# Supporting information *for*

## Synthesis of $\alpha$-trifluoromethyl-$\beta$-lactams via copper-catalyzed Kinugasa reaction of nitrones with 3,3,3-trifluoropropyne and their defluorination

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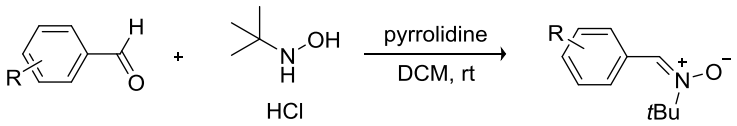
**General information**

$^{1}H$ NMR, $^{19}F$ NMR and $^{13}C$ NMR spectra were recorded using Bruker AVIII 400 spectrometer. $^{1}H$ NMR and $^{13}C$ NMR chemical shifts were reported in parts per million (ppm) downfield from tetramethylsilane and $^{19}F$ NMR chemical shifts were determined relative to $CFCl_3$ as the external standard and low field is positive. Coupling constants (*J*) are reported in Hertz (Hz). The residual solvent peak was used as an internal reference: $^{1}H$ NMR ($CDCl_3$ δ 7.26), $^{13}C$ NMR ($CDCl_3$ δ 77.16), The following abbreviations were used to explain the multiplicities: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, br = broad. Solvents are directly purchased commercially without further purification. The infrared (IR) spectra were recorded using a Nicolet iS 50 at room temperature. HRMS were obtained on State Key Discipline Testing Center for Physical Chemistry of Fuzhou University. Column chromatography purifications were performed by flash chromatography using silica gel 60.

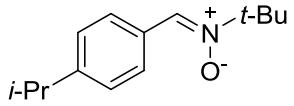
**Synthesis of Substrates.**

All aryl nitrone were prepared according to the published procedures.[1]

**The procedure for the synthesis of substrates 2d, 2j, and 2k**

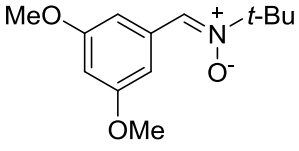



*N-tert*-Butylhydroxylamine hydrochloride (5.0 mmol) and the aldehydes (5.0 mmol) were dissolved in anhydrous $CH_2Cl_2$ (20 mL). The reaction mixture was cooled down to 0 °C and pyrrolidine (6.0 mmol) was added dropwise. The reaction was stirred at room temperature. After the reaction was finished as judged by TLC (1–4 h), the solvent was then removed under reduced pressure to give a crude product. Purification by silica gel column chromatography with petroleum and ethylacetate as eluent (PE: EA = 1:1–6:1) to afford the corresponding pure products.



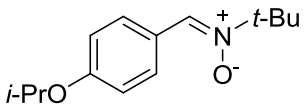

***N-tert*-butyl-1-(4-isopropylphenyl)methanimine oxide (2d)**

Obtained as a yellow solid in 82% yield (1.80 g). Mp: 130.1 – 131.4 ℃. $R_f$ (petroleum ether/ethyl acetate = 5:1) = 0.71. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.24 (d, *J* = 8.4 Hz, 2H), 7.53 (s, 1H), 7.29 (d, *J* = 8.3 Hz, 2H), 2.94 (hept, *J* = 6.9 Hz, 1H), 1.62 (s, 9H), 1.27 (d, *J* = 6.9 Hz, 6H). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 151.3 (s), 129.7 (s), 128.9 (s), 128.7 (s), 126.5 (s), 70.4 (s), 34.1 (s), 28.3 (s), 23.7 (s). IR (ATR): ν 2962, 2860, 1605, 1580, 1550, 1502, 1470, 1415, 1365, 1315, 1196, 1183, 1121, 1051, 909, 864, 834, 737, 669 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{14}H_{22}NO$ $[M + H]^+$: 220.1696; found: 220.1696.




***N-tert*-butyl-1-(3,5-dimethoxyphenyl)methanimine oxide (2j)**

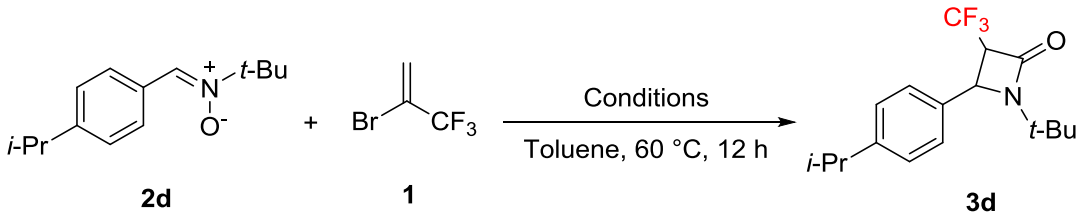
Obtained as a yellow solid in 76% yield (1.80 g). Mp: 144.7 – 145.9 ℃. $R_f$ (petroleum ether/ethyl acetate = 5:1) = 0.75. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.54 – 7.49 (m, 2H), 7.48 (s, 1H), 6.53 (s, 1H), 3.81 (s, 6H), 1.60 (s, 9H). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 160.5 (s), 132.6 (s), 130.1 (s), 106.2 (s), 103.7 (s), 71.0 (s), 55.4 (s), 28.3 (s). IR (ATR): ν 3112, 2972, 2837, 1587, 1460, 1415, 1358, 1335, 1313, 1255, 1245, 1186, 1148, 1113, 1056, 981, 936, 864, 849, 692, 659, 602 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{13}H_{20}NO_3$ $[M + H]^+$: 238.1438; found: 238.1437.




**_N-tert_-butyl-1-(4-isopropoxyphenyl)methanimine oxide (2k)**

Obtained as a yellow solid in 72% yield (1.69 g). Mp: 88.2 – 89.6 ℃. $R_f$ ((petroleum ether/ethyl acetate = 5:1) = 0.71. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.25 (d, $J$ = 8.9 Hz, 2H), 7.44 (s, 1H), 6.88 (d, $J$ = 8.9 Hz, 2H), 4.60 (hept, $J$ = 6.1 Hz, 1H), 1.58 (s, 9H), 1.32 (d, $J$ = 6.1 Hz, 6H). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.2 (s), 130.7 (s), 129.5 (s), 123.6 (s), 115.3 (s), 69.9 (s), 69.8 (s), 28.3 (s), 21.9 (s). IR (ATR): ν 2974, 2929, 1602, 1555, 1500, 1360, 1245, 1166, 1106, 951, 844 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{14}H_{22}NO_2$ $[M + H]^+$: 236.1645; found: 236.1644.

**Table S1 The reagent loading and additive effect on the reaction**

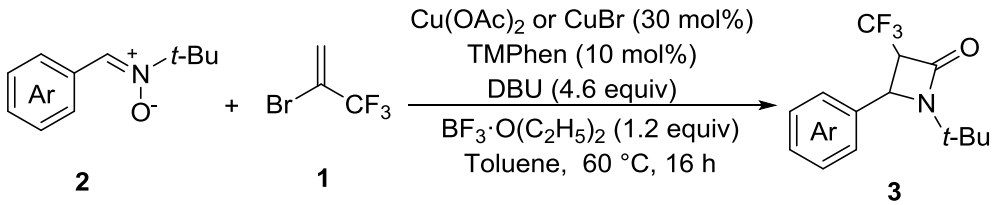



**2d** **1** **3d**

| Entry | $Cu(OAc)_2$ (equiv) | TMPhen (equiv) | DBU (equiv) | Additive (1.2 equiv) | Yield of **3d** (%)[b] |
|---|---|---|---|---|---|
| 1 | 0.1 | 0.1 | 4.6 | - | 41 |
| 2 | 0.2 | 0.1 | 4.6 | - | 59 |
| 3 | 0.3 | 0.1 | 4.6 | - | 73 |
| 4 | 0.3 | 0.2 | 4.6 | - | 61 |
| 5 | 0.3 | 0.3 | 4.6 | - | 44 |
| 6 | 0.3 | 0.1 | 2.0 | - | 8 |
| 7 | 0.3 | 0.1 | 3.0 | - | 30 |
| 8 | 0.3 | 0.1 | 4.0 | - | 63 |
| 9 | 0.3 | 0.1 | 4.6 | $AlCl_3$ | 68 |
| 10 | 0.3 | 0.1 | 4.6 | $FeCl_3$ | 66 |
| 11 | 0.3 | 0.1 | 4.6 | $TiCl_4$ | 77 |
| 12 | 0.3 | 0.1 | 4.6 | $BF_3{\cdot}OEt_2$ | 95 |

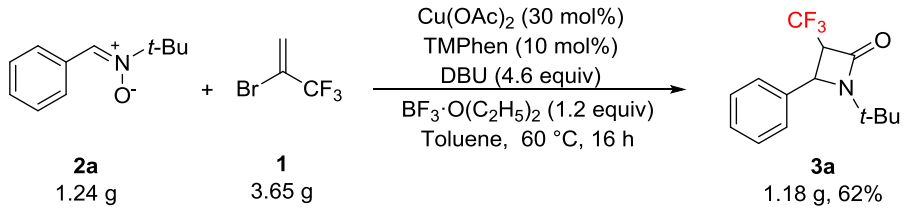
[a] Reaction conditions: **1** (0.30 mmol, 3.0 equiv), **2d** (0.10 mmol), toluene (0.8 mL), under $N_2$ atmosphere. [b] The yield was determined by $^{19}F$ NMR spectroscopy with $PhOCF_3$ as internal standard.

**General procedure for the synthesis of 3**




Aryl nitrones **2** (0.50 mmol, 1.0 equiv), 2-bromo-3,3,3-trifluoroprop-1-ene **1** (155.6 μL, 1.50 mmol, 3.0 equiv), anhydrous $Cu(OAc)_2$ (29.9 mg, 0.15 mmol, 0.30 equiv) or CuBr (21.3 mg, 0.15 mmol, 0.30 equiv), 3,4,7,8-tetramethyl-1,10-phenanthroline (11.8 mg, 0.05 mmol, 0.10 equiv), DBU (343.6 μL, 2.30 mmol, 4.6 equiv), $BF_3$ $O(C_2H_5)_2$ (74.1 μL, 0.60 mmol, 1.2 equiv), and toluene (3.5 ml) were added to a resealable Schlenk tube possessing a Teflon screw valve. The reaction mixture was stirred at 60 ℃ in a metal bath for 16 hours under nitrogen atmosphere. After the reaction was terminated, the mixture was poured into the separatory funnel, then water and dichloromethane was added. The organic layer was washed with water, brine, and dried over anhydrous sodium sulfate. The solution was filtered and the filtrate was vacuumed to remove the solvent. The crude product was purified by column chromatography (silica gel) with *n*-hexane/ethyl acetate (5:1 ~ 40:1) as eluent to obtain **3.**

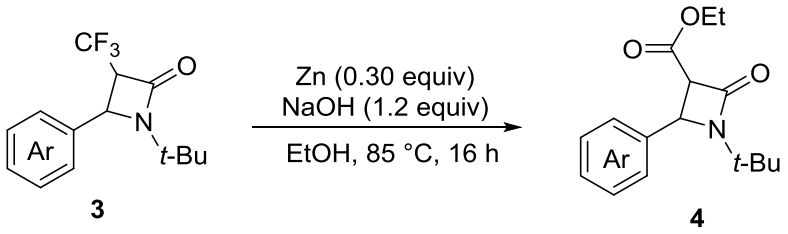
**Procedure for gram scale reaction for synthesis of 3a**




*N-tert*-Butyl-1-phenylmethanimine oxide **2a** (1.24 g, 7.0 mmol, 1.0 equiv), 2-bromo-3,3,3-trifluoroprop-1-ene **1** (2.2 mL, 21.0 mmol, 3.0 equiv), anhydrous $Cu(OAc)_2$ (419.3 mg, 2.1 mmol, 0.30 equiv), 3,4,7,8-tetramethyl-1,10-phenanthroline (165.4 mg, 0.70 mmol, 0.10 equiv), DBU (4.8 mL, 32.2 mmol, 4.6 equiv), $BF_3$ $O(C_2H_5)_2$ (1.0 mL, 8.4 mmol, 1.2 equiv), and toluene (49 mL) were added to a resealable Schlenk tube possessing a Teflon screw valve. The reaction mixture was stirred at 60 ℃ in a metal bath for 16 hours under nitrogen atmosphere. After the reaction was terminated, the mixture was poured into the separatory funnel, then water and dichloromethane was added. The organic layer was washed with water, brine, and dried over anhydrous sodium sulfate. The solution was filtered and the filtrate was vacuumed to remove the solvent. The crude product was purified by column chromatography (silica gel) with *n*-hexane and ethyl acetate as eluent to obtain **3a** (1.18 g, 62%).

**Procedures for derivatization**

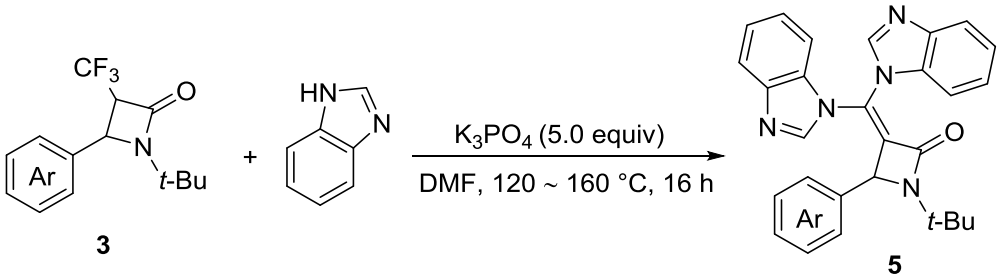
**General procedures for the synthesis of 4**




**3** **4**

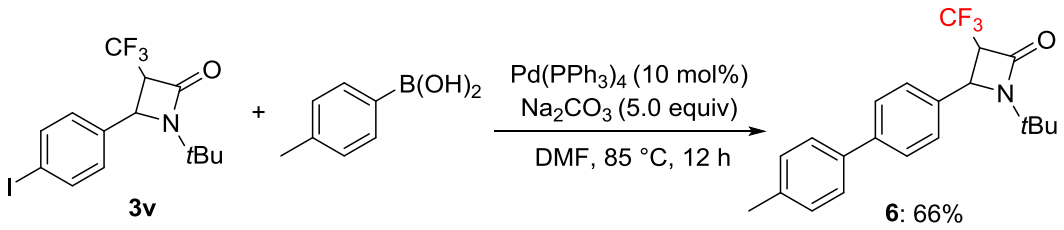
To a solution of **3** (0.20 mmol) in EtOH (2 mL) was added Zn (3.9 mg, 0.060 mmol, 0.30 equiv), NaOH (24 mg, 0.60 mmol, 1.2 equiv), and the mixture was stirred at 85 ℃ in a metal bath for 16 h. After the reaction was terminated, the mixture was poured into the separatory funnel, then water and dichloromethane was added. The organic layer was washed with water, brine, and dried over anhydrous sodium sulfate. The solution was filtered and the filtrate was vacuumed to remove the solvent. The crude product was purified by column chromatography (silica gel) with *n*-hexane and ethyl acetate (5:1 ~ 10:1) as eluent to obtain **4**.

**Procedure for the synthesis of 5**



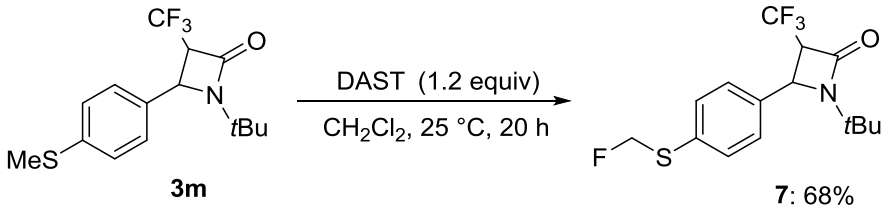

To a solution of **3** (0.20 mmol) in DMF (3 mL) was added benzimidazole (49.6 mg, 0.42 mmol, 2.1 equiv), $K_3PO_4$ (212.3 mg, 1.0 mmol, 5.0 equiv), and the mixture was stirred at 120~160 ℃ in a metal bath for 16 h. After the reaction was terminated, the mixture was poured into the separatory funnel, then water and dichloromethane was added. The organic layer was washed with water, brine, and dried over anhydrous sodium sulfate. The solution was filtered and the filtrate was vacuumed to remove the solvent. The crude product was purified by column chromatography (silica gel) with *n*-hexane and ethyl acetate (1:1 ~ 5:1) as eluent to obtain **5**.

**Procedures for the synthesis of 6**



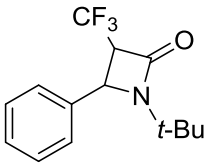

To a solution of **3v** (72.2 mg, 0.20 mmol) in DMF (2 mL) was added 4-tolylboronic acid (40.8 mg, 0.3 mmol, 1.5 equiv), $Pd(PPh_3)_4$ (23 mg, 0.02 mmol, 0.1 equiv ), $Na_2CO_3$, (105.9 mg, 1.0 mmol, 5.0 equiv ), and the mixture was stirred at 85 ℃ in a metal bath for 12 h. After the reaction was terminated, the mixture was poured into the separatory funnel, then water and dichloromethane was added. The organic layer was washed with water, brine, and dried over anhydrous sodium sulfate. The solution was filtered and the filtrate was vacuumed to remove the solvent. The crude product was purified by column chromatography (silica gel) with *n*-hexane and ethyl acetate (20:1) as eluent to obtain **6** (47.6 mg, 66%).

**Procedures for the synthesis of 7**



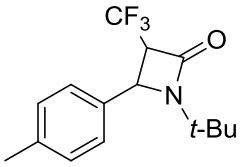

To a solution of **3m** (63.4 mg, 0.20 mmol) in DCM (2 mL) was added DAST (31.7 ul, 0.24 mmol, 1.2 equiv), and the mixture was stirred at room temperature for 20 h. After the reaction was terminated, the mixture was poured into the separatory funnel, then water and dichloromethane was added. The organic layer was washed with water, brine, and dried over anhydrous sodium sulfate. The solution was filtered and the filtrate was vacuumed to remove the solvent. The crude product was purified by column chromatography (silica gel) with *n*-hexane and ethyl acetate (15:1) as eluent to obtain **7** (45.6 mg, 68%).

**Data for compounds**



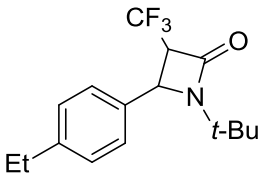

**1-(*tert*-butyl)-4-phenyl-3-(trifluoromethyl)azetidin-2-one (3a)**

Obtained as a yellow solid in 62% yield (1.18 g). Mp: 102.5 – 104.1 ℃. $R_f$ (*n*-hexane/ethyl acetate = 10:1) = 0.62. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.43 – 7.34 (m, 5H), 4.65 (d, *J* = 2.3 Hz, 1H), 3.48 (qd, *J* = 9.0, 2.3 Hz, 1H), 1.28 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.2 (d, *J* = 8.9 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.9 (q, *J* = 4.3 Hz), 138.1 (s), 129.2 (s), 129.1 (s), 126.2 (s), 123.6 (q, *J* = 277.0 Hz), 60.1 (q, *J* = 29.4 Hz), 55.5 (s), 54.5 (q, *J* = 3.3 Hz), 27.9 (s). IR (ATR): ν 2979, 2939, 1759, 1458, 1340, 1255, 1228, 1178, 1116, 1073, 1046, 846, 749, 697, 669, 629 $cm^{-1}$. HRMS (ESI) m/z: calcd. for $C_{14}H_{17}F_3NO$ $[M + H]^+$: 272.1257; found: 272.1258.



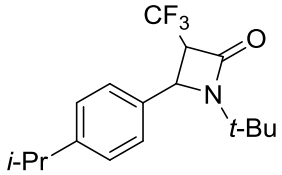

**1-(*tert*-butyl)-4-(*p*-tolyl)-3-(trifluoromethyl)azetidin-2-one (3b)**

Obtained as a white solid in 72% yield (102.6 mg). Mp: 96.7 – 98.3 ℃. $R_f$ (*n*-hexane/ethyl acetate = 10:1) = 0.69. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.30 (d, *J* = 8.2 Hz, 2H), 7.22 (d, *J* = 7.9 Hz, 2H), 4.65 (d, *J* = 2.4 Hz, 1H), 3.46 (qd, *J* = 9.0, 2.4 Hz, 1H), 2.37 (s, 3H), 1.28 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.3 (d, *J* = 8.8 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.9 (q, *J* = 4.3 Hz), 139.0 (s), 135.0 (s), 129.8 (s), 126.2 (s), 123.7 (q, *J* = 277.1 Hz), 60.1 (q, *J* = 29.3 Hz), 55.4 (s), 54.3 (q, *J* = 3.2 Hz), 27.8 (s), 21.0 (s). IR (ATR): ν 2979, 2927, 1757, 1517, 1373, 1348, 1255, 1226, 1173, 1116, 1046, 1011, 894, 854, 816, 662, 617 $cm^{-1}$. HRMS (ESI) m/z: calcd. for $C_{15}H_{19}F_3NO$ $[M + H]^+$: 286.1413; found: 286.1414.



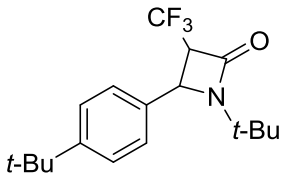

**1-(*tert*-butyl)-4-(4-ethylphenyl)-3-(trifluoromethyl)azetidin-2-one (3c)**

Obtained as a yellow liquid in 85% yield (127.1 mg). $R_f$ (*n*-hexane/ethyl acetate = 20:1) = 0.63 . $^1$H NMR (400 MHz, $CDCl_3$) δ 7.30 (d, *J* = 8.1 Hz, 2H), 7.23 (d, *J* = 8.1 Hz, 2H), 4.63 (d, *J* = 2.3 Hz, 1H), 3.46 (qd, *J* = 9.0, 2.3 Hz, 1H), 2.66 (q, *J* = 7.6 Hz, 2H), 1.27 (s, 9H), 1.24 (t, *J* = 7.6 Hz, 3H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.3 (d, *J* = 9.0 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 160.0 (q, *J* = 4.3 Hz), 145.4 (s), 135.2 (s), 128.6 (s), 126.2 (s), 123.7 (q, *J* = 277.1 Hz), 60.1 (q, *J* = 29.2 Hz), 55.4 (s), 54.3 (q, *J* = 3.3 Hz), 28.5 (s), 27.9 (s), 15.3 (s). IR (ATR): ν 2974, 2929, 2872, 1762, 1512, 1463, 1370, 1345, 1255, 1226, 1173, 1113, 1046, 896, 846, 824, 664, 619 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{16}H_{21}F_3NO$ $[M + H]^+$: 300.1570; found: 300.1575.



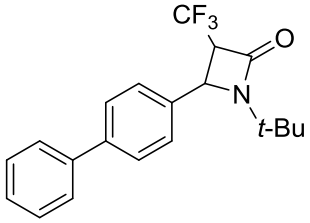

**1-(*tert*-butyl)-4-(4-isopropylphenyl)-3-(trifluoromethyl)azetidin-2-one (3d)**

Obtained as a yellow liquid in 84% yield (131.5 mg). $R_f$ (*n*-hexane/ethyl acetate = 20:1) = 0.68. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.32 (d, *J* = 8.0 Hz, 2H), 7.26 (d, *J* = 8.0 Hz, 2H), 4.66 (d, *J* = 2.4 Hz, 1H), 3.48 (qd, *J* = 9.0, 2.3 Hz, 1H), 2.93 (hept, *J* = 6.9 Hz, 1H), 1.29 (s, 9H), 1.26 (d, *J* = 7.1 Hz, 6H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.3 (d, *J* = 9.0 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.9 (q, *J* = 4.3 Hz), 149.9 (s), 135.3 (s), 127.2 (s), 126.2 (s), 123.7 (q, *J* = 277.0 Hz), 60.1 (q, *J* = 29.2 Hz), 55.3 (s), 54.3 (q, *J* = 3.3 Hz), 33.8 (s), 27.9 (s), 23.8 (d, *J* = 1.8 Hz). IR (ATR): ν 2967, 2927, 2872, 1764, 1460, 1425, 1368, 1350, 1258, 1231, 1176, 1118, 1051, 1008, 976, 894, 856, 824, 662, 614 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{17}H_{23}F_3NO$ $[M + H]^+$: 314.1726; found: 314.1732.



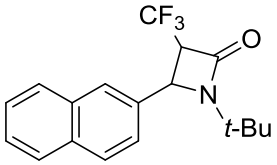

**1-(*tert*-butyl)-4-(4-(*tert*-butyl)phenyl)-3-(trifluoromethyl)azetidin-2-one (3e)**

Obtained as a light yellow solid in 63% yield (103.0 mg). Mp: 133.8 – 135.7 ℃. $R_f$ (*n*-hexane/ethyl acetate = 20:1) = 0.70. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.41 (d, *J* = 8.4 Hz, 2H), 7.30 (d, *J* = 8.4 Hz, 2H), 4.63 (d, *J* = 2.3 Hz, 1H), 3.47 (qd, *J* = 9.0, 2.4 Hz, 1H), 1.32 (s, 9H), 1.28 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.2 (d, *J* = 9.0 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 160.0 (q, *J* = 4.1 Hz), 152.3 (s), 134.9 (s), 126.0 (s), 125.9 (s), 123.7 (q, *J* = 277.1 Hz), 60.1 (q, *J* = 29.2 Hz), 55.4 (s), 54.3 (q, *J* = 3.2 Hz), 34.7 (s), 31.2 (s), 28.0 (s). IR (ATR): ν 2964, 2872, 1764, 1463, 1348, 1258, 1226, 1176, 1118, 1006, 841, 659 $cm^{-1}$. HRMS (ESI) m/z: calcd. for $C_{18}H_{25}F_3NO$ $[M + H]^+$: 328.1883; found: 328.1886.



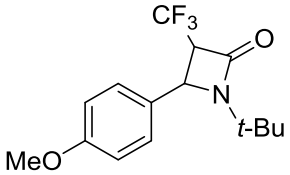

**4-([1,1'-biphenyl]-4-yl)-1-(*tert*-butyl)-3-(trifluoromethyl)azetidin-2-one (3f)**

Obtained as a yellow solid in 71% yield (123.2 mg). Mp: 103.1 – 105.0 ℃. $R_f$ (*n*-hexane/ethyl acetate = 20:1) = 0.66. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.69 – 7.59 (m, 4H), 7.51 – 7.45 (m, 4H), 7.42 – 7.36 (m, 1H), 4.75 (d, *J* = 2.3 Hz, 1H), 3.55 (qd, *J* = 8.9, 2.4 Hz, 1H), 1.34 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.1 (d, *J* = 8.9 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.9 (q, *J* = 4.2 Hz), 142.1 (s), 140.1 (s), 137.1 (s), 128.9 (s), 127.9 (s), 127.8 (s), 127.1 (s), 126.8 (s), 123.8 (q, *J* = 277.1 Hz), 60.2 (q, *J* = 29.3 Hz), 55.5 (s), 54.2 (q, *J* = 3.2 Hz), 28.0 (s). IR (ATR): ν 2979, 2929, 1759, 1487, 1370, 1348, 1255, 1226, 1176, 1116, 1043, 1004, 849, 759, 692, 664, 629 $cm^{-1}$. HRMS (ESI) m/z: calcd. for $C_{20}H_{21}F_3NO$ $[M + H]^+$: 348.1570; found: 348.1576.



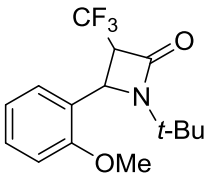

**1-(*tert*-butyl)-4-(naphthalen-2-yl)-3-(trifluoromethyl)azetidin-2-one (3g)**

Obtained as a yellow solid in 96% yield (154.2 mg). Mp: 107.1 – 108.9 ℃. $R_f$ (*n*-hexane/ethyl acetate = 10:1) = 0.61. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.02 – 7.80 (m, 4H), 7.67 – 7.45 (m, 3H), 4.88 (d, *J* = 2.4 Hz, 1H), 3.60 (qd, *J* = 8.9, 2.4 Hz, 1H), 1.32 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.0 (d, *J* = 9.0 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.9 (q, *J* = 4.3 Hz), 135.3 (s), 133.6 (s), 133.2 (s), 129.5 (s), 127.9 (d, *J* = 4.2 Hz), 126.9 (d, *J* = 9.6 Hz), 126.4 (s), 123.8 (q, *J* = 277.7 Hz), 122.7 (s), 60.0 (q, *J* = 29.4 Hz), 55.6 (s), 54.7 (q, *J* = 3.3 Hz), 27.9 (s). IR (ATR): ν 2977, 2929, 1757, 1375, 1348, 1260, 1226, 1181, 1114, 1043, 819, 752, 654 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{18}H_{19}F_3NO$ $[M + H]^+$: 322.1413; found: 322.1418.



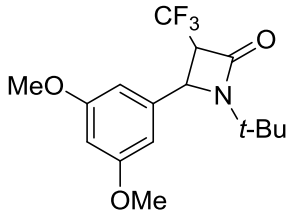

**1-(*tert*-butyl)-4-(4-methoxyphenyl)-3-(trifluoromethyl)azetidin-2-one (3h)**

Obtained as a white solid in 52% yield (78.3 mg). Mp: 97.6 – 99.3 ℃. $R_f$ (*n*-hexane/ethyl acetate = 20:1) = 0.78. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.30 (d, *J* = 8.7 Hz, 2H), 6.92 (d, *J* = 8.7 Hz, 2H), 4.61 (d, *J* = 2.3 Hz, 1H), 3.81 (s, 3H), 3.45 (qd, *J* = 9.0, 2.3 Hz, 1H), 1.26 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.3 (d, *J* = 9.0 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 160.1 (s), 159.9 (q, *J* = 4.3 Hz), 129.8 (s), 127.6 (s), 123.7 (q, *J* = 276.9 Hz), 114.5 (s), 60.1 (q, *J* = 29.2 Hz), 55.4 (s), 55.3 (s), 54.1 (q, *J* = 3.3 Hz), 27.9 (s). IR (ATR): ν 2977, 2934, 1759, 1612, 1515, 1463, 1373, 1345, 1248, 1223, 1176, 1116, 1028, 834, 657, 612 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{15}H_{19}F_3NO_2$ $[M + H]^+$: 302.1362; found: 302.1368.



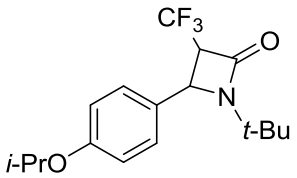

**1-(*tert*-butyl)-4-(2-methoxyphenyl)-3-(trifluoromethyl)azetidin-2-one (3i)**

Obtained as a yellow solid in 48% yield (72.3 mg). Mp: 90.8 – 91.3 ℃. $R_f$ (n-hexane/ethyl acetate = 20:1) = 0.64. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.42 – 7.23 (m, 2H), 7.02 – 6.87 (m, 2H), 4.97 (s, 1H), 3.85 (s, 3H), 3.65 (q, *J* = 9.7 Hz, 1H), 1.23 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.4 (d, *J* = 9.3 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 160.1 (q, *J* = 4.3 Hz), 157.5 (s), 130.2 (s), 128.2 (s), 125.1 (s), 124.0 (q, *J* = 277.7 Hz), 120.8 (s), 111.1 (s), 58.0 (q, *J* = 29.1 Hz), 55.3 (s), 55.0 (s), 50.2 (s), 27.6 (s). IR (ATR): ν 2979, 2932, 1764, 1602, 1587, 1495, 1463, 1370, 1343, 1253, 1226, 1178, 1116, 1051, 1026, 891, 856, 757, 634 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{15}H_{19}F_3NO_2$ $[M + H]^+$: 302.1362; found: 302.1369.



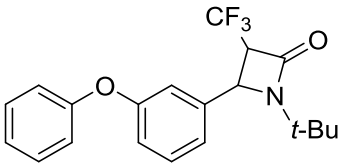

**1-(*tert*-butyl)-4-(3,5-dimethoxyphenyl)-3-(trifluoromethyl)azetidin-2-one (3j)**

Obtained as a white solid in 59% yield (97.7 mg). Mp: 142.8 – 144.0 ℃. $R_f$ (*n*-hexane/ethyl acetate = 20:1) = 0.60. $^1$H NMR (400 MHz, $CDCl_3$) δ 6.52 (s, 1H), 6.51 (s, 1H), 6.43 (t, *J* = 2.3 Hz, 1H), 4.56 (d, *J* = 2.4 Hz, 1H), 3.79 (s, 6H), 3.47 (qd, *J* = 8.9, 2.4 Hz, 1H), 1.30 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.2 (d, *J* = 8.9 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 161.4 (s), 159.9 (q, *J* = 4.3 Hz), 140.6 (s), 123.6 (q, *J* = 277.1 Hz), 104.1 (s), 100.5 (s), 59.9 (q, *J* = 29.4 Hz), 55.5 (s), 55.4 (s), 54.5 (q, *J* = 3.2 Hz), 27.8 (s). IR (ATR): ν 2972, 1764, 1600, 1473, 1353, 1253, 1181, 1153, 1118, 1058, 956, 849, 652 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{16}H_{21}F_3NO_3$ $[M + H]^+$: 332.1468; found: 332.1476.



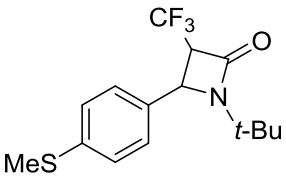

**1-(*tert*-butyl)-4-(4-isopropoxyphenyl)-3-(trifluoromethyl)azetidin-2-one (3k)**

Obtained as a yellow liquid in 80% yield (131.7 mg). $R_f$ (*n*-hexane/ethyl acetate = 20:1) = 0.64. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.27 (d, *J* = 8.7 Hz, 2H), 6.88 (d, *J* = 8.7 Hz, 2H), 4.59 (d, *J* = 2.4 Hz, 1H), 4.54 (hept, *J* = 6.1 Hz, 1H), 3.44 (qd, *J* = 9.0, 2.3 Hz, 1H), 1.32 (d, *J* = 6.2 Hz, 6H), 1.25 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.3 (d, *J* = 9.0 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.9 (q, *J* = 4.3 Hz), 158.5 (s), 129.4 (s), 127.6 (s), 123.7 (q, *J* = 277.0 Hz), 116.1 (s), 69.9 (s), 60.1 (q, *J* = 29.2 Hz), 55.3 (s), 54.1 (q, *J* = 3.3 Hz), 27.9 (s), 21.9 (d, *J* = 2.1 Hz). IR (ATR): ν 2977, 2929, 2875, 1757, 1610, 1510, 1463, 1368, 1348, 1245, 1171, 1116, 1046, 951, 864, 829, 622 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{17}H_{23}F_3NO_2$ $[M + H]^+$: 330.1675; found: 330.1683.



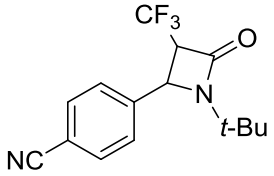

**1-(*tert*-butyl)-4-(3-phenoxyphenyl)-3-(trifluoromethyl)azetidin-2-one (3l)**

Obtained as a yellow solid in 57% yield (103.5 mg). Mp: 142.8 – 144.0 ℃. $R_f$ (*n*-hexane/ethyl acetate = 20:1) = 0.60. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.43 – 7.31 (m, 3H), 7.20 – 7.07 (m, 2H), 7.05 – 6.95 (m, 4H), 4.62 (d, *J* = 2.3 Hz, 1H), 3.46 (qd, *J* = 8.9, 2.4 Hz, 1H), 1.27 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ - 68.2 (d, *J* = 9.0 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.8 (q, *J* = 4.3 Hz), 158.4 (s), 156.4 (s), 140.2 (s), 130.6 (s), 123.0 (s), 124.0 (s), 123.5 (q, *J* = 278.7 Hz), 120.7 (s), 119.3 (s), 119.0 (s), 116.0 (s), 60.0 (q, *J* = 29.5 Hz), 55.5 (s), 54.2 (q, *J* = 3.3 Hz), 27.9 (s). IR (ATR): ν 2977, 2932, 1762, 1585, 1490, 1445, 1370, 1350, 1253, 1216, 1178, 1116, 1043, 966, 886, 829, 754, 697 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{20}H_{21}F_3NO_2$ $[M + H]^+$: 364.1519; found: 364.1524.



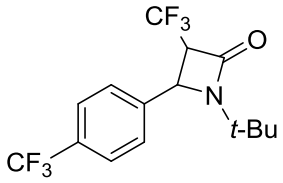

**1-(*tert*-butyl)-4-(4-(methylthio)phenyl)-3-(trifluoromethyl)azetidin-2-one (3m)**

Obtained as a yellow solid in 55% yield (87.2 mg). Mp: 128.2 – 130.0 ℃. $R_f$ (*n*-hexane/ethyl acetate = 10:1) = 0.76. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.30 (d, *J* = 8.2 Hz, 2H), 7.26 (d, *J* = 8.4 Hz, 2H), 4.61 (d, *J* = 2.3 Hz, 1H), 3.45 (qd, *J* = 8.9, 2.3 Hz, 1H), 2.50 (s, 3H), 1.27 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.2 (d, *J* = 8.7 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.9 (q, *J* = 4.3 Hz), 140.0 (s), 134.5 (s), 126.7 (d, *J* = 2.5 Hz), 123.6 (q, *J* = 277.0 Hz), 60.1 (q, *J* = 29.4 Hz), 55.5 (s), 54.1 (q, *J* = 3.3 Hz), 27.9 (s), 15.4 (s). IR (ATR): ν 2977, 2922, 2857, 1759, 1600, 1492, 1368, 1343, 1255, 1226 1173, 1116, 1093, 1011, 851, 821, 652 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{15}H_{19}F_3NOS$ $[M + H]^+$: 318.1134; found: 318.1142.



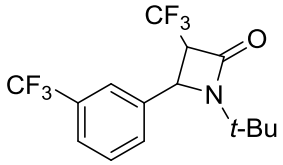

**4-(1-(*tert*-butyl)-4-oxo-3-(trifluoromethyl)azetidin-2-yl)benzonitrile (3n)**

Obtained as a yellow solid in 19% yield (28.1 mg). Mp: 118.7 – 120.5 ℃. $R_f$ (*n*-hexane/ethyl acetate = 5:1) = 0.61. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, *J* = 8.0 Hz, 2H), 7.54 (d, *J* = 8.0 Hz, 2H), 4.70 (d, *J* = 2.4 Hz, 1H), 3.44 (qd, *J* = 8.8, 2.4 Hz, 1H), 1.28 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.2 (d, *J* = 8.8 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.4 (q, *J* = 4.2 Hz), 143.6 (s), 133.1 (s), 126.9 (s), 123.3 (q, *J* = 277.1 Hz), 118.0 (s), 113.2 (s), 60.1 (q, *J* = 29.8 Hz), 55.8 (s), 53.7 (q, *J* = 3.3 Hz), 27.9 (s). IR (ATR): ν 2977, 2927, 1759, 1373, 1350, 1255, 1223, 1176, 1118, 851, 662 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{15}H_{16}F_3N_2O$ $[M + H]^+$: 297.1209; found: 297.1217.



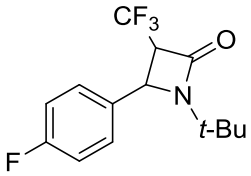

**1-(*tert*-butyl)-3-(trifluoromethyl)-4-(4-(trifluoromethyl)phenyl)azetidin-2-one (3o)**

Obtained as a yellow solid in 31% yield (52.6 mg). Mp: 102.5 – 104.1 ℃. $R_f$ (*n*-hexane/ethyl acetate = 20:1) = 0.68. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.68 (d, *J* = 8.1 Hz, 2H), 7.54 (d, *J* = 8.1 Hz, 2H), 4.72 (d, *J* = 2.4 Hz, 1H), 3.46 (qd, *J* = 8.8, 2.4 Hz, 1H), 1.28 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -62.7 (s, 3F), -68.3 (d, *J* = 8.5 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.6 (q, *J* = 4.2 Hz), 142.3 (d, *J* = 1.5 Hz), 131.4 (q, *J* = 32.8 Hz), 126.6 (s), 126.3 (q, *J* = 3.8 Hz), 123.7 (q, *J* = 273.7 Hz), 123.4 (q, *J* = 277.7 Hz), 60.1 (q, *J* = 29.7 Hz), 55.7 (s), 53.8 (q, *J* = 3.3 Hz), 27.9 (s). IR (ATR): ν 2959, 2922, 2852, 1769, 1460, 1425, 1325, 1260, 1228, 1178, 1123, 1068, 1016, 896, 854, 679 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{15}H_{16}F_6NO$ $[M + H]^+$: 340.1131; found: 340.1138.



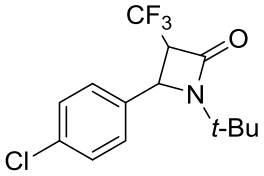

**1-(*tert*-butyl)-3-(trifluoromethyl)-4-(3-(trifluoromethyl)phenyl)azetidin-2-one (3p)**

Obtained as a yellow solid in 35% yield (59.3 mg). Mp: 113.2 – 115.0 ℃. $R_f$ (*n*-hexane/ethyl acetate = 20:1) = 0.67. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.74 – 7.50 (m, 4H), 4.72 (d, *J* = 2.3 Hz, 1H), 3.48 (qd, *J* = 8.8, 2.4 Hz, 1H), 1.28 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -62.8 (s, 3F), -68.2 (d, *J* = 8.9 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.6 (q, *J* = 4.2 Hz), 139.4 (s), 131.8 (q, *J* = 32.8 Hz), 129.9 (s), 129.5 (s), 126.0 (q, *J* = 3.7 Hz), 123.6 (q, *J* = 273.7 Hz), 123.4 (q, *J* = 277.7 Hz), 123.0 (q, *J* = 3.7 Hz), 60.2 (q, *J* = 29.7 Hz), 55.7 (s), 53.9 (q, *J* = 3.3 Hz), 27.9 (s). IR (ATR): ν 2967, 2924, 2850, 1782, 1757, 1453, 1325, 1260, 1203, 1161, 1126, 1073, 914, 806, 707, 659 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{15}H_{16}F_6NO$ $[M + H]^+$: 340.1131; found: 340.1139.



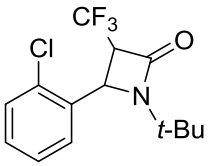

**1-(*tert*-butyl)-4-(4-fluorophenyl)-3-(trifluoromethyl)azetidin-2-one (3q)**

Obtained as a yellow solid in 52% yield (75.2 mg). Mp: 74.1 – 75.8 ℃. $R_f$ (*n*-hexane/ethyl acetate = 20:1) = 0.74. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.42 – 7.32 (m, 2H), 7.14 – 7.04 (m, 2H), 4.64 (d, *J* = 2.4 Hz, 1H), 3.44 (qd, *J* = 8.9, 2.4 Hz, 1H), 1.26 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.3 (d, *J* = 8.9 Hz, 3F), -112.09 – -112.19 (m, 1F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 163.0 (d, *J* = 248.5 Hz), 159.8 (q, *J* = 4.2 Hz), 133.9 (d, *J* = 3.3 Hz), 128.0 (d, *J* = 8.4 Hz), 123.5 (q, *J* = 277.0 Hz), 116.2 (d, *J* = 22.0 Hz), 60.2 (q, *J* = 29.4 Hz), 55.5 (s), 53.7 (q, *J* = 3.3 Hz), 27.9 (s). IR (ATR): ν 2982, 2932, 1764, 1605, 1512, 1370, 1350, 1255, 1228, 1173, 1116, 1047, 889, 859, 846, 826, 669, 614 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{14}H_{16}F_4NO$ $[M + H]^+$: 290.1163; found: 290.1167.



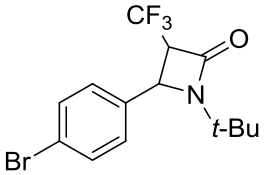

**1-(*tert*-butyl)-4-(4-chlorophenyl)-3-(trifluoromethyl)azetidin-2-one (3r)**

Obtained as a light yellow solid in 61% yield (93.0 mg). Mp: 95.6 – 97.0 ℃. $R_f$ (*n*-hexane/ethyl acetate = 5:1) = 0.63. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.39 (d, *J* = 8.7 Hz, 2H), 7.34 (d, *J* = 8.4 Hz, 2H), 4.63 (d, *J* = 2.4 Hz, 1H), 3.44 (qd, *J* = 8.9, 2.3 Hz, 1H), 1.27 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.2 (d, *J* = 8.9 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.7 (q, *J* = 4.3 Hz), 136.7 (s), 135.0 (s), 129.5 (s), 127.6 (s), 123.5 (q, *J* = 277.0 Hz), 60.2 (q, *J* = 29.5 Hz), 55.6 (s), 53.8 (q, *J* = 3.3 Hz), 27.9 (s). IR (ATR): ν 2979, 2937, 1762, 1492, 1373, 1340, 1258, 1226, 1178, 1116, 1088, 1008, 851, 821, 604 cm$^{-1}$. HRMS (ESI) m/z: calcd. For $C_{14}H_{16}ClF_3NO$ $[M + H]^+$: 306.0867; found: 306.0870.



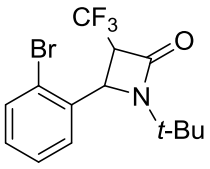

**1-(*tert*-butyl)-4-(2-chlorophenyl)-3-(trifluoromethyl)azetidin-2-one (3s)**

Obtained as a light yellow solid in 49% yield (74.7 mg). Mp: 77.8 – 79.6 ℃. $R_f$ (*n*-hexane/ethyl acetate = 20:1) = 0.76. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.49 (d, *J* = 7.8 Hz, 1H), 7.42 – 7.19 (m, 3H), 5.24 (s, 1H), 3.45 (s br, 1H), 1.24 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.1 (d, *J* = 8.9 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.9 (q, *J* = 5.6 Hz), 135.3 (s), 133.0 (s), 130.2 (s), 130.1 (s), 129.5 (s), 127.6 (s), 123.5 (q, *J* = 277.1 Hz), 59.7 (s), 55.4 (s), 49.8 (s), 27.6 (s). IR (ATR): ν 2977, 2934, 1760, 1490, 1369, 1338, 1257, 1226, 1177, 1115, 1084, 1005, 850, 819, 599 cm$^{-1}$. HRMS (ESI) m/z: calcd. For $C_{14}H_{16}ClF_3NO$ $[M + H]^+$: 306.0867; found: 306.0871.



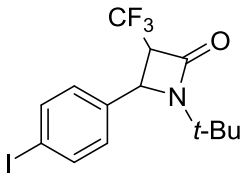

**4-(4-bromophenyl)-1-(*tert*-butyl)-3-(trifluoromethyl)azetidin-2-one (3t)**

Obtained as a yellow solid in 40% yield (69.8 mg). Mp: 132.6 – 134.3 ℃. $R_f$ (*n*-hexane/ethyl acetate = 10:1) = 0.63. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.54 (d, *J* = 8.4 Hz, 2H), 7.28 (d, *J* = 8.4 Hz, 2H), 4.62 (d, *J* = 2.4 Hz, 1H), 3.43 (qd, *J* = 8.9, 2.4 Hz, 1H), 1.27 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.2 (d, *J* = 8.9 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.7 (q, *J* = 4.2 Hz), 137.3 (s), 132.4 (s), 127.9 (s), 123.5 (q, *J* = 277.7 Hz), 123.1 (s), 60.1 (q, *J* = 29.5 Hz), 55.6 (s), 53.8 (q, *J* = 3.3 Hz), 27.9 (s). IR (ATR): ν 2974, 2922, 1767, 1375, 1345, 1260, 1223, 1176, 1121, 1073, 1006, 954, 821, 744, 642, cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{14}H_{16}BrF_3NO$ $[M + H]^+$: 350.0362; found: 350.0369.



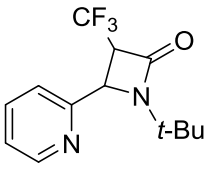

**4-(2-bromophenyl)-1-(*tert*-butyl)-3-(trifluoromethyl)azetidin-2-one (3u)**

Obtained as a yellow solid in 36% yield (62.8 mg). Mp: 100.8 – 102.2 ℃. $R_f$ (*n*-hexane/ethyl acetate = 20:1) = 0.62. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.56 (d, *J* = 8.0 Hz, 1H), 7.50 (d, *J* = 7.9 Hz, 1H), 7.38 (t, *J* = 7.5 Hz, 1H), 7.21 (td, *J* = 7.7, 1.7 Hz, 1H), 5.26 (s, 1H), 3.41 (q, *J* = 9.0 Hz, 1H), 1.25 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -67.8 (d, *J* = 8.7 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 160.0 (q, *J* = 4.2 Hz), 137.0 (s), 133.5 (s), 130.4 (s), 128.3 (s), 127.0 (s), 123.4 (q, *J* = 278.7 Hz), 123.0 (s), 60.0 (q, *J* = 29.9 Hz), 55.5 (s), 52.5 (s), 27.7 (s). IR (ATR): ν 2974, 2932, 1769, 1475, 1443, 1370, 1345, 1250, 1223, 1181, 1123, 1026, 974, 849, 754, 687, 627 $cm^{-1}$. HRMS (ESI) m/z: calcd. for $C_{14}H_{16}BrF_3NO$ $[M + H]^+$: 350.0362; found: 350.0370.



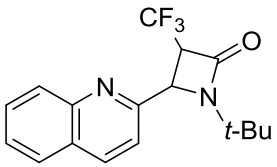

**1-(*tert*-butyl)-4-(4-iodophenyl)-3-(trifluoromethyl)azetidin-2-one (3v)**

Obtained as a white solid in 60% yield (119.1 mg). Mp: 149.3 – 150.1 ℃. $R_f$ (*n*-hexane/ethyl acetate = 20:1) = 0.72. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.74 (d, *J* = 8.1 Hz, 2H), 7.15 (d, *J* = 8.1 Hz, 2H), 4.59 (d, *J* = 2.3 Hz, 1H), 3.43 (qd, *J* = 8.9, 2.4 Hz, 1H), 1.26 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.2 (d, *J* = 8.6 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.7 (q, *J* = 4.2 Hz), 138.4 (s), 137.9 (s), 128.0 (s), 123.5 (q, *J* = 277.1 Hz), 94.7 (s), 60.1 (q, *J* = 29.5 Hz), 55.6 (s), 53.9 (q, *J* = 3.3 Hz), 27.9 (s). IR (ATR): ν 2977, 2929, 1762, 1485, 1375, 1350, 1260, 1226, 1176, 1116, 1006, 894, 854, 819, 647 $cm^{-1}$. HRMS (ESI) m/z: calcd. for $C_{14}H_{16}F_3INO$ $[M + H]^+$: 398.0223; found: 398.0232.



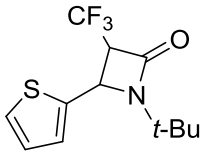

**1-(*tert*-butyl)-4-(pyridin-2-yl)-3-(trifluoromethyl)azetidin-2-one (3w)**

Obtained as a yellow solid in 32% yield (43.5 mg). Mp: 138.8 – 140.2 ℃. $R_f$ (*n*-hexane/ethyl acetate = 5:1) = 0.61 . $^1$H NMR (400 MHz, $CDCl_3$) δ 8.64 (d, *J* = 4.5 Hz, 1H), 7.74 (td, *J* = 7.7, 1.8 Hz, 1H), 7.40 (d, *J* = 8.0 Hz, 1H), 7.32 – 7.27 (m, 1H), 4.75 (d, *J* = 2.4 Hz, 1H), 3.78 (qd, *J* = 9.1, 2.3 Hz, 1H), 1.23 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.0 (d, *J* = 9.0 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.5 (q, *J* = 4.2 Hz), 156.7 (s), 150.3 (s), 137.1 (s), 123.9 (s), 123.7 (q, *J* = 277.7 Hz), 122.1 (s), 58.0 (q, *J* = 29.8 Hz), 55.3 (s), 55.2 (q, *J* = 3.1 Hz), 27.8 (s). IR (ATR): ν 2964, 2922, 2852, 1749, 1595, 1475, 1373, 1338, 1265, 1245, 1228, 1178, 1121, 899, 859, 767, 627 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{13}H_{16}F_3N_2O$ $[M + H]^+$: 273.1209; found: 273.1211.



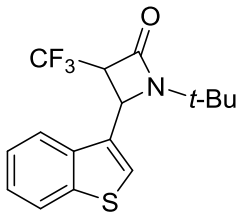

**1-(*tert*-butyl)-4-(quinolin-2-yl)-3-(trifluoromethyl)azetidin-2-one (3x)**

Obtained as a yellow solid in 22% yield (35.4 mg). Mp: 143.4 – 145.2 ℃. $R_f$ (*n*-hexane/ethyl acetate = 10:1) = 0.72. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.24 (d, *J* = 8.5 Hz, 1H), 8.09 (d, *J* = 8.5 Hz, 1H), 7.85 (d, *J* = 8.2 Hz, 1H), 7.80 – 7.70 (m, 1H), 7.59 (t, *J* = 7.5 Hz, 1H), 7.51 (d, *J* = 8.5 Hz, 1H), 4.98 (d, *J* = 2.4 Hz, 1H), 3.81 (qd, *J* = 8.9, 2.3 Hz, 1H), 1.28 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -67.9 (d, *J* = 9.0 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.7 (q, *J* = 4.2 Hz), 157.1 (s), 147.8 (s), 137.6 (s), 130.3 (s), 129.4 (s), 127.8 (s), 127.6 (s), 127.3 (s), 123.6 (q, *J* = 276.8 Hz), 118.3 (s), 58.3 (q, *J* = 29.9 Hz), 56.0 (q, *J* = 3.0 Hz), 55.5 (s), 27.9 (s). IR (ATR): ν 2964, 2924, 2338, 1767, 1373, 1350, 1255, 1226, 1181, 1118, 1081, 886, 757, 687 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{17}H_{18}F_3N_2O$ $[M + H]^+$: 323.1366; found: 323.1372.



