Supporting Information

Palladium-Catalyzed Heck/Aminocarbonylation of Alkene-Tethered Carbamoyl Chlorides with Nitro Compounds for the Synthesis of Carbamoyl-Substituted Oxindoles

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Electronic Supplementary Information (ESI) available: [details of any supplementary information available should be included here]. See DOI: 10.1039/x0xx00000x

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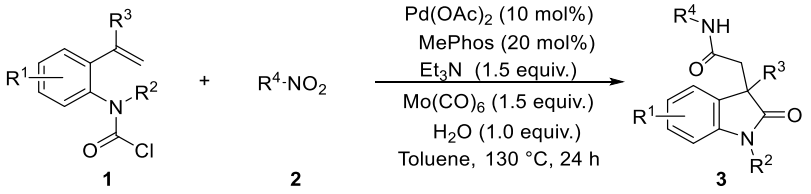
1. General Information

Unless otherwise noted, all reactions were carried out under a nitrogen atmosphere. All reagents were from commercial sources and used as received without further purification. All solvents were anhydrous. Column chromatography was performed on silica gel (200-300 meshes) using petroleum ether (b.p. 60-90 ℃) and ethyl acetate as the eluents. ^{1}H and ^{13}C NMR spectra were taken on 400 MHz instruments and spectral data were reported in ppm relative to tetramethylsilane (TMS) as the internal standard and $CDCl_3$ (^{1}H NMR δ 7.26, ^{13}C NMR δ 77.0) as solvent. All coupling constants (J) are reported in Hz with the following abbreviations: s = singlet, d = doublet, dd = double doublet, ddd = double doublet of doublets, t = triplet, dt = double triplet, q = quartet, m = multiplet, br = broad. Gas chromatography (GC) analyses were performed on a Shimadzu GC-2014C chromatograph equipped with FID detector. Mass spectra (MS) were measured on spectrometer by direct inlet at 70 eV.

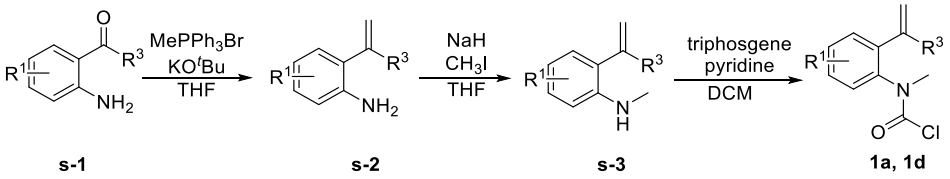
General Procedure for the Synthesis of products 3



1 (0.2 mmol, 1.0 equiv.), **2** (0.3 mmol, 1.5 equiv.), $Pd(OAc)_2$ (0.02 mmol, 10 mol%), MePhos (0.04 mmol, 20 mo1%), Et_3N (0.3 mmol, 1.5 equiv.), H_2O (0.2 mmol, 1.0 equiv.), and $Mo(CO)_6$ (0.3 mmol, 1.5 equiv.) were added to an oven-dried tube (15 mL) which was then placed under vacuum and refilled with nitrogen three times. Then toluene (1.0 mL) was added into the tube via syringe. The tube was sealed and the mixture was stirred at 130 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 2/1) to afford the desired products **3**.

3. Starting Material Synthesis

3.1 General Procedure for the Synthesis of Substrates 1a, 1d[1]



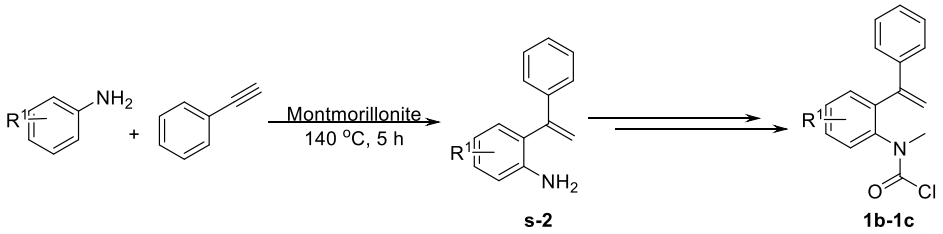
To a 50-mL oven-dried round-bottom flask charged with methyl triphenylphosphonium bromide (1.5 equiv.), was added THF (0.3 M) and slowly potassium tert-butoxide (1.5 equiv.) at 0 ºC. The suspension turned yellow upon addition of the base. The suspension was warmed to room temperature and stirred for 30 minutes. The **s-1** (1.0 equiv.) was added in THF (10 mL). The reaction was stirred until the consumption of starting material monitored by TLC (2 h). The reaction was stirred at room temperature until the starting material was disappeared, the mixture was quenched with saturated aqueous $NaHCO_3$, extracted with ethyl acetate three times. The combined organic layer was washed with brine, dried over Na_2SO_4, filtrated and concentrated. The residue was purified by flash chromatography on silica gel to give **s-2**.

NaH (60% in mineral oil, 2.0 equiv.) was added to a solution of **s-2** in THF (20.0 mL) at 0 °C for portions. After stirring for 20 minutes, iodomethane (1.5 equiv.) added dropwise. The reaction mixture was allowed to warm to 60 ºC and stirred for 24 h. After quenched with water, the residue was extracted with ethyl acetate three times. The combined organic layer was washed with brine, dried over Na_2SO_4, filtrated and concentrated. The residue was purified by flash chromatography on silica gel to give **s-3**.

The **s-3** was dissolved in dichloromethane (0.3 M) 0 °C for portions. Then pyridine (2.0 equiv.) was added followed by triphosgene (0.5 equiv.). The reaction was warmed to room temperature and stirred

until the completion indicated by TLC. The mixture was quenched with 1 N HCl, extracted with dichloromethane three times. The combined organic layer was washed with brine, dried over Na_2SO_4, filtrated and concentrated. The residue was purified by flash chromatography on silica gel to give **1a**, **1d**.

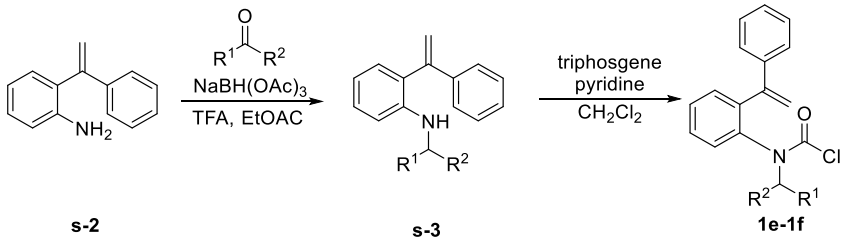
3.2 General Procedure for the Synthesis of Substrates 1b-1c[2]



To an oven-dried tube (15 mL) charged with corresponding aniline (1.1 equiv.), phenylacetylene (5 mmol, 1.0 equiv.), was added Montmorillonite (0.5 g). The tube was sealed and stirred at 140 ºC for 5 h. Upon the reaction was completed, the resulting mixture was purified by silica gel column using chromatography to give **s-2**.

(Note: The remaining procedure follows **General Procedure for the Synthesis of Substrates 1b-1c**)

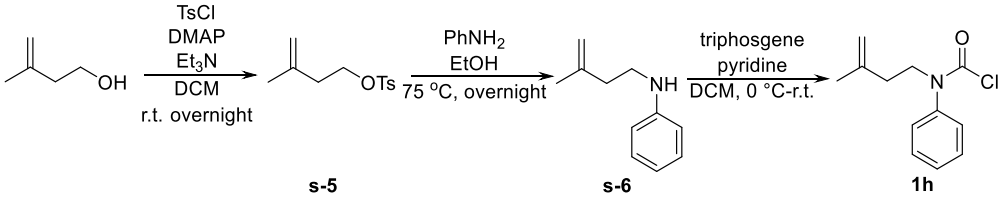
3.3 General Procedure for the Synthesis of Substrates 1e-1f[3]



The **s-2** (1.0 equiv.) was dissolved in ethyl acetate (0.25 M). The aldehyde or ketone (1.2 equiv.) was added followed by trifluoroacetic acid (2.0 equiv.). The reaction was stirred for 30 minutes then sodium triacetoxyborohydride (2.0 equiv.) was added. The reduction was stirred for 2 h then quenched with 4 M NaOH. The residue was extracted with ethyl acetate three times. The combined organic layer was washed with brine, dried over Na_2SO_4, filtrated and concentrated. The residue was purified by flash chromatography on silica gel to give **s-3**.

