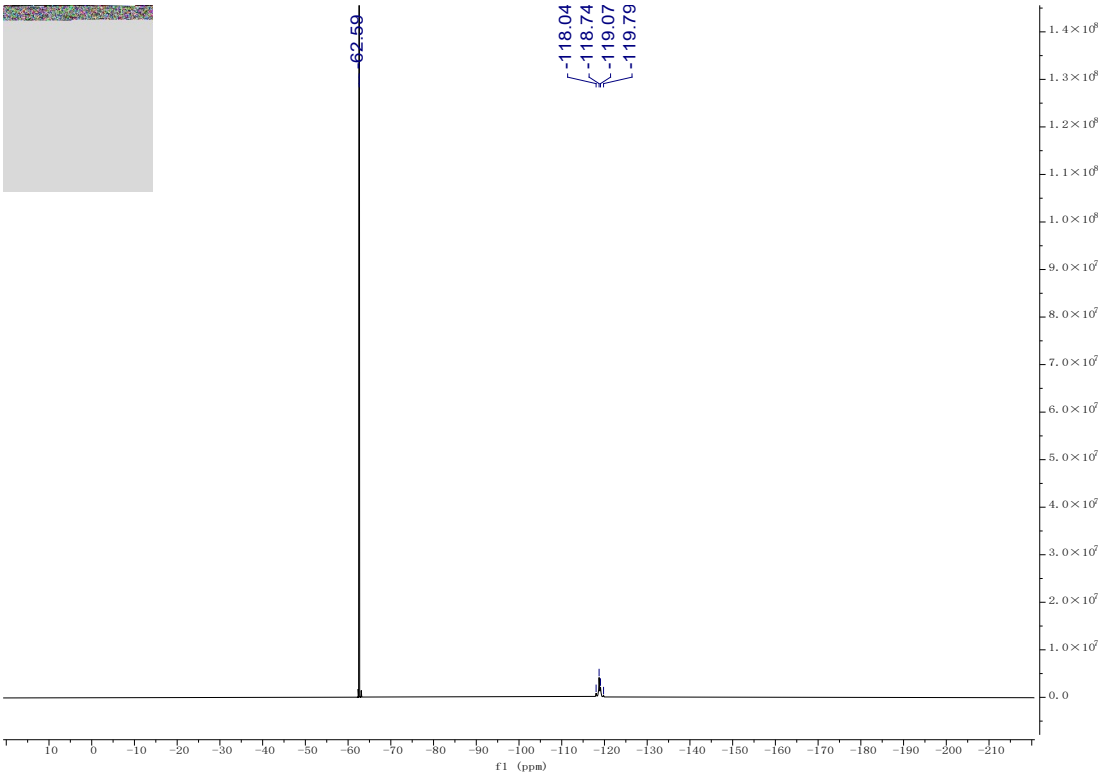
^{1}H NMR (Chloroform-*d*, 400 MHz) of **4g**



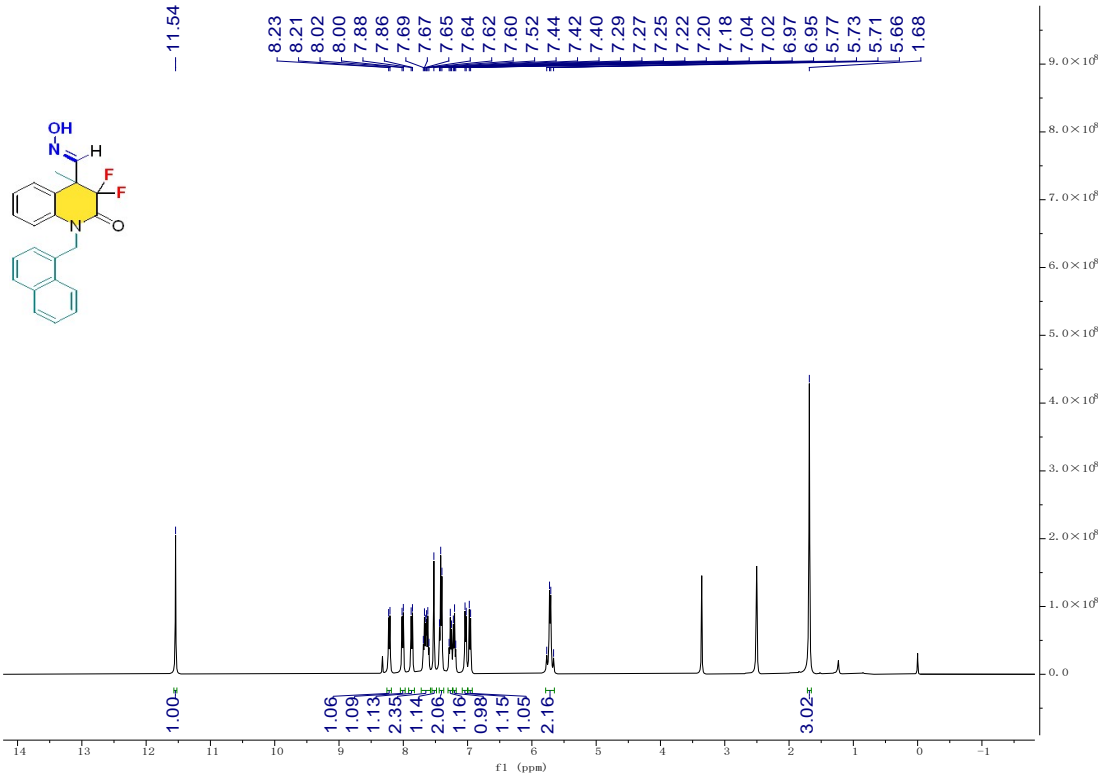
^{13}C NMR (Chloroform-*d*, 101 MHz) of **4g**



