$B(C_6F_5)_3$-catalyzed Wolff Rearrangement/[2+2] and [4+2] cascade cyclization of α-diazoketones with imines

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Supporting Information

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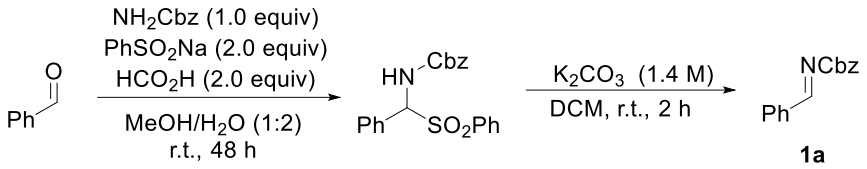
General information

All preparative procedures were performed in an inert atmosphere of dry, deoxygenated (O_2 < 0.5 ppm) argon, using glovebox techniques or standard Schlenk techniques unless otherwise specified. Solvents were stored over activated 3Å molecular sieves following drying procedures. Dichloromethane (DCM), toluene, acetonitrile (MeCN), ethyl ether (Et_2O) and hexane were purchased from Tedia Company, Inc. Deuterated solvents ($CDCl_3$) were purchased from Cambridge Isotope Laboratories, Inc. and used without further purification. *N*-benzyl-1-phenylmethaniminewas obtained from Sigma-aldrich. Benzaldehyde, 2-phenylacetophenone, benzenesulfinic acid sodium salt, trifluoromethanesulfonic acid and thionyl chloride were obtained from Energy Chemical. Formic acid, potassium carbonate and sodium sulfate were purchased from General-Reagent. Cesium carbonate, sodium *p*-toluenesulfinate, chlorotrimethylsilane, benzyl carbamate, *p*-toluenesulfonyl azide, lithium bis(trimethylsilyl)amide, pivaloyl chloride, aluminum chloride, *p*-anisaldehyde, cuminaldehyde, 4-(trifluoromethyl)benzaldehyde, 4-*tert*-butylbenzaldehyde, *p*-tolualdehyde, 4-fluorobenzaldehyde, chlorobenzaldehyde, 4-bromobenzaldehyde, 3-fluorobenzaldehyde, 3-chlorobenzaldehyde, *m*-tolualdehyde, 2-chlorobenzaldehyde, *tert*-butyl carbamate, 2-phenylacetophenone, 1-(4-fluorophenyl)-2-phenyl-ethanone, phenylacetyl chloride, *p*-toluamide, 4-methoxybenzamide, 4-chlorobenzamide, 4-bromobenzamide and *N*,*N*-dimethylformamide were purchased from Adamas-beta. Magnesium sulfate was purchased from Sinopharm. Boron trifluoride diethyl etherate was purchased from TCI. 1-naphthaldehyde and 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU) were purchased from Innochem. Chlorobenzene and anisole were purchased from Acros. 4-Methylphenylacetic acid, 4-chlorophenylacetic acid, 4-bromophenylacetic acid and 4-methylphenylacetic acid were purchased from Aladdin. Thin-layer chromatography (TLC) was performed on EMD Silica Gel 60 F254 aluminum plates or EMD basic Aluminium Oxide 60 F254 plastic plates. Silicycle Silia-P Flash Silica Gel was used for all column chromatography.

All NMR spectra were collected at 298 K on Bruker 500 spectrometers in 5 mm diameter NMR tubes. ^{1}H chemical shifts are reported relative to proteo-solvent signals ($CDCl_3$, δ = 7.26 ppm). Data are reported as: chemical shift (δ ppm), multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublets, td = triplet of doublets, dt = doublet of triplets, ddd = doublet of doublet of doublets), coupling constants (Hz), integration and assignment. ^{13}C{^{1}H} chemical shifts are reported relative to proteo-solvent signals ($CDCl_3$, δ = 77.00 ppm). ^{19}F NMR

spectra were measured at 376 MHz and $CFCl_3$ (-63.2 ppm) was used as an external standard. Departmental facilities were used for mass spectrometry (FTMS ESI)

Preparation of benzyl-benzylidenecarbamate[1]

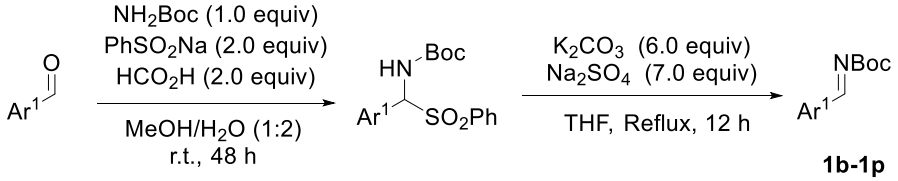


1a

Step 1: A mixture of the benzaldehyde (5 mmol, 1.5 equiv), benzyl carbamate (0.50 g, 3.3 mmol, 1.0 equiv), sodium *p*-toluenesulfinate (1.10 g, 6.6 mmol, 2.0 equiv) and formic acid (0.25 mL, 2.0 equiv) in methanol (5 mL) and water (10 mL) was stirred at room temperature for 48 h. The resulting precipitate was filtered, washed with water and diethyl ether. The filtered solid was purified by Et_2O to afford the desired amidosulfones. After drying under vacuum, the desired amidosulfones were obtained as a white solid.

Step 2: To a stirred mixture of the benzyl (phenyl(phenylsulfonyl)methyl)carbamate (4.0 mmol) in CH_2Cl_2 (30 mL) at room temperature was added K_2CO_3 (1.4 M aq. solution, 35 mL). The resulting biphasic mixture was vigorously stirred at room temperature for 2 h. The organic layer was decanted and then the resulting aqueous layer was extracted with CH_2Cl_2 (2 × 30 mL). The combined organic extracts were dried over Na_2SO_4, filtered and concentrated under reduced pressure to afford the desired benzyl-benzylidenecarbamate **1a** as a white solid.

Preparation of *N-tert*-butoxycarbonyl imines[2]

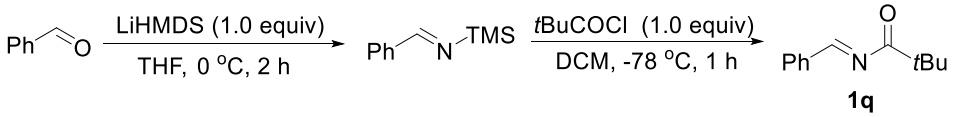


1b-1p

Step 1: A mixture of aromatic aldehydes (15.0 mmol, 1.5 equiv), *tert*-butyl carbamate (1.17 g, 10.0 mmol, 1.0 equiv), sodium *p*-toluenesulfinate (3.28 g, 20.0 mmol, 2.0 equiv) and formic acid (0.76 mL, 20.0 mmol, 2.0 equiv) in methanol (10 mL) and water (20 mL) was stirred at room temperature for 48 h. The resulting precipitate was filtered and washed with water and diethyl ether. After drying under vacuum, the sulfonyl amine products were obtained as a white solid.

Step 2: A 50 mL round bottom flask containing potassium carbonate (1.66 g, 12.0 mmol, 6.0 equiv) was flame dried. After the flask was cooled to room temperature under N_2, sulfonyl amines (2.0 mmol, 1.0 equiv) and sodium sulfate (1.99 g, 14.0 mmol, 7.0 equiv) were added along with dry THF (15 mL). The mixture was refluxed under N_2 for 12 h. Then, the reaction was allowed to cool to room temperature, filtered through Celite, and the filtrate was concentrated to give the *N*-Boc imines **1b-1p.**

Preparation of *N*-benzylidenepivalamide[3]

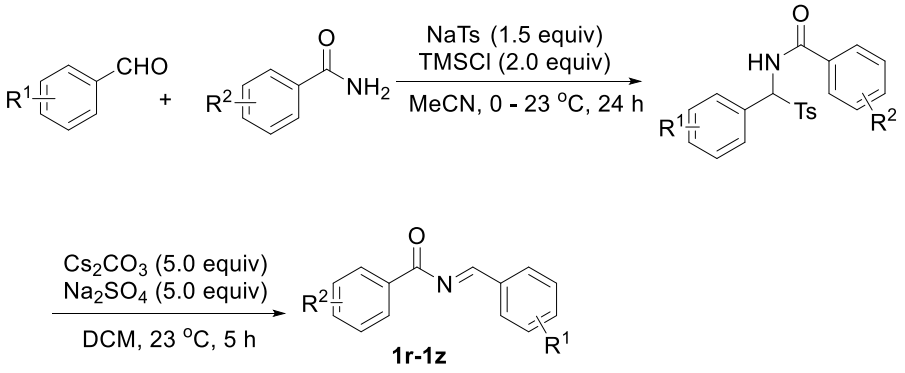


1q

Step 1: To an ice-bath cooled solution of benzaldehyde (5 mmol, 0.51 mL) in THF (2.5 mL), LiHMDS (5 mmol, 0.84 g) in THF (20 mL) was added over a period of 10 min under argon. Direct fractional distillation of the resulting suspension gave *N*-(trimethylsilyl)benzaldimine as a light yellow liquid, which was stored under argon at 0 ºC.

Step 2: To a solution of *N*-trimethylsilylbenzaldimine (2 mmol) in CH_2Cl_2 (2 mL), pivaloyl chloride (2 mmol) was added dropwise at -78 ºC. After stirring for 1 h at room temperature, the solvent and TMSCl were removed under reduced pressure to obtain *N*-benzylidenepivalamide **1q** as a white solid.

Preparation of *N*-benzoyl imines[4]

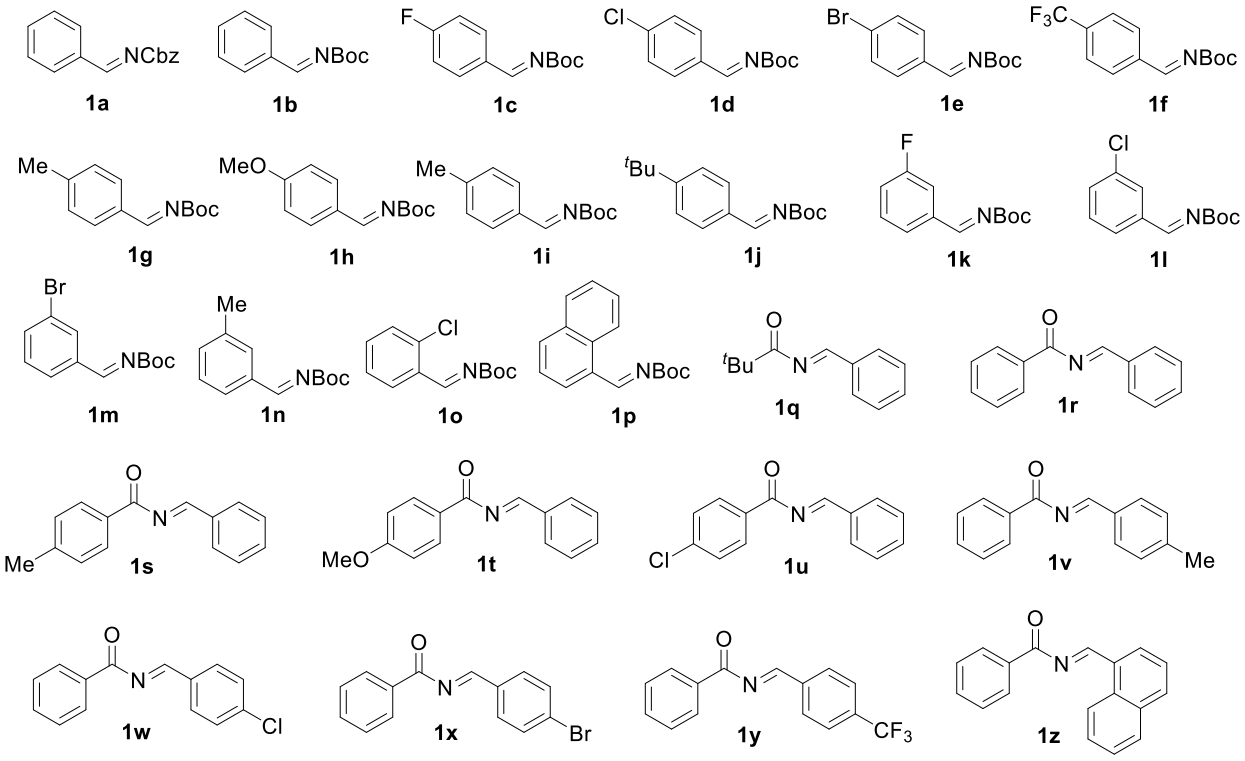


1r-1z

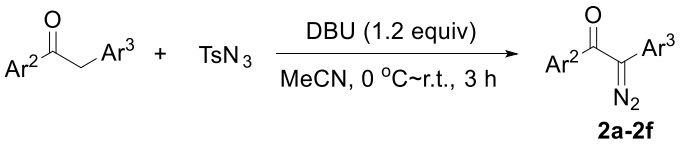
Step 1: To a mixture of aldehydes (5.0 mmol, 1.0 equiv), sodium *p*-toluenesulfinate (1.34 g, 7.5 mmol, 1.5 equiv), and amide (7.5 mmol, 1.5 equiv) in MeCN (60 mL) at 0 °C was added TMSCl (1.27 mL, 10.0 mmol, 2 equiv) dropwise. Upon completion of addition the reaction was allowed to

warm to room temperature and stirred for 24 hours. Then, water (60 mL) was added and the reaction was stirred for 30 minutes. The resulting precipitate was isolated by filtration, washed with water (3 x 30 mL), and dried under vacuum at 50 °C for 16 hours to yield the α-amido sulfones as a white solid.

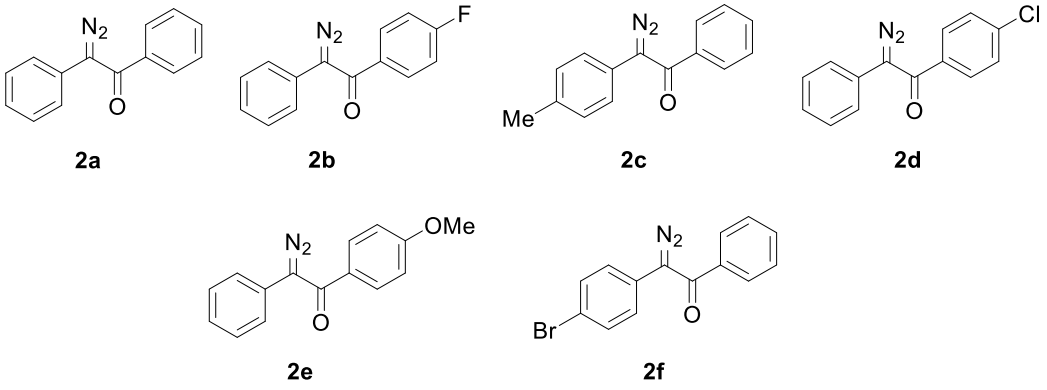
Step 2: To a 50 mL round bottom flask equipped with a magnetic stir bar was added Cs_2CO_3 (1.65 g, 5 mmol) and Na_2SO_4 (0.7 g, 10 mmol). The solids were flame-dried under high vacuum and allowed to cool. To the solids was added CH_2Cl_2 (15 mL). The resulting slurry was vigorously stirred under N_2 and the requisite α-amido sulfone (1 mmol, 1.0 equiv) was added in one portion. After stirring at 23 °C for 5 h, hexane (15 mL) was added and the mixture was filtered through celite. The celite was rinsed with hexane (2 x 10 mL) and the resulting filtrate was concentrated under reduced pressure. This concentrated material was dissolved in hexane and filtered through a cotton plug. Removal of the filtrate in vacuo provided *N*-benzoyl imines (**1r**-**1z**).



Preparation of α-aryldiazoketones[5]



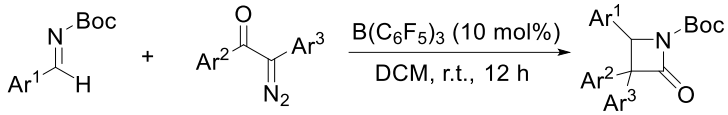
To a solution of β-ketone (10 mmol, 1.0 equiv) and 4-methylbenzenesulfonyl azide (12 mmol, 2.37 g, 3.0 mL, 1.2 equiv) in CH_3CN at 0 °C was added DBU (12 mmol, 1.83 g, 1.8 mL, 1.2 equiv) dropwise under nitrogen. The resulting solution was stirred at 0 °C for 3 h and slowly brought to room temperature. Upon completion as indicated by thin layer chromatography (TLC), the reaction was quenched with water, extracted with ethyl acetate, and dried over anhydrous Na_2SO_4. The reaction mixture was concentrated under reduced pressure, and the crude material was purified by column chromatography to give pure products **2a-2f**.



2a **2b** **2c** **2d**

2e **2f**

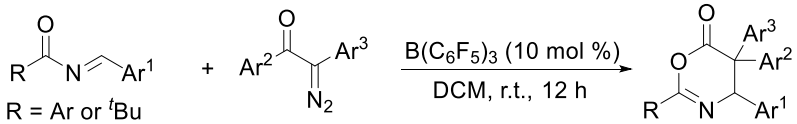
General procedure for Wolff rearrangement/[2+2] cascade cyclization



1 **2** **3**

In an inert atmosphere glovebox, to a solution of *N-tert*-butoxycarbonyl imines (0.30 mmol, 2.0 equiv) and α-aryldiazoketones (0.15 mmol, 1.0 equiv) in DCM (1.5 mL) was added $B(C_6F_5)_3$ (7.7 mg, 0.015 mmol, 10 mol%). The reaction was stirred at room temperature for 12 h. The residue was purified by flash chromatography (eluent: petroleum ether/ethyl acetate = 50/1 to 20/1) on silica gel to afford the β-lactams products.

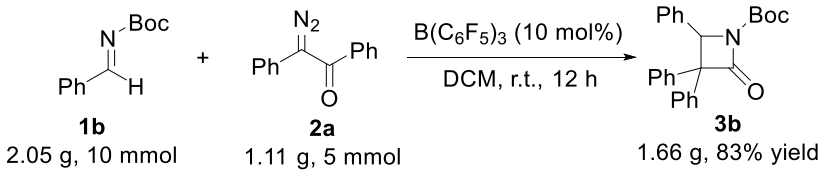
General procedure for Wolff rearrangement/[4+2] cascade cyclization



1 **2** **4**

In an inert atmosphere glovebox, to a solution of **1** (0.30 mmol, 2.0 equiv) and α-aryldiazoketones (0.15 mmol, 1.0 equiv) in DCM (1.5 mL) was added $B(C_6F_5)_3$ (7.7 mg, 0.015 mmol, 10 mol%). The reaction was stirred at room temperature for 12 h. The residue was purified by flash chromatography (eluent: petroleum ether/ethyl acetate = 50/1) on silica gel to afford the desired products.

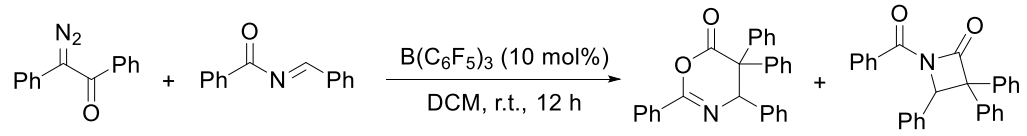
Gram-scale version of Wolff rearrangement/[2+2] cascade cyclization



1b 2.05 g, 10 mmol | **2a** 1.11 g, 5 mmol | **3b** 1.66 g, 83% yield

In an inert atmosphere glovebox, a Schlenk flask (100 mL) was charged with **1b** (2.05 g, 10.0 mmol) and **2a** (1.11 g, 5.0 mmol) and DCM (30 mL) was added. Finally, a solution of $B(C_6F_5)_3$ (0.255 g, 0.5 mmol) in DCM (10 mL) was added slowly to the mixture under stirring. The reaction mixture was stirred at room temperature for 12 hours. The residue was purified by flash chromatography (eluent: petroleum ether/ethyl acetate = 30/1) on silica gel to afford the product **3b** as a white solid (1.66 g, 83% yield).

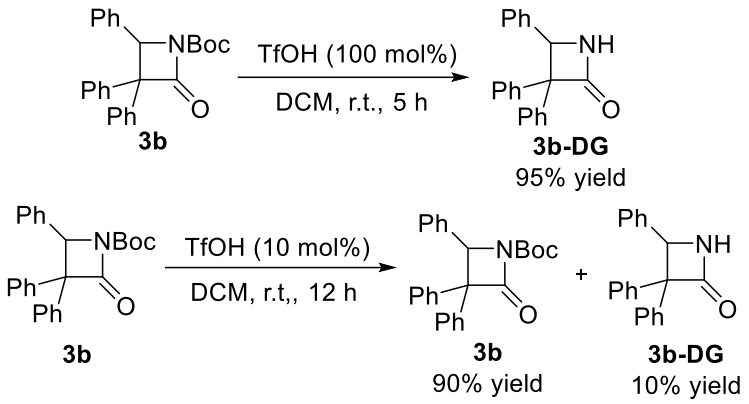
Gram-scale version of Wolff rearrangement/[4+2] cascade cyclization



2a 1.11 g, 5 mmol | **1r** 2.09 g, 10 mmol | **4b**, 1.32 g, 73% yield | **3v**, 0.36 g, 18% yield

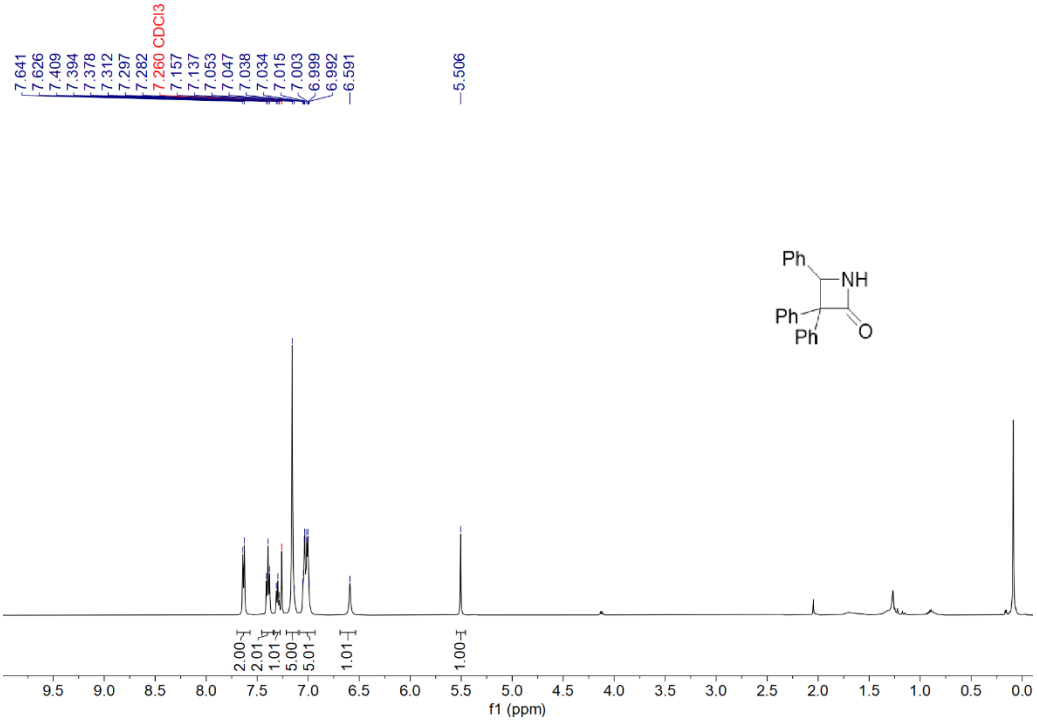
In an inert atmosphere glovebox, a Schlenk flask (100 mL) was charged with **1r** (2.09 g, 10.0 mmol) and **2a** (1.11 g, 5.0 mmol) and DCM (30 mL) was added. Finally, a solution of $B(C_6F_5)_3$ (0.255 g, 0.5 mmol) in DCM (10 mL) was added slowly to the mixture under stirring. The reaction mixture was stirred at room temperature for 12 hours. The residue was purified by flash chromatography (eluent: petroleum ether/ethyl acetate = 50/1) on silica gel to afford the product **4b** as a white solid (1.32 g, 73% yield) and β-lactam **3v** as a white solid (0.36 g, 18% yield).

Control experiments

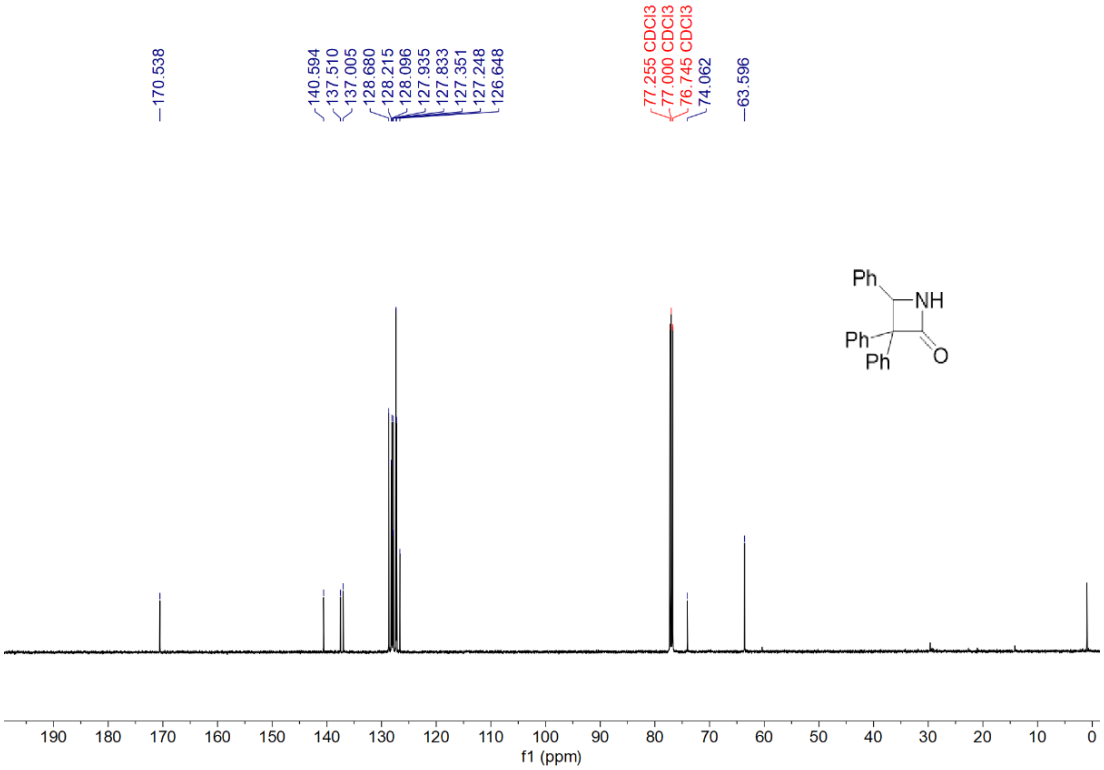


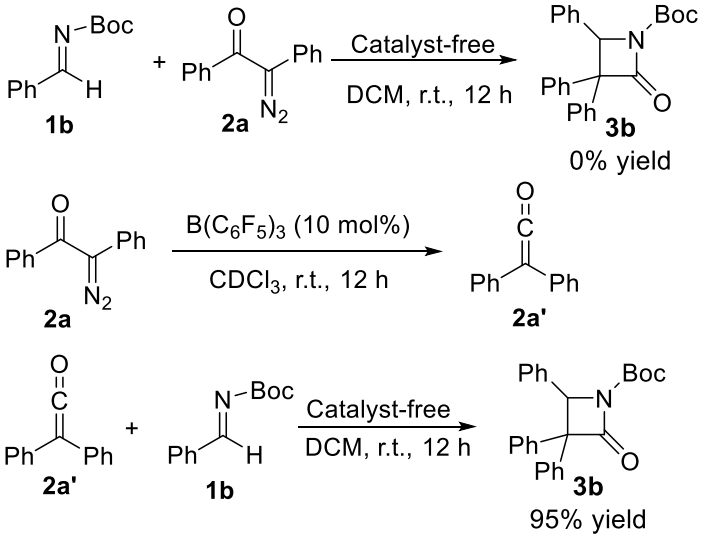
In a 16mL vial, to a solution of **3b** (0.15 mmol, 59.9 mg, 1.0 equiv) in DCM (1.0 mL) was added TfOH (13.3 µL, 100 mol%). The reaction was stirred at room temperature for 5 hours. Then, aqueous $NaHCO_3$ solution was added and extracted with DCM (3 ×1.5 mL). The combined organic layers were dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The residue was purified by flash chromatography (silica gel, petroleum ether/EtOAc =30:1 to 10:1) to give **3b-DG** (42.7 mg, 95%) as a colorless solid. The product **3b-DG** was confirmed by NMR. ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.63 (d, *J* = 7.5 Hz, 2H), 7.39 (t, *J* = 7.5 Hz, 2H), 7.30 (t, *J* = 7.5 Hz, 1H), 7.23-7.09 (m, 5H), 7.08 – 6.93 (m, 5H), 6.59 (s, 1H), 5.51 (s, 1H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 170.54, 140.59, 137.51, 137.01, 128.68, 128.22, 128.10, 127.93, 127.83, 127.35, 127.25, 126.65, 74.06, 63.60.

3b-DG ^{1}H NMR (500 MHz, $CDCl_3$)



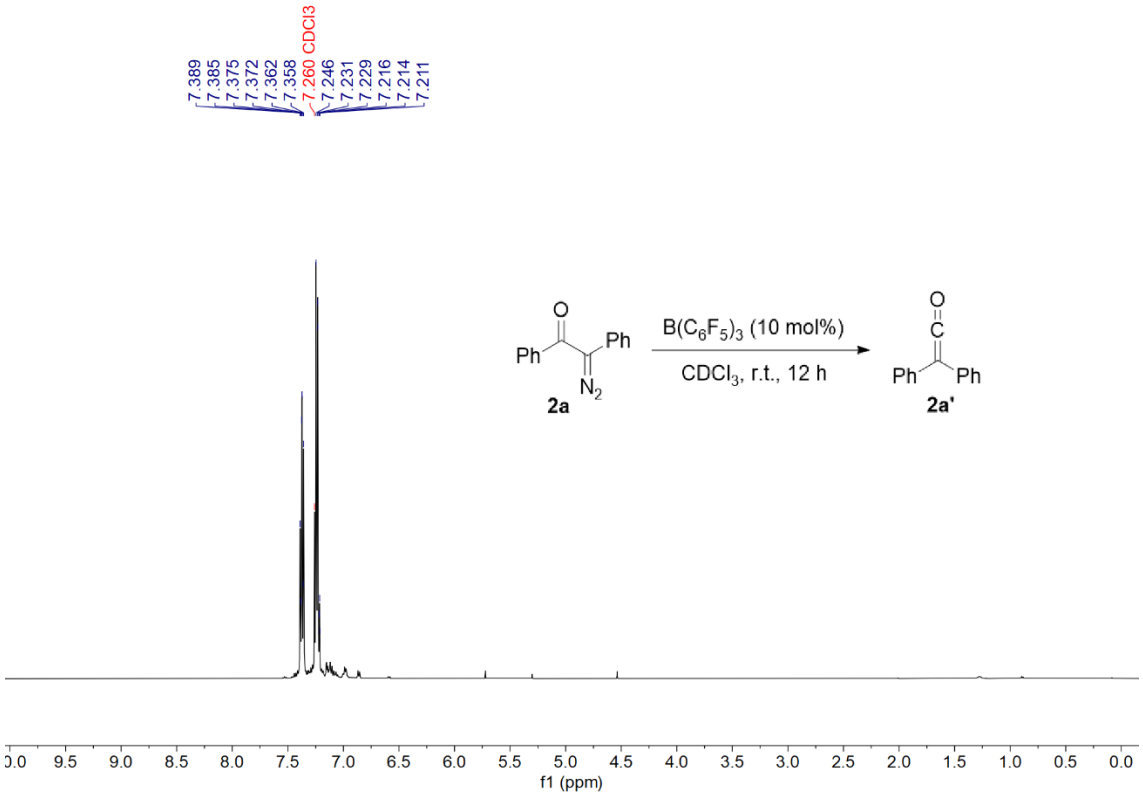
3b-DG $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



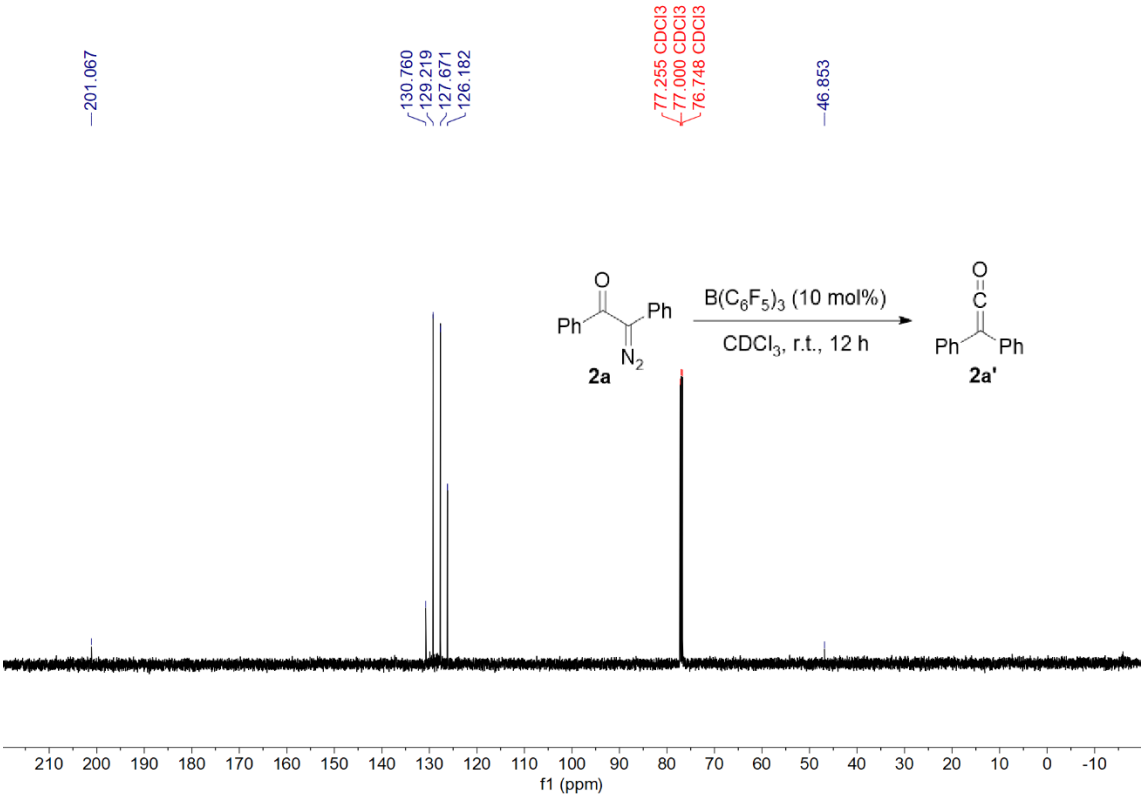


The intermediate **2a'** was confirmed by NMR. ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.39 – 7.35 (m, 4H), 7.26 – 7.20 (m, 6H).$^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$), δ: 201.07, 130.76, 129.22, 127.67, 126.18, 46.85.

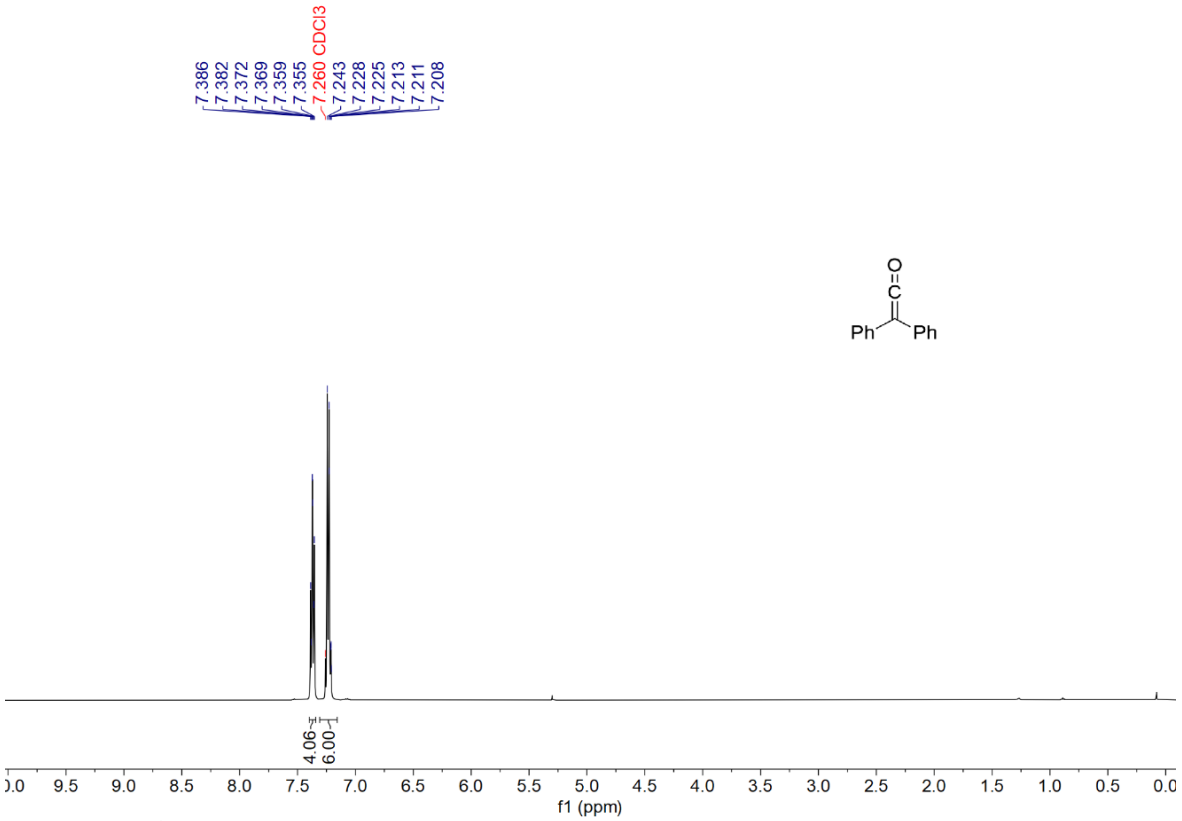
In-situ-2a' ^{1}H NMR (500 MHz, $CDCl_3$)



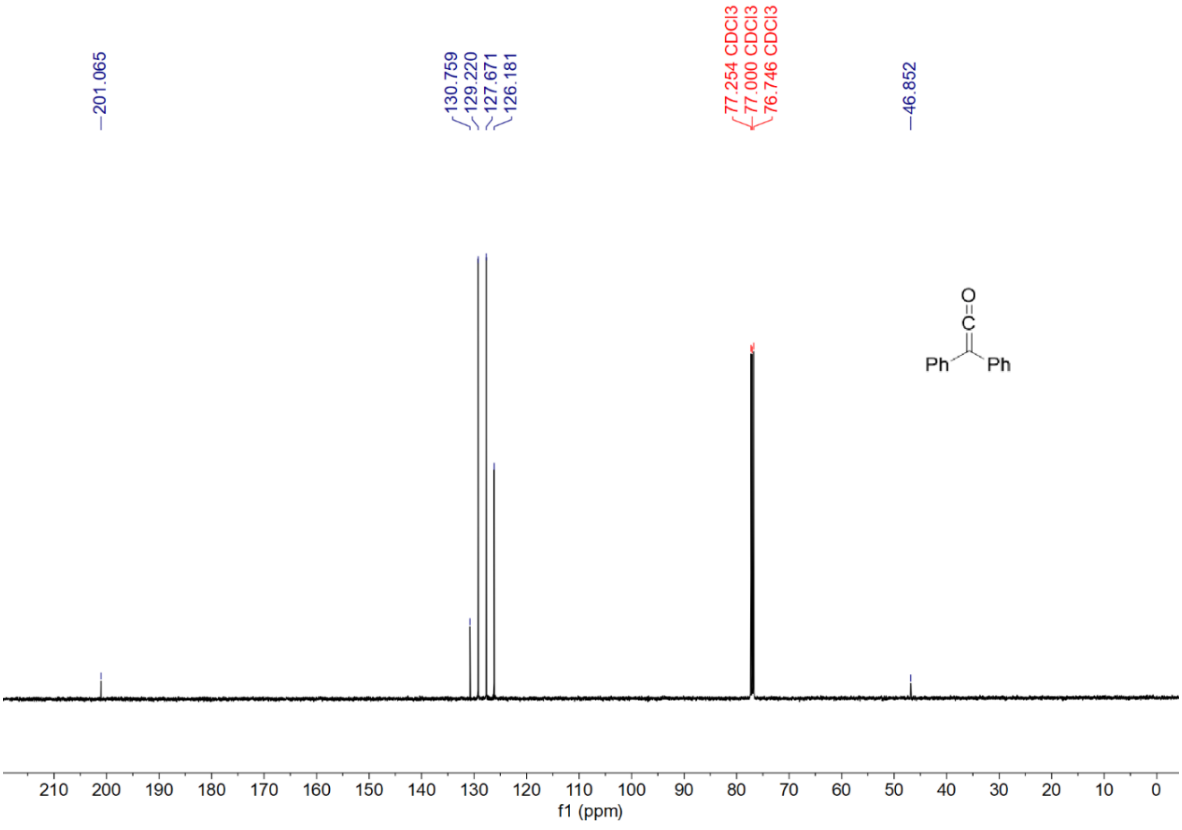
In-situ-2a' $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



Isolated-2a' ^{1}H NMR (500 MHz, $CDCl_3$)

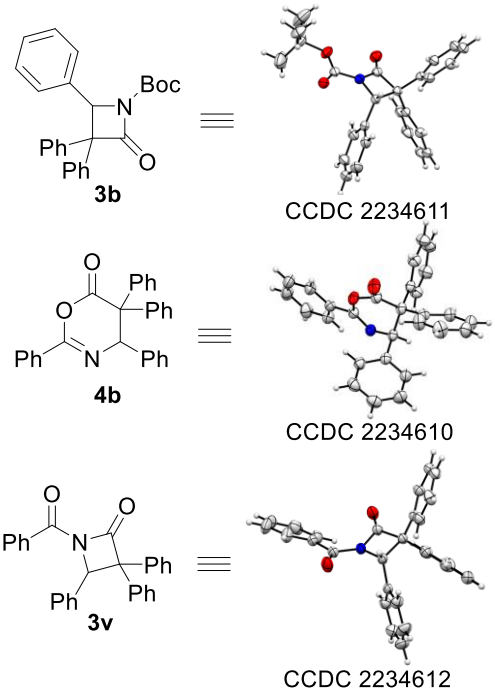


Isolated-2a' ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



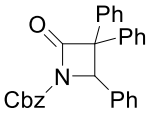
Single crystal X-ray crystallography

X-ray crystallographic data were collected on a Bruker D8 QUEST diffractometer using Cu (60W, Diamond, μKα = 12.894 mm^{-1}) micro-focus X-ray sources at 161 K. The structure was solved and refined using Full-matrix least-squares based on F^2 with program SHELXS and SHELXL[6] within OLEX2.[7]



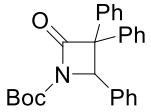
Characterization data

Benzyl-2-oxo-3,3,4-triphenylazetidine-1-carboxylate (3a)



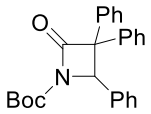
Prepared according to the general procedure (12 h). The compound **3a** was obtained as a white solid in 92% yield (59.8 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.62 (d, *J* = 8.0 Hz, 2H), 7.39 (t, *J* = 7.5 Hz, 2H), 7.33 – 7.26 (m, 5H), 7.20 – 7.00 (m, 11H), 5.83 (s, 1H), 5.25 (d, *J* = 12.5 Hz, 1H), 5.15 (d, *J* = 12.0 Hz, 1H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 167.10, 148.85, 139.69, 136.49, 134.81, 134.77, 128.93, 128.50, 128.34, 128.23, 128.18, 128.04, 127.96, 127.90, 127.80, 127.19, 127.06, 72.63, 68.11, 66.15. HRMS (ESI, m/z): Calcd. for $C_{29}H_{24}NO_3^+$, ($[M+H]^+$): 434.1751; Found: 434.1745.

tert-Butyl 2-oxo-3,3,4-triphenylazetidine-1-carboxylate (3b)



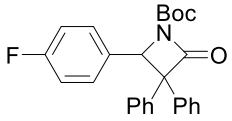
Prepared according to the general procedure (12 h). The compound **3b** was obtained as a white solid in 94% yield (56.3 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.66 – 7.62 (m, 2H), 7.42 – 7.37 (m, 2H), 7.33 – 7.28 (m, 1H), 7.18 – 7.12 (m, 3H), 7.11 – 7.08 (m, 2H), 7.06 – 6.99 (m, 5H), 5.74 (s, 1H), 1.38 (s, 9H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 167.38, 147.60, 140.02, 136.70, 135.29, 128.85, 128.06, 128.01, 127.97, 127.95, 127.65, 127.20, 127.12, 126.92, 83.60, 71.99, 66.17, 27.80. HRMS (ESI, m/z): Calcd. For $C_{26}H_{26}NO_3^+$, ($[M+H]^+$): 400.1908; Found: 400.1904.