The **s-3** was dissolved in dichloromethane (0.3 M) 0 °C for portions. Then pyridine (2.0 equiv.) was added followed by triphosgene (0.5 equiv.). The reaction was warmed to room temperature and stirred until the completion indicated by TLC. The mixture was quenched with 1N HCl, extracted with dichloromethane three times. The combined organic layer was washed with brine, dried over Na_2SO_4, filtrated and concentrated. The residue was purified by flash chromatography on silica gel to give **1e-1f**.

3.4 General Procedure for the Synthesis of Substrates 1h

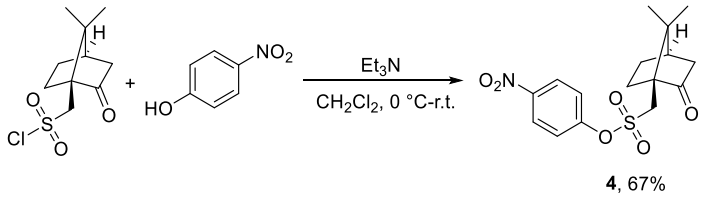


To a 50-mL oven-dried round-bottom flask charged with a mixture of TsCl (1.1 equiv.), DMAP (15 mol%). The flask was evacuated and backfilled with N_2 (This process was repeated for three times) before dichloromethane (0.2 M), Et_3N (2.0 equiv.), and 3-methylbut-3-en-1-ol (1.0 equiv.) were added. The solution was stirred at room temperature overnight. The mixture was quenched with saturated aqueous NH_4Cl, extracted with dichloromethane three times. The combined organic layer was washed with brine, dried over Na_2SO_4, concentrated in vacuo, and chromatographed to afford **s-5** as a colorless oil.

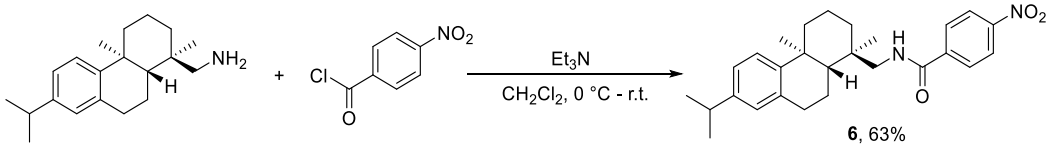
Aniline (5.0 equiv.) was added to a solution of **s-5** (1.0 equiv.) in EtOH (20.0 mL) at 0 °C for portions The reaction mixture was stirred at 75 °C overnight. Upon the reaction was completed, the resulting mixture was purified by silica gel column using chromatography to give **s-6**.

The **s-6** was dissolved in dichloromethane (0.3 M) 0 °C for portions. Then pyridine (2.0 equiv.) was added followed by triphosgene (0.5 equiv.). The reaction was warmed to room temperature and stirred until the completion indicated by TLC. The mixture was quenched with 1 N HCl, extracted with dichloromethane three times. The combined organic layer was washed with brine, dried over Na_2SO_4, filtrated and concentrated. The residue was purified by flash chromatography on silica gel to give **1h**.

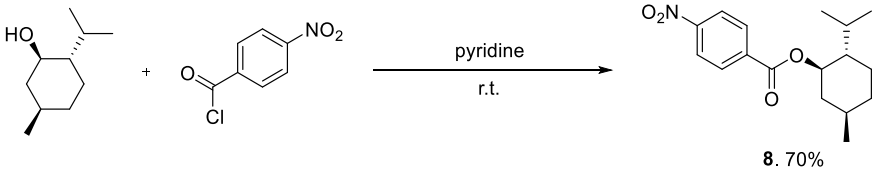
3.5 General Procedure for the Synthesis of Substrates 4, 6, 8, 10[4-6]



An oven-dried round-bottom flask was charged under air with D-10-Camphorsulfonyl chloride (12 mmol, 3.00 g), dichloromethane (40 mL), 4-nitrophenol (10 mmol, 1.39 g), and triethylamine (15 mmol, 1.52 g) was stirred at 0 °C until the completion indicated by TLC. The reaction mixture was then diluted with 40 mL of H_2O and extracted with dichloromethane. The combined organic phases were dried over Na_2SO_4, filtered through short celite pad, and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel to give a white solid (2.22g, 67%).

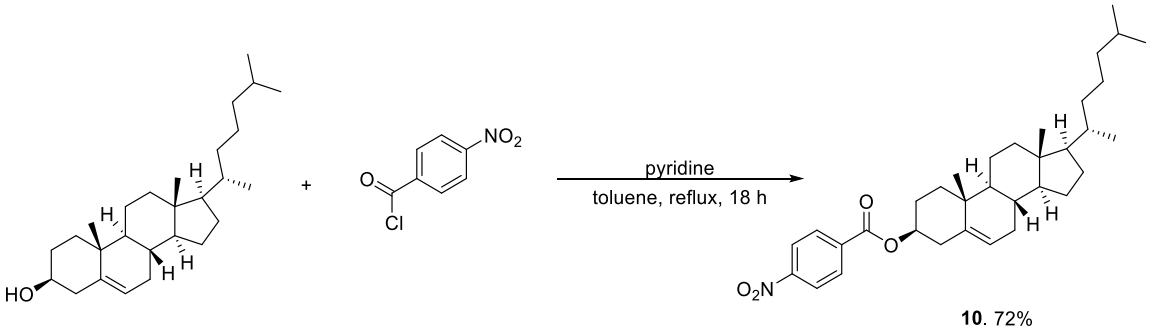


An oven-dried round-bottom flask was charged under air with dehydroabietylamine (10 mmol, 2.85 g), dichloromethane (40 mL), and triethylamine (12 mmol, 1.21 g), 4-nitrobenzoyl chloride (11 mmol, 2.04 g) was add in slowly and stirred at 0 °C, then stir the reaction mixture at room temperature until the completion indicated by TLC. The reaction mixture was then diluted with 40 mL of H_2O and extracted with dichloromethane. The combined organic phases were dried over Na_2SO_4, filtered through short celite pad, and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel to give a light yellow solid (2.73 g, 63%).



8. 70%

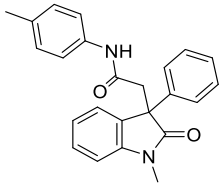
An oven-dried round-bottom flask was charged under air with L-Menthol (10 mmol, 1.56 g), pyridine (20 mL), 4-nitrobenzoyl chloride (11 mmol, 2.04 g) was add in slowly and stirred at 0 °C, then stir the reaction mixture at room temperature until the completion indicated by TLC. The reaction mixture was then diluted with 1 M HCl and extracted with dichloromethane. The combined organic phases were dried over Na_2SO_4, filtered through short celite pad, and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel to give **8** (2.14 g, 70%).



10. 72%

An oven-dried round-bottom flask was charged under air with cholesterol (6.2mmol, 2.40 g), pyridine (6.2mmol, 0.49 g), toluene (18 mL), and 4-nitrobenzoyl chloride (6,2 mmol, 1.15 g) was add in slowly and stirred at 0 °C, then the reaction mixture was refluxed for 18 h. The reaction mixture was then diluted with 40 mL of H_2O and extracted with dichloromethane. The combined organic phases were dried over Na_2SO_4, filtered through short celite pad, and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel to give a light yellow solid **10** (2.39 g, 72%).