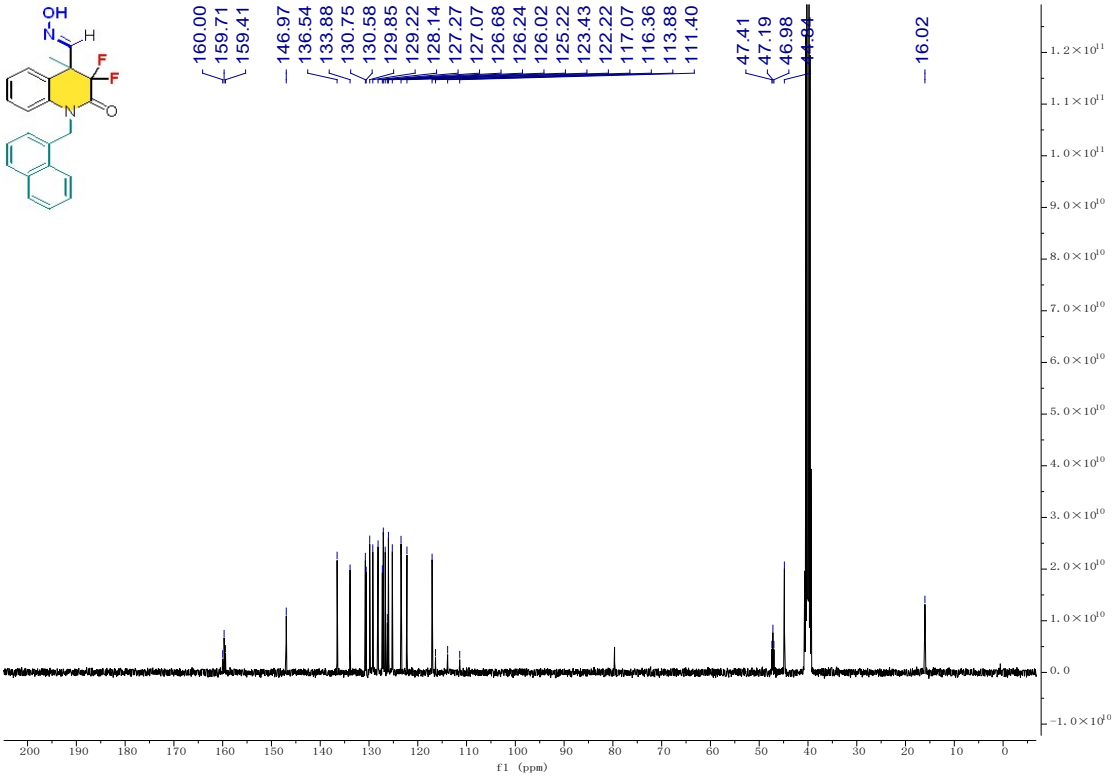
^{19}F NMR (Chloroform-*d*, 376 MHz) of **4g**