Gram-scale of _tert_-butyl 2-oxo-3,3,4-triphenylazetidine-1-carboxylate (3b)



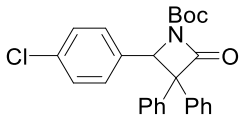
^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.68 – 7.64 (m, 2H), 7.44 – 7.38 (m, 2H), 7.34 – 7.28 (m, 1H), 7.18 – 7.01 (m, 10H), 5.75 (s, 1H), 1.38 (s, 9H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 167.36, 147.59, 140.01, 136.69, 135.28, 128.84, 128.05, 127.99, 127.96, 127.94, 127.64, 127.19, 127.11, 126.91, 83.57, 71.98, 66.16, 27.79.

tert-Butyl 2-(4-fluorophenyl)-4-oxo-3,3-diphenylazetidine-1-carboxylate (3c)



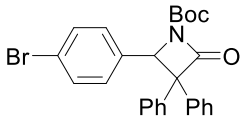
Prepared according to the general procedure (12 h). The compound **3c** was obtained as a white solid in 91% yield (57.0 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.61 (d, J = 7.5 Hz, 2H), 7.40 (t, J = 7.5 Hz, 2H), 7.31 (d, J = 7.5 Hz, 1H), 7.09 – 7.00 (m, 7H), 6.85 (t, J = 8.5 Hz, 2H), 5.73 (s, 1H), 1.39 (s, 9H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 167.14, 162.31 (d, $J_{C\text{-}F}$ = 247.5 Hz), 147.56, 139.75, 136.50, 131.24 (d, $J_{C\text{-}F}$ = 3.3 Hz), 128.88, 128.77 (d, $J_{C\text{-}F}$ = 8.3 Hz), 128.12, 127.97, 127.74, 127.13, 127.11, 115.12 (d, $J_{C\text{-}F}$ = 21.7 Hz), 83.79, 72.01, 65.41, 27.81. ^{19}F{^{1}H} NMR (471 MHz, $CDCl_3$), δ: -113.61. HRMS (ESI, m/z): Calcd. for $C_{26}H_{25}FNO_3^+$, ($[M+H]^+$): 418.1813; Found: 418.1812.

tert-Butyl 2-(4-chlorophenyl)-4-oxo-3,3-diphenylazetidine-1-carboxylate (3d)



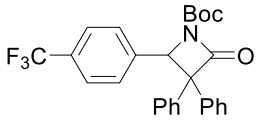
Prepared according to the general procedure (12 h). The compound **3d** was obtained as a white solid in 90% yield (58.5 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.63 – 7.60 (m, 2H), 7.40 (t, *J* = 7.5 Hz, 2H), 7.33 – 7.29 (m, 1H), 7.16 – 7.12 (m, 2H), 7.08 – 7.00 (m, 7H), 5.72 (s, 1H), 1.40 (s, 9H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 167.00, 147.51, 139.62, 136.35, 133.99, 133.82, 128.89, 128.42, 128.30, 128.17, 127.93, 127.77, 127.20, 127.13, 83.89, 72.06, 65.36, 27.81. HRMS (ESI, m/z): Calcd. for $C_{26}H_{24}Cl^{34.9689}NO_3Na^+$, ([M+Na]$^+$): 456.1337; Found: 456.1330; $C_{26}H_{24}Cl^{35.4500}NO_3Na^+$, ([M+Na]$^+$): 458.1308; Found: 458.1299.

***tert*-Butyl 2-(4-bromophenyl)-4-oxo-3,3-diphenylazetidine-1-carboxylate (3e)**



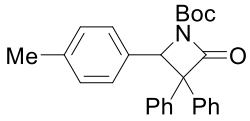
Prepared according to the general procedure (12 h). The compound **3e** was obtained as a white solid in 84% yield (60.3 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.60 (d, *J* = 8.0 Hz, 2H), 7.40 (t, *J* = 7.5 Hz, 2H), 7.33 – 7.26 (m, 3H), 7.06 – 6.96 (m, 7H), 5.70 (s, 1H), 1.40 (s, 9H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 167.01, 147.54, 139.63, 136.34, 134.53, 131.27, 128.91, 128.75, 128.21, 127.95, 127.80, 127.25, 127.15, 122.02, 83.96, 72.04, 65.44, 27.84. HRMS (ESI, m/z): Calcd. for $C_{26}H_{25}Br^{79.9183}NO_3^+$, ([M+H]$^+$): 478.1013; Found: 478.1008; $C_{26}H_{25}Br^{80.9163}NO_3^+$, ([M+H]$^+$): 480.0992; Found: 480.0998.

***tert*-Butyl 2-oxo-3,3-diphenyl-4-(4-(trifluoromethyl)phenyl)azetidine-1-carboxylate (3f)**



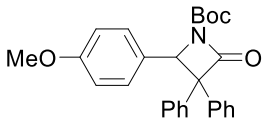
Prepared according to the general procedure (12 h). The compound **3f** was obtained as a white solid in 80% yield (56.1 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.63 (d, *J* = 7.5 Hz, 2H), 7.44 – 7.40 (m, 4H), 7.33 (t, *J* = 7.5 Hz, 1H), 7.22 (d, *J* = 8.0 Hz, 2H), 7.04 – 6.97 (m, 5H), 5.81 (s, 1H), 1.41 (s, 9H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 166.78, 147.57, 139.53, 139.34, 136.13, 130.17 (q, $J_{C\text{-}F}$ = 32.9 Hz) 128.96, 128.20, 127.90, 127.43, 127.33, 127.19, 125.05 (q, $J_{C\text{-}F}$ = 3.8 Hz), 123.80 (d, $J_{C\text{-}F}$ = 272.8 Hz), 84.15, 72.38, 65.24, 27.83. ^{19}F{^{1}H} NMR (471 MHz, $CDCl_3$), δ: -62.68. HRMS (ESI, m/z): Calcd. for $C_{27}H_{25}F_3NO_3^+$, ([M+H]$^+$): 468.1782; Found: 468.1781.

***tert*-Butyl 2-oxo-3,3-diphenyl-4-(p-tolyl)azetidine-1-carboxylate (3g)**



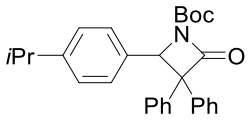
Prepared according to the general procedure (12 h). The compound **3g** was obtained as a white solid in 93% yield (57.6 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.63 (d, *J* = 7.5 Hz, 2H), 7.39 (t, *J* = 7.5 Hz, 2H), 7.29 (t, *J* = 7.5 Hz, 1H), 7.07 – 7.00 (m, 5H), 7.00 – 6.94 (m, 4H), 5.71 (s, 1H), 2.24 (s, 3H), 1.39 (s, 9H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 167.46, 147.65, 140.21, 137.68, 136.81, 132.16, 128.80, 128.75, 127.99, 127.93, 127.57, 127.15, 127.05, 126.85, 83.50, 71.74, 66.14, 27.81, 21.09. HRMS (ESI, m/z): Calcd. for $C_{27}H_{28}NO_3^+$, ([M+H]$^+$): 414.2064; Found: 414.2064.

***tert*-Butyl 2-(4-methoxyphenyl)-4-oxo-3,3-diphenylazetidine-1-carboxylate (3h)**



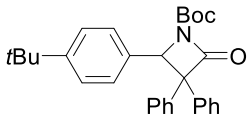
Prepared according to the general procedure (12 h). The compound **3h** was obtained as a white solid in 91% yield (58.6 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.62 (d, *J* = 7.5 Hz, 2H), 7.38 (t, *J* = 7.5 Hz, 2H), 7.30 (t, *J* = 7.5 Hz, 1H), 7.07 – 6.99 (m, 7H), 6.69 (d, *J* = 8.5 Hz, 2H), 5.69 (s, 1H), 3.72 (s, 3H), 1.38 (s, 9H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 167.50, 159.26, 147.64, 140.23, 136.79, 128.80, 128.39, 127.99, 127.56, 127.33, 127.09, 126.89, 113.49, 83.48, 71.72, 65.99, 55.12, 27.80. HRMS (ESI, m/z): Calcd. for $C_{27}H_{28}NO_4^+$, ([M+H]$^+$): 430.2013; Found: 430.2010.

***tert*-Butyl 2-(4-isopropylphenyl)-4-oxo-3,3-diphenylazetidine-1-carboxylate (3i)**



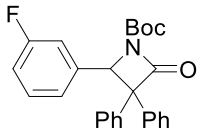
Prepared according to the general procedure (12 h). The compound **3i** was obtained as a white solid in 91% yield (60.2 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.63 (d, *J* = 7.0 Hz, 2H), 7.39 (t, *J* = 8.0 Hz, 2H), 7.29 (t, *J* = 7.0 Hz, 1H), 7.05 – 6.96 (m, 9H), 5.71 (s, 1H), 2.83 – 2.74 (m, 1H), 1.38 (s, 9H), 1.14 (dd, *J* = 7.0 Hz, 2.0 Hz, 6H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 167.48, 148.84, 147.72, 140.21, 136.80, 132.52, 128.81, 128.00, 127.82, 127.56, 127.14, 127.07, 126.78, 126.05, 83.50, 71.82, 66.18, 33.68, 27.81, 23.85. HRMS (ESI, m/z): Calcd. for $C_{29}H_{32}NO_3^+$, ([M+H]$^+$): 442.2377; Found: 442.2374.

***tert*-Butyl 2-(4-(*tert*-butyl)phenyl)-4-oxo-3,3-diphenylazetidine-1-carboxylate (3j)**



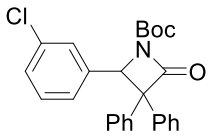
Prepared according to the general procedure (12 h). The compound **3j** was obtained as a white solid in 89% yield (60.8 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.63 (d, *J* = 7.5 Hz, 2H), 7.39 (t, *J* = 8.0 Hz, 2H), 7.29 (t, *J* = 7.5 Hz, 1H), 7.14 (d, *J* = 8.0 Hz, 2H), 7.02 – 6.97 (m, 7H), 5.71 (s, 1H), 1.39 (s, 9H), 1.22 (s, 9H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 167.46, 151.08, 147.75, 140.19, 136.79, 132.11, 128.80, 127.99, 127.79, 127.55, 127.14, 126.78, 126.75, 124.86, 83.50, 71.81, 66.09, 34.39, 31.17, 27.81. HRMS (ESI, m/z): Calcd. for $C_{30}H_{34}NO_3^+$, ([M+H]$^+$): 456.2534; Found: 456.2529.

***tert*-Butyl 2-(3-fluorophenyl)-4-oxo-3,3-diphenylazetidine-1-carboxylate (3k)**



Prepared according to the general procedure (12 h). The compound **3k** was obtained as a white solid in 87% yield (54.4 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.63 (d, = 7.0 Hz, 2H), 7.41 (t, *J* = 7.0 Hz, 2H), 7.32 (t, *J* = 7.5 Hz, 1H), 7.16 – 7.10 (m, 1H), 7.07 – 7.01 (m, 5H), 6.91 (d, *J* = 8.0 Hz, 1H), 6.86 – 6.78 (m, 2H), 5.74 (s, 1H), 1.41 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$), δ: 166.94, 162.53 (d, $J_{C\text{-}F}$ = 247.0 Hz), 147.52, 139.49, 138.04 (d, $J_{C\text{-}F}$ = 7.3 Hz), 136.34, 129.68 (d, $J_{C\text{-}F}$ = 8.3 Hz), 128.89, 128.08, 127.86, 127.78, 127.17, 122.74 (d, $J_{C\text{-}F}$ = 3.0 Hz), 114.91 (d, $J_{C\text{-}F}$ = 21.2 Hz), 114.03 (d, $J_{C\text{-}F}$ = 22.4 Hz), 83.92, 72.22, 65.26, 27.80. ^{19}F{^{1}H} NMR (471 MHz, $CDCl_3$), δ: -113.04. HRMS (ESI, m/z): Calcd. for $C_{26}H_{25}FNO_3^+$, ([M+H]$^+$): 418.1813; Found: 418.1812.

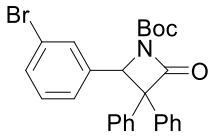
***tert*-Butyl 2-(3-chlorophenyl)-4-oxo-3,3-diphenylazetidine-1-carboxylate (3l)**



Prepared according to the general procedure (12 h). The compound **3l** was obtained as a white solid in 89% yield (57.3 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.62 (d, *J* = 7.5 Hz, 2H), 7.41 (t, *J* = 7.5 Hz, 2H), 7.32 (d, *J* = 7.5 Hz, 1H), 7.13 – 7.00 (m, 8H), 6.96 (d, *J* = 7.5 Hz, 1H), 5.71 (s, 1H), 1.41 (s, 9H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 166.93, 147.52, 139.46, 137.54, 136.30, 134.13,

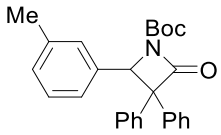
129.35, 128.93, 128.13, 127.94, 127.83, 127.36, 127.26, 127.22, 125.15, 83.99, 72.29, 65.23, 27.83. HRMS (ESI, m/z): Calcd. for $C_{26}H_{25}Cl^{34.9689}NO_3^+$, ([M+H]$^+$): 434.1518; Found: 434.1520; $C_{26}H_{25}Cl^{35.4500}NO_3^+$, ([M+H]$^+$): 436.1488; Found: 436.1484.

***tert*-Butyl 2-(3-bromophenyl)-4-oxo-3,3-diphenylazetidine-1-carboxylate (3m)**



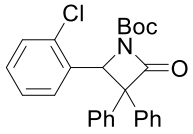
Prepared according to the general procedure (12 h). The compound **3m** was obtained as a white solid in 89% yield (63.8 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.62 (d, *J* = 7.5 Hz, 2H), 7.41 (t, *J* = 7.5 Hz, 2H), 7.32 (t, *J* = 7.5 Hz, 1H), 7.28 – 7.25 (m, 2H), 7.09 – 6.98 (m, 7H), 5.70 (s, 1H), 1.41 (s, 9H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 166.92, 147.48, 139.40, 137.73, 136.25, 131.03, 130.27, 129.59, 128.91, 128.13, 127.93, 127.83, 127.27, 127.20, 125.60, 122.19, 84.00, 72.31, 65.17, 27.82. HRMS (ESI, m/z): Calcd. for $C_{26}H_{25}Br^{79.9183}NO_3^+$, ([M+H]$^+$): 478.1013; Found: 478.1008; $C_{26}H_{25}Br^{80.9163}NO_3^+$, ([M+H]$^+$): 480.0992; Found: 480.0986.

***tert*-Butyl 2-oxo-3,3-diphenyl-4-(m-tolyl)azetidine-1-carboxylate (3n)**



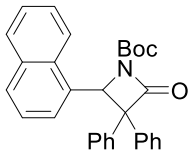
Prepared according to the general procedure (12 h). The compound **3n** was obtained as a white solid in 90% yield (55.8 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.64 (d, *J* = 7.5 Hz, 2H), 7.40 (t, *J* = 8.0 Hz, 2H), 7.30 (t, *J* = 7.5 Hz, 1H), 7.05 – 7.00 (m, 6H), 6.94 (d, *J* = 8.0 Hz, 1H), 6.89 – 6.87 (m, 2H), 5.70 (s, 1H), 2.19 (s, 3H), 1.39 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$), δ: 167.43, 147.65, 140.08, 137.61, 136.76, 135.12, 128.81, 128.69, 127.97, 127.91, 127.87, 127.84, 127.60, 127.19, 126.88, 124.23, 83.55, 71.90, 66.12, 27.80, 21.18. HRMS (ESI, m/z): Calcd. for $C_{27}H_{28}NO_3^+$, ([M+H]$^+$): 414.2064; Found: 414.2062.

***tert*-Butyl 2-(2-chlorophenyl)-4-oxo-3,3-diphenylazetidine-1-carboxylate (3o)**



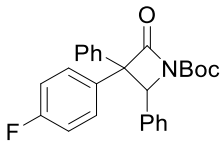
Prepared according to the general procedure (12 h). The compound **3o** was obtained as a white solid in 86% yield (56.0 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 7.79 (d, *J* = 7.5 Hz, 2H), 7.40 (t, *J* = 7.5 Hz, 2H), 7.33 – 7.26 (m, 2H), 7.12 – 6.89 (m, 8H), 6.29 (s, 1H), 1.40 (s, 9H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 167.12, 147.28, 139.29, 136.88, 133.46, 133.22, 129.25, 129.04, 128.78, 128.76, 128.07, 127.78, 127.68, 127.44, 127.07, 126.34, 83.82, 72.91, 61.38, 27.78. HRMS (ESI, m/z): Calcd. for $C_{26}H_{25}Cl^{34.9689}NO_3^+$, ([M+H]$^+$): 434.1518; Found: 434.1512; $C_{26}H_{25}Cl^{35.4500}NO_3^+$, ([M+H]$^+$): 436.1488; Found: 436.1482.

***tert*-Butyl 2-(naphthalen-1-yl)-4-oxo-3,3-diphenylazetidine-1-carboxylate (3p)**



Prepared according to the general procedure (12 h). The compound **3p** was obtained as a white solid in 92% yield (62.0 mg). ^{1}H NMR (400 MHz, $CDCl_3$), δ: 8.15 (d, *J* = 9.0 Hz, 1H), 7.82 (d, *J* = 8.0 Hz, 1H), 7.65 (t, *J* = 7.5 Hz, 3H), 7.57 (t, *J* = 7.5 Hz, 1H), 7.49 (t, *J* = 7.5 Hz, 1H), 7.44 (t, *J* = 7.5 Hz, 2H), 7.35 (t, *J* = 7.5 Hz, 1H), 7.20 – 7.09 (m, 2H), 6.90 (t, *J* = 6.5 Hz, 3H), 6.83 (t, *J* = 7.5 Hz, 2H), 6.57 (s, 1H), 1.37 (s, 9H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 167.60, 147.63, 139.55, 136.24, 133.45, 131.42, 131.20, 129.12, 129.03, 128.40, 128.11, 127.82, 127.63, 127.25, 126.96, 126.53, 125.57, 124.75, 124.42, 122.28, 83.75, 73.01, 61.90, 27.81. HRMS (ESI, m/z): Calcd. for $C_{30}H_{28}NO_3^+$, ([M+H]$^+$): 450.2064; Found: 450.2064.

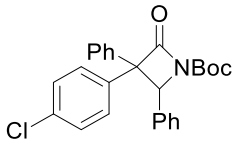
***tert*-Butyl 3-(4-fluorophenyl)-2-oxo-3,4-diphenylazetidine-1-carboxylate (3q)**



Prepared according to the general procedure (12 h). The compound **3q** was obtained as a white solid in 87% yield (53.9 mg, 1:1 dr). $^{1}H_{mixture}$ NMR (500 MHz, $CDCl_3$), δ: 7.63 – 7.57 (m, 2H), 7.40 (t, *J* = 7.5 Hz, 1H), 7.21 – 7.12 (m, 3H), 7.12 – 7.04 (m, 3H), 7.00 (m, 4H), 6.70 (t, *J* = 8.5 Hz, 1H), 5.73 (s, 0.5 H), 5.69 (s, 0.5 H), 1.40 (s, 4.5 H), 1.40 (s, 4.5 H). $^{13}C\{^{1}H\}_{mixture}$ NMR (126 MHz, $CDCl_3$), δ: 167.22, 162.11 (d, $J_{C\text{-}F}$ = 247.8 Hz), 161.56 (d, $J_{C\text{-}F}$ = 247.7 Hz), 147.53, 139.83, 136.51, 135.83 (d, $J_{C\text{-}F}$ = 3.0 Hz), 135.10, 135.06, 132.63 (d, $J_{C\text{-}F}$ = 3.5 Hz), 129.74 (d, $J_{C\text{-}F}$ = 8.2 Hz), 128.95, 128.87 (d, $J_{C\text{-}F}$ = 8.3 Hz), 128.23, 128.17, 128.10, 128.08, 128.04, 127.95, 127.80, 127.09, 127.06, 127.03, 115.76 (d, $J_{C\text{-}F}$ = 21.3 Hz), 114.91 (d, $J_{C\text{-}F}$ = 21.9 Hz), 83.74, 71.36, 71.28, 66.33,

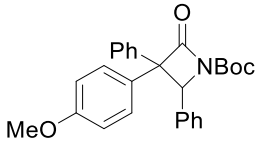
66.11, 27.77. $^{19}F\{^{1}H\}_{mixture}$ NMR (471 MHz, $CDCl_3$), δ: -114.31, -114.88. HRMS (ESI, m/z): Calcd. for $C_{26}H_{25}FNO_3^+$, ($[M+H]^+$): 418.1813; Found: 418.1811.

***tert*-Butyl 3-(4-chlorophenyl)-2-oxo-3,4-diphenylazetidine-1-carboxylate (3r)**



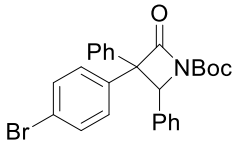
Prepared according to the general procedure (12 h). The compound **3r** was obtained as a white solid in 92% yield (59.9 mg, 1:1 dr). $^{1}H_{mixture}$ NMR (500 MHz, $CDCl_3$), δ: 7.62 – 7.54 (m, 2H), 7.47 – 7.28 (m, 3H), 7.21– 7.13 (m, 3H), 7.11 – 7.05 (m, 2H), 7.04 – 6.95 (m, 4H), 5.73 (s, 0.5H), 5.68 (s, 0.5 H), 1.37 (s, 9H). $^{13}C\{^{1}H\}_{mixture}$ NMR (126 MHz, $CDCl_3$), δ: 167.00, 147.49, 147.47, 139.65, 138.48, 136.25, 135.36, 134.98, 133.71, 133.01, 129.35, 129.00, 128.54, 128.32, 128.29, 128.17, 128.13, 128.08, 127.93, 127.88, 127.14, 127.07, 127.04, 83.81, 71.42, 71.24, 66.16, 66.14, 27.77. HRMS (ESI, m/z): Calcd. for $C_{26}H_{25}Cl^{34.9689}NO_3^+$, ($[M+H]^+$): 434.1518; Found: 434.1517; $C_{26}H_{25}Cl^{35.4500}NO_3^+$, ($[M+H]^+$): 436.1488; Found: 436.1489.

***tert*-Butyl 3-(4-methoxyphenyl)-2-oxo-3,4-diphenylazetidine-1-carboxylate (3s)**



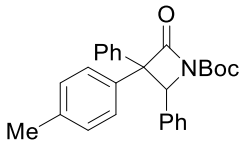
Prepared according to the general procedure (12 h). The compound **3s** was obtained as a white solid in 87% yield (56.0 mg, 1:1 dr). $^{1}H_{mixture}$ NMR (500 MHz, $CDCl_3$), δ: 7.61 (d, *J* = 7.5 Hz, 1H), 7.53 (d, *J* = 9.0 Hz, 1H,), 7.39 (t, *J* = 7.5 Hz, 1H), 7.29 (t, *J* = 7.0 Hz, 1H), 7.19 – 7.11 (m, 3H), 7.10 – 7.05 (m, 2H), 7.05 – 6.95 (m, 3H), 6.95 – 6.90 (m, 2H), 6.57 – 6.52 (m, 1H), 5.71 (s, 0.5H), 5.69 (s, 0.5H), 3.80 (s,1.5H), 3.65 (s, 1.5H), 1.38 (s, 4.5H), 1.37 (s, 4.5H). $^{13}C\{^{1}H\}_{mixture}$ NMR (126 MHz, $CDCl_3$), δ: 167.69, 167.65, 159.01, 158.31, 147.69, 147.65, 140.38, 136.94, 135.37, 132.14, 129.19, 128.86, 128.84, 128.36, 128.11, 128.04, 128.01, 127.98, 127.92, 127.56, 127.16, 127.11, 127.09, 126.85, 114.22, 113.36, 83.57, 83.55, 71.47, 71.45, 66.40, 66.26, 55.32, 55.06, 27.80. HRMS (ESI, m/z): Calcd. for $C_{27}H_{28}NO_4^+$, ($[M+H]^+$): 430.2013; Found: 430.2010.

***tert*-Butyl 3-(4-bromophenyl)-2-oxo-3,4-diphenylazetidine-1-carboxylate (3t)**



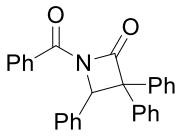
Prepared according to the general procedure (12 h). The compound **3t** was obtained as a white solid in 86% yield (61.7 mg, 1:1 dr). $^{1}H_{\text{mixture}}$ NMR (500 MHz, $CDCl_3$), δ: 7.60 (d, *J* = 8.0 Hz, 1H), 7.54 – 7.48 (m, 2H), 7.42 – 7.30 (m, 1H), 7.22 – 6.89 (m, 10H), 5.73 (s, 0.5H), 5.68 (s, 0.5H), 1.37 (s, 9H). $^{13}C\{^{1}H\}_{\text{mixture}}$ NMR (126 MHz, $CDCl_3$), δ: 166.93, 166.90, 147.47, 147.44, 139.59, 139.01, 136.17, 135.89, 134.95, 131.95, 131.12, 129.66, 128.99, 128.85, 128.34, 128.33, 128.13, 128.08, 127.92, 127.88, 127.15, 127.06, 127.02, 121.83, 121.24, 83.80, 71.45, 71.27, 66.10, 27.77. HRMS (ESI, m/z): Calcd. for $C_{26}H_{25}Br^{79.9183}NO_3^+$, ($[M+H]^+$): 478.1013; Found: 478.1013; $C_{26}H_{25}Br^{80.9163}NO_3^+$, ($[M+H]^+$): 480.0992; Found: 480.0995.

***tert*-Butyl 2-oxo-3,4-diphenyl-3-(*p*-tolyl)azetidine-1-carboxylate (3u)**



Prepared according to the general procedure (12 h). The compound **3u** was obtained as a white solid in 91% yield (58.4 mg, 1:1 dr). $^{1}H_{\text{mixture}}$ NMR (500 MHz, $CDCl_3$), δ: 7.63 (d, *J* = 7.5 Hz, 1H), 7.52 (d, *J* = 8.0 Hz, 1H), 7.39 (t, *J* = 7.5 Hz, 1H), 7.21 (d, *J* = 8.0 Hz, 1H), 7.19 – 7.07 (m, 5H), 7.07 – 6.96 (m, 3H), 6.91 (d, *J* = 8.0 Hz, 1H), 6.82 (d, J = 8.0 Hz, 1H), 5.73 (s, 1H), 2.35 (s, 1.5H), 2.15 (s, 1.5H), 1.38 (s, 4.5H). 1.37 (s, 4.5H). $^{13}C\{^{1}H\}_{\text{mixture}}$ NMR (126 MHz, $CDCl_3$), δ: 167.59, 167.52, 147.63, 147.62, 140.32, 137.45, 137.08, 136.85, 136.54, 135.38, 135.36, 133.67, 129.49, 128.80, 128.64, 128.04, 128.02, 127.96, 127.93, 127.90, 127.82, 127.53, 127.17, 127.09, 127.06, 126.83, 83.50, 71.76, 71.70, 66.23, 27.77, 20.99, 20.87. HRMS (ESI, m/z): Calcd. for $C_{27}H_{28}NO_3^+$, ($[M+H]^+$): 414.2064; Found: 414.2062.

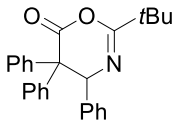
Gram-scale of 1-benzoyl-3,3,4-triphenylazetidin-2-one (3v)



White solid, 18% yield (0.36 g). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 8.12 (d, *J* = 7.5 Hz, 2H), 7.68 – 7.62 (m, 3H), 7.54 (t, *J* = 7.5 Hz, 2H), 7.41 (t, *J* = 7.5 Hz, 2H), 7.19 – 7.14 (m, 5H), 7.11 – 7.00

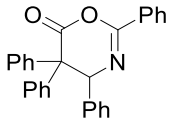
(m, 5H), 6.13 (s, 1H). $^{13}C\{^1H\}$ NMR (126 MHz, $CDCl_3$), δ: 166.95, 166.07, 139.69, 136.91, 135.01, 133.59, 132.02, 130.07, 128.90, 128.23, 128.22, 128.11, 128.01, 127.98, 127.78, 127.33, 127.09, 127.03, 70.57, 64.27. HRMS (ESI, m/z): Calcd. for $C_{28}H_{21}NO_2Na^+$, ($[M+Na]^+$): 426.1465; Found: 426.1463.

2-(*tert*-Butyl)-4,5-diphenyl-4,5-dihydro-6*H*-1,3-oxazin-6-one (4a)



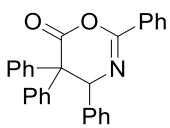
Prepared according to the general procedure (12 h). The compound **4a** was obtained as a white solid in 82% yield (47.2 mg). ^1H NMR (500 MHz, $CDCl_3$), δ: 7.53 (d, *J* = 6.5 Hz, 2H), 7.42 – 7.34 (m, 3H), 7.19 (t, *J* = 7.5 Hz, 1H), 7.13 – 7.04 (m, 3H), 6.99 (t, *J* = 7.5 Hz, 2H), 6.65 (t, *J* =8.5 Hz, 4H), 5.41 (s, 1H), 1.08 (s, 9H). $^{13}C\{^1H\}$ NMR (126 MHz, $CDCl_3$), δ: 167.84, 162.89, 138.70, 137.97, 135.21, 129.64, 129.06, 128.55, 128.39, 128.25, 128.12, 128.06, 127.18, 126.80, 66.82, 60.53, 36.81, 26.66. HRMS (ESI, m/z): Calcd. for $C_{26}H_{26}NO_2^+$, ($[M+H]^+$): 384.1959; Found: 384.1952.

2,4,5,5-Tetraphenyl-4,5-dihydro-6*H*-1,3-oxazin-6-one (4b)



Prepared according to the general procedure (12 h). The compound **4b** was obtained as a white solid in 95% yield (57.5 mg). ^1H NMR (500 MHz, $CDCl_3$), δ: 8.11 (d, *J* = 7.0 Hz, 2H), 7.67 – 7.61 (m, 3H), 7.54 (t, *J* = 7.5 Hz, 2H), 7.40 (t, *J* = 7.5 Hz, 2H), 7.31 (t, *J* = 7.5 Hz, 1H), 7.20 – 7.12 (m, 5H), 7.10 – 7.01 (m, 5H), 6.12 (s, 1H). $^{13}C\{^1H\}$ NMR (126 MHz, $CDCl_3$), δ: 166.98, 166.11, 139.71, 136.93, 135.03, 133.61, 132.04, 130.10, 128.92, 128.26, 128.24, 128.13, 128.04, 128.00, 127.80, 127.35, 127.11, 127.05, 70.58, 64.29. HRMS (ESI, m/z): Calcd. for $C_{28}H_{22}NO_2^+$, ($[M+H]^+$): 404.1646; Found: 404.1640.

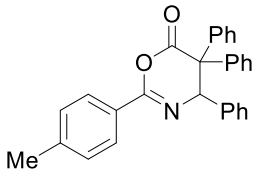
Gram-scale of 2,4,5,5-tetraphenyl-4,5-dihydro-6*H*-1,3-oxazin-6-one (4b)



^1H NMR (500 MHz, $CDCl_3$), δ: 8.12 (d, *J* = 8.0 Hz, 2H), 7.68 – 7.62 (m, 3H), 7.54 (t, *J* = 8.0 Hz, 2H), 7.41 (t, *J* = 8.0 Hz, 2H), 7.31 (t, *J* = 7.5 Hz, 1H), 7.20 – 7.13 (m, 5H), 7.10 – 7.04 (m, 5H),

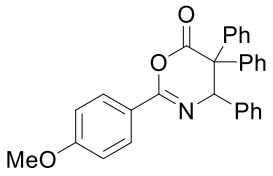
6.13 (s, 1H). $^{13}C\{^1H\}$ NMR (126 MHz, $CDCl_3$), δ: 166.96, 166.09, 139.69, 136.91, 135.02, 133.60, 132.03, 130.08, 128.91, 128.24, 128.23, 128.12, 128.02, 127.99, 127.78, 127.34, 127.10, 127.04, 70.57, 64.27.

4,5,5-Triphenyl-2-(p-tolyl)-4,5-dihydro-6*H*-1,3-oxazin-6-one (4c)



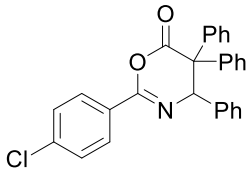
Prepared according to the general procedure (12 h). The compound **4c** was obtained as a white solid in 93% yield (58.2 mg). ^1H NMR (500 MHz, $CDCl_3$), δ: 7.93 (d, *J* = 8.0 Hz, 2H), 7.59 (d, *J* = 7.5 Hz, 2H), 7.38 – 7.28 (m, 3H), 7.22 – 7.14 (m, 3H), 7.11 – 7.05 (m, 3H), 7.01 (t, *J* = 7.5 Hz, 2H), 6.75 (d, *J* = 7.5 Hz, 2H), 6.70 (d, *J* = 8.0 Hz, 2H), 5.60 (s, 1H), 2.38 (s, 3H). $^{13}C\{^1H\}$ NMR (126 MHz, $CDCl_3$), δ: 167.33, 153.08, 142.52, 139.28, 138.38, 135.52, 129.61, 129.12, 129.03, 128.77, 128.43, 128.29, 128.08, 128.05, 127.90, 127.24, 127.14, 126.79, 67.71, 60.80, 21.51. HRMS (ESI, m/z): Calcd. for $C_{29}H_{24}NO_2^+$, ($[M+H]^+$): 418.1802; Found: 418.1795.

2-(4-Methoxyphenyl)-4,5,5-triphenyl-4,5-dihydro-6*H*-1,3-oxazin-6-one (4d)



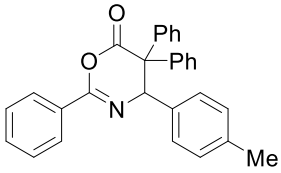
Prepared according to the general procedure (12 h). The compound **4d** was obtained as a white solid in 94% yield (61.1 mg). ^1H NMR (500 MHz, $CDCl_3$), δ: 7.99 (d, *J* = 9.0 Hz, 2H), 7.60 (d, *J* = 7.5 Hz, 2H), 7.39 – 7.28 (m 3H), 7.17 (t, *J* = 7.5 Hz, 1H), 7.12 – 7.05 (m, 3H), 7.01 (t, *J* = 8.0 Hz, 2H), 6.89 (d, *J* = 9.0 Hz, 2H), 6.75 (d, *J* = 7.0 Hz, 2H), 6.70 (d, *J* = 7.5 Hz, 2H), 5.59 (s, 1H), 3.83 (s, 3H). $^{13}C\{^1H\}$ NMR (126 MHz, $CDCl_3$), δ: 167.38, 162.65, 152.73, 139.35, 138.45, 135.71, 129.72, 129.61, 129.05, 128.74, 128.39, 128.27, 128.04, 127.22, 126.76, 122.22, 113.74, 67.67, 60.80, 55.40. HRMS (ESI, m/z): Calcd. for $C_{29}H_{24}NO_3^+$, ($[M+H]^+$): 434.1751; Found: 434.1746.

2-(4-Chlorophenyl)-4,5,5-triphenyl-4,5-dihydro-6*H*-1,3-oxazin-6-one (4e)



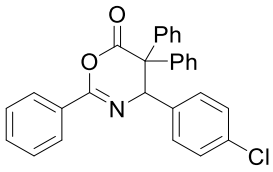
Prepared according to the general procedure (12 h). The compound **4e** was obtained as a white solid in 93% yield (61.3 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 8.02 (d, *J* = 7.5 Hz, 2H), 7.56 (d, *J* = 7.5 Hz, 2H), 7.49 (t, *J* = 7.5 Hz, 1H), 7.43 – 7.30 (m, 5H), 7.21 (t, *J* = 7.5 Hz, 1H), 7.14 (t, *J* = 7.5 Hz, 2H), 6.99 (d, *J* = 8.5 Hz, 2H), 6.78 (d, *J* = 8.0 Hz, 2H), 6.62 (d, *J* = 8.0 Hz, 2H), 5.60 (s, 1H).^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 167.01, 153.00, 137.85, 137.84, 135.07, 132.93, 132.03, 131.02, 129.78, 128.97, 128.88, 128.73, 128.56, 128.43, 128.02, 127.93, 127.41, 67.62, 60.39. HRMS (ESI, m/z): Calcd. for $C_{28}H_{21}Cl^{34.9689}NO_2^+$, ([M+H]$^+$): 438.1256; Found: 438.1252. $C_{28}H_{21}Cl^{35.4500}NO_2^+$, ([M+H]$^+$): 440.1226; Found: 440.1220.

2,5,5-Triphenyl-4-(p-tolyl)-4,5-dihydro-6*H*-1,3-oxazin-6-one (4f)



Prepared according to the general procedure (12 h). The compound **4f** was obtained as a white solid in 91% yield (57.0 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 8.02 (d, *J* = 7.5 Hz, 2H), 7.58 (d, *J* = 7.5 Hz, 2H), 7.48 (t, *J* = 7.0 Hz, 1H), 7.42 – 7.28 (m, 5H), 7.09 (t, *J* = 7.5 Hz, 1H), 7.02 (t, *J* = 8.0 Hz, 2H), 6.90 (d, *J* = 7.5 Hz, 2H), 6.72 (d, *J* = 8.0 Hz, 2H), 6.64 (d, *J* = 8.0 Hz, 2H), 5.59 (s, 1H), 2.26 (s, 3H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 167.32, 152.86, 139.25, 138.39, 137.90, 132.20, 131.84, 130.01, 129.65, 129.01, 128.99, 128.77, 128.41, 128.36, 127.91, 127.89, 127.23, 126.76, 67.44, 60.81, 21.03. HRMS (ESI, m/z): Calcd. for $C_{29}H_{24}NO_2^+$, ([M+H]$^+$): 418.1802; Found: 418.1796.

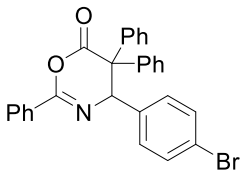
4-(4-Chlorophenyl)-2,5,5-triphenyl-4,5-dihydro-6*H*-1,3-oxazin-6-one (4g)



Prepared according to the general procedure (12 h). The compound **4g** was obtained as a white solid in 90% yield (59.2 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 8.02 (d, *J* = 7.5 Hz, 2H), 7.56 (d, *J* =

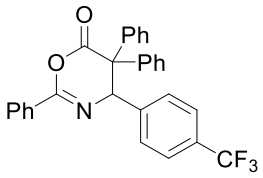
8.5 Hz, 2H), 7.50 (t, *J* = 7.5 Hz, 1H), 7.43 – 7.29 (m, 5H), 7.14 – 7.03 (m, 5H), 6.74 (d, *J* = 8.0 Hz, 2H), 6.66 (d, *J* = 7.5 Hz, 2H), 5.61 (s, 1H). $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$), δ: 166.85, 153.36, 138.89, 138.11, 134.05, 132.10, 129.71, 129.53, 129.36, 128.94, 128.86, 128.57, 128.45, 128.43, 127.92, 127.51, 127.08, 67.04, 60.60. HRMS (ESI, m/z): Calcd. for $C_{28}H_{21}Cl^{34.9689}NO_2^+$, ($[M+H]^+$): 438.1256; Found: 438.1249. $C_{28}H_{21}Cl^{35.4500}NO_2^+$, ($[M+H]^+$): 440.1226; Found: 438.1219.

4-(4-Bromophenyl)-2,5,5-triphenyl-4,5-dihydro-6*H*-1,3-oxazin-6-one (4h)



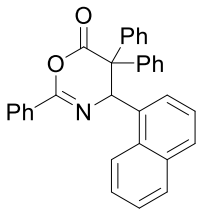
Prepared according to the general procedure (12 h). The compound **4h** was obtained as a white solid in 90% yield (65.2 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 8.02 (d, *J* = 7.5 Hz, 2H), 7.56 (d, *J* = 7.0 Hz, 2H), 7.50 (t, *J* = 7.5 Hz, 1H), 7.43 – 7.29 (m, 5H), 7.23 (d, *J* = 8.5 Hz, 2H), 7.12 (t, *J* = 7.5 Hz, 1H), 7.06 (t, *J* = 8.0 Hz, 2H), 6.74 (d, *J* = 8.0 Hz, 2H), 6.61 (d, *J* = 9.0 Hz, 2H), 5.59 (s, 1H). $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$), δ 166.83, 153.42, 138.85, 138.10, 134.59, 132.11, 131.39, 129.69, 129.53, 128.94, 128.86, 128.58, 128.46, 127.92, 127.52, 127.10, 122.21, 67.10, 60.53. HRMS (ESI, m/z): Calcd. for $C_{28}H_{21}Br^{79.9183}NO_2^+$, ($[M+H]^+$): 482.0751; Found: 482.0746; $C_{28}H_{21}Br^{80.9163}NO_2^+$, ($[M+H]^+$): 484.0730; Found: 484.0723.

2,5,5-Triphenyl-4-(4-(trifluoromethyl)phenyl)-4,5-dihydro-6*H*-1,3-oxazin-6-one (4i)



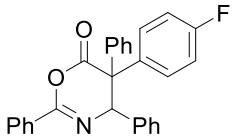
Prepared according to the general procedure (12 h). The compound **4i** was obtained as a white solid in 84% yield (59.3 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 8.03 (d, *J* = 8.0 Hz, 2H), 7.57 (d, *J* = 7.5 Hz, 2H), 7.51 (t, *J* = 7.5 Hz, 1H), 7.44 – 7.30 (m, 7H), 7.11 (t, *J* = 7.5 Hz, 1H), 7.04 (t, *J* = 7.5 Hz, 2H), 6.86 (d, *J* = 8.0 Hz, 2H), 6.72 (d, *J* = 8.0 Hz, 2H), 5.69 (s, 1H). $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$), δ: 166.67, 153.68, 139.80 (d, *J* = 0.6 Hz), 138.71, 138.03, 132.22, 130.35 (q, *J* =32.8 Hz), 129.62, 129.47, 128.94, 128.92, 128.67, 128.50, 128.46, 127.96, 127.55, 127.23, 125.18 (q, *J* =3.8 Hz), 123.82 (q, *J* =273.7 Hz), 67.30, 60.50. $^{19}F\{^{1}H\}$ NMR (471 MHz, $CDCl_3$), δ: -62.70. HRMS (ESI, m/z): Calcd. for $C_{29}H_{21}F_3NO_2^+$, ($[M+H]^+$): 472.1519; Found: 472.1514.