4. Characterization of Products



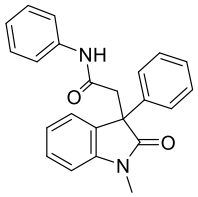
2-(1-methyl-2-oxo-3-phenylindolin-3-yl)-*N*-(*p*-tolyl)acetamide (3aa)[7]

Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3aa** as a yellow solid (56.9 mg, 77%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.48 (s, 1H), 7.39 (d, J = 7.7 Hz, 2H), 7.30 (dd, J = 11.0, 7.3 Hz, 4H), 7.23 (d, J = 7.0 Hz, 1H), 7.16 (d, J = 8.1 Hz, 2H), 7.09 (t, J = 7.5 Hz, 1H), 7.00 (d, J = 8.0 Hz, 2H), 6.89 (d, J = 7.9 Hz, 1H), 3.46 (d, J = 15.2 Hz, 1H), 3.27 (s, 3H), 3.19 (d, J = 15.2 Hz, 1H), 2.25 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 179.1, 166.7, 143.0, 138.9, 135.1, 133.7, 131.7, 129.2, 128.9, 128.6, 127.7, 126.4, 124.6, 123.2, 120.1, 108.7, 54.4, 45.1, 26.7, 20.8.

M.p. 111.4 – 112.3 ºC



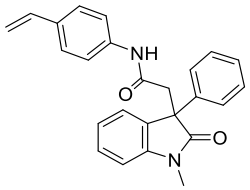
3-(1-methyl-2-oxo-3-phenylindolin-3-yl)-*N*-phenylacetamide (3ab)[8]

Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3ab** as a yellow solid (62.6 mg, 82%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.64 (s, 1H), 7.39 (d, J = 7.3 Hz, 2H), 7.30 – 7.24 (m, 7H), 7.20 (t, J = 7.6 Hz, 2H), 7.09 (t, J = 7.3 Hz, 1H), 7.02 (t, J = 6.9 Hz, 1H), 6.89 (d, J = 7.6 Hz, 1H), 3.47 (d, J = 15.5 Hz, 1H), 3.28 (s, 3H), 3.21 (d, J = 15.3 Hz, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 179.1, 166.9, 142.9, 138.9, 137.7, 131.7, 128.9, 128.7, 128.6, 127.7, 126.3, 124.5, 124.1, 123.2, 120.0, 108.7, 54.3, 45.0, 26.7.

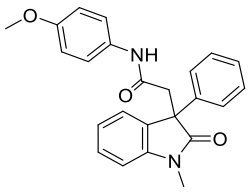
M.p. 176.7 – 177.9 ºC



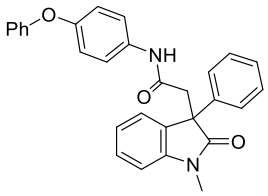
2-(1-methyl-2-oxo-3-phenylindolin-3-yl)-*N*-(4-vinylphenyl)acetamide (3ac)

Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3ac** as a yellow oil (48.9 mg, 64%).

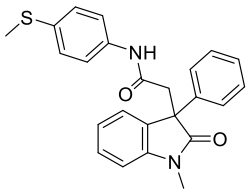
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.69 (s, 1H), 7.39 (d, *J* = 7.7 Hz, 2H), 7.32 – 7.28 (m, 5H), 7.24-7.26 (m, 3H)., 7.10 (t, *J* = 7.5 Hz, 1H), 6.90 (d, *J* = 8.0 Hz, 1H), 6.61 (dd, *J* = 17.6, 10.9 Hz, 1H), 5.62 (d, *J* = 17.6 Hz, 1H), 5.15 (d, *J* = 10.9 Hz, 1H), 3.48 (d, *J* = 15.3 Hz, 1H), 3.29 (s, 3H), 3.21 (d, *J* = 15.3 Hz, 1H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 179.2, 166.8, 142.9, 138.9, 137.4, 136.1, 133.5, 131.8, 128.9, 128.7, 127.7, 126.6, 126.3, 124.5, 123.3, 119.8, 112.8, 108.8, 54.4, 45.2, 26.7.
HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{25}H_{23}N_2O_2$ 383.1754; Found 383.1761



***N*-(4-methoxyphenyl)-2-(1-methyl-2-oxo-3-phenylindolin-3-yl)acetamide (3ad)**
Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3ad** as a yellow solid (55.6mg, 72%)
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (s, 1H), 7.40 (d, *J* = 7.4 Hz, 2H), 7.34 – 7.29 (m, 4H), 7.24 (d, *J* = 7.3 Hz, 1H), 7.15 (d, *J* = 8.9 Hz, 2H), 7.11 (t, *J* = 7.6 Hz, 1H), 6.90 (d, *J* = 7.7 Hz, 1H), 6.74 (d, *J* = 8.9 Hz, 2H), 3.73 (s, 3H), 3.47 (d, *J* = 15.2 Hz, 1H), 3.29 (s, 3H), 3.19 (d, *J* = 15.2 Hz, 1H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 179.1, 166.7, 156.3, 143.0, 139.0, 131.8, 130.8, 128.9, 128.6, 127.7, 126.4, 124.6, 123.2, 121.9, 113.9, 108.7, 55.4, 54.4, 45.0, 26.7.
HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{24}H_{23}N_2O_3$ 387.1703; Found 387.1714
M.p. 171.4 – 172.6 ºC



2-(1-methyl-2-oxo-3-phenylindolin-3-yl)-*N*-(4-phenoxyphenyl)acetamide (3ae)
Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3ae** as a yellow solid (79.2 mg, 85%)
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.70 (s, 1H), 7.38 (d, *J* = 7.3 Hz, 2H), 7.32 – 7.28 (m, 4H), 7.27 – 7.23 (m, 3H), 7.23 (d, *J* = 2.6 Hz, 1H), 7.20 (d, *J* = 1.5 Hz, 1H), 7.11 – 7.03 (m, 2H), 6.91 (t, *J* = 8.7 Hz, 3H), 6.84 (d, *J* = 8.8 Hz, 2H), 3.48 (d, *J* = 15.4 Hz, 1H), 3.28 (s, 3H), 3.20 (d, *J* = 15.4 Hz, 1H).
^{13}C NMR (101 MHz, $CDCl_3$) δ 179.1, 166.9, 157.4, 153.2, 143.0, 138.9, 133.2, 131.8, 129.6, 128.9, 128.6, 127.7, 126.3, 124.4, 123.2, 122.9, 121.8, 119.4, 118.3, 108.7, 54.3, 44.8, 26.7.
HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{29}H_{25}N_2O_3$ 449.1860; Found 449.1867
M.p. 129.5 – 130.4 ºC



2-(1-methyl-2-oxo-3-phenylindolin-3-yl)-*N*-(4-(methylthio)phenyl)acetamide (3af)

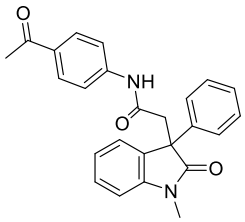
Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3af** as a yellow solid (56.3 mg, 70%)

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.71 (s, 1H), 7.37 (d, J = 7.6 Hz, 2H), 7.32 – 7.27 (m, 4H), 7.26 (d, J = 4.3 Hz, 1H), 7.21 (d, J = 8.6 Hz, 2H), 7.11 – 7.07 (m, 3H), 6.89 (d, J = 7.8 Hz, 1H), 3.46 (d, J = 15.4 Hz, 1H), 3.28 (s, 3H), 3.19 (d, J = 15.4 Hz, 1H), 2.40 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 179.2, 167.0, 143.0, 139.0, 135.5, 133.3, 131.9, 129.0, 128.7, 127.9, 127.8, 126.4, 124.5, 123.3, 120.7, 108.8, 54.4, 45.1, 26.8, 16.7.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{24}H_{23}N_2O_2S$ 403.1475; Found 403.1485