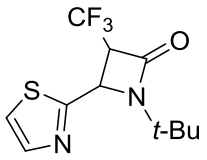

**1-(*tert*-butyl)-4-(thiophen-2-yl)-3-(trifluoromethyl)azetidin-2-one (3y)**

Obtained as a yellow solid in 51% yield (70.7 mg). Mp: 108.9 – 110.7 ℃. $R_f$ (*n*-hexane/ethyl acetate = 10:1) = 0.69. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.40 (dd, *J* = 5.1, 3.0 Hz, 1H), 7.32 (dd, *J* = 3.0, 1.4 Hz, 1H), 7.11 (dd, *J* = 5.0, 1.4 Hz, 1H), 4.78 (d, *J* = 2.3 Hz, 1H), 3.52 (qd, *J* = 8.9, 2.4 Hz, 1H), 1.28 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.4 (d, *J* = 8.9 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.5 (q, *J* = 4.2 Hz), 139.5 (s), 127.8 (s), 124.8 (s), 123.6 (q, *J* = 278.7 Hz), 123.5 (s), 59.5 (q, *J* = 29.4 Hz), 55.4 (s), 49.9 (q, *J* = 3.3 Hz), 27.8 (s). IR (ATR): ν 2977, 1752, 1365, 1313, 1253, 1223, 1176, 1113, 1006, 836, 762, 664 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{12}H_{15}F_3NOS$ $[M + H]^+$: 278.0821; found: 278.0825.



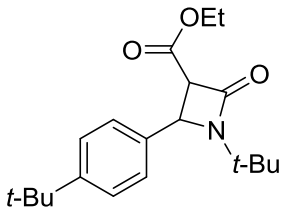

**4-(benzo[*b*]thiophen-3-yl)-1-(*tert*-butyl)-3-(trifluoromethyl)azetidin-2-one (3z)**

Obtained as a yellow solid in 55% yield (89.9 mg). Mp: 134.2 – 136.1 ℃. $R_f$ (*n*-hexane/ethyl acetate = 6:1) = 0.77. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.94 – 7.83 (m, 2H), 7.54 (s, 1H), 7.49 – 7.37 (m, 2H), 5.09 (d, *J* = 2.4 Hz, 1H), 3.81 (q, *J* = 9.1 Hz, 1H), 1.32 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.2 (d, *J* = 8.9 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 185.4 (s), 159.7 (q, *J* = 4.2 Hz), 141.3 (s), 136.1 (s), 132.7 (s), 125.1 (s), 124.8 (s), 123.7 (q, *J* = 277.7 Hz), 123.4 (s), 121.7 (s), 58.2 (q, *J* = 30.4 Hz), 55.6 (s), 50.0 (s), 27.6 (s). IR (ATR): ν 2977, 2870, 1762, 1460, 1425, 1358, 1258, 1226, 1183, 1118, 1046, 974, 767, 734, 659, 614 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{16}H_{17}F_3NOS$ $[M + H]^+$: 328.0977; found: 328.0983.



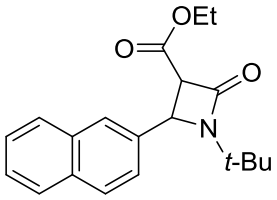

**1-(*tert*-butyl)-4-(thiazol-2-yl)-3-(trifluoromethyl)azetidin-2-one (3aa)**

Obtained as a white solid in 12% yield (16.7 mg). Mp: 130.8 – 132.2 ℃. $R_f$ (*n*-hexane/ethyl acetate = 3:1) = 0.65. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, *J* = 3.2 Hz, 1H), 7.42 (d, *J* = 3.2 Hz, 1H), 5.07 (d, *J* = 2.3 Hz, 1H), 3.81 (qd, *J* = 8.8, 2.4 Hz, 1H), 1.30 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.2 (d, *J* = 8.9 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.6 (s), 159.0 (q, *J* = 4.1 Hz), 143.4 (s), 123.2 (q, *J* = 277.2 Hz), 120.6 (s), 59.5 (q, *J* = 30.3 Hz), 56.0 (s), 51.2 (q, *J* = 3.4 Hz), 27.8 (s). IR (ATR): ν 3087, 2922, 1757, 1375, 1358, 1255, 1226, 1183, 1118, 876, 764, 642 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{11}H_{14}F_3N_2OS$ $[M + H]^+$: 279.0773; found: 279.0780.



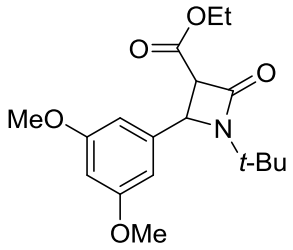

**ethyl 1-(*tert*-butyl)-2-(4-(*tert*-butyl)phenyl)-4-oxoazetidine-3-carboxylate (4e)**

Obtained as a yellow solid in 84% yield (55.6 mg). Mp: 128.3 – 130.2 ℃. $R_f$ (*n*-hexane/ethyl acetate = 5:1 ) = 0.62. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.40 (d, *J* = 8.3 Hz, 2H), 7.33 (d, *J* = 8.2 Hz, 2H), 4.84 (d, *J* = 2.2 Hz, 1H), 4.47 – 4.08 (m, 2H), 3.71 (d, *J* = 2.2 Hz, 1H), 1.33 (s, 9H), 1.31 – 1.26 (m, 12H). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.3 (s), 162.2 (s), 151.8 (s), 135.9 (s), 126.3 (s), 125.8 (s), 62.4 (s), 61.6 (s), 56.2 (s), 55.1 (s), 34.6 (s), 31.3 (s), 28.1 (s), 14.1 (s). IR (ATR): ν 2958, 2870, 1756, 1730, 1459, 1361, 1320, 1224, 1180, 1015, 839, 673, 593 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{20}H_{30}NO_3$ $[M + H]^+$: 332.2220; found: 332.2214.



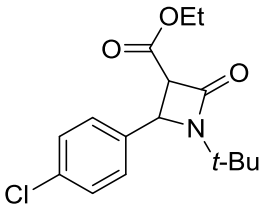

**1-(*tert*-butyl)-*N*-ethyl-2-(naphthalen-2-yl)-4-oxoazetidine-3-carboxamide (4g)**

Obtained as a light yellow solid in 41% yield (26.6 mg). Mp: 180.2 – 182.1 ℃. $R_f$ (*n*-hexane/ethyl acetate = 5:1 ) = 0.73. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.69 – 7.53 (m, 4H), 7.33 – 7.21 (m, 3H), 4.80 (d, *J* = 2.3 Hz, 1H), 4.05 – 3.92 (m, 2H), 3.55 (d, *J* = 2.3 Hz, 1H), 1.08 – 1.01 (m, 12H). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.1 (s), 162.2 (s), 136.4 (s), 133.4 (s), 133.1 (s), 129.1 (s), 127.9 (s), 127.8 (s), 126.7 (s), 126.6 (s), 123.2 (s), 62.3 (s), 61.7 (s), 56.6 (s), 55.3 (s), 28.1 (s), 14.1 (s). IR (ATR): ν 2972, 2934, 1759, 1729, 1542, 1453, 1365, 1328, 1221, 1191, 1018, 969, 821, 749, 677, 609 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{20}H_{24}NO_3$ $[M + H]^+$: 326.1751; found: 326.1747.



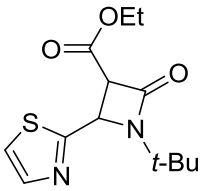

**ethyl 1-(*tert*-butyl)-2-(3,5-dimethoxyphenyl)-4-oxoazetidine-3-carboxylate (4j)**

Obtained as a yellow solid in 81% yield (54.3 mg). Mp: 123.1 – 124.8 ℃. $R_f$ (*n*-hexane/ethyl acetate = 5:1 ) = 0.61. $^1$H NMR (400 MHz, $CDCl_3$) δ 6.51 (d, *J* = 2.3 Hz, 2H), 6.39 (t, *J* = 2.3 Hz, 1H), 4.75 (d, *J* = 2.2 Hz, 1H), 4.28 – 4.14 (m, 2H), 3.77 (s, 6H), 3.67 (d, *J* = 2.2 Hz, 1H), 1.30 – 1.25 (m, 12H). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.1 (s), 162.1 (s), 161.2 (s), 141.6 (s), 104.3 (s), 100.4 (s), 62.3 (s), 61.7 (s), 56.5 (s), 55.4 (s), 55.2 (s), 28.0 (s), 14.1 (s). IR (ATR): ν 2974, 2930, 2839, 1756, 1725, 1593, 1462, 1431, 1330, 1258, 1206, 1152, 1059, 1017, 839, 699 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{18}H_{26}NO_5$ $[M + H]^+$: 336.1805; found: 336.1799.



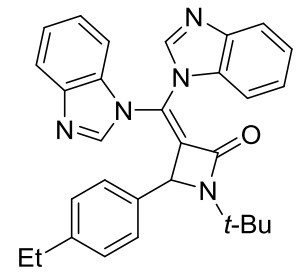

**ethyl 1-(*tert*-butyl)-2-(4-chlorophenyl)-4-oxoazetidine-3-carboxylate (4r)**

Obtained as a white solid in 68% yield 42.0 mg). Mp: 127.9 – 129.9 ℃. $R_f$ (*n*-hexane/ethyl acetate = 5:1 ) = 0.68. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.39 – 7.33 (m, 4H), 4.84 (d, *J* = 2.3 Hz, 1H), 4.32 – 4.16 (m, 2H), 3.66 (d, *J* = 2.3 Hz, 1H), 1.33 – 1.25 (m, 12H). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 166.8 (s), 162.0 (s), 137.7 (s), 134.5 (s), 129.2 (s), 127.9 (s), 62.5 (s), 61.8 (s), 55.7 (s), 55.3 (s), 28.0 (s), 14.1 (s). IR (ATR): ν 2971, 2932, 1756, 1723, 1493, 1369, 1317, 1226, 1190, 1092, 1015, 839, 717, 593, 500 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{16}H_{21}ClNO_3$ $[M + H]^+$: 310.1204; found: 310.1199.



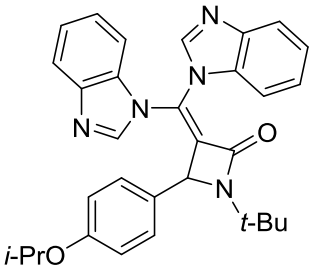

**ethyl 1-(*tert*-butyl)-2-oxo-4-(thiazol-2-yl)azetidine-3-carboxylate (4aa)**

Obtained as a yellow liquid in 29% yield (16.3 mg). $R_f$ (ethyl acetate ) = 0.79. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, *J* = 3.3 Hz, 1H), 7.43 (d, *J* = 3.2 Hz, 1H), 5.37 (d, *J* = 2.2 Hz, 1H), 4.32 – 4.18 (m, 2H), 3.94 (d, *J* = 2.2 Hz, 1H), 1.35 – 1.28 (m, 12H). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 166.1 (s), 161.5 (s), 143.0 (s), 120.3 (s), 62.2 (s), 62.0 (s), 60.4 (s), 55.7 (s), 53.1 (s), 27.9 (s), 14.0 (s). IR (ATR): ν 2974, 2924, 1759, 1725, 1459, 1366, 1317, 1260, 1226, 1180, 1004, 733, 583 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{13}H_{19}N_2O_3S$ $[M + H]^+$: 283.1111; found: 283.1107.




**3-(bis(1*H*-benzo[*d*]imidazol-1-yl)methylene)-1-(*tert*-butyl)-4-(4-ethylphenyl)azeti din-2-one (5c)**

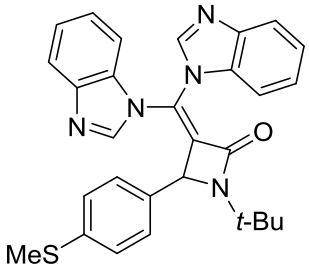
Obtained as a yellow solid in 30% yield (28.5 mg). Mp: 241.8 – 243.6 ℃. $R_f$ (ethyl acetate) = 0.77. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.69 (s, 1H), 7.81 – 7.70 (m, 2H), 7.57 (s, 1H), 7.26 – 7.14 (m, 2H), 7.11 (m, 1H), 6.97 – 6.89 (m, 5H), 6.73 (d, *J* = 8.1 Hz, 1H), 6.10 (d, *J* = 8.3 Hz, 1H), 5.16 (s, 1H), 2.48 (q, *J* = 7.6 Hz, 2H), 1.34 (s, 9H), 1.08 (t, *J* = 7.6 Hz, 3H). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.9 (s), 145.5 (s), 143.9 (s), 142.9 (s), 141.2 (s), 134.0 (s), 132.5 (s), 131.6 (s), 129.7 (s), 128.4 (s), 126.2 (s), 124.8 (s), 124.7 (s), 123.9 (s), 123.8 (s), 121.5 (s), 121.1 (s), 121.0 (s), 110.6 (s), 110.2 (s), 60.1 (s), 55.7 (s), 28.4 (s), 15.2 (s). IR (ATR): ν 2968, 2924, 1730, 1612, 1495, 1446, 1392 1330, 1281, 1239, 1188, 1126, 1009, 852, 738, 518, 425 $cm^{-1}$. HRMS (ESI) m/z: calcd. for $C_{30}H_{30}N_5O$ $[M + H]^+$: 476.2445; found: 476.2439.




**3-(bis(1*H*-benzo[d]imidazol-1-yl)methylene)-1-(*tert*-butyl)-4-(4-isopropoxyphenyl) azetidin-2-one (5k)**

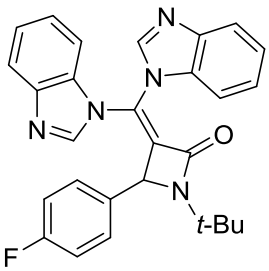
Obtained as a white solid in 80% yield (80.8 mg). Mp: 146.8 – 148.7 ℃. $R_f$ (ethyl acetate) = 0.71. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.67 (s, 1H), 7.77 – 7.59 (m, 3H), 7.20 – 7.06 (m, 2H), 7.02 (t, *J* = 7.2 Hz, 1H), 6.93 – 6.81 (m, 3H), 6.67 (d, *J* = 8.1 Hz, 1H), 6.55 (d, *J* = 8.6 Hz, 2H), 6.09 (d, *J* = 8.2 Hz, 1H), 5.14 (s, 1H), 4.32 (hept, *J* = 6.1 Hz, 1H), 1.28 (s, 9H), 1.18 – 1.13 (m, 6H). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.8 (s),

158.4 (s), 143.9 (s), 143.0 (s), 142.9 (s), 141.3 (s), 132.5 (s), 131.6 (s), 129.8 (s), 128.2 (s), 127.5 (s), 124.7 (s), 124.6 (s), 123.8 (s), 123.7 (s), 121.3 (s), 120.9 (s), 120.8 (s), 116.0 (s), 110.6 (s), 110.2 (s), 69.8 (s), 59.9 (s), 55.6 (s), 28.3 (s), 21.9 (s), 21.8 (s). IR (ATR): ν 2976, 1733, 1606, 1511, 1449, 1397, 1332, 1281, 1239, 1177, 1115, 1002, 947, 841, 735, 526, 425 $cm^{-1}$. HRMS (ESI) m/z: calcd. for $C_{31}H_{32}N_5O_2$ $[M + H]^+$: 506.2551; found: 506.2545.



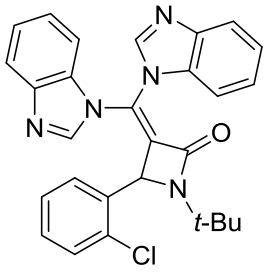

**3-(bis(1*H*-benzo[*d*]imidazol-1-yl)methylene)-1-(*tert*-butyl)-4-(4-(methylthio)phenyl)azetidin-2-one (5m)**

Obtained as a white solid in 39% yield (38.4 mg). Mp: 267.6 – 269.1 ℃. $R_f$ (ethyl acetate) = 0.73. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.68 (s, 1H), 7.76 – 7.58 (m, 3H), 7.20 (t, *J* = 7.6 Hz, 1H), 7.16 – 7.01 (m, 2H), 6.95 – 6.81 (m, 5H), 6.71 (d, *J* = 8.2 Hz, 1H), 6.09 (d, *J* = 8.3 Hz, 1H), 5.16 (s, 1H), 2.28 (s, 3H), 1.28 (s, 9H). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.8 (s), 143.8 (s), 143.0 (s), 142.9 (s), 141.3 (s), 140.2 (s), 133.2 (s), 132.5 (s), 131.5 (s), 129.4 (s), 126.6 (s), 126.4 (s), 124.9 (s), 124.8 (s), 124.0 (s), 123.9 (s), 121.5 (s), 120.9 (s), 110.7 (s), 110.3 (s), 59.8 (s), 55.8 (s), 28.3 (s), 15.2 (s). IR (ATR): ν 2976, 1728, 1596, 1495, 1451, 1397, 1327, 1283, 1237, 1195, 1095, 1004, 847, 735, 516, 425 $cm^{-1}$. HRMS (ESI) m/z: calcd. for $C_{29}H_{28}N_5OS$ $[M + H]^+$: 494.2009; found: 494.2002.



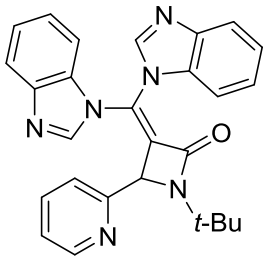
**3-(bis(1*H*-benzo[*d*]imidazol-1-yl)methylene)-1-(*tert*-butyl)-4-(4-fluorophenyl)azeti din-2-one (5q)**

Obtained as a white solid in 52% yield (48.8 mg). Mp: over 300 ℃. $R_f$ (ethyl acetate) = 0.72. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.71 (s, 1H), 7.77 (t, *J* = 7.5 Hz, 2H), 7.65 (s, 1H), 7.30 – 7.26 (m, 1H), 7.21 – 7.14 (m, 2H), 6.99 – 6.92 (m, 3H), 6.81 – 6.77 (m, 3H), 6.09 (d, *J* = 8.3 Hz, 1H), 5.17 (s, 1H), 1.33 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -111.2 – -111.5 (m, 1F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 162.9 (d, *J* = 249.4 Hz), 159.8 (s), 143.4 (d, *J* = 103.4 Hz), 141.9 (d, *J* = 185.0 Hz), 132.8 (s), 132.7 (s), 131.5 (s), 129.0 (s), 127.9 (d, *J* = 8.4 Hz), 125.0 (s), 124.9 (s), 124.1 (s), 1245.0 (s), 121.8 (s), 121.2 (s), 121.0 (s), 116.1 (d, *J* = 22.0 Hz), 110.7 (s), 110.2 (s), 59.4 (s), 55.9 (s), 28.4 (s). IR (ATR): ν 2967, 2929, 2159, 1974, 1734, 1502, 1453, 1398, 1283, 1233, 1053, 864, 779, 737, 614 $cm^{-1}$. HRMS (ESI) m/z: calcd. for $C_{28}H_{24}FN_5O$ $[M + H]^+$: 466.2038; found: 466.2033.



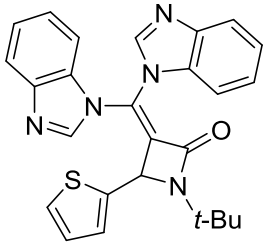

**4-(bis(1*H*-benzo[*d*]imidazol-1-yl)methylene)-1-(*tert*-butyl)-4-(2-chlorophenyl)azet idin-2-one (5s)**

Obtained as a yellow solid in 31% yield (29.9 mg). Mp: 237.6 – 239.1 ℃. $R_f$ (ethyl acetate) = 0.79. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.75 (s, 1H), 7.81 – 7.70 (m, 2H), 7.62 (s, 1H), 7.58 (dd, *J* = 8.1, 1.7 Hz, 1H), 7.32 – 7.27 (m, 2H), 7.24 – 7.13 (m, 2H), 7.11 – 6.99 (m, 2H), 6.92 (t, *J* = 7.2 Hz, 1H), 6.70 (d, *J* = 8.1 Hz, 1H), 6.03 (d, *J* = 8.3 Hz, 1H), 5.84 (s, 1H), 1.32 (s, 9H). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 160.1 (s), 143.1 (s), 143.0 (s), 140.9 (s), 136.1 (s), 133.4 (s), 132.3 (s), 130.7 (s), 129.0 (s), 128.2 (s), 127.6 (s), 125.1 (s), 125.0 (s), 124.1 (s), 124.0 (s), 123.8 (s), 122.6 (s), 122.1 (s), 121.0 (s), 110.6 (s), 110.2 (s), 58.1 (s), 55.9 (s), 28.3 (s). IR (ATR): ν 2963, 2922, 2852, 1736, 1630, 1500, 1449, 1392, 1283, 1239, 1195, 1043, 741, 425 $cm^{-1}$. HRMS (ESI) m/z: calcd. for $C_{28}H_{25}ClN_5O$ $[M + H]^+$: 482.1742; found: 482.1736.



**3-(bis(1*H*-benzo[*d*]imidazol-1-yl)methylene)-1-(*tert*-butyl)-4-(pyridin-2-yl)azetidin-2-one (5w)**

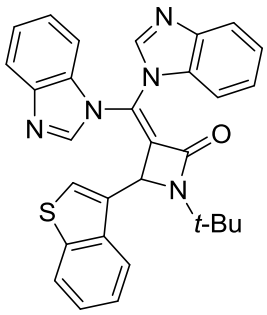
Obtained as a purple solid in 22% yield (19.7 mg). Mp: over 300 ℃. $R_f$ (ethyl acetate) = 0.72. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.75 (s, 1H), 8.33 (d, *J* = 4.8 Hz, 1H), 7.78 (d, *J* = 8.1 Hz, 1H), 7.73 (d, *J* = 8.1 Hz, 1H), 7.68 (s, 1H), 7.41 (t, *J* = 7.7 Hz, 1H), 7.25 – 7.16 (m, 2H), 7.10 (t, *J* = 7.7 Hz, 1H), 7.05 – 6.91 (m, 3H), 6.72 (d, *J* = 8.1 Hz, 1H), 6.15 (d, *J* = 8.3 Hz, 1H), 5.33 (s, 1H), 1.33 (s, 9H). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.7 (s), 156.2 (s), 149.9 (s), 143.9 (s), 143.0 (s), 142.9 (s), 141.1 (s), 136.8 (s), 132.4 (s), 131.5 (s), 128.5 (s), 124.9 (s), 124.8 (s), 123.9 (s, 2C), 121.8 (s), 121.3 (s), 121.1 (s), 121.0 (s), 110.7 (s), 110.2 (s), 61.2 (s), 55.8 (s), 28.3 (s). IR (ATR): ν 2968, 2922, 2847, 1738, 1591, 1498, 1454, 1397, 1338, 1289, 1239, 1193, 1123, 1007, 772, 741, 622 cm$^{-1}$. HRMS (ESI) m/z: calcd. for $C_{27}H_{25}N_6O$ $[M + H]^+$: 449.2084; found: 449.2078.



**4-(bis(1*H*-benzo[*d*]imidazol-1-yl)methylene)-1-(*tert*-butyl)-4-(thiophen-2-yl)azetidin-2-one (5y)**

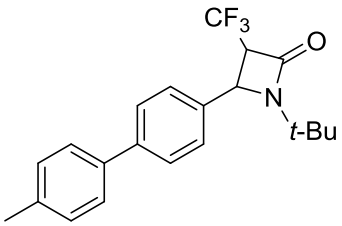
Obtained as a white solid in 66% yield (59.8 mg). Mp: 142.2 – 144.2 ℃. $R_f$ (ethyl acetate) = 0.73. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.69 (s, 1H), 7.75 (d, *J* = 8.1 Hz, 2H), 7.58 (s, 1H), 7.29 – 7.20 (m, 1H), 7.20 – 7.08 (m, 3H), 6.98 – 6.87 (m, 2H), 6.82 – 6.72 (m, 2H), 6.10 (d, *J* = 8.2 Hz, 1H), 5.32 (s, 1H), 1.33 (s, 9H). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.4 (s), 143.0 (s), 142.9 (s), 141.2 (s), 138.2 (s), 132.5 (s), 131.5 (s), 128.3

(s), 127.9 (s), 125.0 (s), 124.8 (s), 124.3 (s), 123.9 (s), 121.7 (s), 121.1 (s), 121.0 (s), 110.7 (s), 110.2 (s), 55.8 (s), 55.7 (s), 28.3 (s). IR (ATR): ν 3090, 2974, 1728, 1614, 1495, 1454, 1394, 1332, 1286, 1229, 1195, 1131, 1009, 829, 741, 679, 425 $cm^{-1}$. HRMS (ESI) m/z: calcd. for $C_{26}H_{24}N_5OS$ $[M + H]^+$: 454.1696; found: 454.1690.



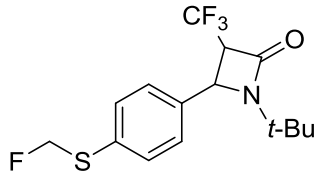

**5-(benzo[*b*]thiophen-3-yl)-3-(bis(1*H*-benzo[*d*]imidazol-1-yl)methylene)-1-(*tert*-butyl)azetidin-2-one (5z)**

Obtained as a white solid in 91% yield (91.5 mg). Mp: 223.3 – 225.3 ℃. $R_f$ (ethyl acetate) = 0.73. $^1$H NMR (400 MHz, methylene chloride-$d_2$) δ 8.82 (s, 1H), 7.74 (t, *J* = 7.8 Hz, 2H), 7.64 (d, *J* = 8.2 Hz, 1H), 7.54 (s, 1H), 7.38 (s, 1H), 7.36 – 7.25 (m, 1H), 7.18 (t, *J* = 6.5 Hz, 2H), 6.98 (s, 1H), 6.91 (t, *J* = 7.8 Hz, 1H), 6.61 (s, 1H), 6.12 (d, *J* = 8.3 Hz, 1H), 5.67 (s, 1H), 2.88 (s, 1H), 2.81 (s, 1H), 1.34 (s, 9H). $^{13}$C NMR (101 MHz, methylene chloride-$d_2$) δ 162.2 (s), 159.5 (s), 144.0 (s), 143.1 (s), 141.1 (s), 135.8 (s), 132.4 (s), 131.7 (s), 124.8 (s), 124.7 (s), 124.6 (s), 123.8 (s), 123.7 (s), 123.3 (s), 120.8 (s), 120.7 (s), 110.8 (s), 109.9 (s), 55.9 (s), 53.9 (s), 36.2 (s), 31.0 (s), 27.7 (s). IR (ATR): ν 3071, 2971, 1728, 1449, 1397, 1340, 1283, 1242, 1188, 1126, 1004, 777, 741, 425 $cm^{-1}$. HRMS (ESI) m/z: calcd. for $C_{30}H_{25}N_5OS$ $[M + H]^+$: 504.1853; found: 504.1845.




**1-(*tert*-butyl)-4-(4'-methyl-[1,1'-biphenyl]-4-yl)-3-(trifluoromethyl)azetidin-2-one (6)**

Obtained as a yellow solid in 68% yield (49.1 mg). Mp: 104.9 – 106.3 ℃. $R_f$ (*n*-hexane/ethyl acetate = 5:1) = 0.71. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.62 (d, *J* = 8.1 Hz, 2H), 7.50 (d, *J* = 7.9 Hz, 2H), 7.45 (d, *J* = 8.2 Hz, 2H), 7.28 (d, *J* = 7.8 Hz, 2H), 4.71 (d, *J* = 2.3 Hz, 1H), 3.53 (qd, *J* = 8.9, 2.4 Hz, 1H), 2.41 (s, 3H), 1.32 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.2 (d, *J* = 8.7 Hz, 3F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.9 (q, *J* = 4.2 Hz), 142.0 (s), 137.6 (s), 137.2 (s), 136.7 (s), 129.6 (s), 127.6 (s), 126.9 (s), 126.7 (s), 123.7 (q, *J* = 277.1 Hz), 60.2 (q, *J* = 29.4 Hz), 55.5 (s), 54.3 (q, *J* = 3.2 Hz), 28.0 (s), 21.1 (s). IR (ATR): ν 2977, 2929, 1767, 1500, 1368, 1355, 1253, 1226, 1178, 1118, 1008, 894, 814, 694, 657 $cm^{-1}$. HRMS (ESI) m/z: calcd. for $C_{21}H_{23}F_3NO$ $[M + H]^+$: 362.1726; found: 362.1723.




**1-(*tert*-butyl)-4-(4-((fluoromethyl)thio)phenyl)-3-(trifluoromethyl)azetidin-2-one (7)**

Obtained as a brown solid in 60% yield (40.2 mg). Mp: 107.9 – 109.6 ℃. $R_f$ (ethyl acetate) = 0.71. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.51 (d, *J* = 8.3 Hz, 2H), 7.37 (d, *J* = 8.3 Hz, 2H), 5.75 (d, *J* = 52.7 Hz, 2H), 4.64 (d, *J* = 2.3 Hz, 1H), 3.45 (qd, *J* = 8.9, 2.4 Hz, 1H), 1.27 (s, 9H). $^{19}$F NMR (376 MHz, $CDCl_3$) δ -68.2 (d, *J* = 8.9 Hz, 3F), -182.33 (t, *J* = 52.7 Hz, 1F). $^{13}$C NMR (101 MHz, $CDCl_3$) δ 159.8 (q, *J* = 4.3 Hz), 137.7 (s), 135.7 (d, *J* = 3.0 Hz), 130.8 (d, *J* = 2.3 Hz), 127.0 (s), 123.5 (q, *J* = 277.2 Hz), 87.8 (d, *J* = 217.0 Hz), 60.1 (q, *J* = 29.5 Hz), 55.6 (s), 54.0 (q, *J* = 3.4 Hz), 28.0

(s). IR (ATR): ν 2977, 2927, 1764, 1373, 1348, 1258, 1226, 1178, 1123, 1043, 1008, 961, 841, 677, 629 $cm^{-1}$. HRMS (ESI) m/z: calcd. for $C_{15}H_{18}F_4NOS$ $[M + H]^+$: 336.1040; found: 336.1036.

**Crystal structure analyses**

The crystal samples of **3m**, **4g**, **5q** and **7** were prepared by slow volatilization in ethyl acetate. The suitable crystals of **3m** (CCDC 2470332), **4g** (CCDC 2470334), **5q** (CCDC 2498998) and **7** (CCDC 2483025) were mounted on quartz fibers and X-ray data collected on a Bruker AXS APEX diffractometer, equipped with a CCD detector at -50 ºC, using MoKα radiation (λ 0.71073 Å) and CuKα radiation (λ 1.54184 Å). The data was corrected for Lorentz and polarisation effect with the **SMART** suite of programs and for absorption effects with SADABS.[2] Structure solution and refinement were carried out with the SHELXTL suite of programs.[2] The structure was solved by direct methods to locate the heavy atoms, followed by difference maps for the light non-hydrogen atoms.

**Table S1.** Crystal data and structure refinement for compounds

| Compound | **3m** (CCDC 2470332) | **4g** (CCDC 2470334) |
|---|---|---|
| Empirical formula | $C_{15}H_{18}F_3NOS$ | $C_{20}H_{23}NO_3$ |
| Formula weight | 317.36 | 325.39 |
| Temperature/K | 293 | 293 |
| Wavelength/Å | 0.71073 | 0.71073 |
| Crystal system | Triclinic | Monoclinic |
| a/Å | 10.9931(6) | 7.8464(2) |
| b/Å | 11.7901(8) | 21.4478(17) |
| c/Å | 15.1378(7) | 11.1795(10) |
| α/° | 75.592(5) | 90 |
| β/° | 69.758(5) | 105.500(9) |
| γ/° | 64.633(6) | 90 |
| Volume/$Å^3$ | 1651.59(19) | 1813.0(3) |
| Z | 4 | 4 |
| Density (calc.)/$cm^3$ | 1.276 | 1.192 |
| Absorption coefficient /$mm^{-1}$ | 0.223 | 0.080 |
| F(000) | 664.0 | 696.0 |
| Crystal size/mm | 0.05×0.05×0.02 | 0.06×0.05×0.02 |
| Theta range for data collection / ° | 4.264~59.112 | 3.798~59.188 |
| Reflections collected | 23176 | 13503 |
| Independent reflections | 7728 [R(int) = 0.0357, Rsigma = 0.0515] | 4222[R(int) = 0.0386, Rsigma = 0.0556]] |
| Data/restraints/parameters | 7728 / 36 / 388 | 4222 / 24 / 221 |
| Goodness-of-fit on $F^2$ | 1.014 | 1.213 |
| Final R indexes [I>=2σ (I)] | $R_1$=0.0751,w$R_2$=0.2284 | $R_1$=0.0950,w$R_2$=0.3160 |
| Final R indexes [all data] | $R_1$=0.1396,w$R_2$=0.2830 | $R_1$=0.1509,w$R_2$=0.349 |
| Largest diff. peak and hole / e $Å^{-3}$ | 0.78/-0.33 | 0.78/-0.60 |

| Compound | **5q** (CCDC 2498998) | **7** (CCDC 2483025) |
|---|---|---|
| Empirical formula | $C_{28}H_{24}FN_5O$ | $C_{15}H_{17}F_4NOS$ |
| Formula weight | 465.52 | 335.36 |
| Temperature/K | 293 | 298 |
| Wavelength/Å | 0.71073 | 0.71073 |
| Crystal system | Monoclinic | Triclinic |
| a/Å | 11.2997(10) | 11.0610(7) |
| b/Å | 11.4114(9) | 12.0662(8) |
| c/Å | 19.4488(14) | 15.1287(9) |
| α/° | 90 | 74.955(5) |
| β/° | 106.810(8) | 69.286(6) |
| γ/° | 90 | 63.657(6) |
| Volume/$Å^3$ | 2400.7(3) | 1679.8(2) |
| Z | 4 | 2 |
| Density (calc.)/$cm^3$ | 1.288 | 1.326 |
| Absorption coefficient /$mm^{-1}$ | 0.086 | 0.232 |
| F(000) | 976.0 | 696.0 |
| Crystal size/mm | 0.08×0.06×0.03 | 0.05×0.05×0.03 |
| Theta range for data collection / ° | 5.188~49.994 | 4.35~50.054 |
| Reflections collected | 14033 | 20514 |
| Independent reflections | 3980 [Rint = 0.0555, Rsigma = 0.0551] | 5903[R(int) = 0.0303, Rsigma = 0.0297] |
| Data/restraints/parameters | 3980/0/319 | 5903 / 70 / 421 |
| Goodness-of-fit on $F^2$ | 1.069 | 1.054 |
| Final R indexes [I>=2σ (I)] | $R_1$=0.1017,$wR_2$=0.3018 | $R_1$=0.080,$wR_2$=0.2508 |
| Final R indexes [all data] | $R_1$=0.1198,$wR_2$=0.3163 | $R_1$=0.1022,$wR_2$=0.2726 |
| Largest diff. peak and hole / e $Å^{-3}$ | 0.67/-0.41 | 0.67/-0.36 |

**ORTEP diagrams**

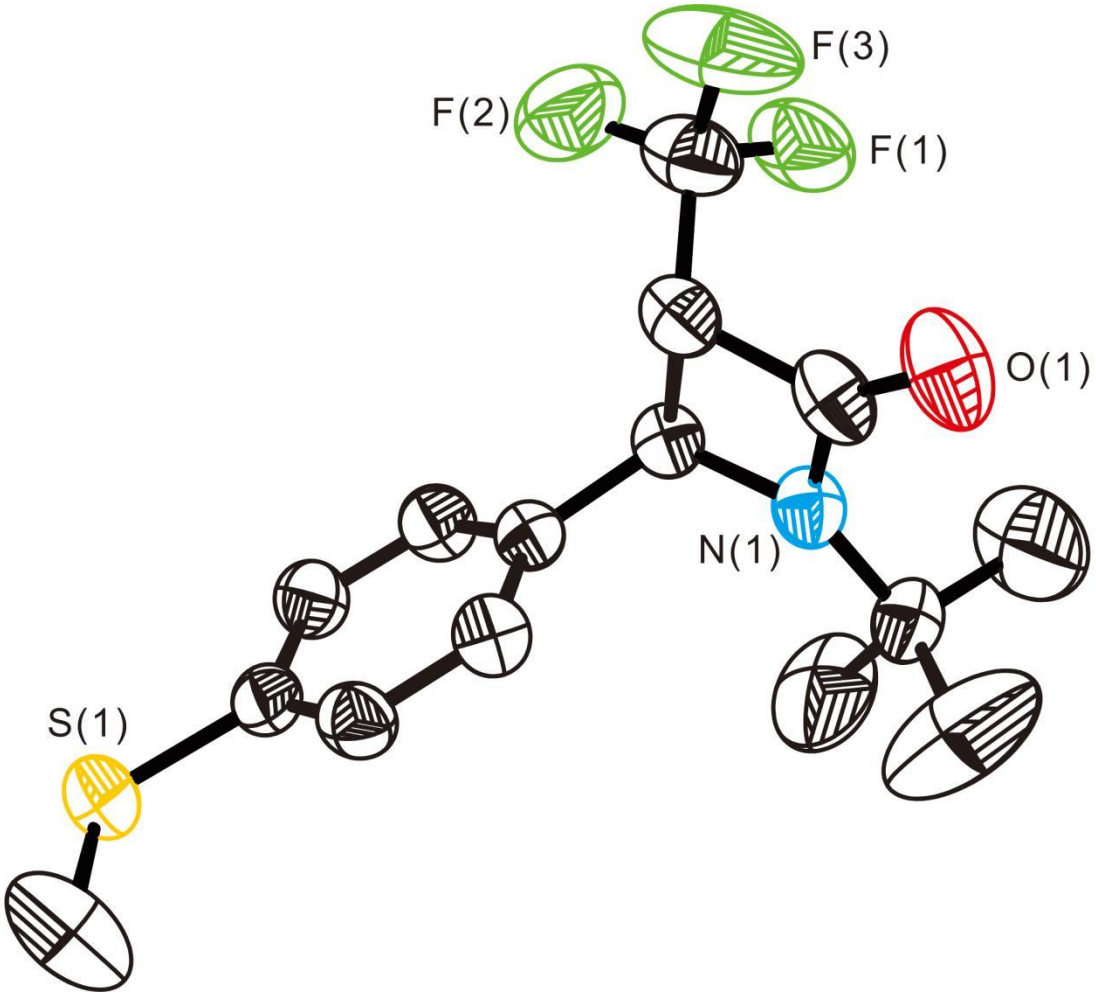



**Figure S1. ORTEP diagram of 3m with thermal ellipsoids at the 40% probability level**

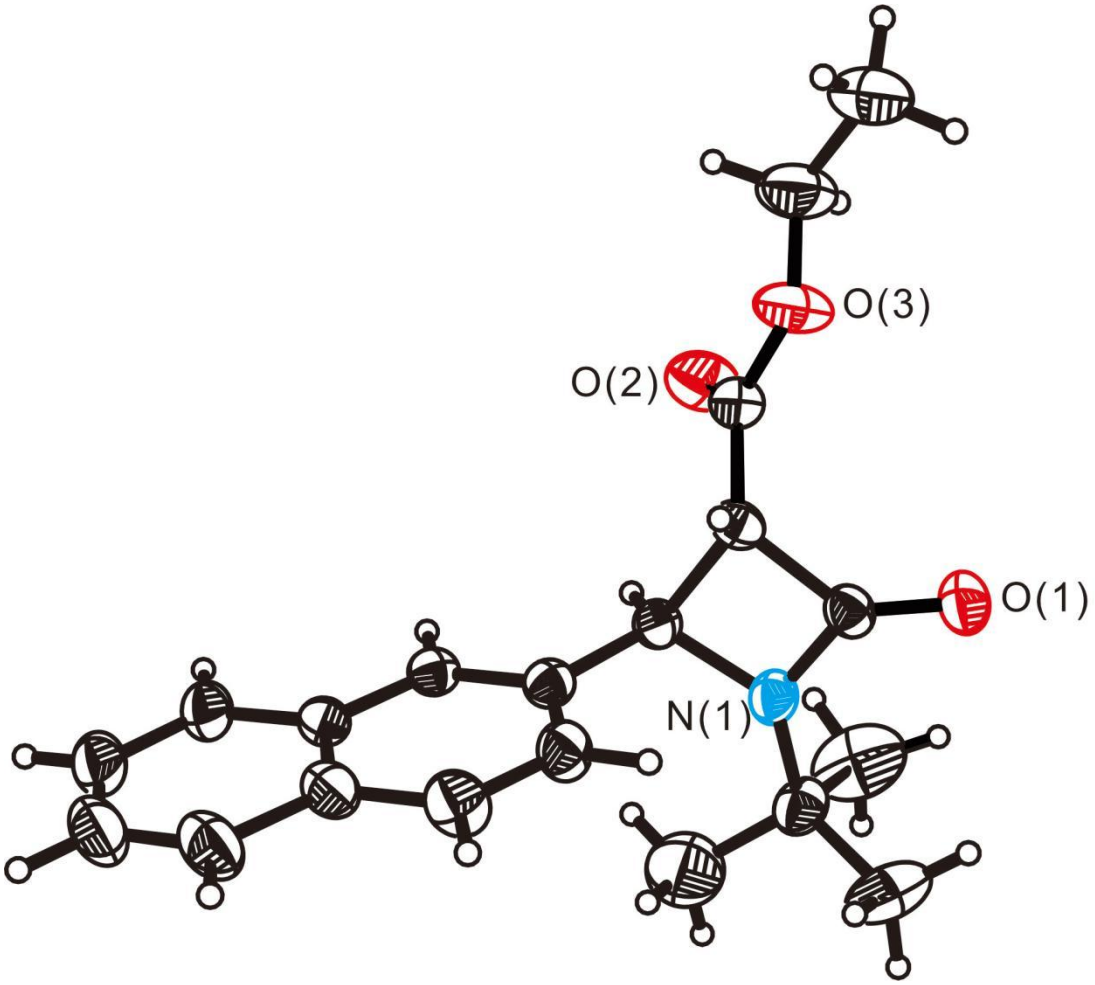



**Figure S2. ORTEP diagram of 4g with thermal ellipsoids at the 40% probability level**

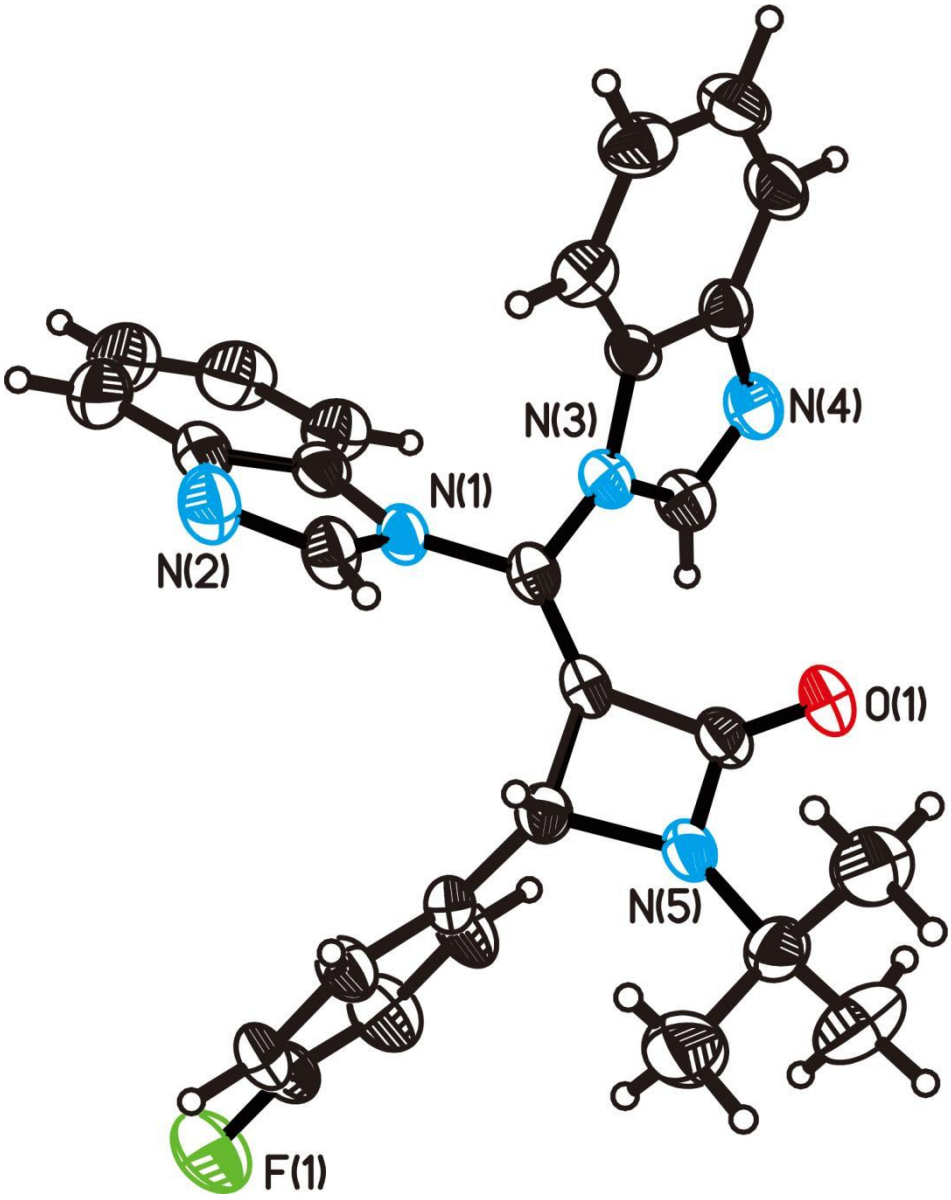



**Figure S3. ORTEP diagram of 5q with thermal ellipsoids at the 40% probability level**

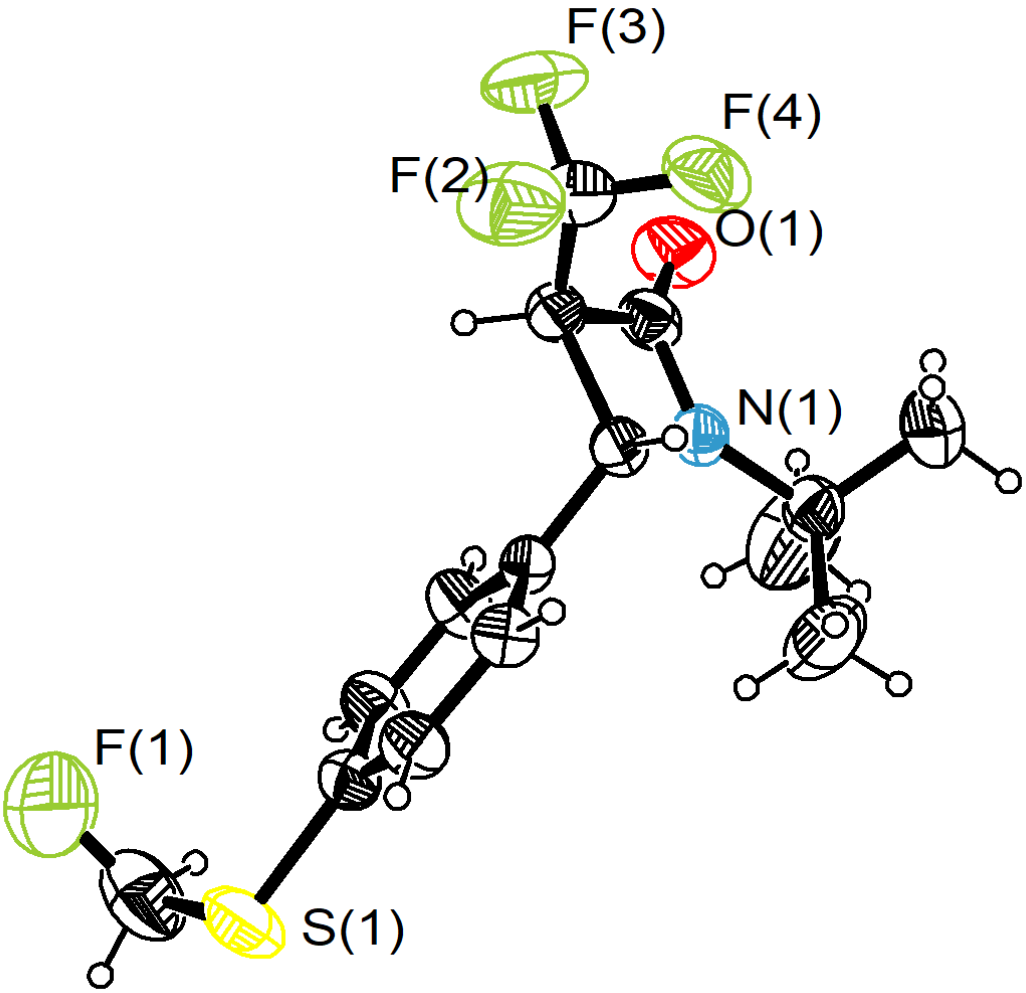



**Figure S4. ORTEP diagram of 7 with thermal ellipsoids at the 40% probability level**

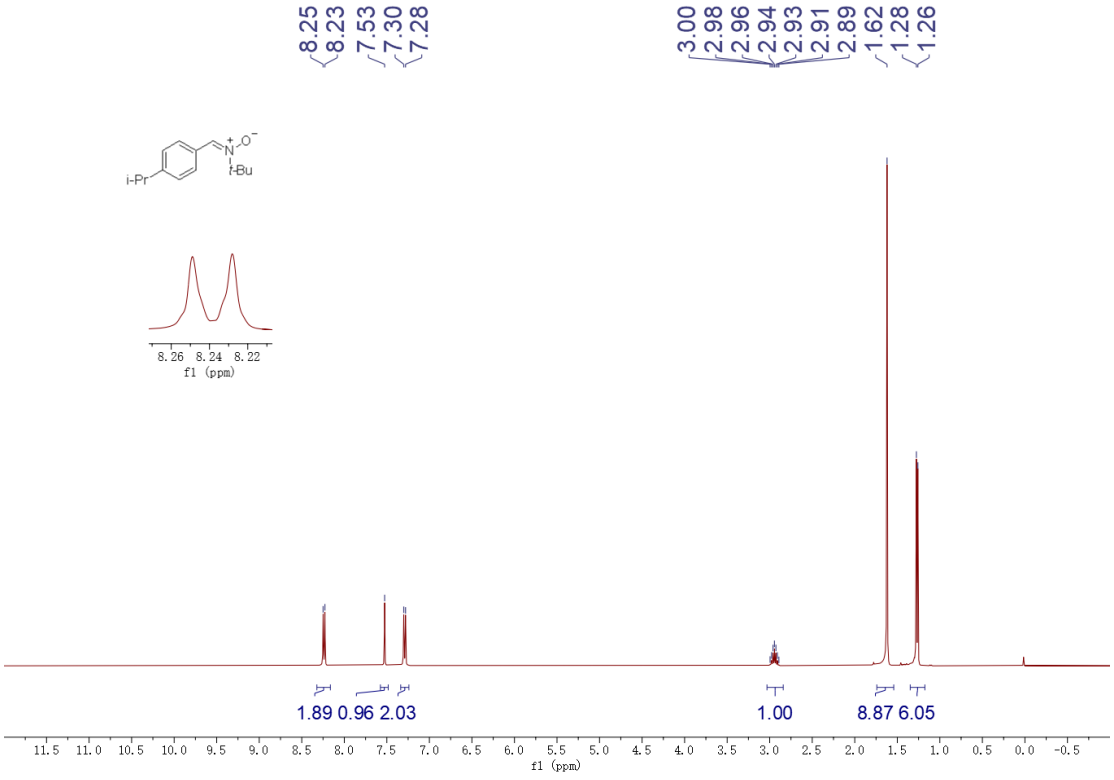
## References

1. Zhu, M.; Zhu, M.; Wei, F.; Shao, C.; Li, X.; Liu, B., Synthesis of Bridged Cycloisoxazoline Scaffolds via Rhodium-Catalyzed Coupling of Nitrones with Cyclic Carbonate. *J. Org. Chem.* **2023**, *88* (23), 16330-16339.

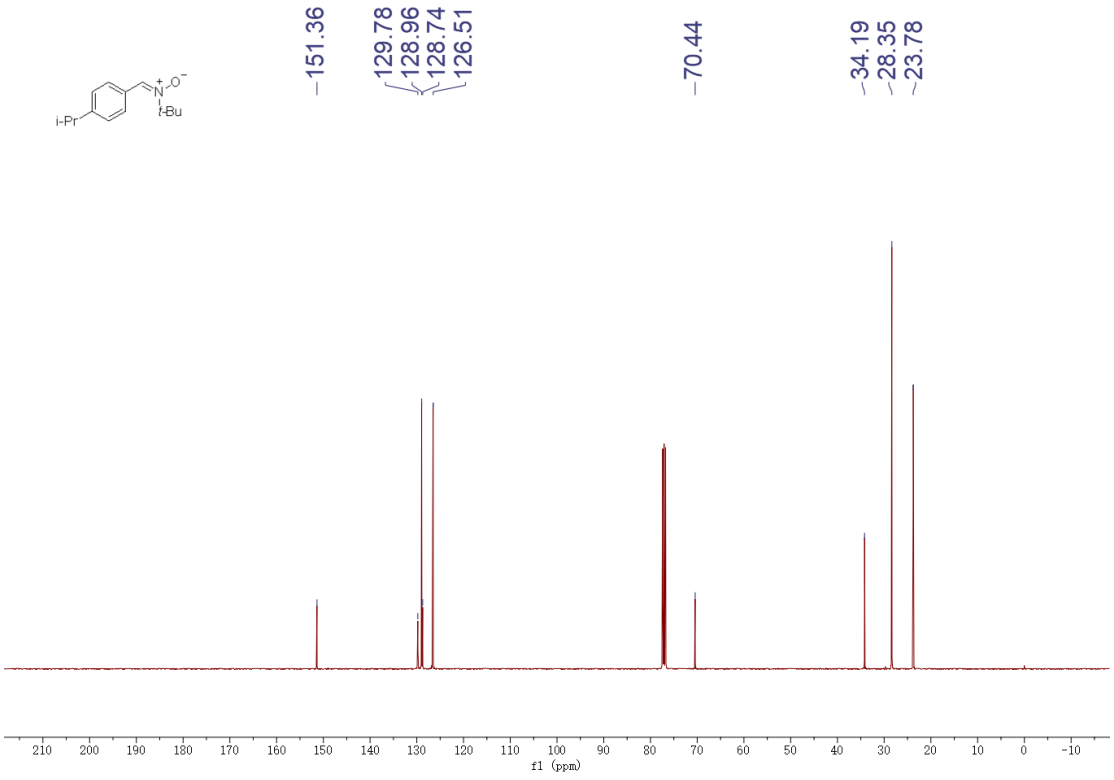
2. SHELXTL version 5.03; Bruker Analytical X-ray Systems, Madison, WI, 1997.