4-(Naphthalen-1-yl)-2,5,5-triphenyl-4,5-dihydro-6*H*-1,3-oxazin-6-one (4j)



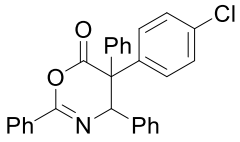
Prepared according to the general procedure (12 h). The compound **4j** was obtained as a white solid in 93% yield (63.2 mg). ^{1}H NMR (500 MHz, $CDCl_3$), δ: 8.04 (d, *J* = 7.0 Hz, 2H), 7.76 (d, *J* = 8.0 Hz, 1H), 7.71 – 7.65 (m, 3H), 7.51 – 7.34 (m, 9H), 7.26 – 7.22 (m, 1H), 7.06 (t, *J* = 7.5 Hz, 1H), 6.75 – 6.70 (m, 1H), 6.66 (t, *J* = 8.0 Hz, 2H), 6.55 – 6.50 (m, 2H), 5.30 (s, 1H). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ: 167.66, 153.76, 138.35, 138.31, 133.31, 132.01, 131.92, 131.65, 130.08, 130.05, 129.20, 128.93, 128.85, 128.58, 128.41, 128.18, 127.89, 126.73, 126.67, 125.57, 125.09, 125.00, 124.45, 122.68, 61.36, 60.63. HRMS (ESI, m/z): Calcd. for $C_{32}H_{24}NO_2^+$, ([M+H]$^+$): 454.1802; Found: 454.1797.

5-(4-Fluorophenyl)-2,4,5-triphenyl-4,5-dihydro-6*H*-1,3-oxazin-6-one (4k)



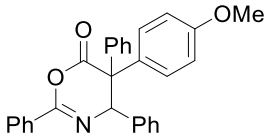
Prepared according to the general procedure (12 h). The compound **4k** was obtained as a white solid in 94% yield (58.2 mg, 1:1 dr). $^{1}H_{mixture}$ NMR (500 MHz, $CDCl_3$), δ: 8.04 (t, *J* = 7.5 Hz, 2H), 7.59 – 7.55 (m, 2H), 7.53 – 7.47 (m, 1H), 7.44 – 7.30 (m, 4H), 7.21 – 7.00 (m, 5H), 6.79 – 6.63 (m, 5H), 5.60 (s, 0.5 H), 5.57 (s, 0.5 H). $^{13}C\{^{1}H\}_{mixture}$ NMR (126 MHz, $CDCl_3$), δ: 167.23, 167.03, 162.53 (d, $J_{C\text{-}F}$ = 249.2 Hz), 161.46 (d, $J_{C\text{-}F}$ = 247.7 Hz), 153.09, 152.99, 138.98, 138.08, 135.20, 135.14, 135.09 (d, $J_{C\text{-}F}$ = 3.3 Hz), 134.20 (d, $J_{C\text{-}F}$ = 3.4 Hz), 132.08, 132.00, 131.38 (d, $J_{C\text{-}F}$ = 8.2 Hz), 130.90 (d, $J_{C\text{-}F}$ = 8.3 Hz), 129.82, 129.77, 129.51, 128.92, 128.87, 128.66, 128.50, 128.46, 128.42, 128.37, 128.33, 128.23, 128.01, 127.98, 127.91, 127.35, 126.98, 115.81 (d, $J_{C\text{-}F}$ = 21.7 Hz), 114.13 (d, $J_{C\text{-}F}$ = 21.3 Hz), 67.94, 67.77, 60.30, 60.24. $^{19}F\{^{1}H\}_{mixture}$ NMR (471 MHz, $CDCl_3$), δ: -112.94, -115.28. HRMS (ESI, m/z): Calcd. for $C_{28}H_{21}FNO_2^+$, ([M+H]$^+$): 422.1551; Found: 422.1547.

5-(4-Chlorophenyl)-2,4,5-triphenyl-4,5-dihydro-6*H*-1,3-oxazin-6-one (4l)



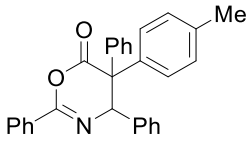
Prepared according to the general procedure (12 h). The compound **4l** was obtained as a white solid in 93% yield (61.1 mg, 1:1 dr). $^{1}H_{mixture}$ NMR (500 MHz, $CDCl_3$), δ: 8.03 (t, *J* = 7.5 Hz, 2H), 7.57 – 7.47 (m, 3H), 7.44 – 7.32 (m, 5H), 7.22 – 7.08 (m, 3H), 7.05 – 6.98 (m, 2H), 6.79 – 6.60 (m, 4H), 5.60 (s, 0.5 H), 5.56 (s, 0.5 H). $^{13}C\{^{1}H\}_{mixture}$ NMR (126 MHz, $CDCl_3$), δ: 167.01, 166.86, 153.11, 153.00, 138.75, 137.86, 137.84, 137.00, 135.07, 135.04, 134.63, 132.93, 132.13, 132.03, 131.02, 130.47, 129.79, 129.71, 129.52, 129.03, 128.97, 128.89, 128.73, 128.56, 128.48, 128.43, 128.39, 128.26, 128.03, 127.98, 127.93, 127.40, 127.05, 67.79, 67.62, 60.39. HRMS (ESI, m/z): Calcd. for $C_{28}H_{21}Cl^{34.9689}NO_2^+$, ($[M+H]^+$): 438.1256; Found: 438.1248. $C_{28}H_{21}Cl^{35.4500}NO_2^+$, ($[M+H]^+$): 440.1226; Found: 440.1217.

5-(4-Methoxyphenyl)-2,4,5-triphenyl-4,5-dihydro-6*H*-1,3-oxazin-6-one (4m)



Prepared according to the general procedure (12 h). The compound **3m** was obtained as a white solid in 91% yield (59.2 mg, 1:1 dr). $^{1}H_{mixture}$ NMR (500 MHz, $CDCl_3$), δ: 8.04 (dd, *J* = 8.0, 3.0 Hz, 2H), 7.58 (d, *J* = 7.5 Hz, 1H), 7.52 – 7.46 (m, 2H), 7.43 – 7.33 (m, 3H), 7.21 – 7.05 (m, 4H), 7.01 (t, *J* = 7.5 Hz, 1H), 6.87 (d, *J* = 8.5 Hz, 1H), 6.79 (d, *J* = 7.5 Hz, 1H), 6.74 (d, *J* = 7.5 Hz, 1H), 6.70 (d, *J* = 7.5 Hz, 1H), 6.60 – 7.53 (m, 2H), 5.61 (s, 0.5H), 5.56 (s, 0.5H), 3.78 (s, 1.5H), 3.71 (s, 1.5H). $^{13}C\{^{1}H\}_{mixture}$ NMR (126 MHz, $CDCl_3$), δ: 167.57, 167.31, 159.46, 158.20, 153.01, 139.41, 138.46, 135.48, 135.44, 131.90, 131.88, 131.24, 130.80, 130.21, 130.01, 129.96, 129.56, 128.89, 128.78, 128.76, 128.39, 128.38, 128.34, 128.30, 128.13, 128.12, 128.09, 128.00, 127.91, 127.89, 127.21, 126.76, 114.11, 112.60, 67.93, 67.73, 60.30, 60.10, 55.20, 55.12. HRMS (ESI, m/z): Calcd. for $C_{29}H_{24}NO_3^+$, ($[M+H]^+$): 434.1751; Found: 434.1746.

2,4,5-Triphenyl-5-(p-tolyl)-4,5-dihydro-6*H*-1,3-oxazin-6-one (4n)



Prepared according to the general procedure (12 h). The compound **4n** was obtained as a white solid in 91% yield (58.4 mg, 1:1 dr). $^{1}H_{mixture}$ NMR (500 MHz, $CDCl_3$), δ: 8.04 (t, *J* = 7.5 Hz, 2H), 7.58 (d, *J* = 7.5 Hz, 1H), 7.50 – 7.45 (m, 2H), 7.43 – 7.36 (m, 3H), 7.22 – 7.14 (m, 2H), 7.14 – 7.05 (m, 2H), 7.01 (t, *J* = 8.0 Hz, 1H), 6.82 (d, *J* = 8.0 Hz, 1H), 6.77 (dd, *J* = 15.0, 7.5 Hz, 2H), 6.71 (d, *J* = 7.5 Hz, 1H), 6.57 (d, *J* = 8.0 Hz, 1H), 5.62 (s, 0.5 H), 5.60 (s, 0.5 H), 2.32 (s, 1.5 H), 2.22 (s, 1.5 H). $^{13}C\{^{1}H\}_{mixture}$ NMR (126 MHz, $CDCl_3$), δ: 167.39, 167.29, 153.01, 152.96, 139.34, 138.47, 138.32, 136.49, 136.09, 135.51, 135.47, 135.29, 131.87, 130.03, 130.00, 129.57, 129.51, 129.49, 128.95, 128.83, 128.74, 128.37, 128.30, 128.14, 128.08, 128.02, 127.93, 127.89, 127.21, 126.75, 67.80, 67.66, 60.56, 60.46, 21.01, 20.89. HRMS (ESI, m/z): Calcd. for $C_{29}H_{24}NO_2^+$, ($[M+H]^+$): 418.1802; Found: 418.1796.

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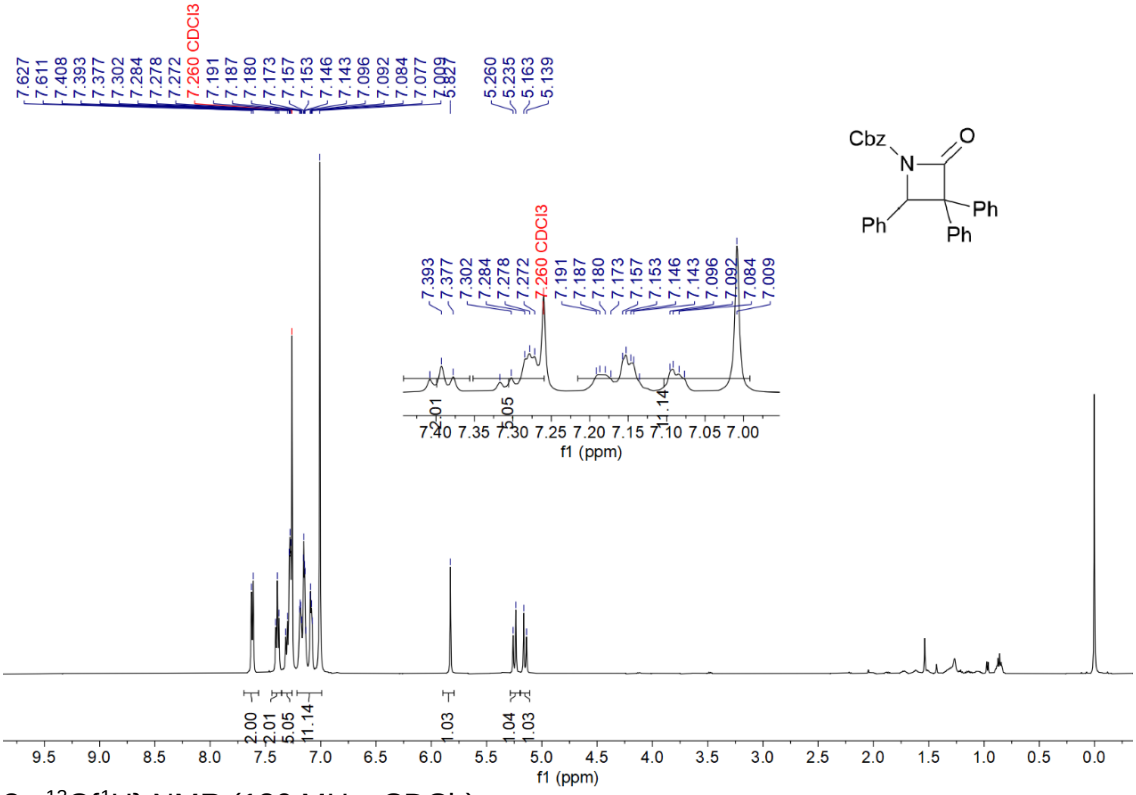
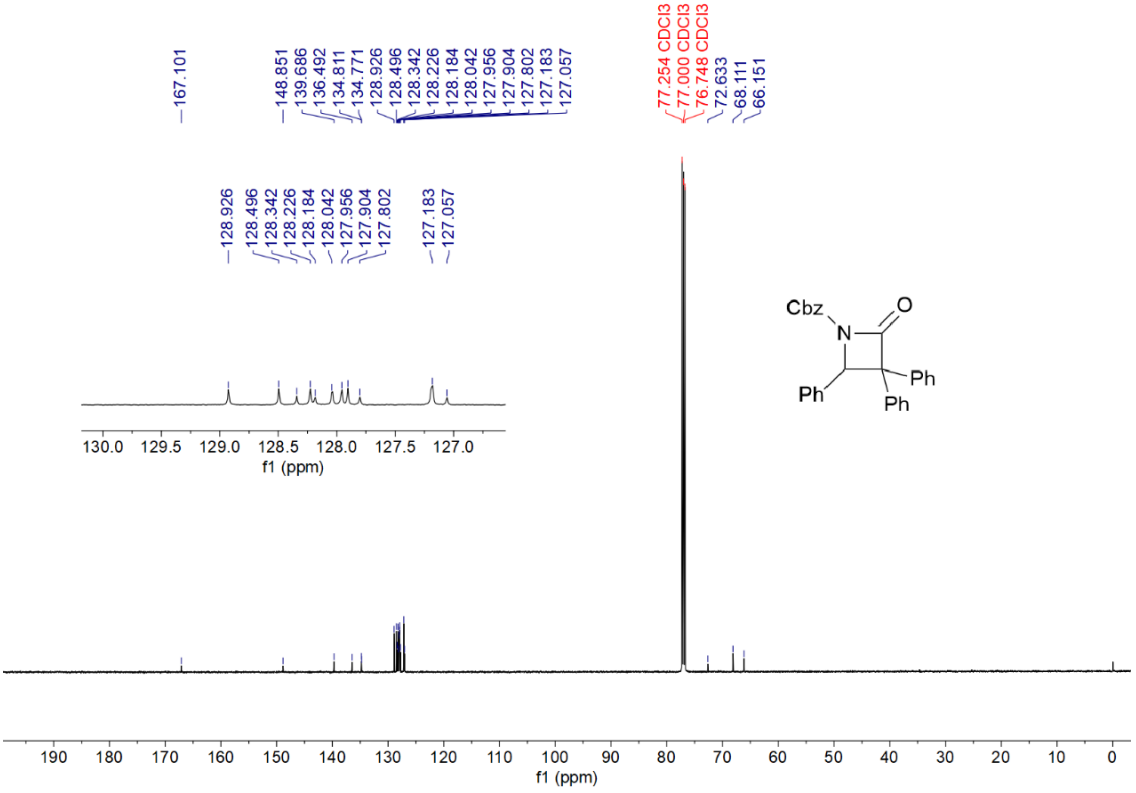
NMR spectra of isolated compounds

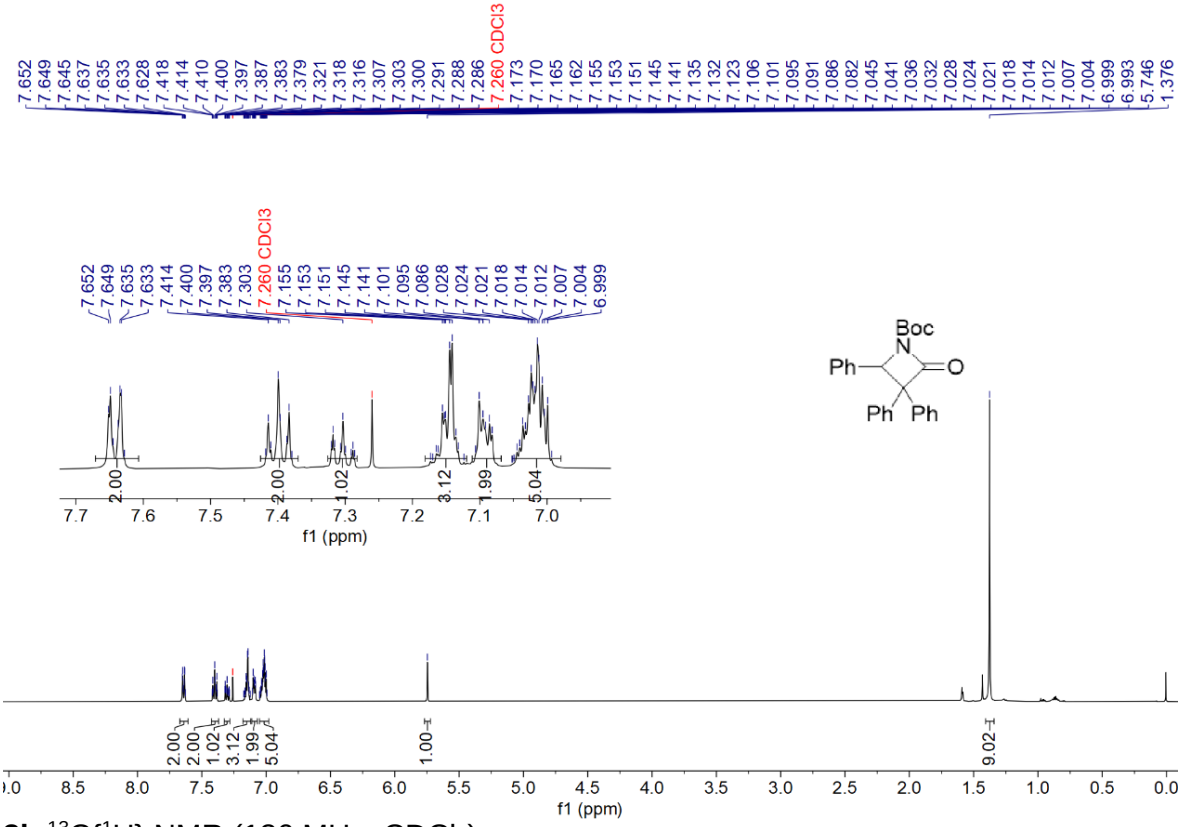
3a ^{1}H NMR (500 MHz, $CDCl_3$)



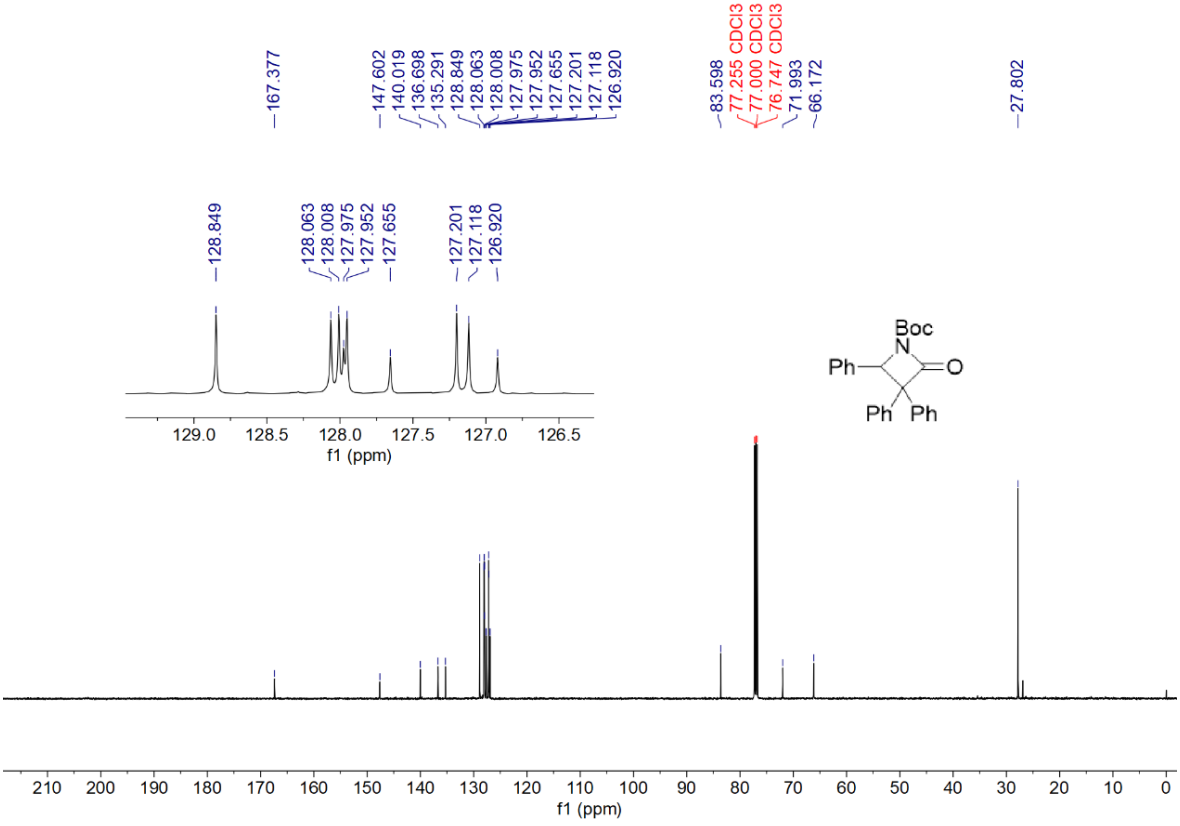
3a ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



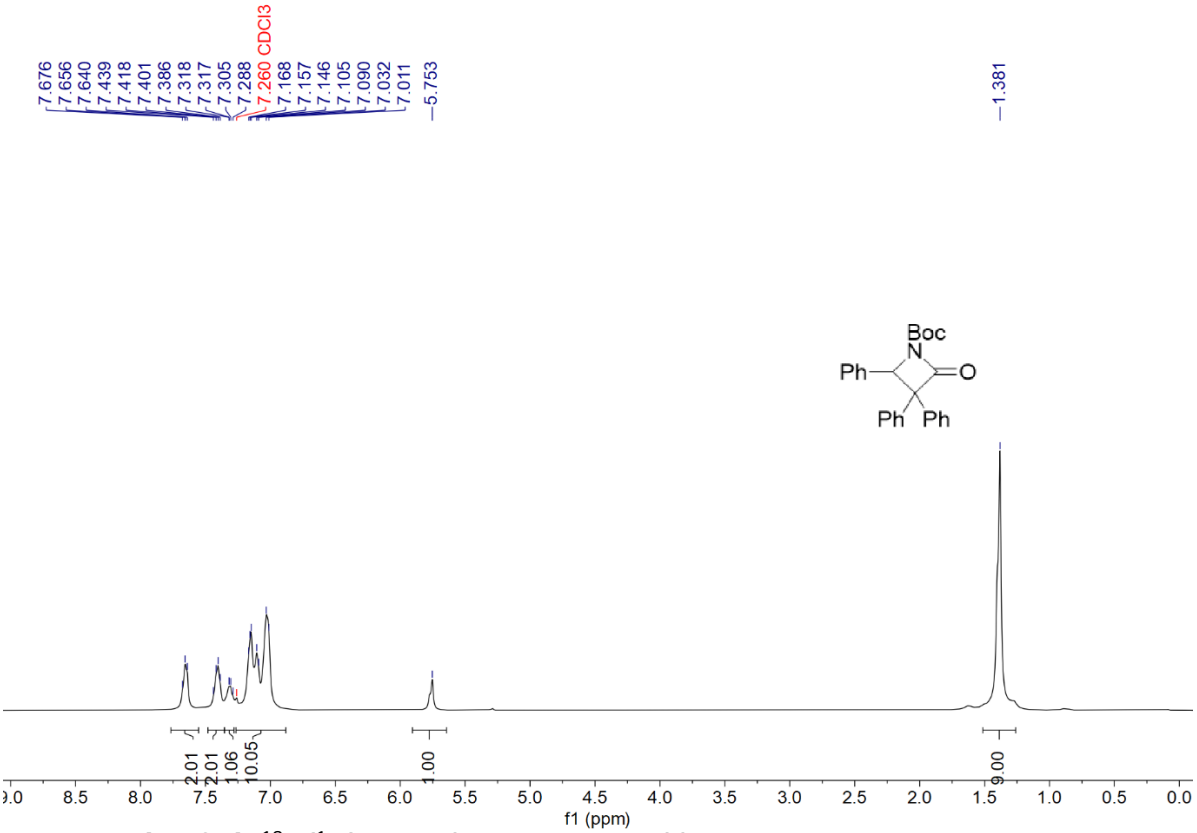
3b ^{1}H NMR (500 MHz, $CDCl_3$)



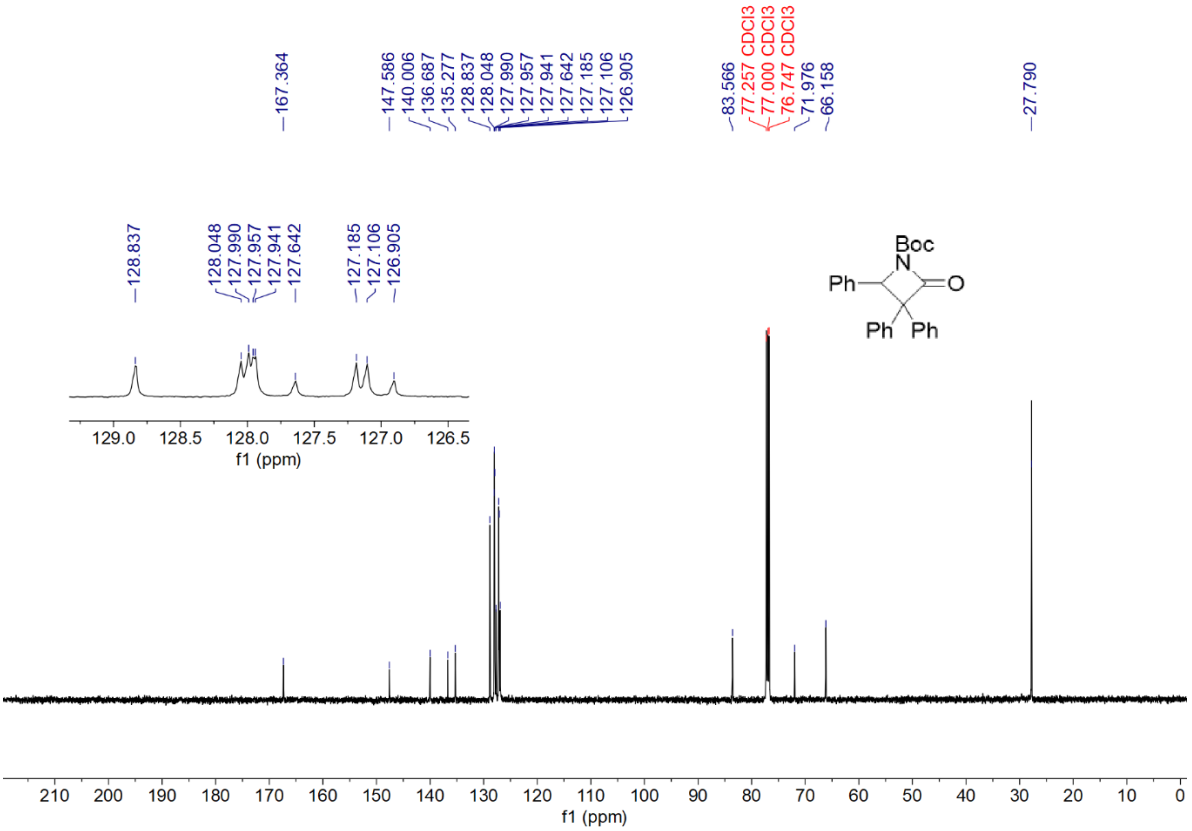
3b ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



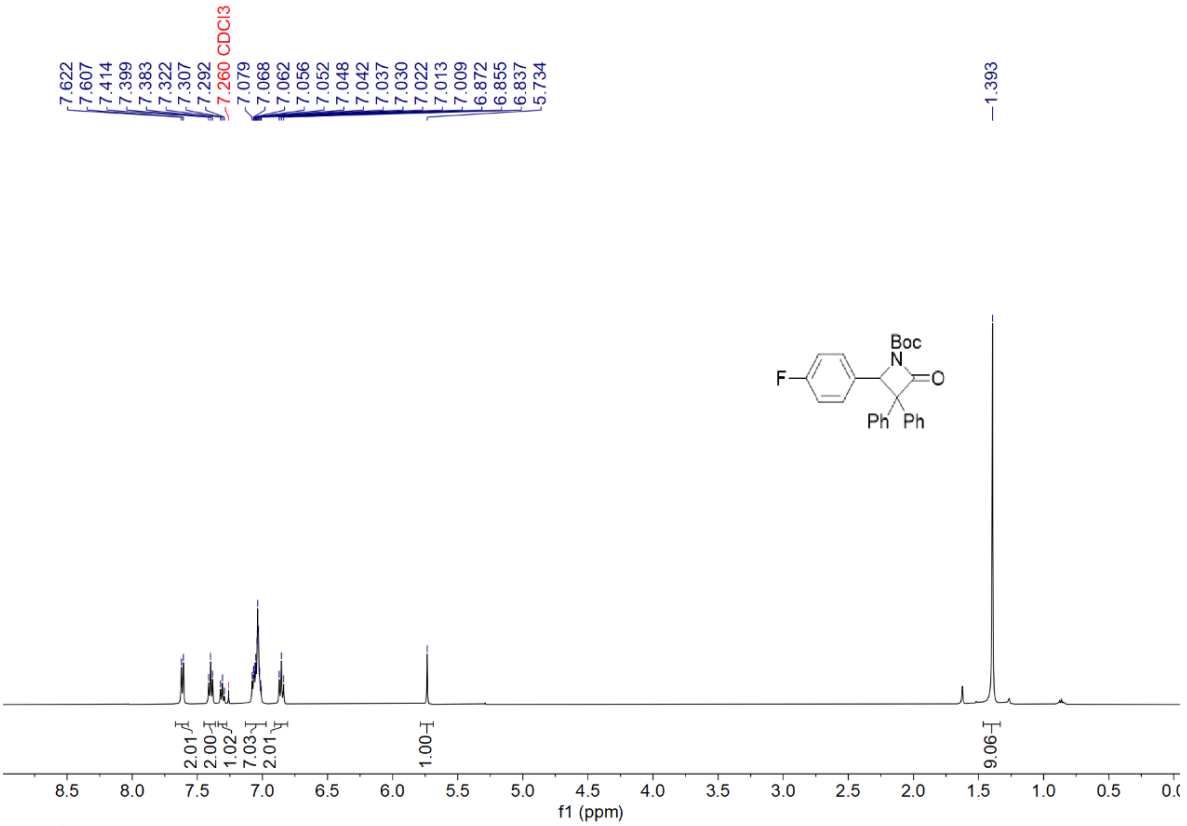
Gram-scale of 3b ^{1}H NMR (500 MHz, $CDCl_3$)



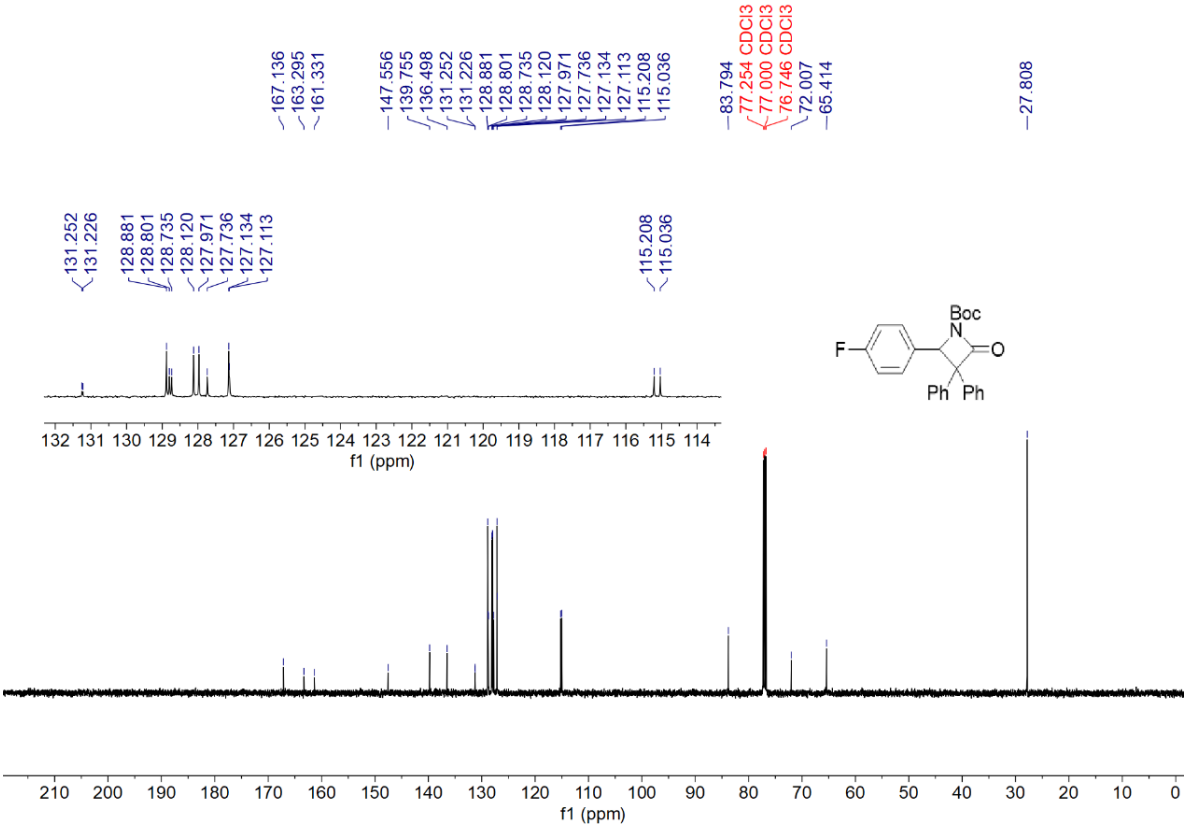
Gram-scale of 3b ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



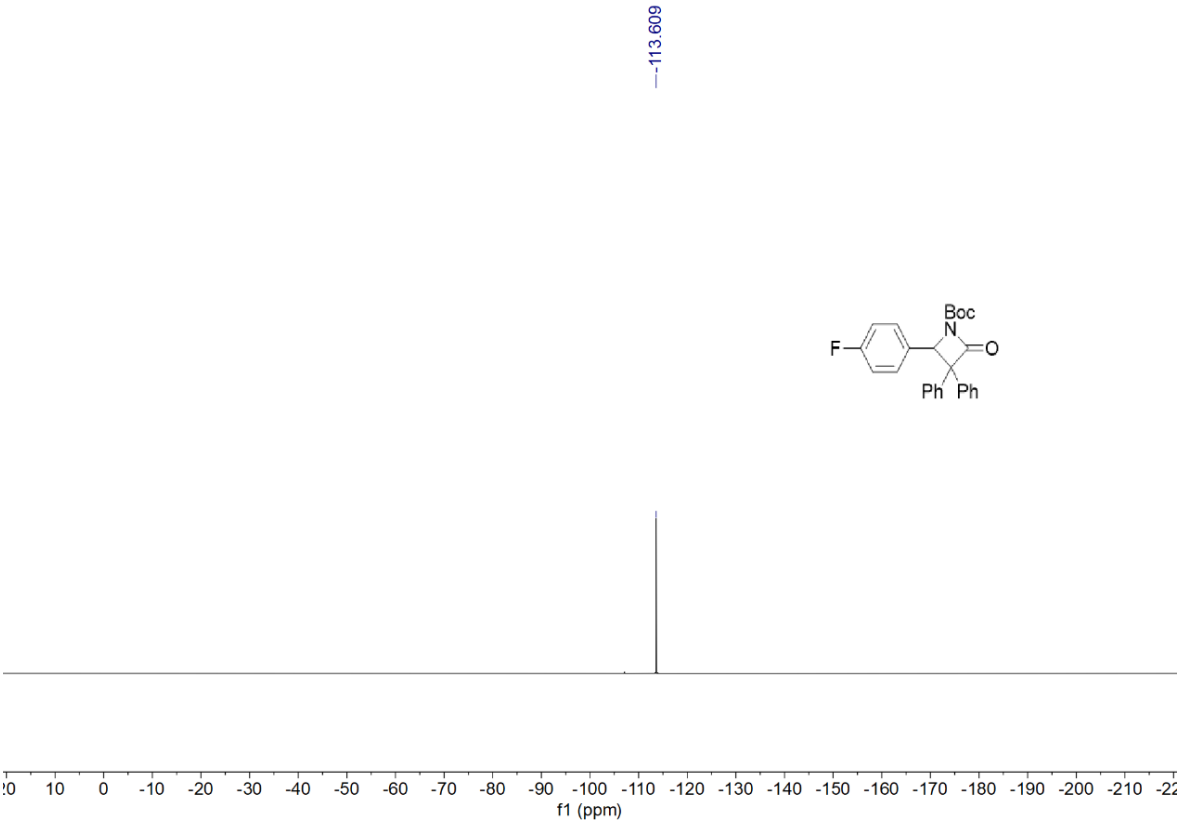
3c ^{1}H NMR (500 MHz, $CDCl_3$)



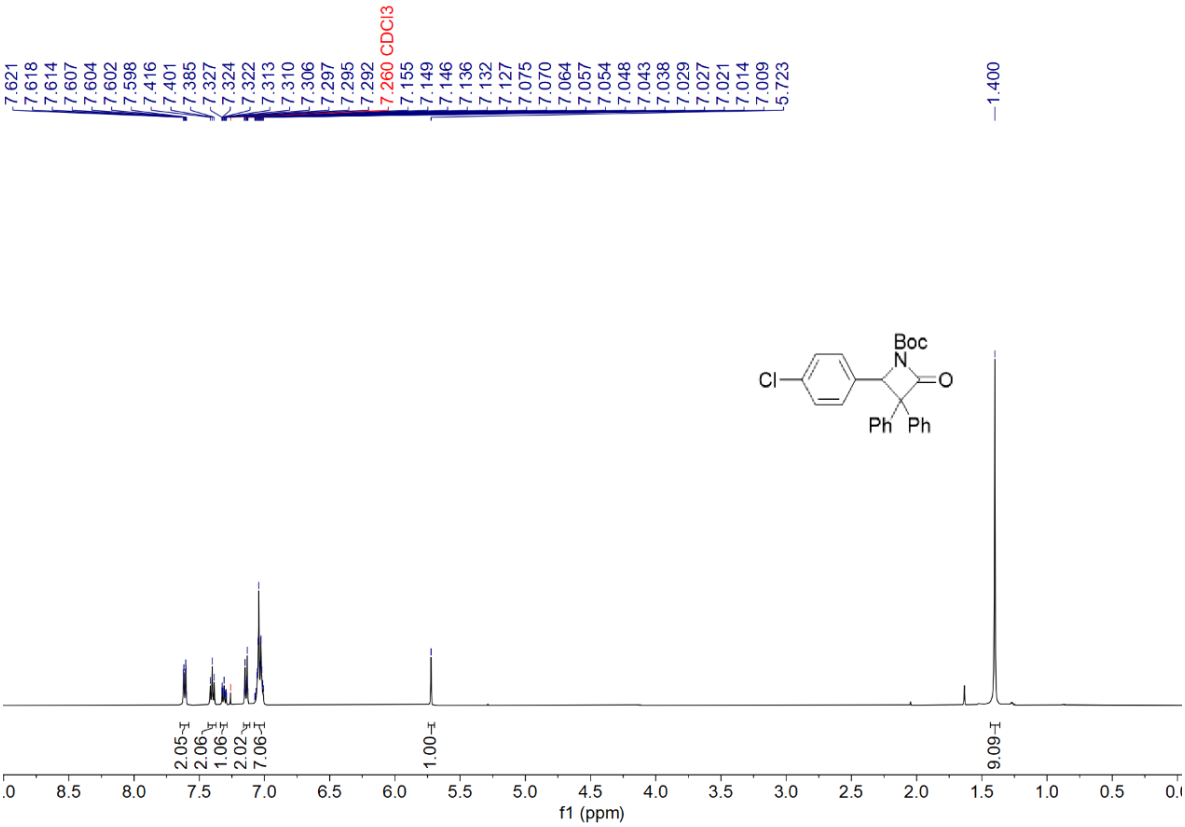
3c $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



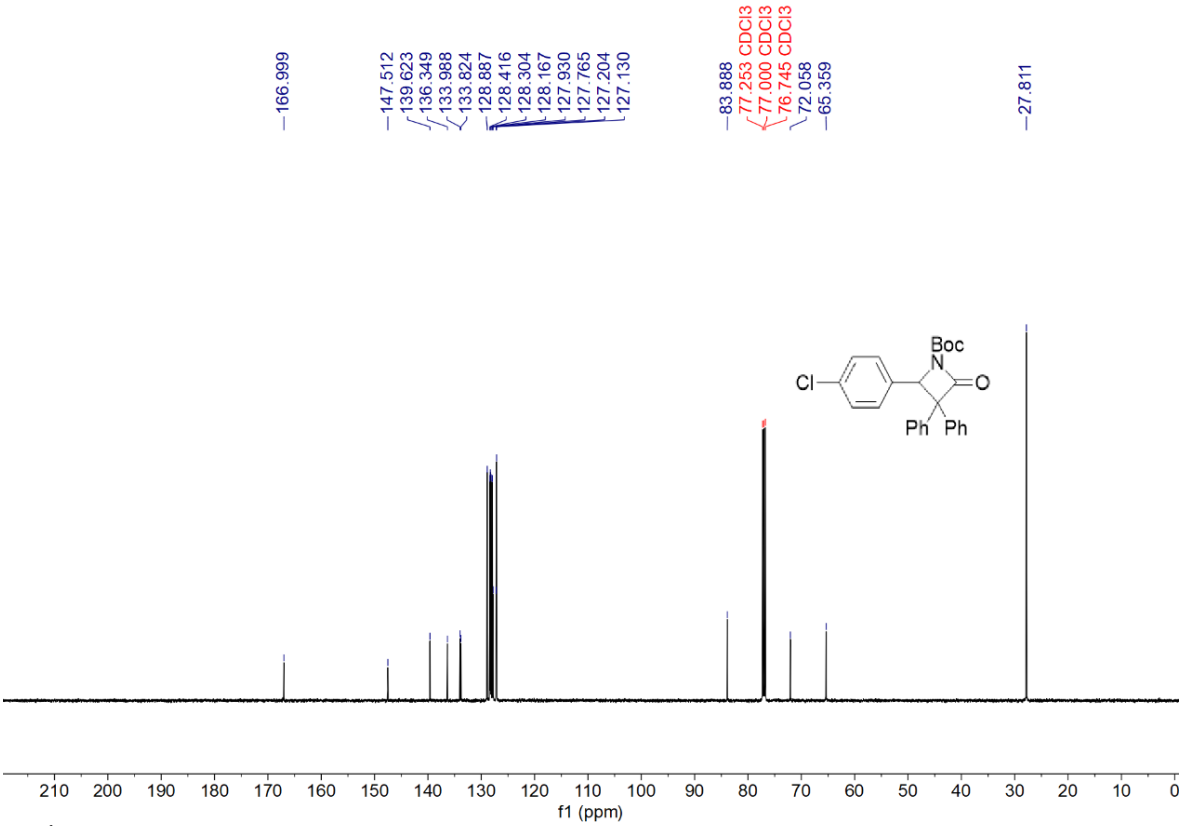
3c $^{19}F\{^{1}H\}$ NMR (471 MHz, $CDCl_3$)