M.p. 163.7 – 164.8 °C



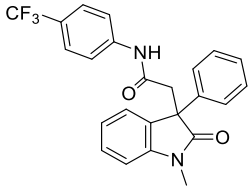
***N*-(4-acetylphenyl)-2-(1-methyl-2-oxo-3-phenylindolin-3-yl)acetamide (3ag)**

Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 1/1, R_f = 0.4) to give the titled product **3ag** as a yellow oil (39.0 mg, 49%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.32 (s, 1H), 7.80 (d, J = 8.4 Hz, 2H), 7.43 (d, J = 8.3 Hz, 2H), 7.37 (d, J = 7.8 Hz, 2H), 7.33 – 7.28 (m, 4H), 7.24 – 7.20 (m, 1H), 7.10 (t, J = 7.6 Hz, 1H), 6.91 (d, J = 7.9 Hz, 1H), 3.52 (d, J = 15.7 Hz, 1H), 3.32 (s, 3H), 3.24 (d, J = 15.7 Hz, 1H), 2.51 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 197.0, 179.5, 167.5, 142.8, 142.4, 138.8, 132.7, 132.0, 129.6, 129.1, 128.8, 127.9, 126.2, 124.3, 123.5, 119.0, 108.9, 54.4, 45.3, 26.8, 26.5.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{25}H_{23}N_2O_3$ 399.1703; Found 399.1711



2-(1-methyl-2-oxo-3-phenylindolin-3-yl)-*N*-(4-(trifluoromethyl)phenyl)acetamide (3ah)

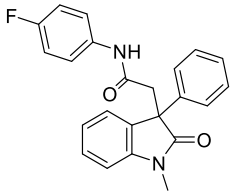
Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3ah** as a yellow solid (46.6 mg, 55%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.30 (s, 1H), 7.45 (s, 4H), 7.39 (d, *J* = 7.7 Hz, 2H), 7.34 – 7.28 (m, 4H), 7.23 (d, *J* = 7.3 Hz, 1H), 7.12 (t, *J* = 7.5 Hz, 1H), 6.93 (d, *J* = 8.0 Hz, 1H), 3.52 (d, *J* = 15.7 Hz, 1H), 3.34 (s, 4H), 3.22 (d, *J* = 15.7 Hz, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 179.5, 167.3, 142.5, 140.9, 138.6, 132.0, 129.1, 128.8, 127.9, 126.0 (q, *J* = 3.7 Hz), 125.7 (q, *J* = 32.7 Hz), 124.2, 123.6, 124.1 (q, *J* = 271.5 Hz), 119.4, 108.9, 54.4, 45.2, 26.8.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{24}H_{20}F_3N_2O_2$ 425.1471; Found 425.1478

M.p. 185.2 – 186.1 ºC



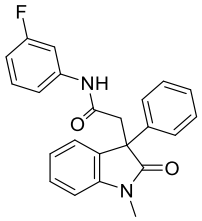
***N*-(4-fluorophenyl)-2-(1-methyl-2-oxo-3-phenylindolin-3-yl)acetamide (3ai)**

Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3ai** as a yellow oil (63.6 mg, 85%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.77 (s, 1H), 7.39 (d, *J* = 7.7 Hz, 2H), 7.32 – 7.28 (m, 4H), 7.23 (d, *J* = 5.9 Hz, 2H), 7.21 (d, *J* = 5.0 Hz, 1H), 7.11 (t, *J* = 7.5 Hz, 1H), 6.91 (d, *J* = 5.3 Hz, 1H), 6.88 (t, *J* = 7.2 Hz, 2H), 3.48 (d, *J* = 15.4 Hz, 1H), 3.30 (s, 3H), 3.19 (d, *J* = 15.4 Hz, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 179.4, 167.0, 159.3 (d, *J* = 243.3 Hz), 142.8, 138.8, 133.7 (d, *J* = 2.5 Hz), 131.9, 129.0, 128.7, 127.8, 126.2, 124.4, 123.3, 121.8 (d, *J* = 7.8 Hz), 115.4 (d, *J* = 22.5 Hz), 108.8, 54.4, 44.9, 26.7.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{23}H_{20}FN_2O_2$ 375.1503; Found 375.1510



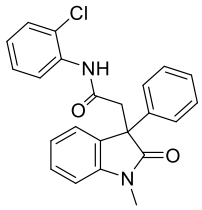
***N*-(3-fluorophenyl)-2-(1-methyl-2-oxo-3-phenylindolin-3-yl)acetamide (3aj)**

Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3aj** as a yellow oil (58.3 mg, 78%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.00 (s, 1H), 7.36 (d, *J* = 7.8 Hz, 2H), 7.32 (d, *J* = 7.6 Hz, 1H), 7.28 (d, *J* = 4.5 Hz, 2H), 7.26 – 7.21 (m, 2H). 7.14 – 7.08 (m, 2H), 6.95 (d, *J* = 8.0 Hz, 1H), 6.90 (d, *J* = 7.7 Hz, 1H), 6.70 (t, *J* = 8.2 Hz, 1H), 3.47 (d, *J* = 15.5 Hz, 1H), 3.29 (s, 1H), 3.21 (d, *J* = 15.6 Hz, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 179.4, 167.3, 162.9 (d, *J* = 244.5 Hz), 142.9, 139.4 (d, *J* = 10.8 Hz), 138.9, 132.0, 129.9 (d, *J* = 9.3 Hz), 129.1, 128.8, 127.9, 126.3, 124.4, 123.4, 115.2 (d, *J* = 2.9 Hz), 110.8 (d, *J* = 21.2 Hz), 108.9, 107.4 (d, *J* = 26.1 Hz), 54.4, 45.1, 26.8.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{23}H_{20}FN_2O_2$ 375.1503; Found 375.1511



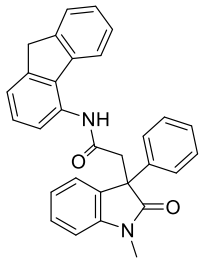
***O*-(2-chlorophenyl)-2-(1-methyl-2-oxo-3-phenylindolin-3-yl)acetamide (3ak)**

Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3ak** as a yellow oil (32.8 mg, 42%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (s, 1H), 7.96 (d, *J* = 8.2 Hz, 1H), 7.38 (d, *J* = 7.7 Hz, 2H), 7.35 (d, *J* = 7.4 Hz, 1H), 7.31 – 7.28 (m, 3H), 7.25 – 7.22 (m, 2H), 7.11 – 7.07 (m, 2H), 6.93 (t, *J* = 7.7 Hz, 1H), 6.87 (d, *J* = 7.8 Hz, 1H), 3.56 (d, *J* = 15.1 Hz, 1H), 3.29 (d, *J* = 15.1 Hz, 1H), 3.23 (s, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 178.3, 166.9, 143.7, 138.8, 134.3, 130.8, 128.92, 128.86, 128.7, 127.8, 127.4, 126.6, 124.8, 124.7, 123.1, 122.9, 122.2, 108.7, 54.0, 45.4, 26.7.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{23}H_{20}ClN_2O_2$ 391.1208; Found 391.1219



***N*-(9H-fluoren-4-yl)-2-(1-methyl-2-oxo-3-phenylindolin-3-yl)acetamide (3al)**

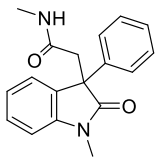
Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.2) to give the titled product **3al** as a yellow solid (62.2 mg, 70%)

^{1}H NMR (400 MHz, DMSO) δ 10.06 (s, 1H), 7.78 (d, *J* = 7.5 Hz, 1H), 7.74 (d, *J* = 8.2 Hz, 2H), 7.53 (d, *J* = 7.4 Hz, 1H), 7.42 (d, *J* = 7.3 Hz, 1H), 7.36 – 7.33 (m, 4H), 7.30 – 7.27 (m, 4H), 7.24 (d, *J* = 7.4 Hz, 1H).7.08 – 7.03 (m, 2H), 3.84 (s, 2H), 3.56 (d, *J* = 15.7 Hz, 1H), 3.51 (d, *J* = 15.8 Hz, 1H), 3.19 (s, 3H).