## Copies of $^{1}$H NMR, $^{19}$FNMR and $^{13}$C NMR spectra

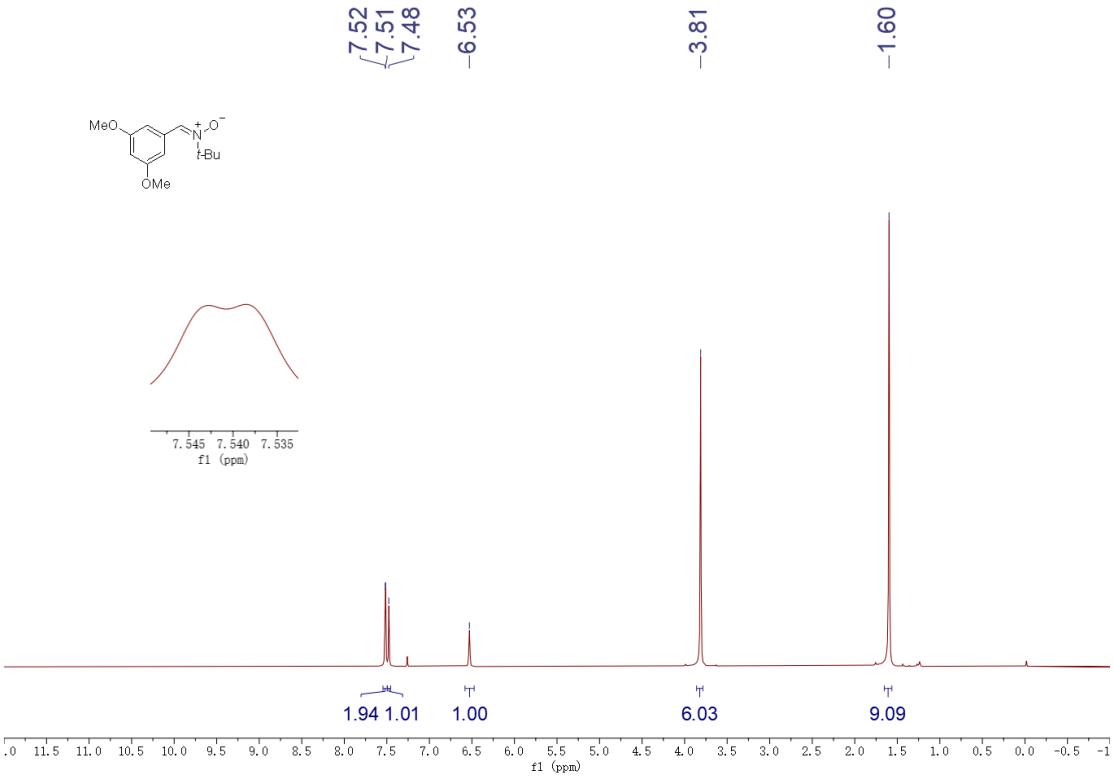
$^{1}$H NMR spectra of **2d** in $CDCl_3$



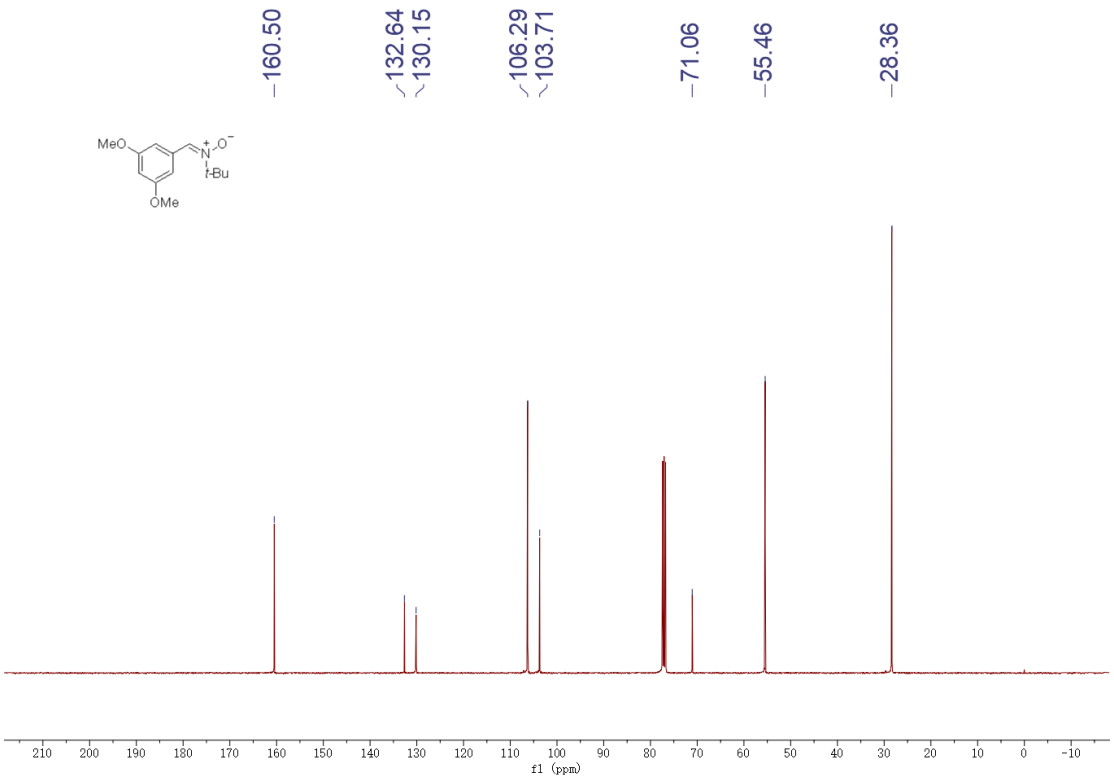

$^{13}$C{$^{1}$H} NMR spectra of **2d** in $CDCl_3$



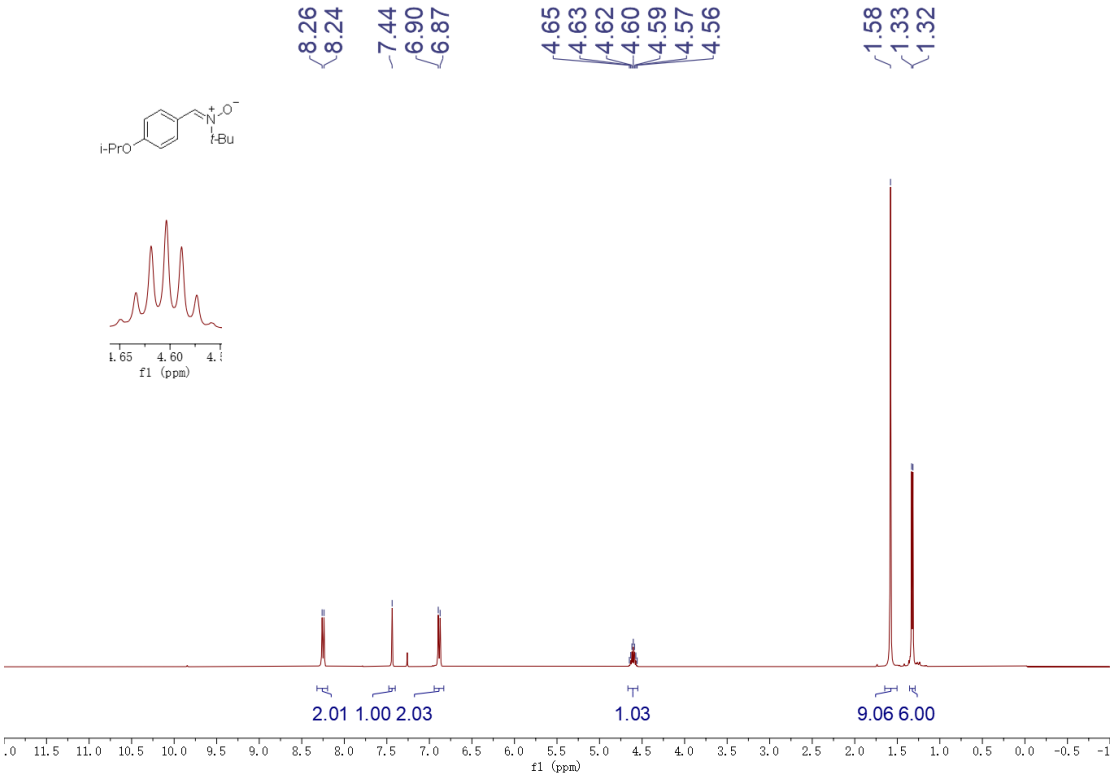
$^{1}$H NMR spectra of **2j** in $CDCl_3$



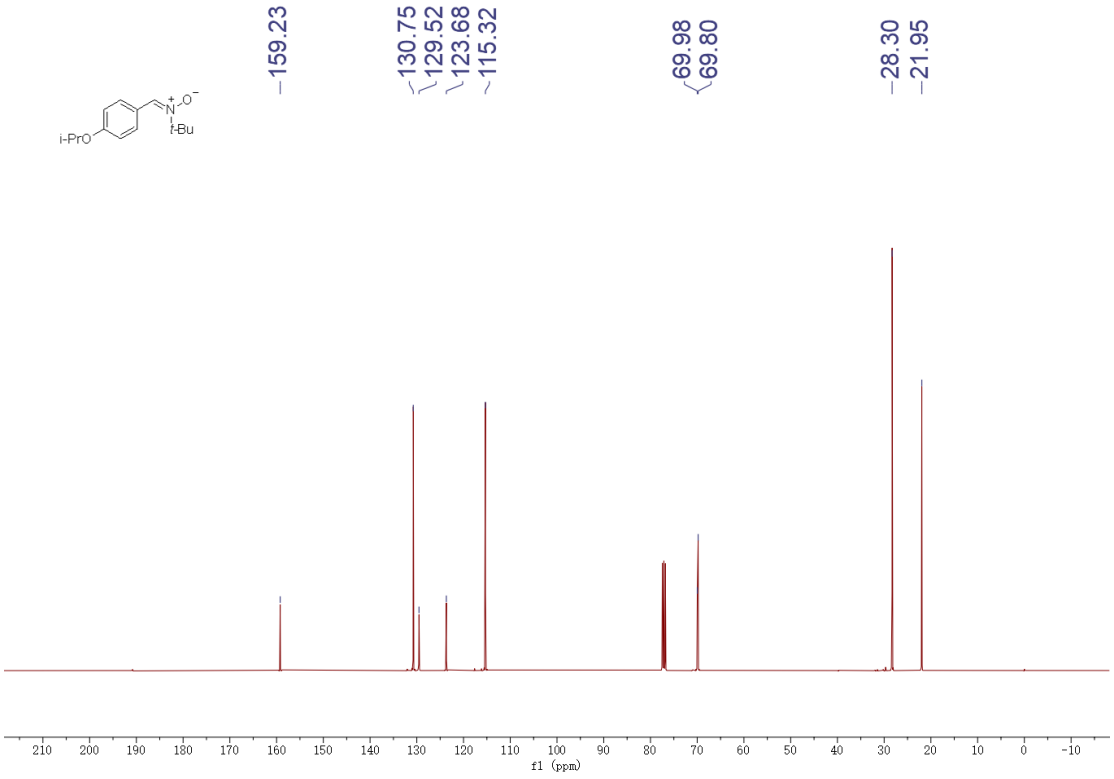

$^{13}$C{$^{1}$H} NMR spectra of **2j** in $CDCl_3$



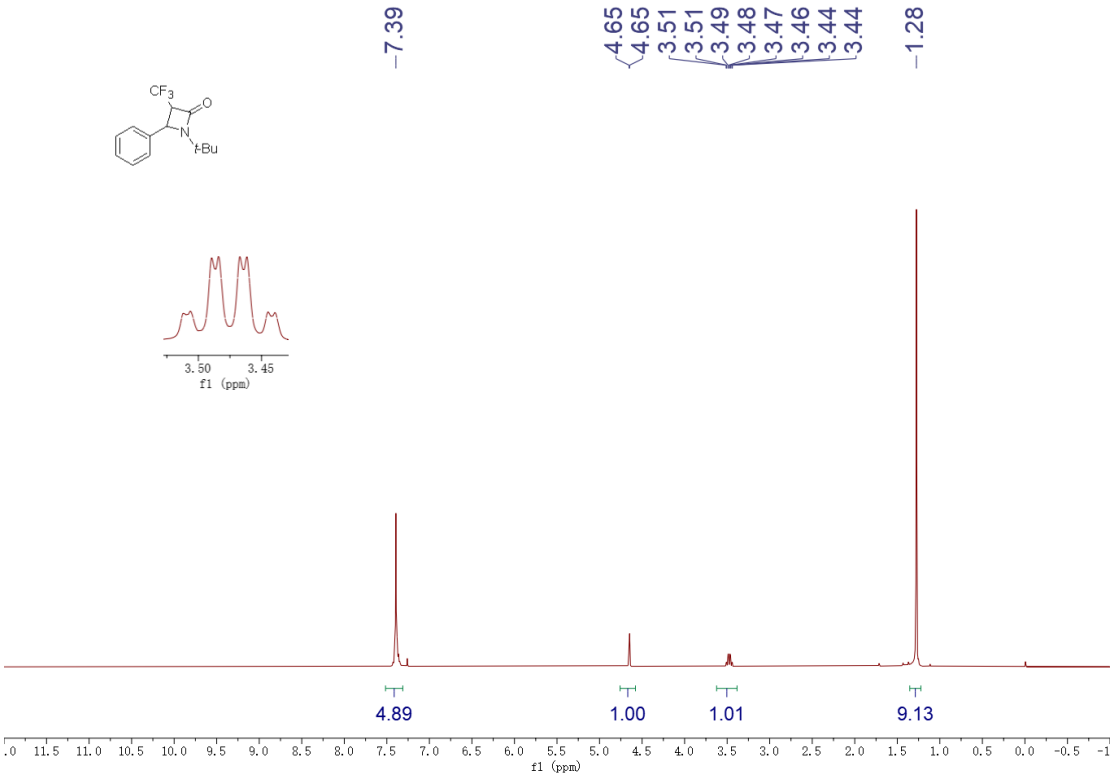
$^{1}$H NMR spectra of **2k** in $CDCl_3$



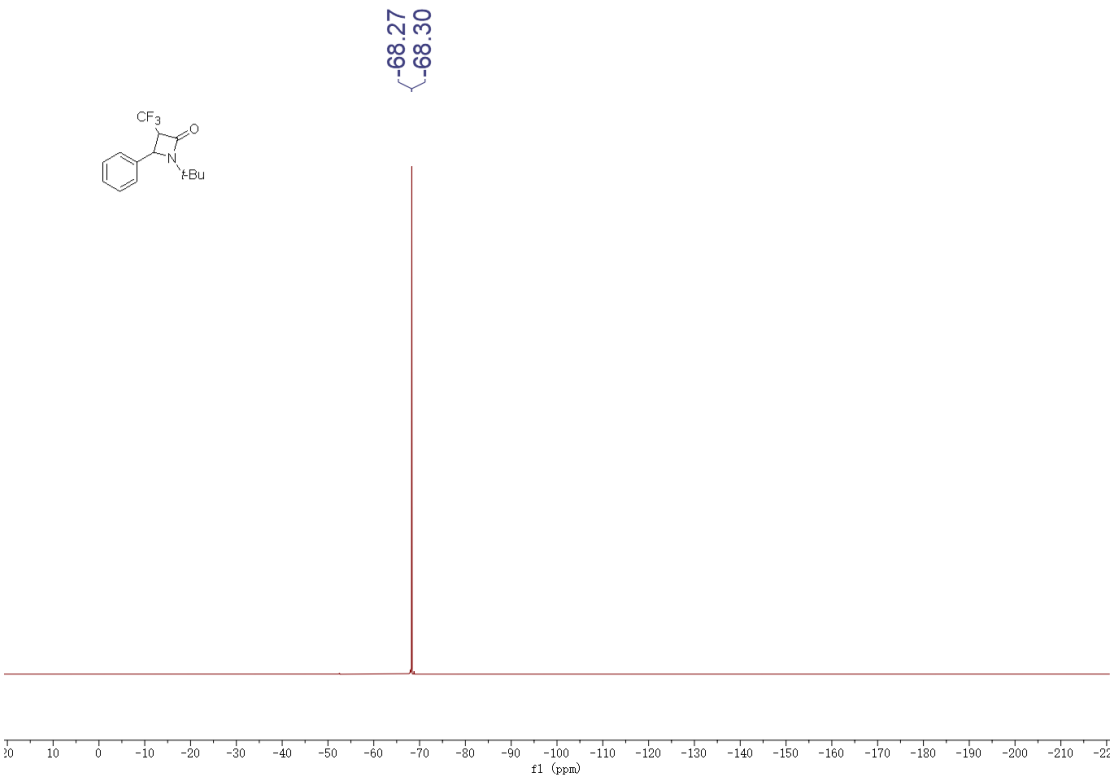

$^{13}$C{$^{1}$H} NMR spectra of **2k** in $CDCl_3$



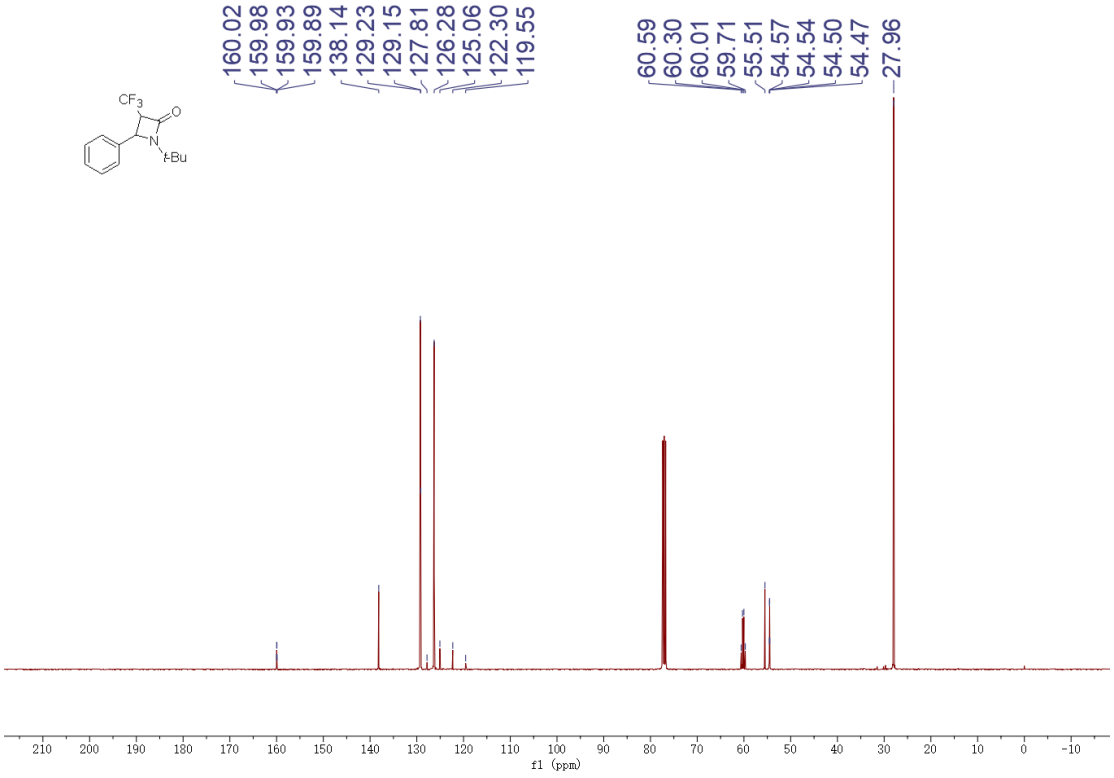
$^{1}$H NMR spectra of **3a** in $CDCl_3$



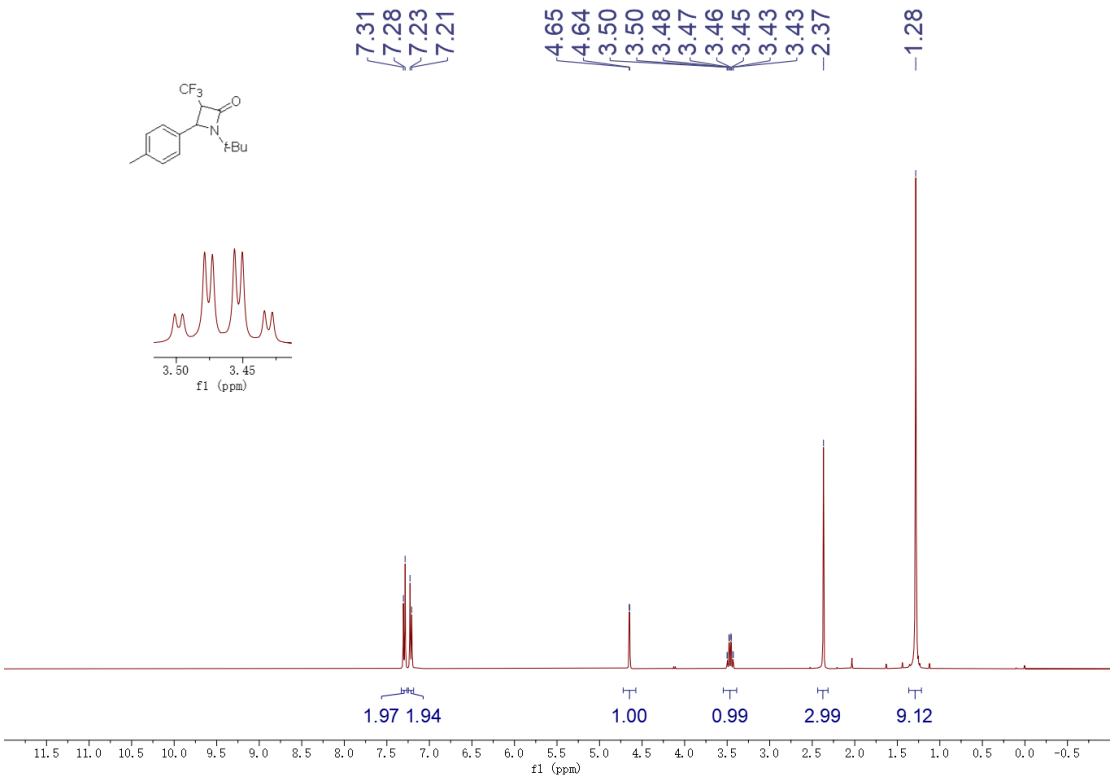

$^{19}$F NMR spectra of **3a** in $CDCl_3$



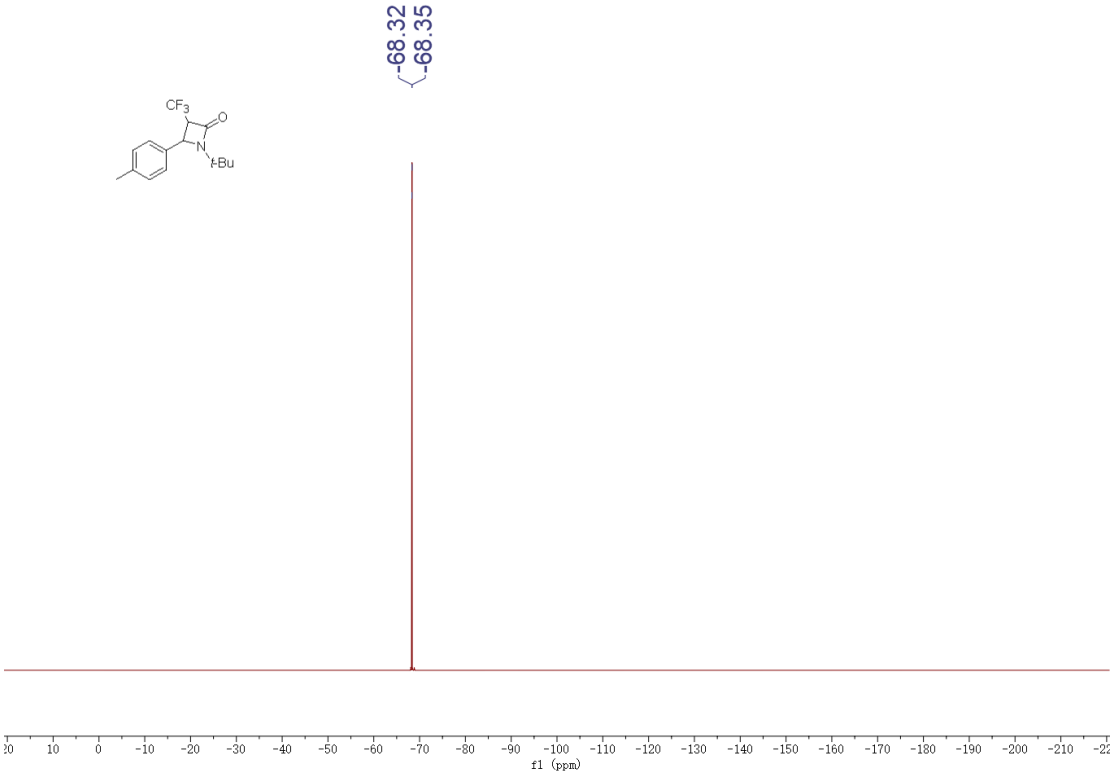
$^{13}C\{^{1}H\}$ NMR spectra of **3a** in $CDCl_3$



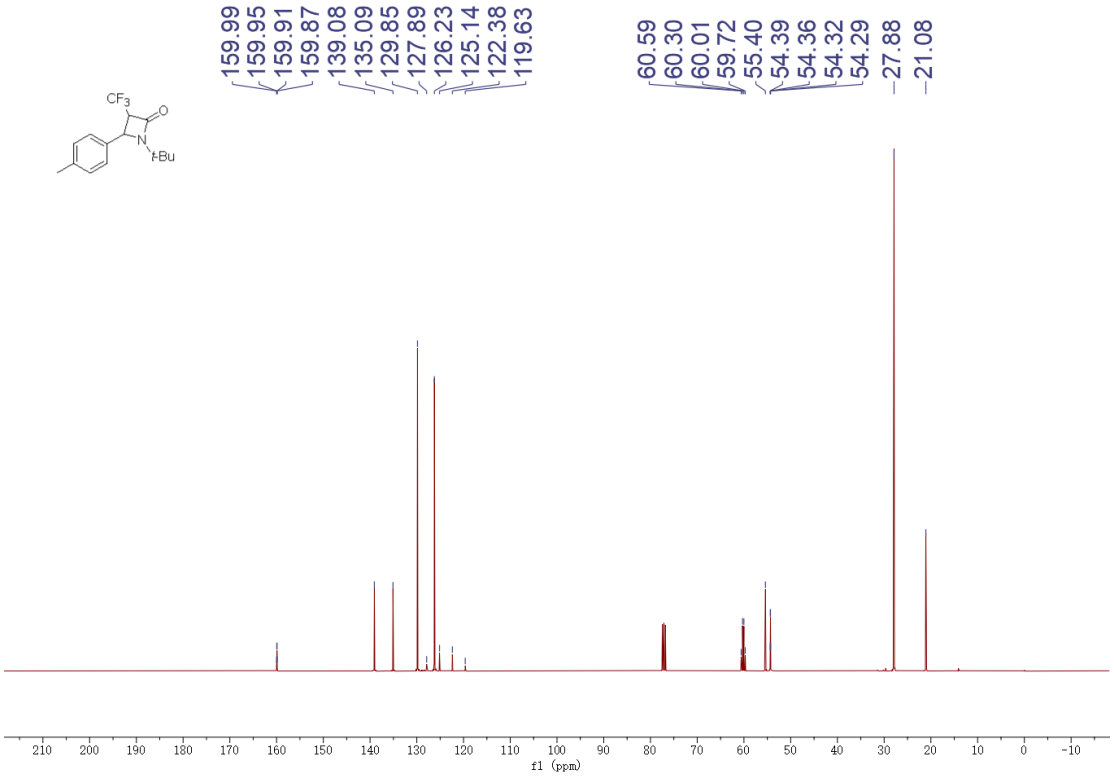

$^{1}H$ NMR spectra of **3b** in $CDCl_3$



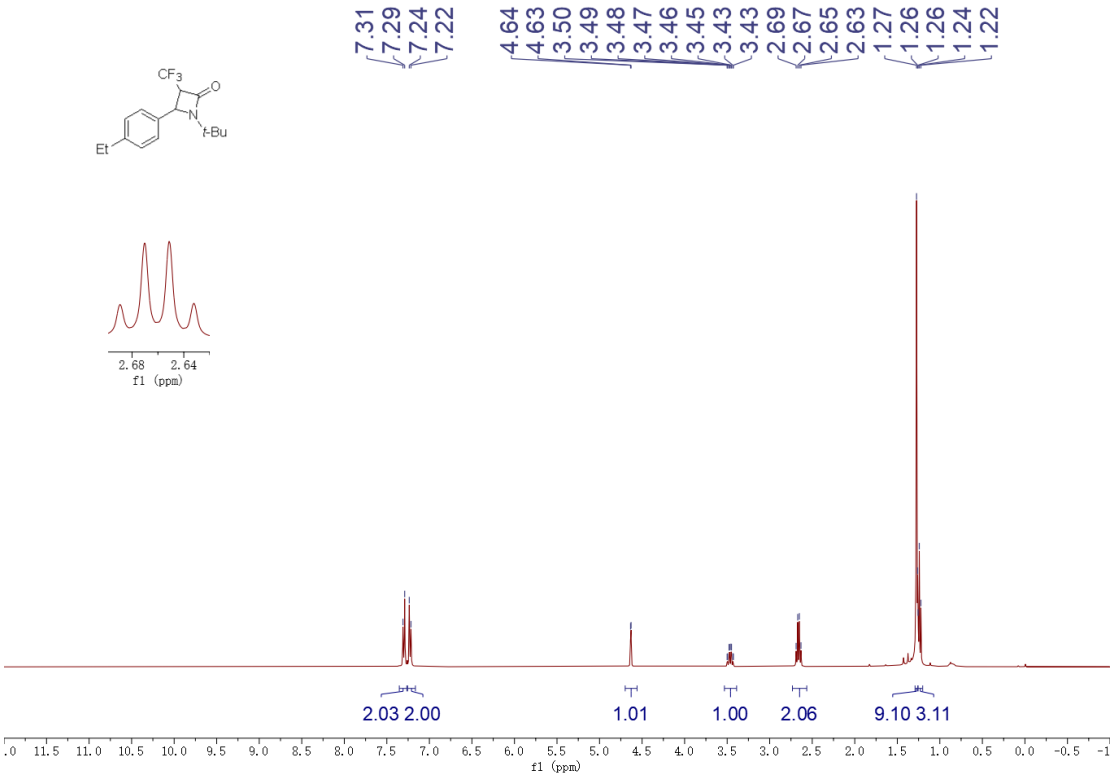
$^{19}$F NMR spectra of **3b** in $CDCl_3$



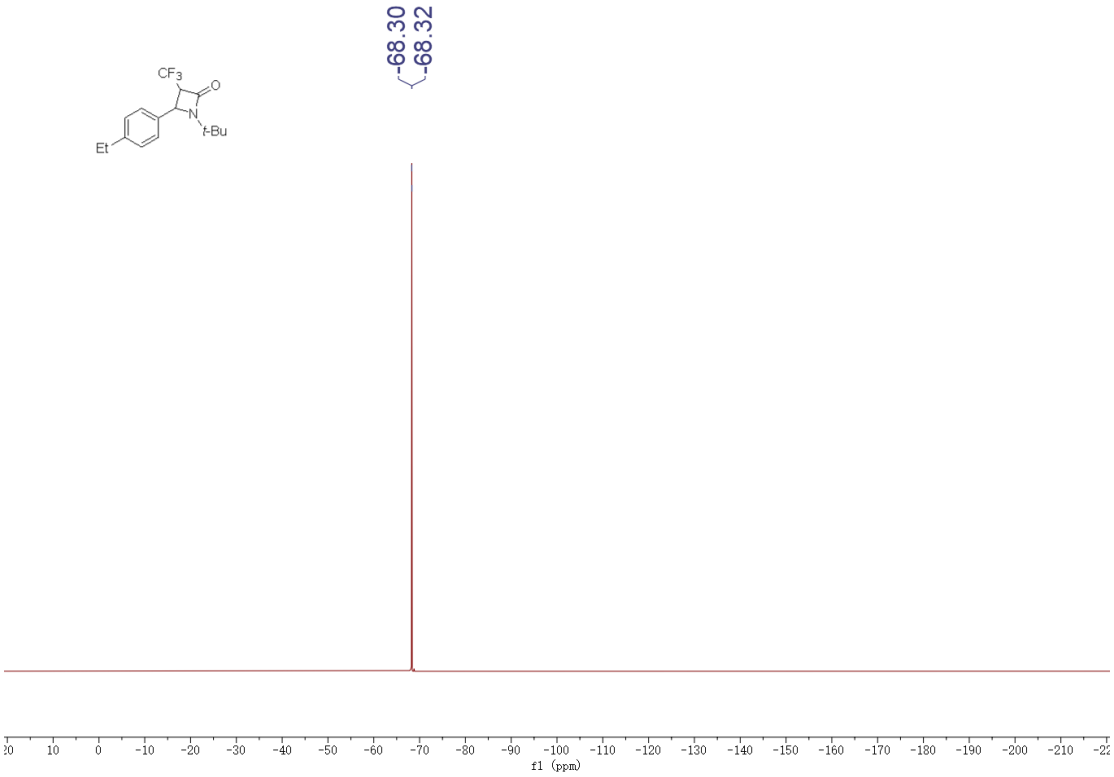

$^{13}C\{^1H\}$ NMR spectra of **3b** in $CDCl_3$



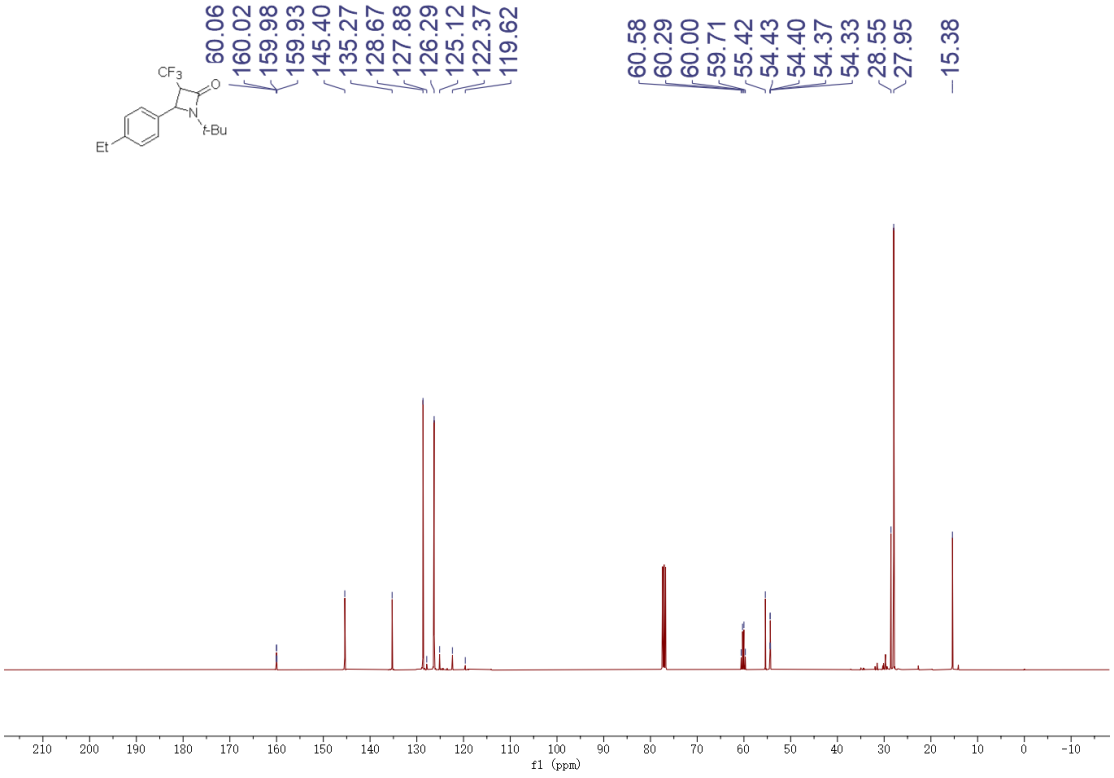
$^{1}$H NMR spectra of **3c** in $CDCl_3$



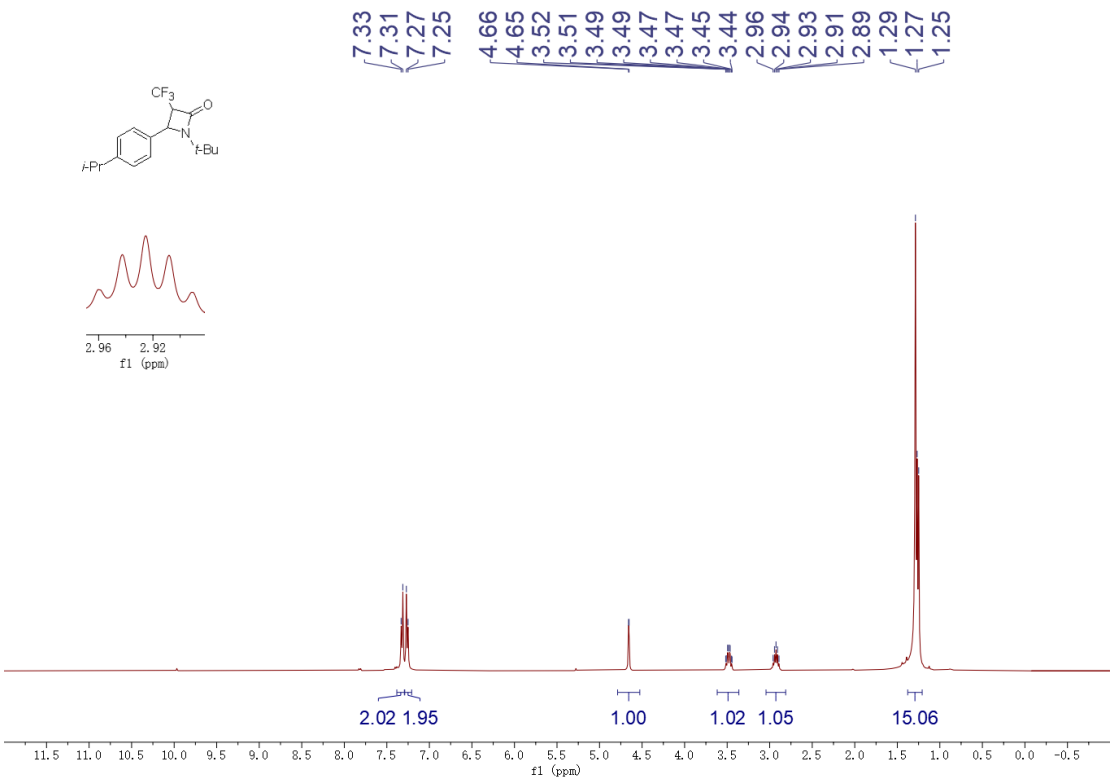

$^{19}$F NMR spectra of **3c** in $CDCl_3$



$^{13}C\{^{1}H\}$ NMR spectra of **3c** in $CDCl_3$




$^{1}H$ NMR spectra of **3d** in $CDCl_3$



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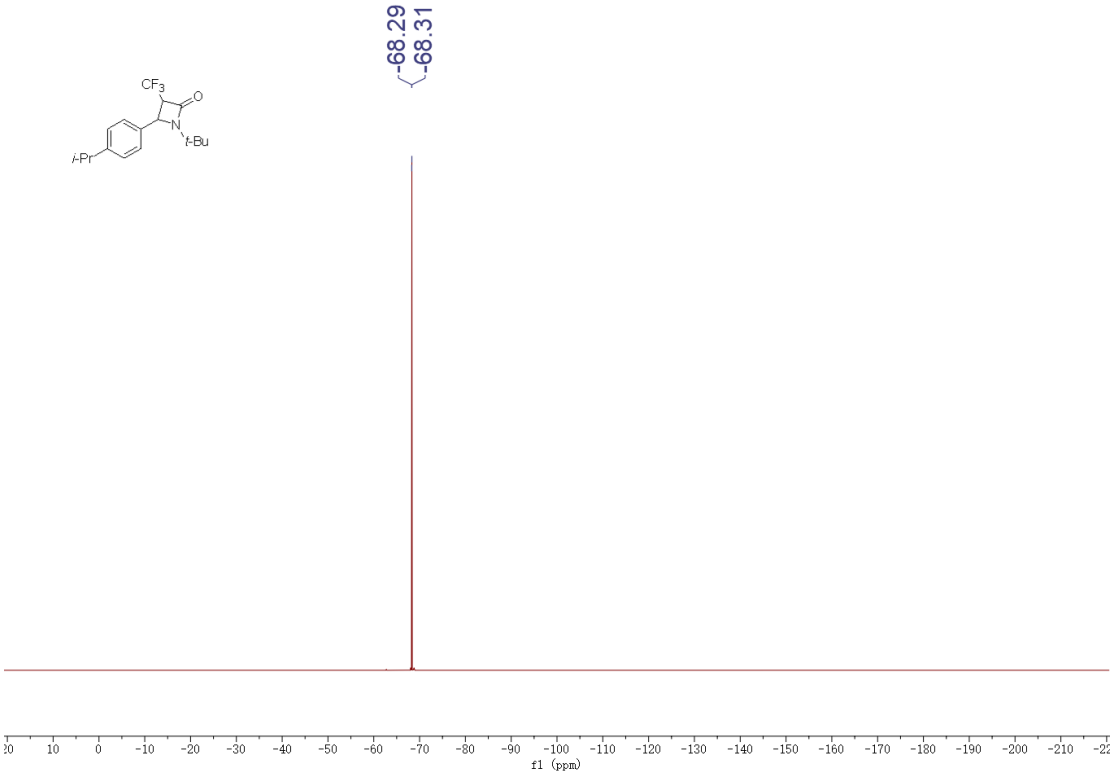
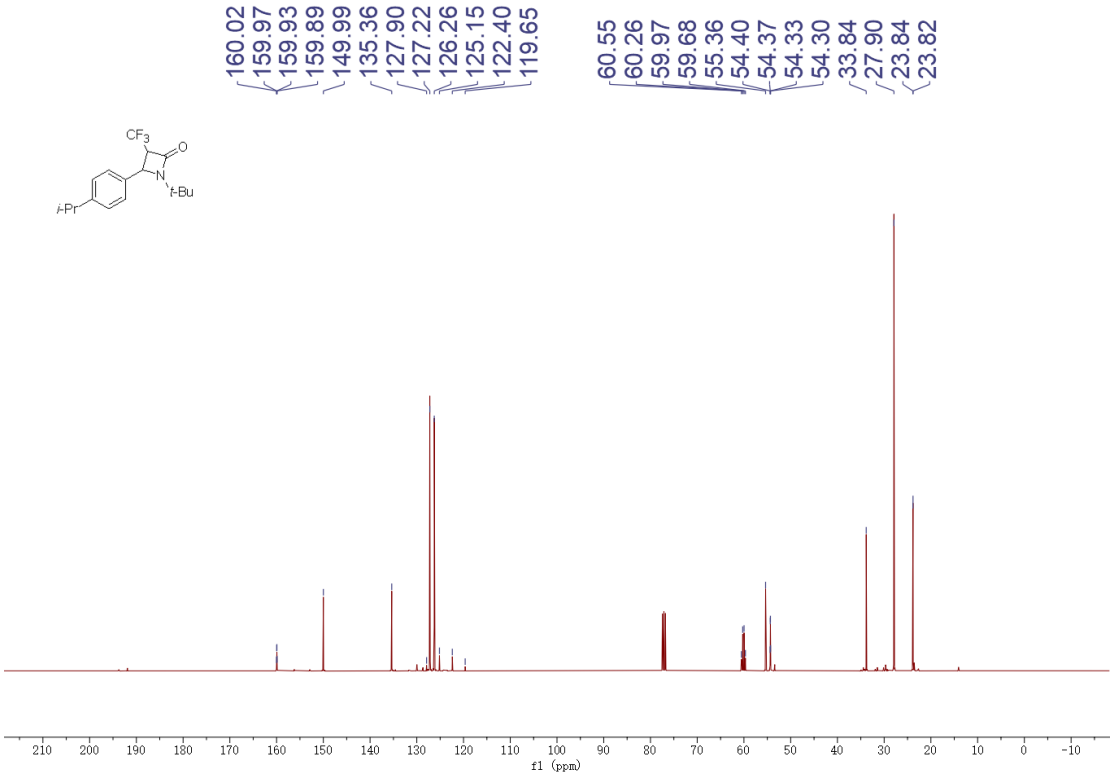
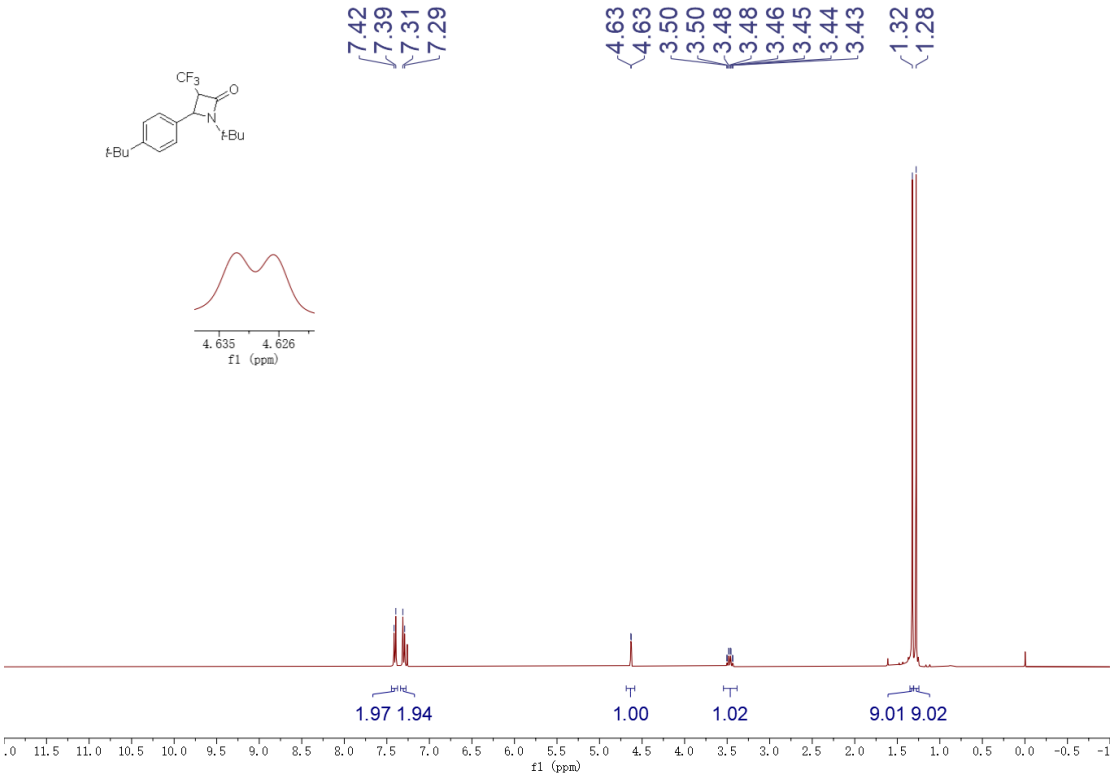



$^{13}C\{^1H\}$ NMR spectra of **3d** in $CDCl_3$



$^{1}$H NMR spectra of **3e** in $CDCl_3$

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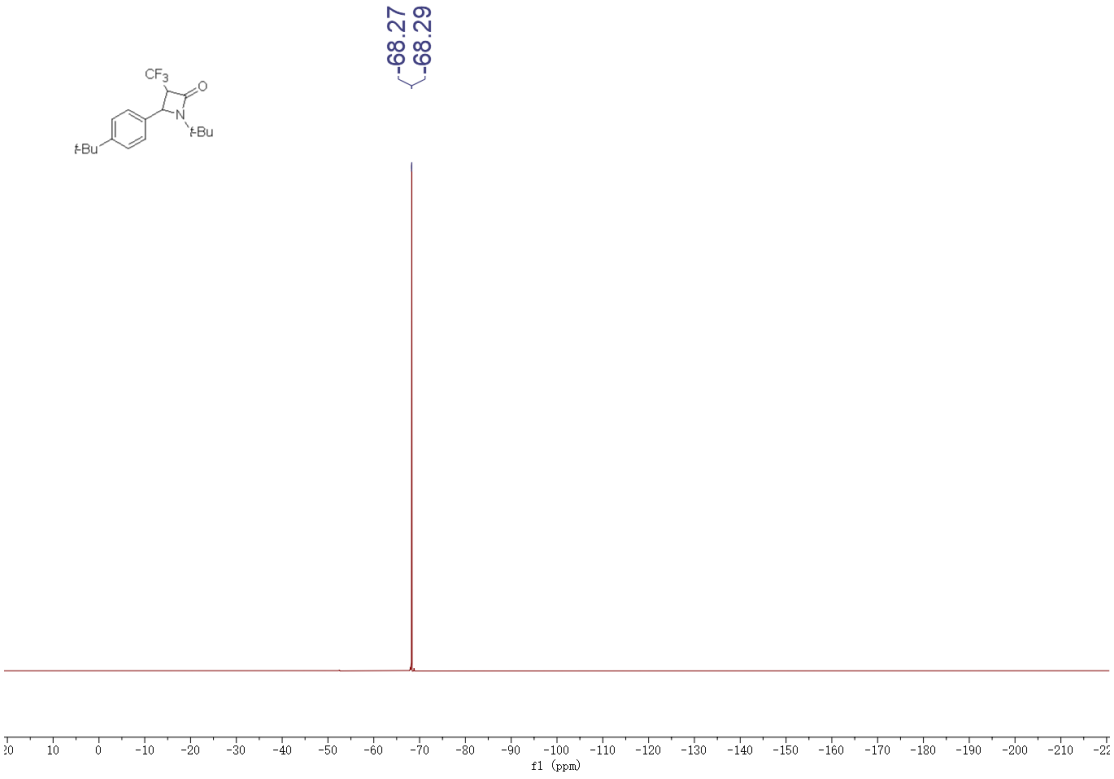
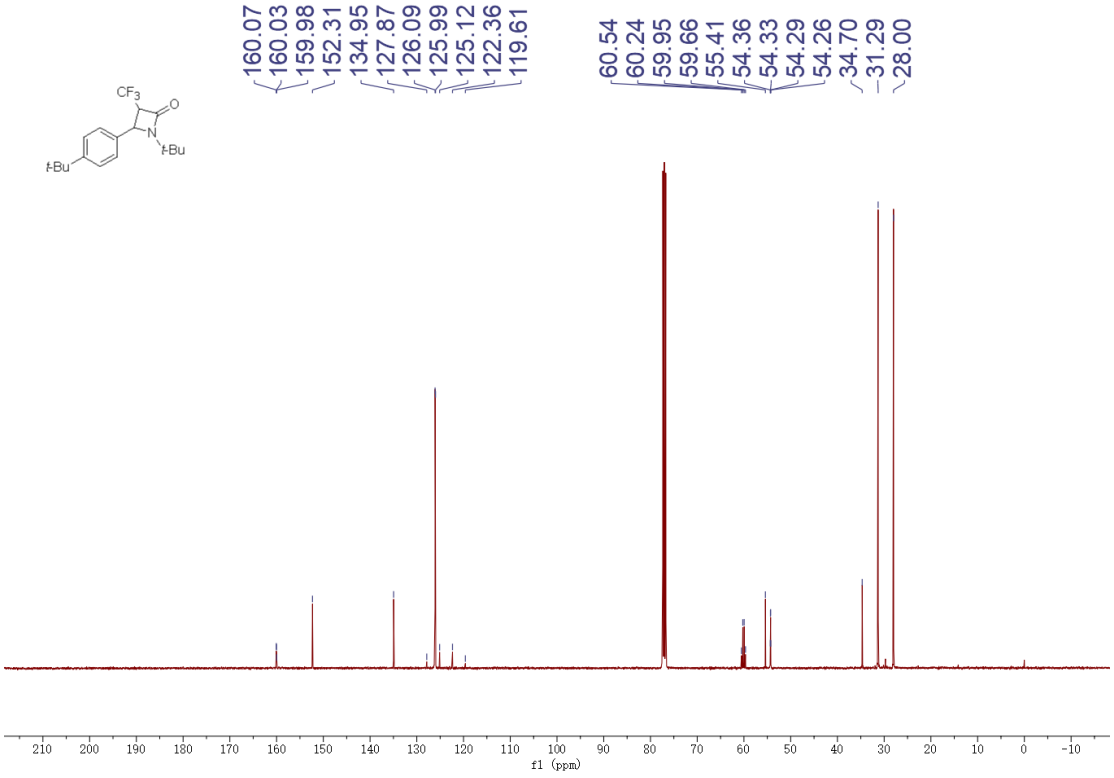
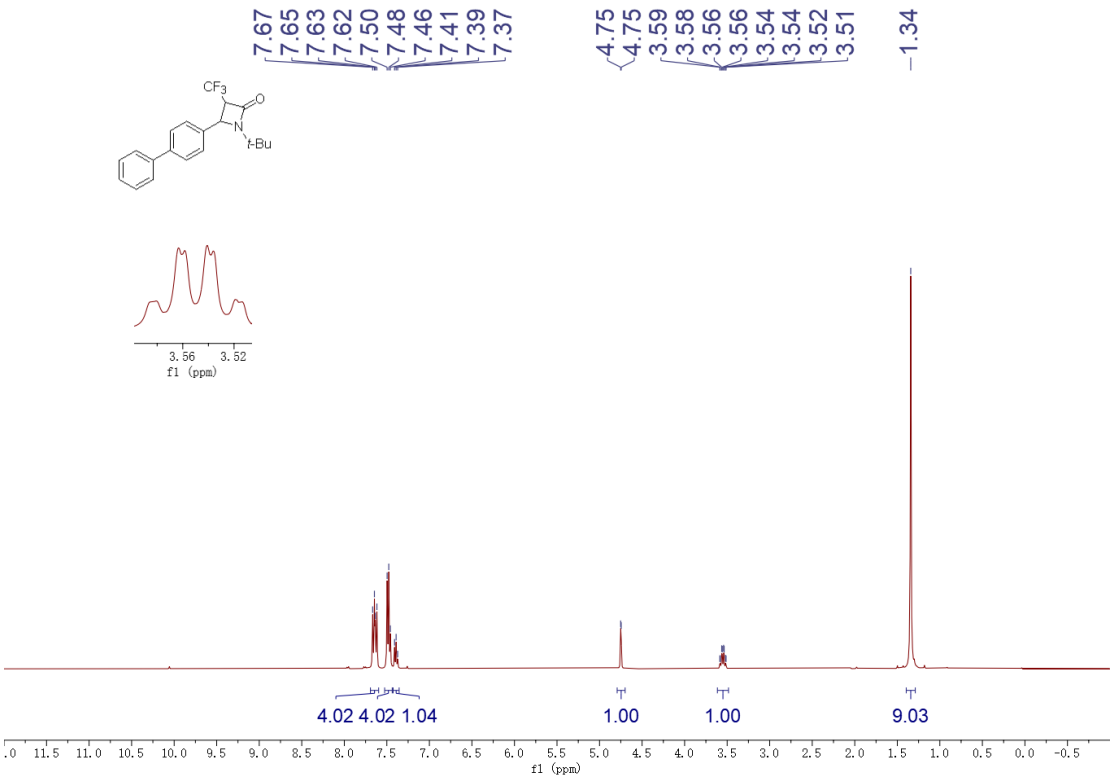