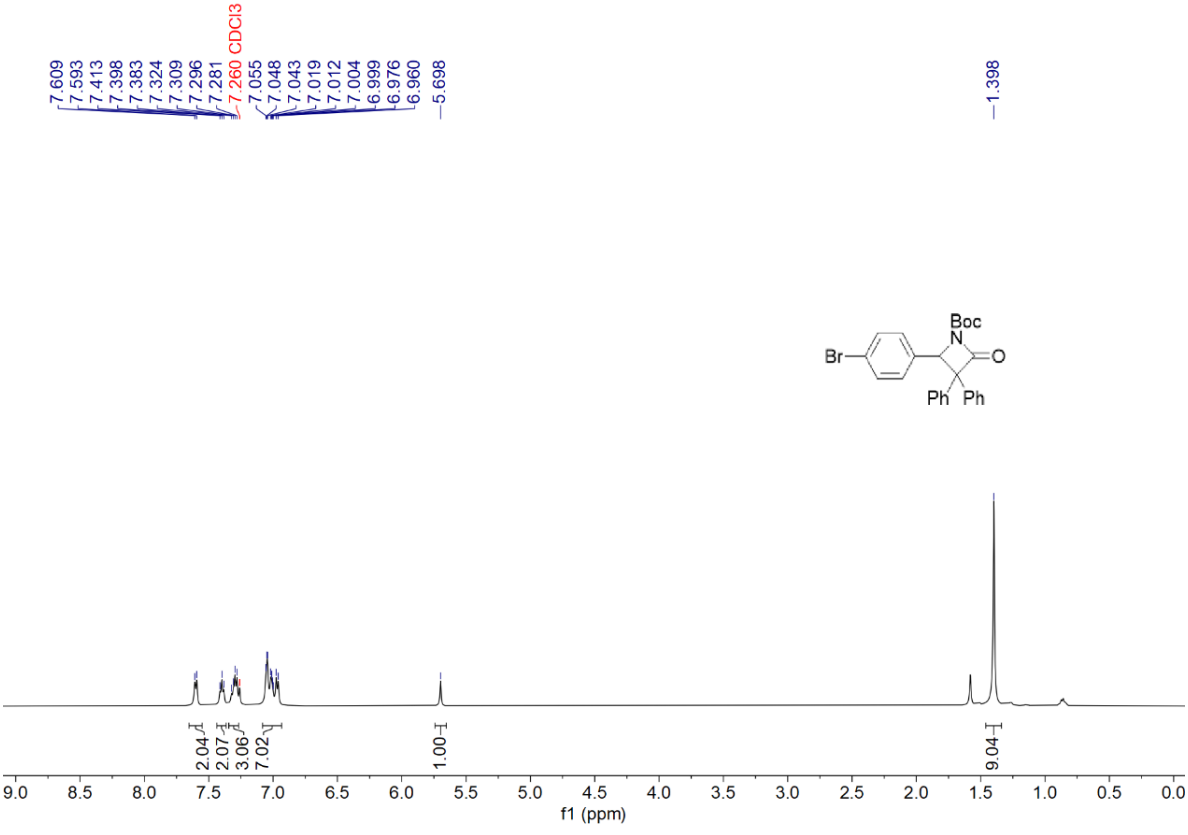
3d ^{1}H NMR (500 MHz, $CDCl_3$)



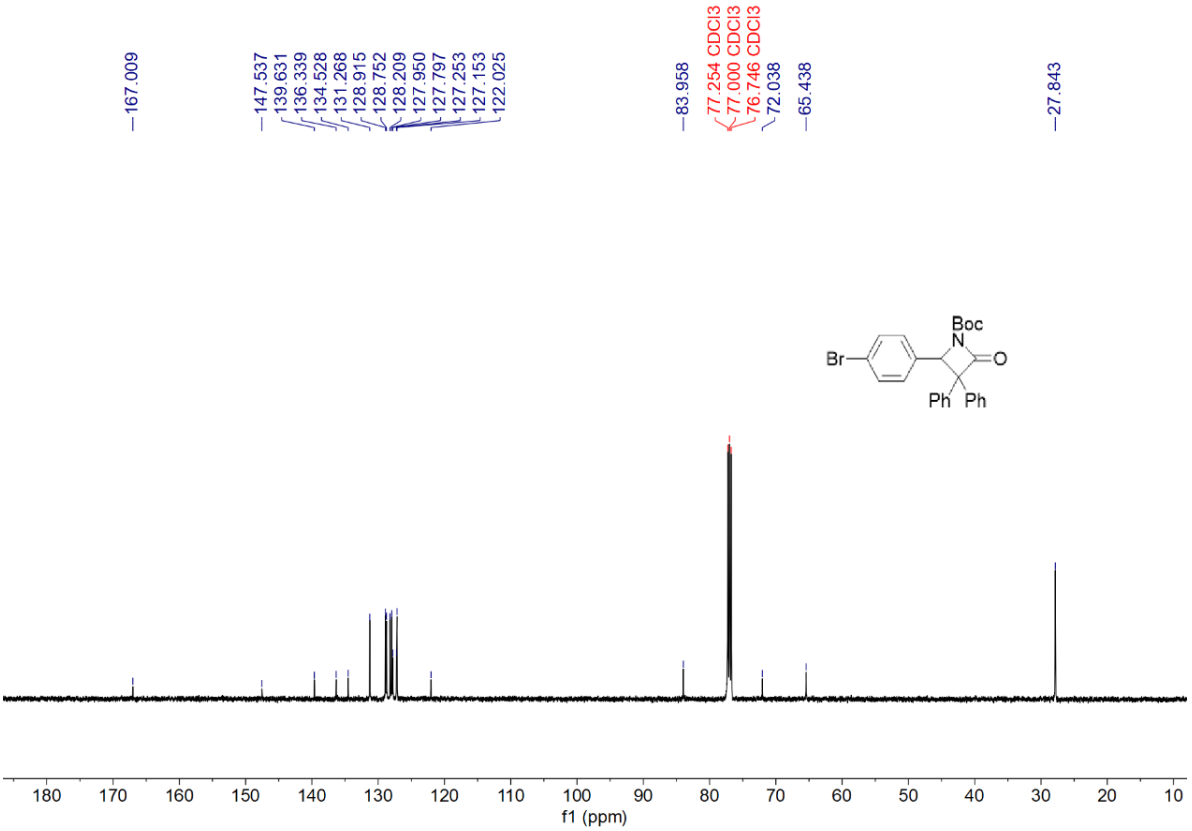
3d $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



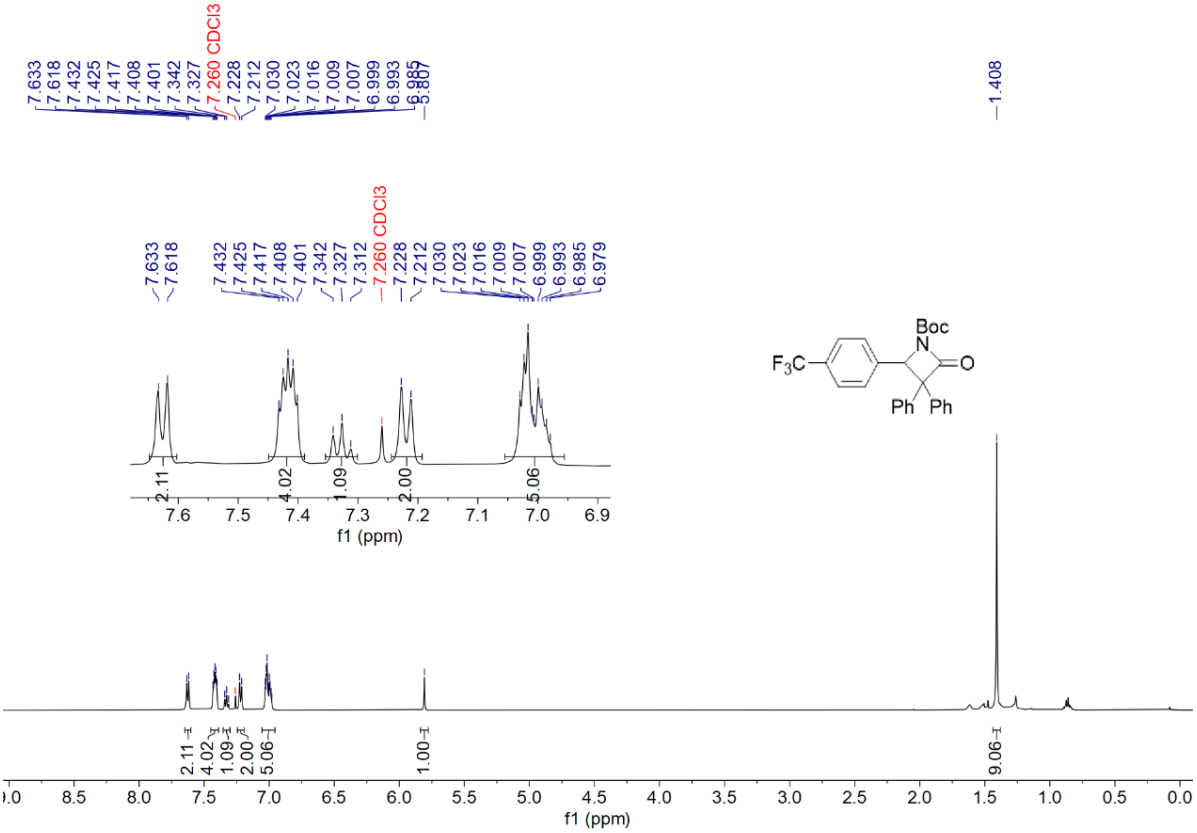
3e ^{1}H NMR (500 MHz, $CDCl_3$)



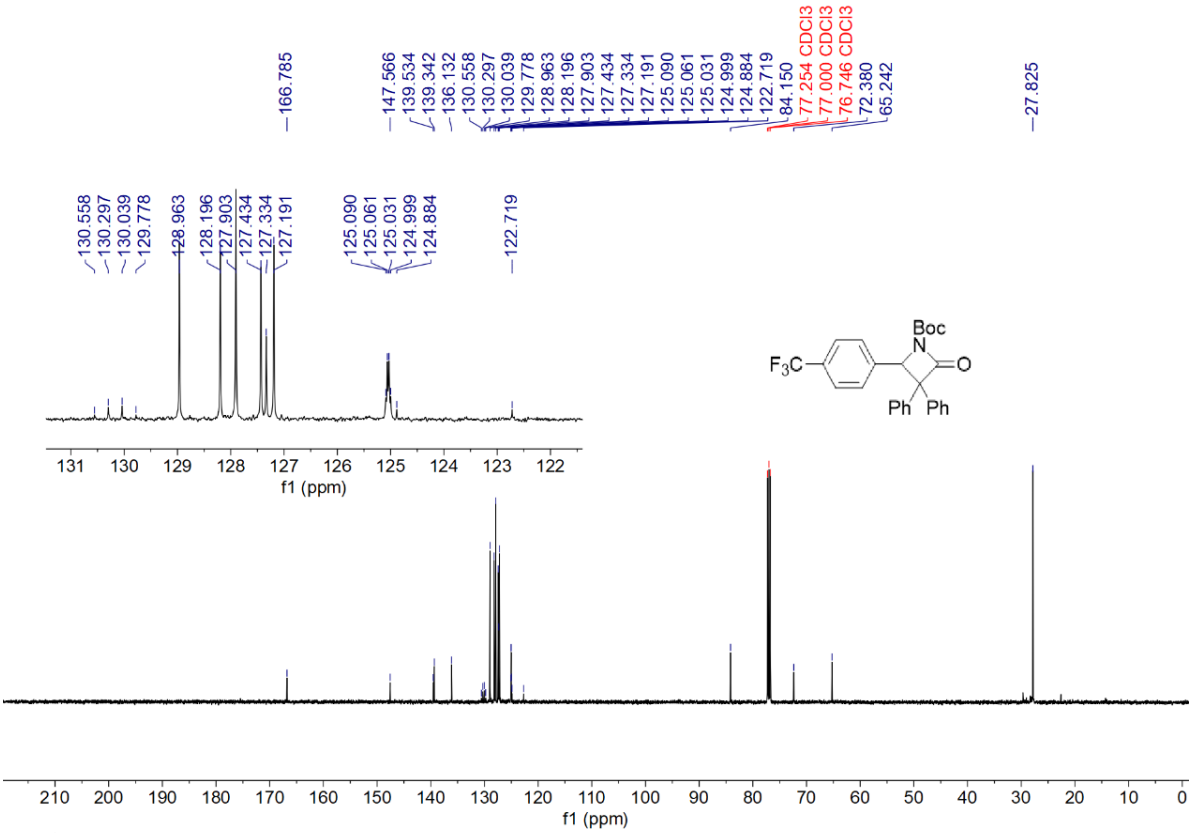
3e $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



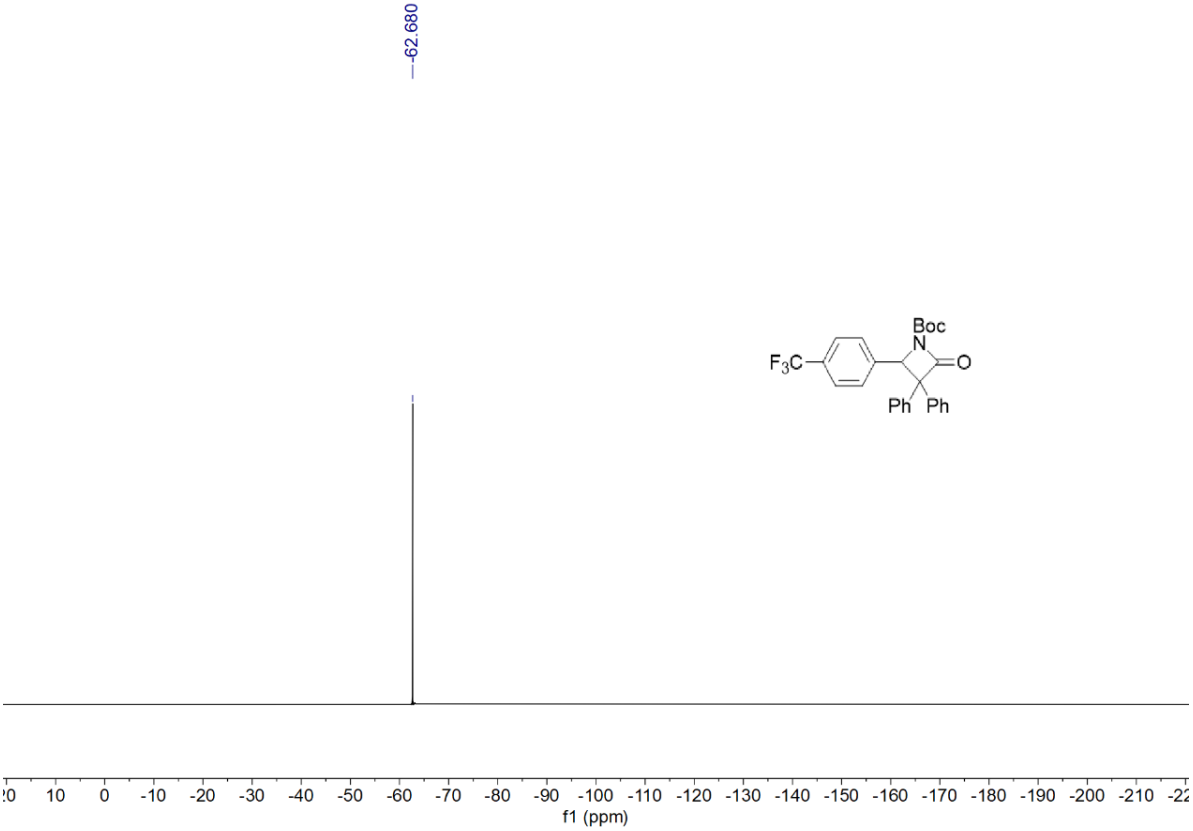
3f ^{1}H NMR (500 MHz, $CDCl_3$)



3f $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



3f $^{19}F\{^{1}H\}$ NMR (471 MHz, $CDCl_3$)



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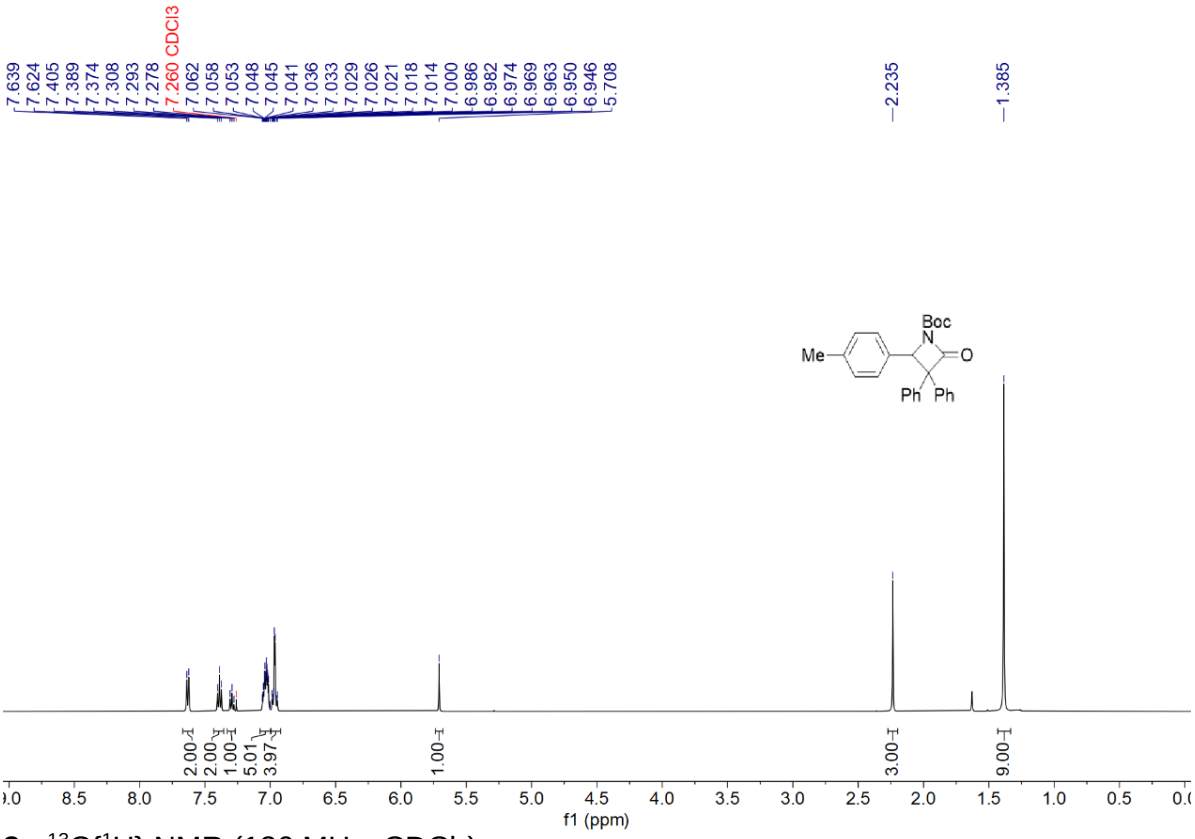
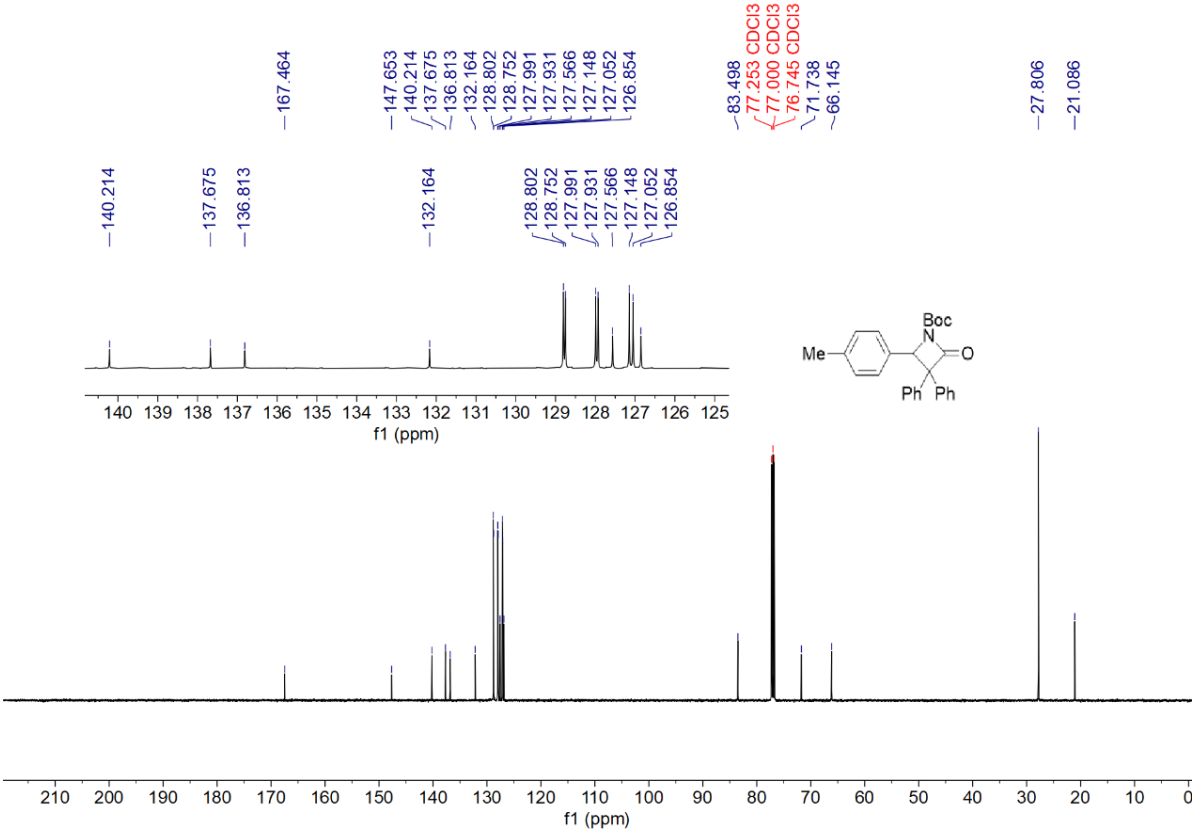
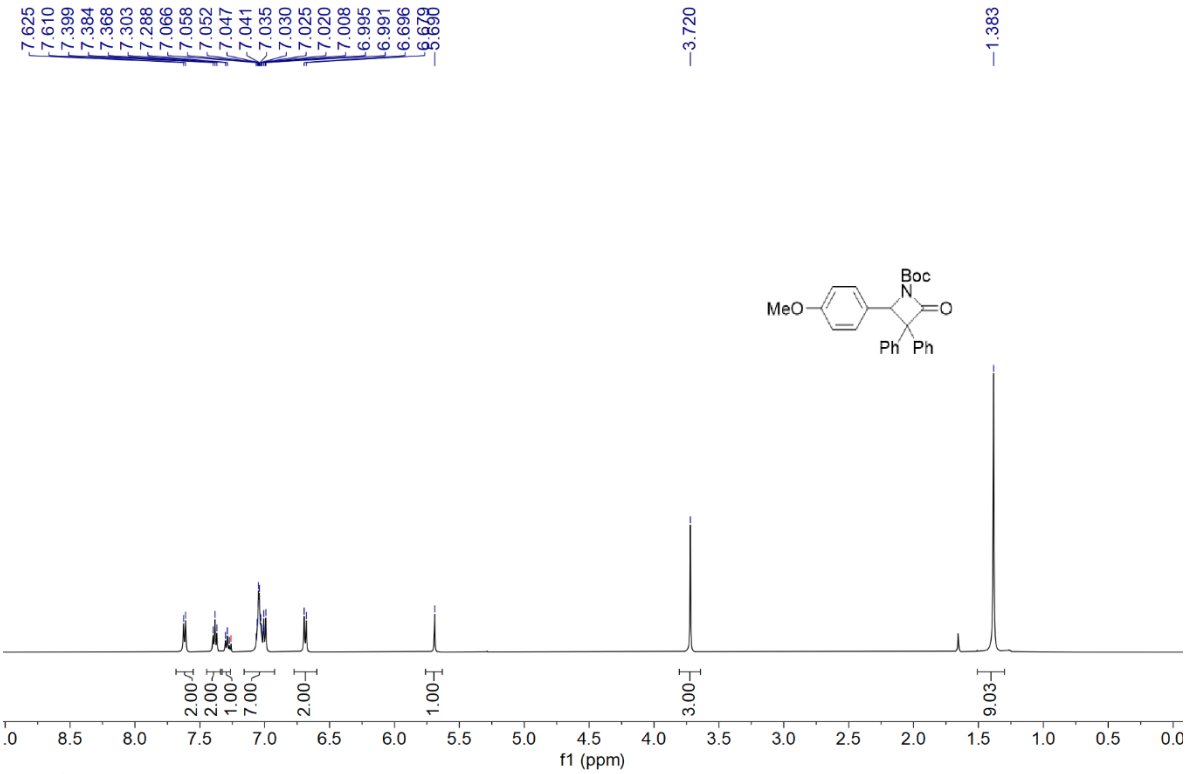


3g ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



3h ^{1}H NMR (500 MHz, $CDCl_3$)



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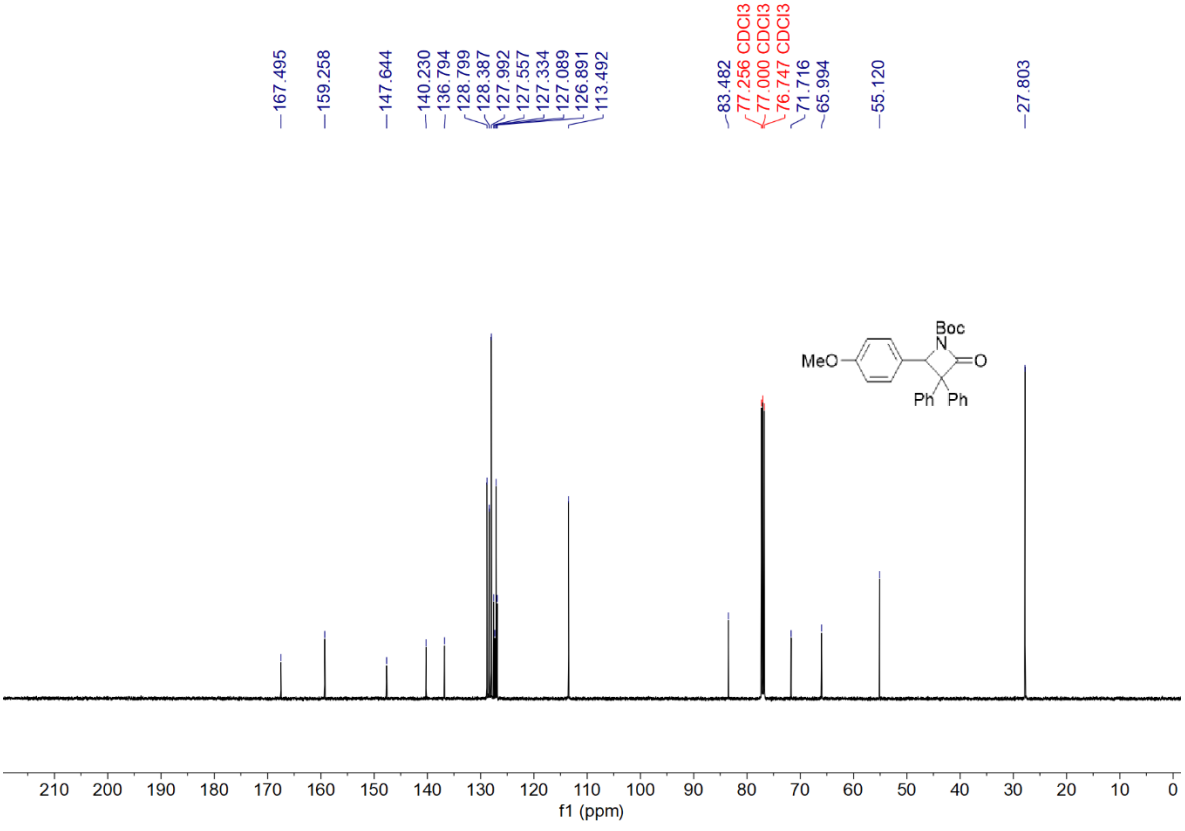

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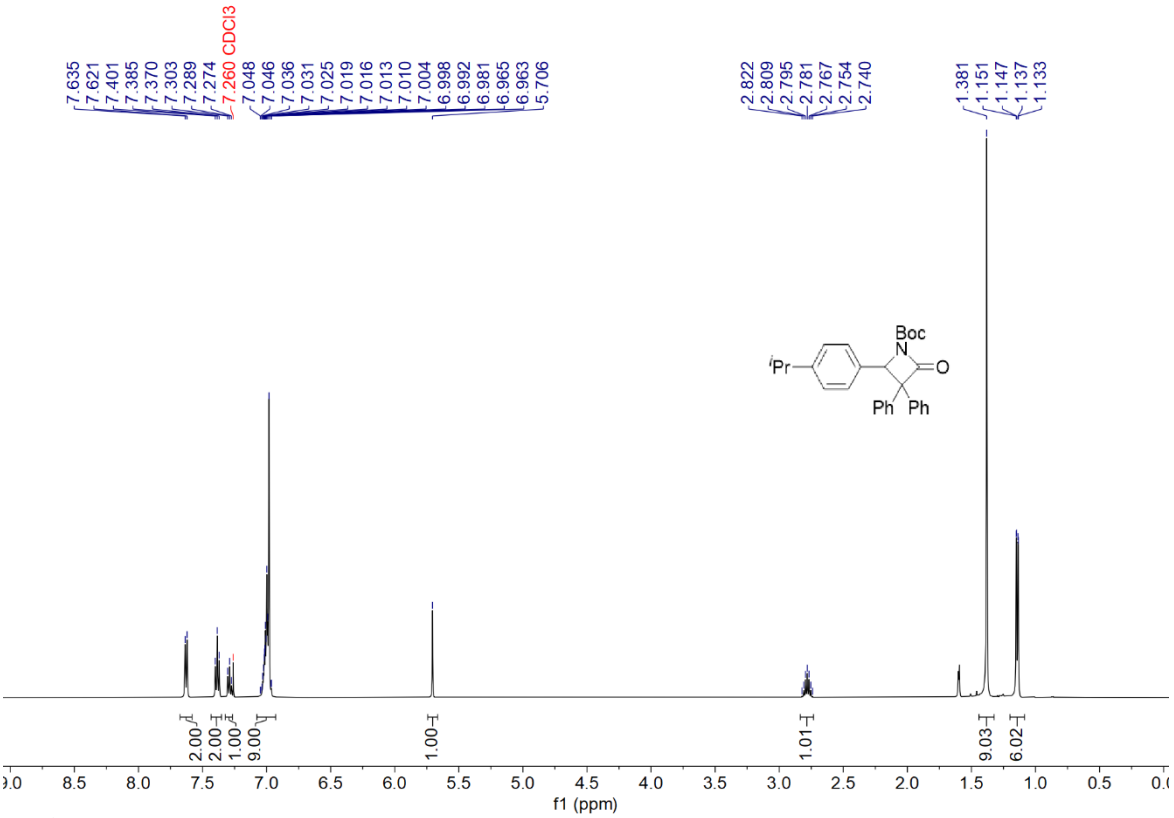

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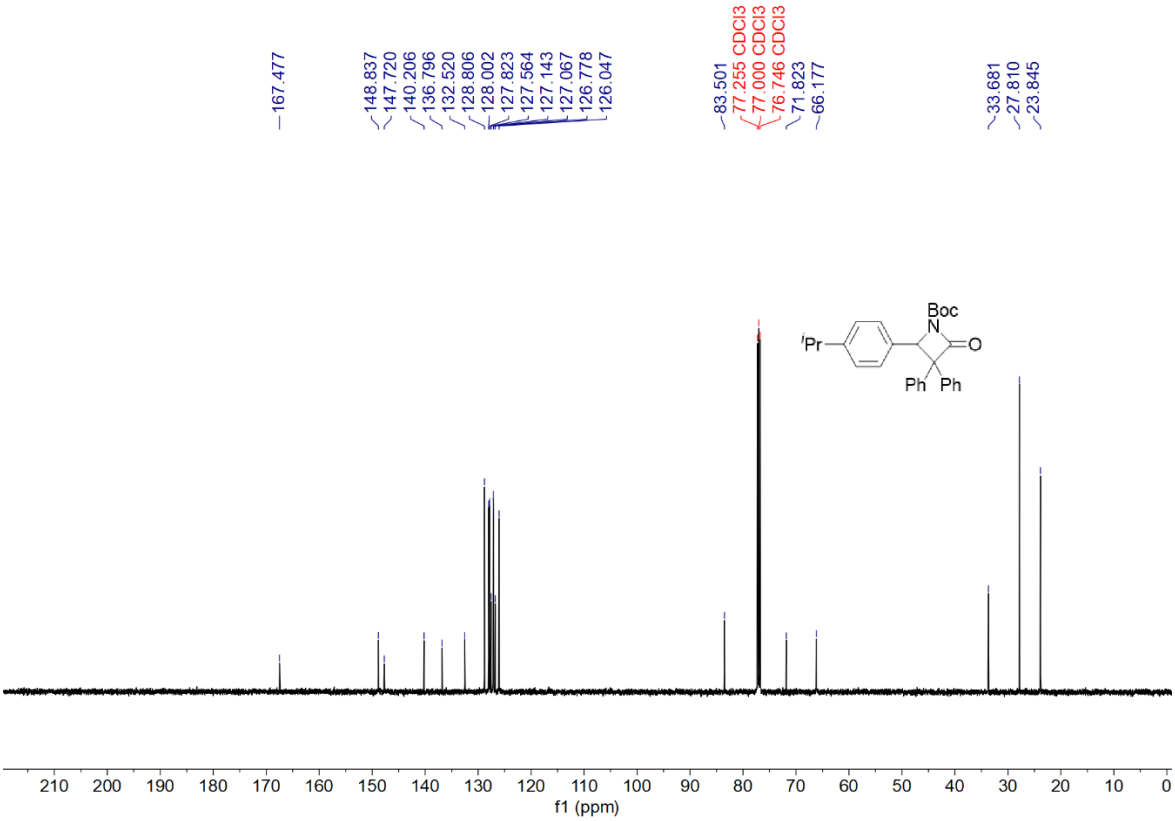
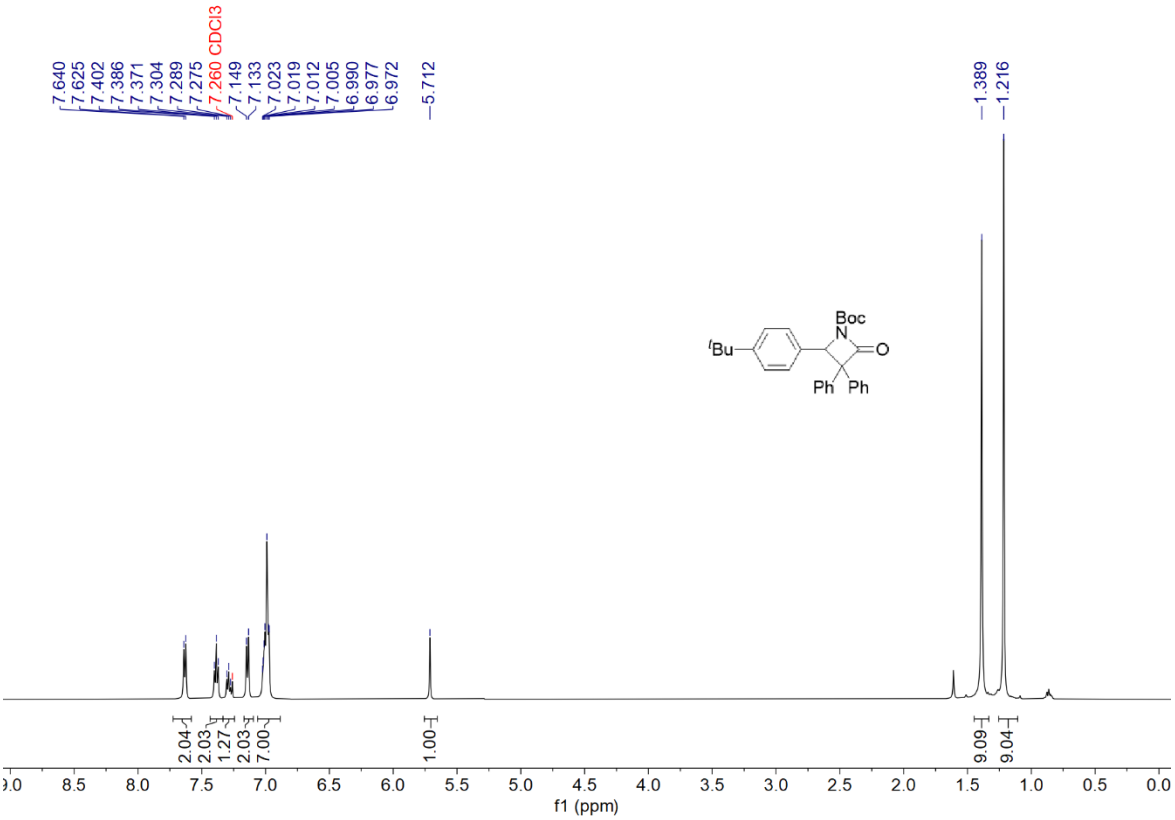
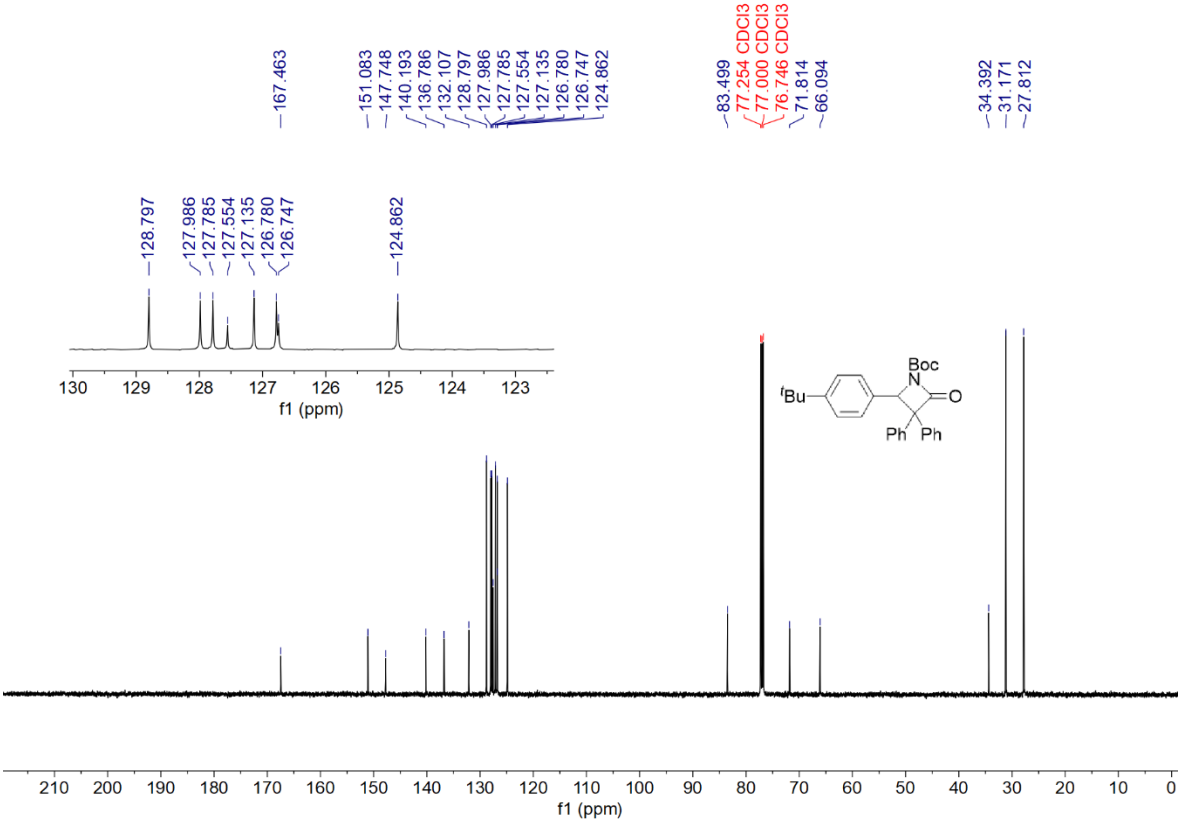


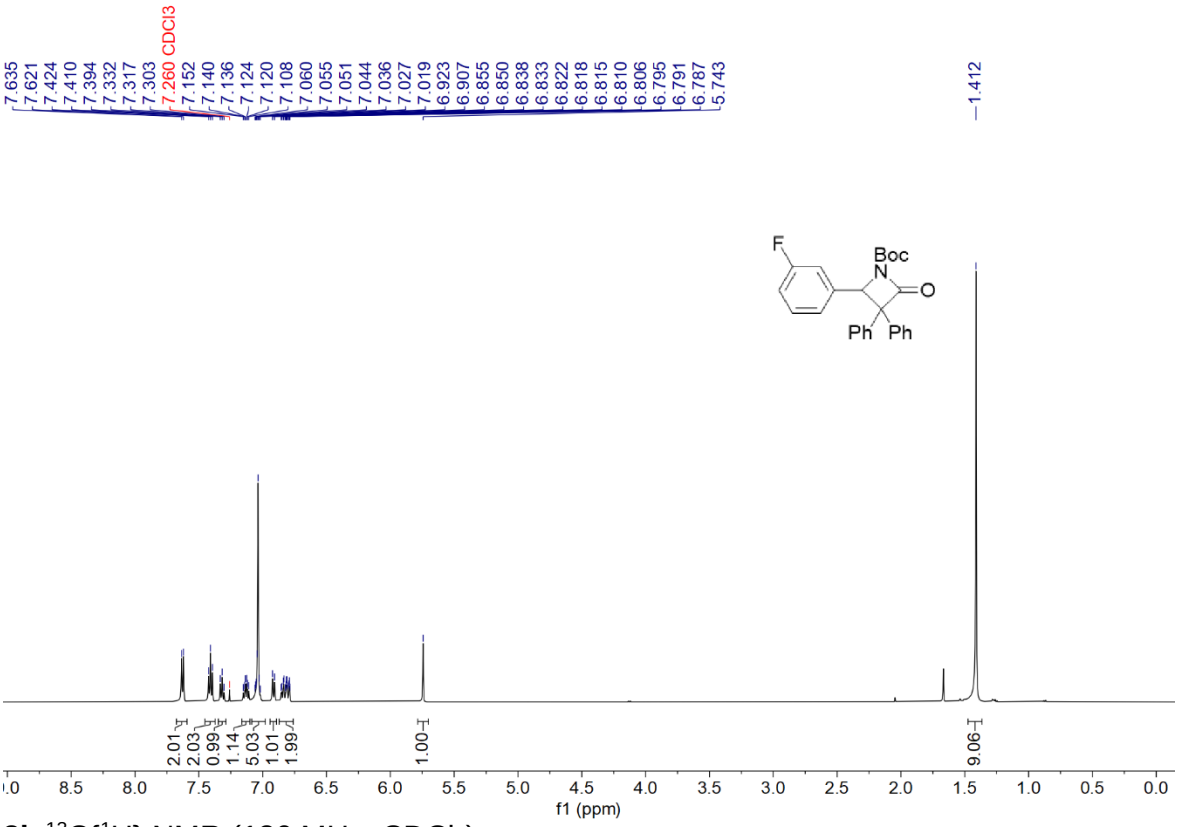
3j ^{1}H NMR (500 MHz, $CDCl_3$)