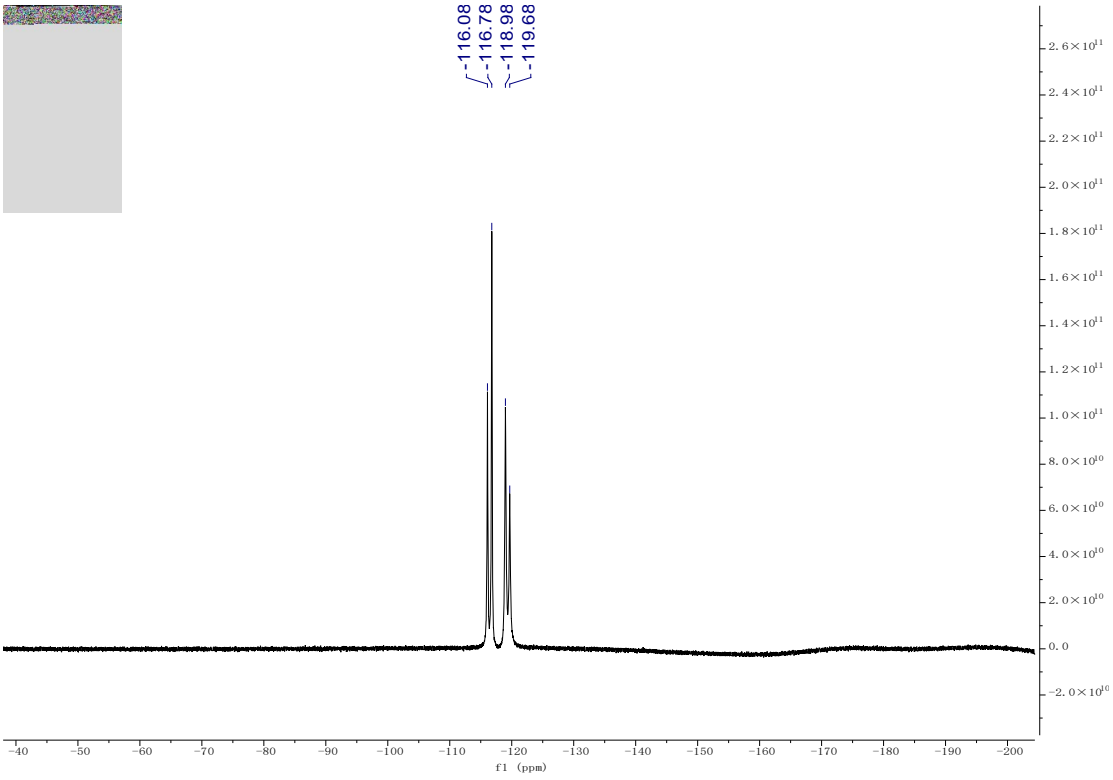
^{1}H NMR (DMSO-d_6, 400 MHz) of **4h**



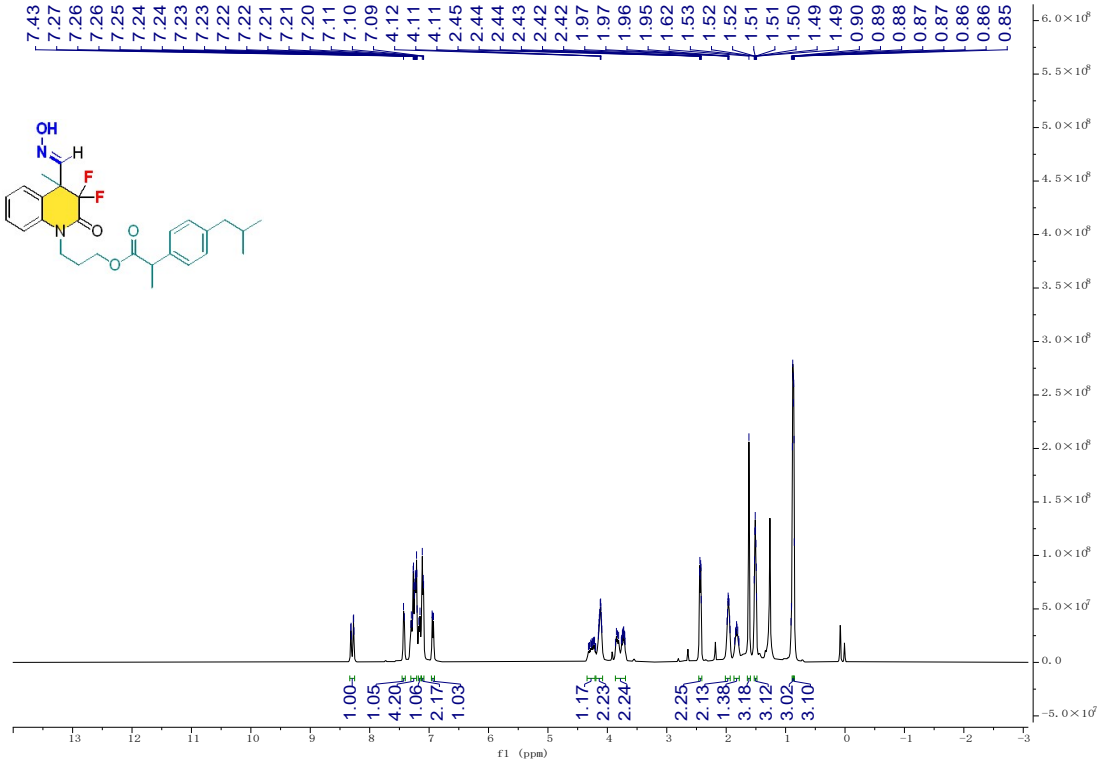
^{13}C NMR (DMSO-d_6, 101 MHz) of **4h**