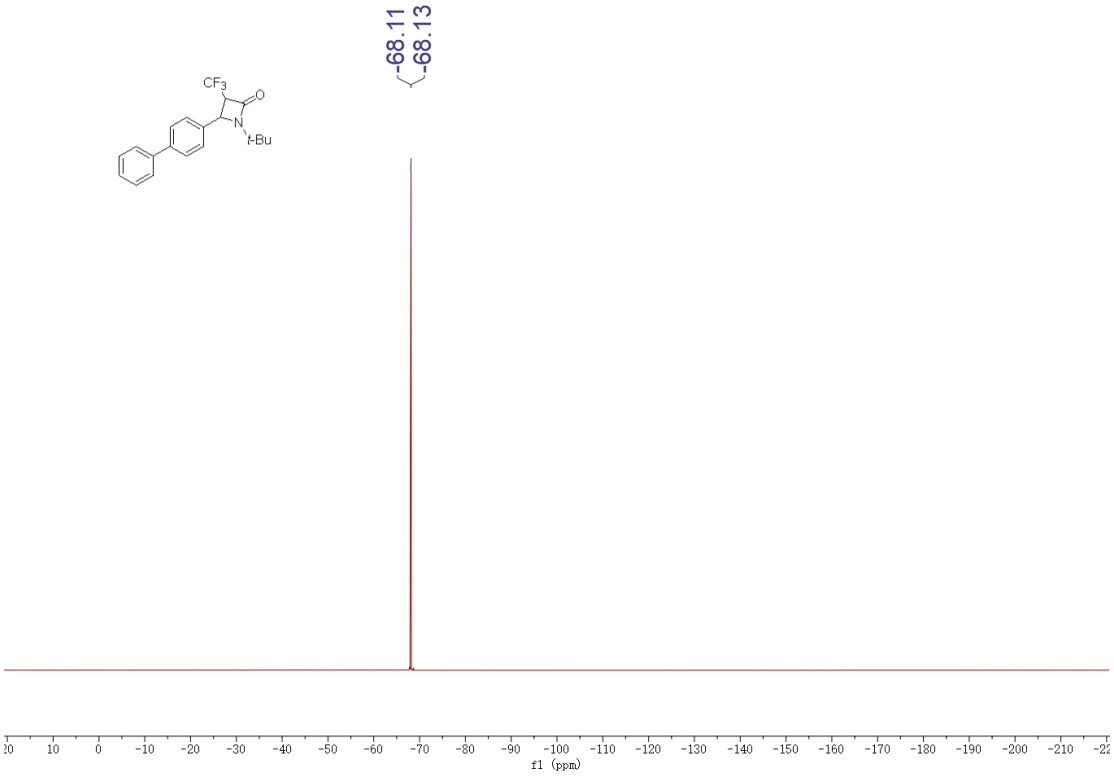
$^{13}C\{^{1}H\}$ NMR spectra of **3e** in $CDCl_3$



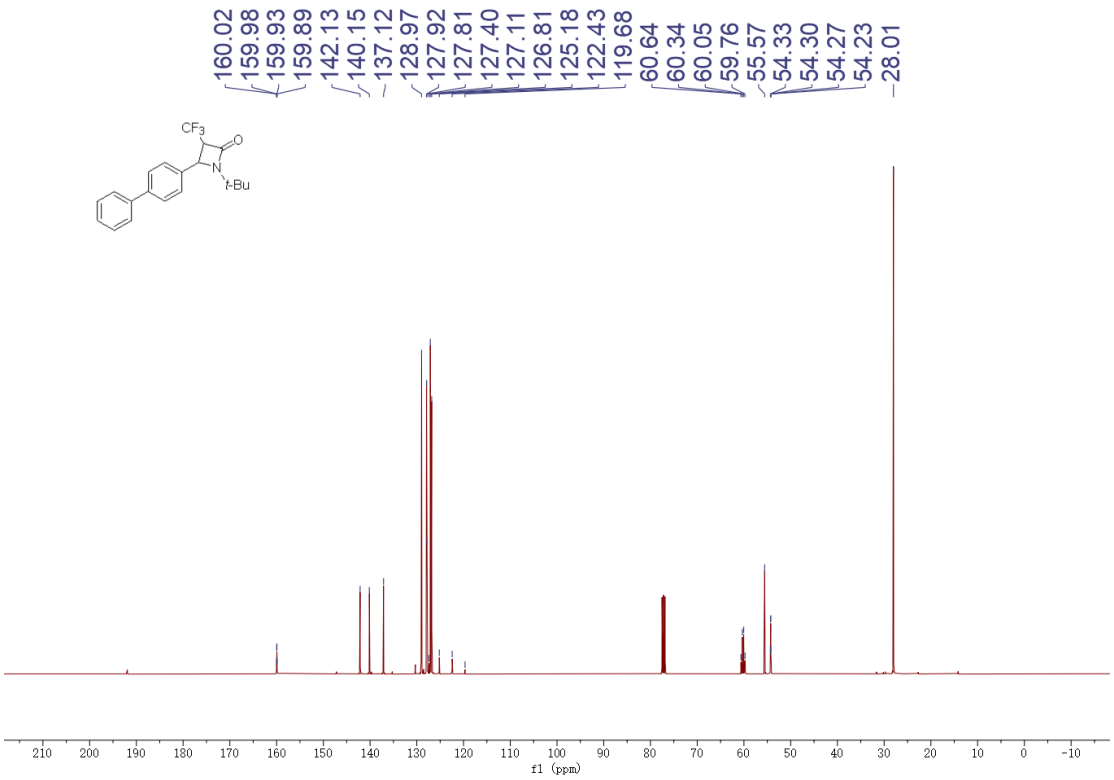

$^{1}H$ NMR spectra of **3f** in $CDCl_3$



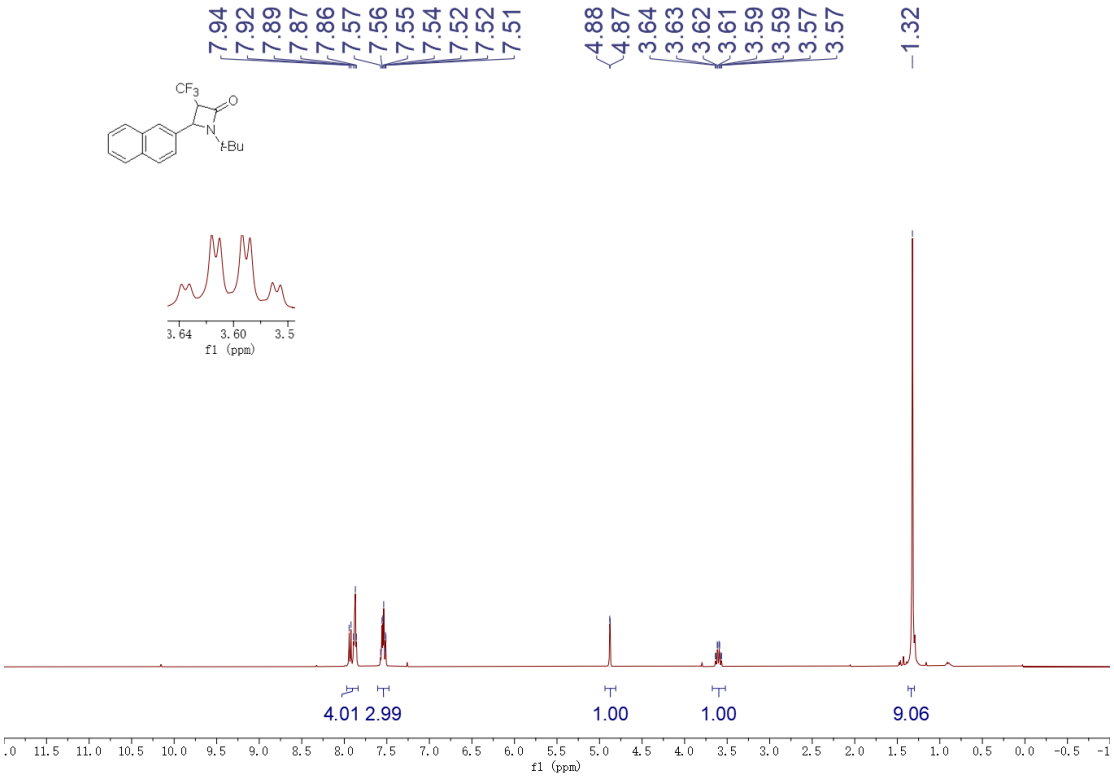
$^{19}F$ NMR spectra of **3f** in $CDCl_3$



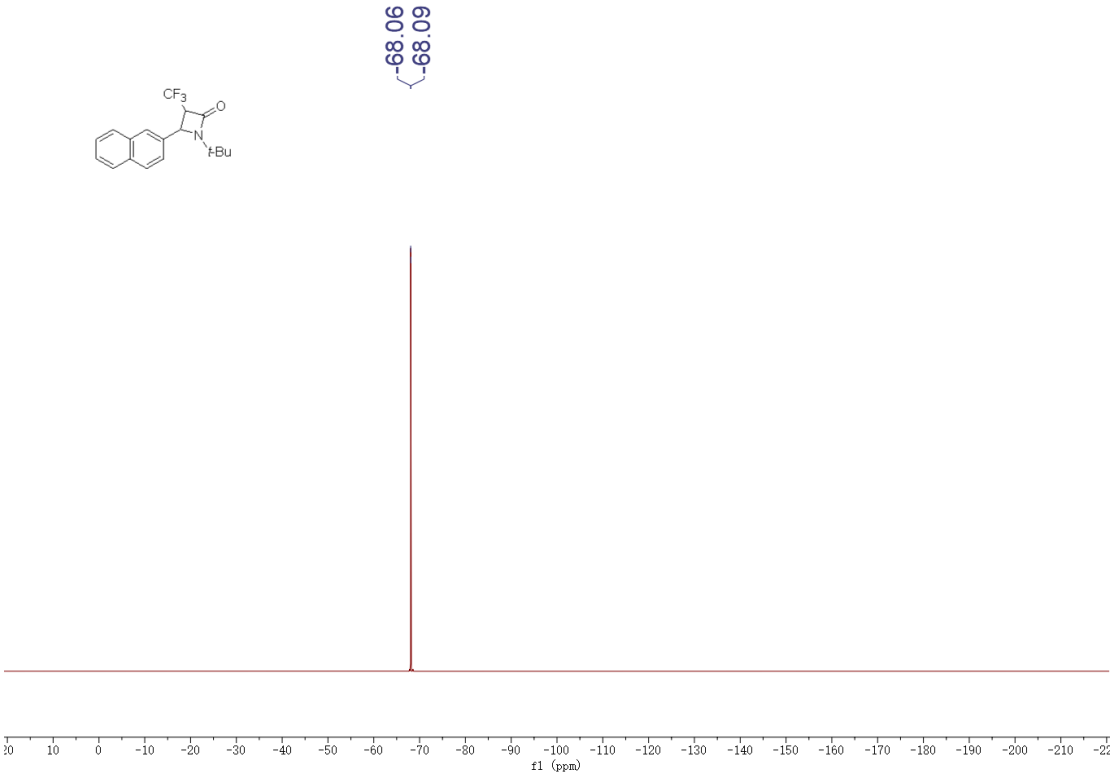

$^{13}C\{^1H\}$ NMR spectra of **3f** in $CDCl_3$



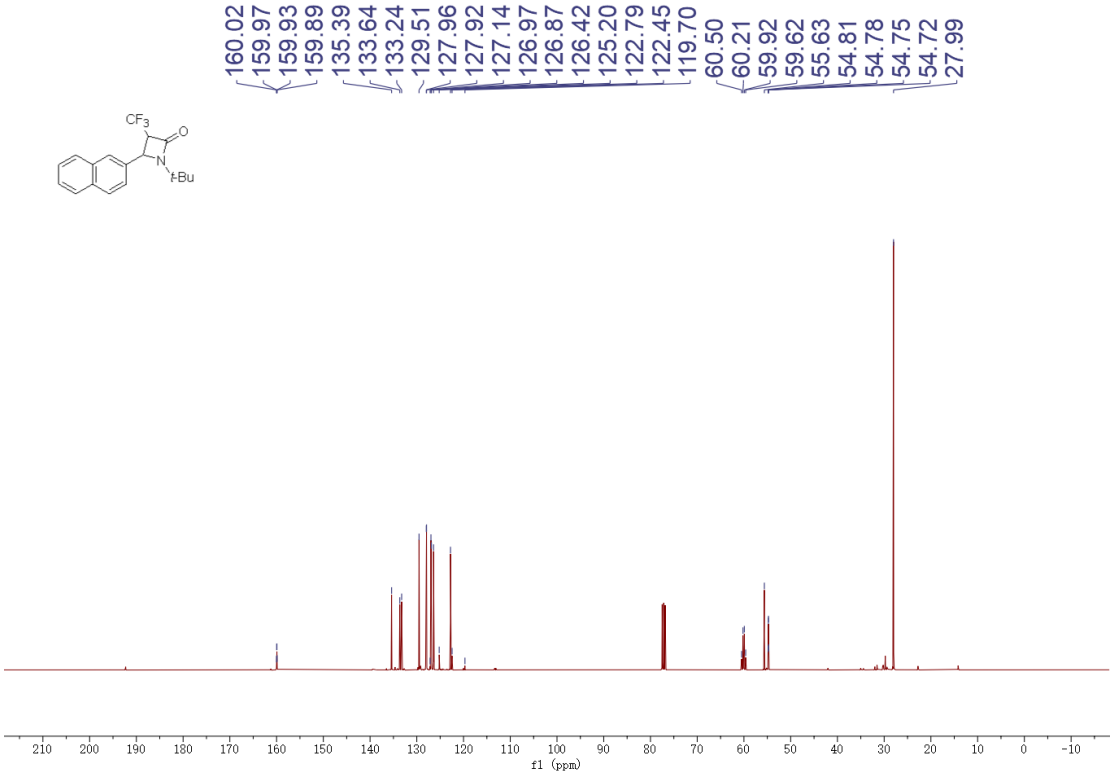
$^{1}$H NMR spectra of **3g** in $CDCl_3$



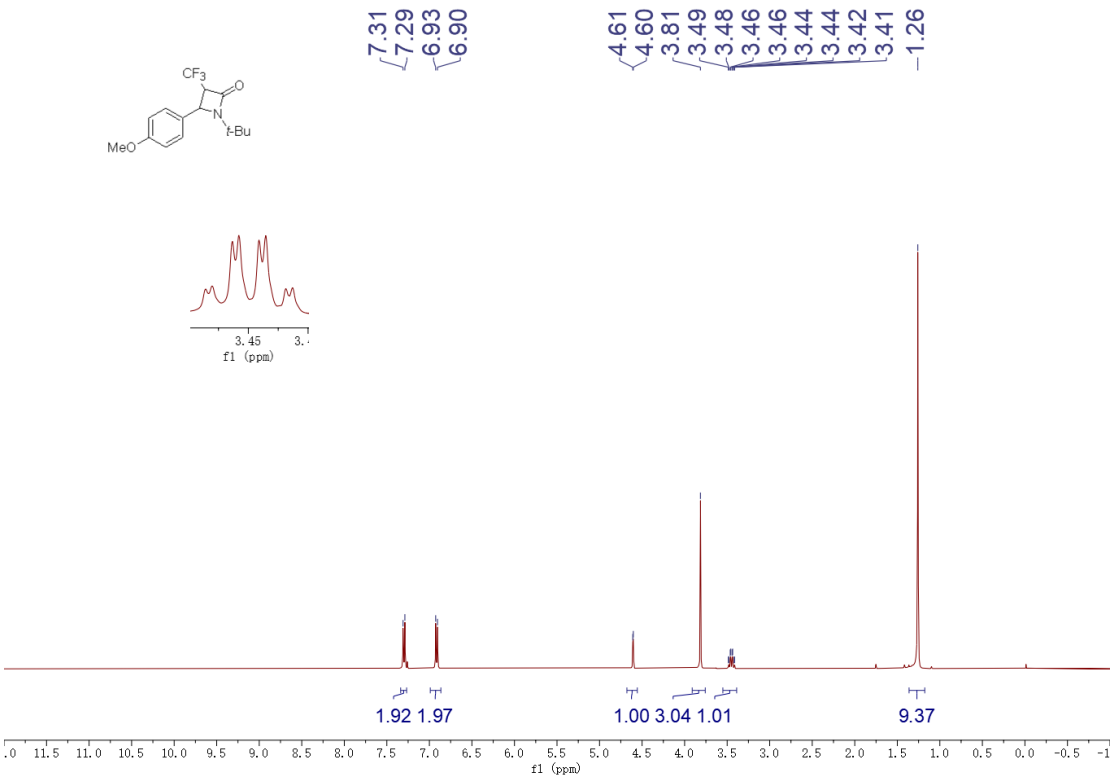

$^{19}$F NMR spectra of **3g** in $CDCl_3$



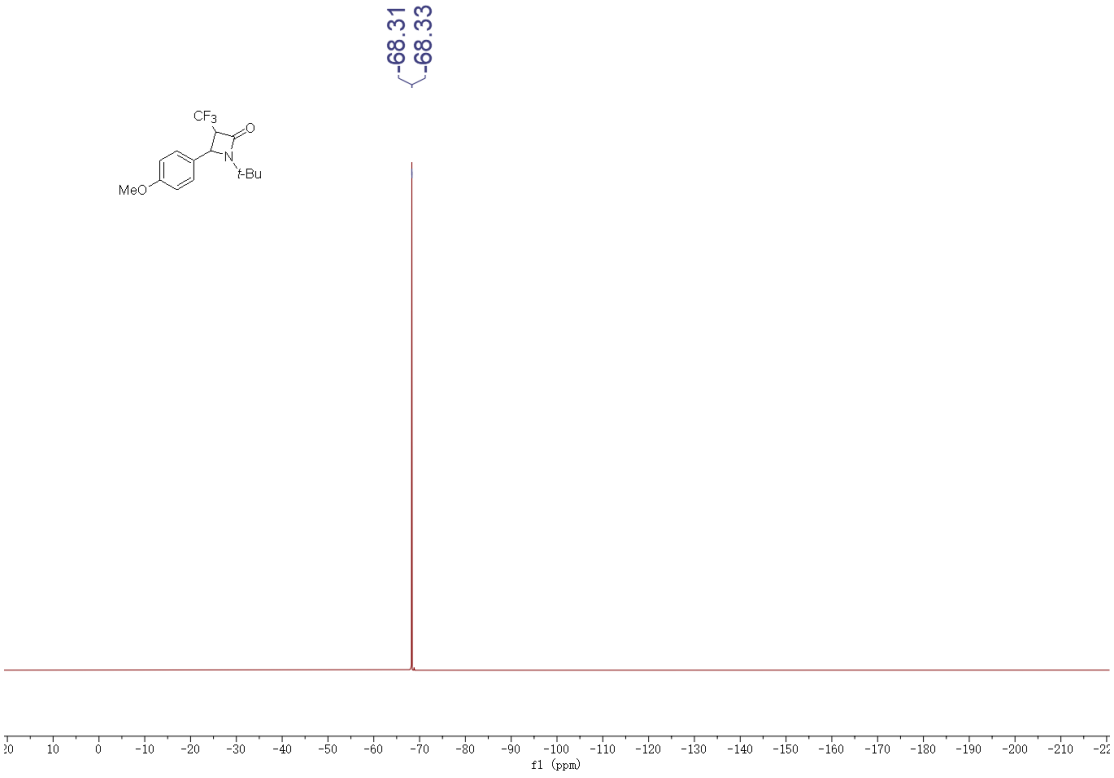
$^{13}C\{^{1}H\}$ NMR spectra of **3g** in $CDCl_3$



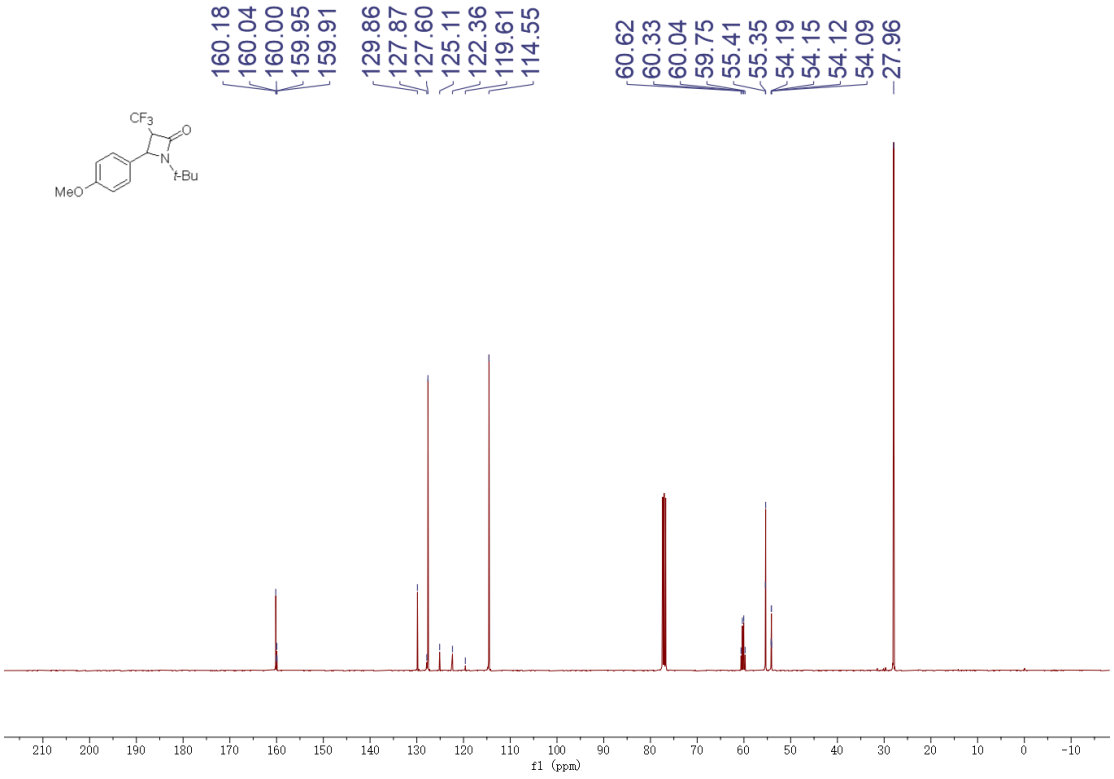

$^{1}H$ NMR spectra of **3h** in $CDCl_3$



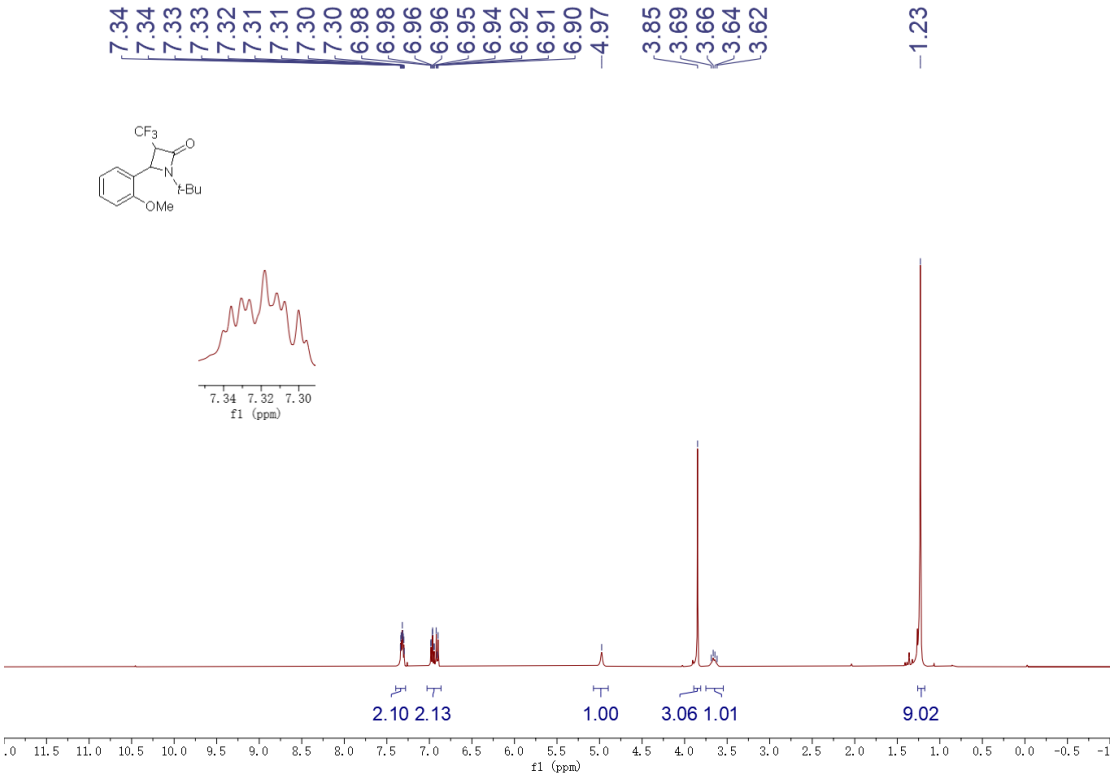
$^{19}F$ NMR spectra of **3h** in $CDCl_3$



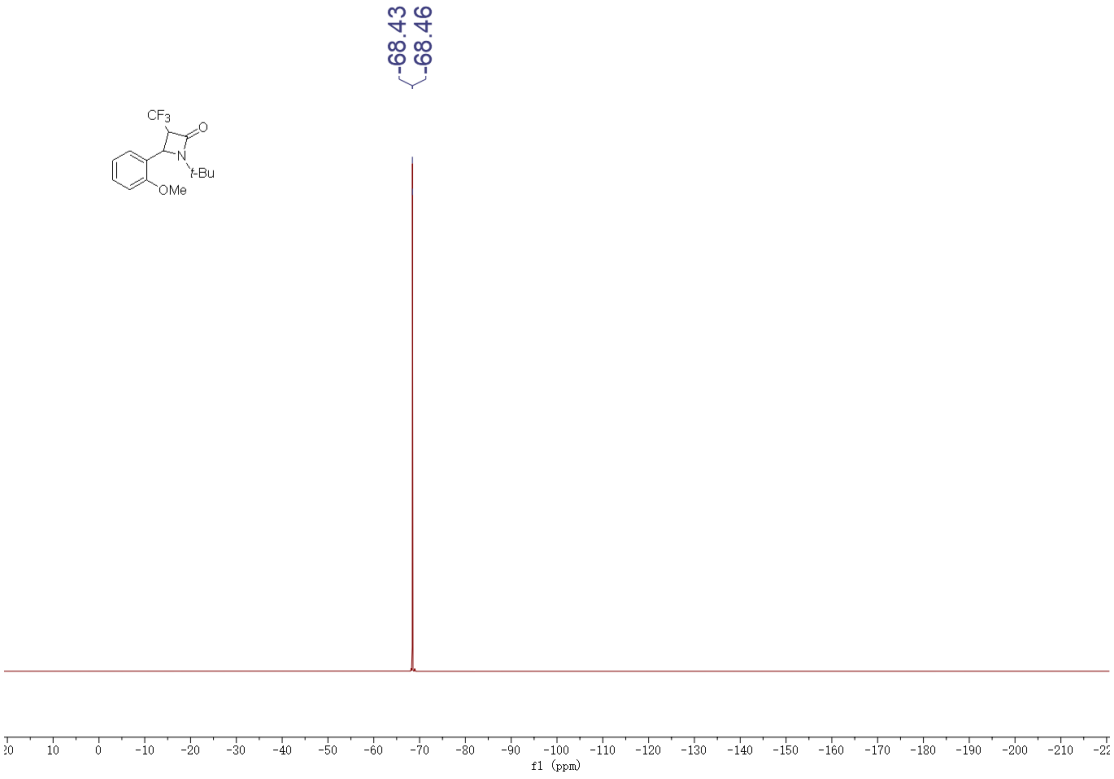

$^{13}C\{^1H\}$ NMR spectra of **3h** in $CDCl_3$



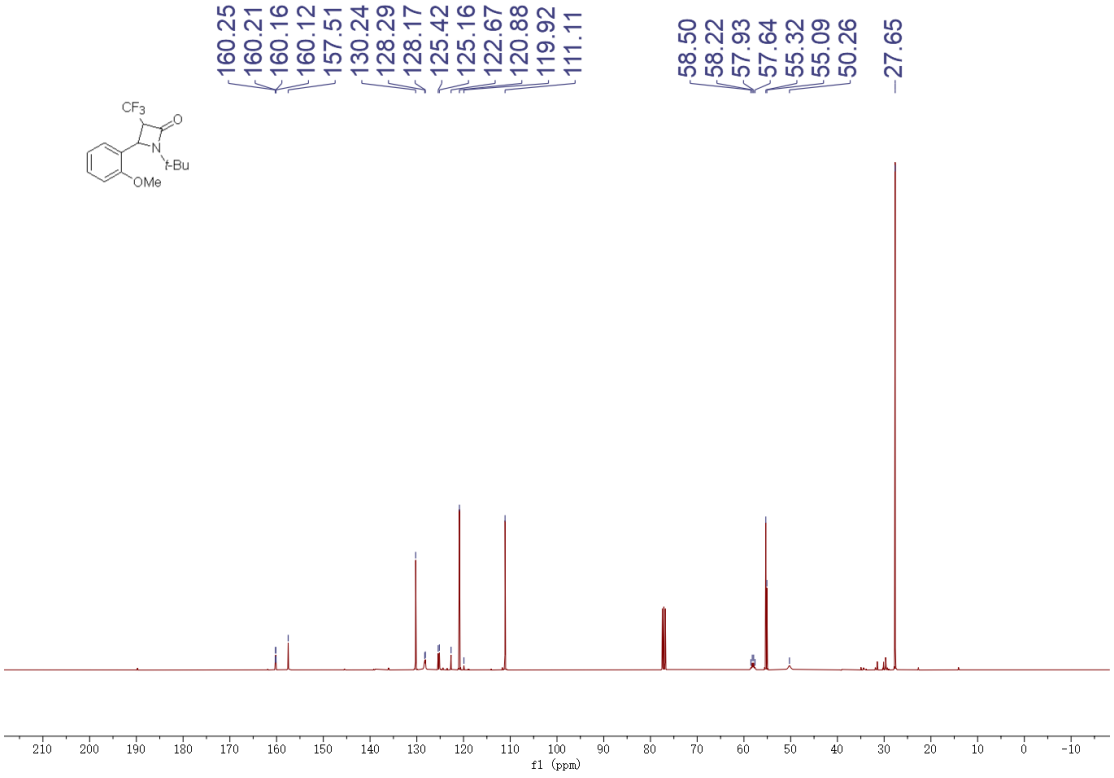
$^{1}$H NMR spectra of **3i** in $CDCl_3$



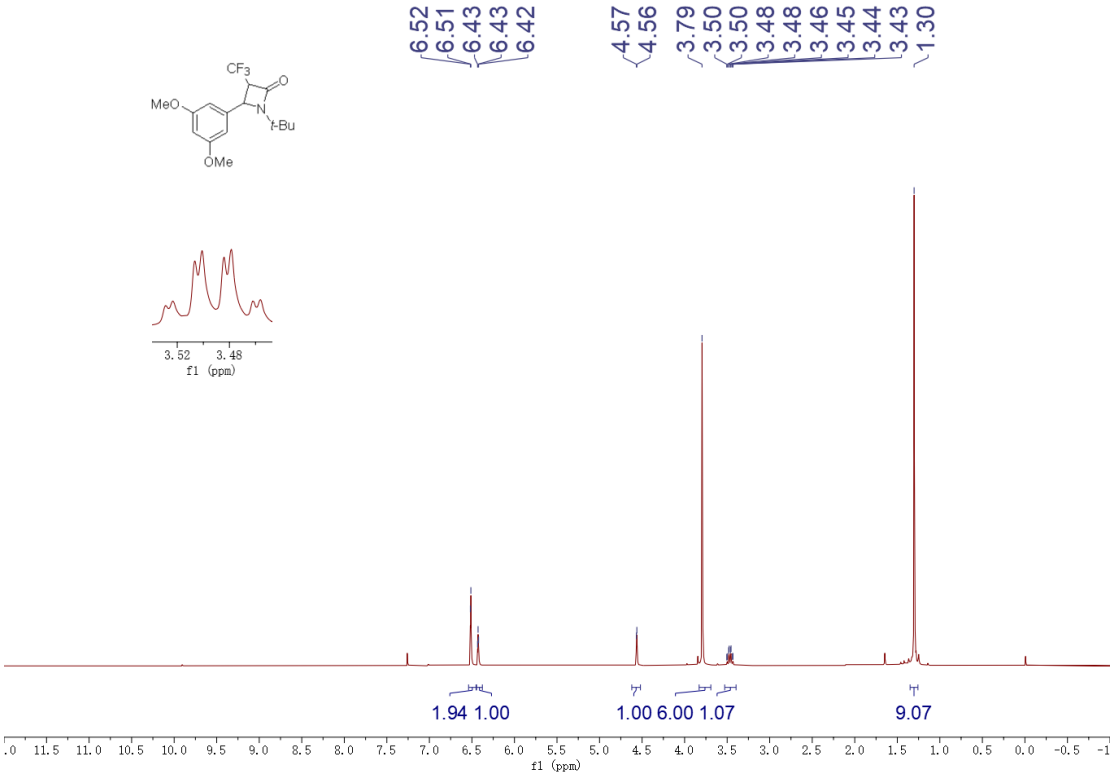

$^{19}$F NMR spectra of **3i** in $CDCl_3$



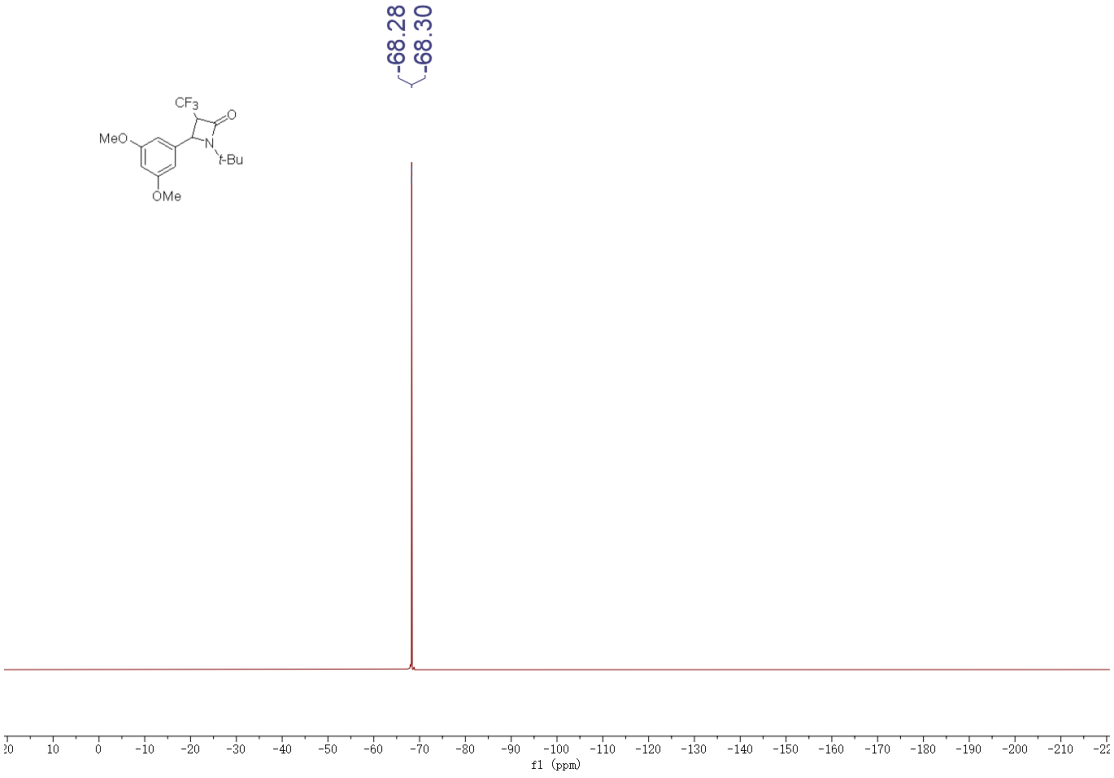
$^{13}C\{^{1}H\}$ NMR spectra of **3i** in $CDCl_3$



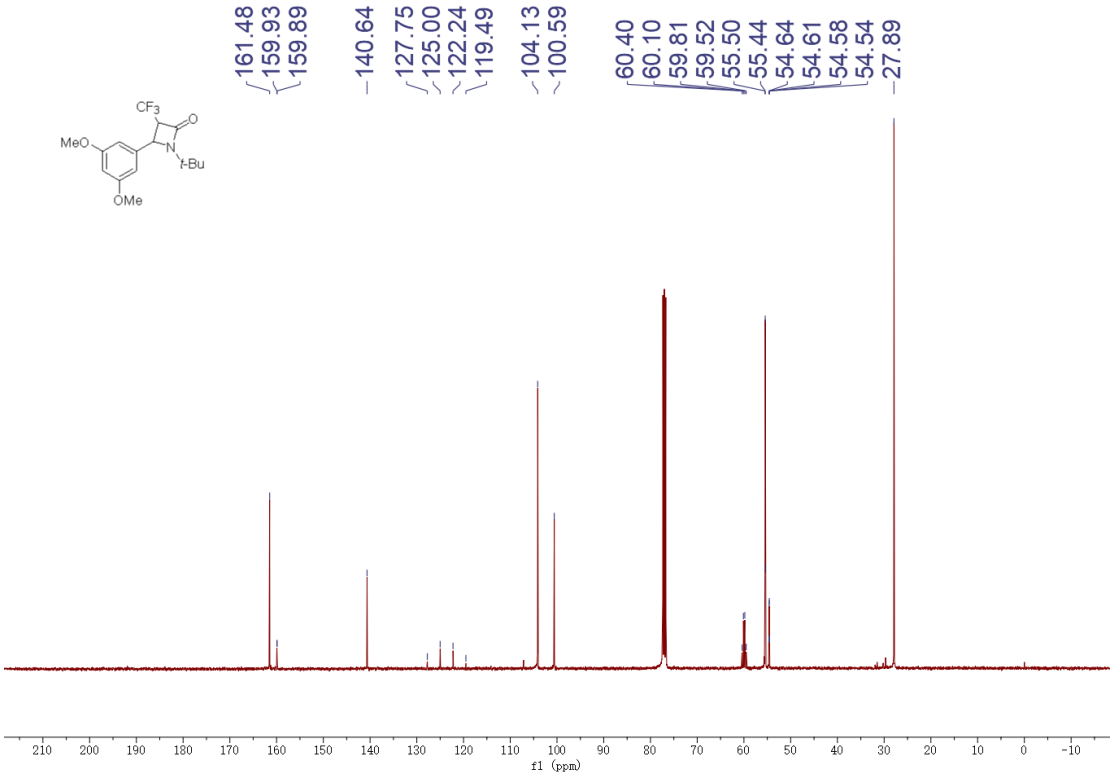

$^{1}H$ NMR spectra of **3j** in $CDCl_3$



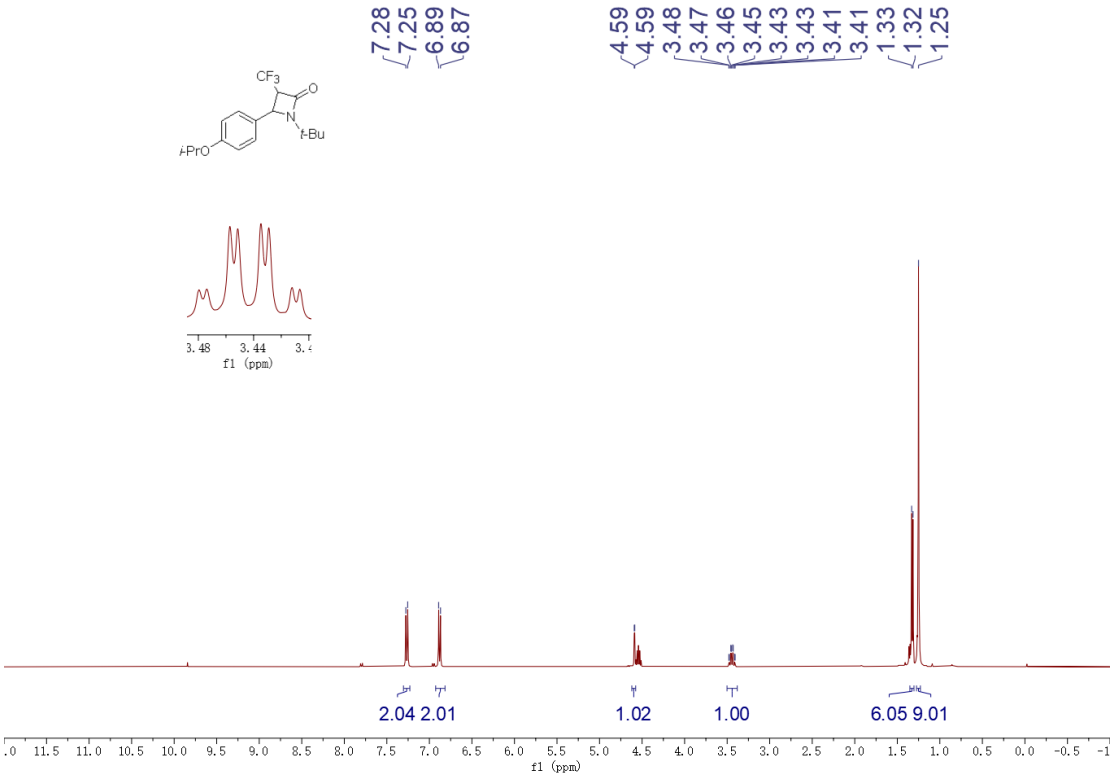
$^{19}F$ NMR spectra of **3j** in $CDCl_3$



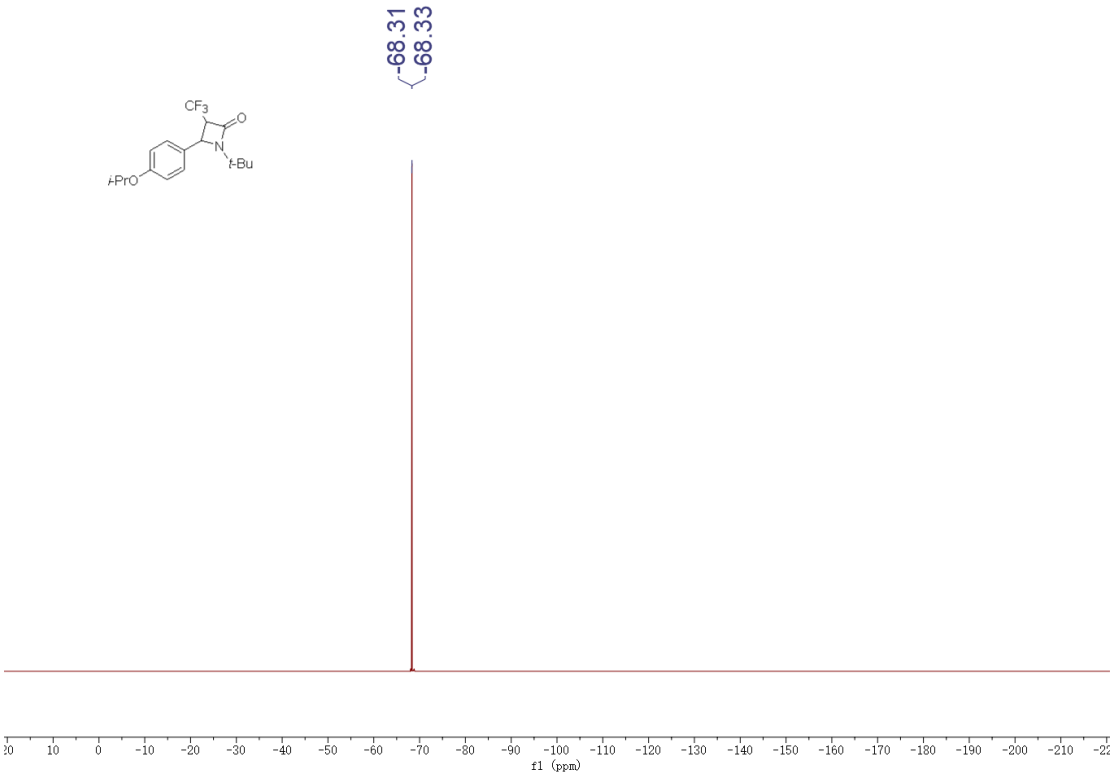

$^{13}C\{^1H\}$ NMR spectra of **3j** in $CDCl_3$



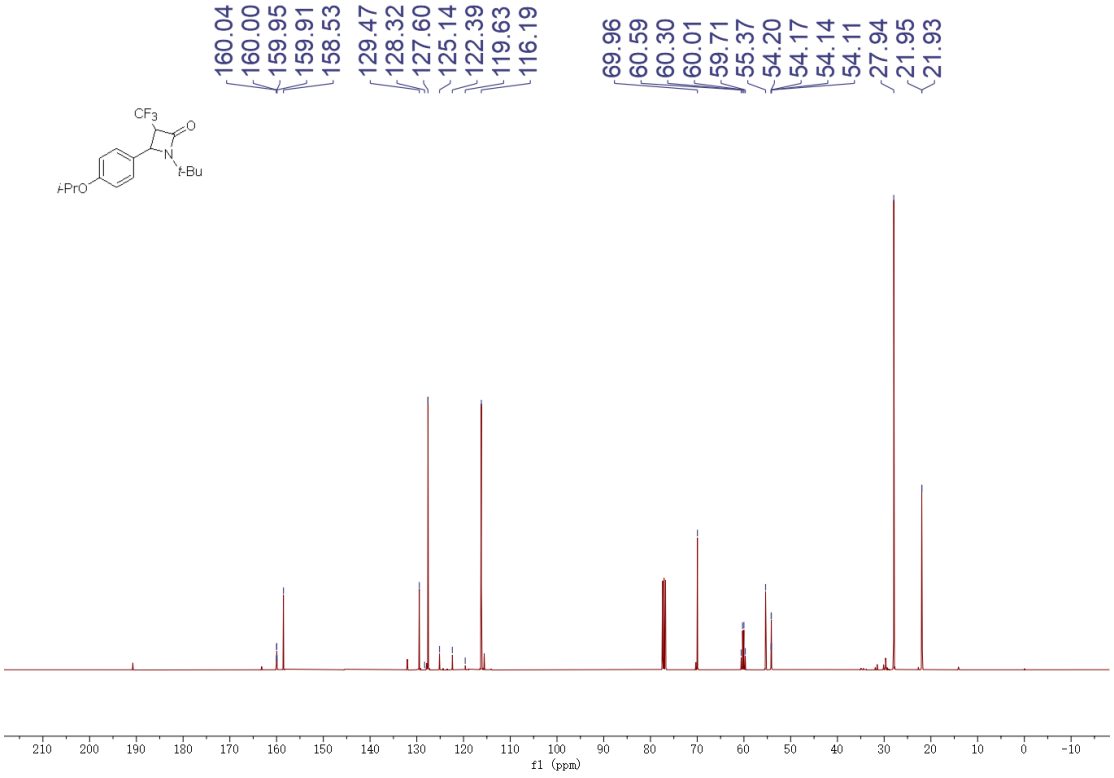
$^{1}$H NMR spectra of **3k** in $CDCl_3$



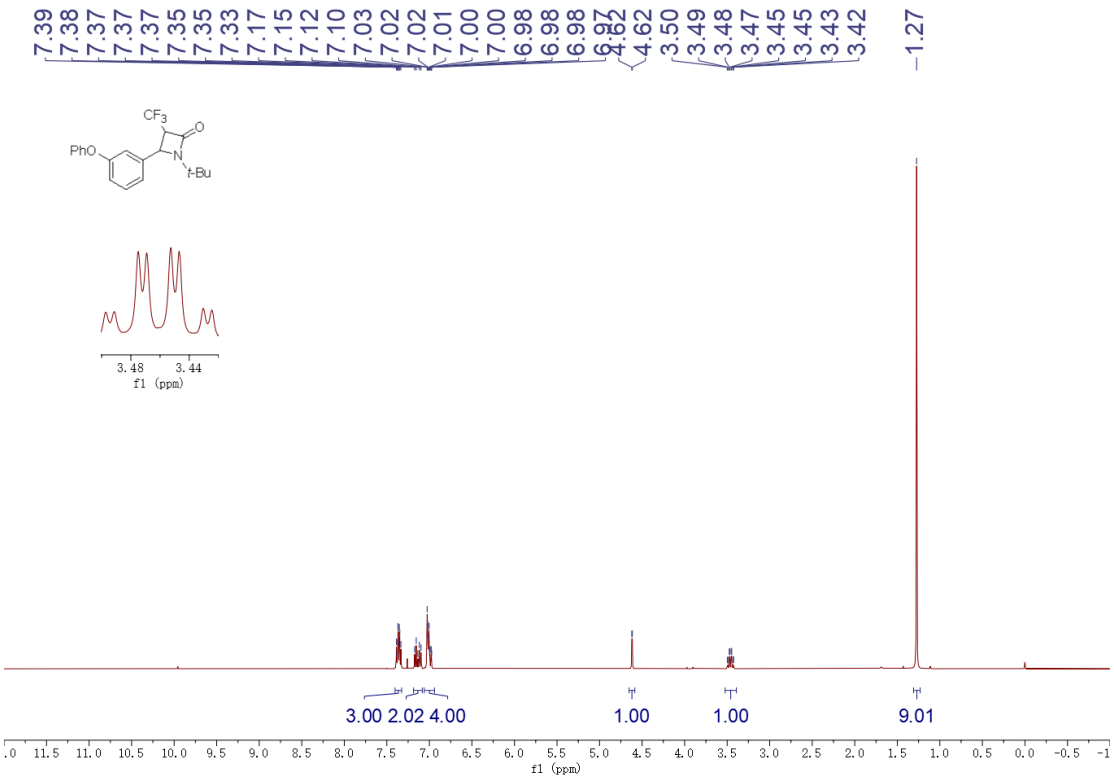

$^{19}$F NMR spectra of **3k** in $CDCl_3$



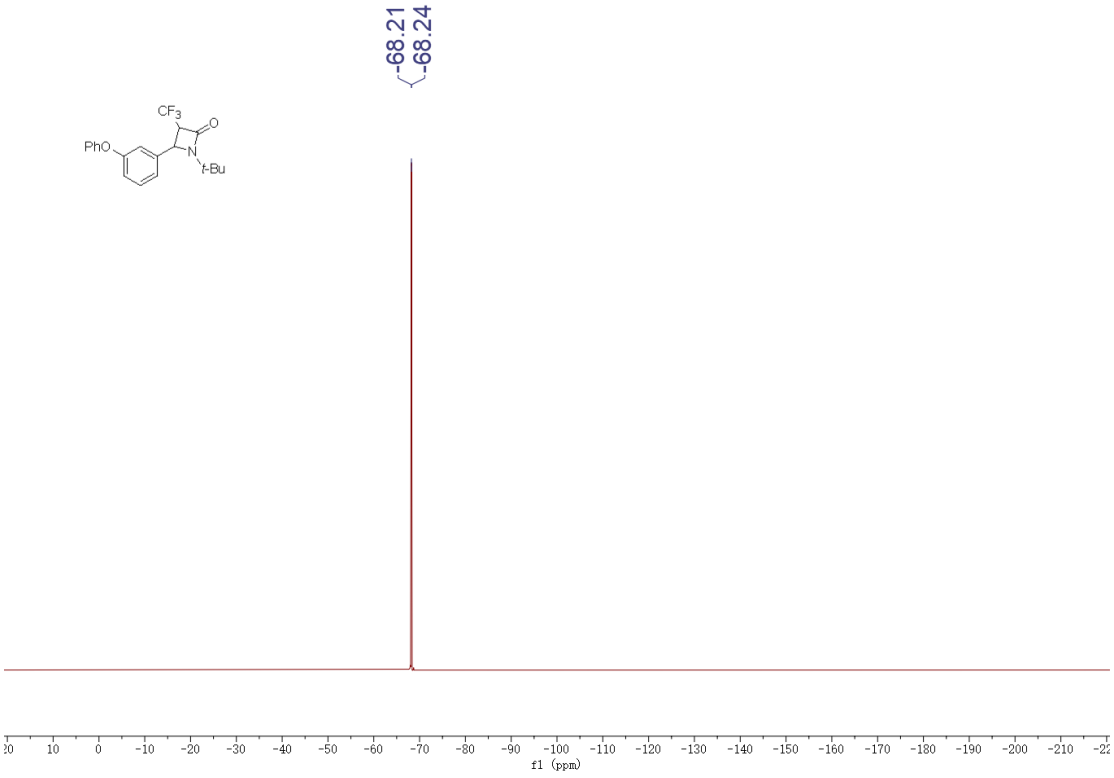
$^{13}C\{^{1}H\}$ NMR spectra of **3k** in $CDCl_3$