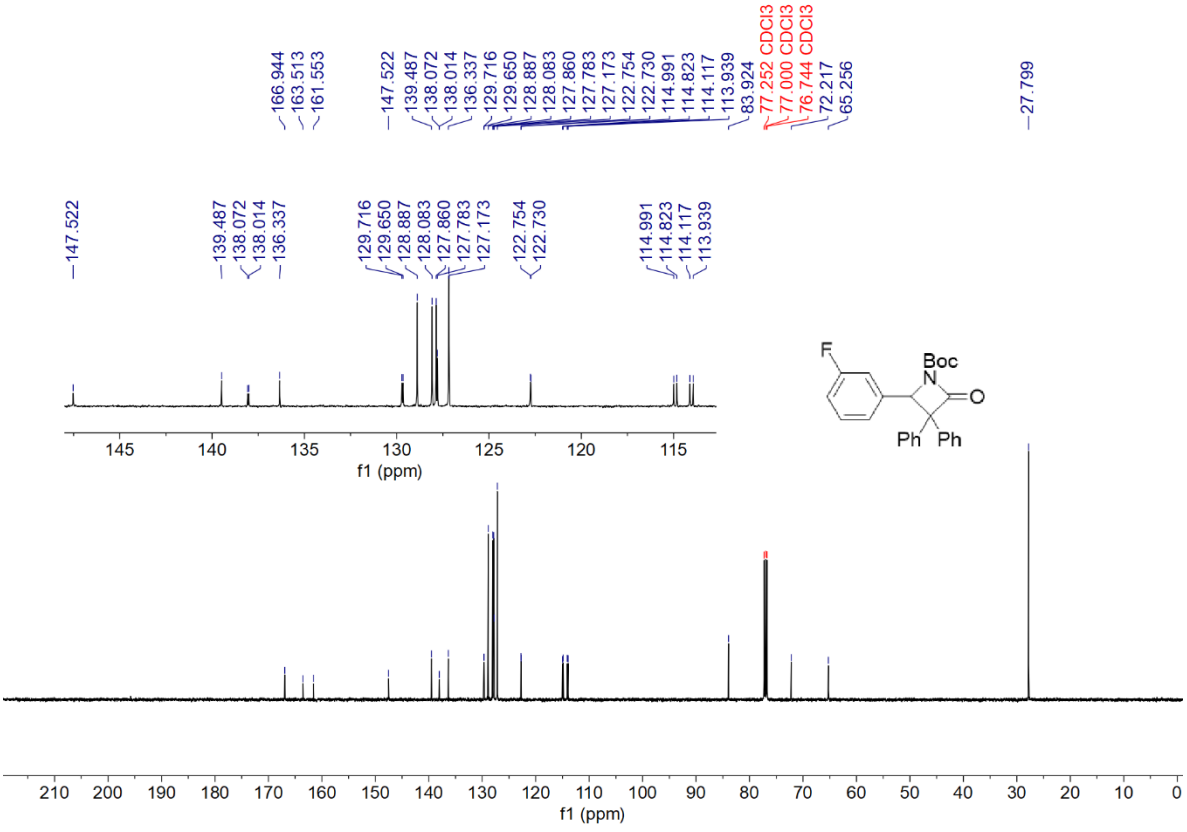
3j ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



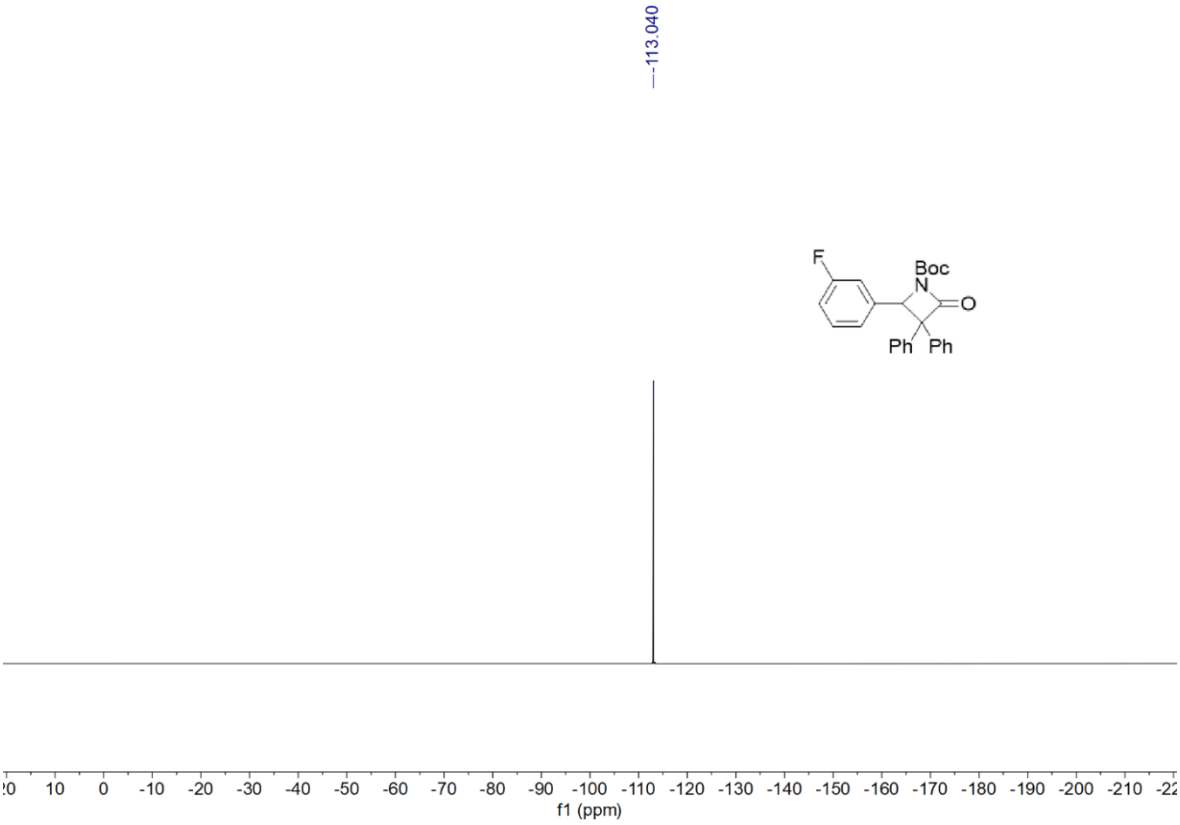
3k ^{1}H NMR (500 MHz, $CDCl_3$)



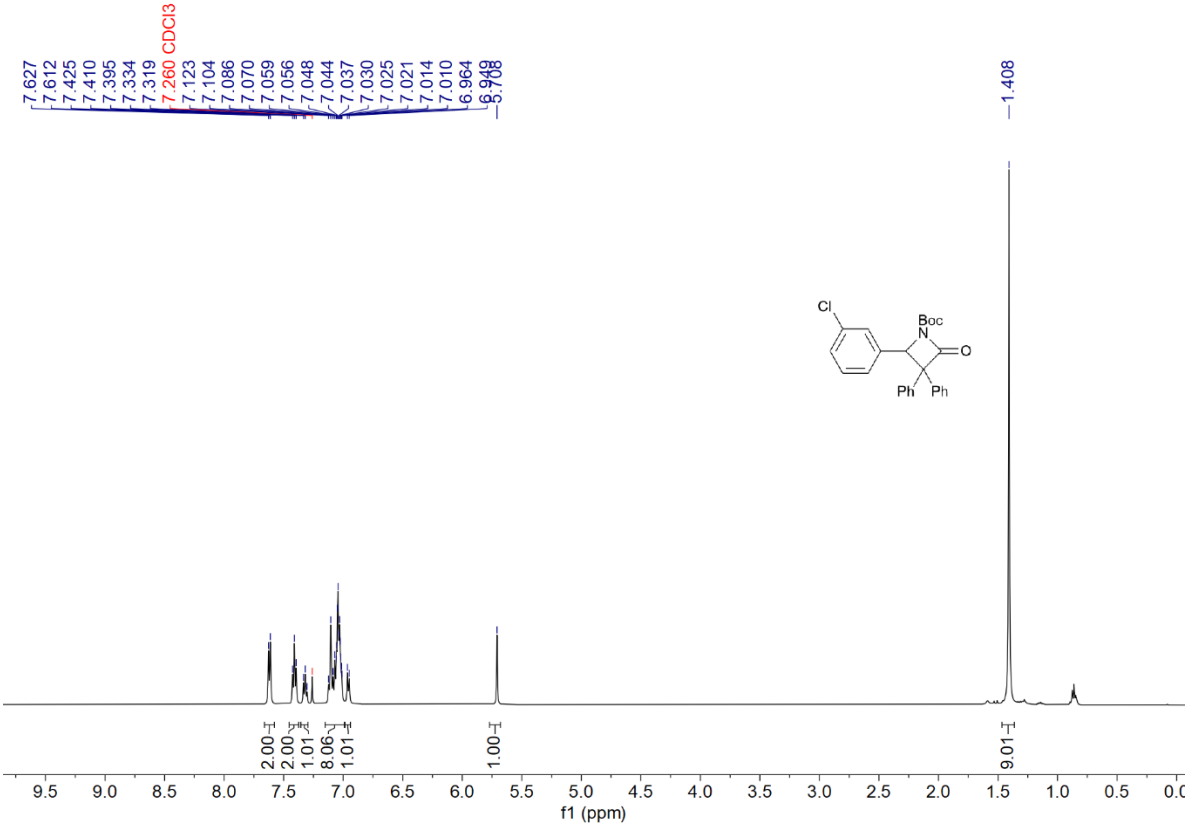
3k ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



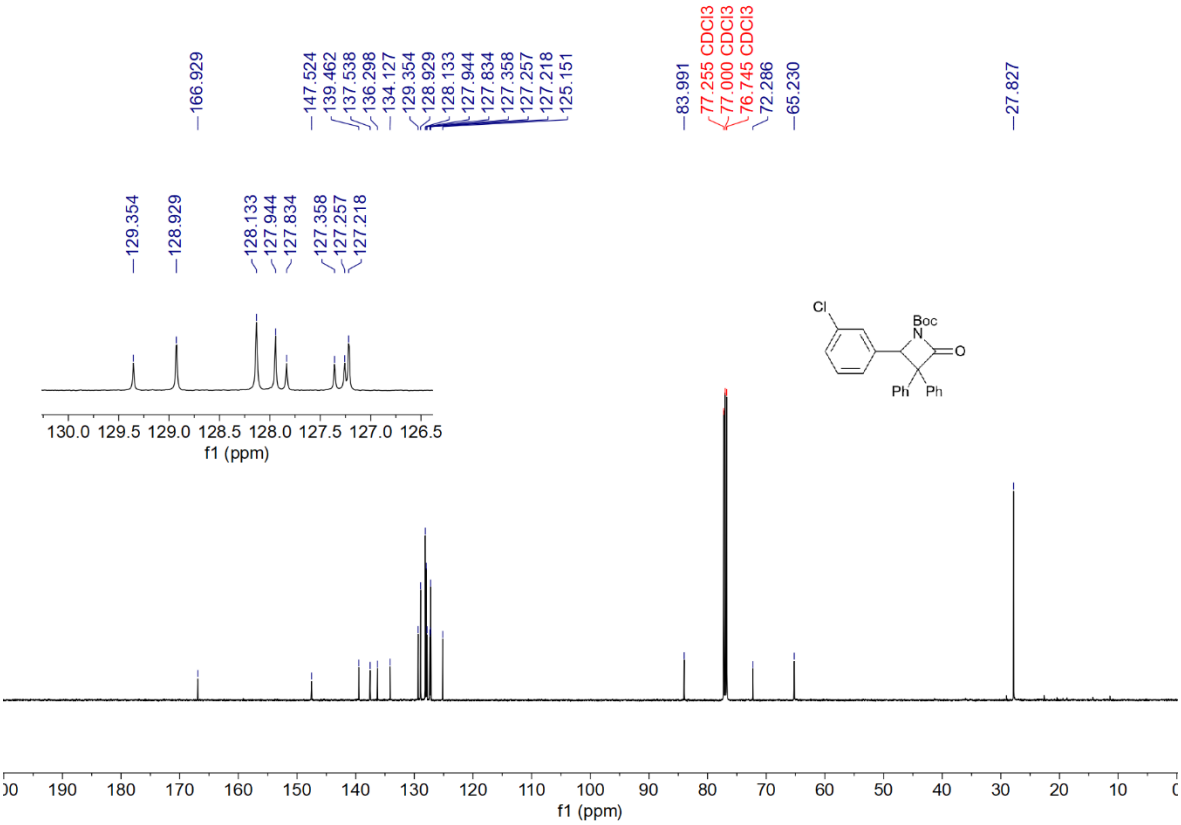
3k $^{19}F\{^{1}H\}$ NMR (471 MHz, $CDCl_3$)



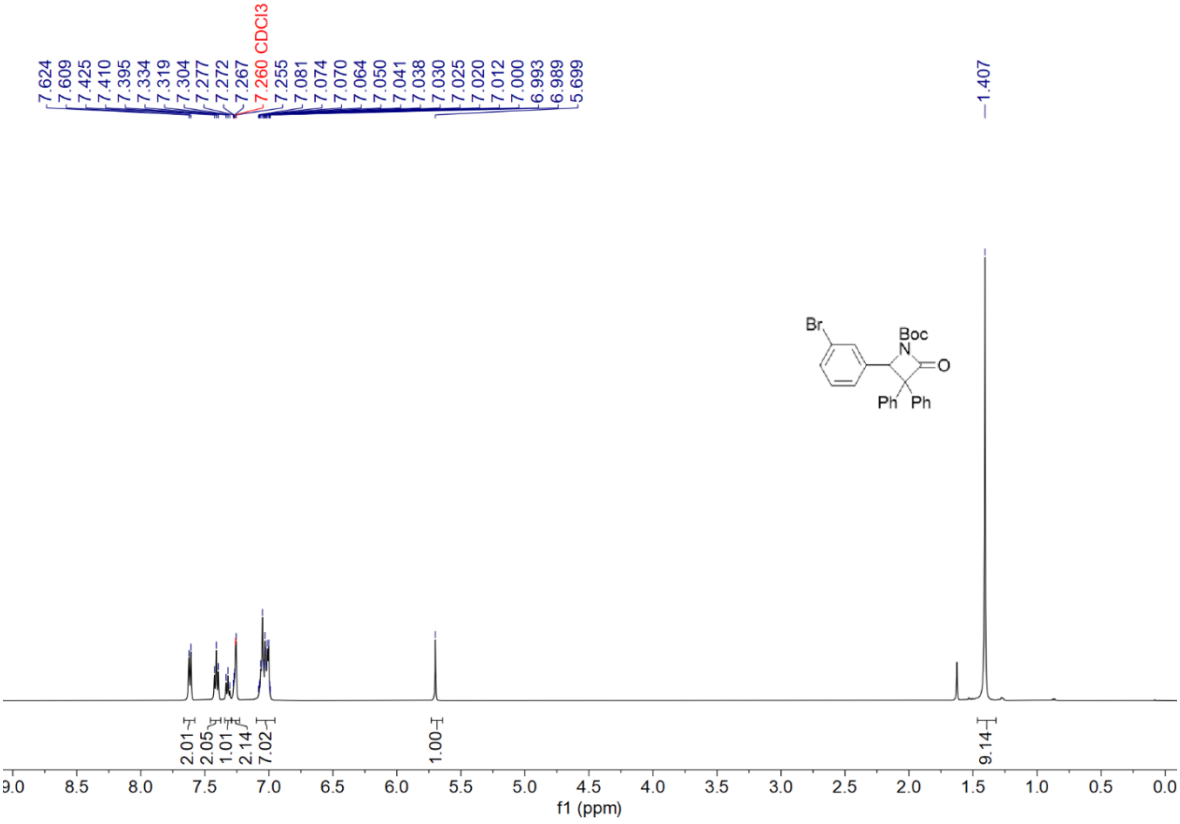
3l ^{1}H NMR (500 MHz, $CDCl_3$)



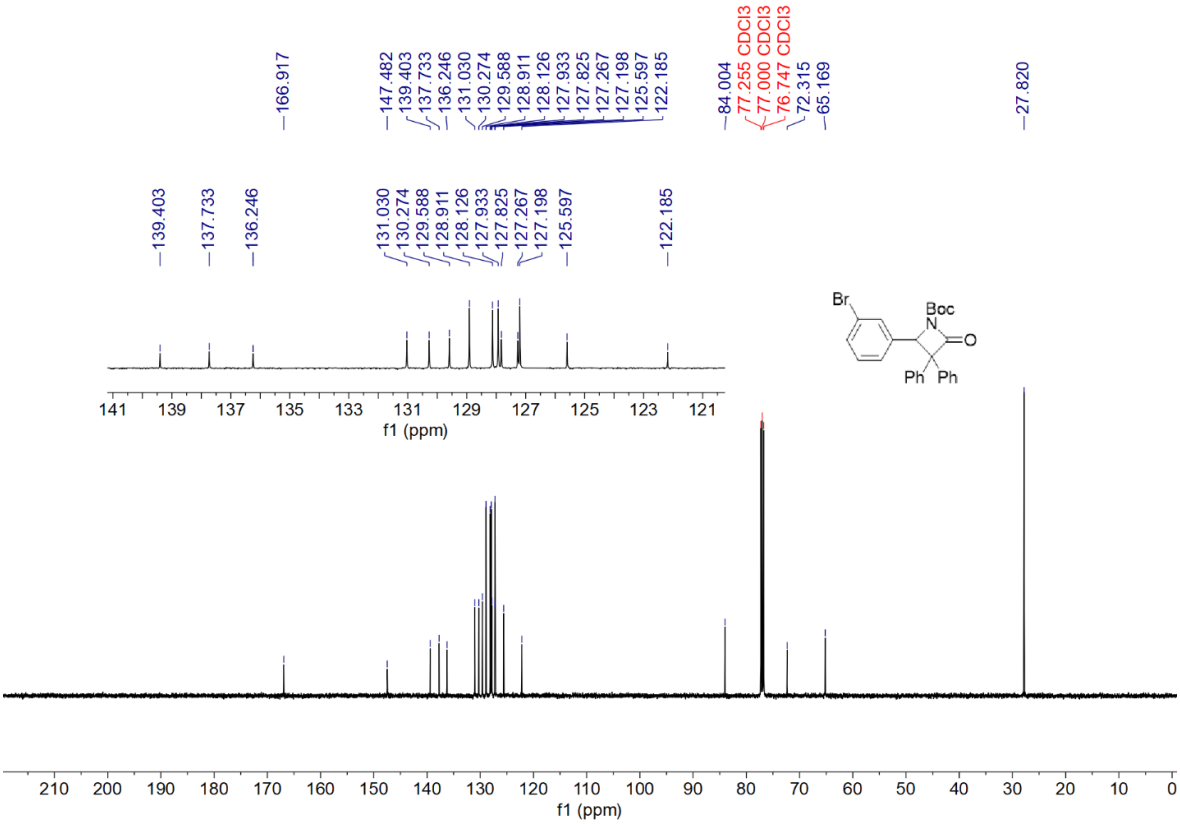
3l $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



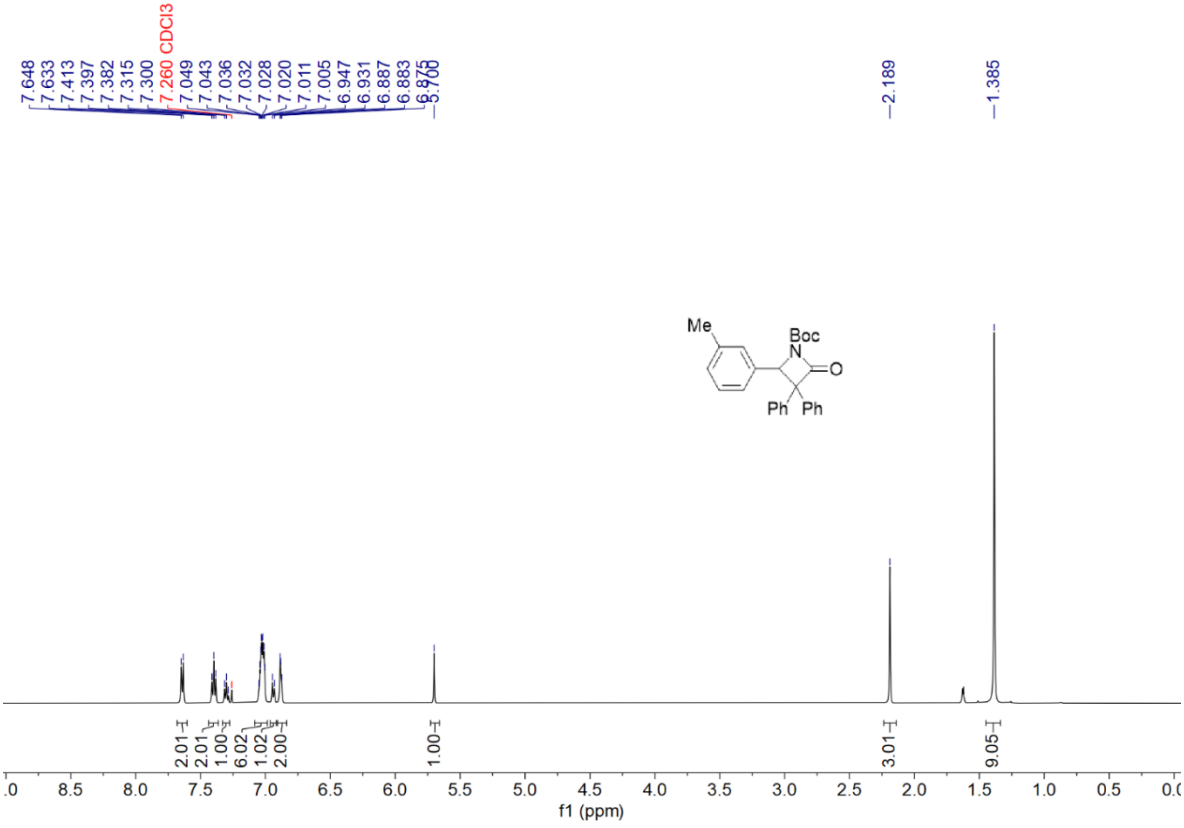
3m ^{1}H NMR (500 MHz, $CDCl_3$)



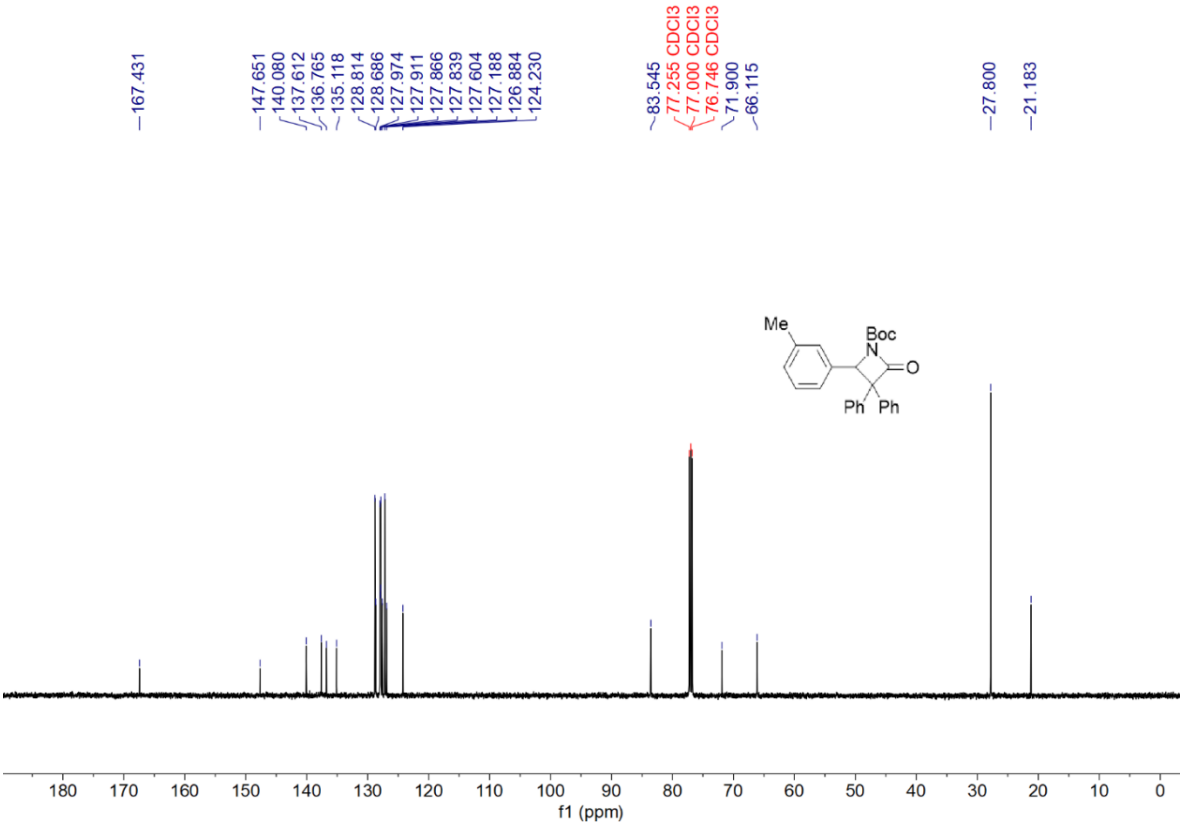
3m $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



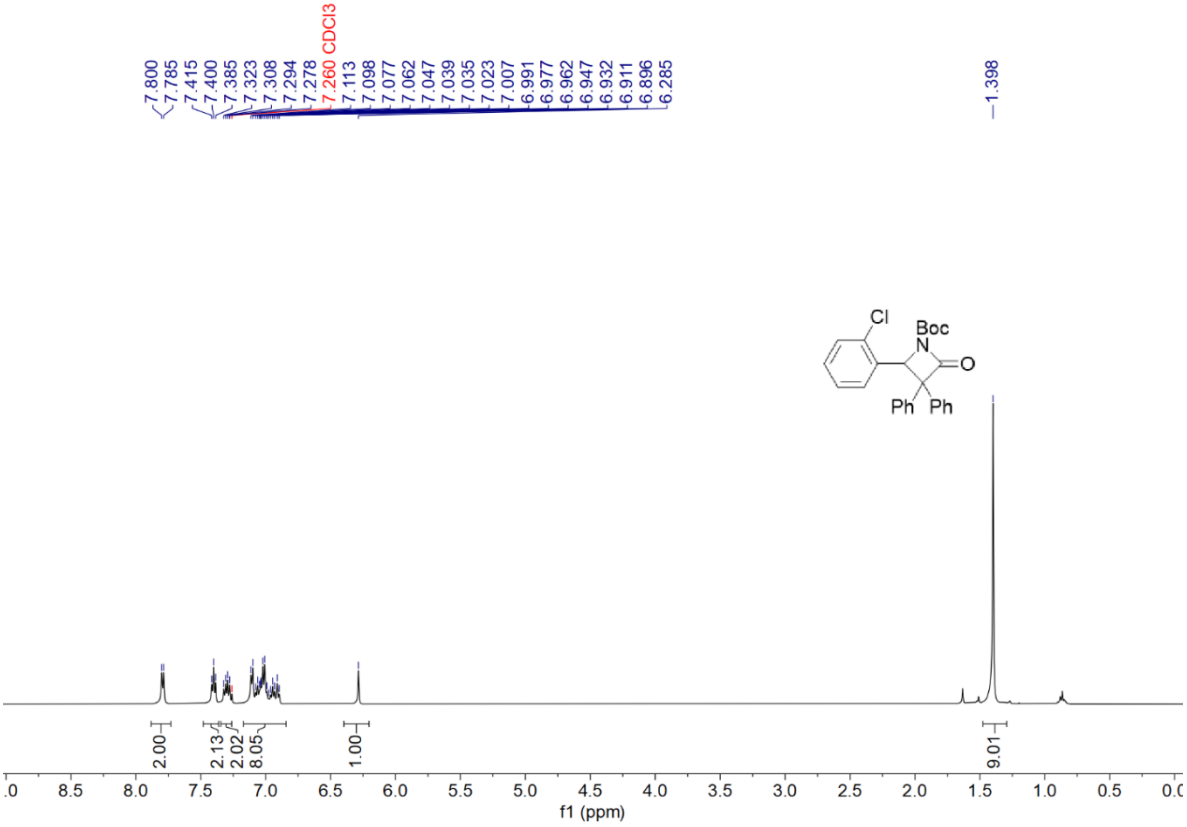
3n ^{1}H NMR (500 MHz, $CDCl_3$)



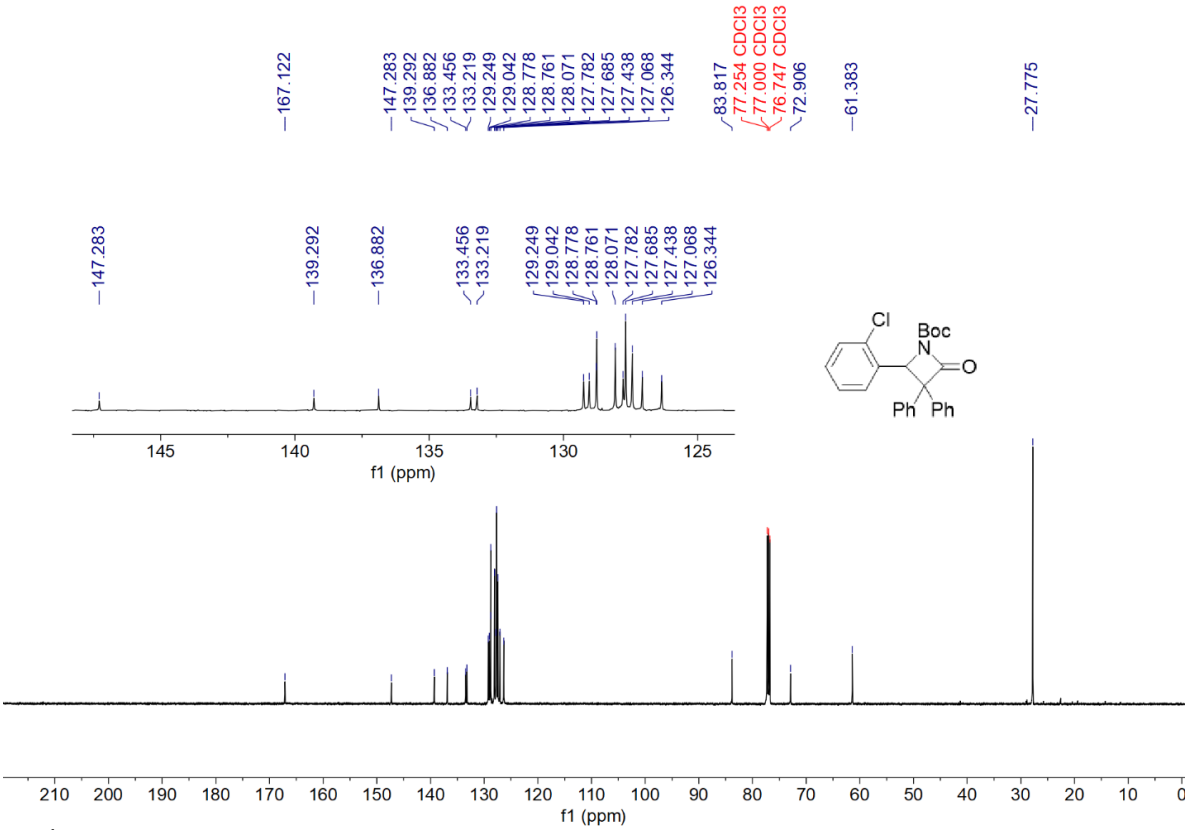
3n $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



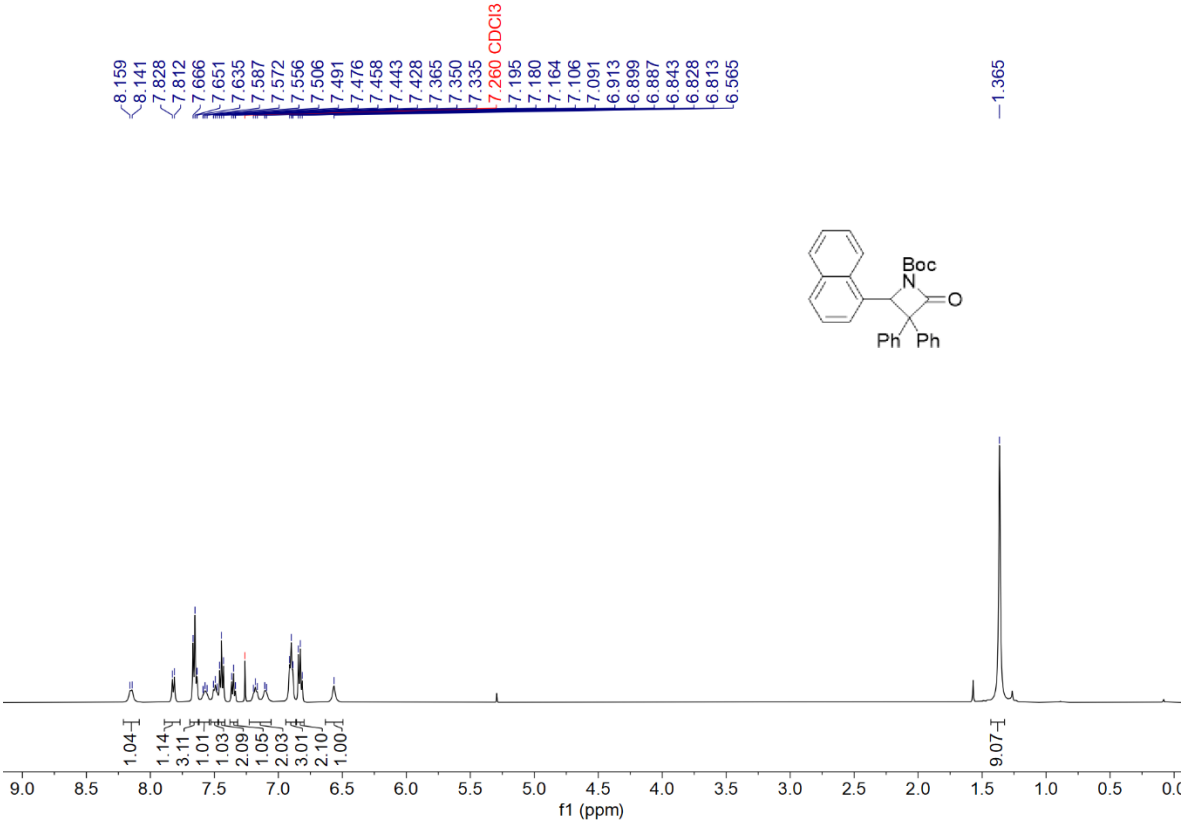
3o ^{1}H NMR (500 MHz, $CDCl_3$)



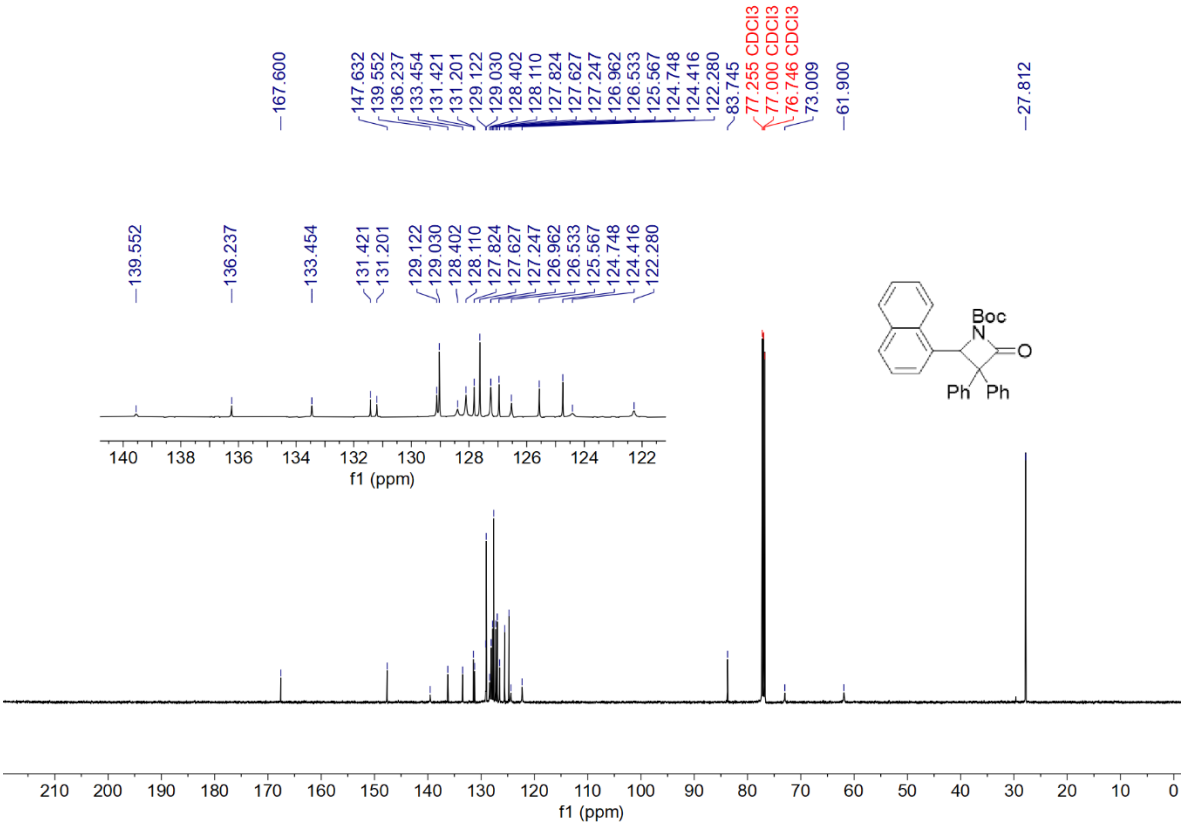
3o $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



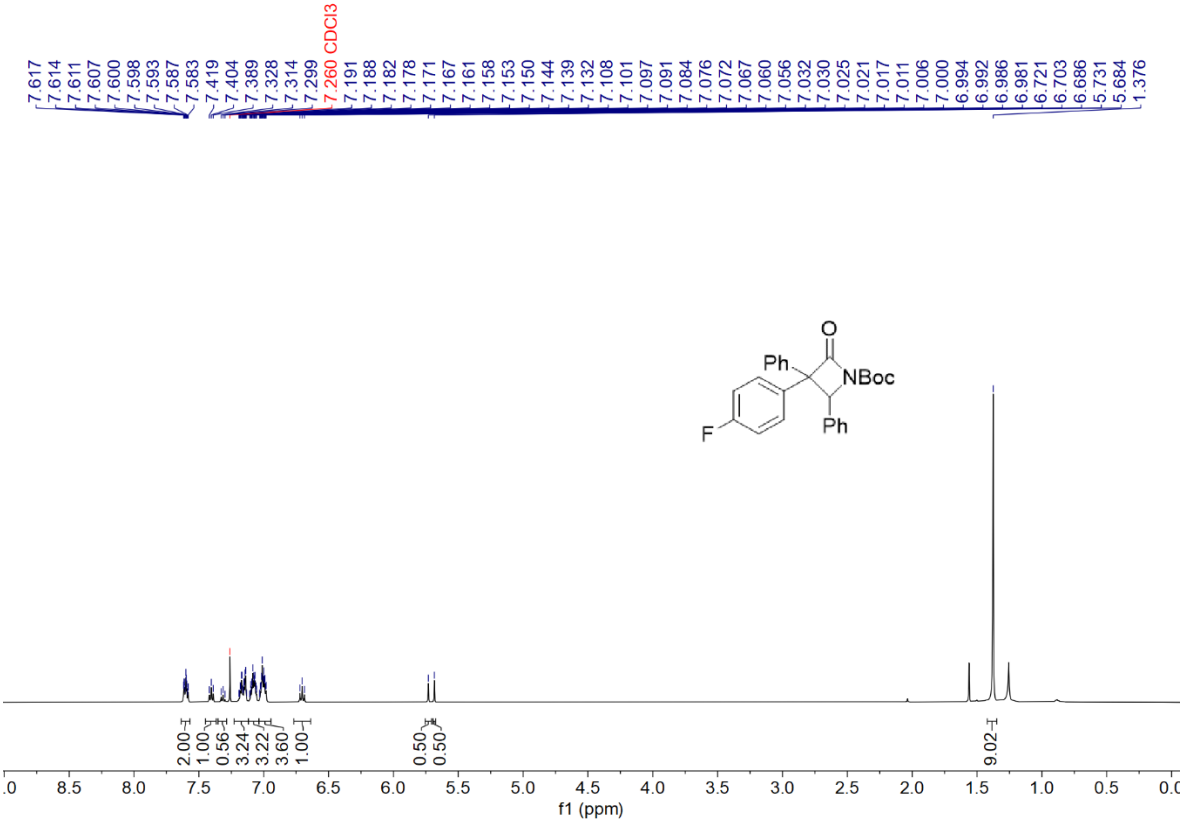
3p ^{1}H NMR (500 MHz, $CDCl_3$)



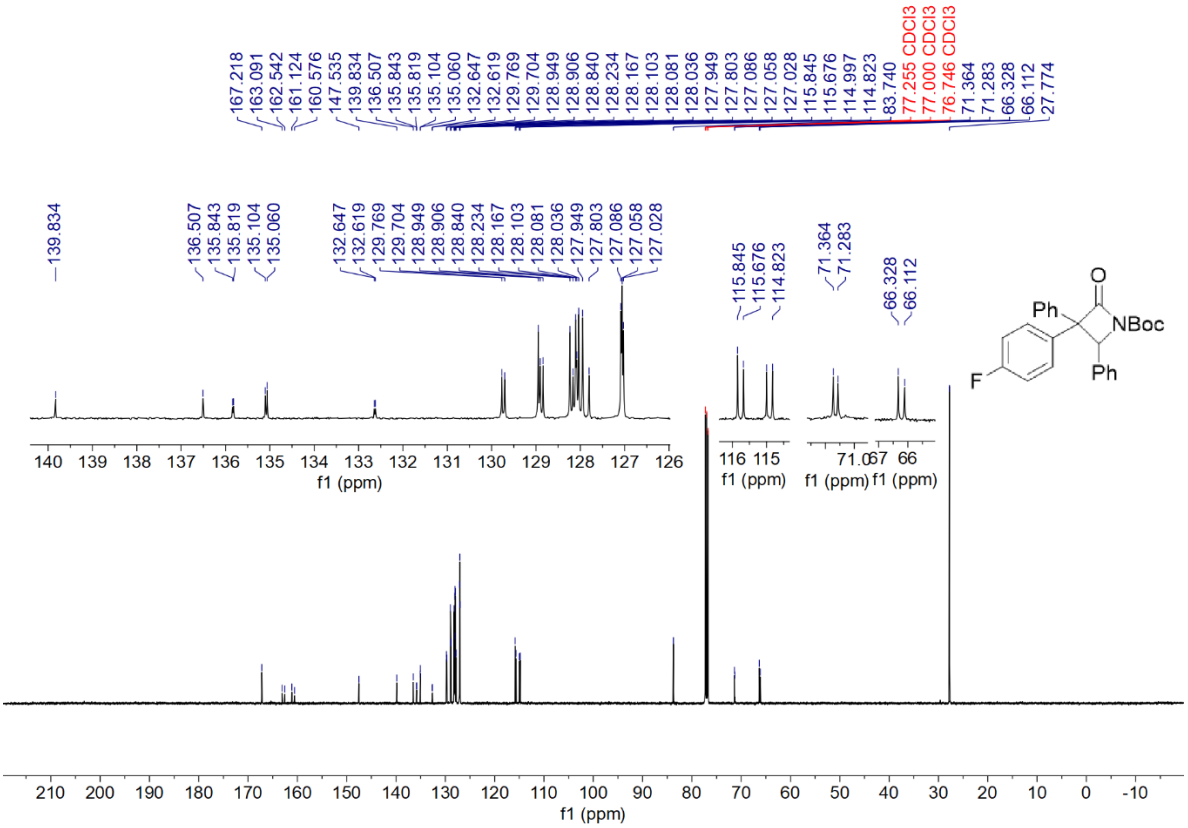
3p $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