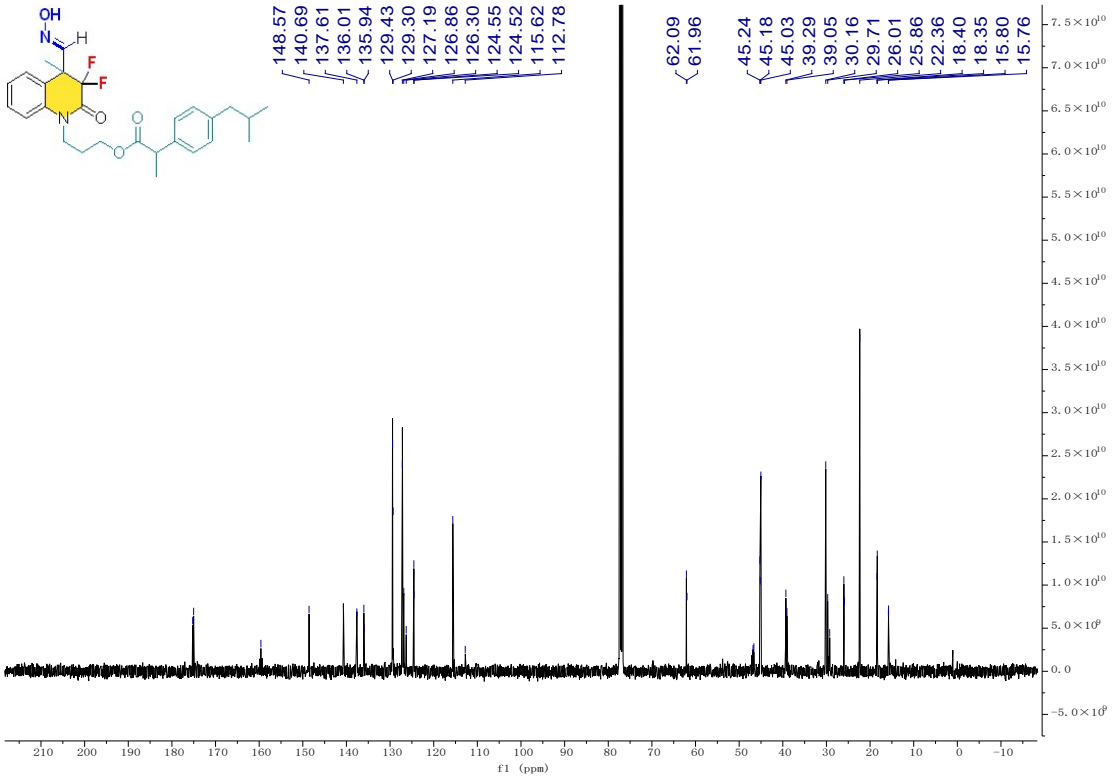
^{19}F NMR (DMSO-d_6, 376 MHz) of **4h**



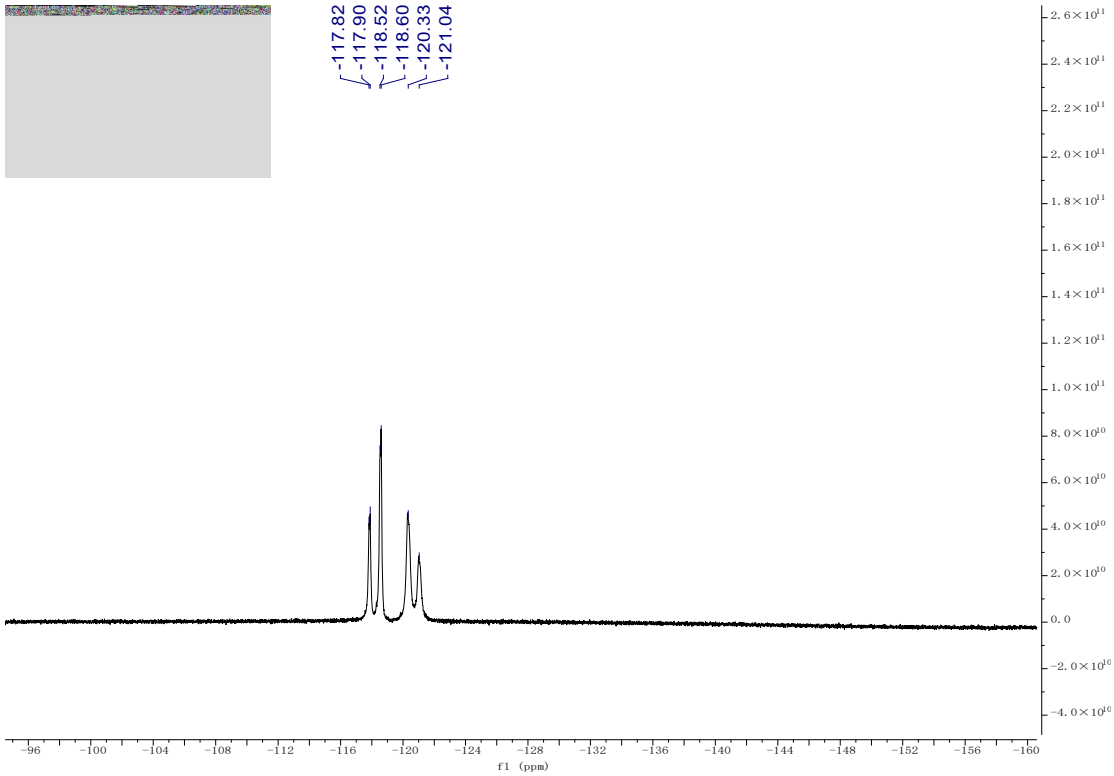
^{1}H NMR (Chloroform-*d*, 400 MHz) of **4j**