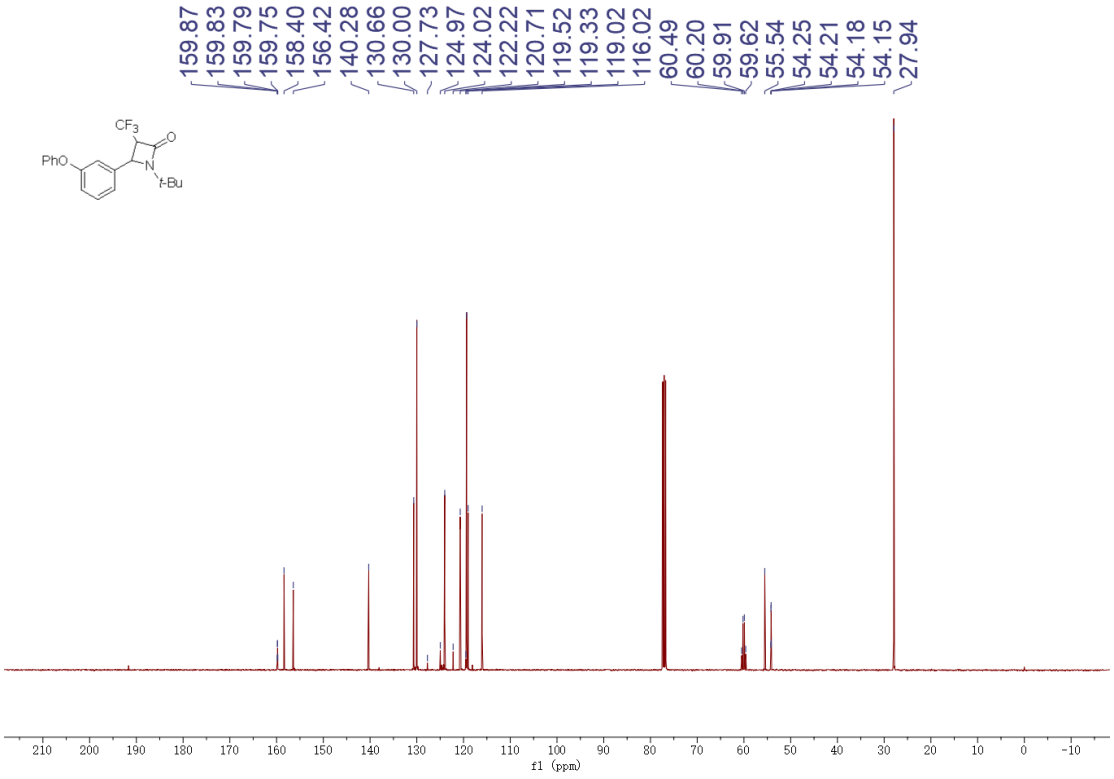

$^{1}H$ NMR spectra of **3l** in $CDCl_3$



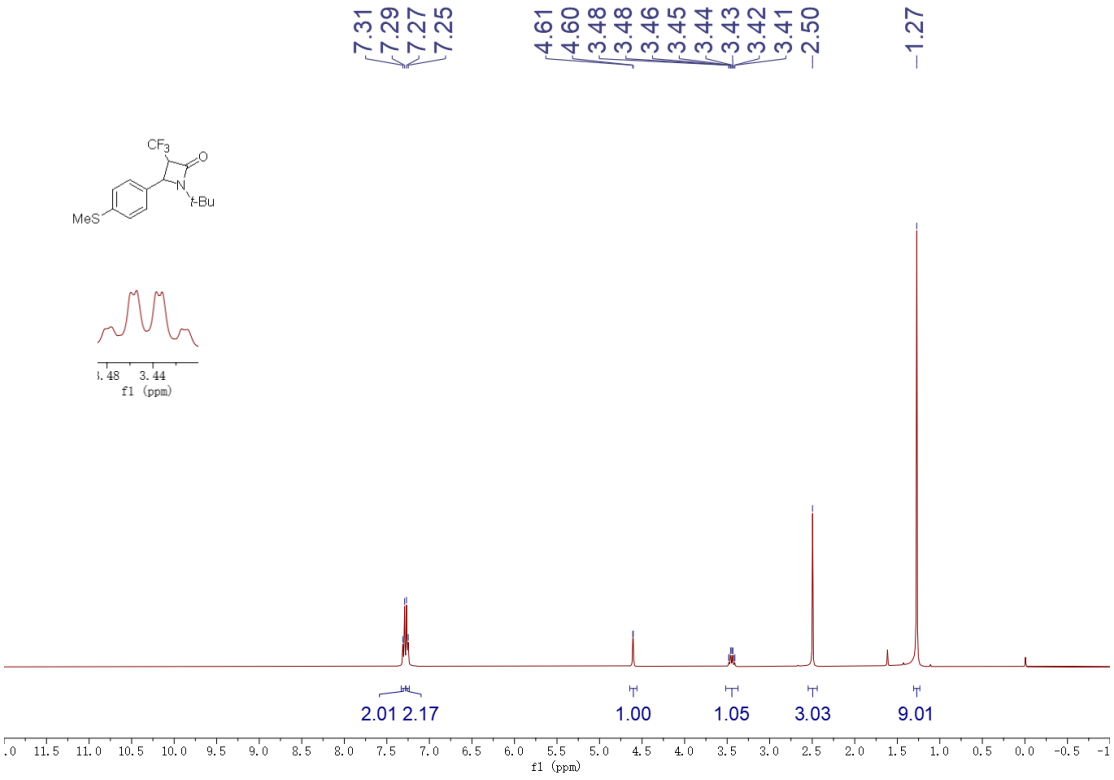
$^{19}F$ NMR spectra of **3l** in $CDCl_3$



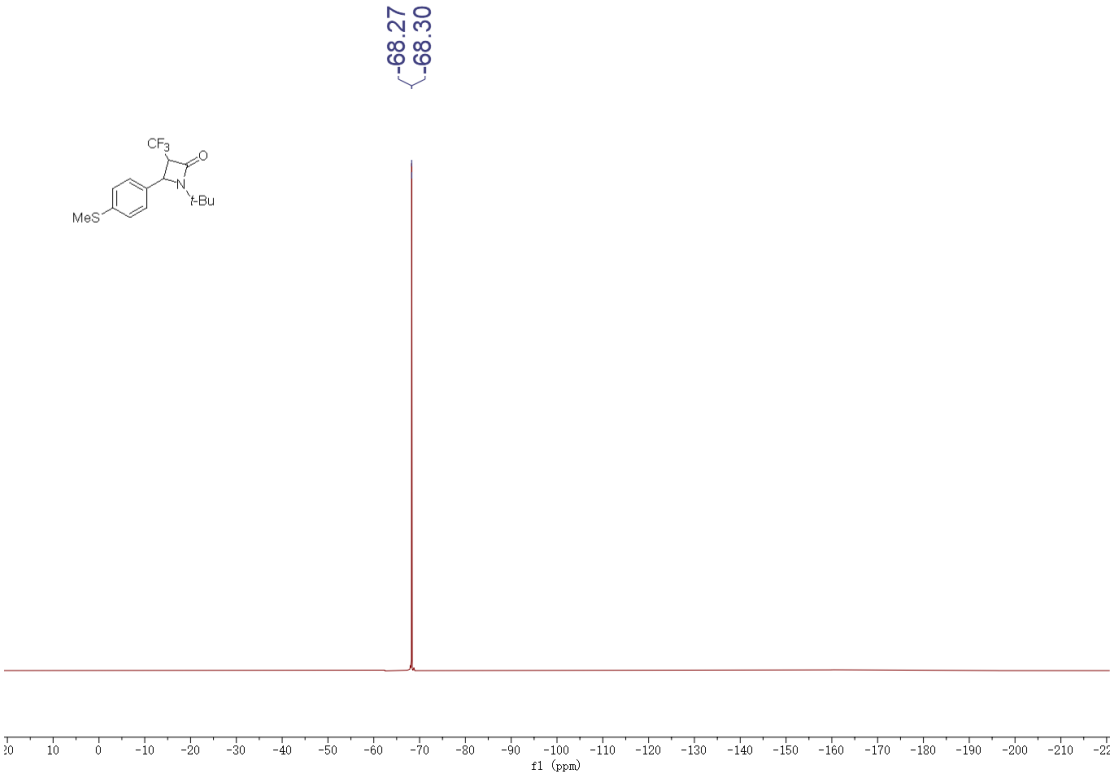

$^{13}C\{^1H\}$ NMR spectra of **3l** in $CDCl_3$



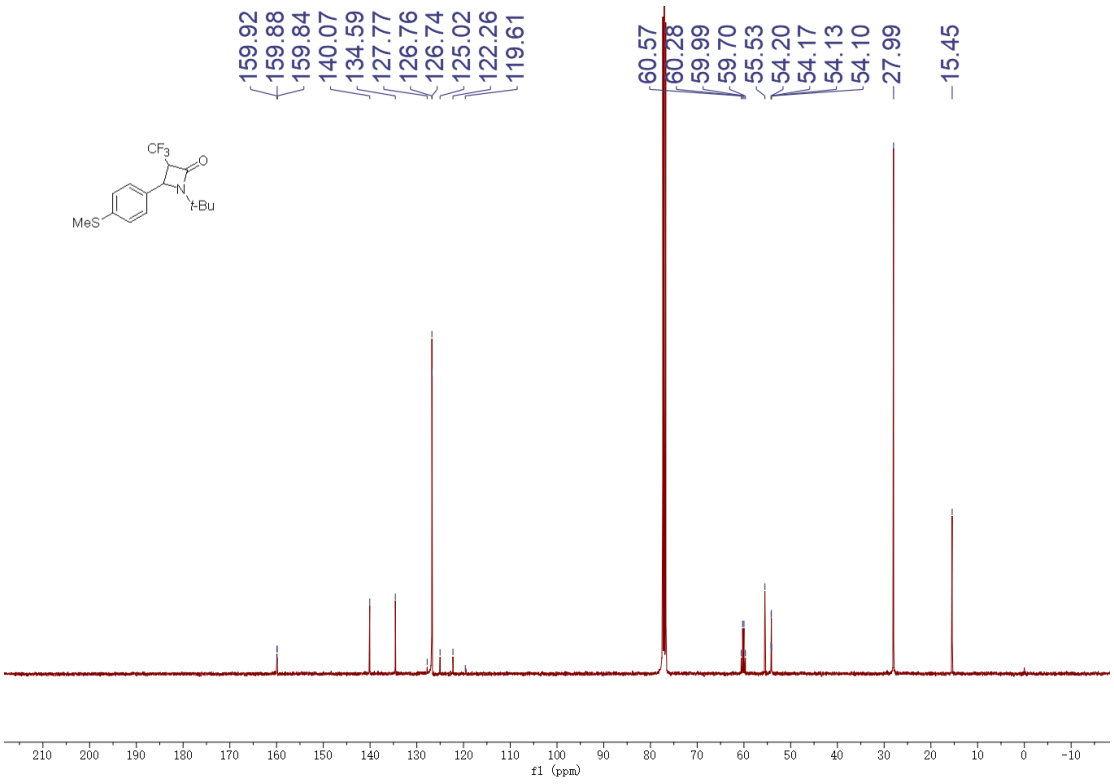
$^{1}$H NMR spectra of **3m** in $CDCl_3$



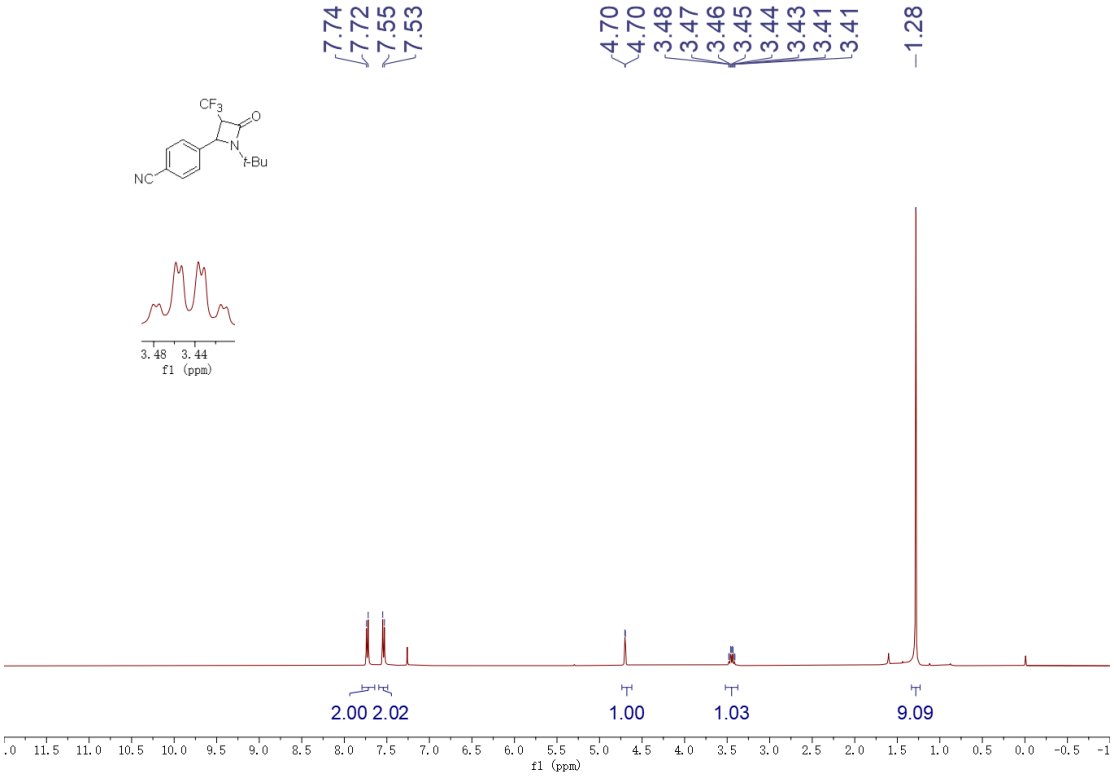

$^{19}$F NMR spectra of **3m** in $CDCl_3$



$^{13}C\{^{1}H\}$ NMR spectra of **3m** in $CDCl_3$

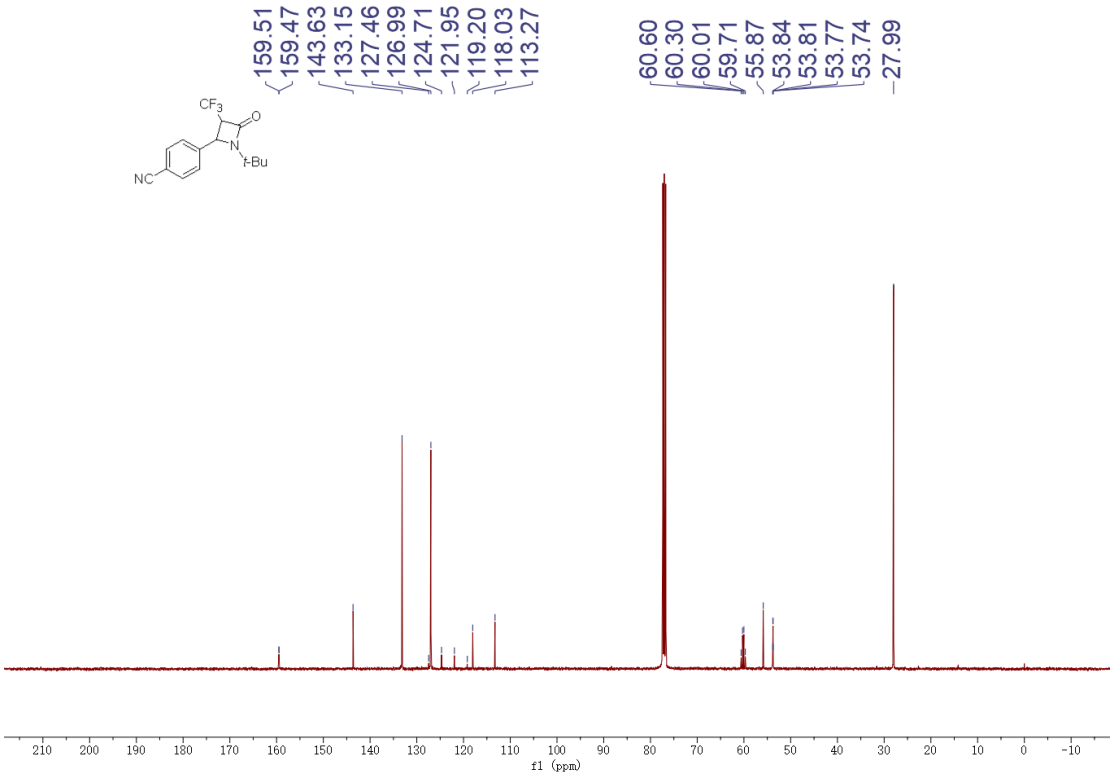



$^{1}H$ NMR spectra of **3n** in $CDCl_3$

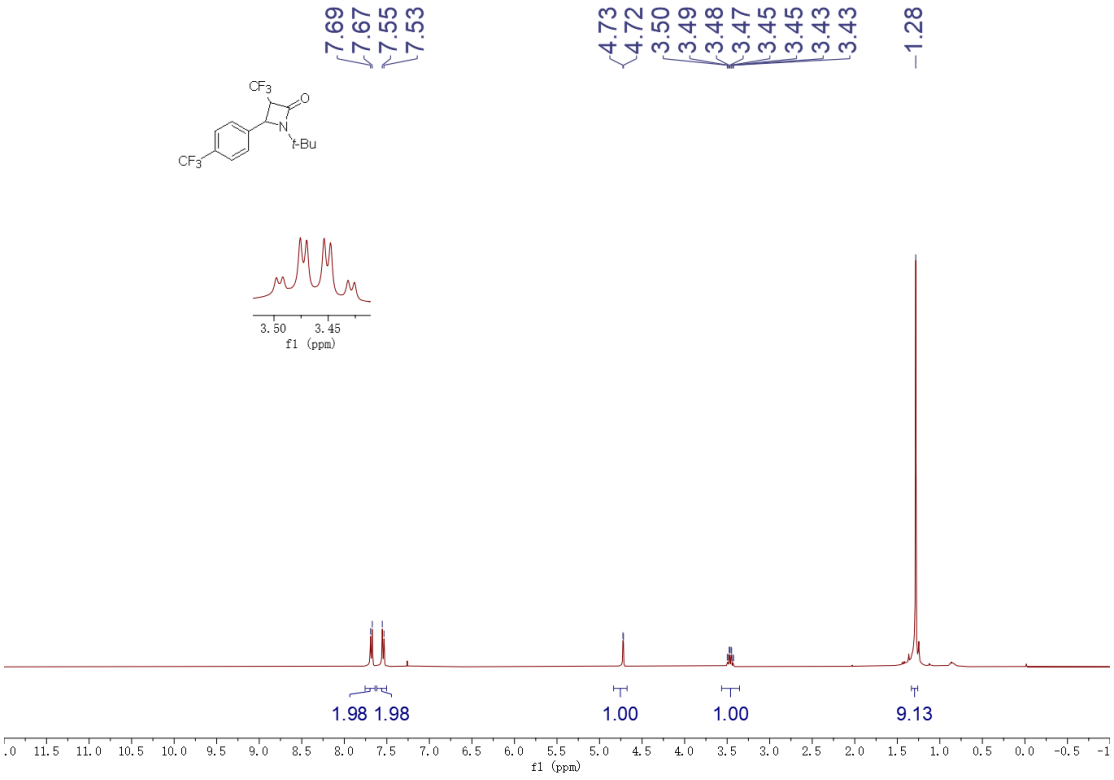


$^{19}F$ NMR spectra of **3n** in $CDCl_3$

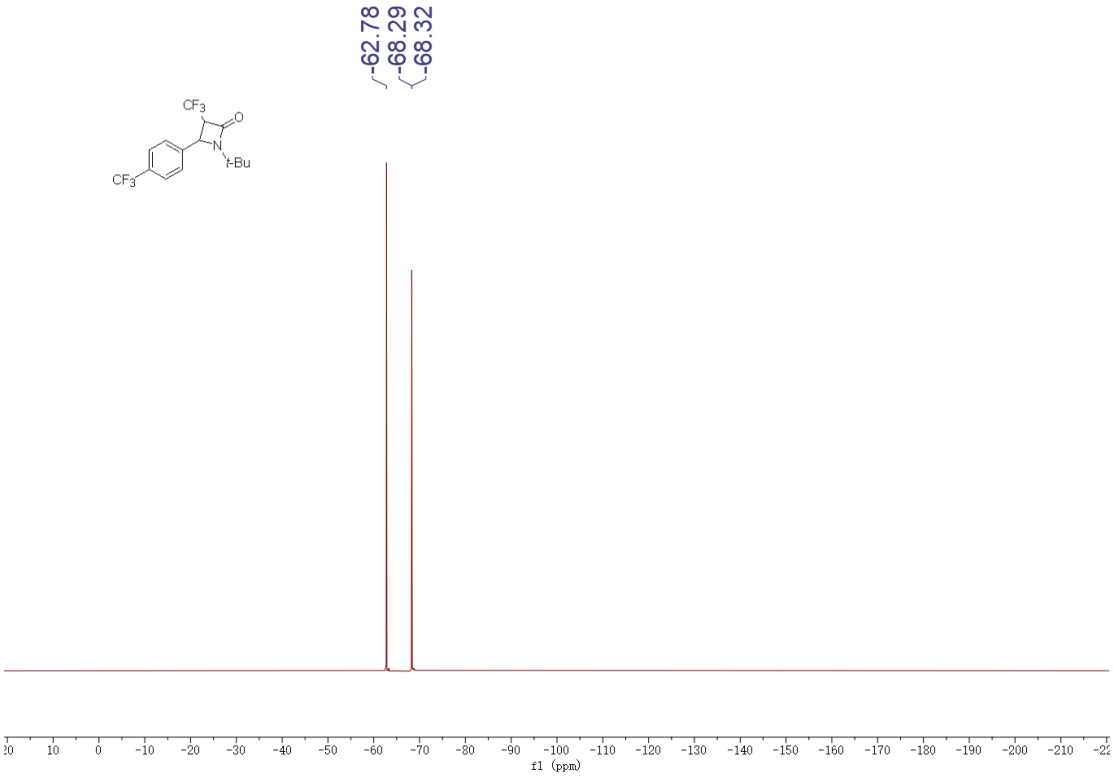

$^{13}C\{^{1}H\}$ NMR spectra of **3n** in $CDCl_3$



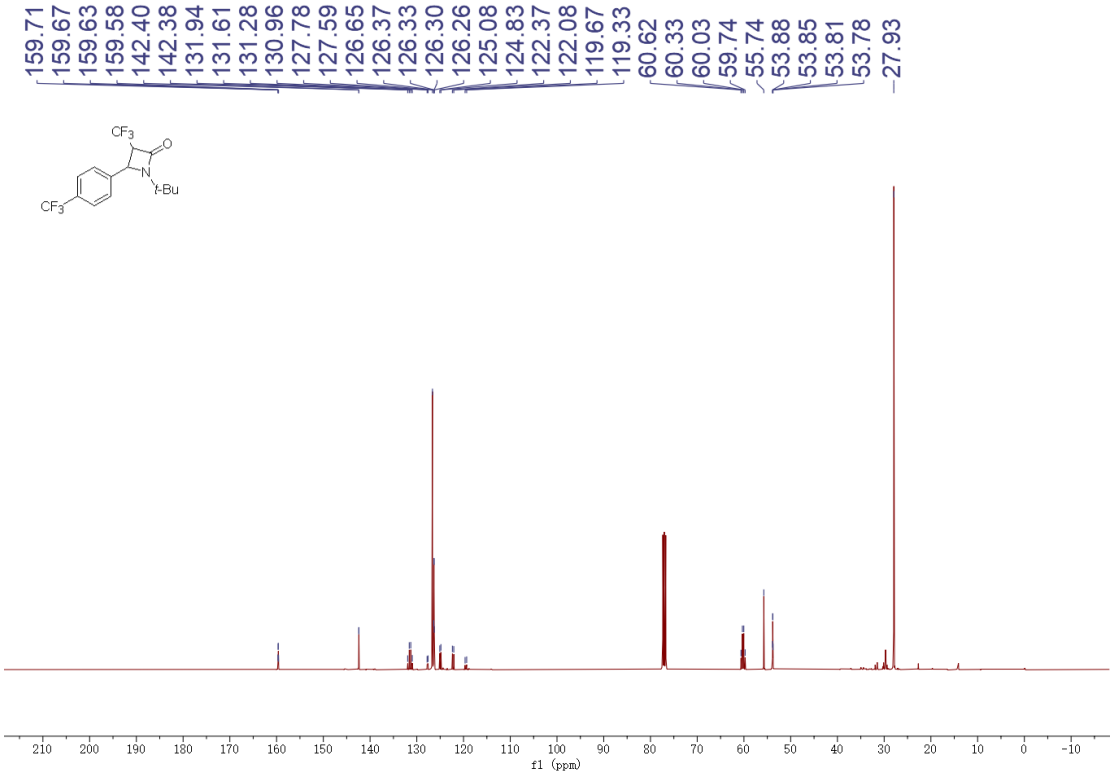
$^{1}$H NMR spectra of **3o** in $CDCl_3$



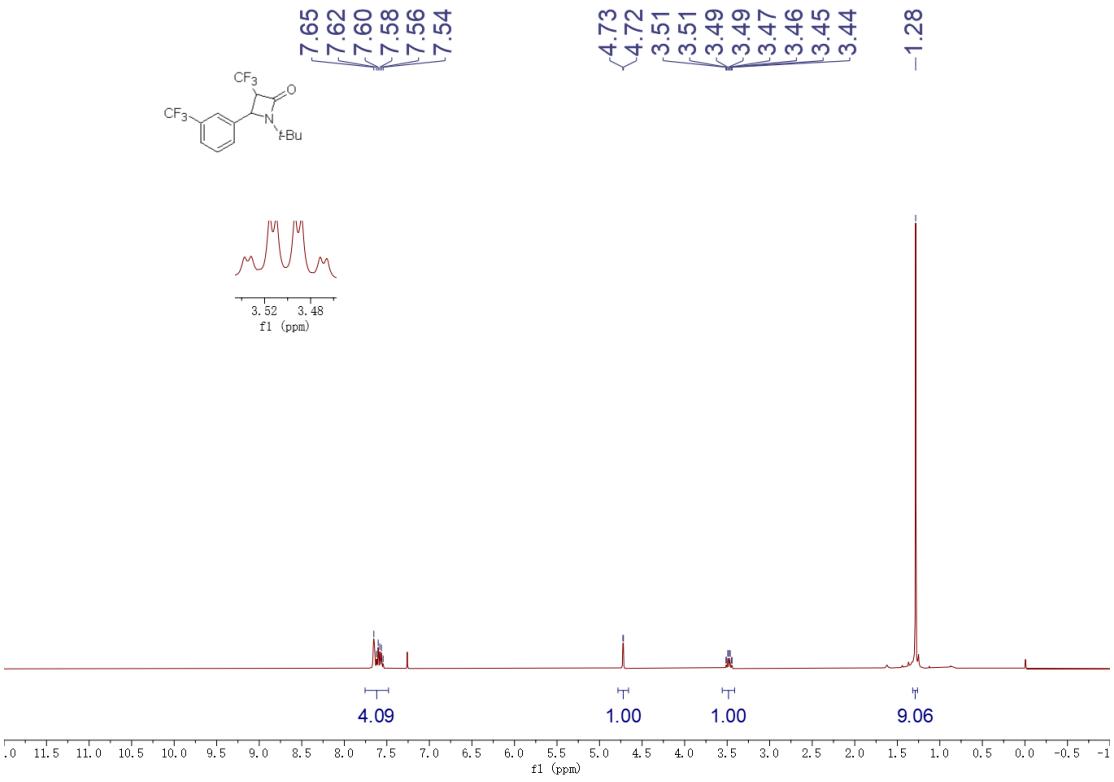

$^{19}$F NMR spectra of **3o** in $CDCl_3$



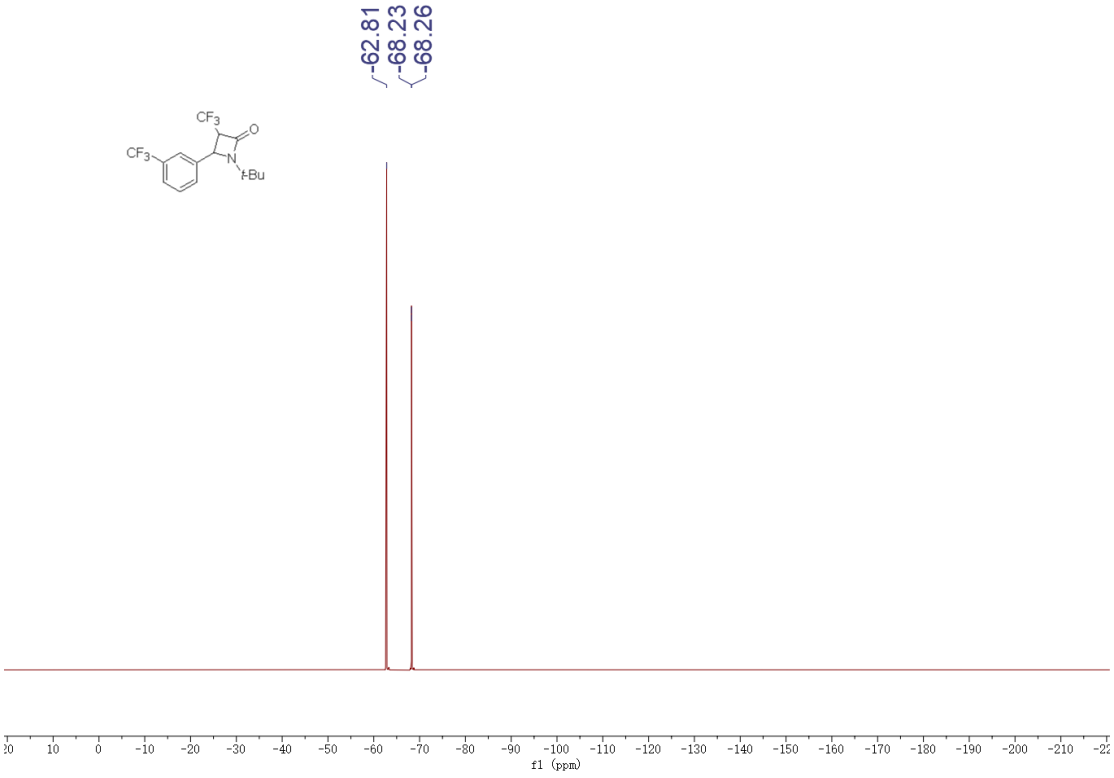
$^{13}C\{^{1}H\}$ NMR spectra of **3o** in $CDCl_3$



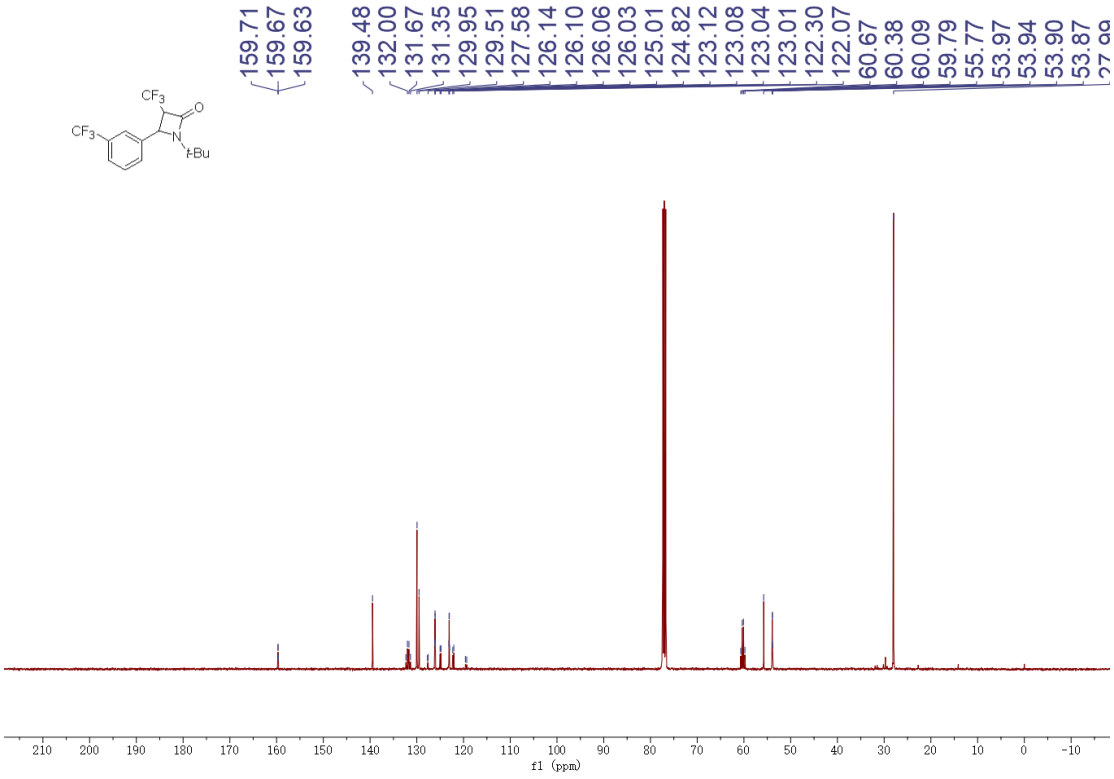

$^{1}H$ NMR spectra of **3p** in $CDCl_3$



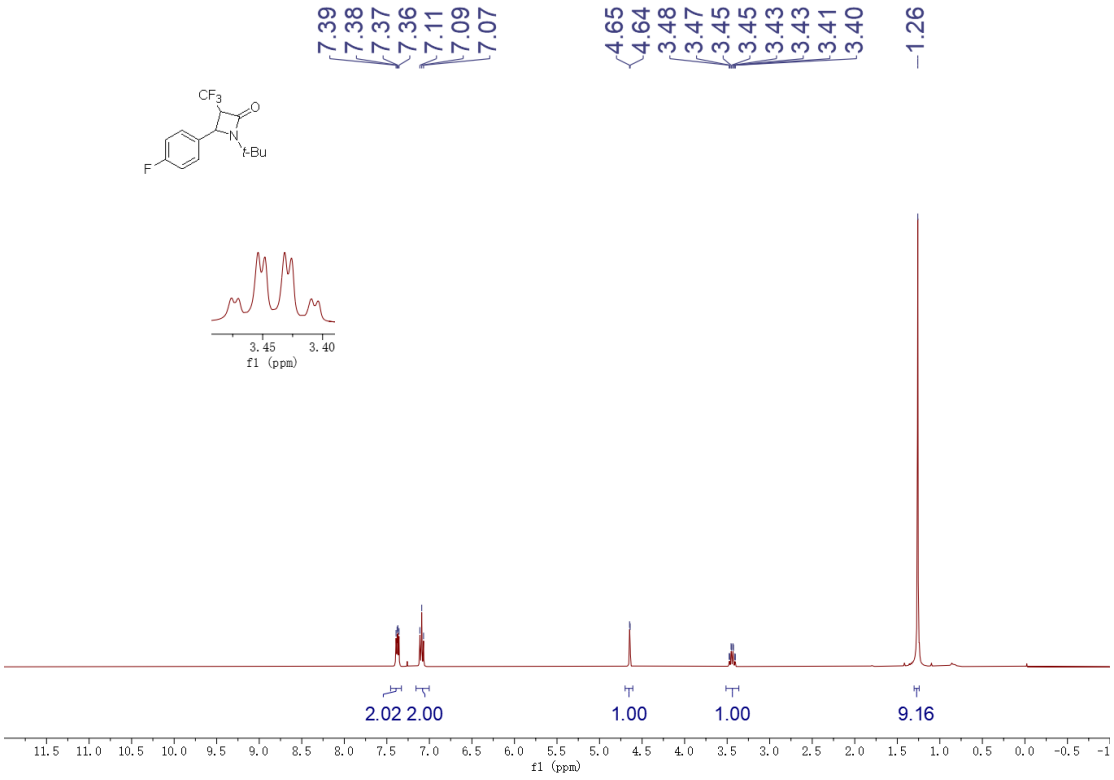
$^{19}F$ NMR spectra of **3p** in $CDCl_3$



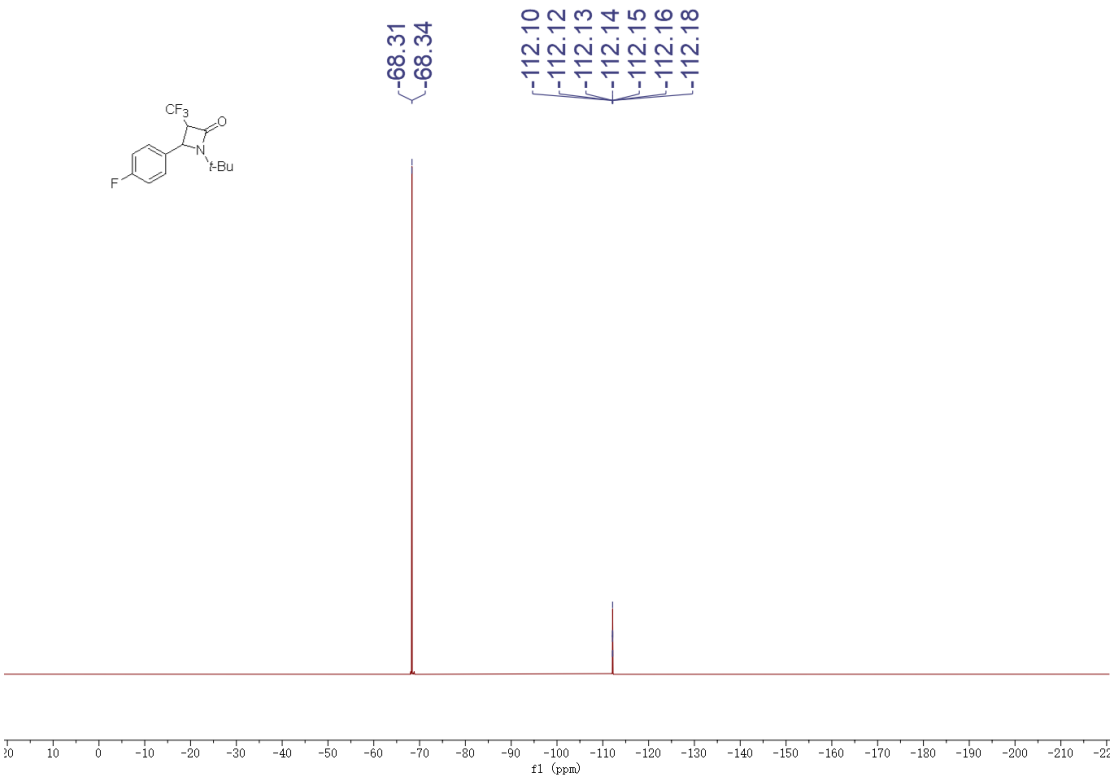

$^{13}C\{^1H\}$ NMR spectra of **3p** in $CDCl_3$



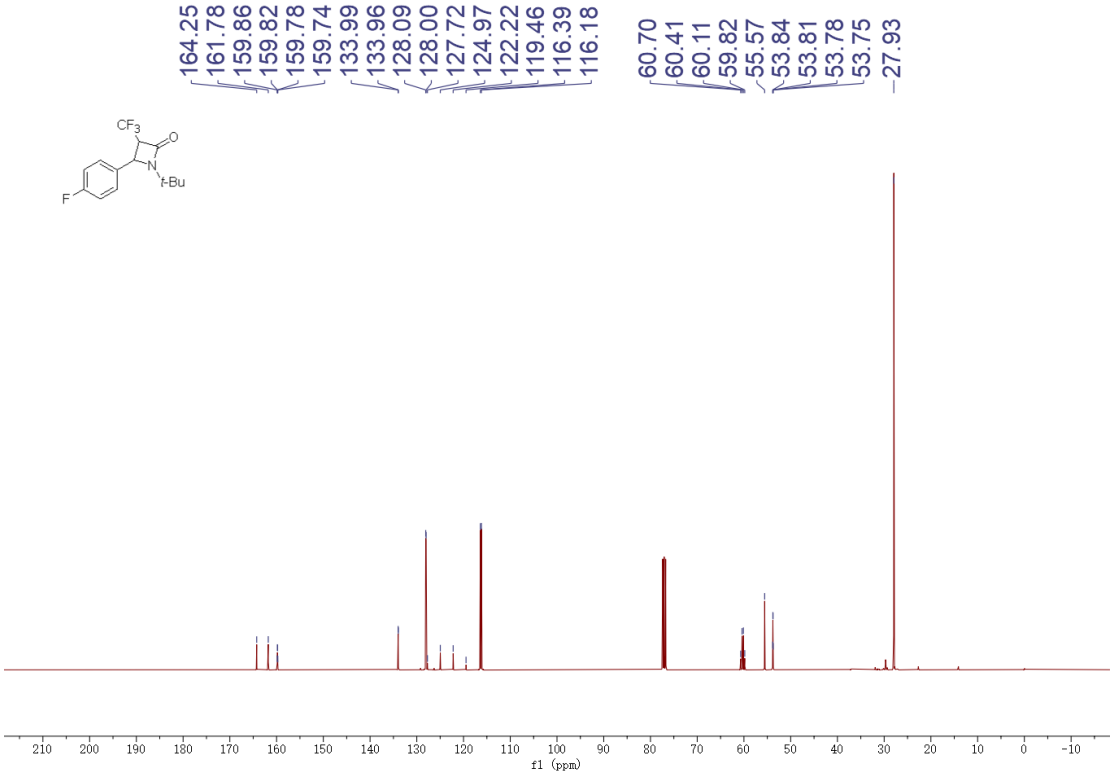
$^{1}$H NMR spectra of **3q** in $CDCl_3$



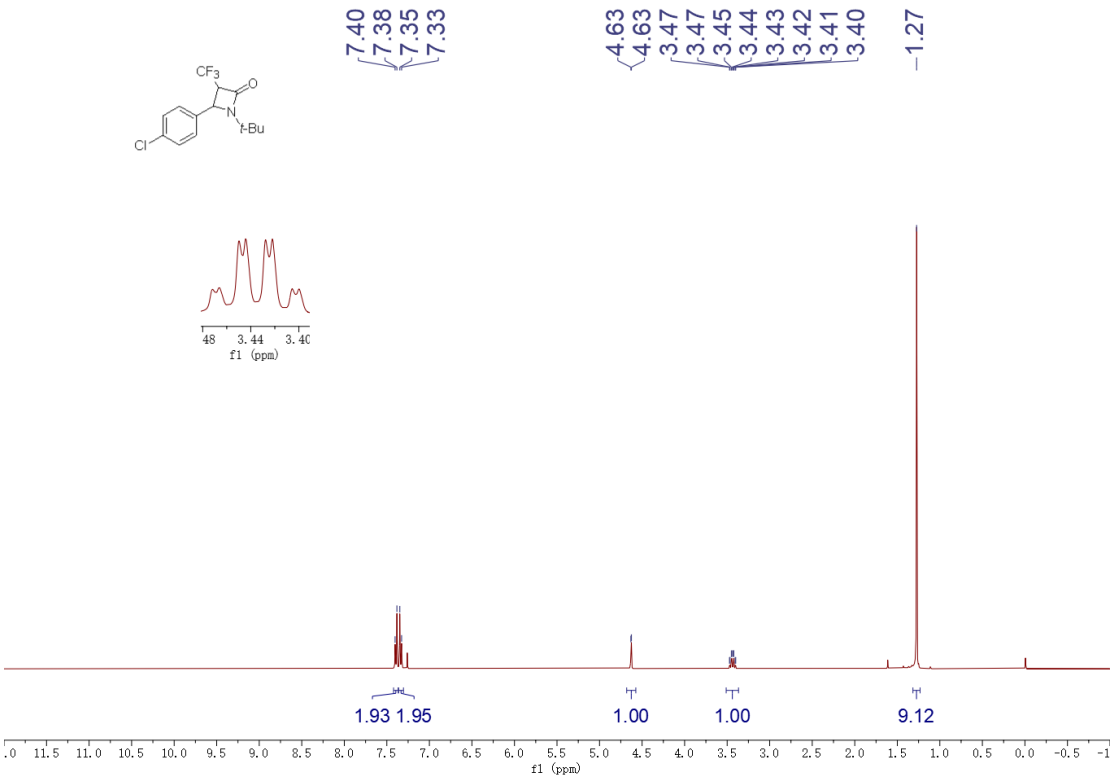

$^{19}$F NMR spectra of **3q** in $CDCl_3$



$^{13}C\{^{1}H\}$ NMR spectra of **3q** in $CDCl_3$

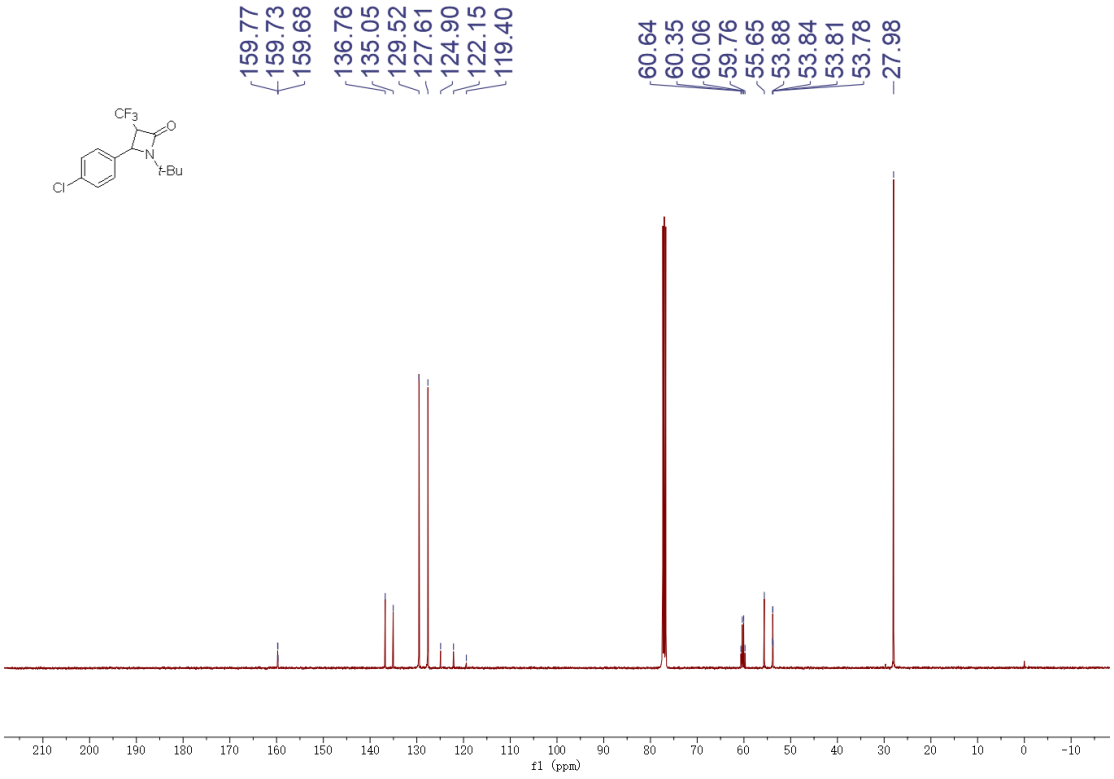



$^{1}H$ NMR spectra of **3r** in $CDCl_3$

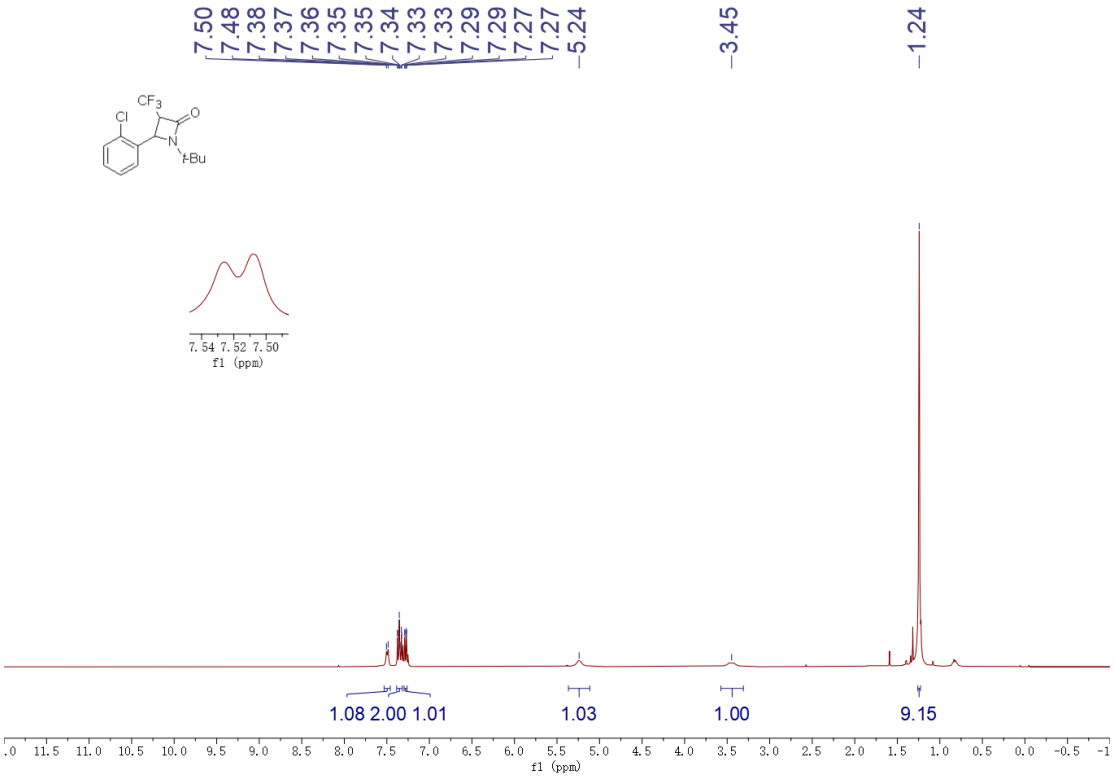


$^{19}F$ NMR spectra of **3r** in $CDCl_3$

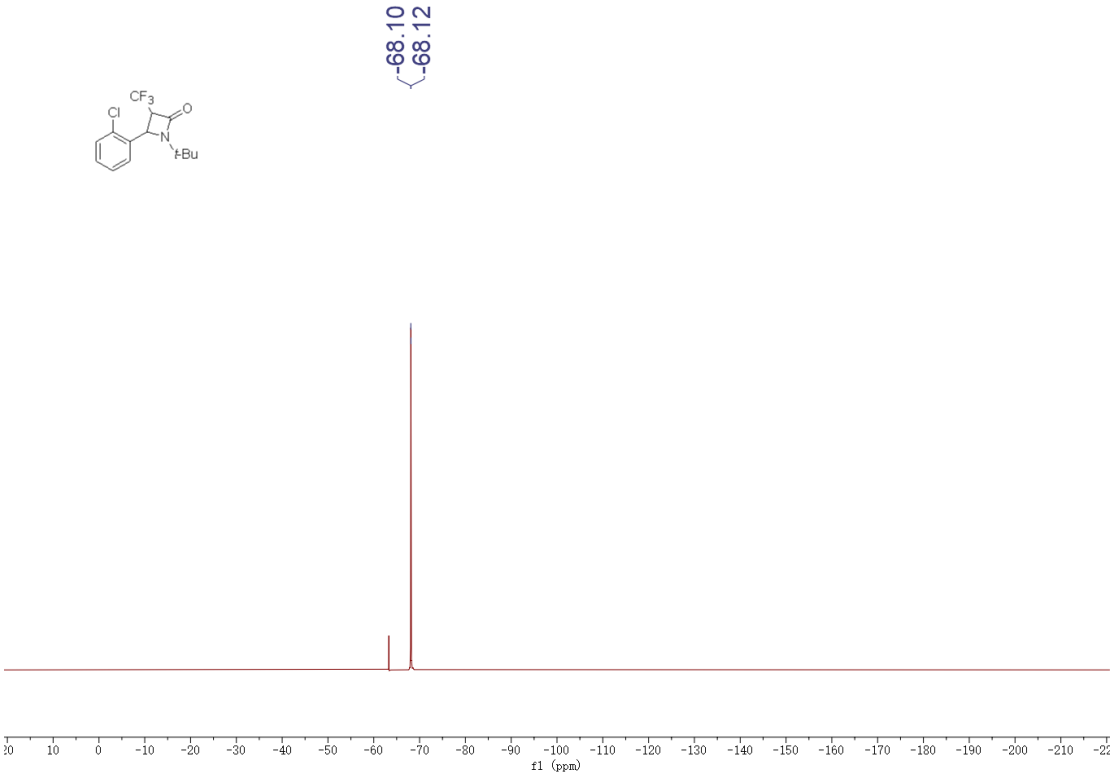

$^{13}C\{^1H\}$ NMR spectra of **3r** in $CDCl_3$



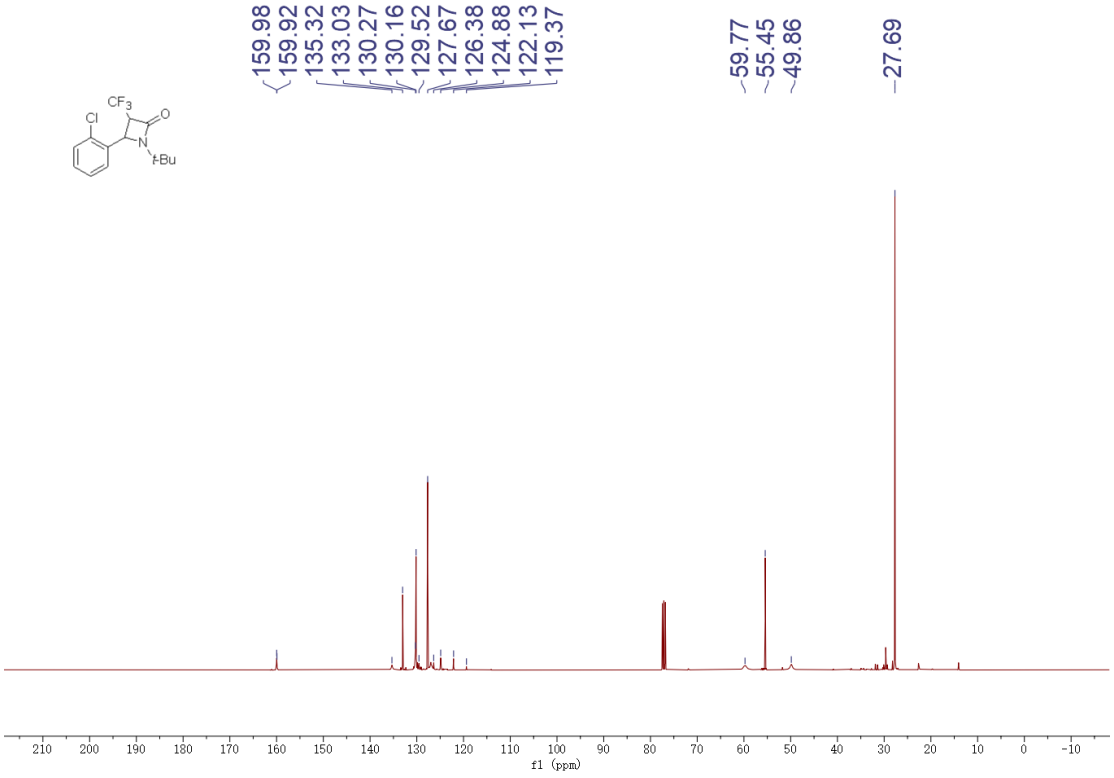
$^{1}$H NMR spectra of **3s** in $CDCl_3$