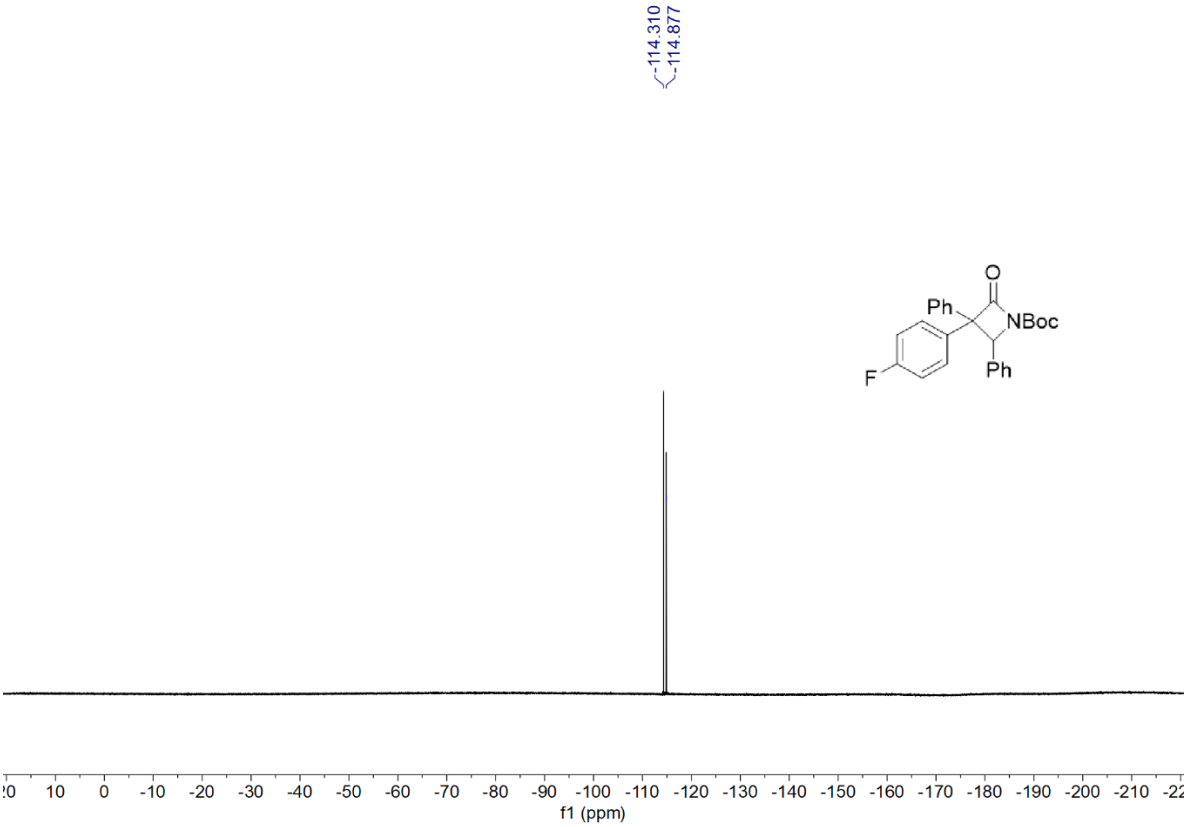
3q ^{1}H NMR (500 MHz, $CDCl_3$)



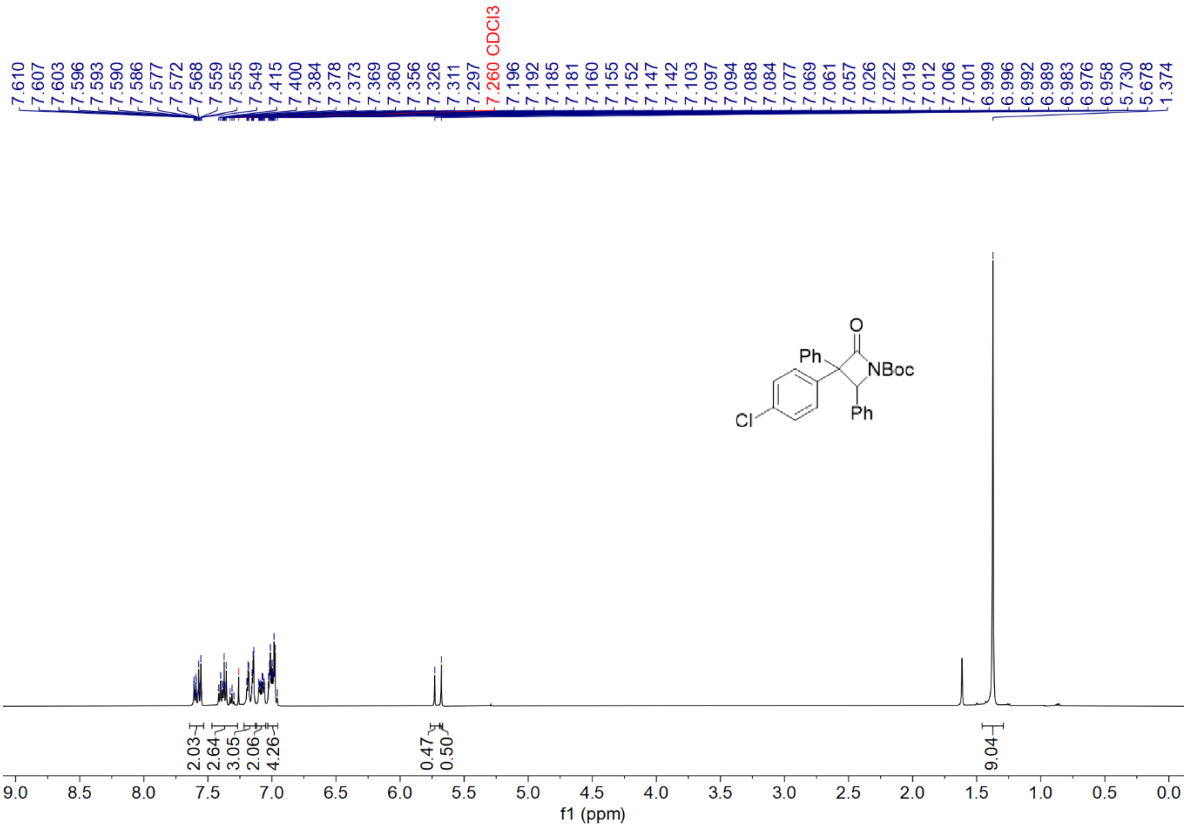
3q $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



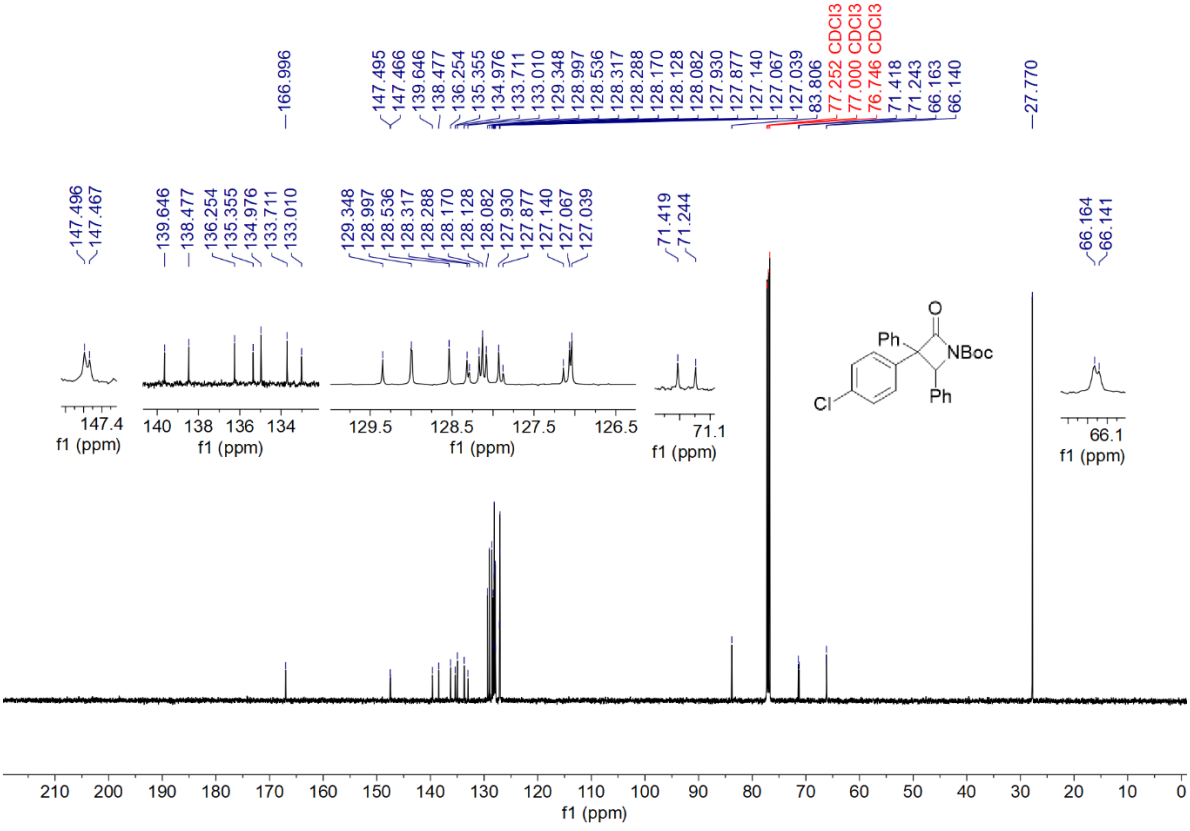
3q $^{19}F\{^{1}H\}$ NMR (471 MHz, $CDCl_3$)



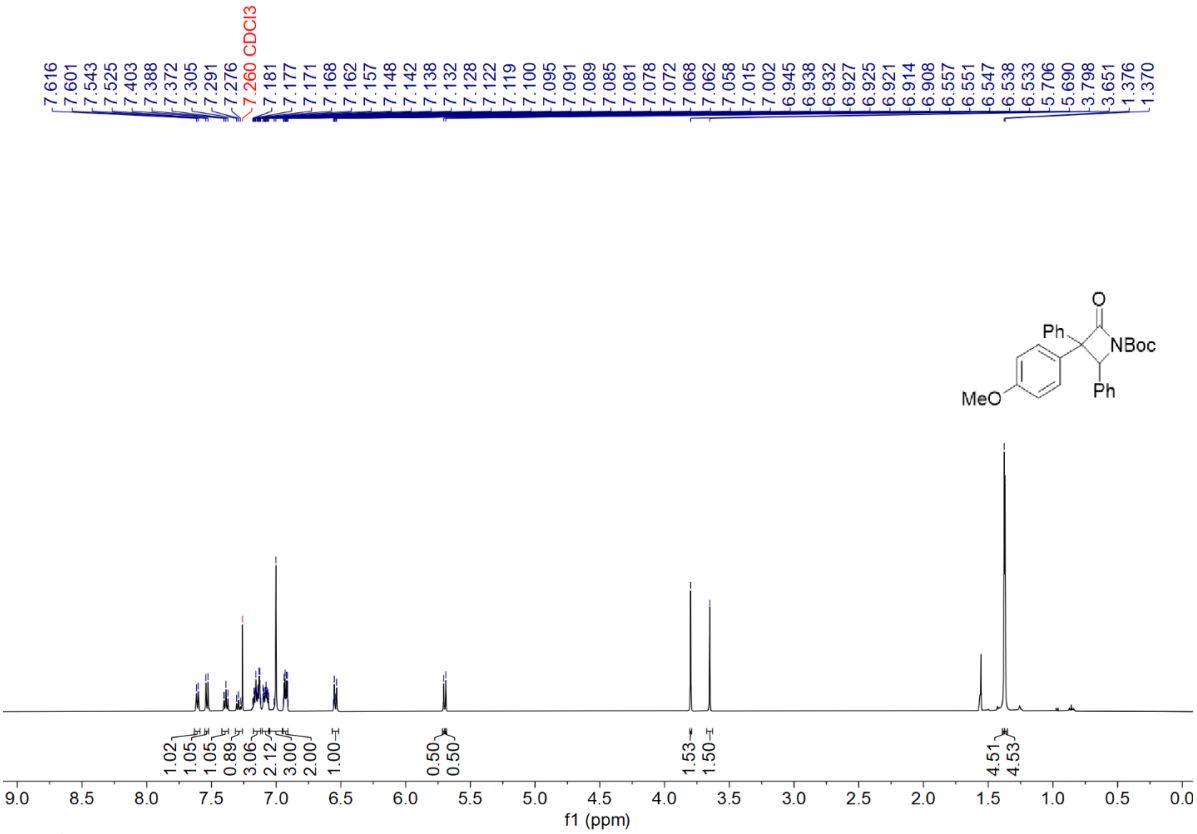
3r ^{1}H NMR (500 MHz, $CDCl_3$)



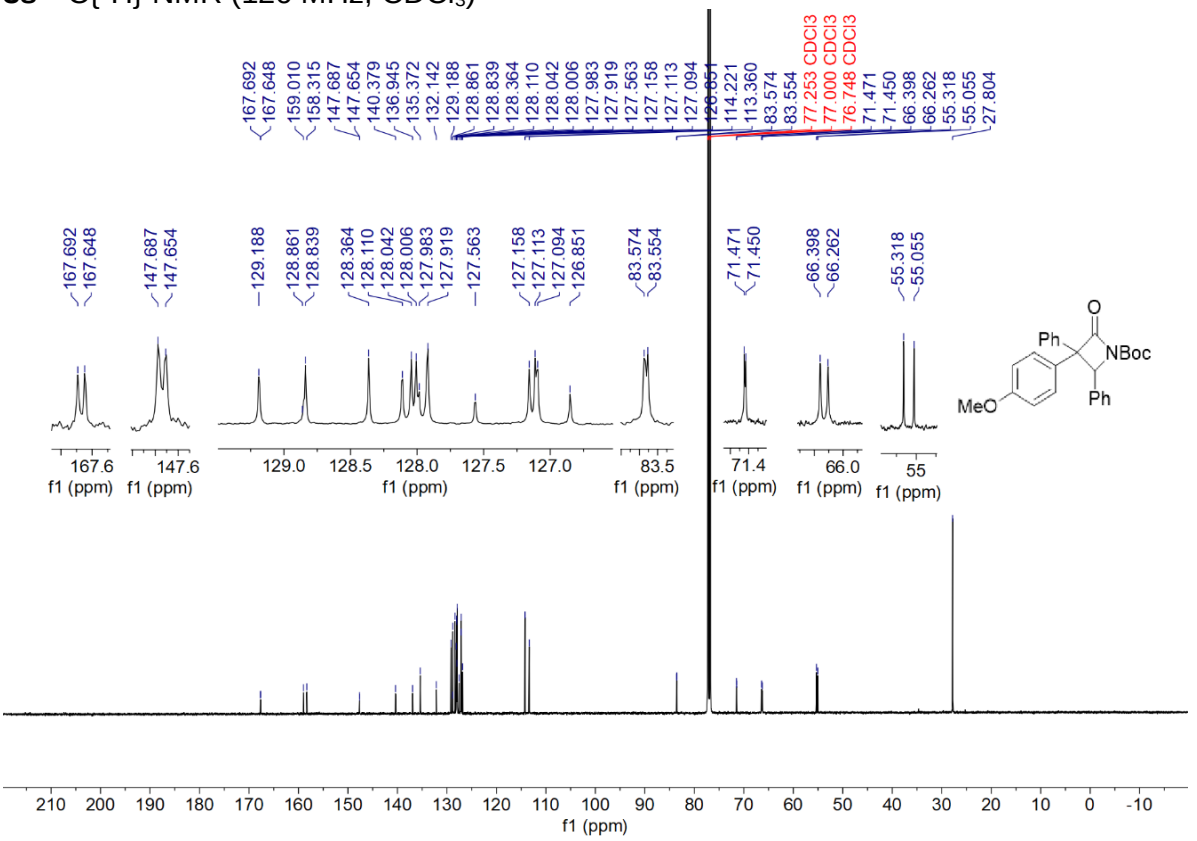
3r ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



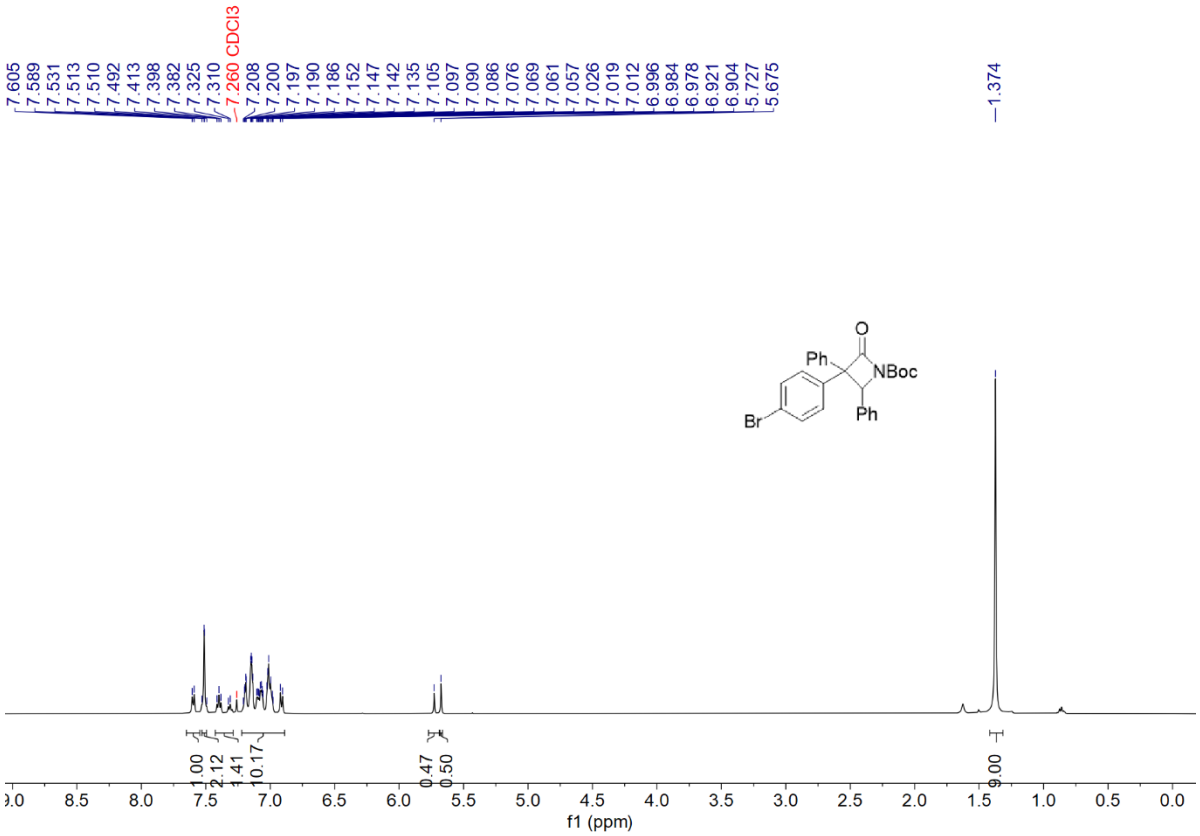
3s ^{1}H NMR (500 MHz, $CDCl_3$)



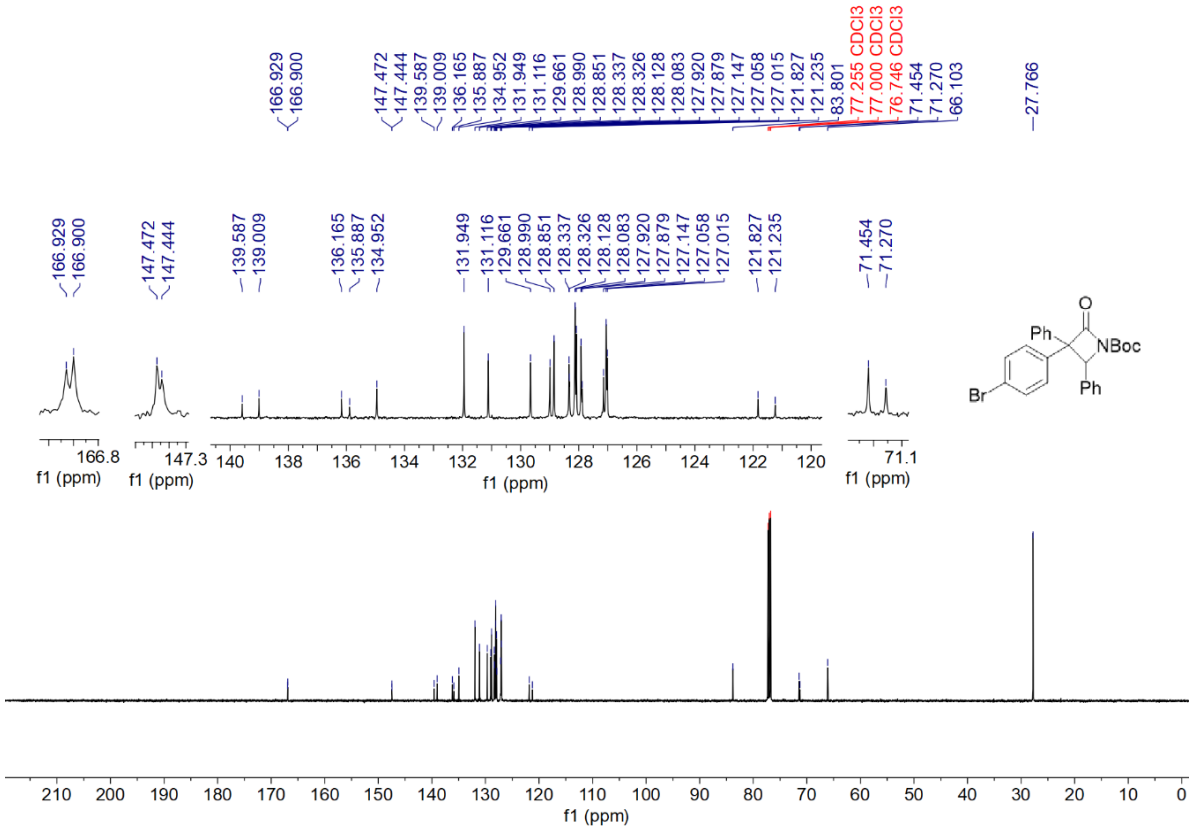
3s ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



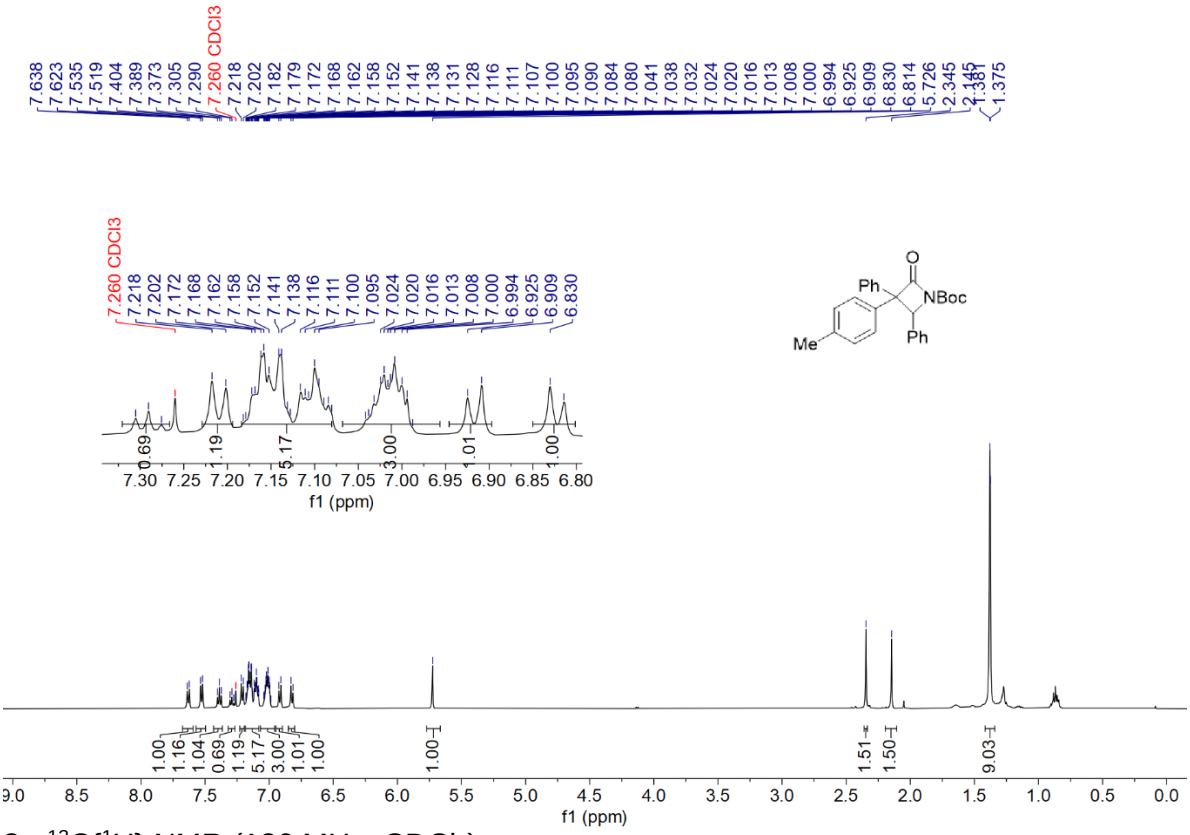
3t ^{1}H NMR (500 MHz, $CDCl_3$)



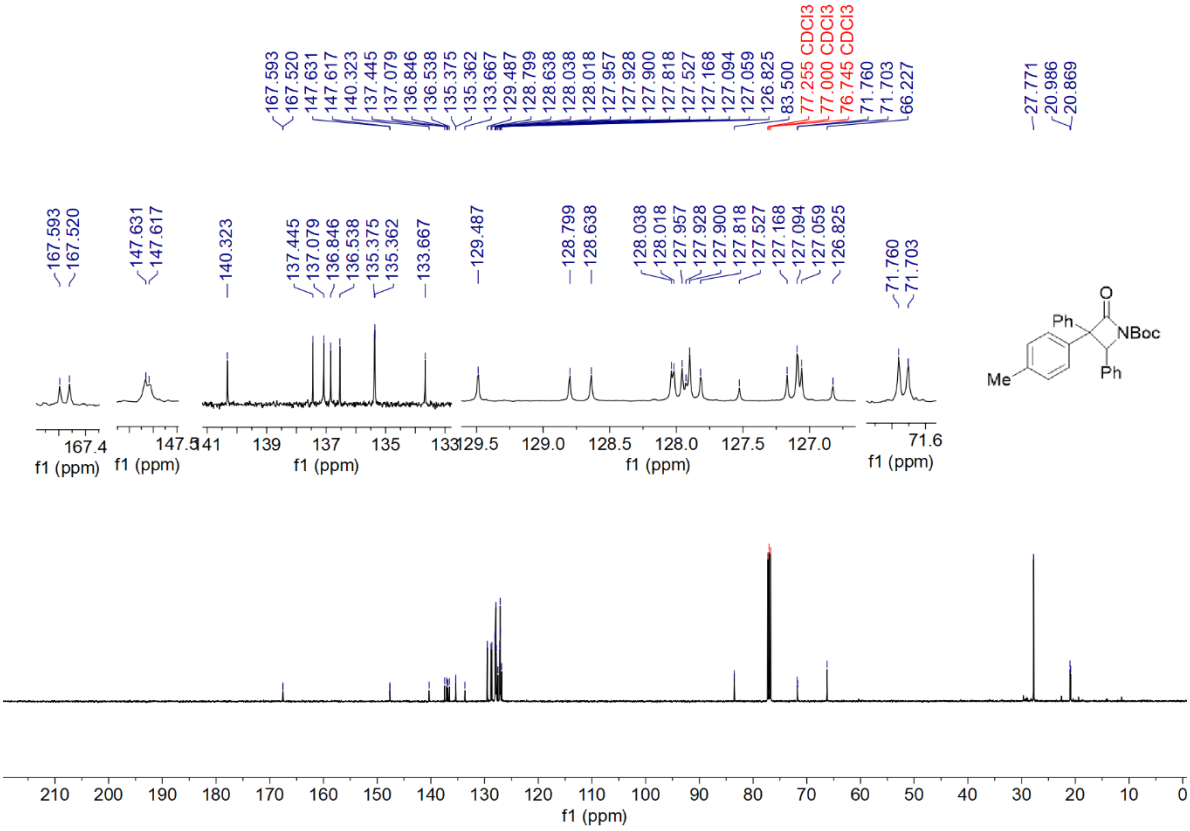
3t ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



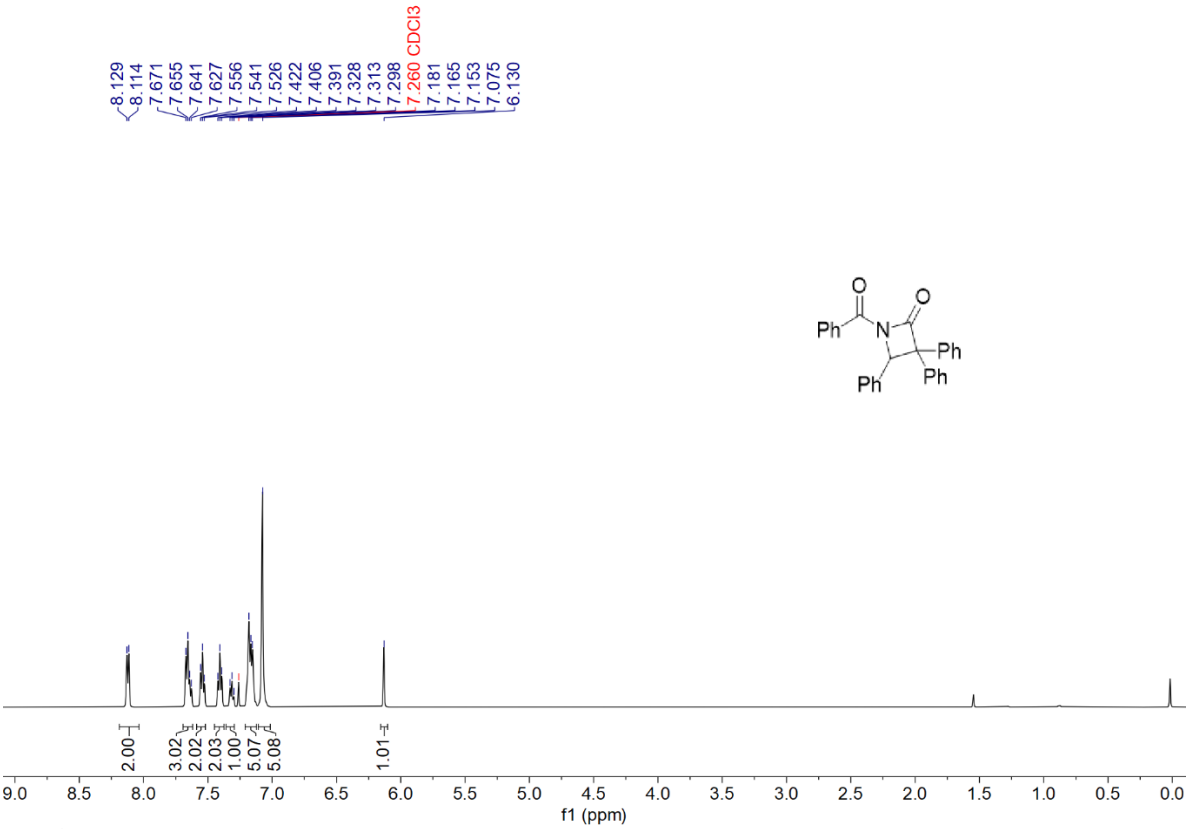
3u ^{1}H NMR (500 MHz, $CDCl_3$)



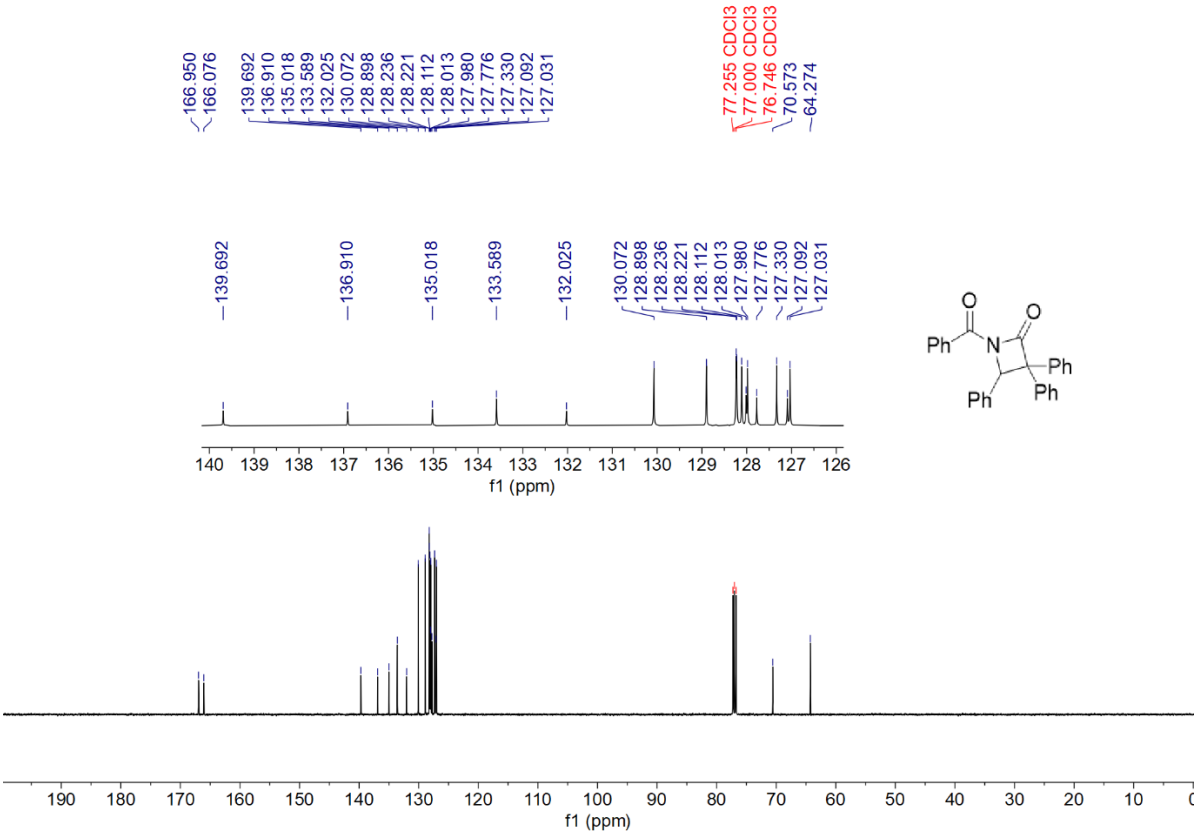
3u ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



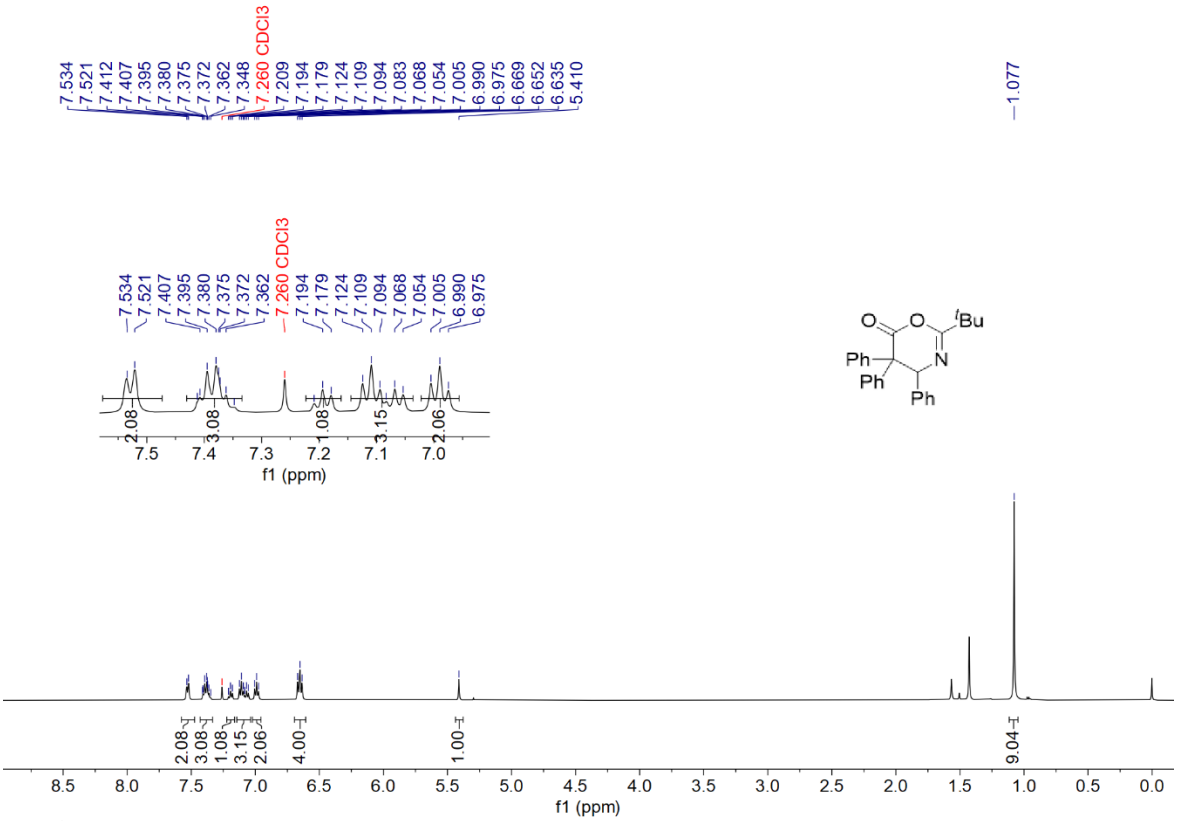
3v ^{1}H NMR (500 MHz, $CDCl_3$)



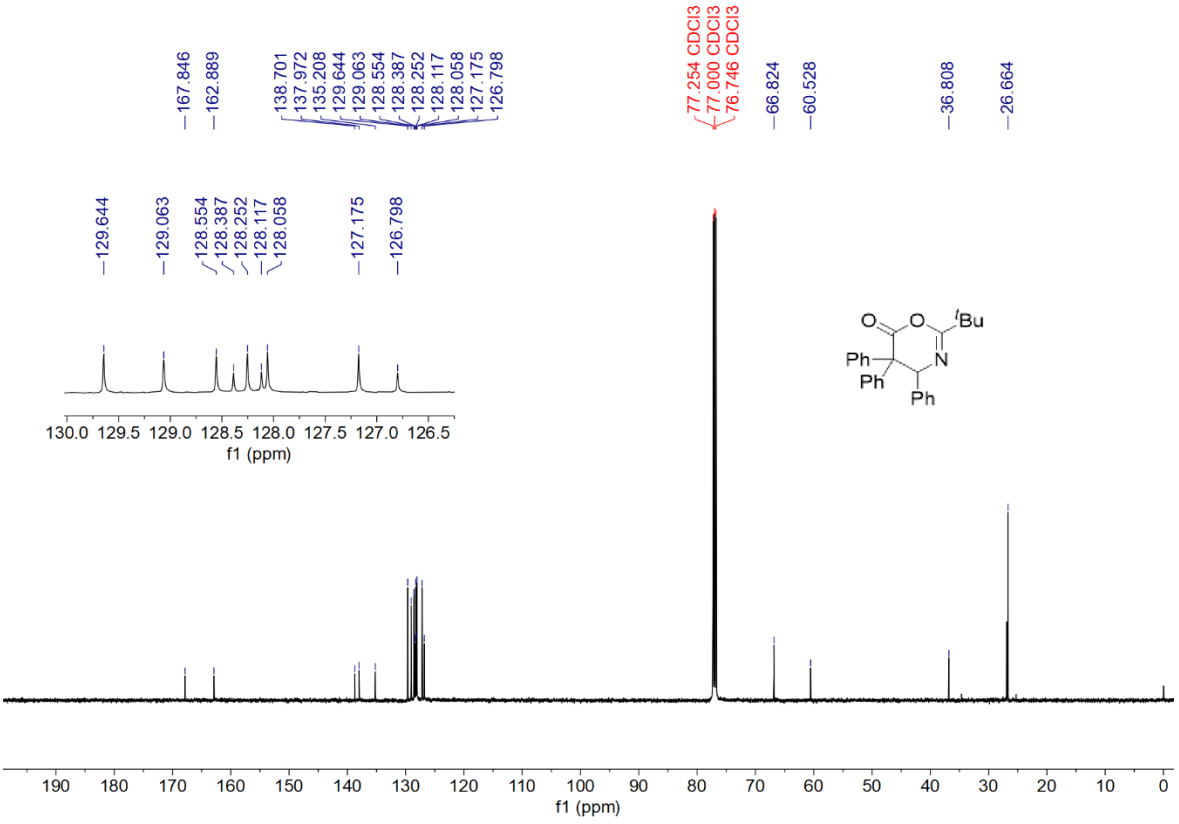
3v $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



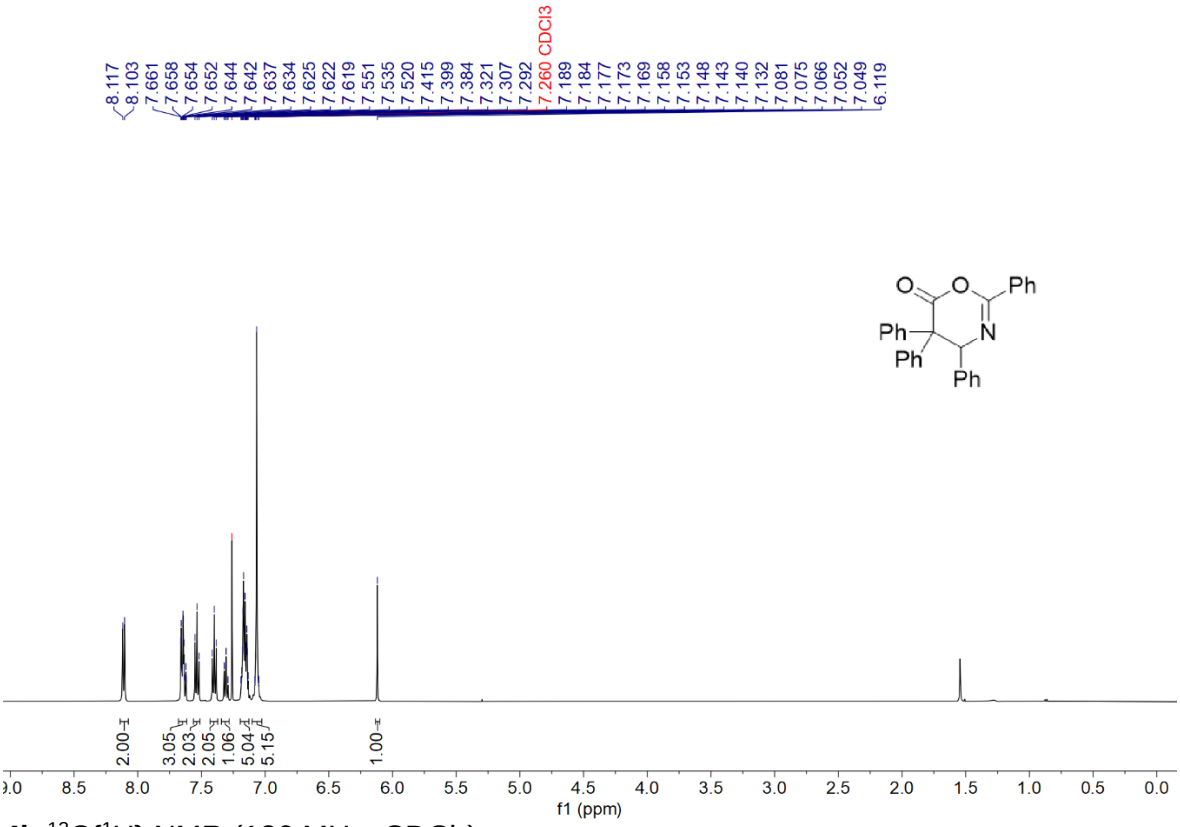
4a ^{1}H NMR (500 MHz, $CDCl_3$)