^{13}C NMR (101 MHz, DMSO) δ 178.1, 167.8, 144.8, 144.2, 143.2, 141.4, 140.9, 138.2, 136.7, 132.4, 129.0, 128.6, 127.7, 127.2, 126.9, 126.5, 125.4, 124.5, 122.4, 120.5, 119.9, 118.2, 116.3, 109.0, 53.7, 43.8, 36.9, 26.9.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{30}H_{25}N_2O_2$ 445.1911; Found 445.1918

M.p. 218.4 – 219.3 °C



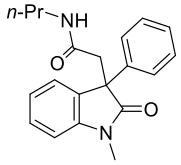
***N*-methyl-2-(1-methyl-2-oxo-3-phenylindolin-3-yl)acetamide (3am)[9]**

Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3am** as a yellow oil (42.4 mg,

72%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 (d, J = 7.7 Hz, 2H), 7.31 (d, J = 2.5 Hz, 1H). 7.28 (d, J = 7.9 Hz, 3H), 7.25 – 7.22 (m, 1H), 7.09 (t, J = 7.5 Hz, 1H), 6.90 (d, J = 7.8 Hz, 1H), 6.24 (s, 1H), 3.39 (d, J = 15.2 Hz, 1H), 3.27 (s, 3H), 3.03 (d, J = 15.2 Hz, 1H), 2.58 (d, J = 4.8 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 178.8, 169.2, 143.4, 139.2, 131.7, 128.7, 128.5, 127.5, 126.4, 124.4, 122.8, 108.6, 54.1, 43.9, 26.6, 26.2.



2-(1-methyl-2-oxo-3-phenylindolin-3-yl)-*N*-propylacetamide (3an)

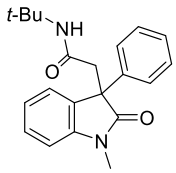
Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3an** as a yellow solid (38.7 mg, 60%)

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.35 (d, J = 7.5 Hz, 2H), 7.30 (d, J = 7.5 Hz, 3H), 7.27 – 7.22 (m, 2H), 7.10 (t, J = 7.5 Hz, 1H), 6.89 (d, J = 7.7 Hz, 1H), 6.37 (s, 1H), 3.38 (d, J = 14.9 Hz, 1H), 3.27 (s, 3H), 3.02 (d, J = 10.2 Hz, 1H), 2.99 – 2.91 (m, 2H), 1.26 – 1.20 (m, 2H), 0.70 (t, J = 7.4 Hz, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 178.9, 168.4, 143.3, 139.3, 131.6, 128.7, 128.5, 127.5, 126.4, 124.6, 122.9, 108.5, 54.3, 44.2, 41.0, 26.6, 22.5, 11.1.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{20}H_{23}N_2O_2$ 323.1754; Found 323.1764

M.p. 173.3 – 174.3 ºC

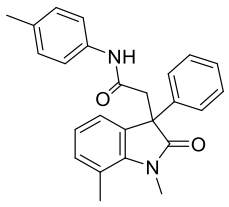


***N*-(tert-butyl)-2-(1-methyl-2-oxo-3-phenylindolin-3-yl)acetamide (3ao)[10]**

Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3ao** as a yellow oil (40.1 mg, 58%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.38 – 7.32 (m, 4H). 7.30 – 7.28 (m, 2H), 7.23 (d, J = 6.3 Hz, 1H), 7.12 (t, J = 7.5 Hz, 1H), 6.89 (d, J = 7.8 Hz, 1H), 6.07 (s, 1H), 3.27 – 3.24 (m, 4H), 2.93 (d, J = 14.4 Hz, 1H), 1.05 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 178.8, 167.7, 143.2, 139.3, 131.4, 128.7, 128.5, 127.5, 126.5, 124.9, 122.9, 108.4, 54.6, 50.8, 50.7, 45.6, 28.2, 26.6.



2-(1,7-dimethyl-2-oxo-3-phenylindolin-3-yl)-*N*-(*p*-tolyl)acetamide (3ba)

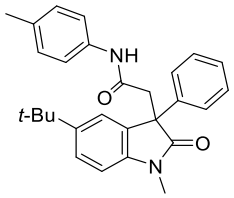
Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3ba** as a yellow solid (66.1 mg, 86%)

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (s, 1H), 7.35 (d, *J* = 7.5 Hz, 2H), 7.28 (t, *J* = 7.5 Hz, 2H), 7.23 (d, *J* = 7.1 Hz, 1H), 7.17 (d, *J* = 8.3 Hz, 2H), 7.11 (d, *J* = 7.2 Hz, 1H), 7.00 (t, *J* = 6.6 Hz, 3H), 6.97 – 6.94 (m, 1H), 3.55 (s, 3H), 3.46 (d, *J* = 15.3 Hz, 1H), 3.14 (d, *J* = 15.3 Hz, 1H), 2.56 (s, 3H), 2.24 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 180.1, 167.0, 140.8, 139.5, 135.4, 133.7, 132.6, 132.5, 129.4, 129.0, 127.7, 126.5, 123.2, 122.5, 120.4, 120.2, 54.0, 45.4, 30.2, 20.9, 19.2.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{25}H_{25}N_2O_2$ 385.1911; Found 385.1919

M.p. 195.2 – 196.4 ºC

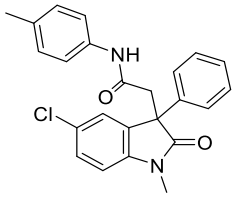


2-(5-(tert-butyl)-1-methyl-2-oxo-3-phenylindolin-3-yl)-*N*-(*p*-tolyl)acetamide (3ca)[11]

Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3ca** as a yellow oil (63.1 mg, 74%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.83 (s, 1H), 7.40 (d, *J* = 7.5 Hz, 2H), 7.36 (d, *J* = 1.2 Hz, 1H), 7.33 – 7.28 (m, 3H), 7.23 (t, *J* = 7.2 Hz, 1H), 7.16 (d, *J* = 8.3 Hz, 2H), 7.00 (d, *J* = 8.2 Hz, 2H), 6.82 (d, *J* = 8.2 Hz, 1H), 3.46 (d, *J* = 15.5 Hz, 1H), 3.29 (s, 3H), 3.18 (d, *J* = 15.5 Hz, 1H), 2.24 (s, 3H), 1.27 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 179.4, 166.9, 146.6, 140.3, 139.1, 135.2, 133.6, 131.6, 129.2, 128.9, 127.6, 126.3, 125.2, 121.6, 120.2, 108.1, 54.6, 45.3, 34.6, 31.5, 26.7, 20.8.