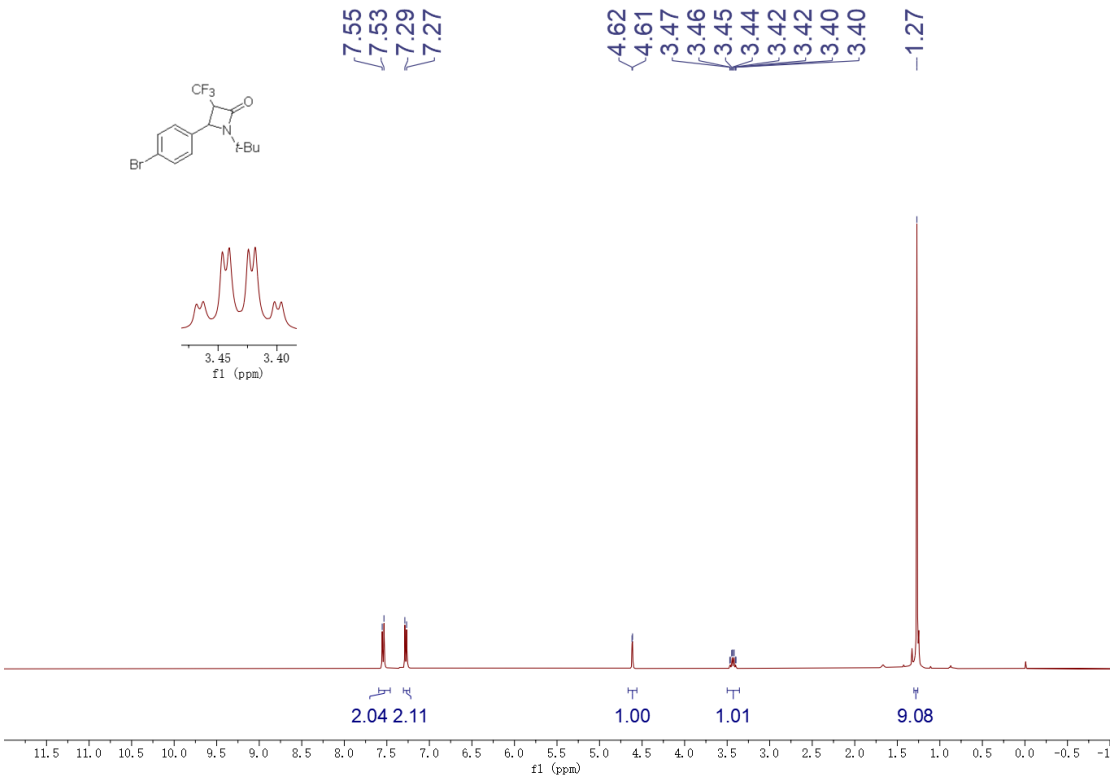

$^{19}$F NMR spectra of **3s** in $CDCl_3$



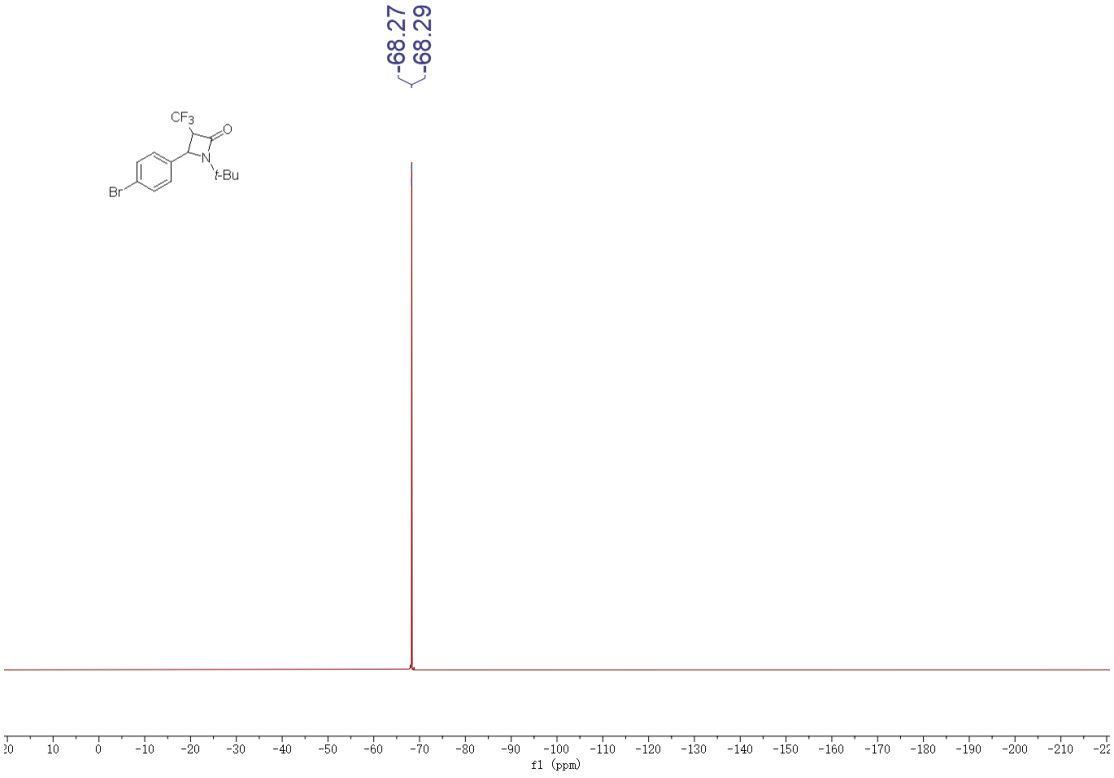
$^{13}C\{^{1}H\}$ NMR spectra of **3s** in $CDCl_3$



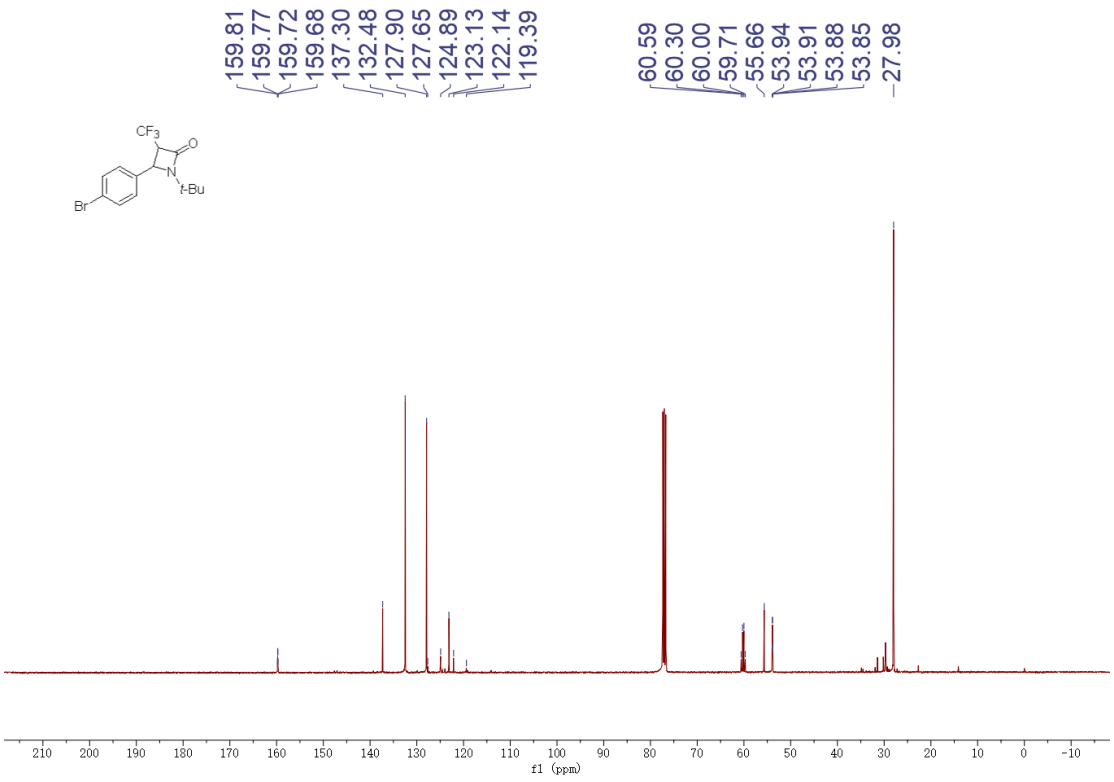

$^{1}H$ NMR spectra of **3t** in $CDCl_3$



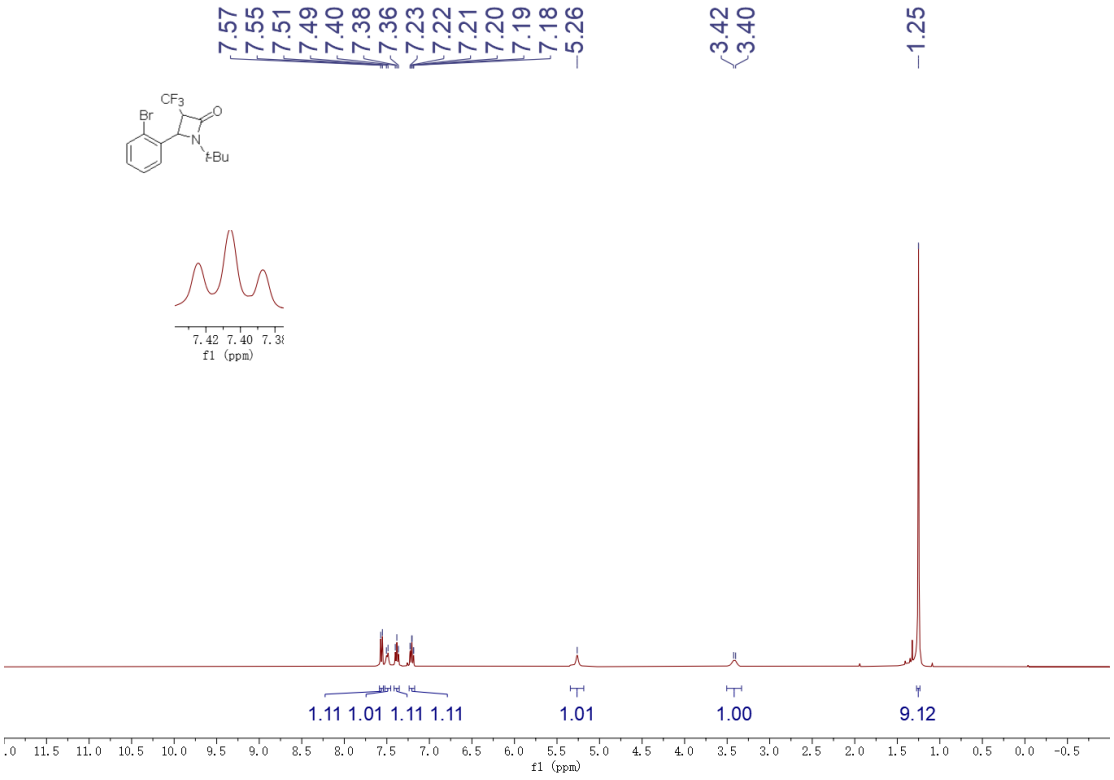
$^{19}F$ NMR spectra of **3t** in $CDCl_3$



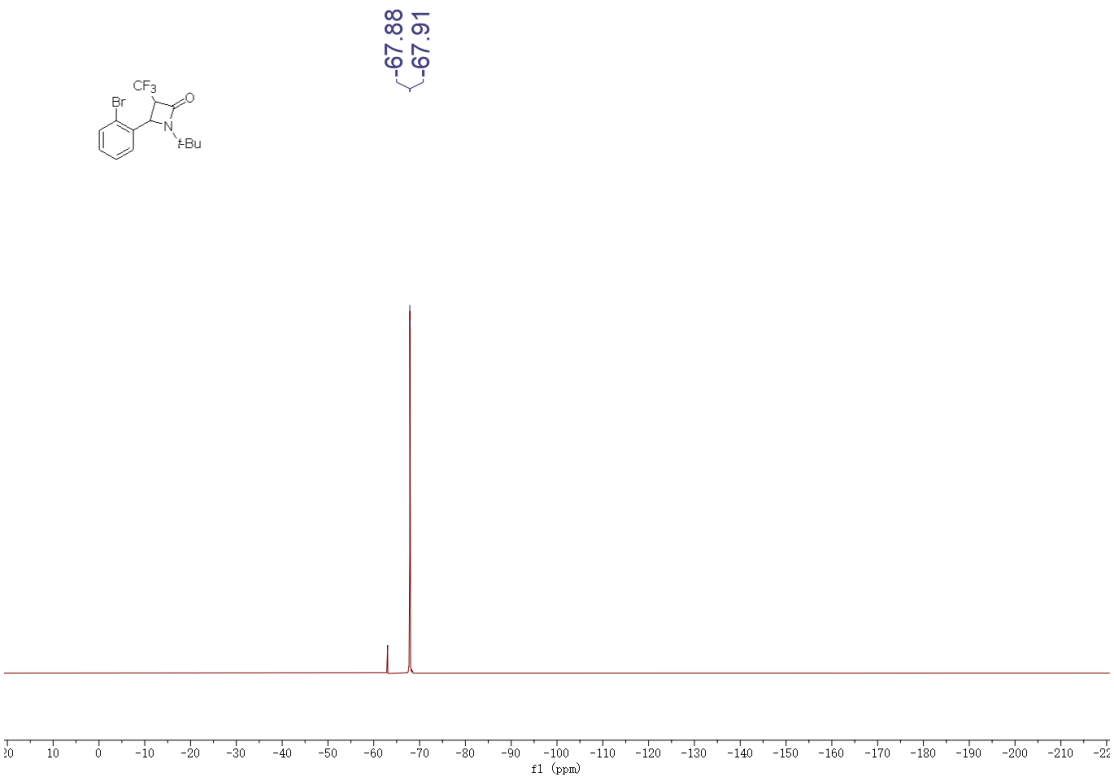

$^{13}C\{^1H\}$ NMR spectra of **3t** in $CDCl_3$



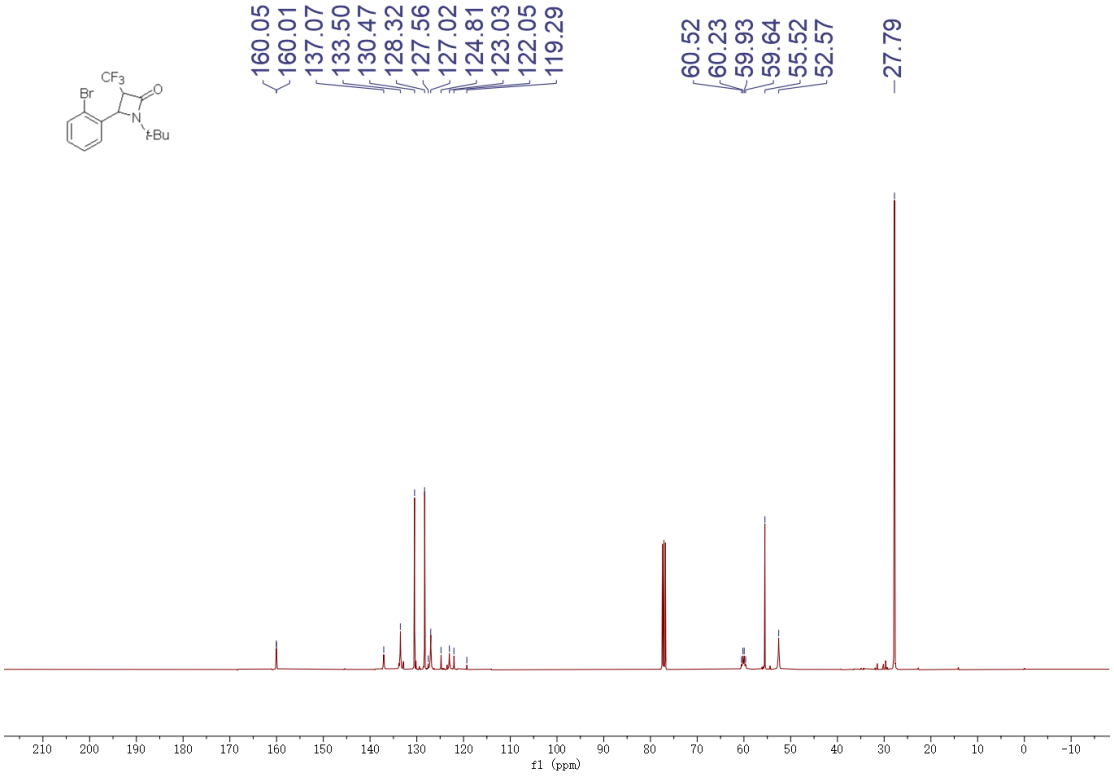
$^{1}$H NMR spectra of **3u** in $CDCl_3$



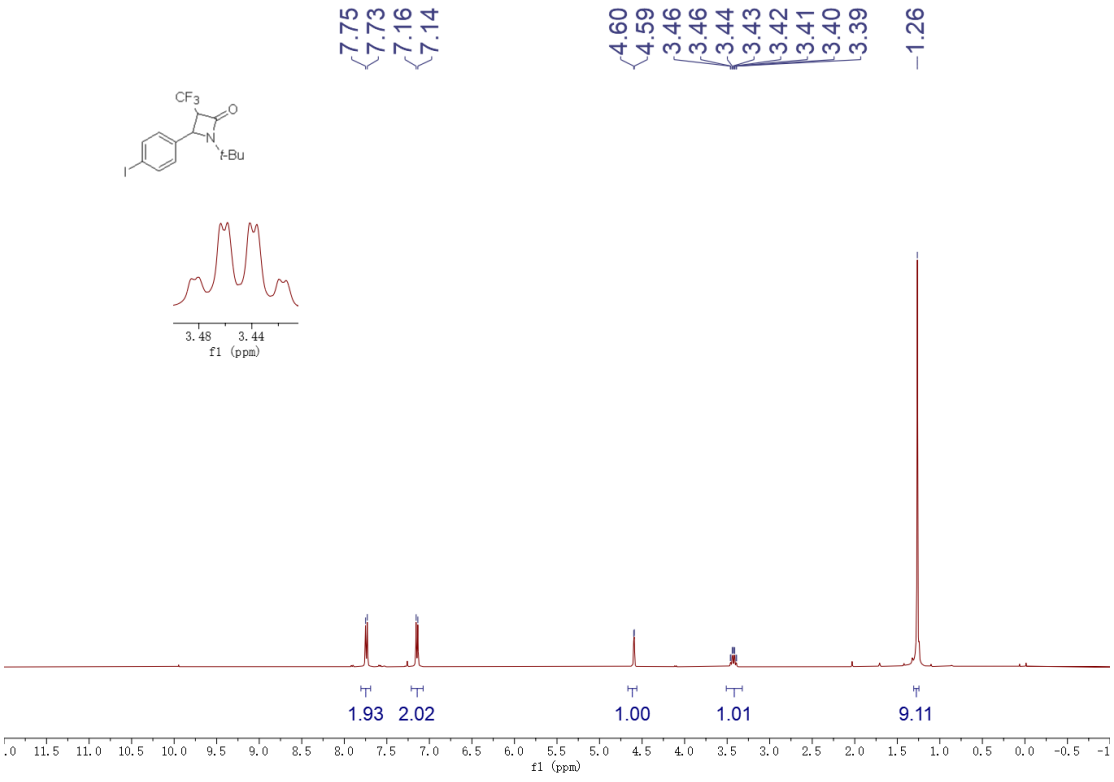

$^{19}$F NMR spectra of **3u** in $CDCl_3$



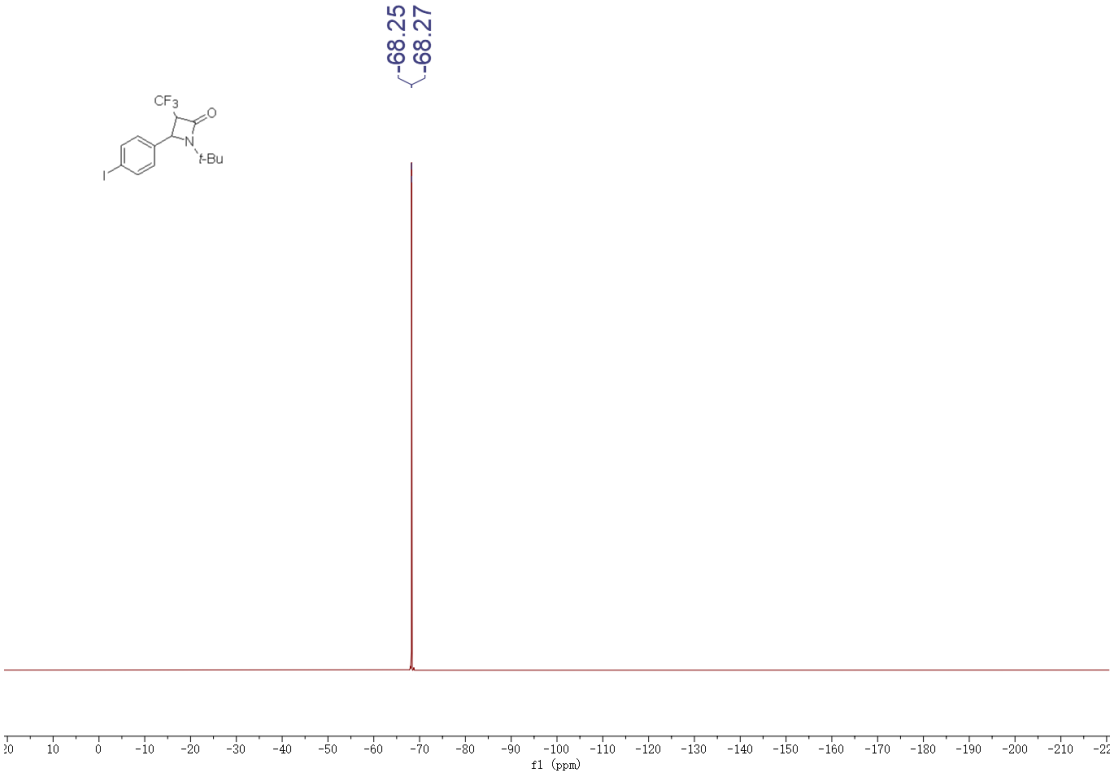
$^{13}C\{^{1}H\}$ NMR spectra of **3u** in $CDCl_3$



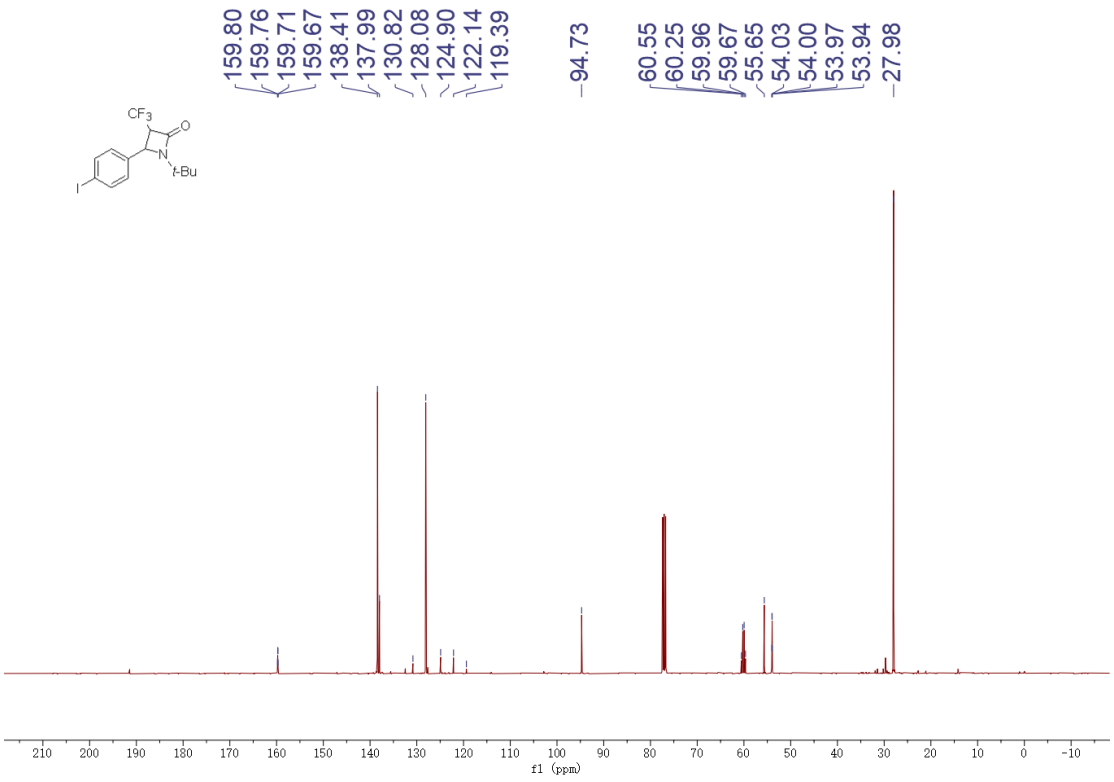

$^{1}H$ NMR spectra of **3v** in $CDCl_3$



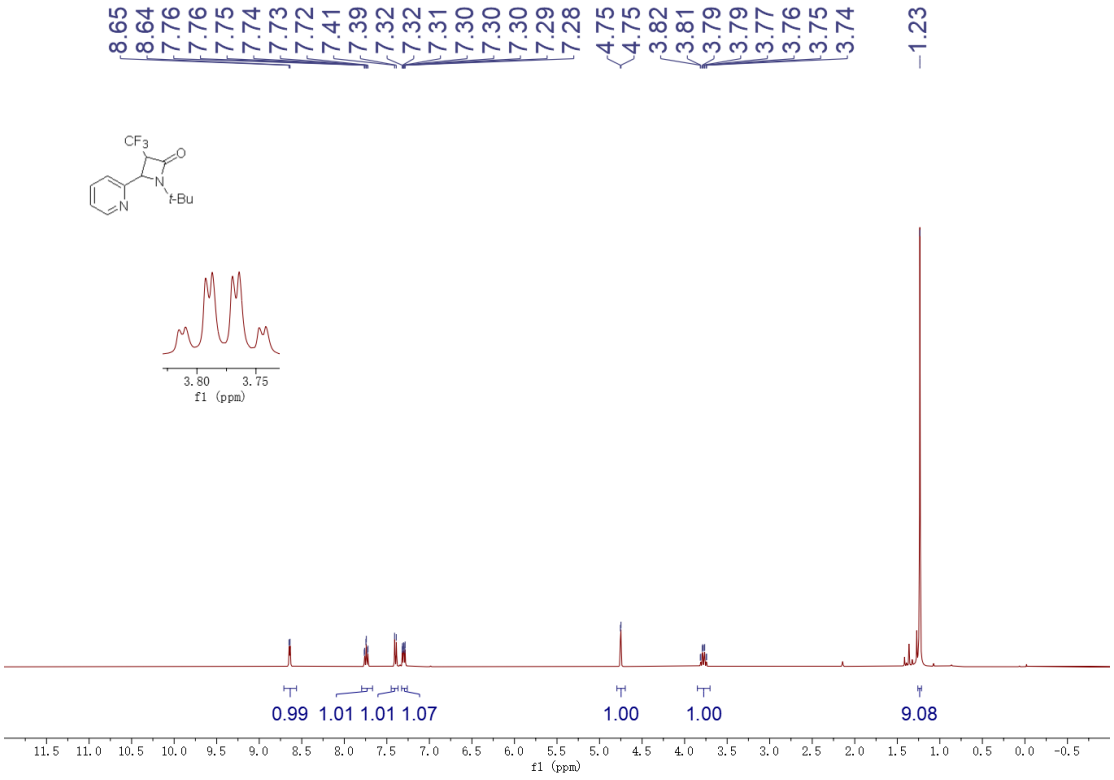
$^{19}F$ NMR spectra of **3v** in $CDCl_3$



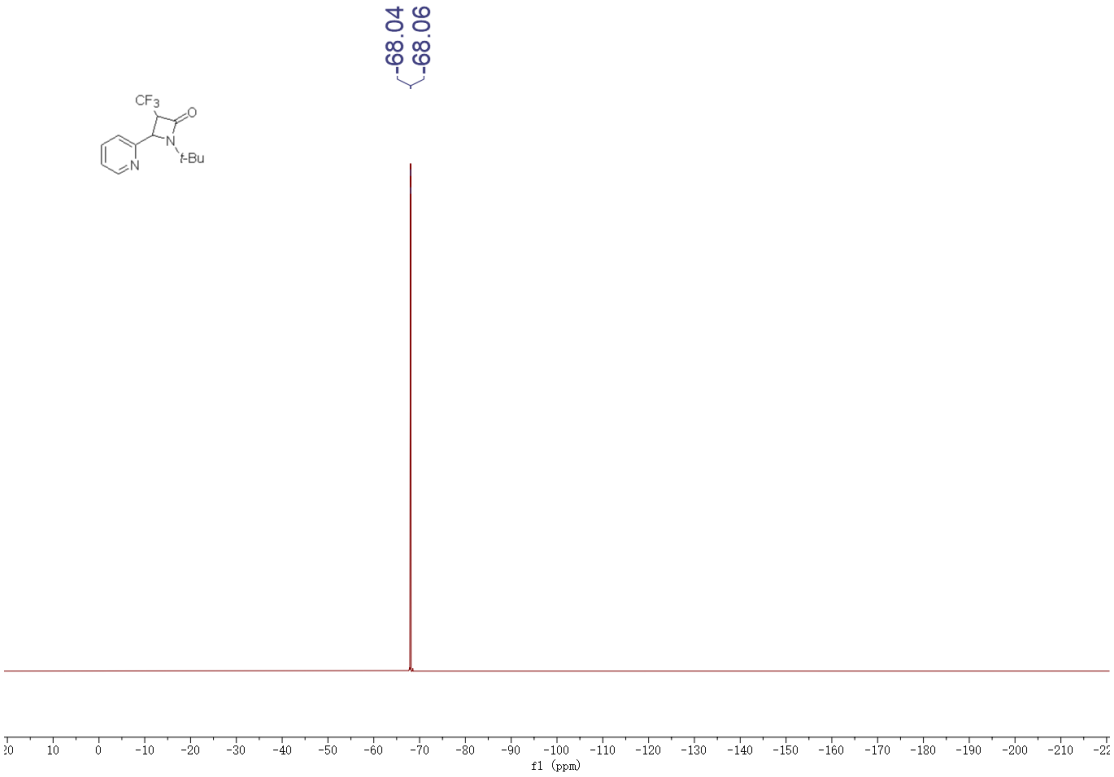

$^{13}C\{^1H\}$ NMR spectra of **3v** in $CDCl_3$



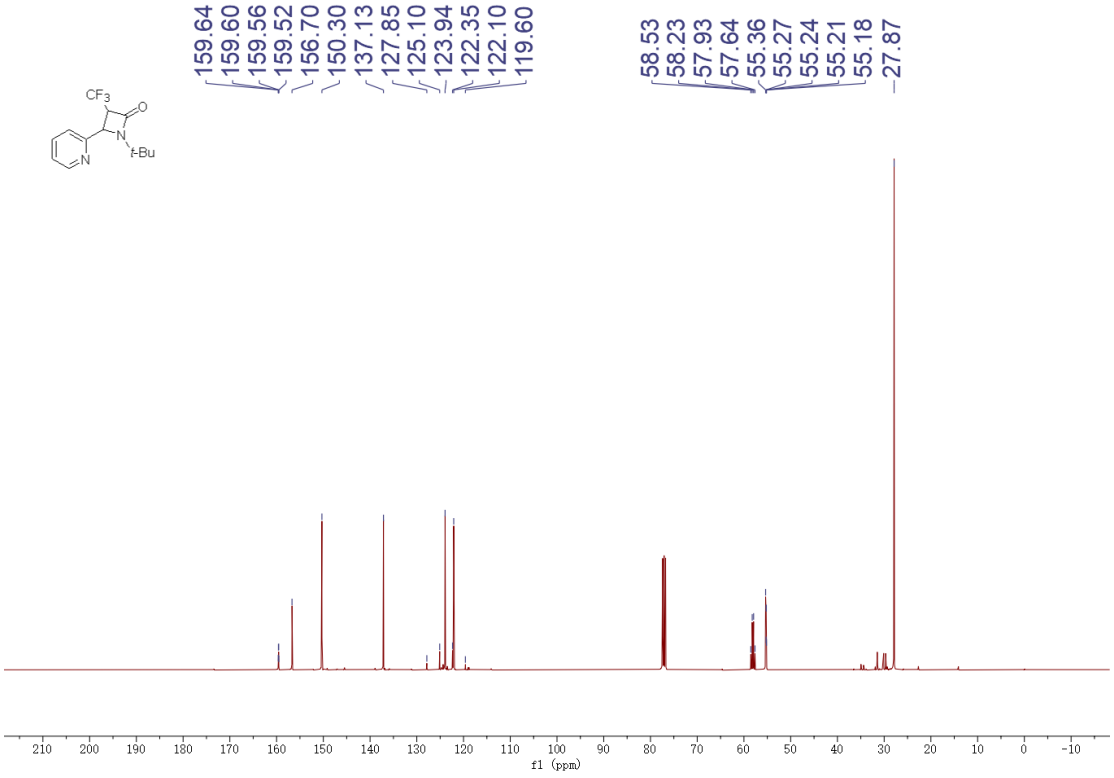
$^{1}$H NMR spectra of **3w** in $CDCl_3$



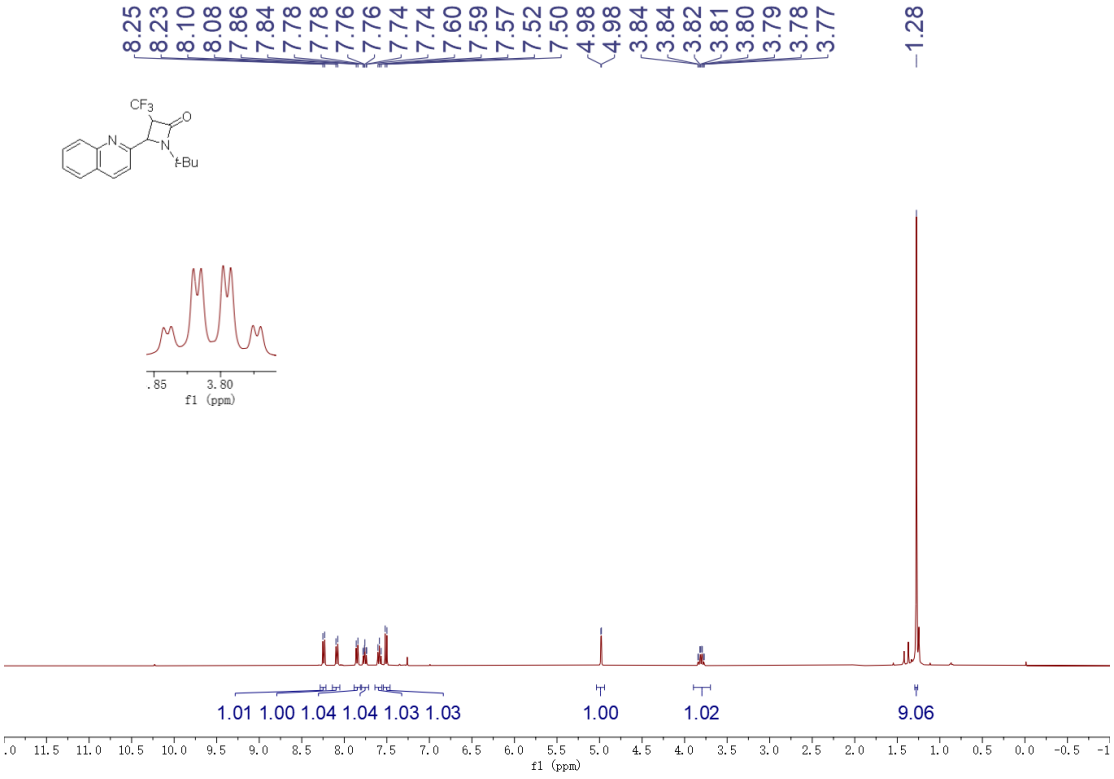

$^{19}$F NMR spectra of **3w** in $CDCl_3$



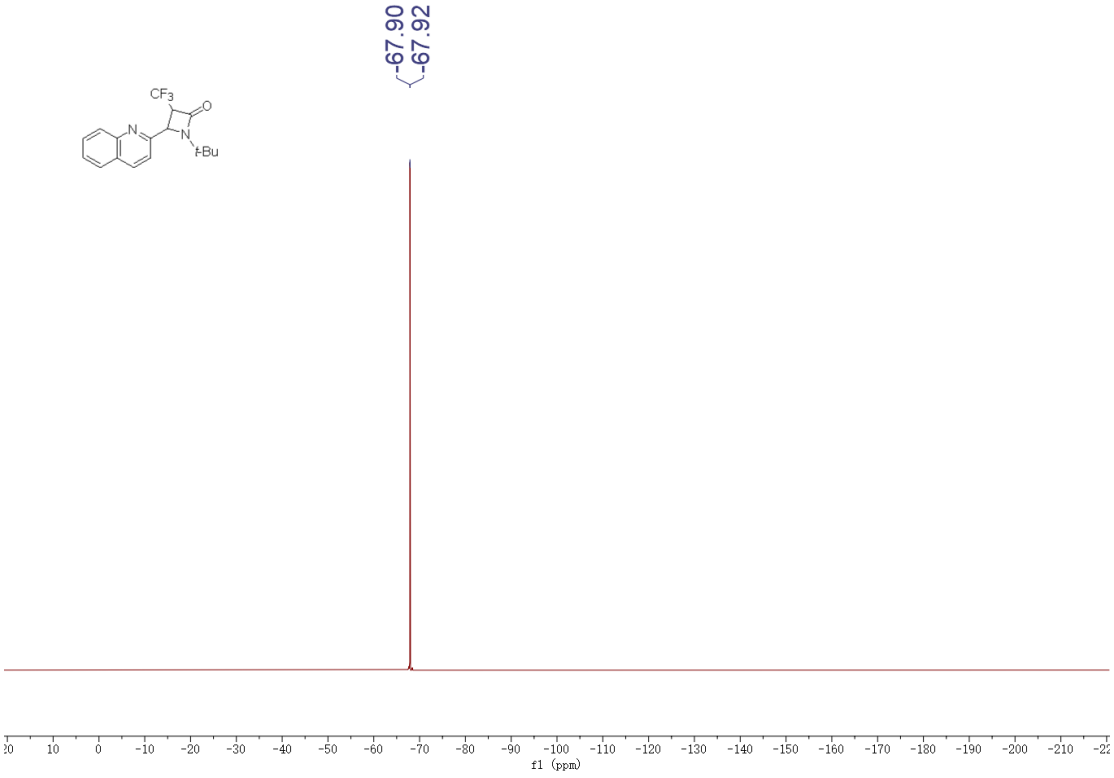
$^{13}C\{^{1}H\}$ NMR spectra of **3w** in $CDCl_3$



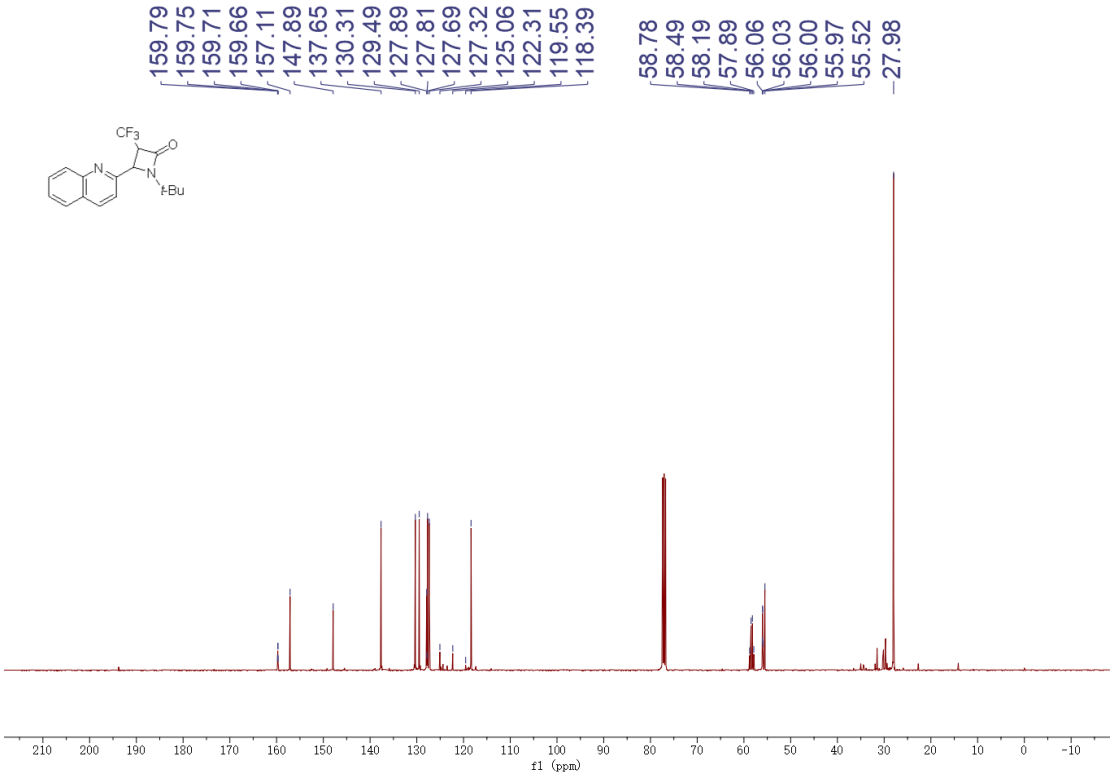

$^{1}H$ NMR spectra of **3x** in $CDCl_3$



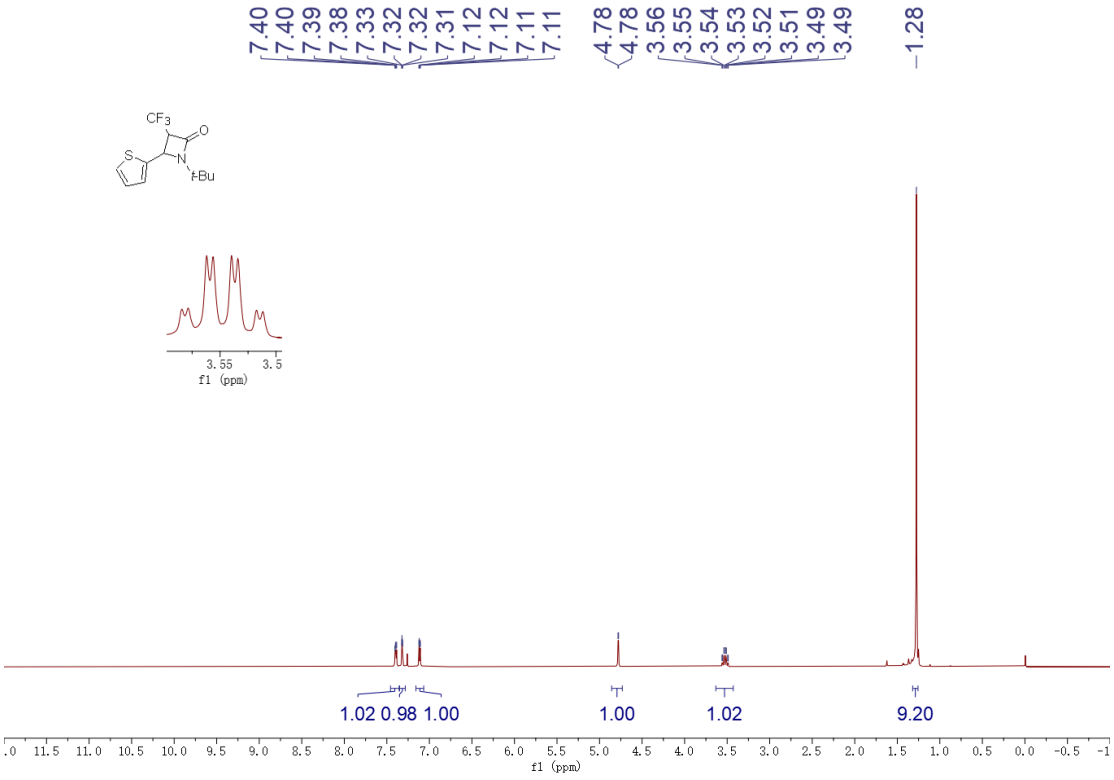
$^{19}F$ NMR spectra of **3x** in $CDCl_3$



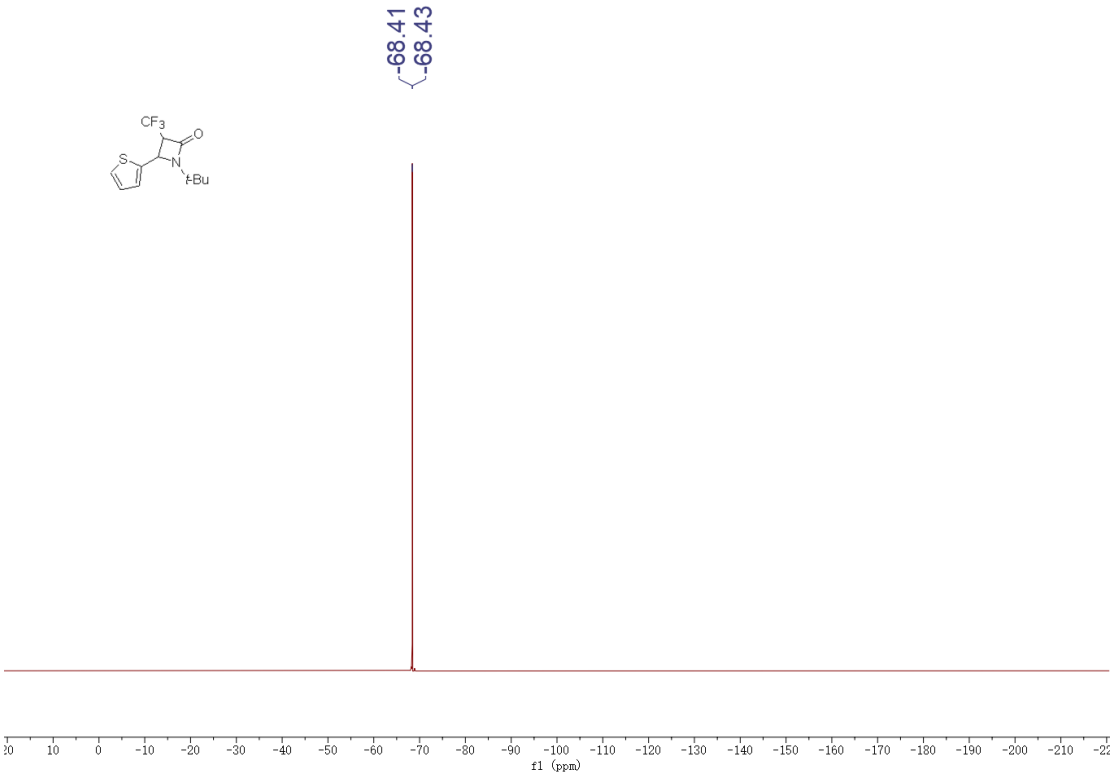

$^{13}C\{^1H\}$ NMR spectra of **3x** in $CDCl_3$



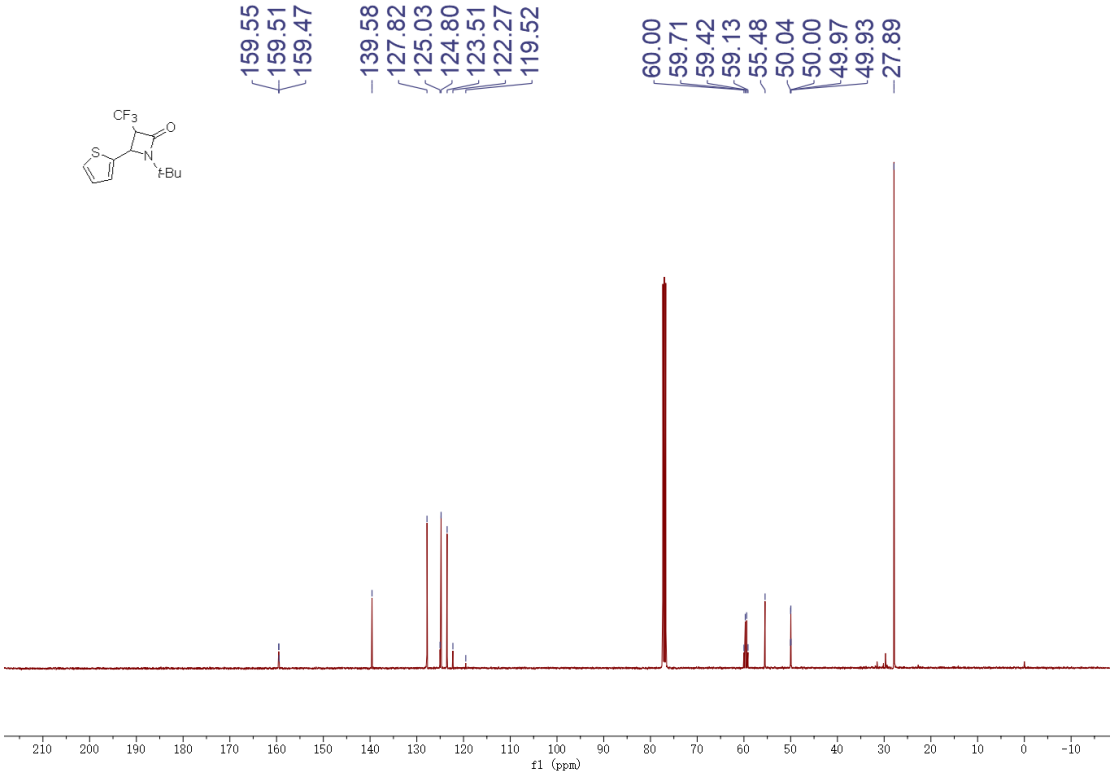
$^{1}$H NMR spectra of **3y** in $CDCl_3$