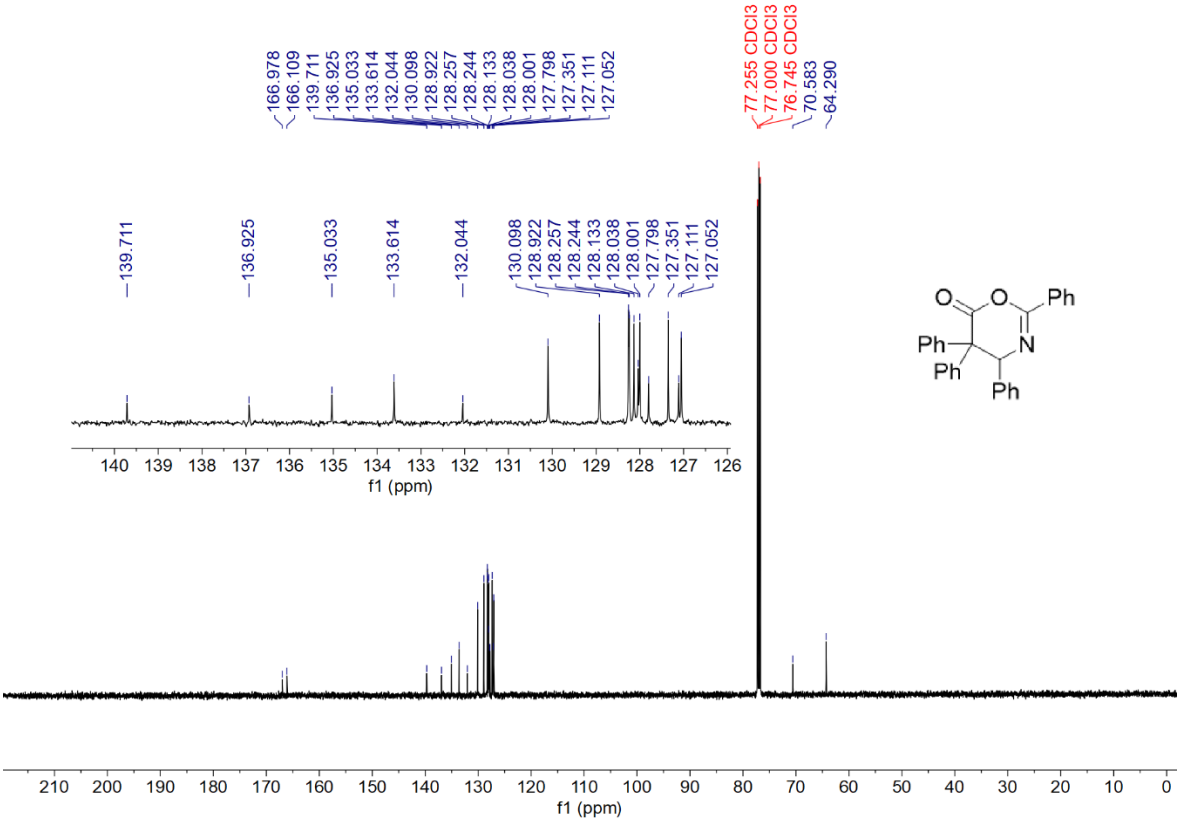
4a ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



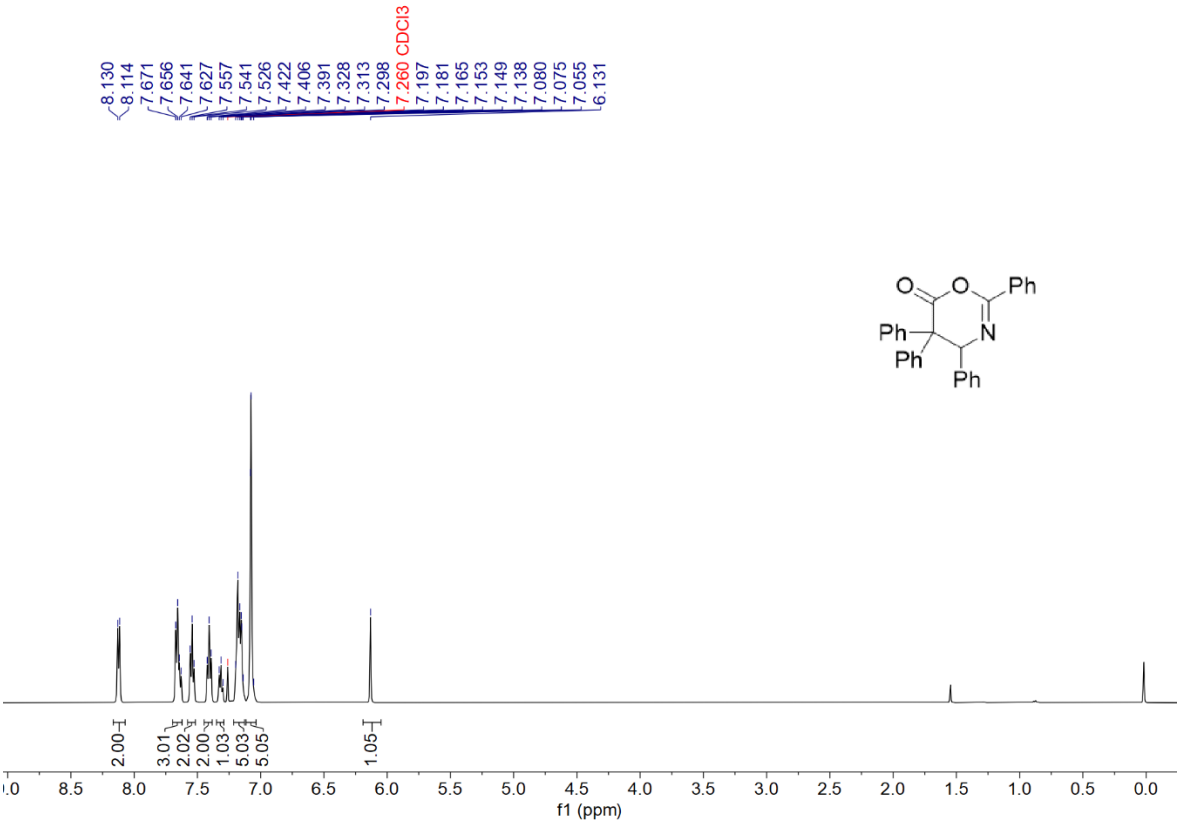
4b ^{1}H NMR (500 MHz, $CDCl_3$)



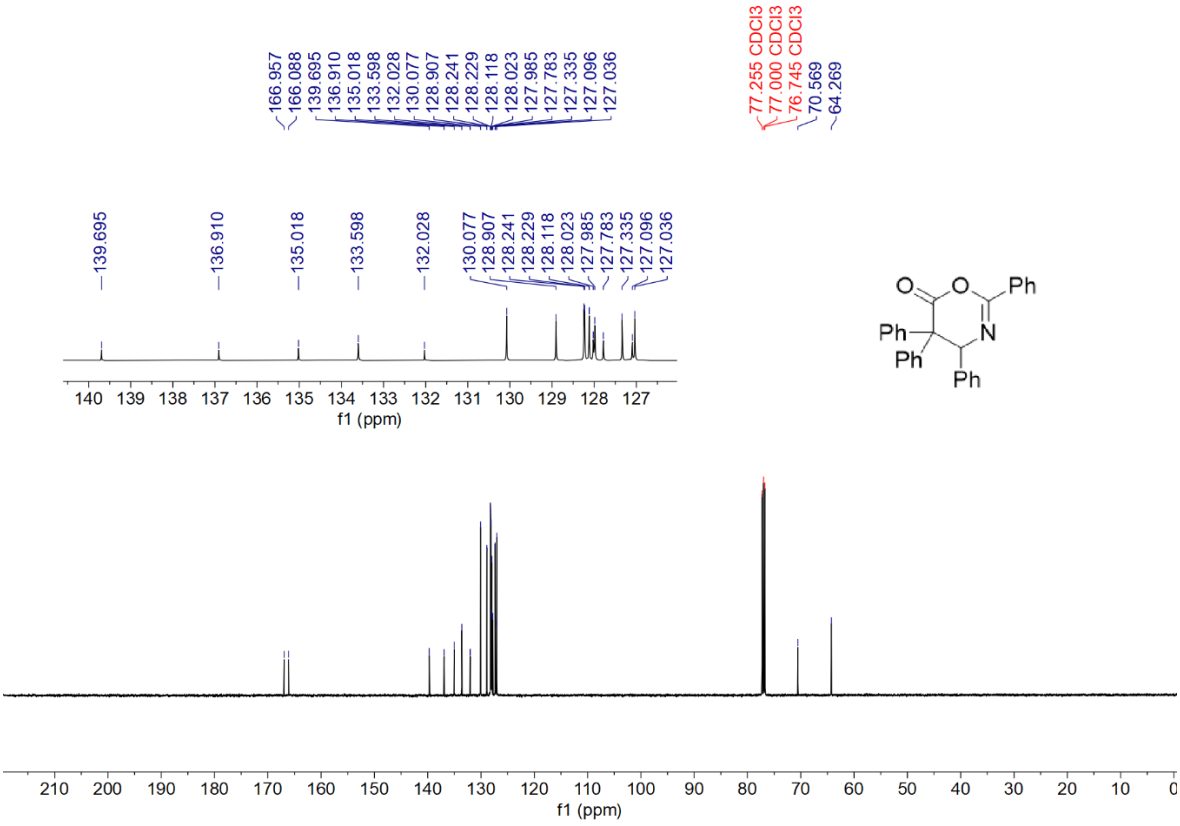
4b $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



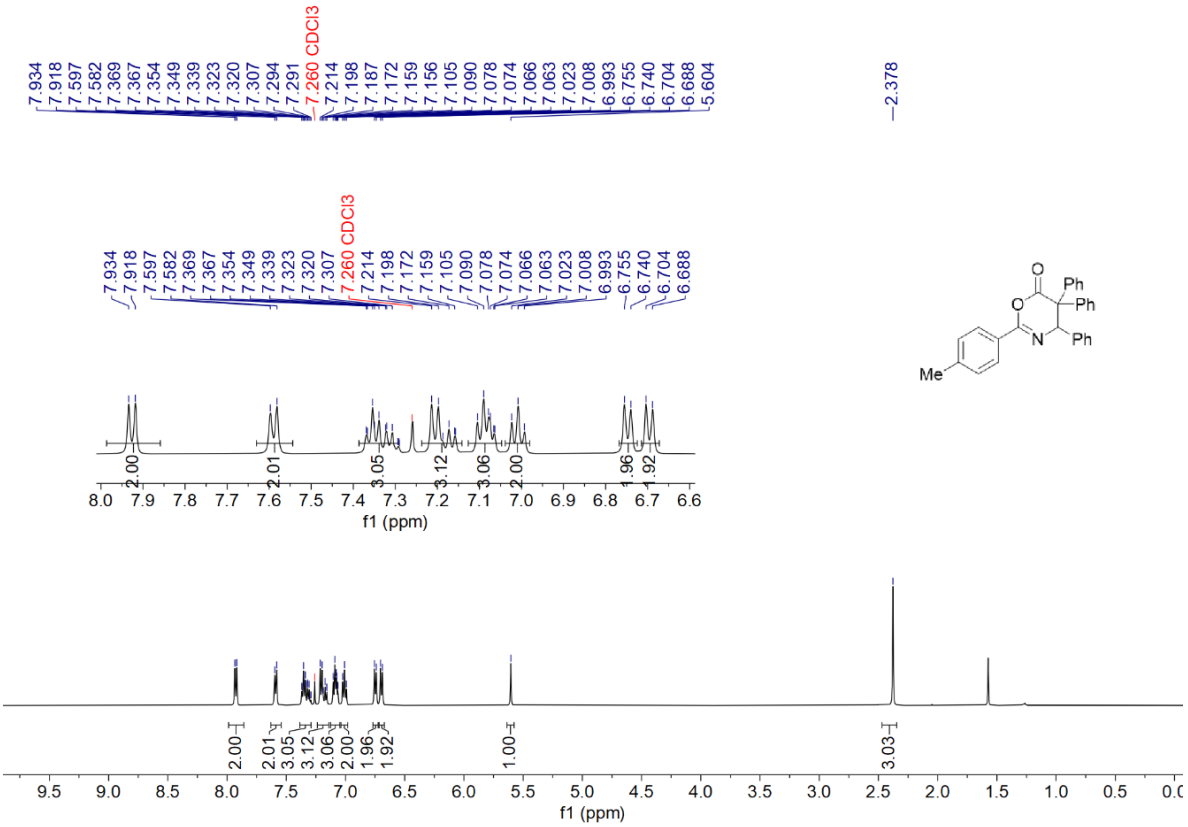
Gram-scale of 4b ^{1}H NMR (500 MHz, $CDCl_3$)



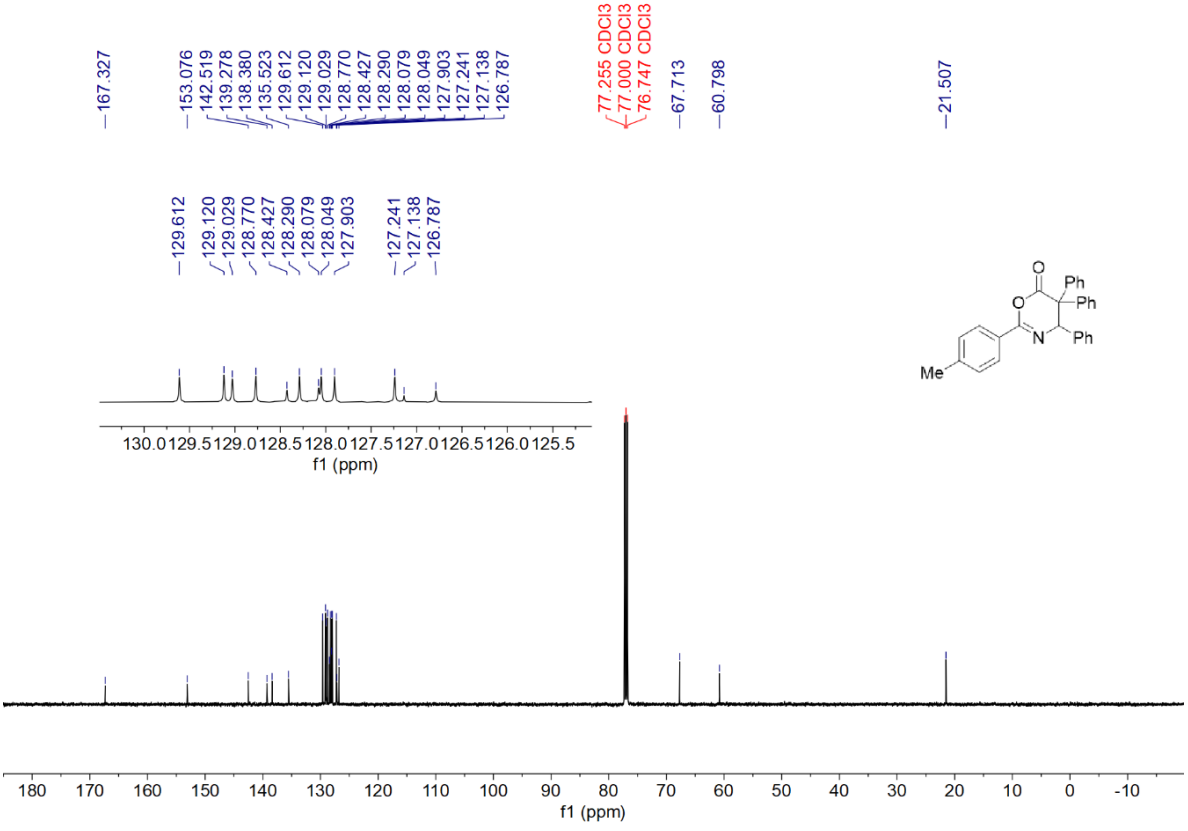
Gram-scale of 4b $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



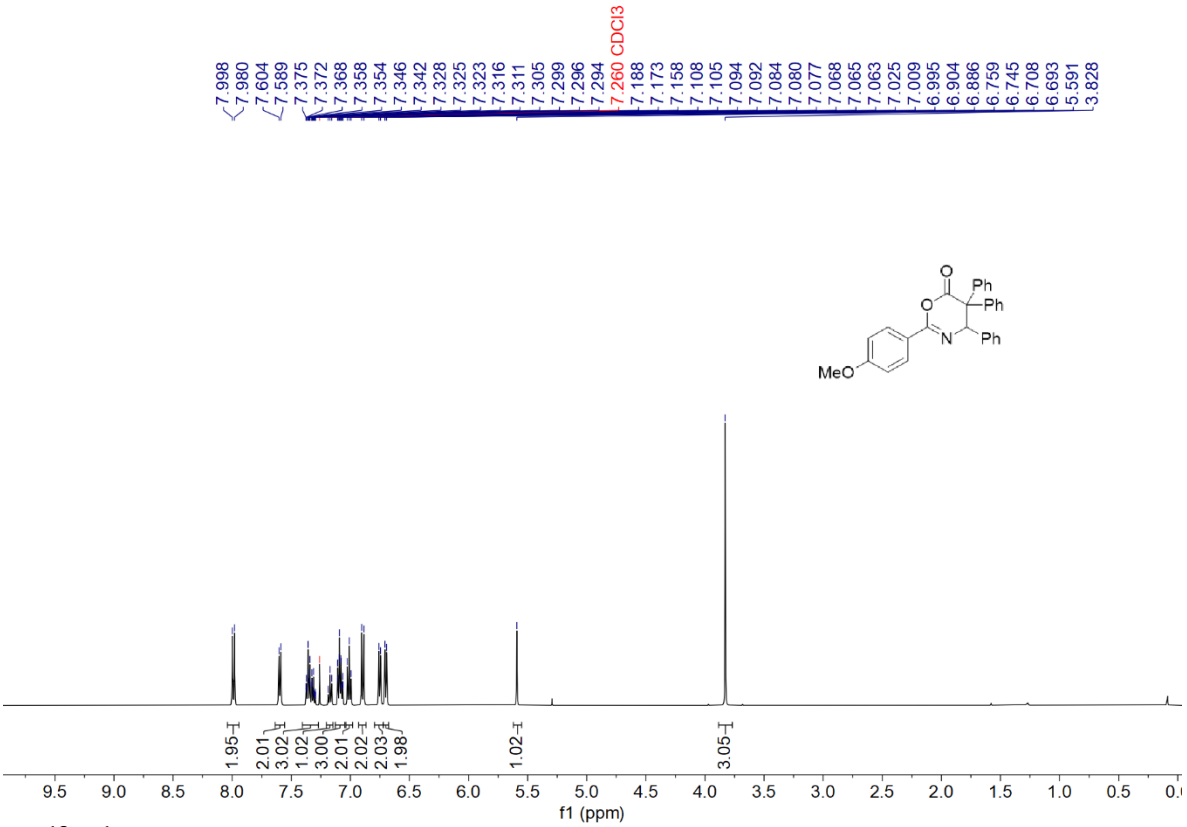
4c ^{1}H NMR (500 MHz, $CDCl_3$)



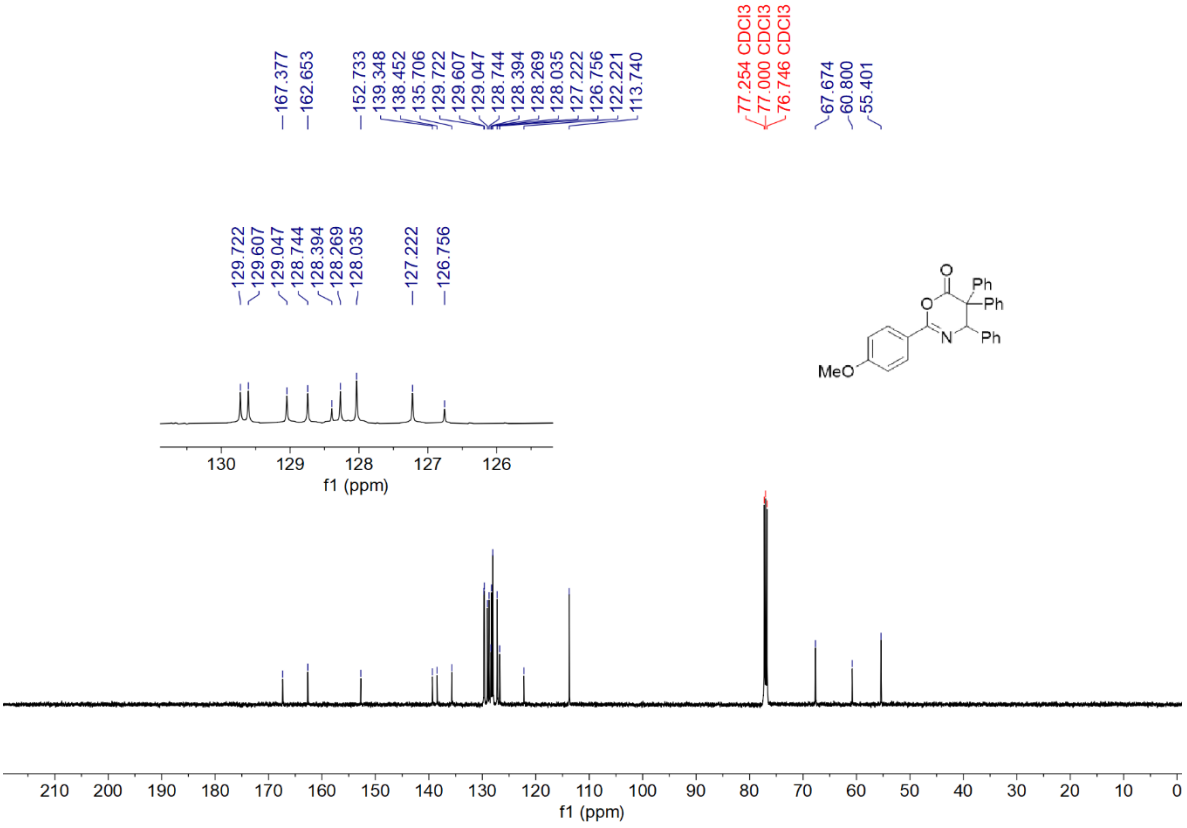
4c ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



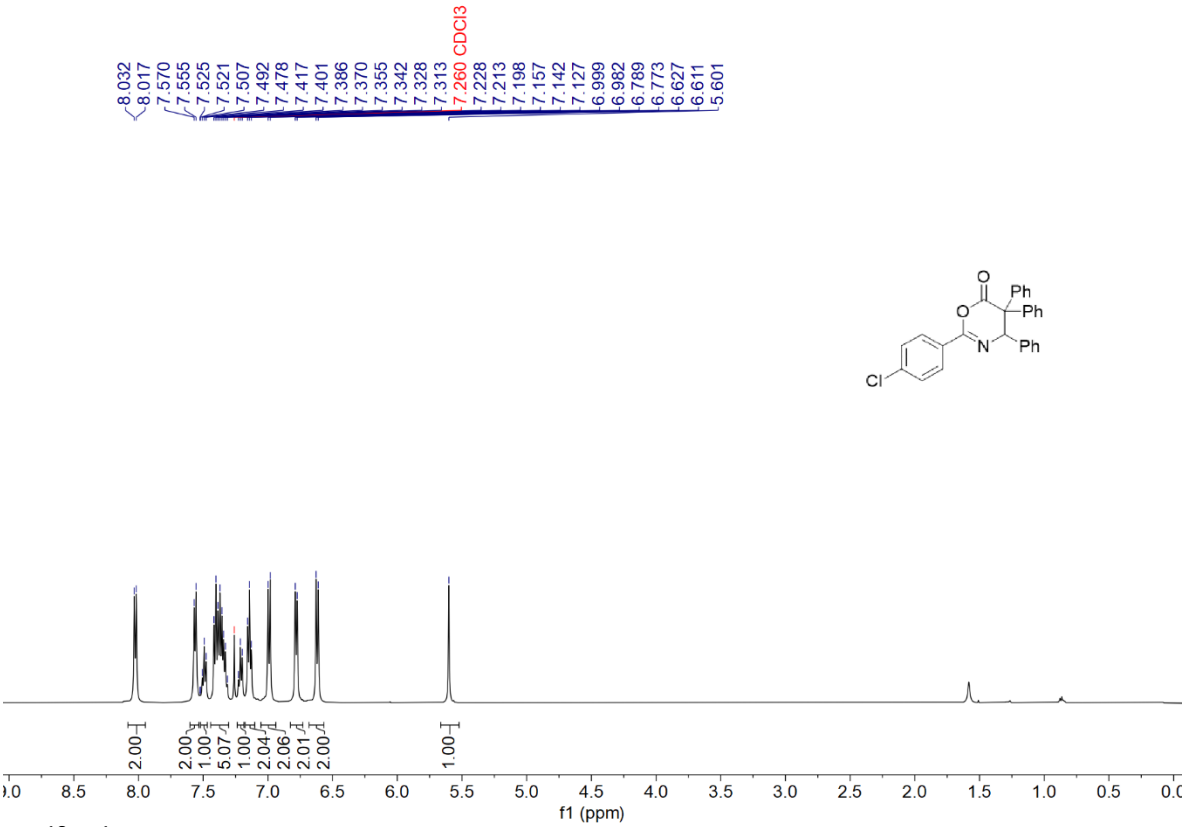
4d ^{1}H NMR (500 MHz, $CDCl_3$)



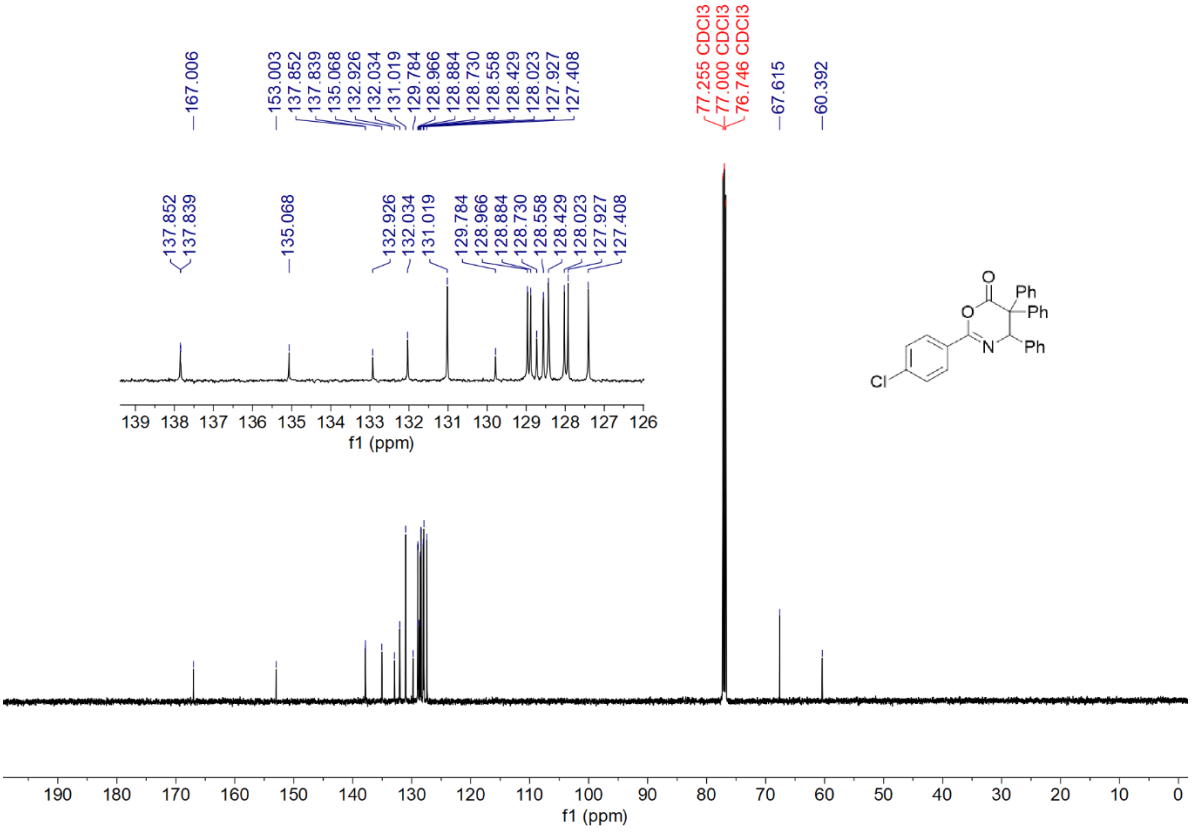
4d $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



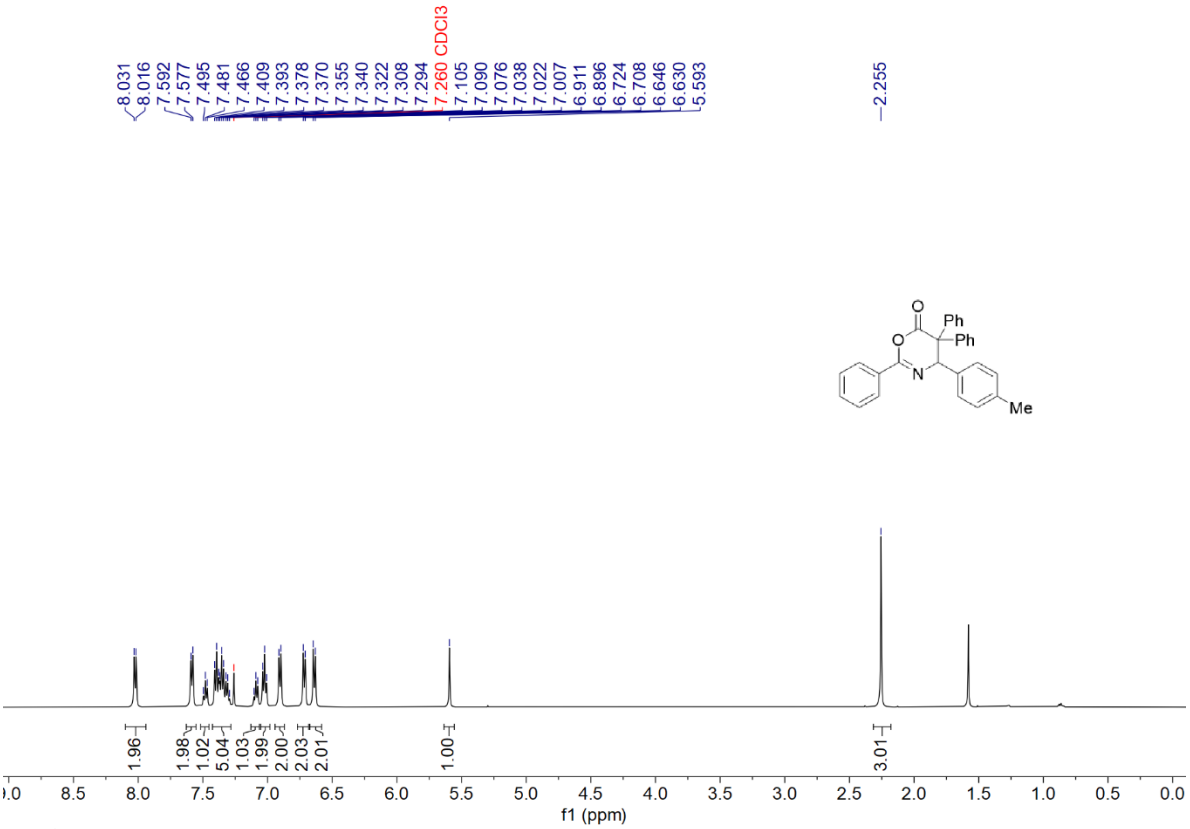
4e ^{1}H NMR (500 MHz, $CDCl_3$)



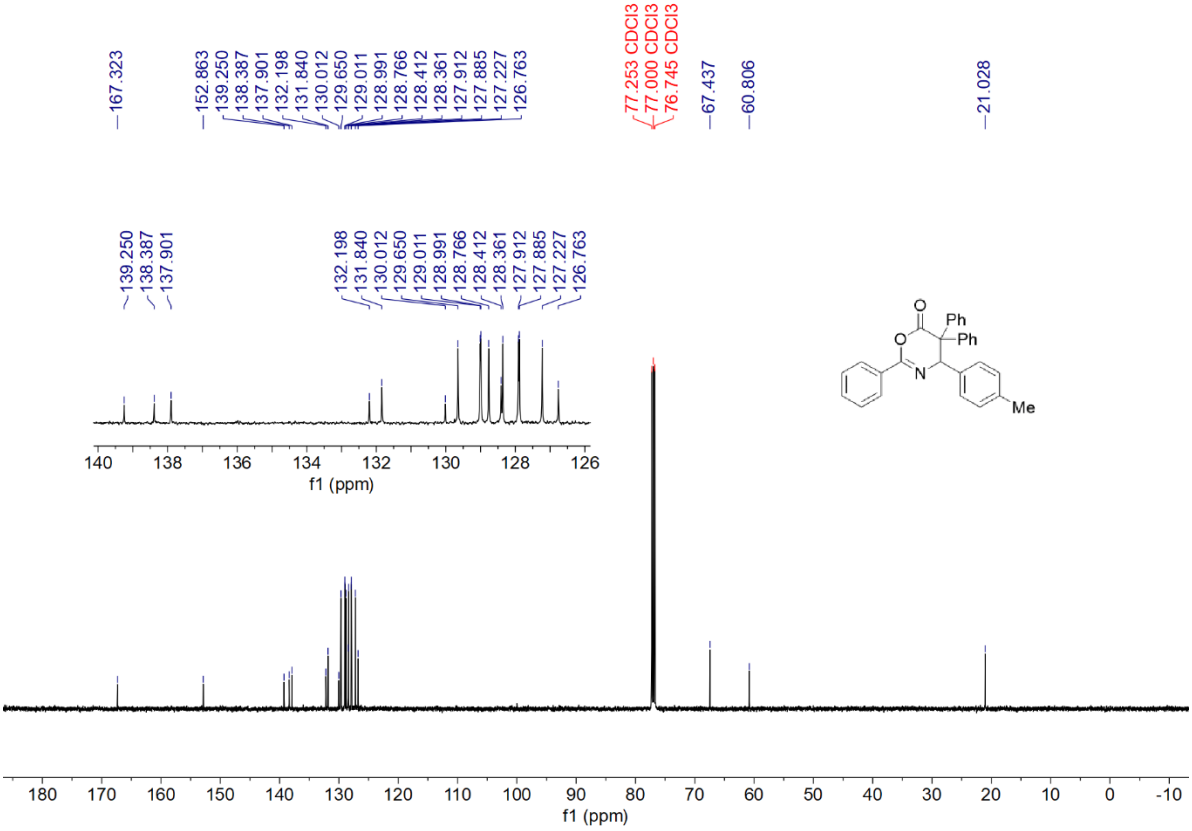
4e ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



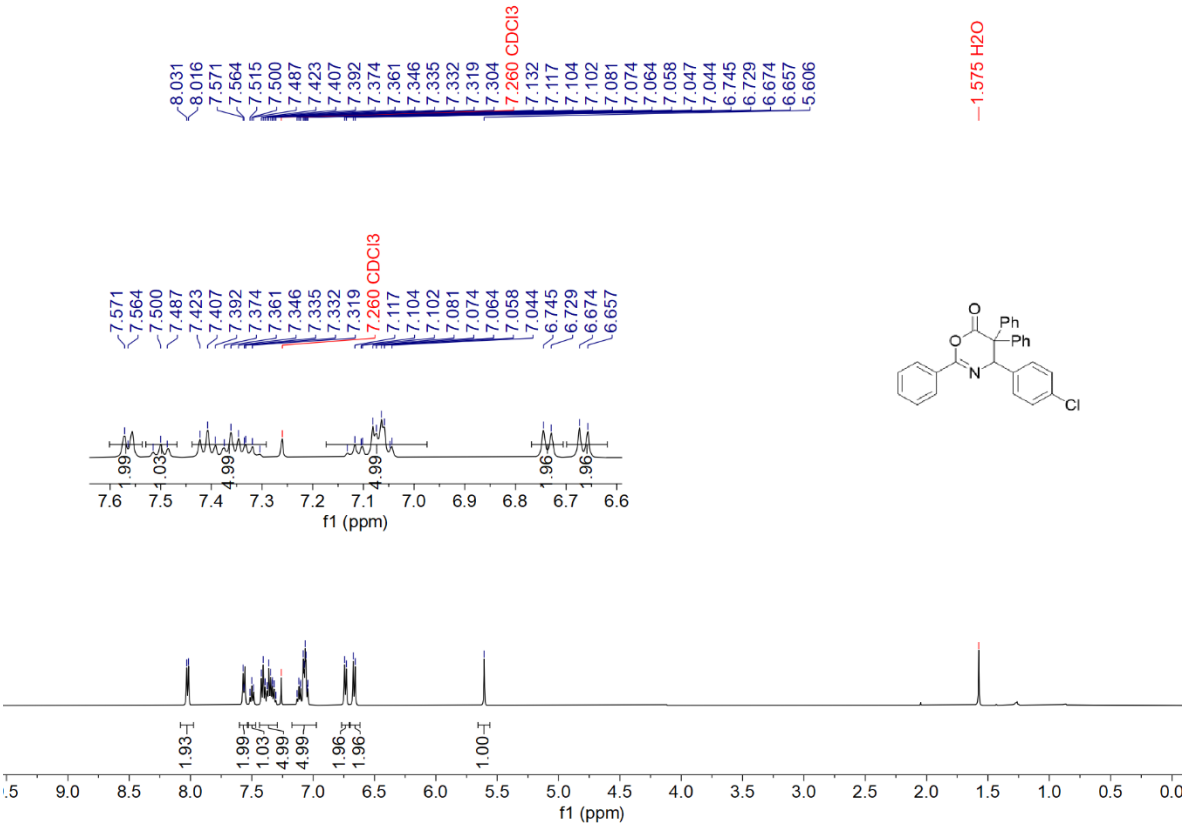
4f ^{1}H NMR (500 MHz, $CDCl_3$)



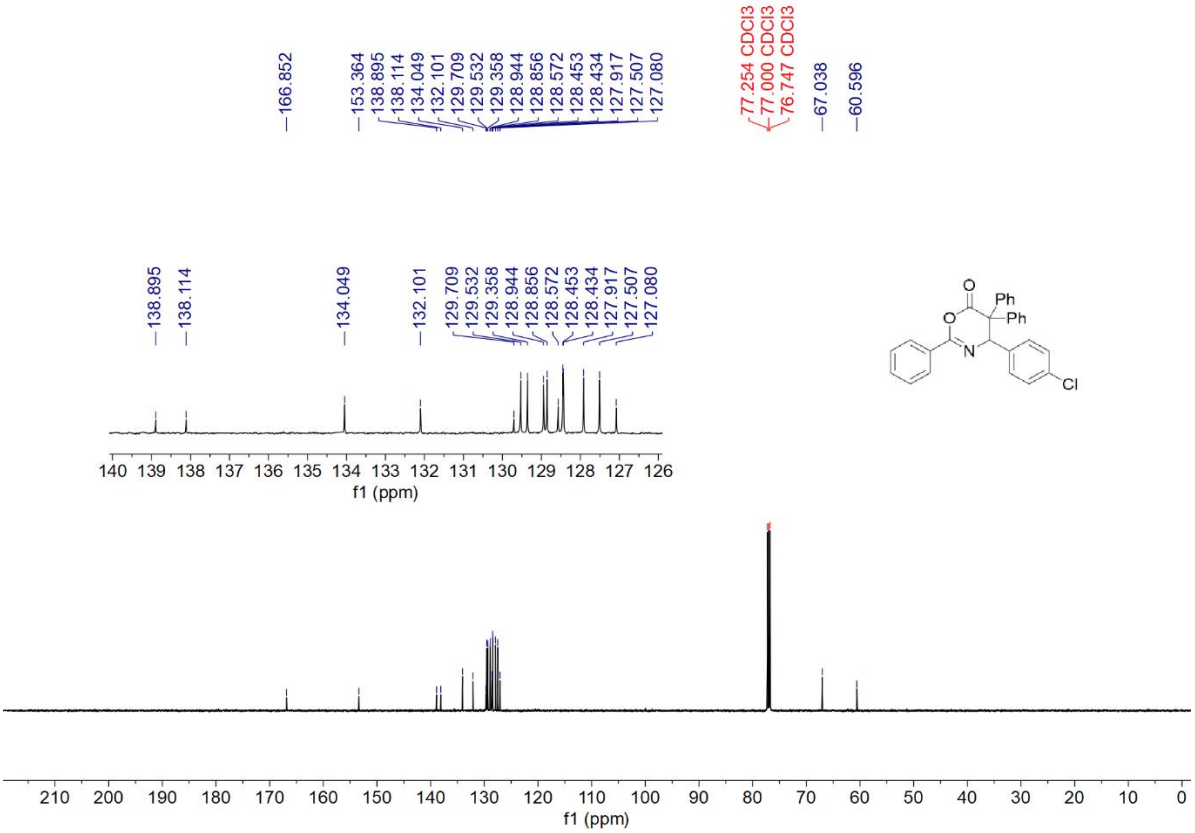
4f $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



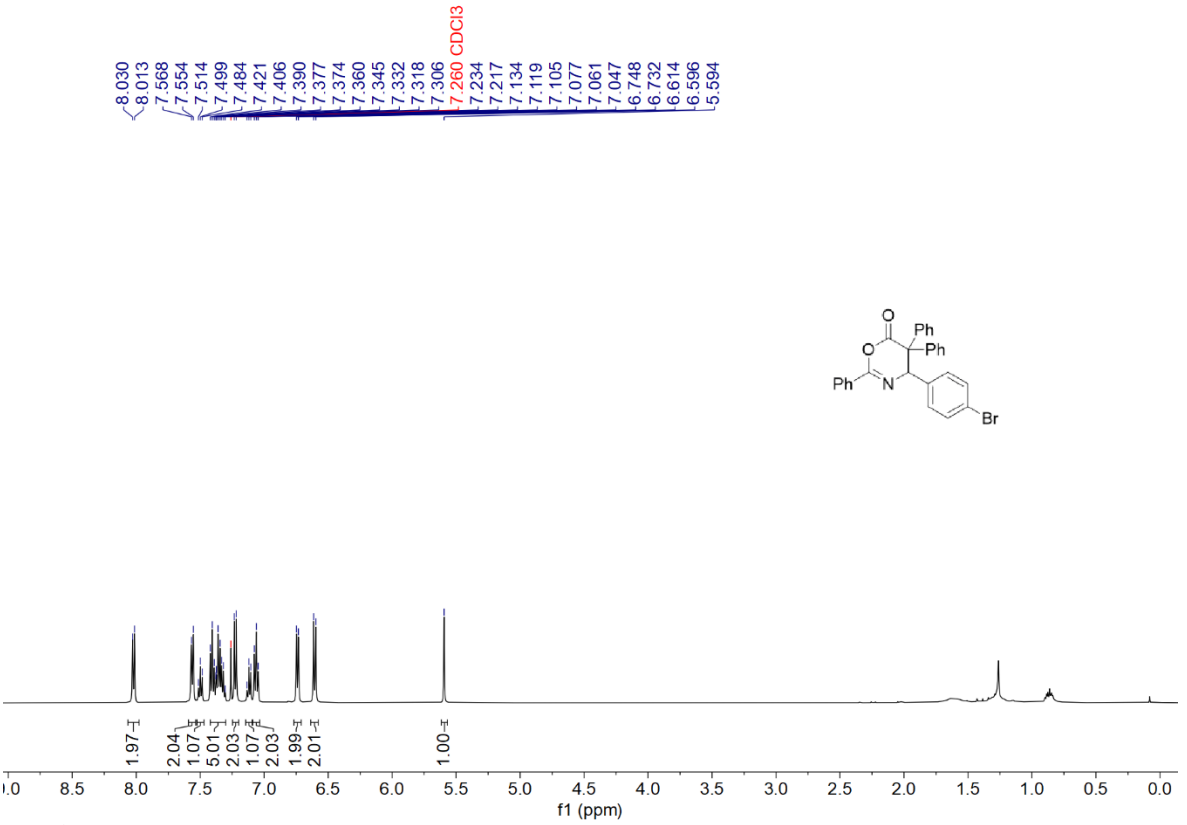
4g ^{1}H NMR (500 MHz, $CDCl_3$)