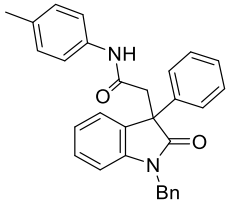


2-(5-chloro-1-methyl-2-oxo-3-phenylindolin-3-yl)-*N*-(*p*-tolyl)acetamide (3da)[11]

Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3da** as a yellow oil (65.5 mg, 81%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (s, 1H), 7.29 – 7.28 (m, 5H), 7.26 – 7.25 (m, 2H),7.14 (d, *J* = 8.1 Hz, 2H), 6.98 (d, *J* = 8.0 Hz, 2H), 6.78 (d, *J* = 8.2 Hz, 1H), 3.45 (d, *J* = 15.4 Hz, 1H), 3.22 (s, 3H), 3.16 (d, *J* = 15.5 Hz, 1H), 2.24 (s,3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 178.5, 166.6, 142.1, 138.5, 134.9, 133.8, 133.5, 129.3, 128.9, 128.5, 128.1, 127.8, 126.3, 124.8, 120.2, 109.5, 54.3, 44.4, 26.8, 20.8.



2-(1-benzyl-2-oxo-3-phenylindolin-3-yl)-*N*-(*p*-tolyl)acetamide (3ea)

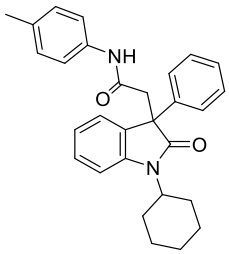
Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3ea** as a yellow solid (71.4 mg, 80%)

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (s, 1H), 7.39 (d, *J* = 7.8 Hz, 2H), 7.33 – 7.28 (m, 3H), 7.24 – 7.19 (m, 6H), 7.16 (d, *J* = 7.7 Hz, 3H), 7.05 (t, *J* = 7.5 Hz, 1H), 6.98 (d, *J* = 8.2 Hz, 2H), 6.73 (d, *J* = 7.8 Hz, 1H), 5.02 (d, *J* = 15.8 Hz, 1H), 4.91 (d, *J* = 15.8 Hz, 1H), 3.52 (d, *J* = 15.1 Hz, 1H), 3.25 (d, *J* = 15.0 Hz, 1H), 2.25 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 179.1, 166.7, 142.2, 139.2, 135.4, 135.2, 133.6, 131.7, 129.2, 128.9, 128.7, 128.5, 127.7, 127.6, 127.0, 126.4, 124.6, 123.2, 120.0, 109.8, 54.5, 45.0, 44.1, 20.8.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{30}H_{27}N_2O_2$ 447.2067; Found 447.2072

M.p. 173.7 – 174.8 ºC



3-(1-cyclohexyl-2-oxo-3-phenylindolin-3-yl)-*N*-(*p*-tolyl)acetamide (3fa)

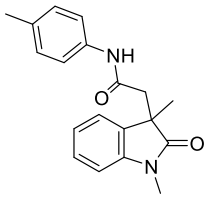
Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.4) to give the titled product **3fa** as a yellow solid (73.6 mg, 84%)

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.67 (s, 1H), 7.34 (d, *J* = 7.4 Hz, 2H), 7.30 – 7.27 (m, 3H), 7.23 – 7.20 (m, 2H), 7.16 (d, *J* = 8.2 Hz, 2H), 7.08 (d, *J* = 8.0 Hz, 1H), 7.06 – 7.02 (m, 1H), 6.99 (d, *J* = 8.1 Hz, 2H), 4.21 (t, *J* = 12.2 Hz, 1H), 3.45 (d, *J* = 15.0 Hz, 1H), 3.17 (d, *J* = 15.0 Hz, 1H), 2.24 (s, 3H), 2.16 (t, *J* = 12.1 Hz, 2H), 1.89 – 1.86 (m, 2H), 1.80 – 1.70 (m, 3H), 1.39 (q, *J* = 12.9 Hz,2H), 1.29 – 1.26 (m, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 179.1, 166.8, 141.8, 139.5, 135.3, 133.5, 132.5, 129.1, 128.9, 128.2, 127.5, 126.1, 124.7, 122.7, 120.0, 110.5, 54.3, 52.8, 45.0, 29.2, 28.8, 25.94, 25.90, 25.3, 20.8.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{29}H_{31}N_2O_2$ 439.2380; Found 439.2387

M.p. 213.6 – 214.8 ºC



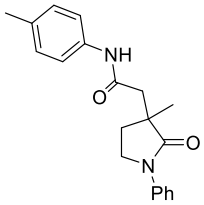
2-(1,3-dimethyl-2-oxoindolin-3-yl)-*N*-(*p*-tolyl)acetamide (3ga)[7]

Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **3ga** as a yellow solid (51.2 mg, 83%)

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.78 (s, 1H), 7.35 (d, *J* = 8.3 Hz, 2H), 7.30 – 7.27 (m, 2H), 7.10 (d, *J* = 7.6 Hz, 1H), 7.07 (d, *J* = 8.2 Hz, 2H), 6.86 (d, *J* = 8.0 Hz, 1H), 3.25 (s, 3H), 2.89 (d, *J* = 15.0 Hz, 1H), 2.83 (d, *J* = 15.0 Hz, 1H), 2.28 (s, 3H), 1.48 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 181.0, 167.2, 142.5, 135.4, 133.6, 133.4, 129.3, 128.2, 123.1, 122.7, 119.9, 108.4, 46.1, 44.8, 26.4, 23.2, 20.8.

M.p. 131.4 – 132.3 ºC



2-(3-methyl-2-oxo-1-phenylpyrrolidin-3-yl)-*N*-(*p*-tolyl)acetamide (3ha)

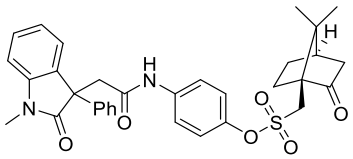
Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.4) to give the titled product **3ha** as a yellow solid (41.9 mg, 65%)

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.82 (s, 1H), 7.60 (d, *J* = 7.9 Hz, 2H), 7.41 – 7.36 (m, 4H), 7.18 (t, *J* = 7.4 Hz, 1H), 7.08 (d, *J* = 7.9 Hz, 2H), 3.91 – 3.85 (m, 1H), 3.76 (t, *J* = 9.0 Hz, 1H), 2.75 (d, *J* = 14.0 Hz, 1H), 2.66 (d, *J* = 14.1 Hz, 1H), 2.38 (dd, *J* = 21.5, 9.1 Hz, 1H), 2.29 (s, 3H), 2.08 – 2.04 (m, 1H), 1.36 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 178.4, 168.5, 139.0, 135.5, 133.6, 129.3, 128.9, 125.2, 120.3, 119.8, 45.8, 45.7, 44.9, 31.2, 22.6, 20.8.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{20}H_{23}N_2O_2$ 323.1754; Found 323.1760

M.p. 180.4 – 181.6 ºC



4-(2-(1-methyl-2-oxo-3-phenylindolin-3-yl)acetamido)phenyl((1*S*,4*R*)-7,7-dimethyl-2-oxobicyclo[2.2.1]heptan-1-yl)methanesulfonate (5)

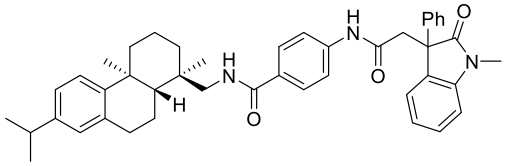
Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.2) to give the titled product **5** as a yellow oil (50.4 mg, 43%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.97 (s, 1H), 7.39 – 7.35 (m, 3H), 7.33 – 7.30 (m, 4H), 7.26 – 7.22 (m, 2H), 7.13 (d, *J* = 7.7 Hz, 2H), 7.10 (d, *J* = 7.7 Hz, 1H), 6.92 (d, *J* = 8.0 Hz, 1H), 3.74 (d, *J* = 15.0 Hz,