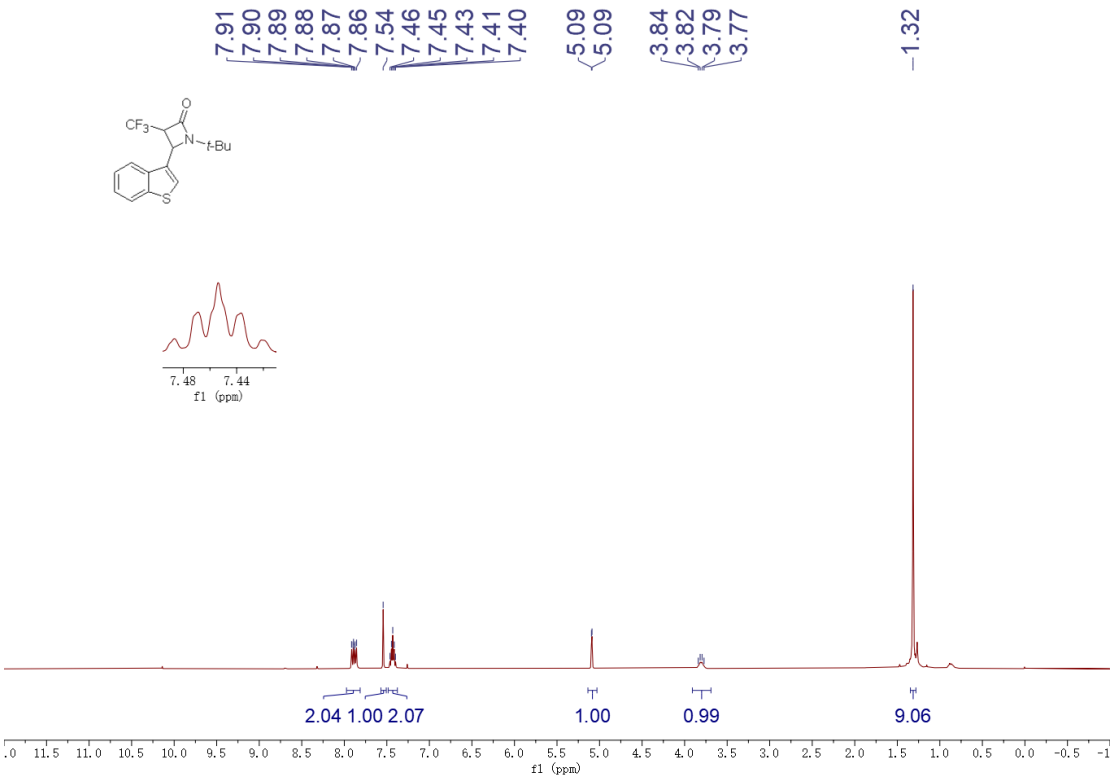

$^{19}$F NMR spectra of **3y** in $CDCl_3$



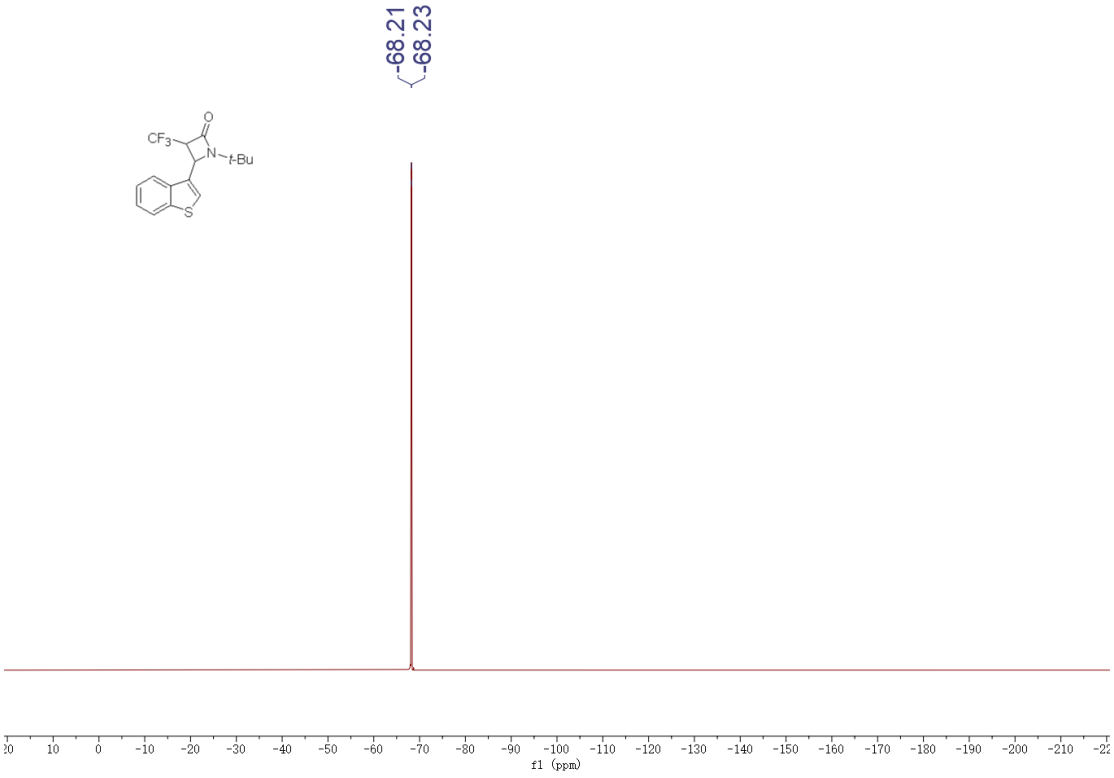
$^{13}C\{^{1}H\}$ NMR spectra of **3y** in $CDCl_3$



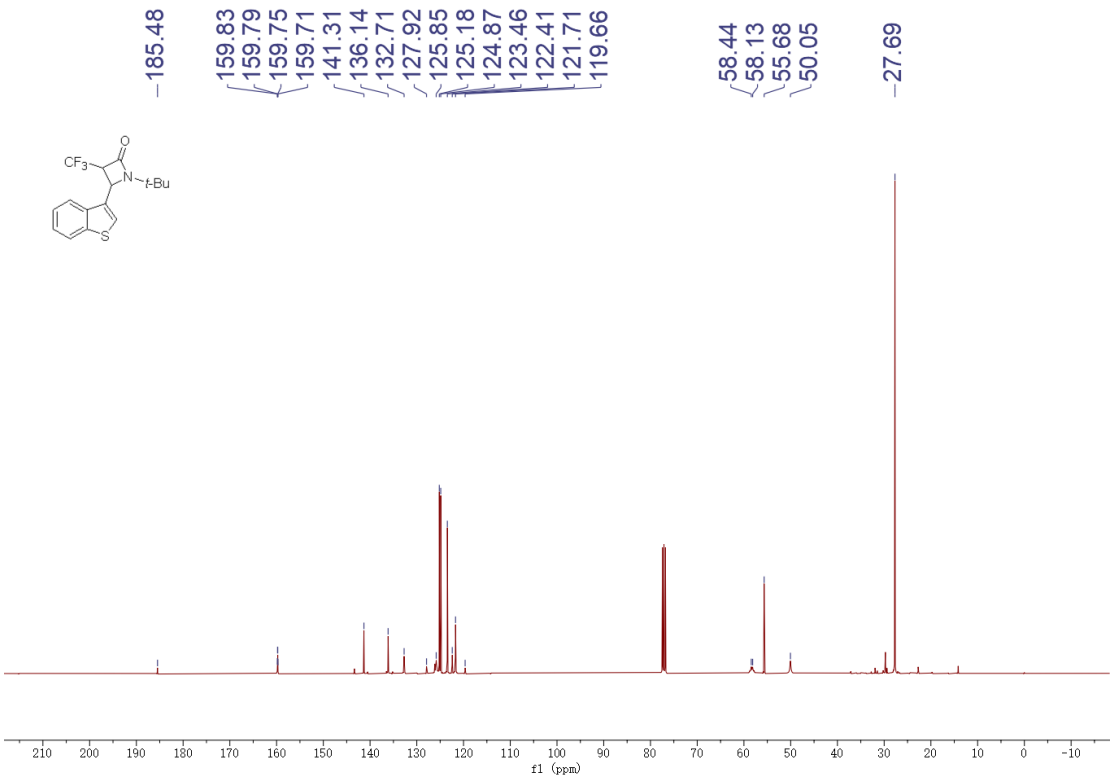

$^{1}H$ NMR spectra of **3z** in $CDCl_3$



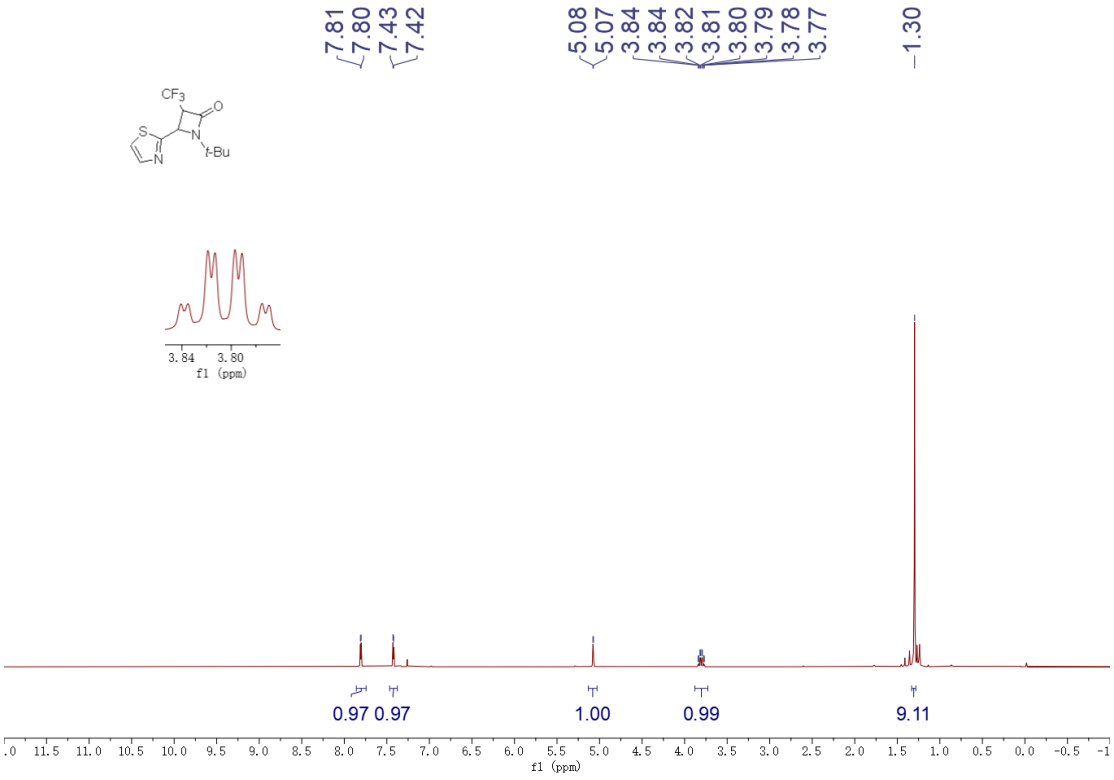
$^{19}F$ NMR spectra of **3z** in $CDCl_3$



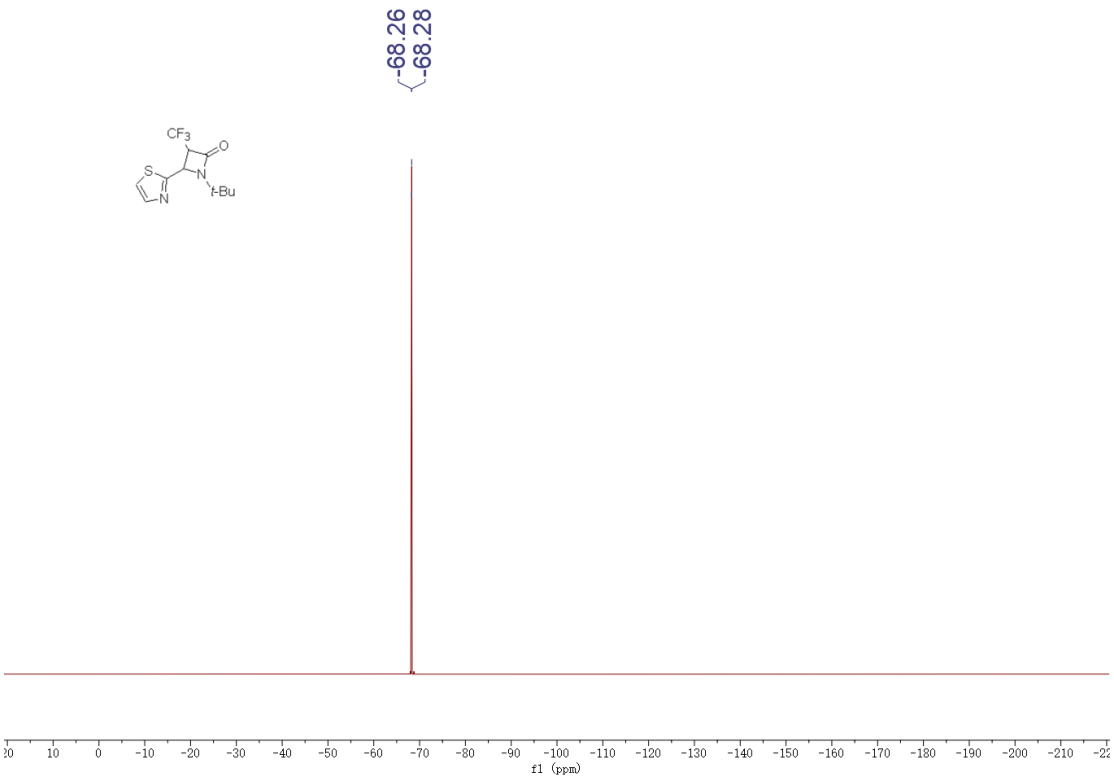

$^{13}C\{^1H\}$ NMR spectra of **3z** in $CDCl_3$



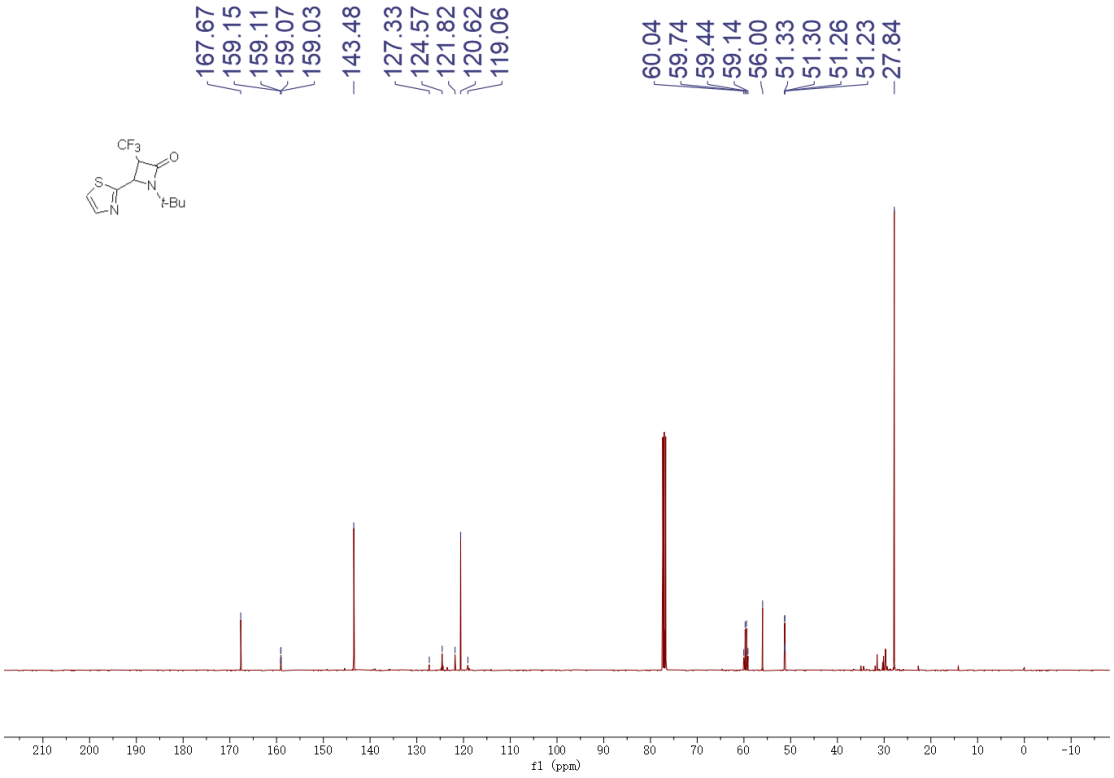
$^{1}$H NMR spectra of **3aa** in $CDCl_3$



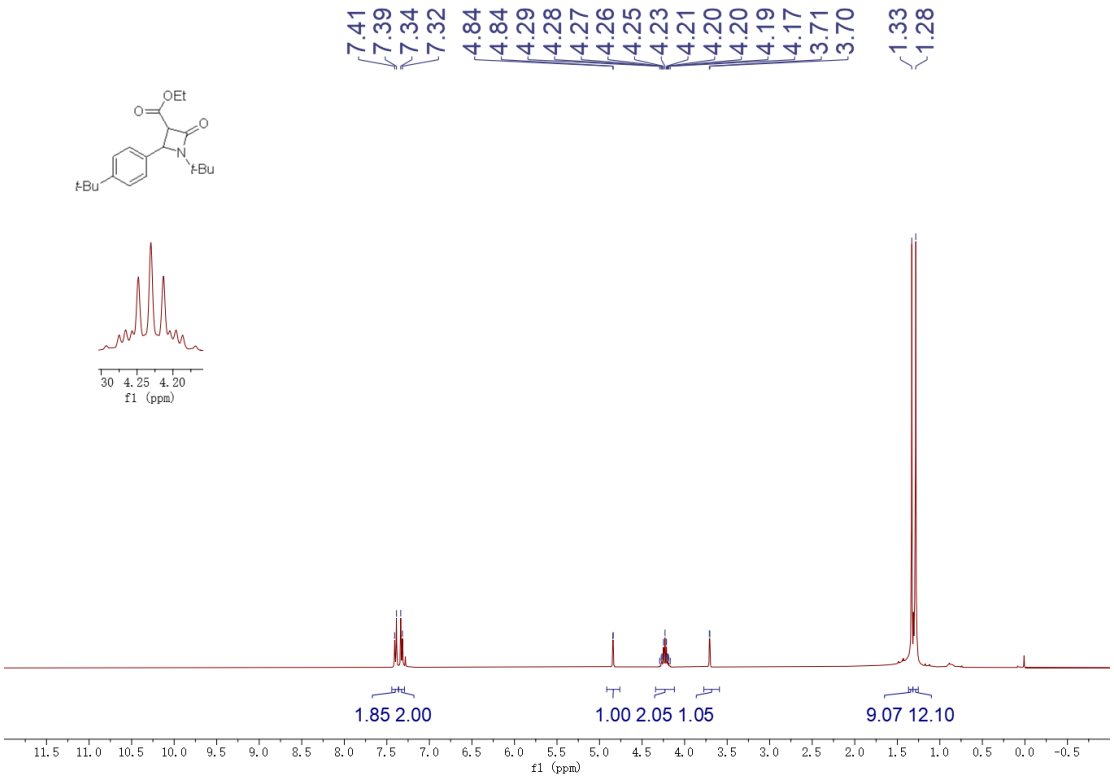

$^{19}$F NMR spectra of **3aa** in $CDCl_3$



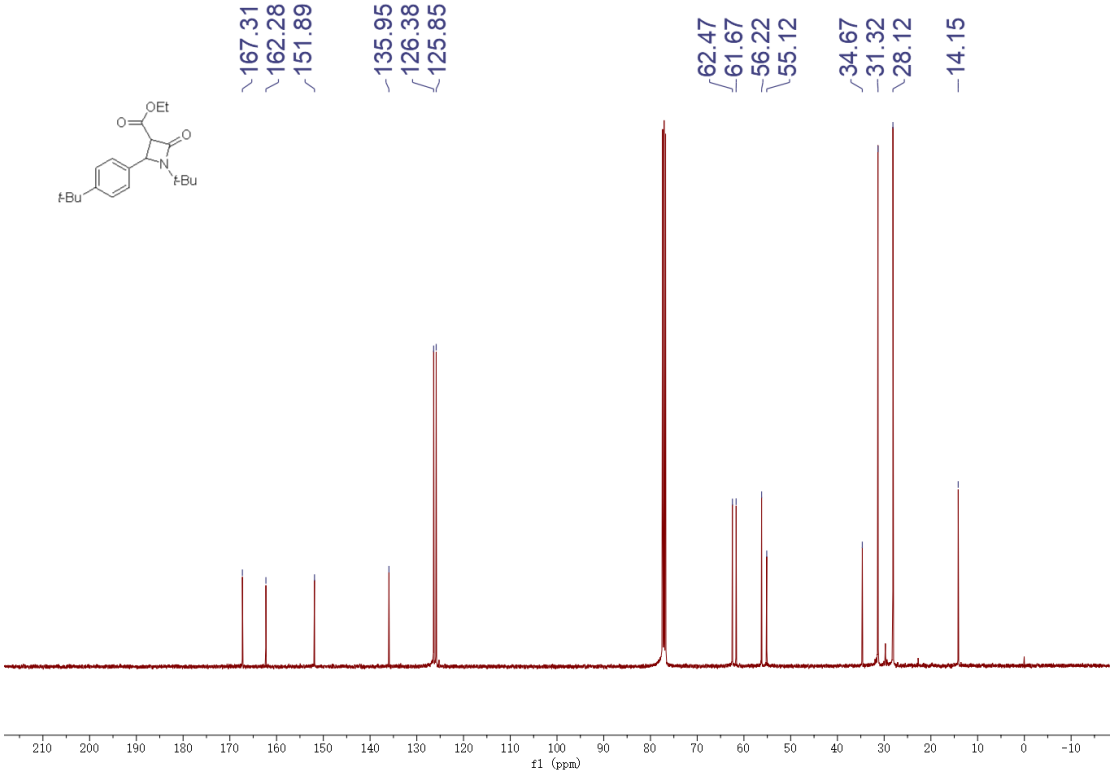
$^{13}C\{^{1}H\}$ NMR spectra of **3aa** in $CDCl_3$



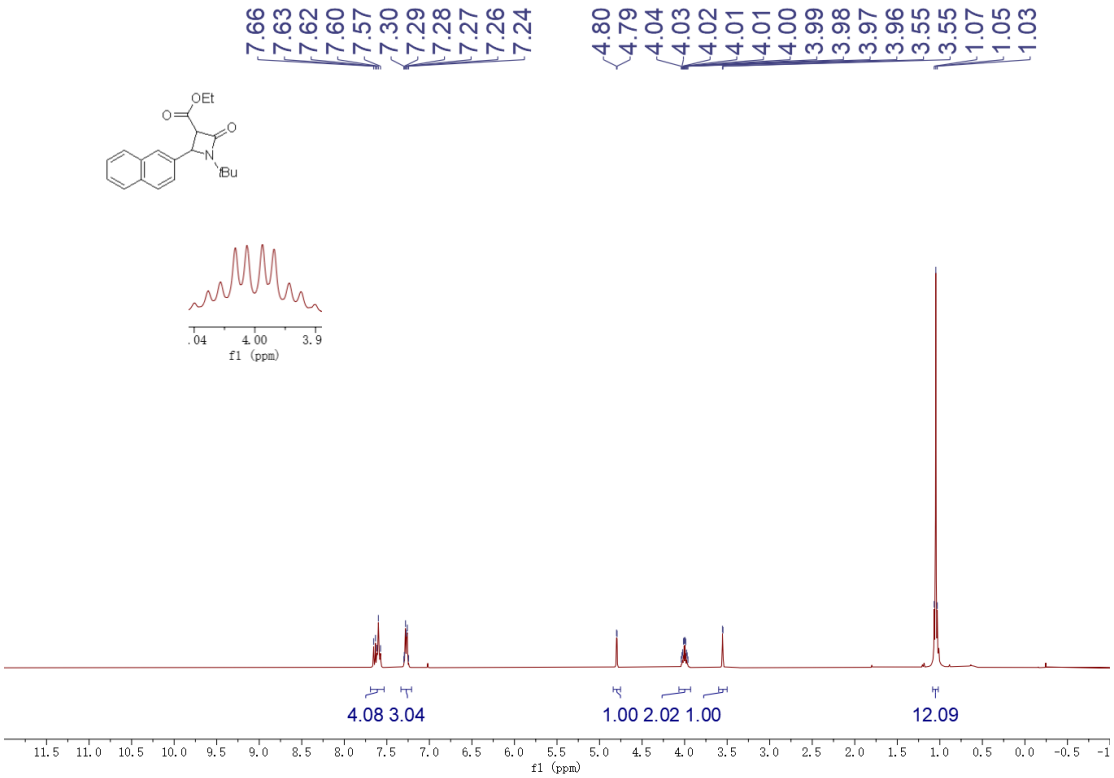

$^{1}H$ NMR spectra of **4e** in $CDCl_3$



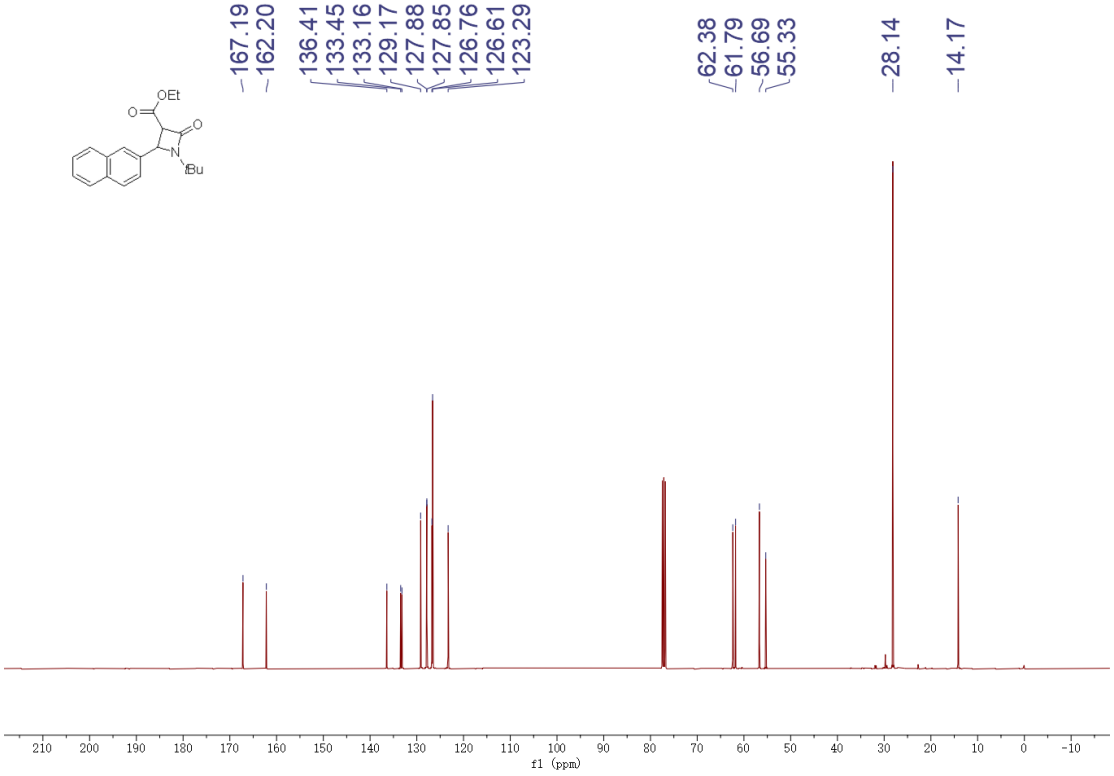
$^{13}C\{^{1}H\}$ NMR spectra of **4e** in $CDCl_3$



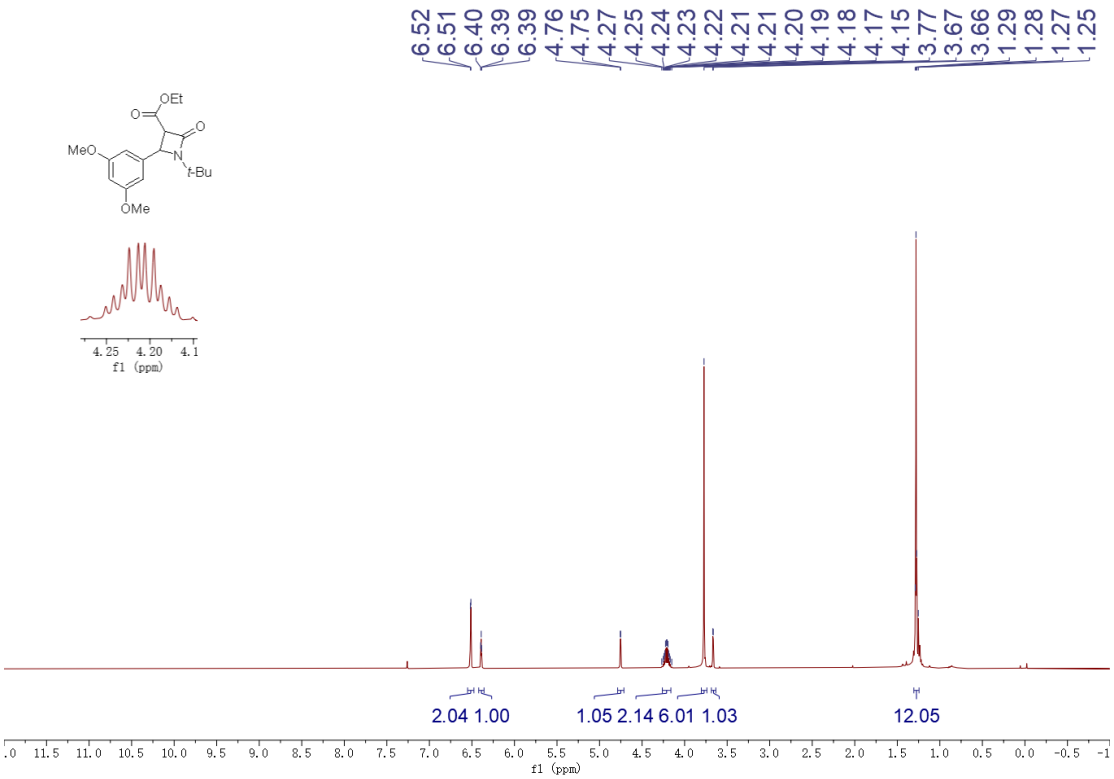

$^{1}H$ NMR spectra of **4g** in $CDCl_3$



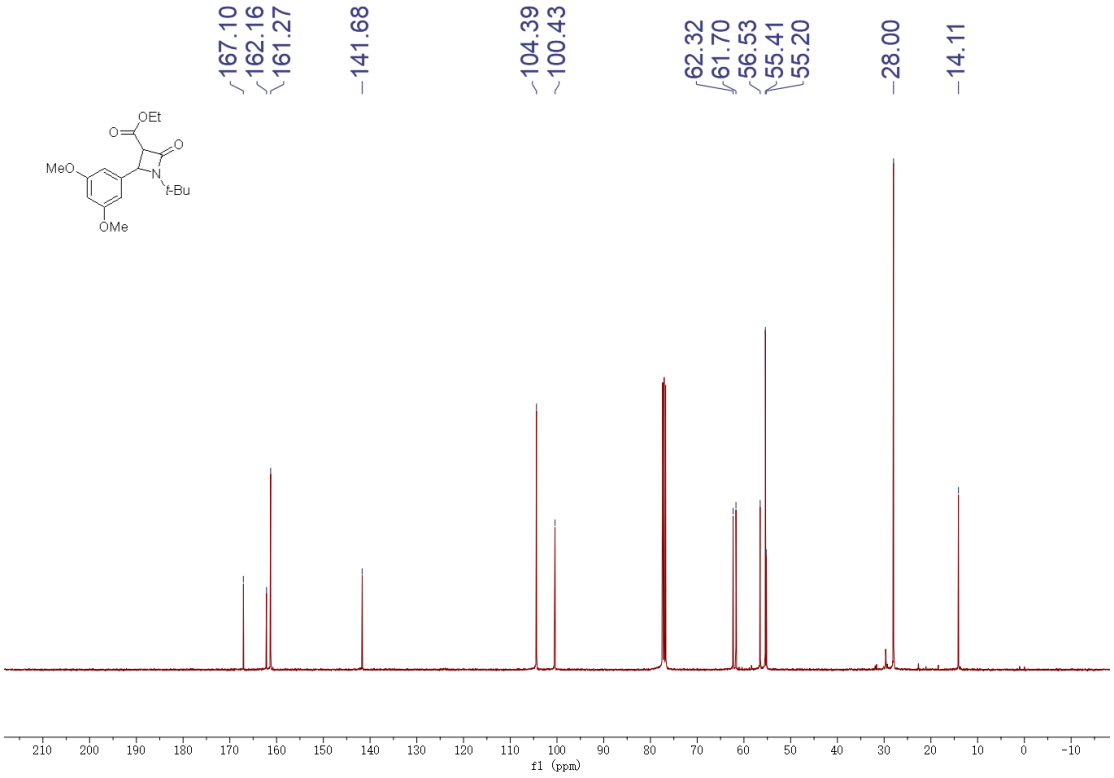
$^{13}C\{^{1}H\}$ NMR spectra of **4g** in $CDCl_3$



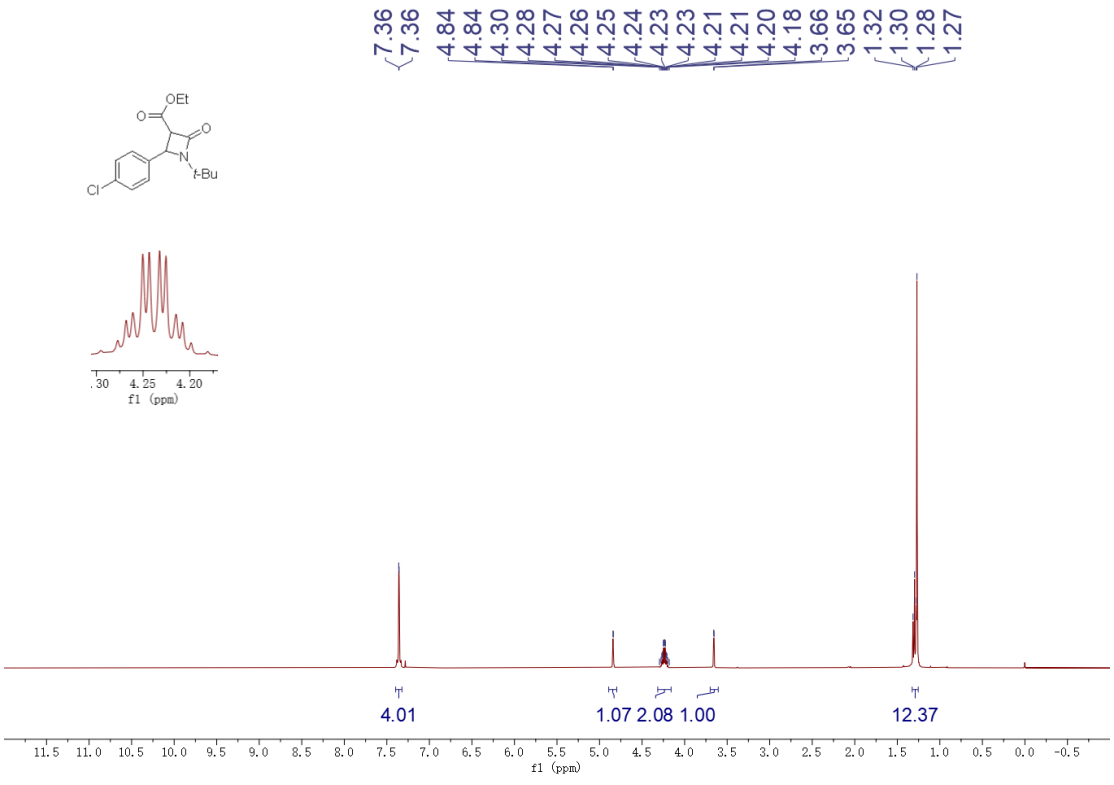

$^{1}H$ NMR spectra of **4j** in $CDCl_3$



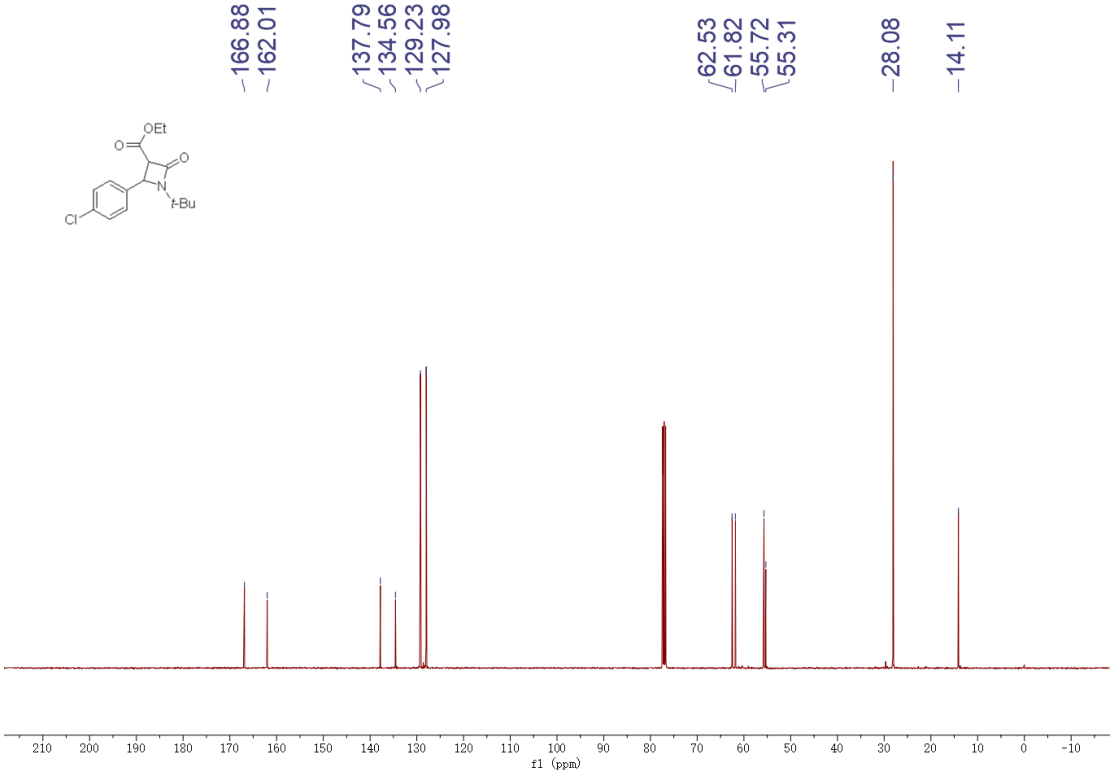
$^{13}C\{^{1}H\}$ NMR spectra of **4j** in $CDCl_3$



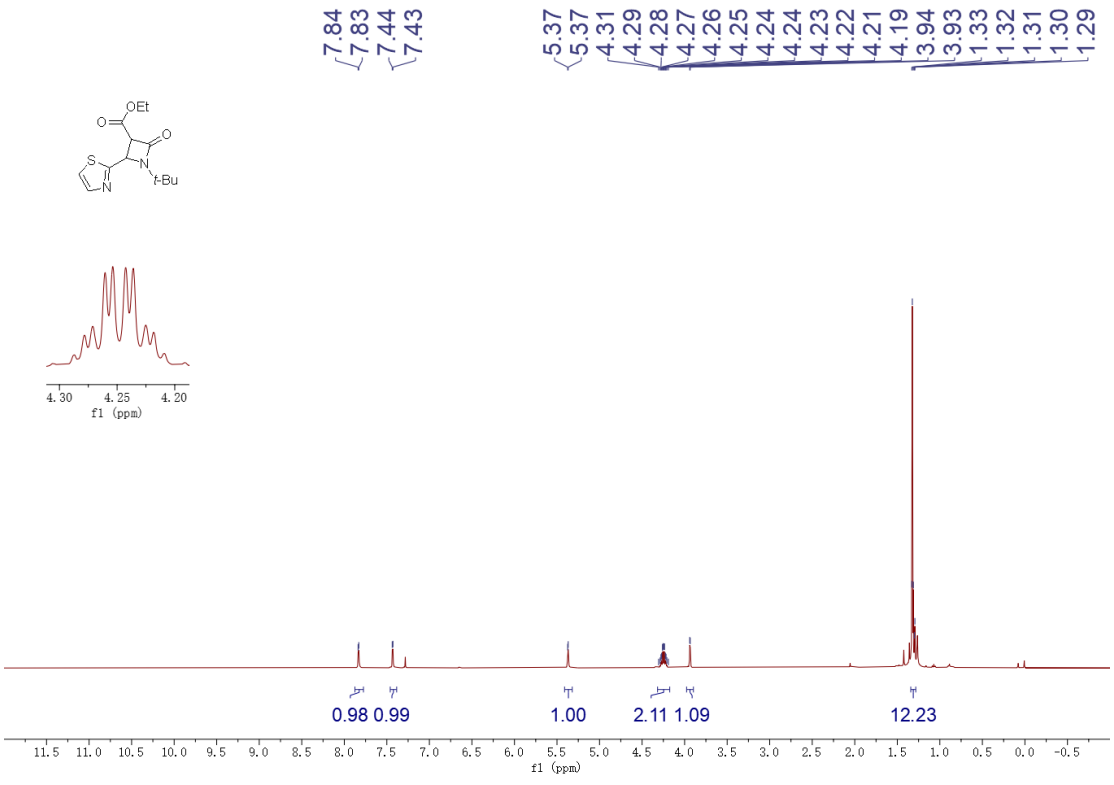

$^{1}H$ NMR spectra of **4r** in $CDCl_3$



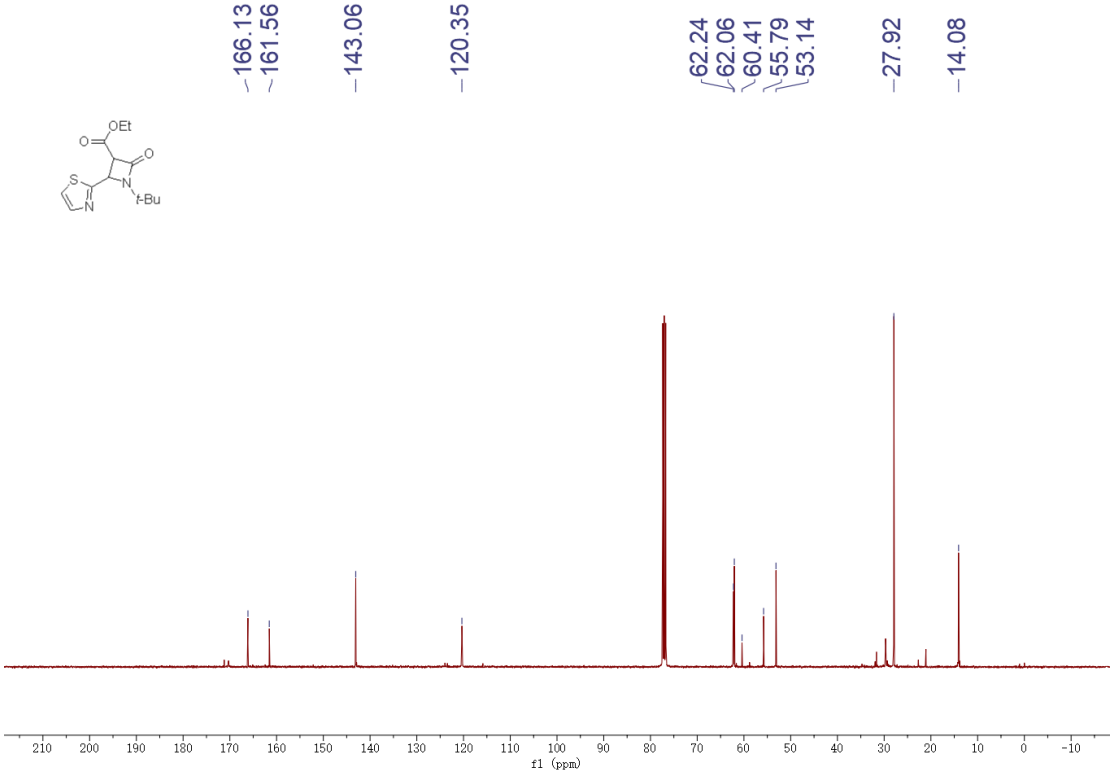
$^{13}C\{^{1}H\}$ NMR spectra of **4r** in $CDCl_3$



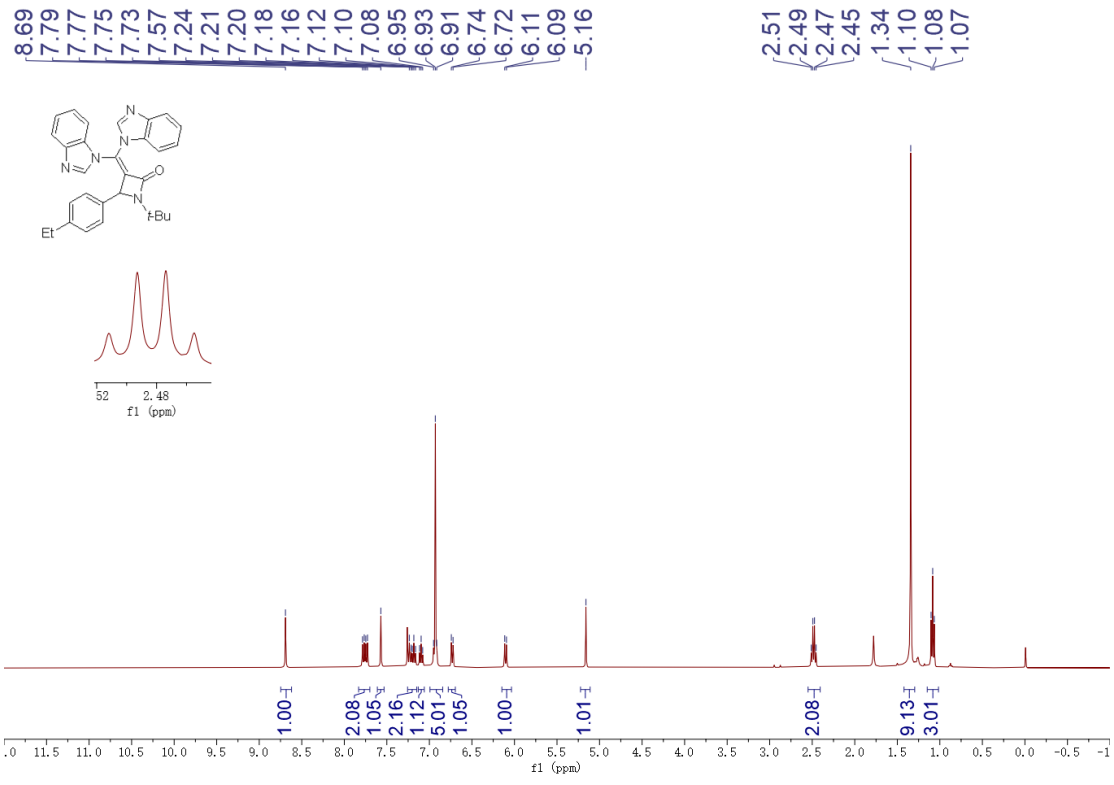

$^{1}H$ NMR spectra of **4aa** in $CDCl_3$



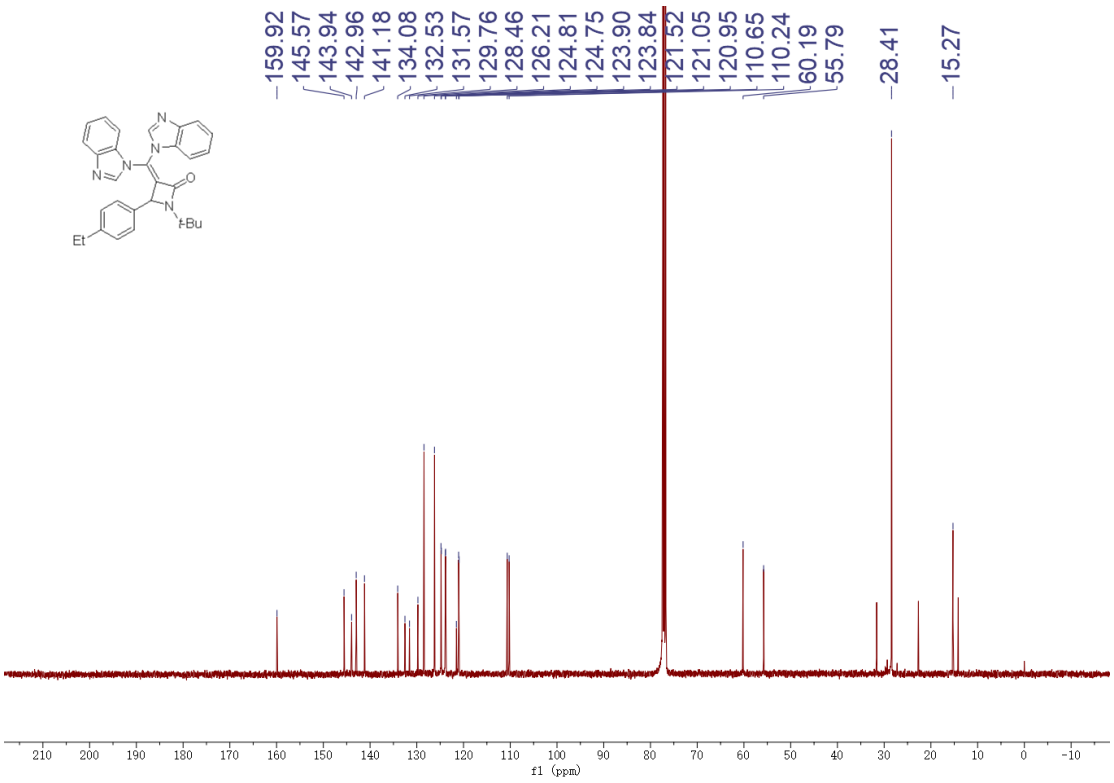
$^{13}C\{^{1}H\}$ NMR spectra of **4aa** in $CDCl_3$