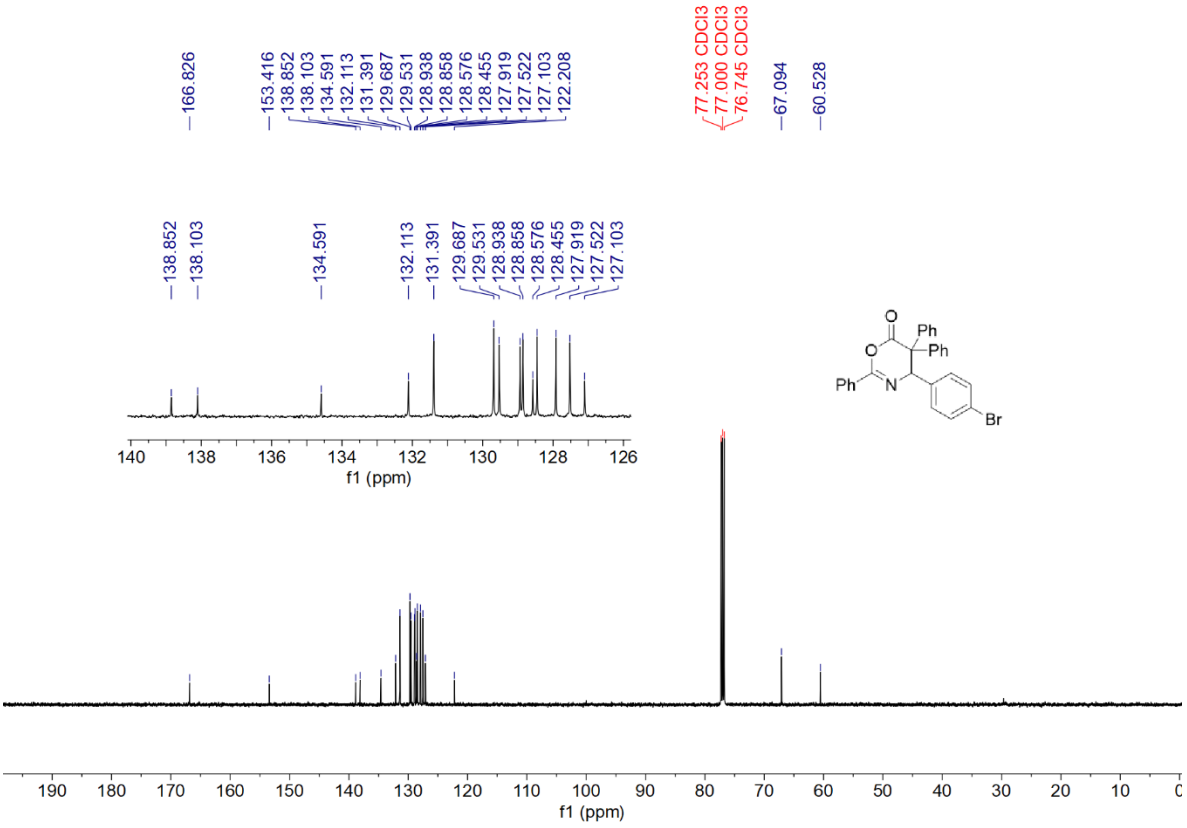
4g ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



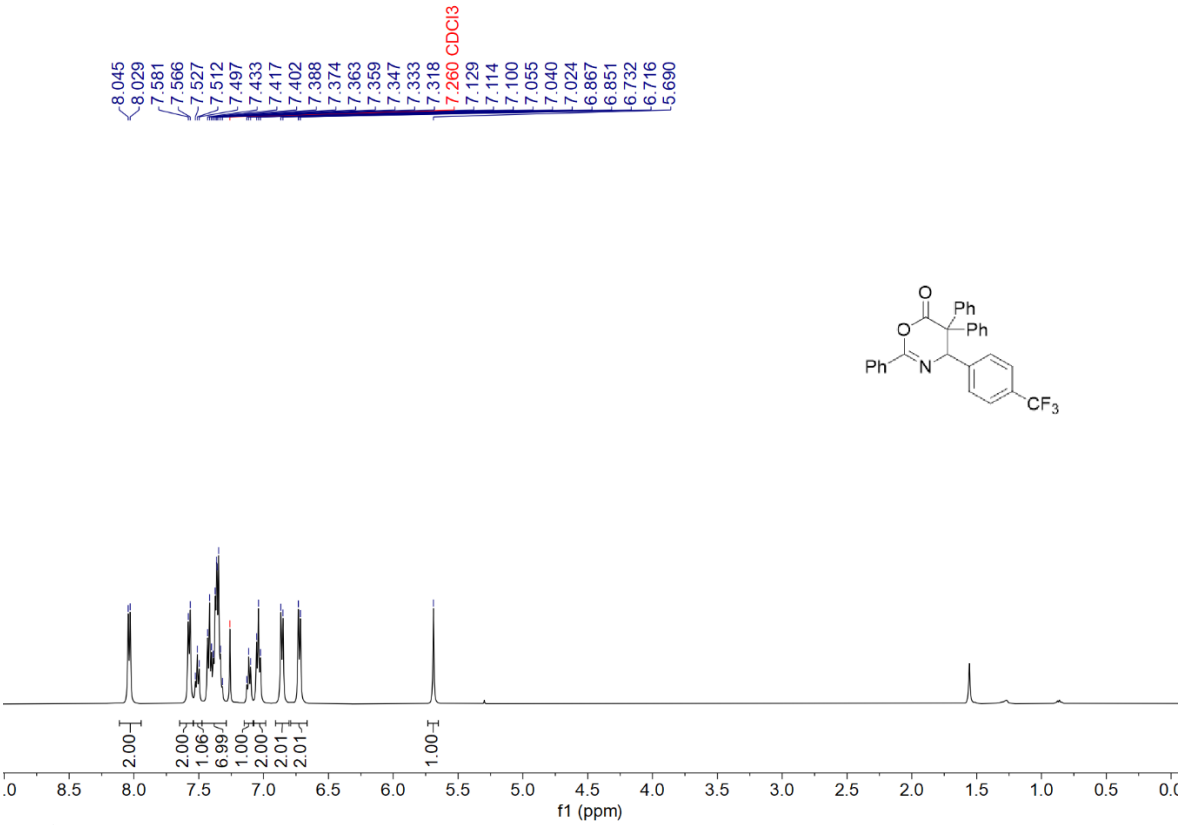
4h ^{1}H NMR (500 MHz, $CDCl_3$)



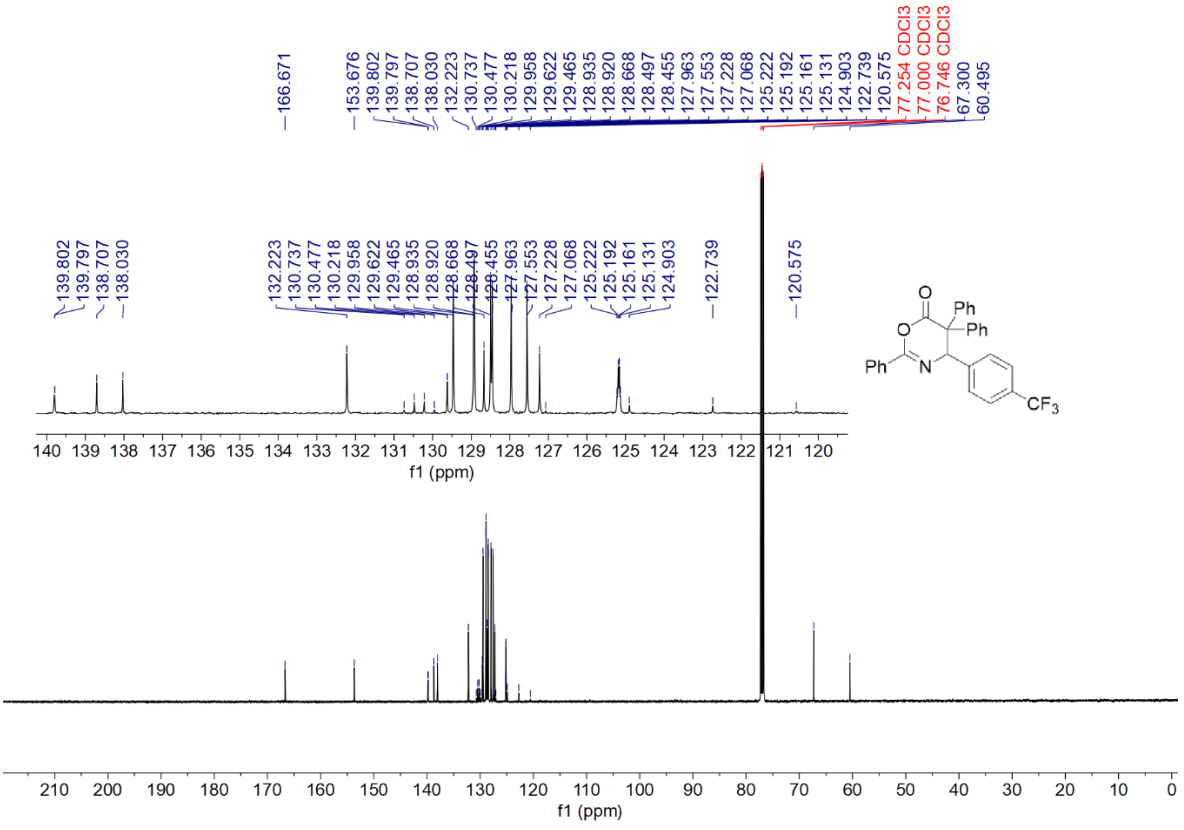
4h ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



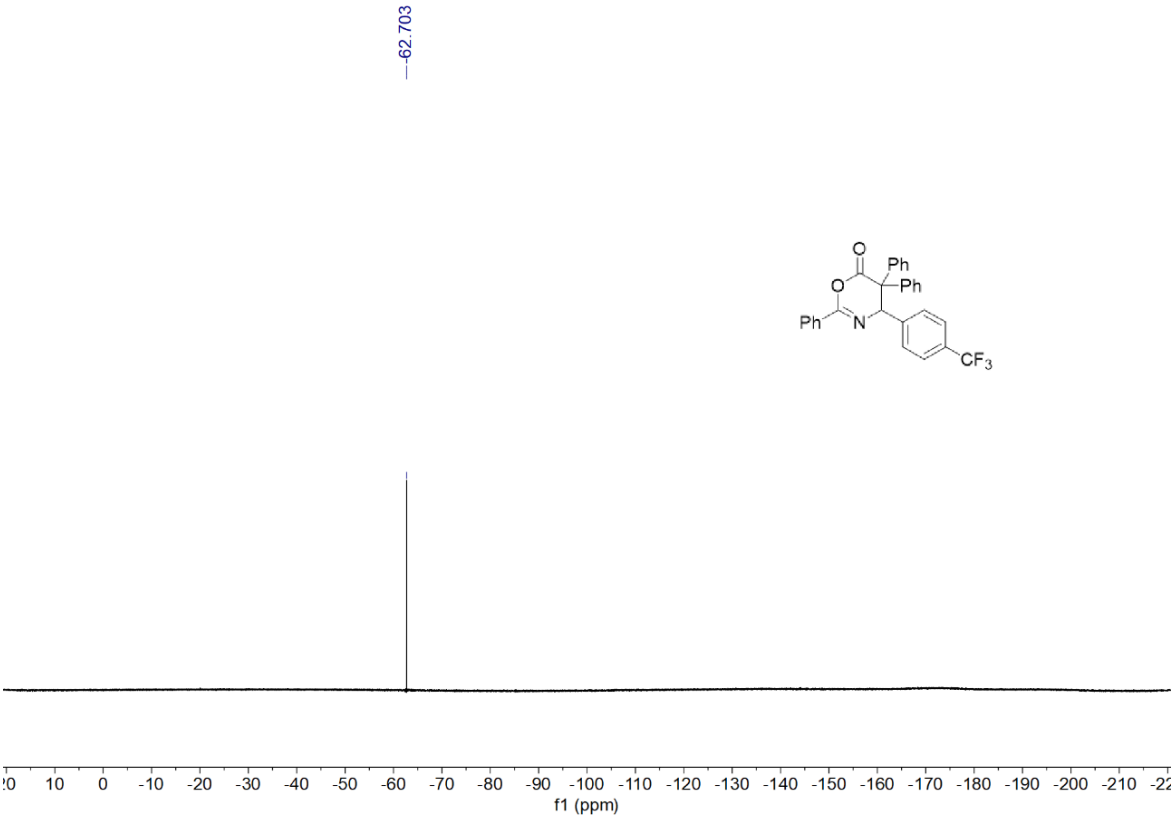
4i ^{1}H NMR (500 MHz, $CDCl_3$)



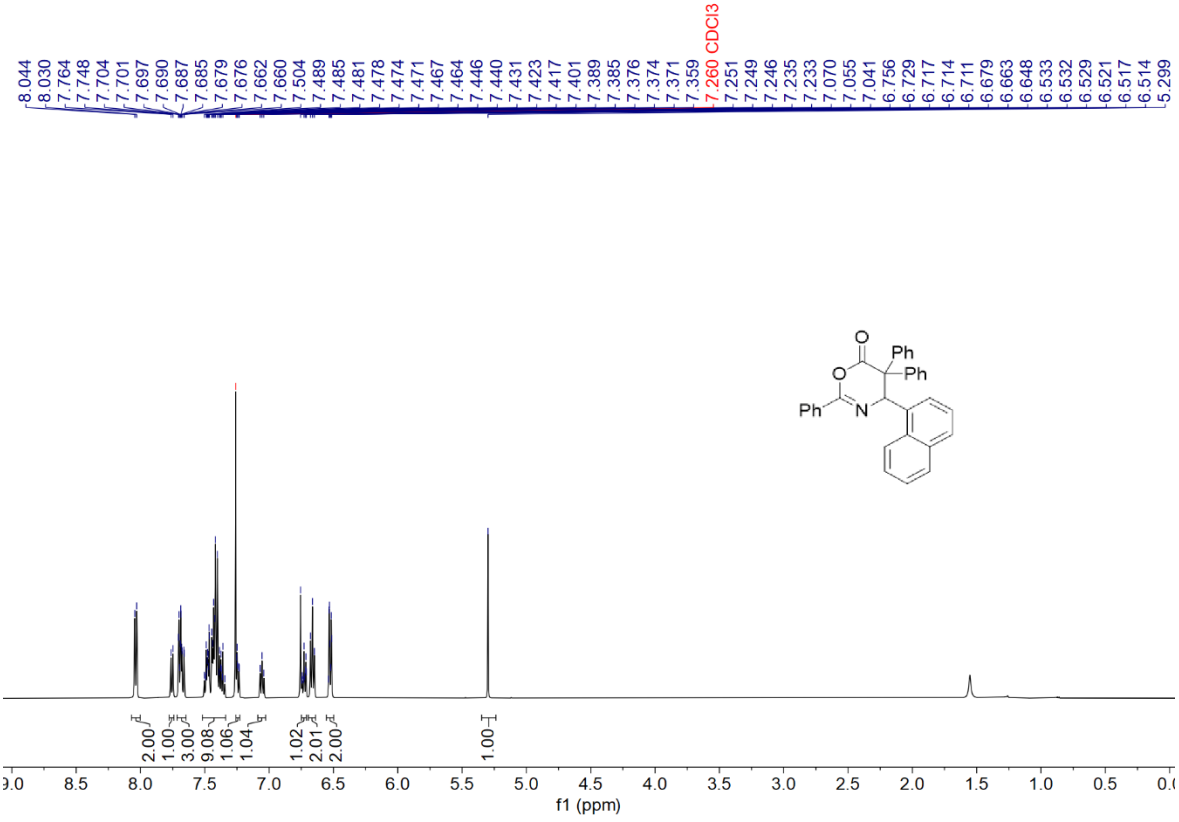
4i $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



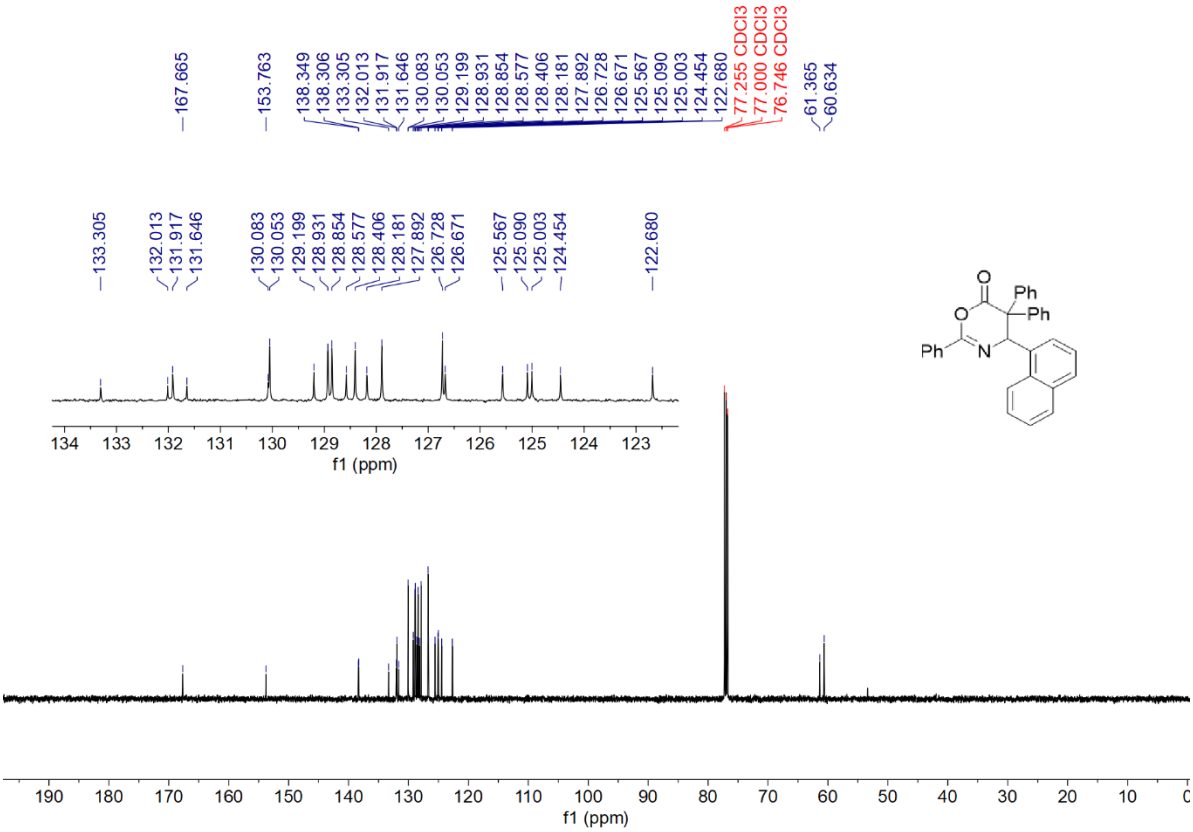
4i $^{19}F\{^{1}H\}$ NMR (471 MHz, $CDCl_3$)



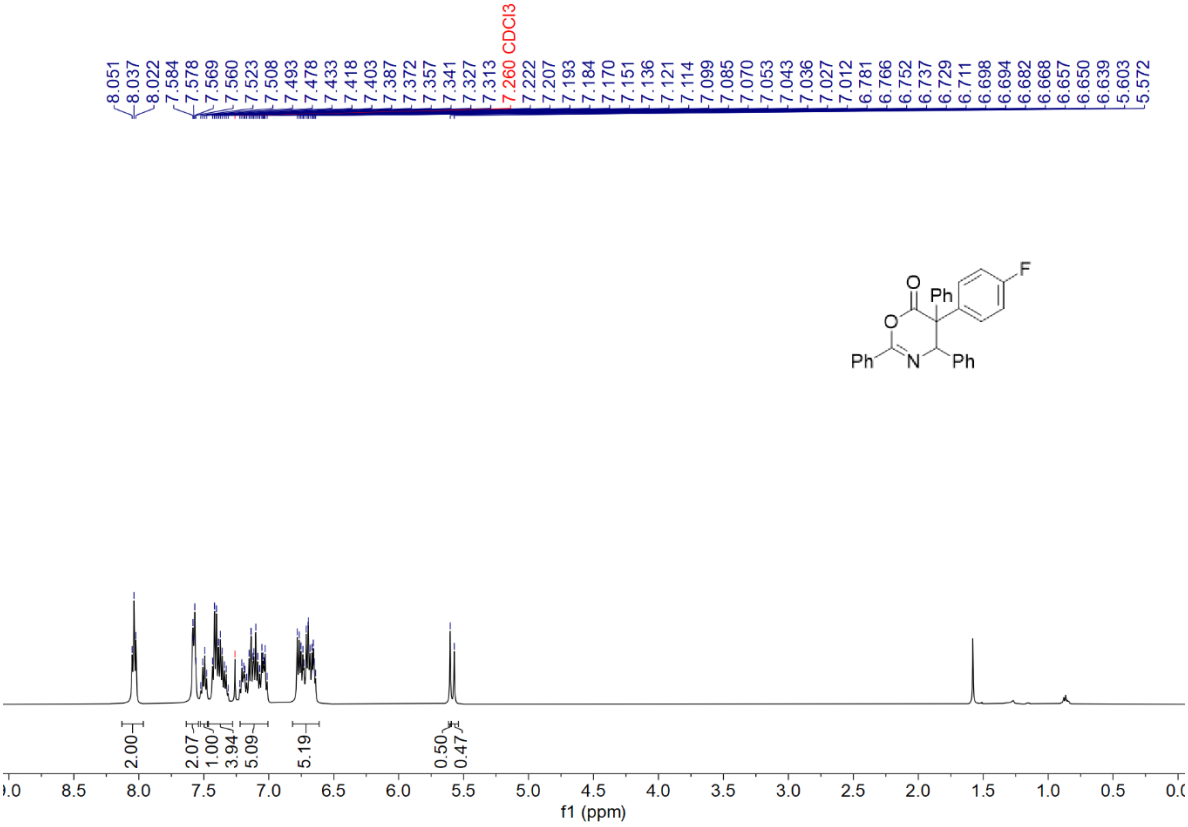
4j ^{1}H NMR (500 MHz, $CDCl_3$)



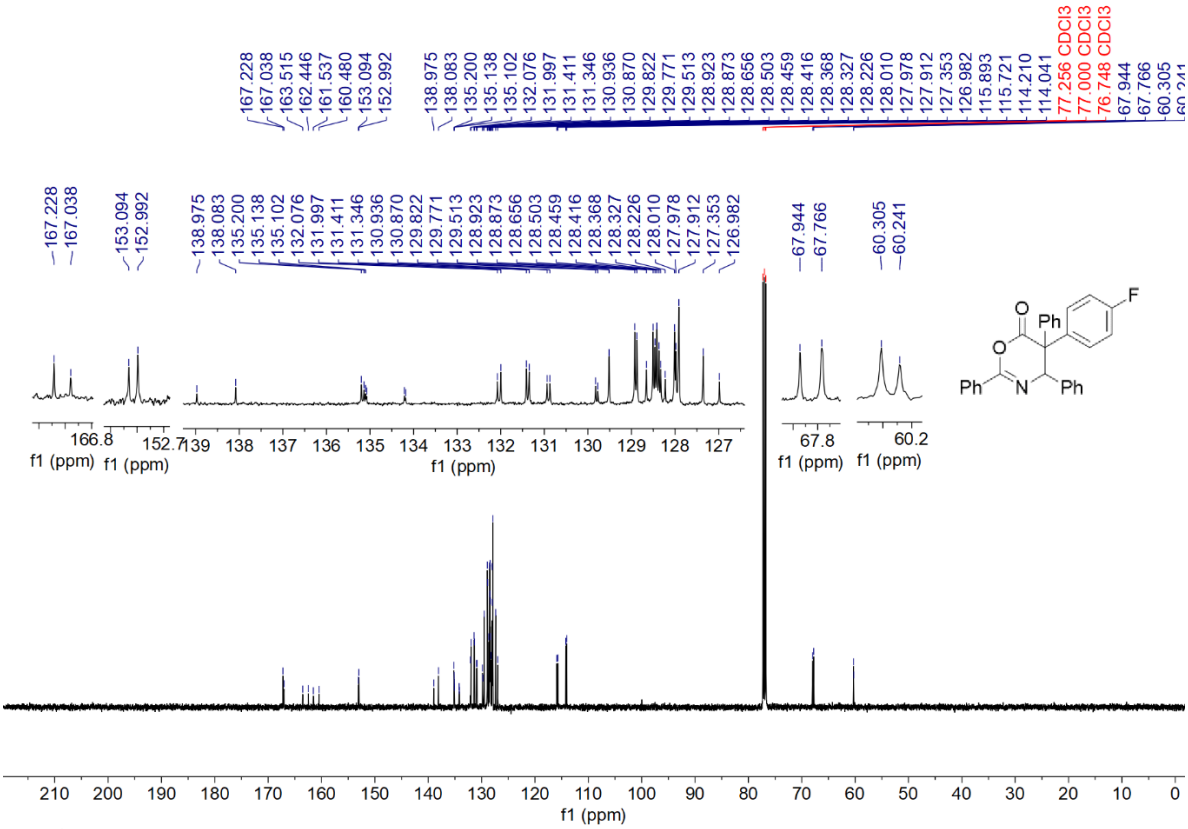
4j $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



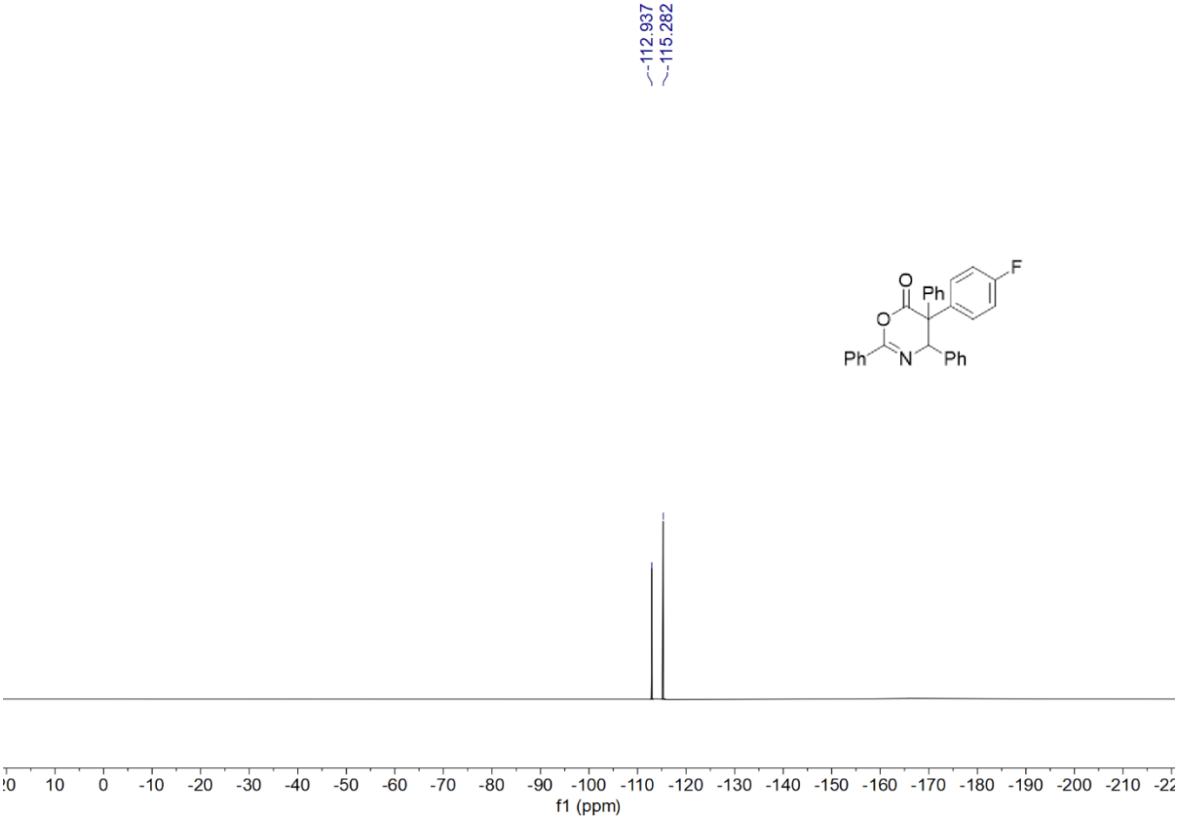
4k ^{1}H NMR (500 MHz, $CDCl_3$)



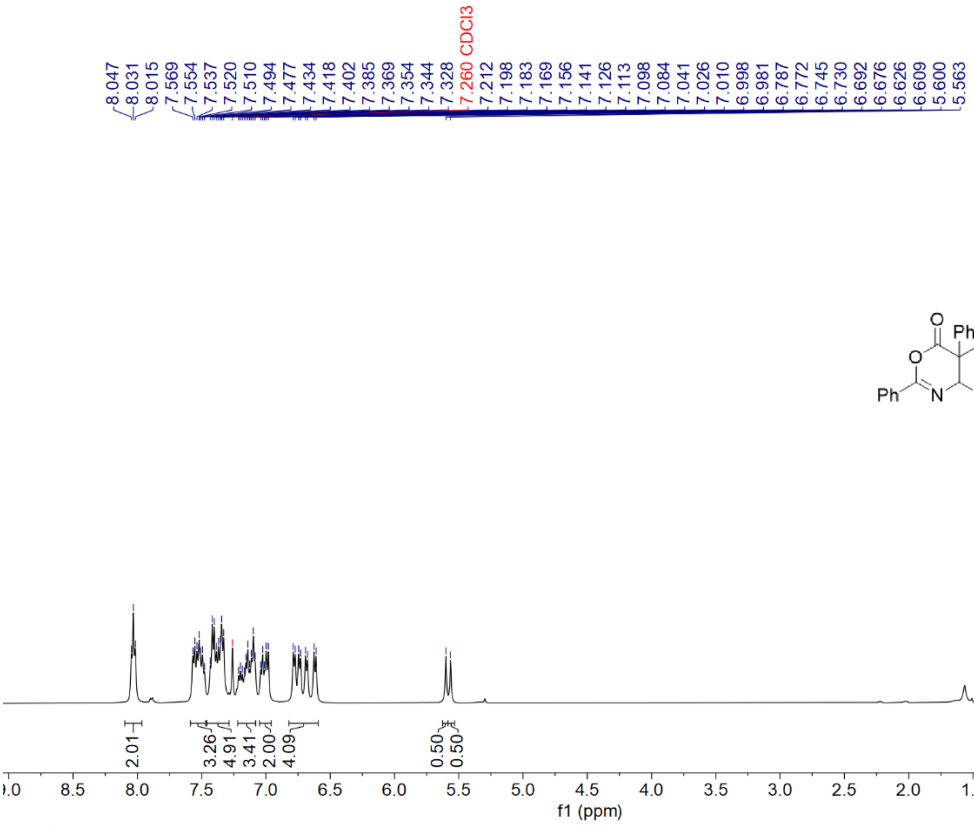
4k $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)



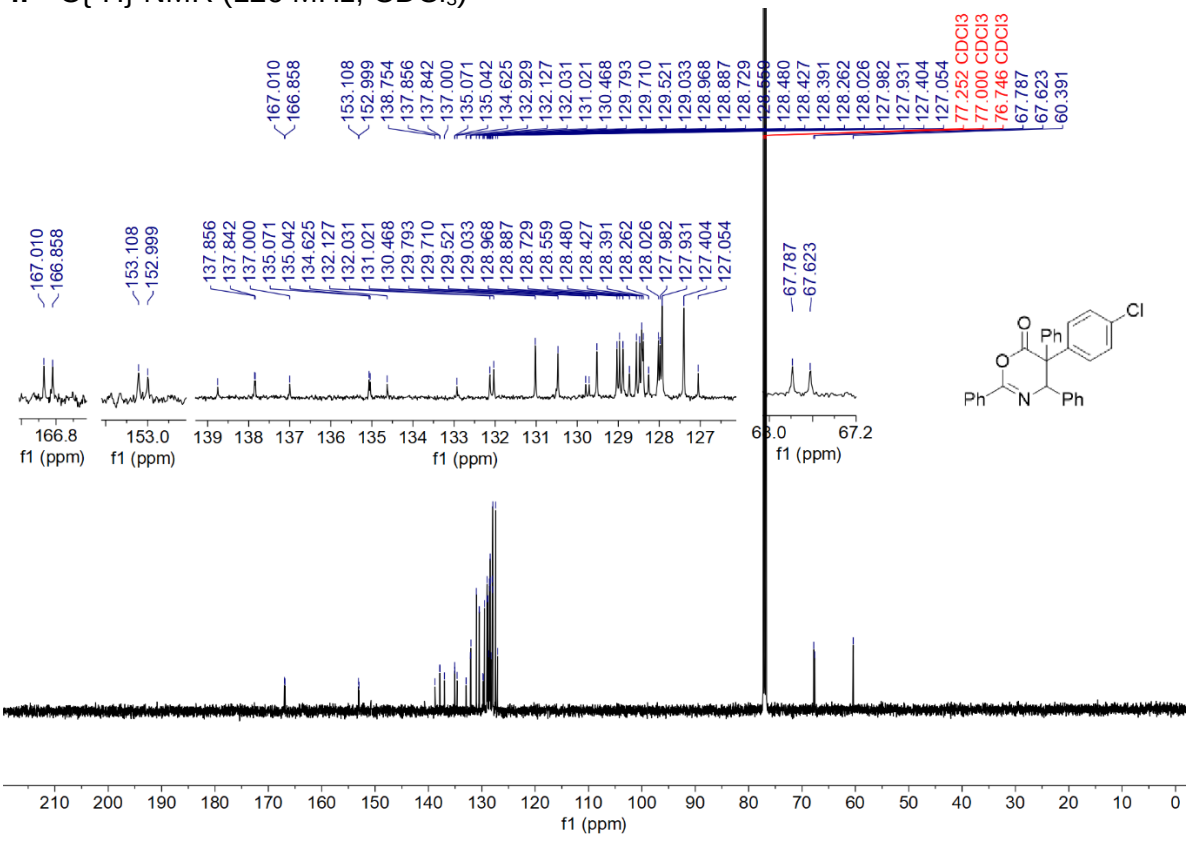
4k $^{19}F\{^{1}H\}$ NMR (471 MHz, $CDCl_3$)



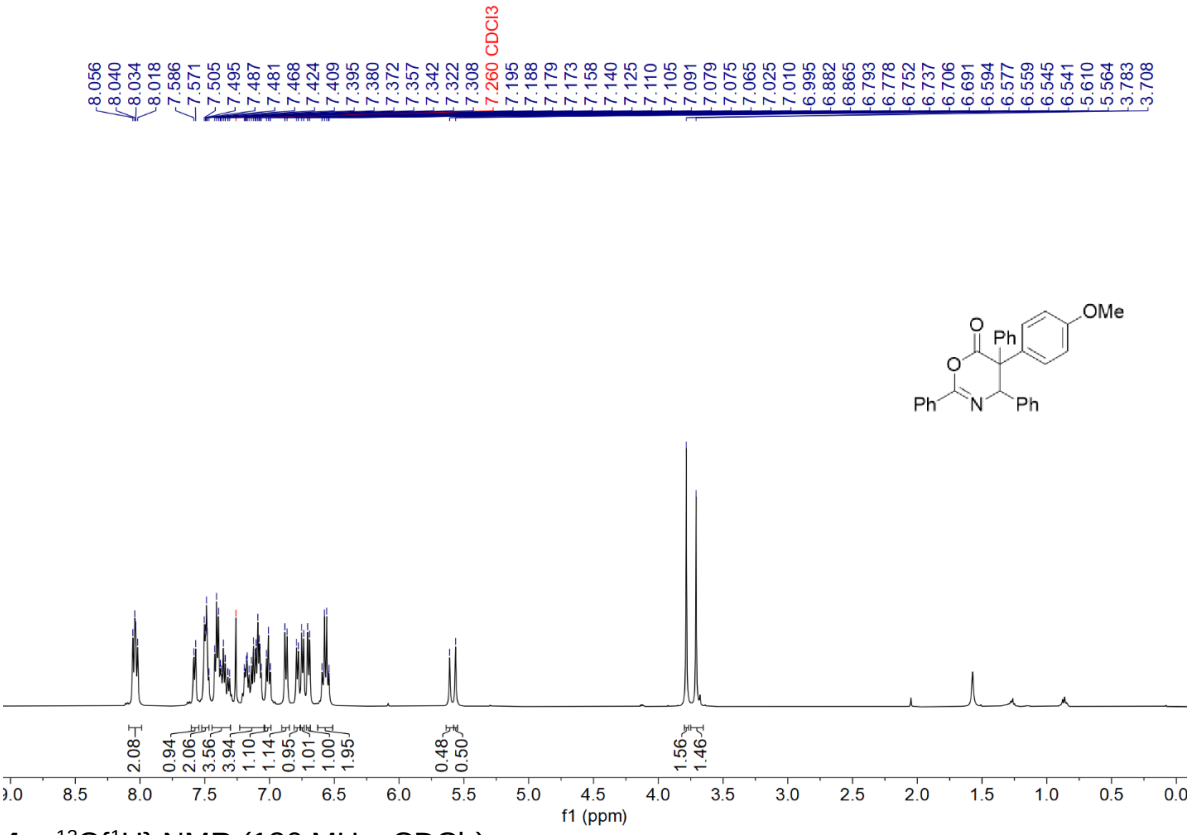
4l ^{1}H NMR (500 MHz, $CDCl_3$)



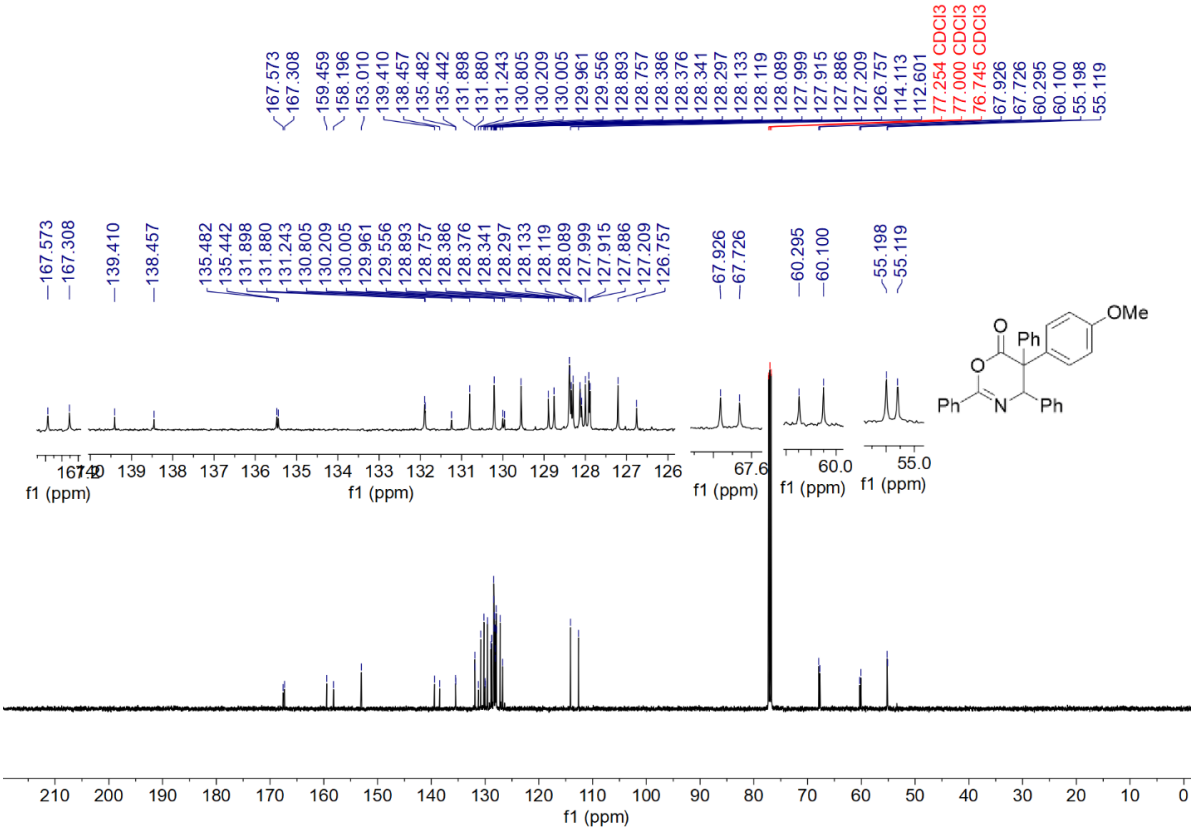
4l ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



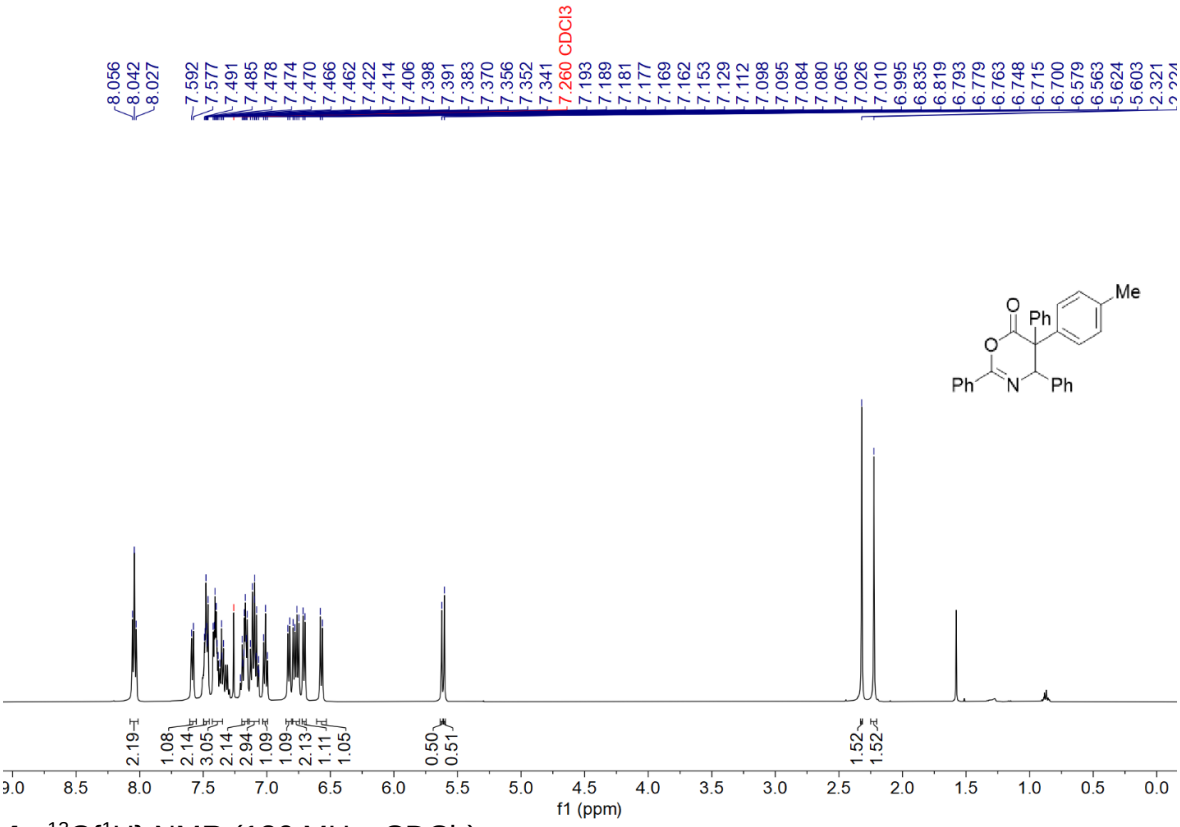
4m ^{1}H NMR (500 MHz, $CDCl_3$)



4m ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$)



4n ^{1}H NMR (500 MHz, $CDCl_3$)



4n $^{13}C\{^{1}H\}$ NMR (126 MHz, $CDCl_3$)