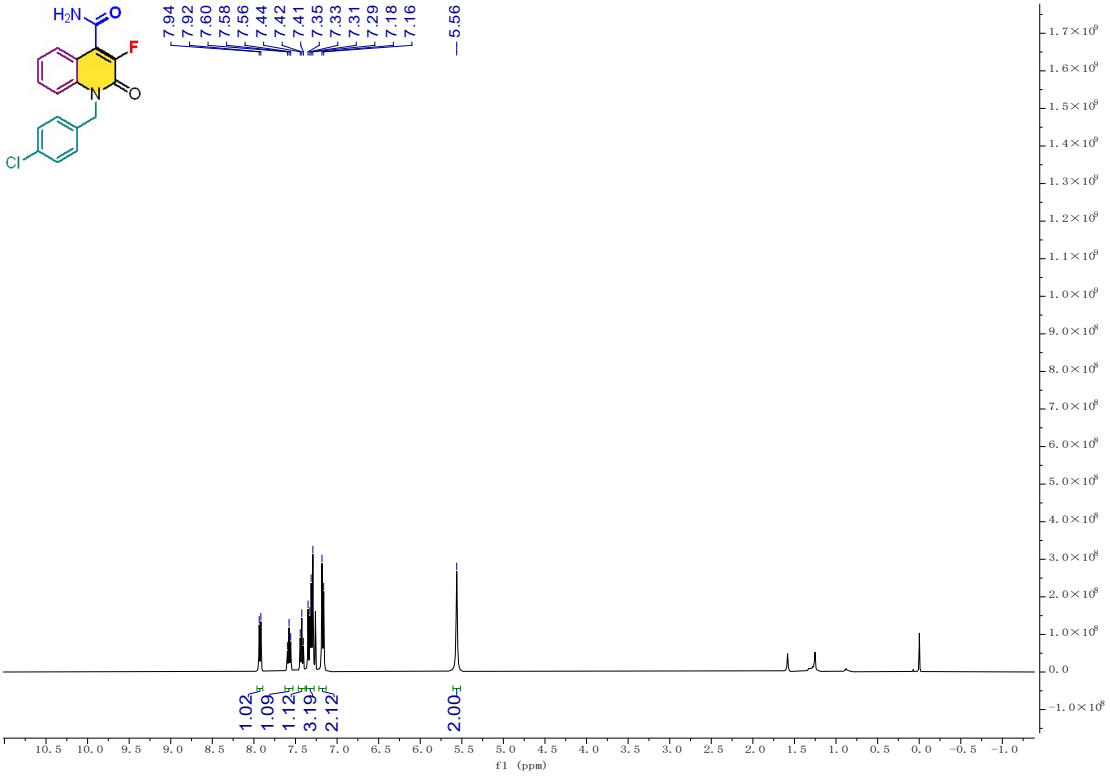
^{13}C NMR (Chloroform-*d*, 101 MHz) of **4j**



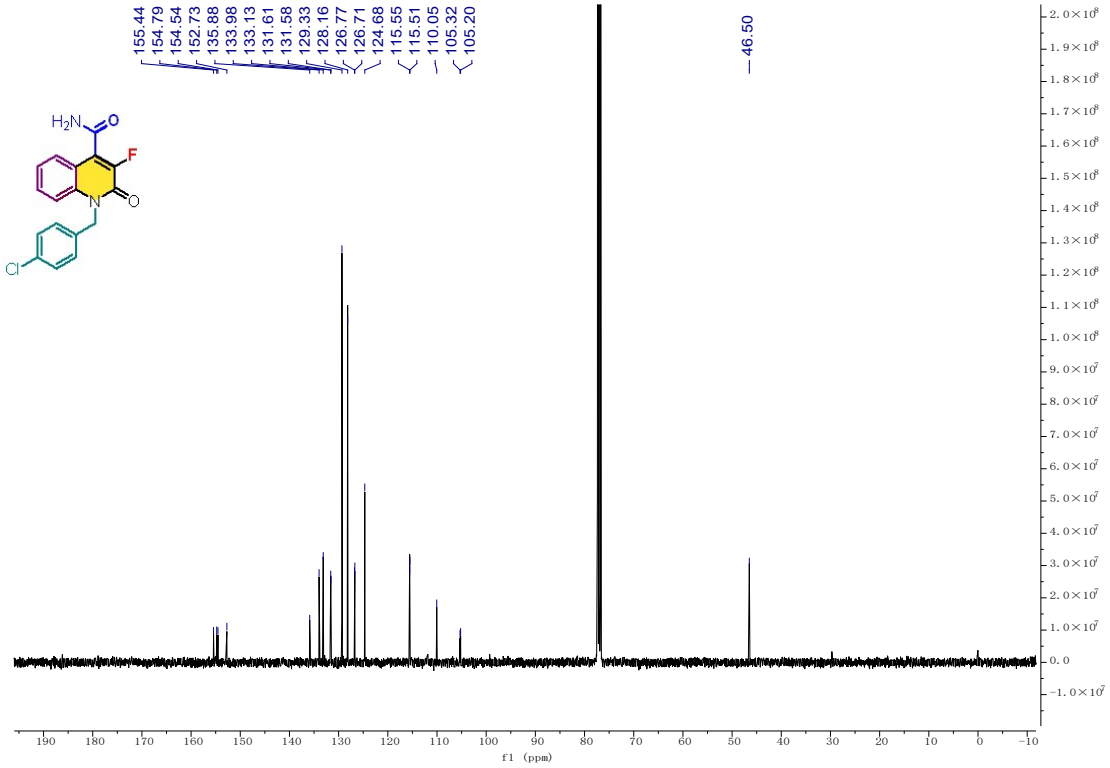
^{19}F NMR (Chloroform-*d*, 376 MHz) of **4j**