1H), 3.50 (d, *J* = 15.5 Hz, 1H), 3.31 (s, 3H), 3.21 (d, *J* = 15.5 Hz, 1H), 3.14 (d, *J* = 15.0 Hz, 1H), 2.54 - 2.47 (m, 1H), 2.40 (d, *J* = 18.4 Hz, 1H), 2.12 (t, *J* = 4.4 Hz, 1H), 1.95 (d, *J* = 18.5 Hz, 1H), 1.73 – 1.66 (m, 2H), 1.47 – 1.41 (m, 1H), 1.13 (s, 3H), 0.88 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 214.0, 179.2, 167.1, 144.9, 142.7, 138.8, 136.8, 131.8, 129.0, 128.7, 127.8, 126.2, 124.3, 123.4, 122.4, 121.1, 108.8, 58.1, 54.3, 47.9, 47.3, 45.0, 42.8, 42.4, 26.8, 26.7, 25.1, 19.9, 19.7.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{33}H_{35}N_2O_6S$ 587.2210; Found 587.2211



***N*-(((1*R*,4a*S*,10a*R*)-7-isopropyl-1,4a-dimethyl-1,2,3,4,4a,9,10,10a-octahydrophenanthren-1-yl)methyl)-4-(2-(1-methyl-2-oxo-3-phenylindolin-3-yl)acetamido)benzamide (7)**

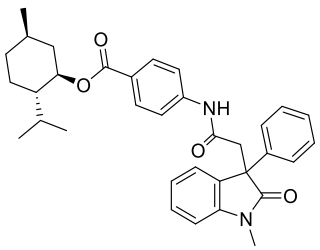
Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **7** as a yellow solid (84.1 mg, 63%)

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.09 (s, 1H), 7.55 (d, *J* = 8.2 Hz, 2H), 7.34 (d, *J* = 7.7 Hz, 4H), 7.32 – 7.28 (m, 3H), 7.25 (d, *J* = 3.6 Hz, 1H), 7.23 – 7.19 (m, 1H), 7.15 (d, *J* = 8.2 Hz, 1H), 7.09 (t, *J* = 7.5 Hz, 1H), 6.98 (d, *J* = 8.1 Hz, 1H), 6.90 – 6.87 (m, 2H), 6.10 (t, *J* = 6.0 Hz, 1H), 3.49 (d, *J* = 15.5 Hz, 1H), 3.38 – 3.31 (m, 2H), 3.28 (s, 3H), 3.21 (d, *J* = 15.5 Hz, 1H), 2.93 – 2.79 (m, 3H), 2.28 (d, *J* = 12.6 Hz, 1H), 1.96 – 1.92 (m, 1H), 1.78 – 1.73 (m, 3H), 1.46 (t, *J* = 12.2 Hz, 2H), 1.35 – 1.28 (m, 2H), 1.22 (s, 6H), 1.20 (s, 3H), 0.96 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 179.3, 167.3, 167.2, 147.1, 145.7, 142.9, 140.8, 138.9, 134.8, 131.9, 130.1, 129.0, 128.8, 127.9, 127.7, 127.0, 126.3, 124.4, 124.3, 123.9, 123.4, 119.4, 108.9, 54.4, 50.4, 45.9, 45.2, 38.4, 37.8, 37.6, 36.5, 33.5, 30.5, 26.8, 25.5, 24.0, 19.2, 18.8, 18.7.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{44}H_{50}N_3O_3$ 668.3847; Found 668.3848

M.p. 164.3 – 165.4 ºC



(1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl4-(2-(1-methyl-2-oxo-3-phenylindolin-3-yl)acetamido)benzoate (9)

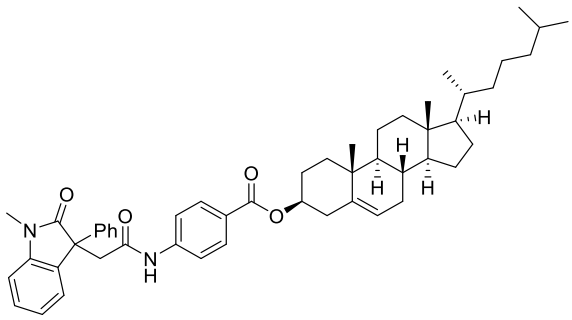
Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.4) to give the titled product **9** as a yellow oil (59.2 mg, 55%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 9.15 (s, 1H), 7.90 (d, *J* = 8.4 Hz, 2H), 7.42 – 7.39 (m, 4H), 7.32 – 7.29 (m, 4H), 7.23 (d, *J* = 6.4 Hz, 1H), 7.12 (t, *J* = 7.5 Hz, 1H), 6.92 (d, *J* = 7.9 Hz, 1H), 4.87 (td, *J* = 10.7, 3.9 Hz, 1H), 3.51 (d, *J* = 15.5 Hz, 1H), 3.33 (s, 3H), 3.22 (d, *J* = 15.5 Hz, 1H), 2.08 (d, *J* = 11.5 Hz, 1H),

1.95 – 1.87 (m, 1H), 1.71 (d, *J* = 11.5 Hz, 2H), 1.51 (t, *J* = 11.1 Hz, 2H), 1.12 – 1.05 (m, 2H), 0.92 – 0.88 (m, 7H), 0.76 (d, *J* = 6.9 Hz, 3H).

^{13}C NMR (101 MHz, CDCl$_3$) δ 179.4, 167.2, 165.6, 142.5, 141.8, 138.6, 131.9, 130.6, 129.0, 128.8, 127.9, 126.2, 126.0, 124.3, 123.5, 118.8, 112.5, 108.9, 74.6, 54.4, 47.2, 45.4, 40.9, 34.3, 31.4, 26.8, 26.4, 23.6, 22.0, 20.7, 16.5.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{34}H_{39}N_2O_4$ 539.2904; Found 539.2909



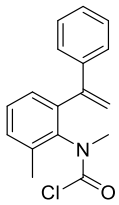
(3*S*,8*S*,9*S*,10*R*,13*R*,14*S*,17*R*)-10,13-dimethyl-17-((*R*)-6-methylheptan-2-yl)-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[*a*]phenanthren-3-yl 4-(2-(1-methyl-2-oxo-3-phenylindolin-3-yl)acetamido)benzoate (11)

Upon completion the mixture was concentrated and purified via flash column chromatography (petroleum ether/ethyl acetate = 2/1, R_f = 0.3) to give the titled product **11** as a yellow solid (59.9 mg, 39%)

^{1}H NMR (400 MHz, CDCl$_3$) δ 9.15 (s, 1H), 7.88 (d, *J* = 8.4 Hz, 2H), 7.41 – 7.37 (m, 4H), 7.31 – 7.27 (m, 4H), 7.24 – 7.21 (m, 1H), 7.11 (t, *J* = 7.5 Hz, 1H), 6.91 (d, *J* = 8.0 Hz, 1H), 5.39 (d, *J* = 3.5 Hz, 1H), 4.84 – 4.76 (m, 1H), 3.51 (d, *J* = 15.6 Hz, 1H), 3.31 (s, 3H), 3.23 (d, *J* = 15.6 Hz, 1H), 2.42 (d, *J* = 7.9 Hz, 2H), 2.01 (d, *J* = 12.9 Hz, 2H), 1.96 – 1.91 (m, 2H), 1.87 – 1.79 (m, 2H), 1.74 – 1.65 (m, 2H), 1.53 – 1.41 (m, 5H), 1.23 (d, *J* = 18.8 Hz, 3H), 1.18 – 1.09 (m, 7H), 1.05 (s, 3H), 1.02 – 0.96 (m, 3H), 0.92 (d, *J* = 6.4 Hz, 3H), 0.87 (s, 3H), 0.86 (s, 3H), 0.68 (s, 3H).

^{13}C NMR (101 MHz, CDCl$_3$) δ 179.3, 167.2, 165.5, 142.7, 141.9, 139.7, 138.7, 131.9, 130.5, 129.0, 128.7, 127.8, 126.2, 126.0, 124.3, 123.4, 122.7, 118.8, 108.8, 74.4, 71.8, 56.7, 56.1, 54.3, 50.0, 45.3, 42.3, 39.7, 39.5, 38.2, 37.2, 37.0, 36.6, 36.2, 35.8, 31.9, 31.8, 31.6, 28.2, 28.0, 27.9, 26.7, 24.3, 23.8, 22.8, 22.5, 21.0, 19.4, 18.7, 11.8.