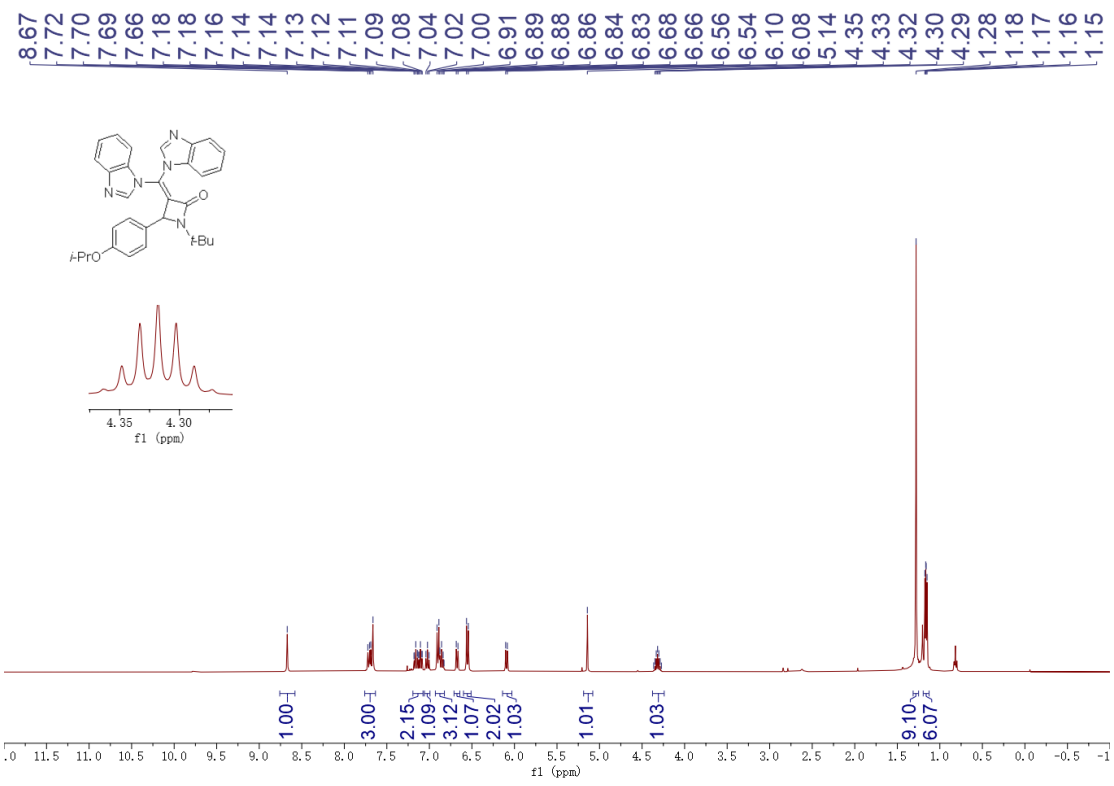

$^{1}H$ NMR spectra of **5c** in $CDCl_3$



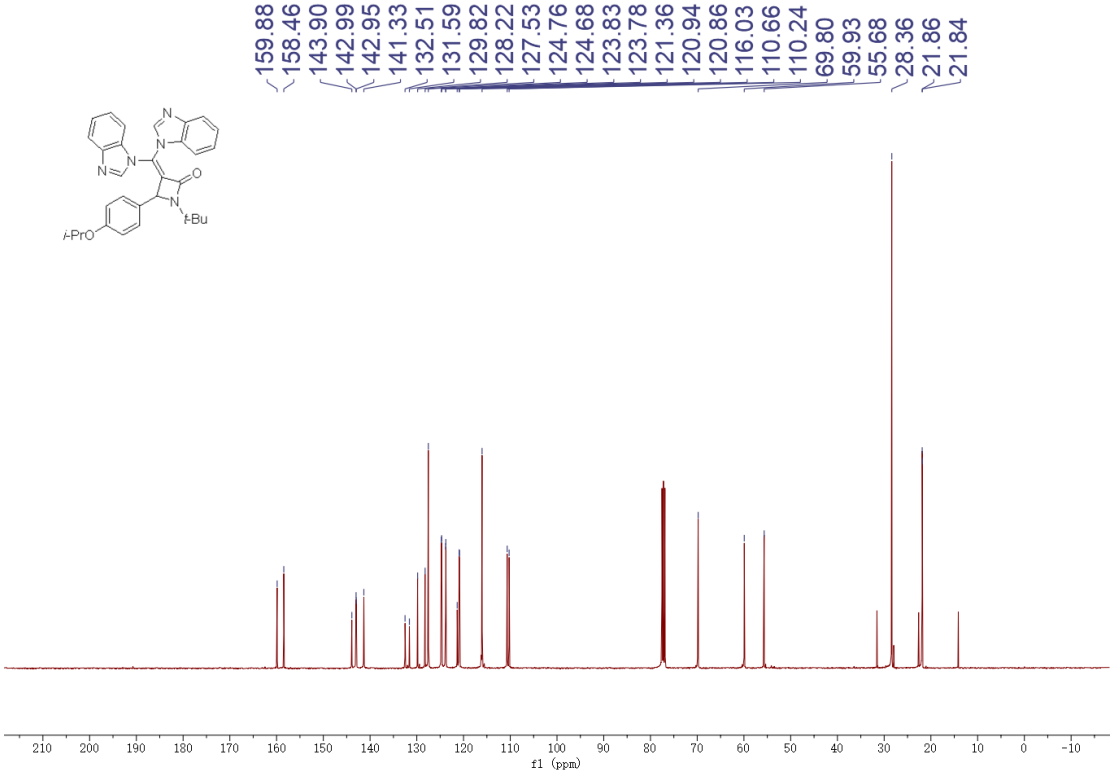
$^{13}C\{^{1}H\}$ NMR spectra of **5c** in $CDCl_3$



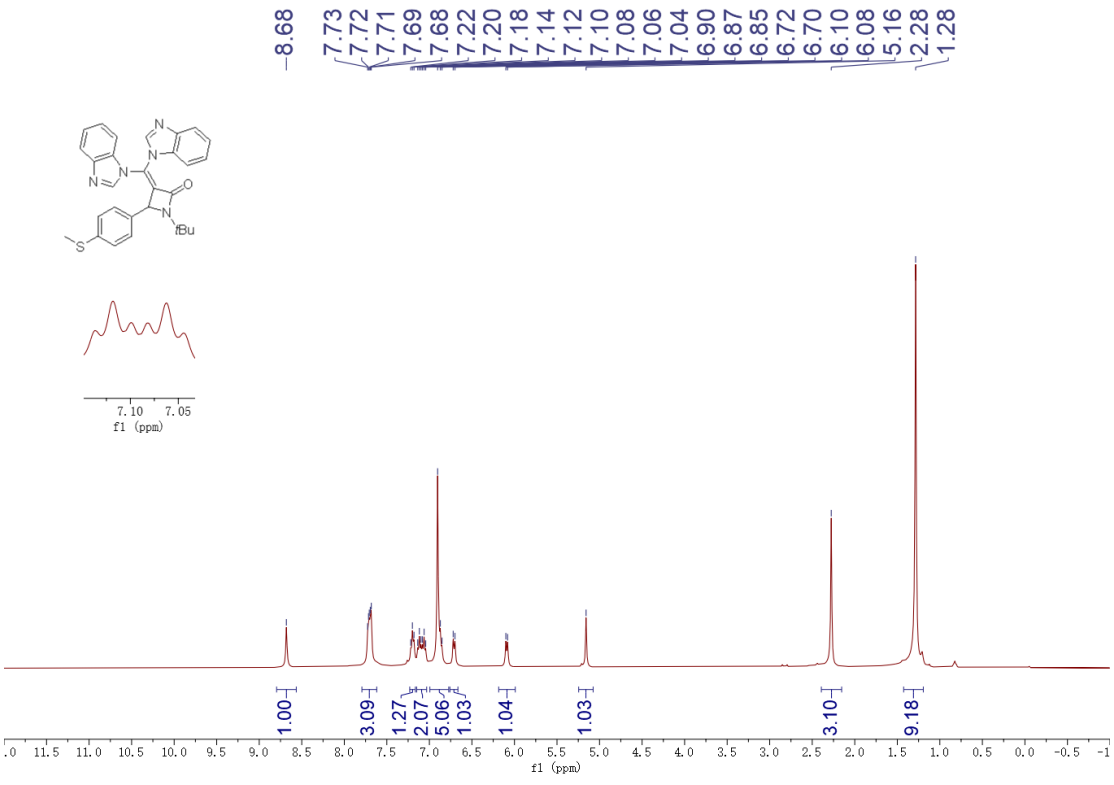

$^{1}H$ NMR spectra of **5k** in $CDCl_3$



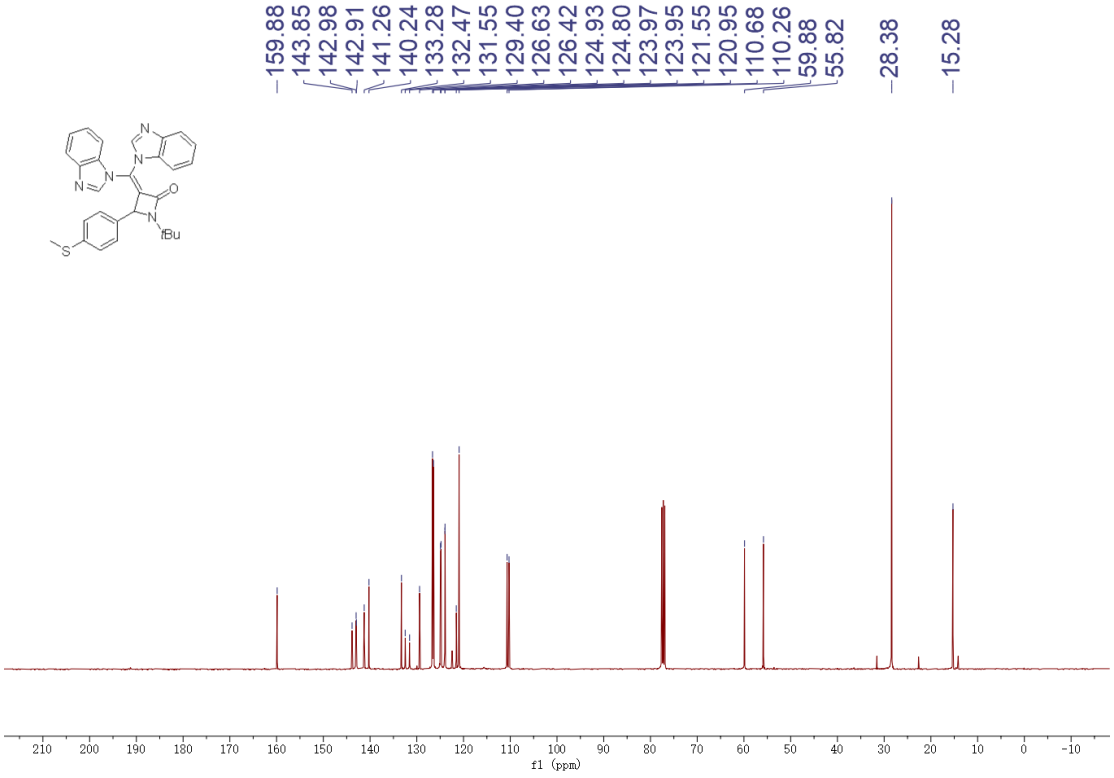
$^{13}C\{^{1}H\}$ NMR spectra of **5k** in $CDCl_3$



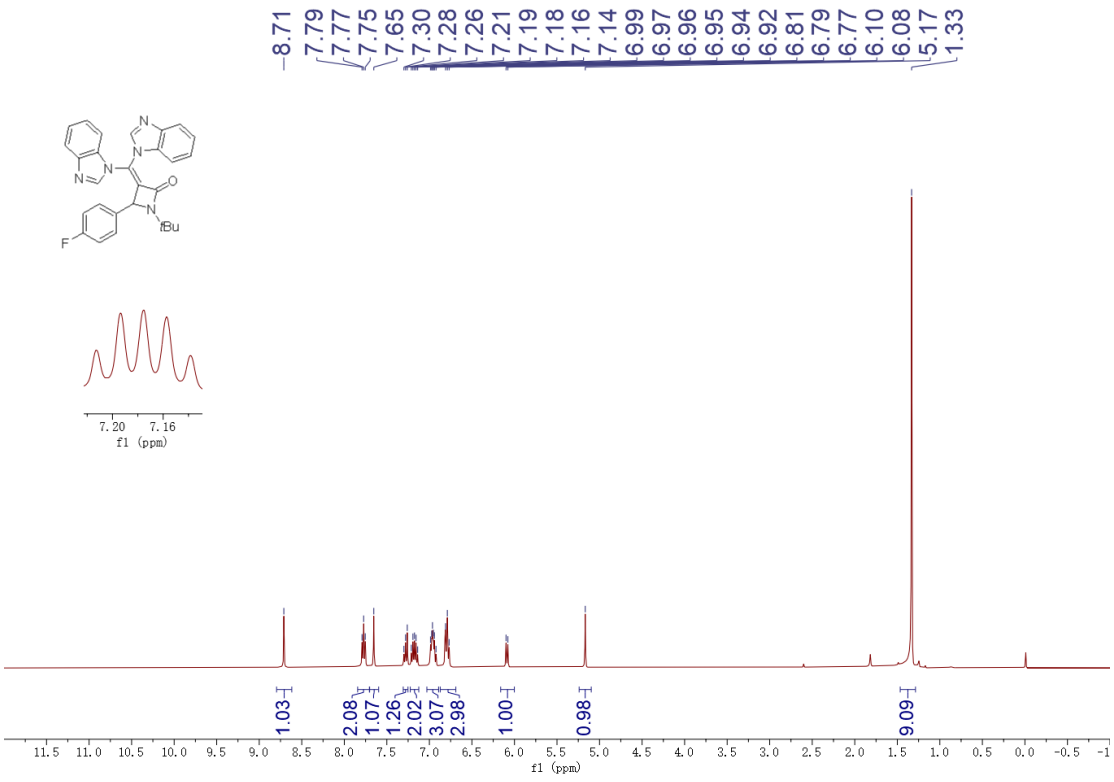

$^{1}H$ NMR spectra of **5m** in $CDCl_3$



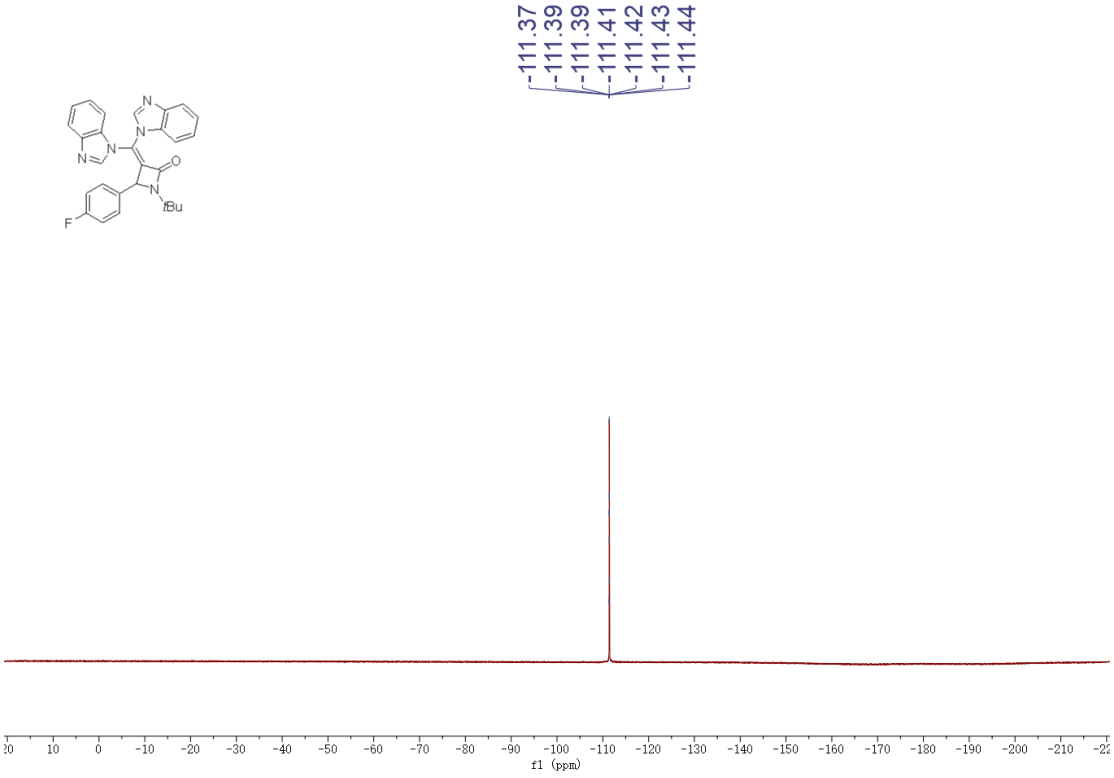
$^{13}C\{^{1}H\}$ NMR spectra of **5m** in $CDCl_3$



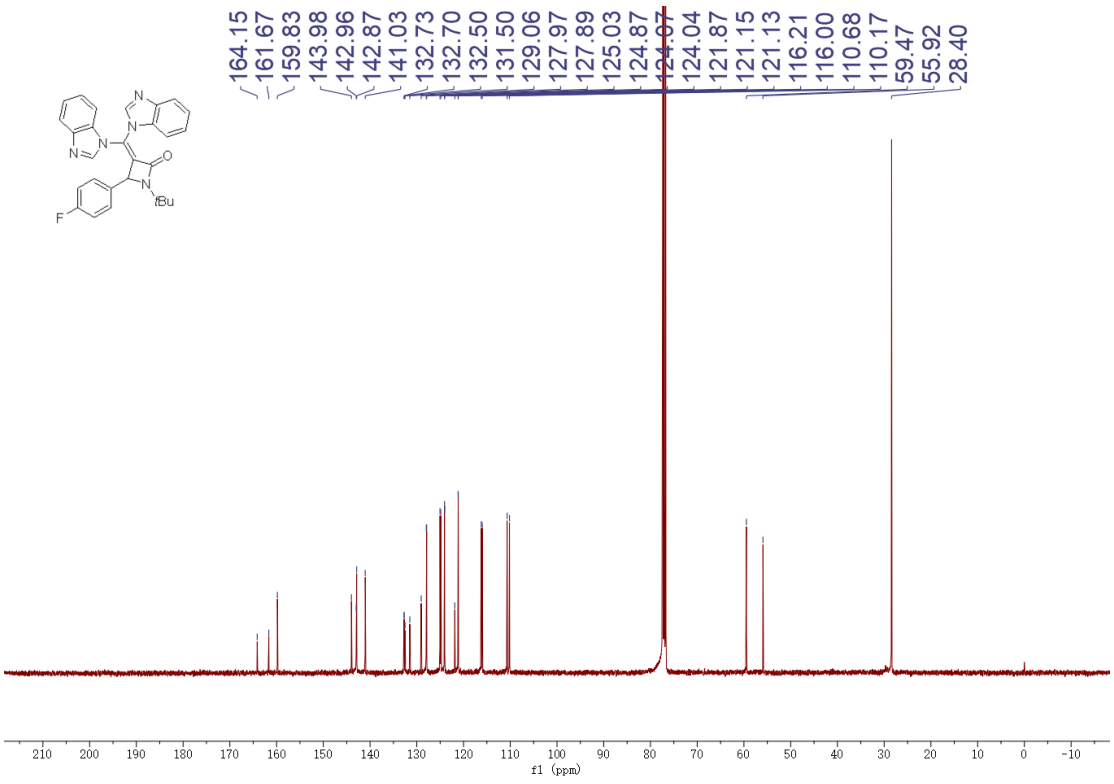

$^{1}H$ NMR spectra of **5q** in $CDCl_3$



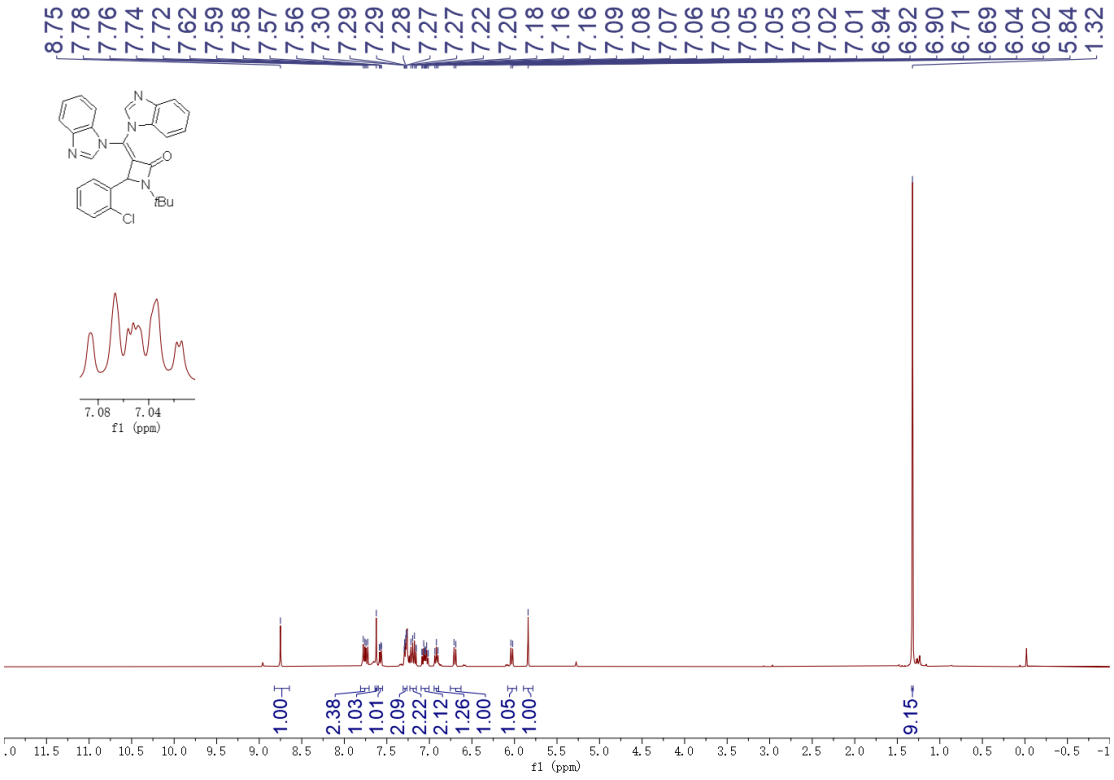
$^{19}F$ NMR spectra of **5q** in $CDCl_3$



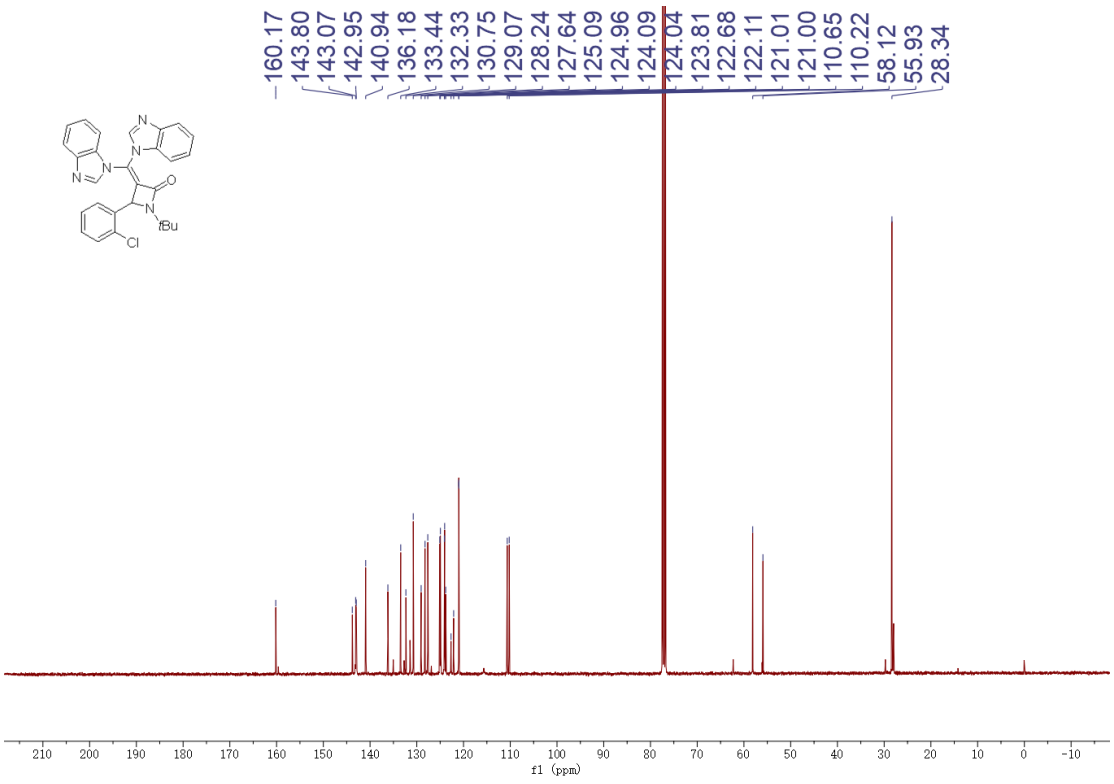

$^{13}C\{^1H\}$ NMR spectra of **5q** in $CDCl_3$



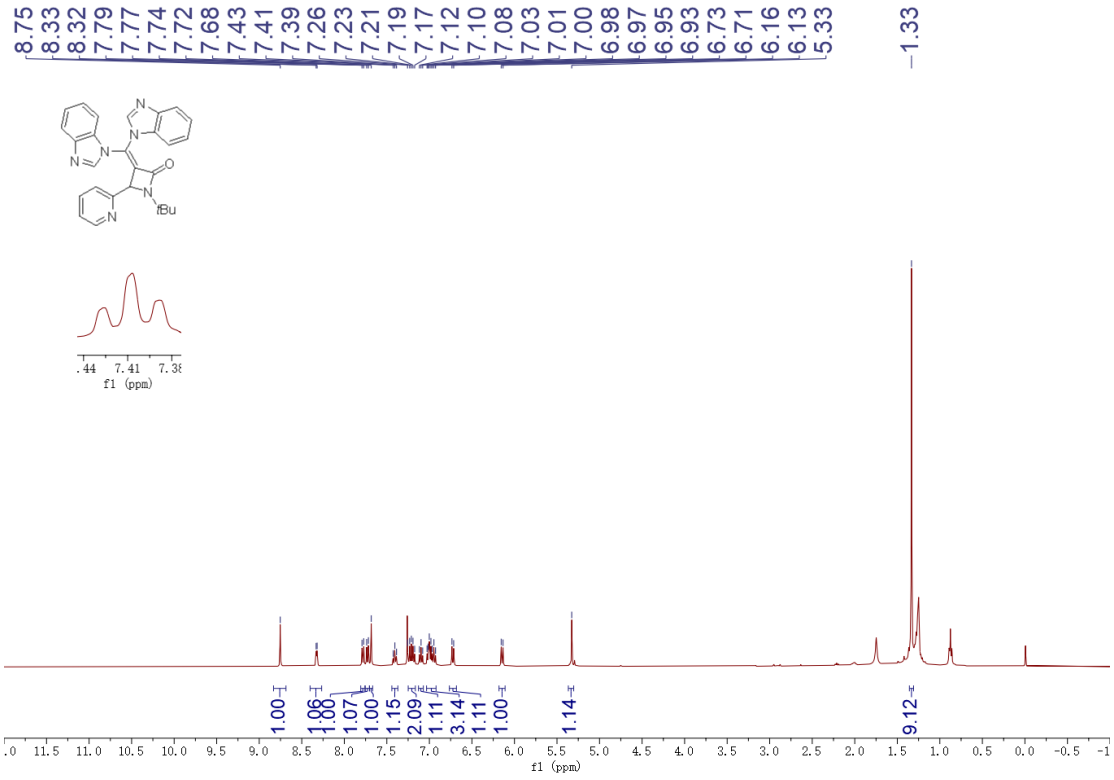
$^{1}$H NMR spectra of **5s** in $CDCl_3$



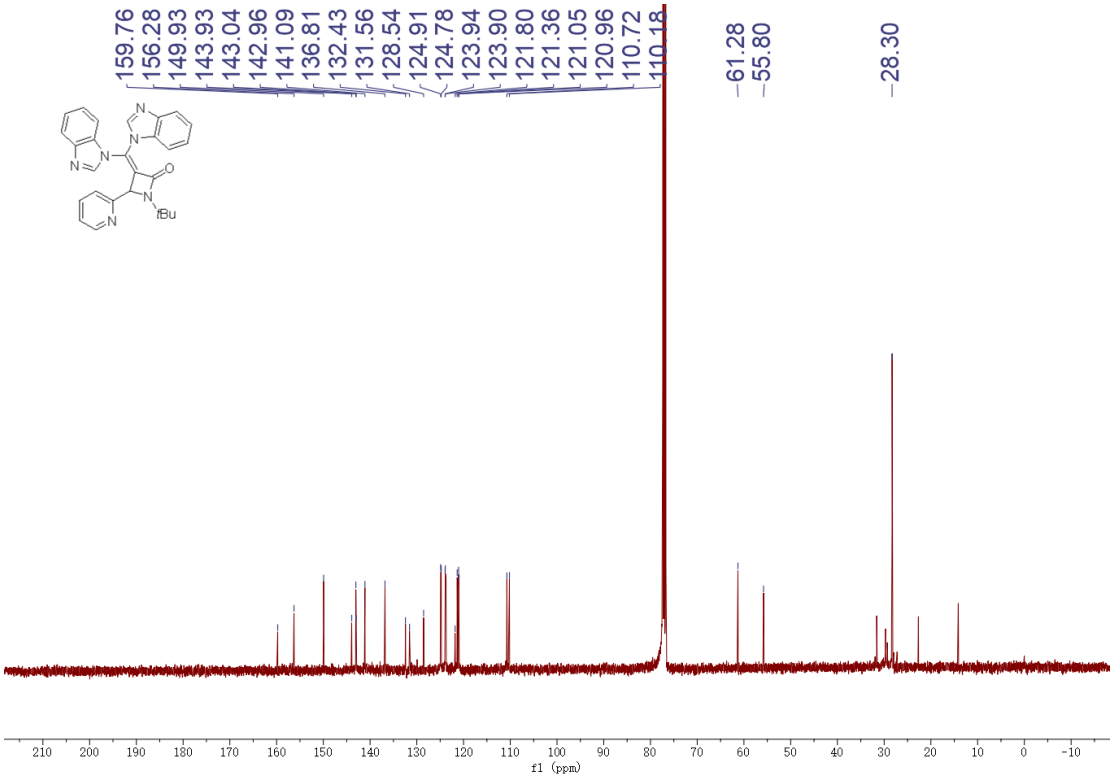

$^{13}$C{$^{1}$H} NMR spectra of **5s** in $CDCl_3$



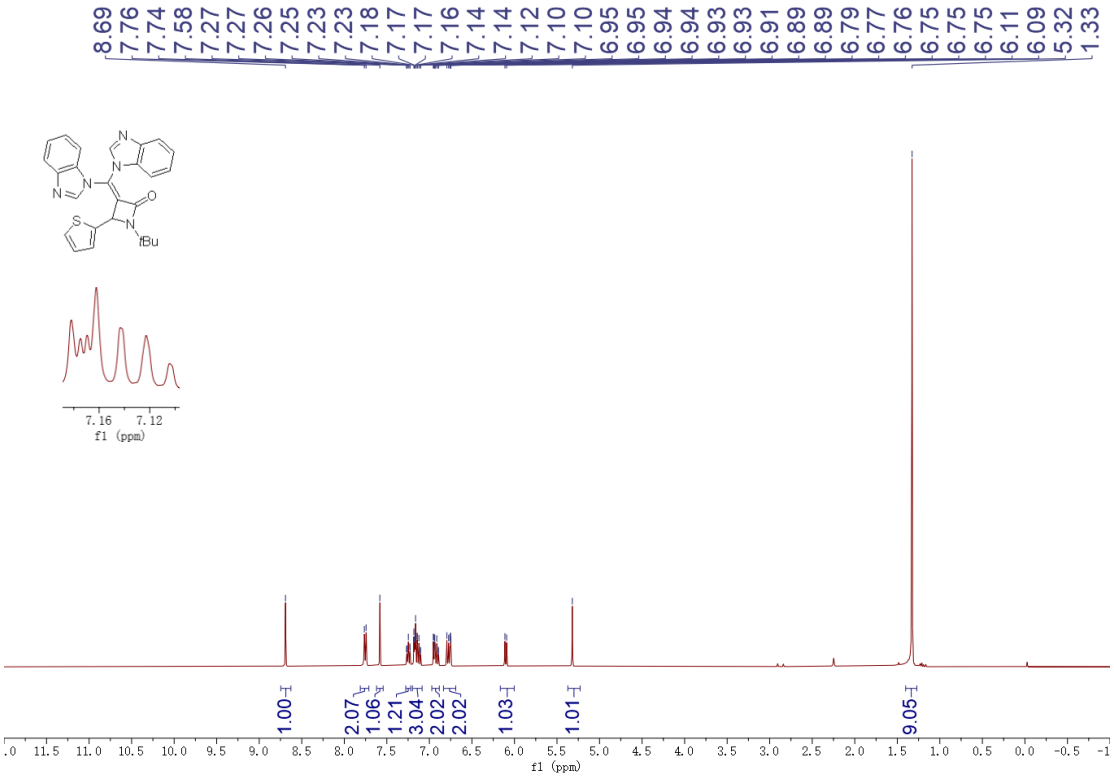
$^{1}$H NMR spectra of **5w** in $CDCl_3$



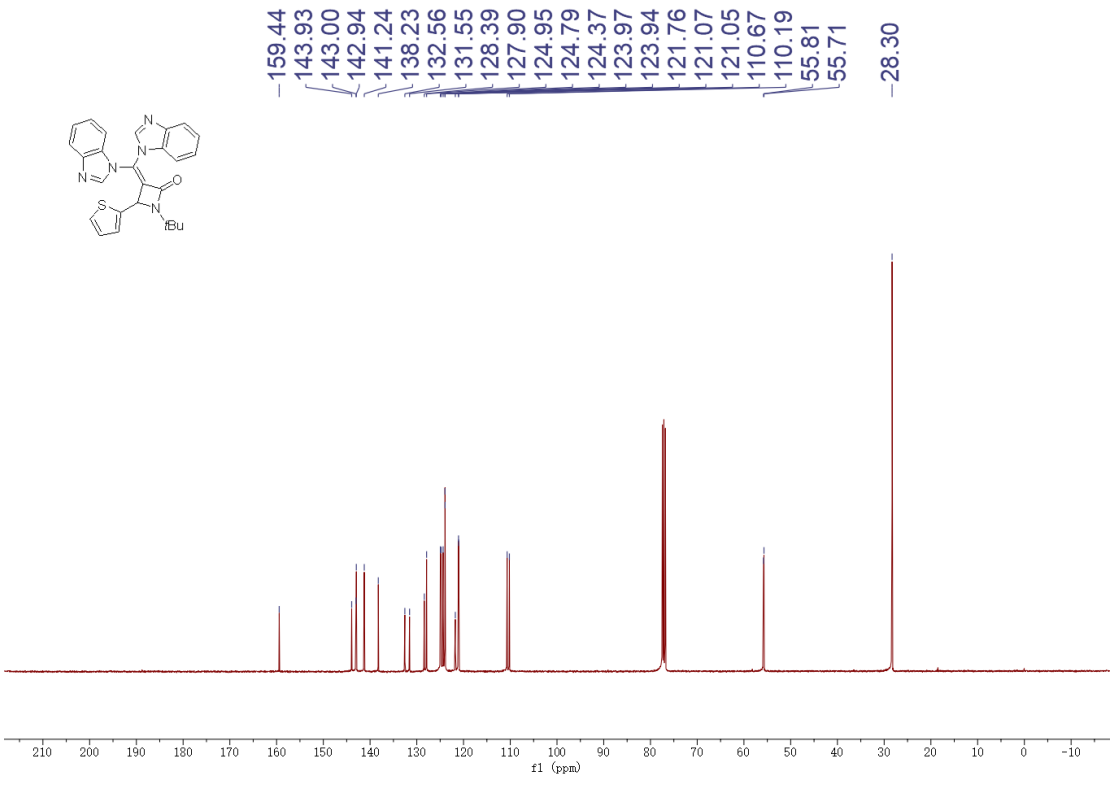

$^{13}$C{$^{1}$H} NMR spectra of **5w** in $CDCl_3$



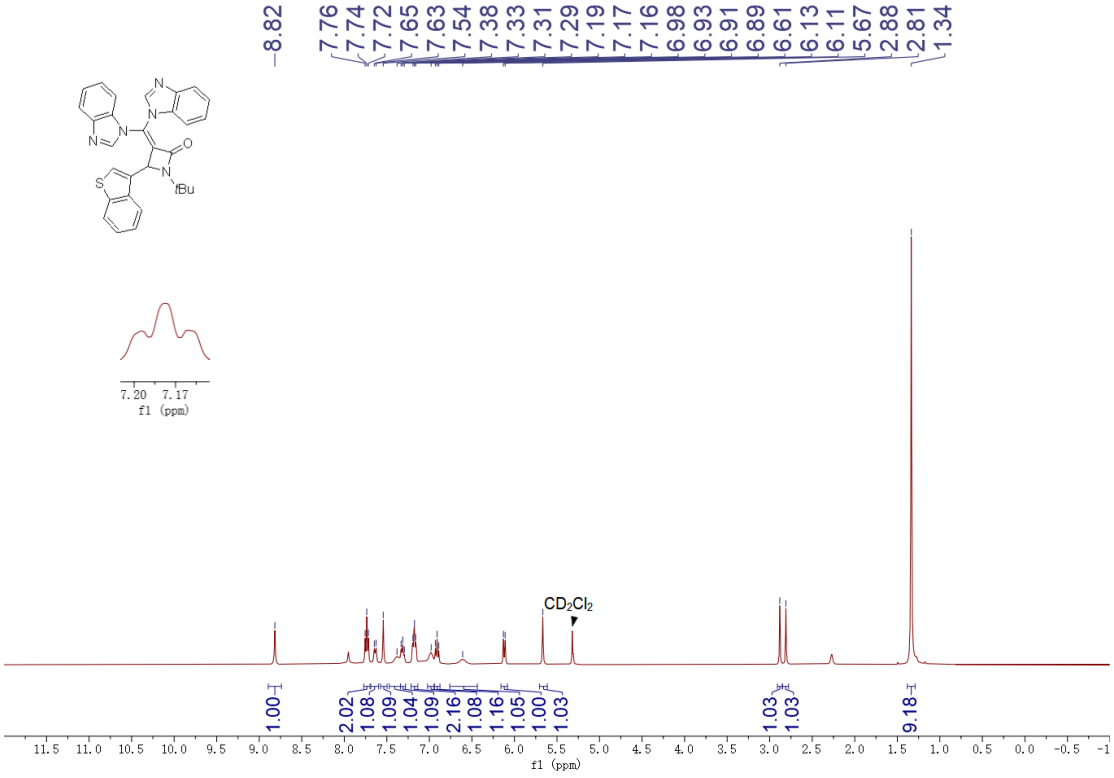
$^{1}$H NMR spectra of **5y** in $CDCl_3$



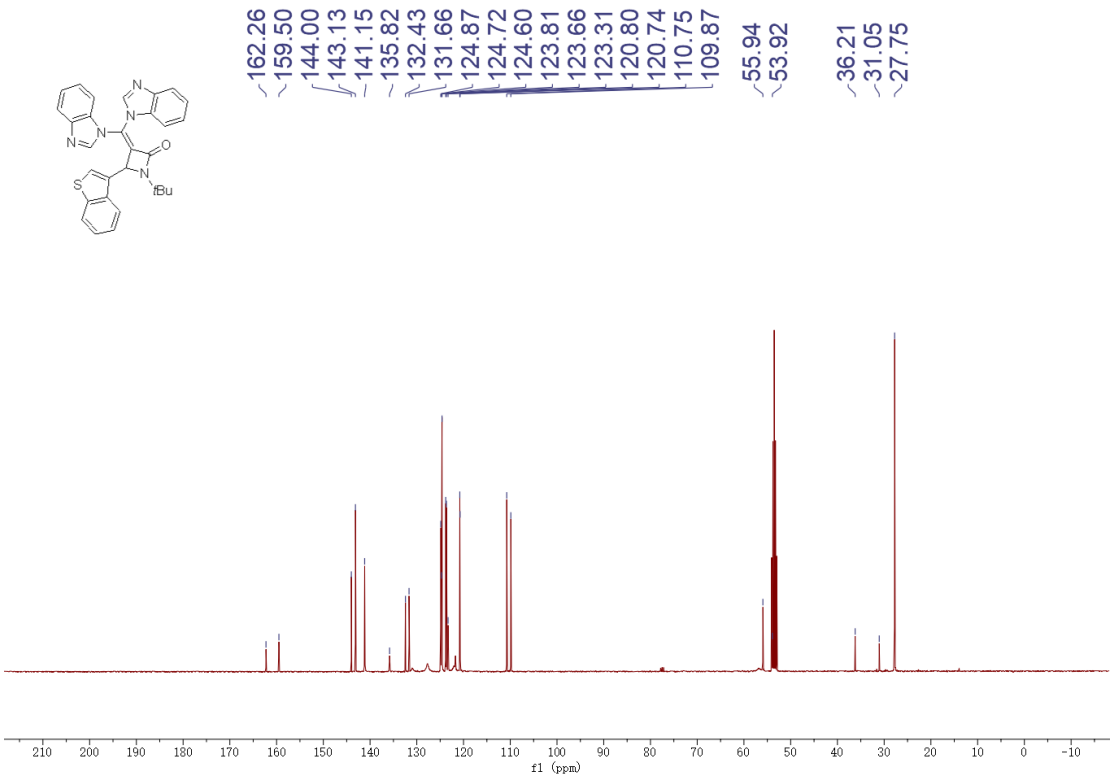

$^{13}$C{$^{1}$H} NMR spectra of **5y** in $CDCl_3$



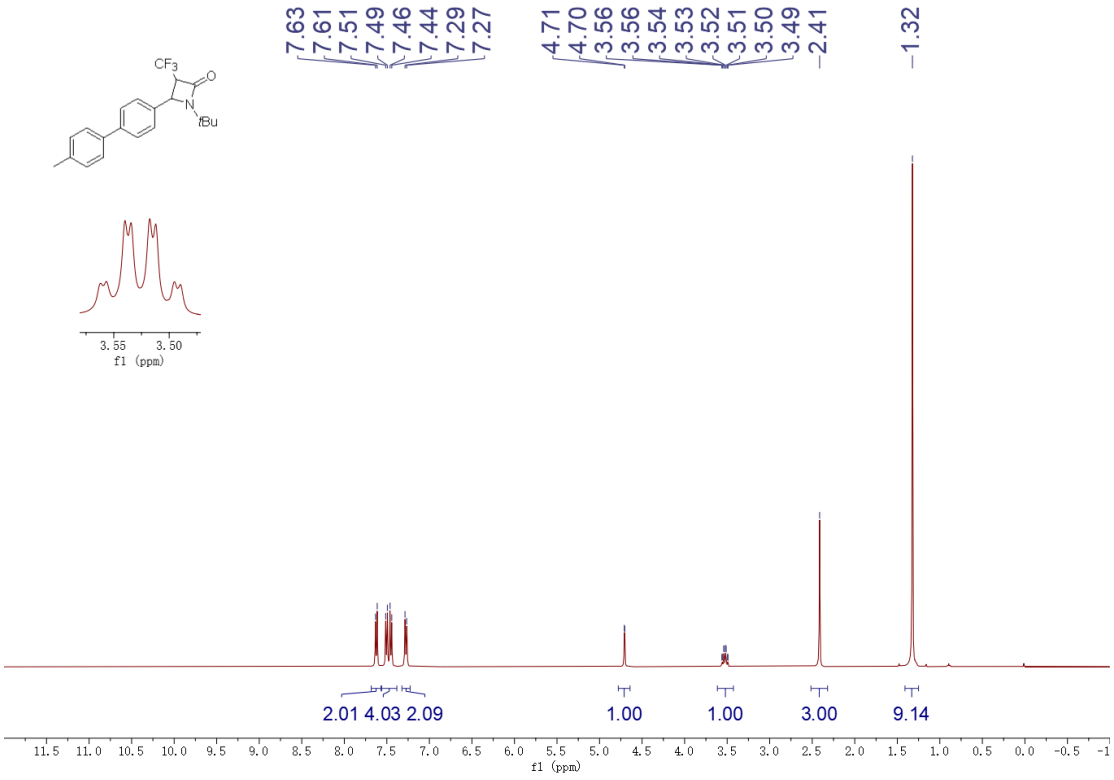
$^{1}$H NMR spectra of **5z** in $CD_2Cl_2$



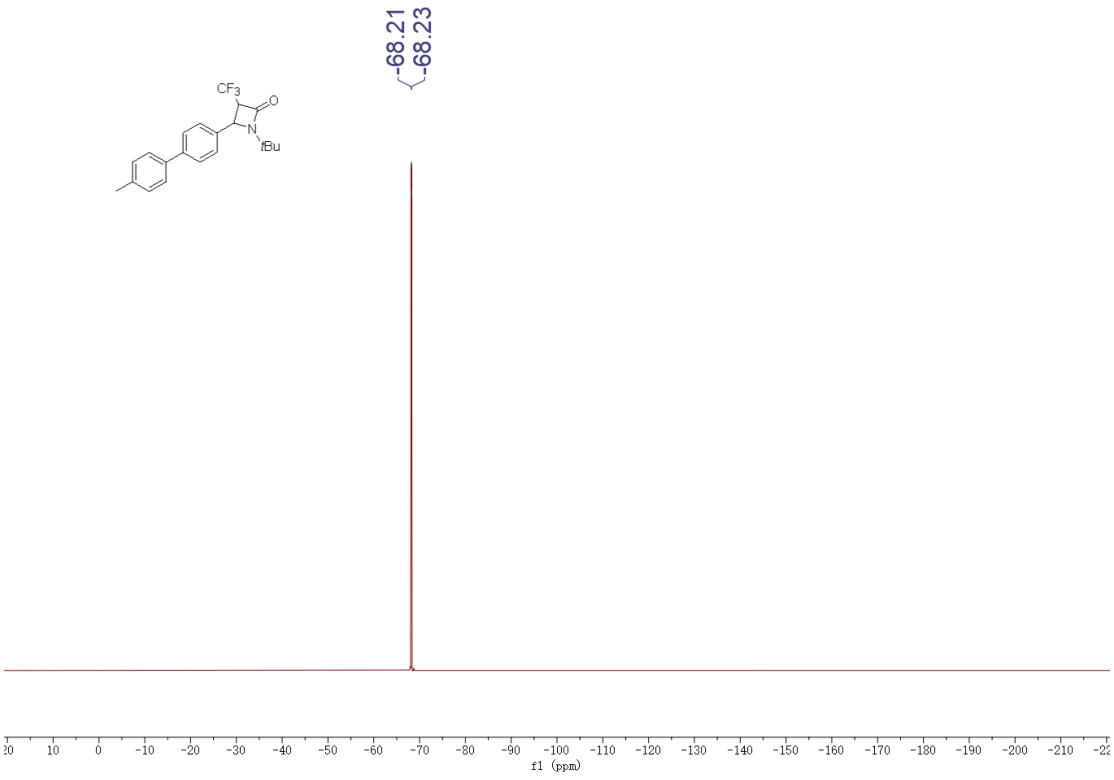

$^{13}$C{$^{1}$H} NMR spectra of **5z** in $CD_2Cl_2$



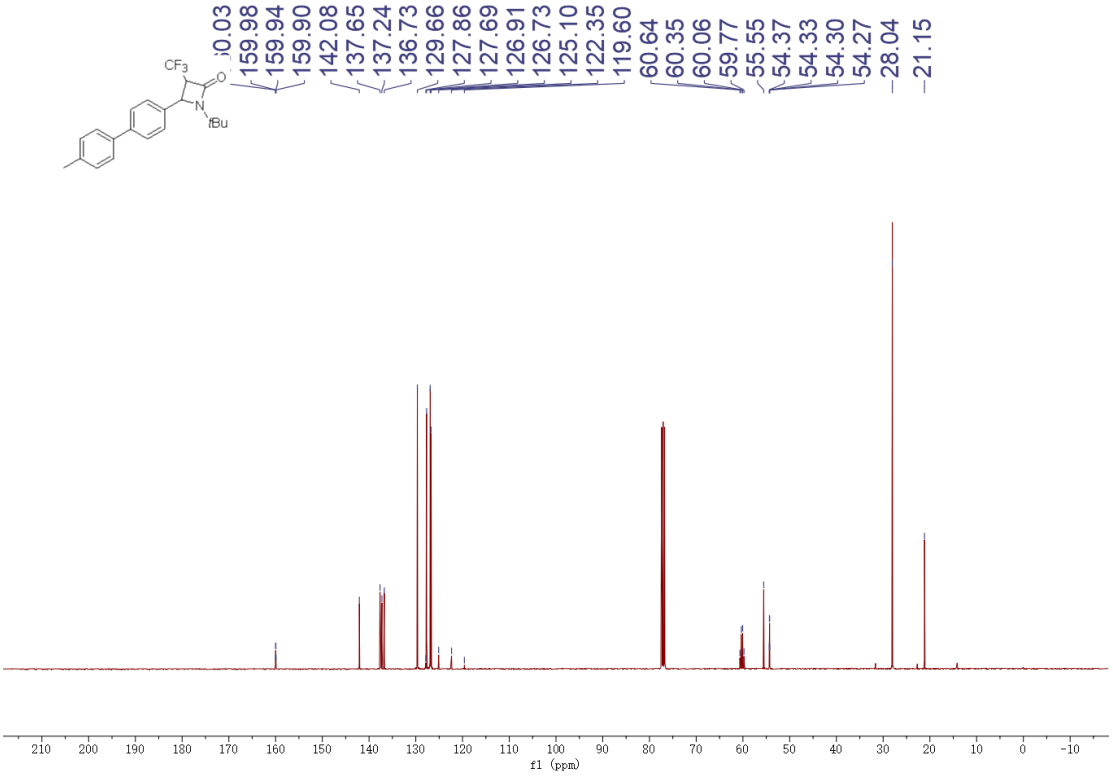
$^{1}$H NMR spectra of **6** in $CDCl_3$



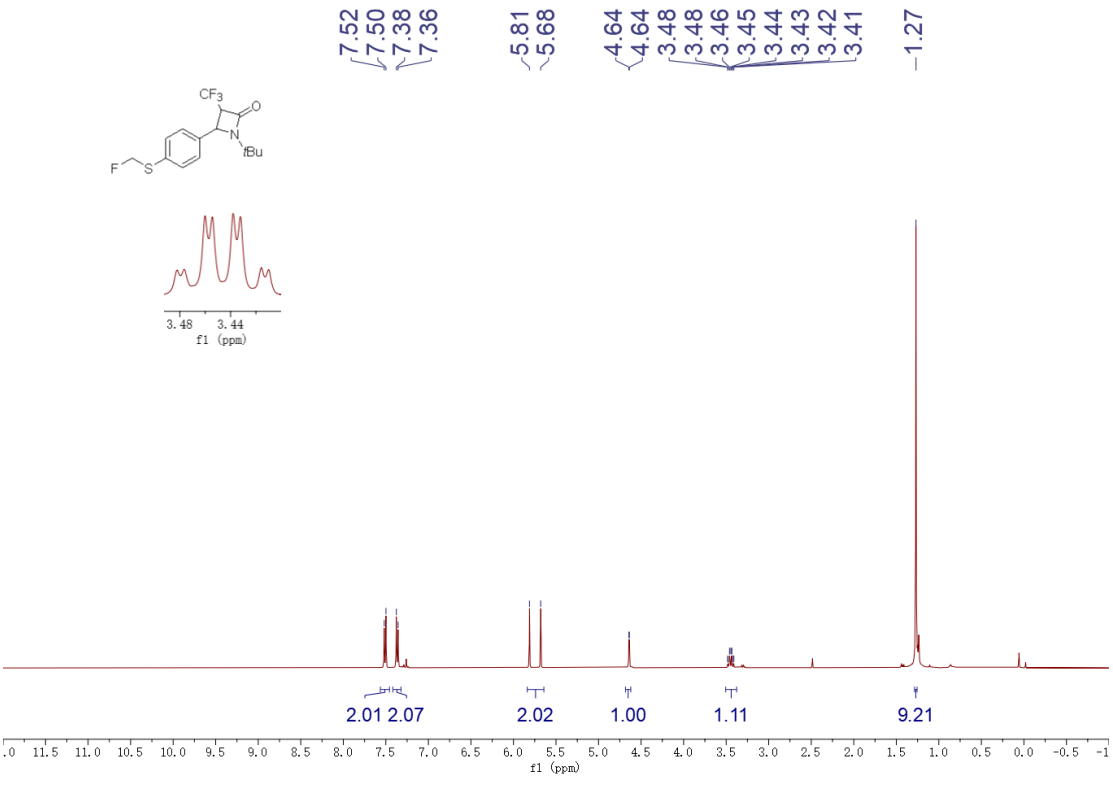

$^{19}$F NMR spectra of **6** in $CDCl_3$



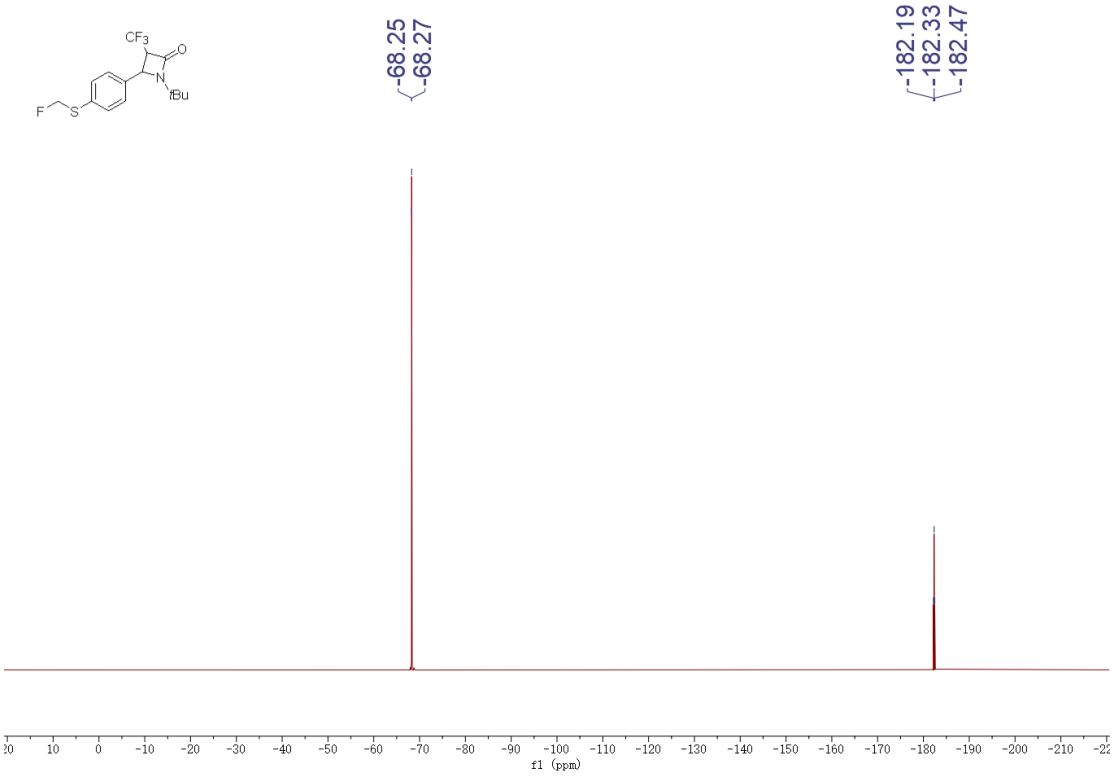
$^{13}C\{^{1}H\}$ NMR spectra of **6** in $CDCl_3$




$^{1}H$ NMR spectra of **7** in $CDCl_3$



$^{19}F$ NMR spectra of **7** in $CDCl_3$




$^{13}C\{^1H\}$ NMR spectra of **7** in $CDCl_3$