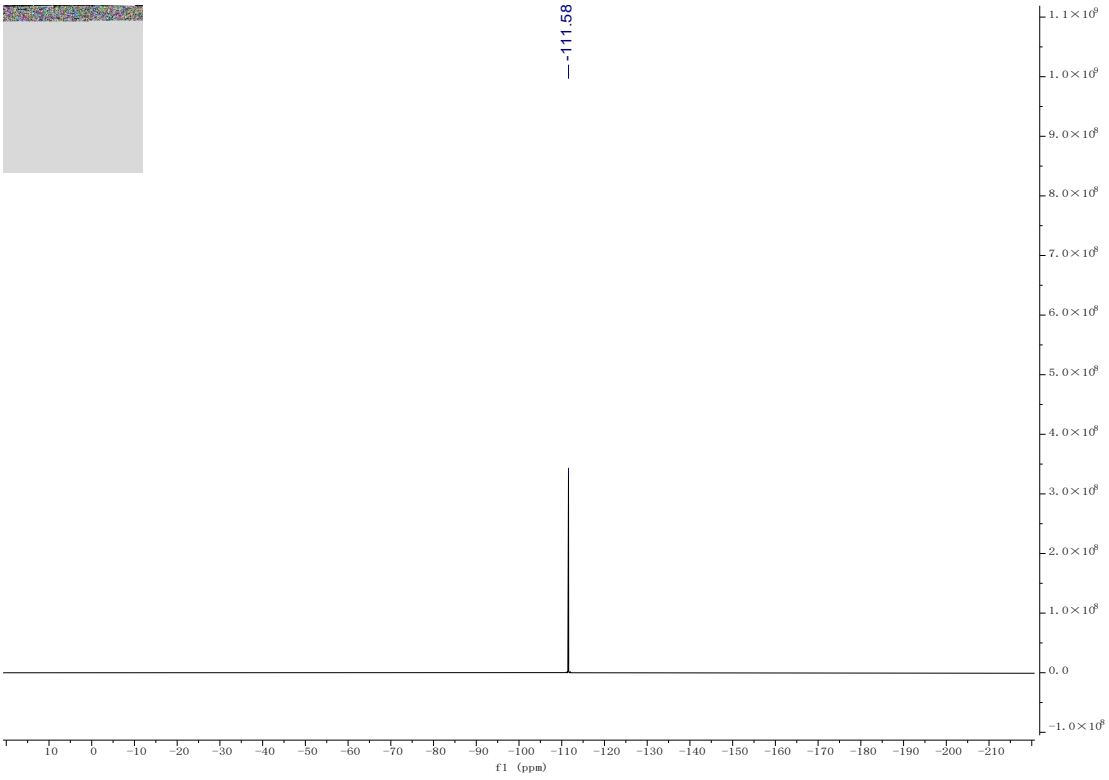
^{1}H NMR (Chloroform-*d*, 400 MHz) of **5**



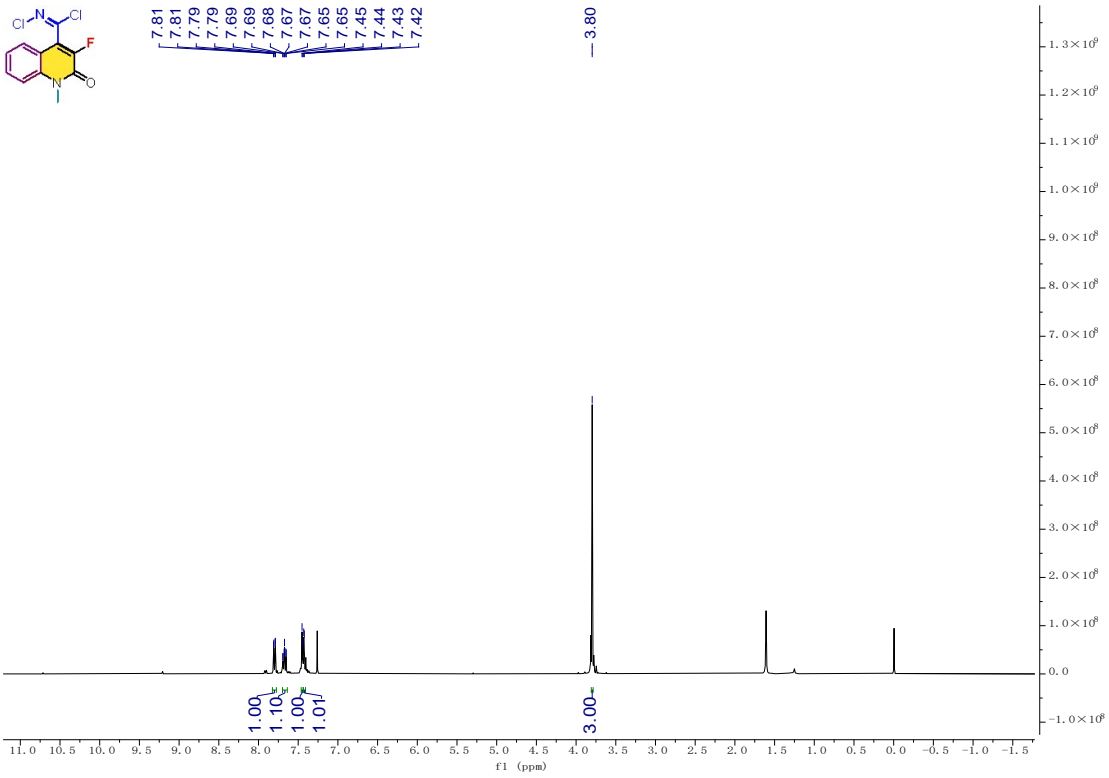
^{13}C NMR (Chloroform-*d*, 101 MHz) of **5**



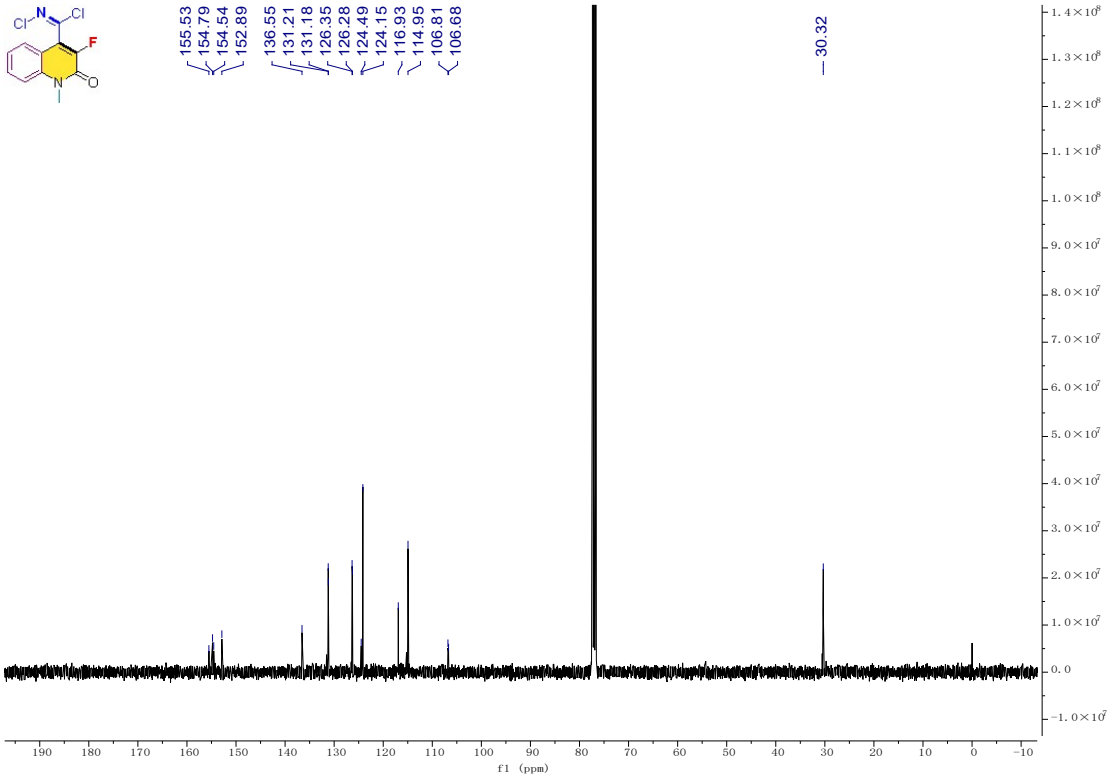
^{19}F NMR (Chloroform-*d*, 376 MHz) of **5**



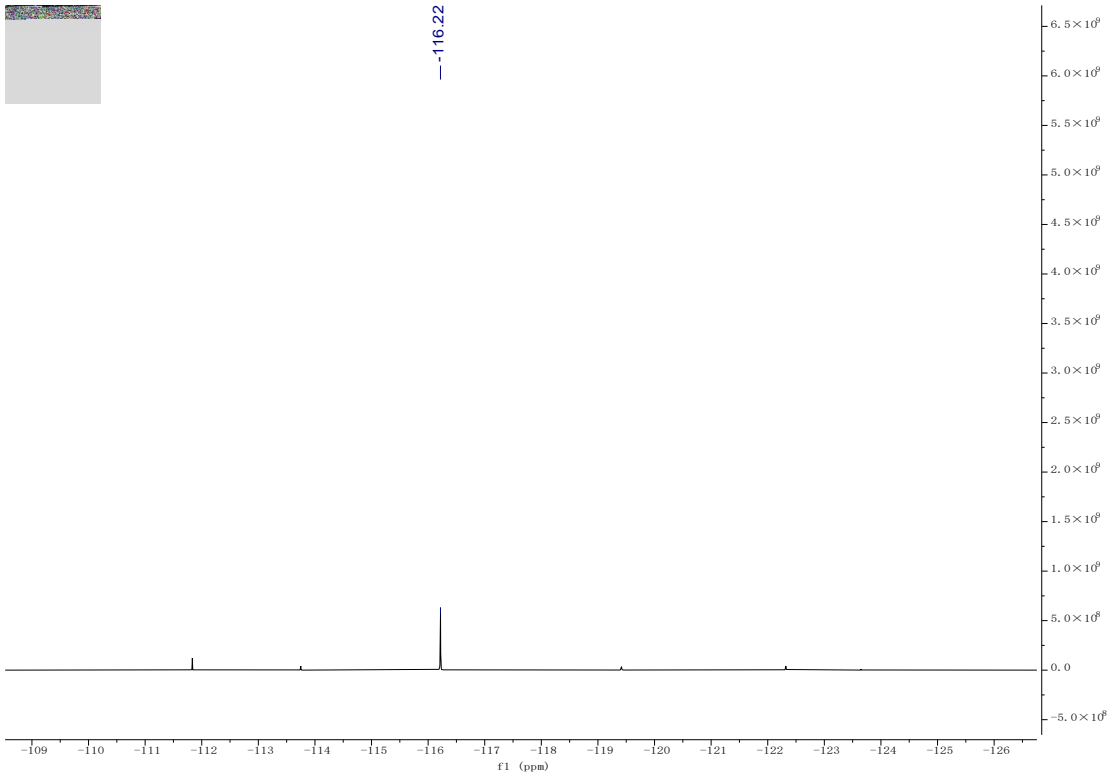
^{1}H NMR (Chloroform-*d*, 400 MHz) of **6**



^{13}C NMR (Chloroform-*d*, 101 MHz) of **6**



^{19}F NMR (Chloroform-*d*, 376 MHz) of **6**



9. X-Ray crystallographic data of 2h

All intensity data of **2h** were collected with a Bruker APEX-II CCD diffractometer using graphite-monochromated Cu Kα radiation (λ = 0.987 Å) at 120 K. The structures were resolved by direct methods and refined by full-matrix least-squares on F2.S5,S6 All non-hydrogen atoms were refined anisotropically. Hydrogen atoms were considered in calculated positions. Crystallographic data for **2h** and its CCDC number were listed in Table S1.

Table S1. Crystallographic Data and CCDC Numbers for Compound **2h**.

	2h•CH_2Cl_2
CCDC No	2529654
Empirical formula	$C_{18}H_{14}Cl_3FN_2O_2$
Temperature (K)	120.00
Radiation	1.54178
Crystal system	Triclinic
Space group	P -1
a (Å)	7.0804(4)
b (Å)	9.1424(5)
c (Å)	14.3635(9)
α (deg.)	71.4510(10)
β (deg.)	83.566(2)
γ (deg.)	86.6550(10)
Cell volume (Å3)	875.67(9)
R_1	0.0305
wR_2 (all data)	0.0834

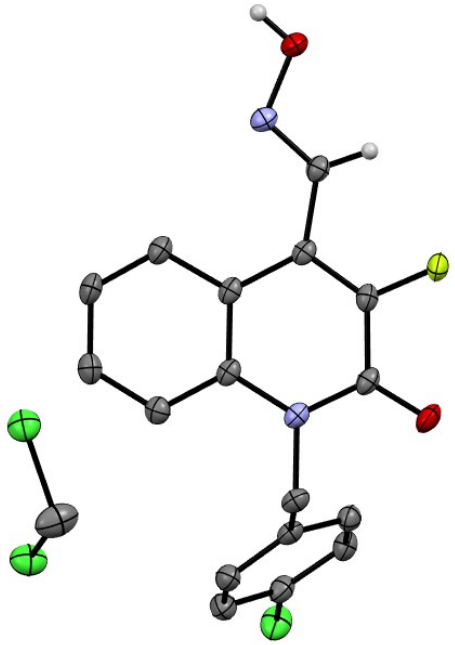


Figure 4. Solid-state structure of **2h•DCM**. Hydrogen atoms have been omitted for clarity.