HRMS (ESI-TOF) m/z: $[M+Na]^+$ Calcd. for $C_{51}H_{64}N_2O_4Na$ 791.4758; Found 791.4758

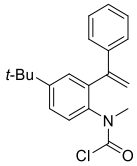


methyl(2-methyl-6-(1-phenylvinyl)phenyl)carbamic chloride

^{1}H NMR (400 MHz, CDCl$_3$) δ 7.36 – 7.32 (m, 2H), 7.28 – 7.26 (m, 6H), 5.70 (s, 1H), 5.34 (s, 1H), 2.70 (s, 3H), 2.26 (s, 3H).

^{13}C NMR (101 MHz, CDCl$_3$) δ 149.4, 147.0, 140.8, 140.5, 139.6, 136.2, 130.7, 129.2, 128.7, 128.3, 128.0, 126.8, 117.4, 38.0, 17.7.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{17}H_{17}ClNO$ 286.0993; Found 286.1006



(4-(tert-butyl)-2-(1-phenylvinyl)phenyl)(methyl)carbamic chloride

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 (d, J = 7.4 Hz, 2H), 7.33 – 7.28 (m, 3H), 7.26 – 7.24 (m, 2H), 7.15 – 7.10 (m, 1H), 5.71 (s, 1H), 5.37 (s, 1H), 2.81 (s, 3H), 1.37 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 152.1, 147.5, 140.5, 139.6, 138.4, 128.5, 128.4, 128.2, 128.1, 126.9, 126.7, 126.0, 117.4, 39.3, 34.8, 31.4.

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. for $C_{20}H_{23}ClNO$ 328.1463; Found 328.1465

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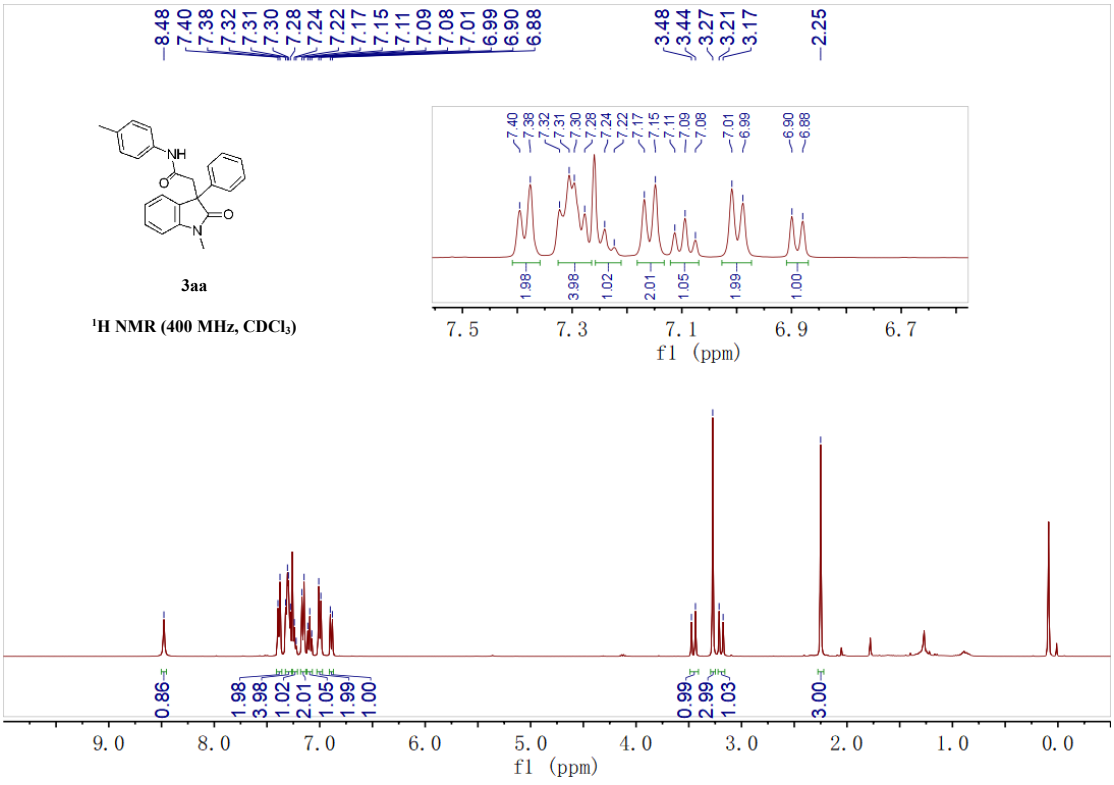
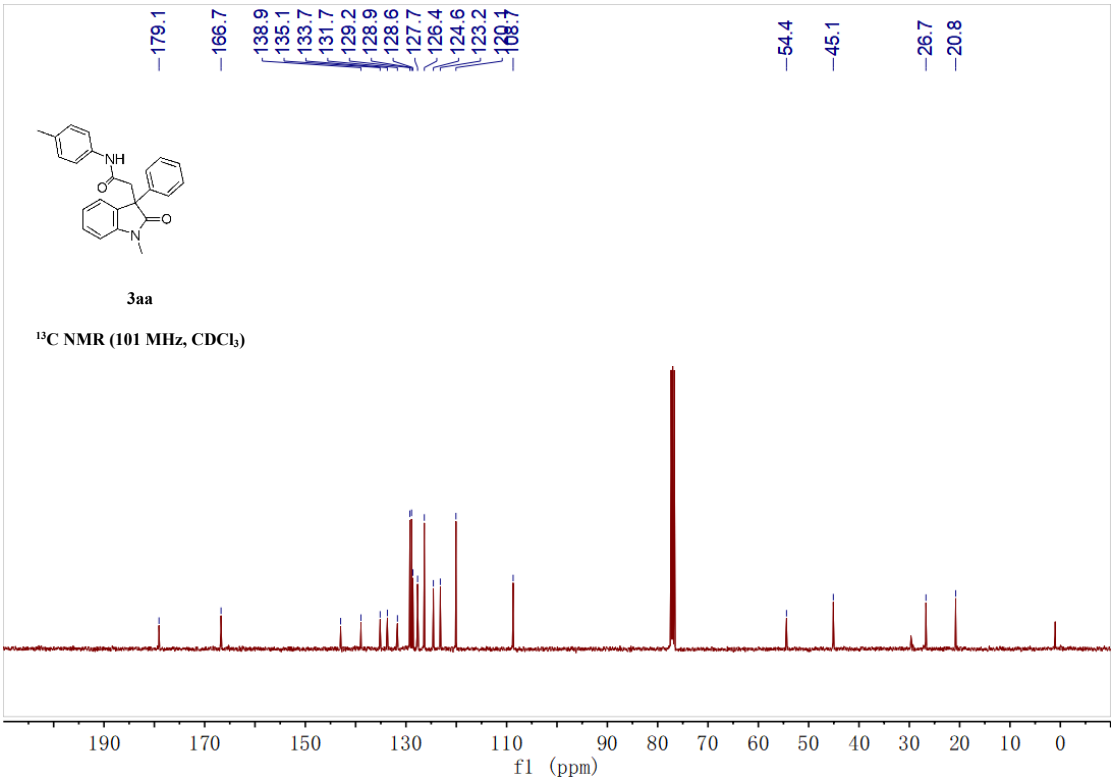
6. Copy of ^{1}H and ^{13}C NMR Spectra of Products



3aa

^{1}H NMR (400 MHz, $CDCl_3$)



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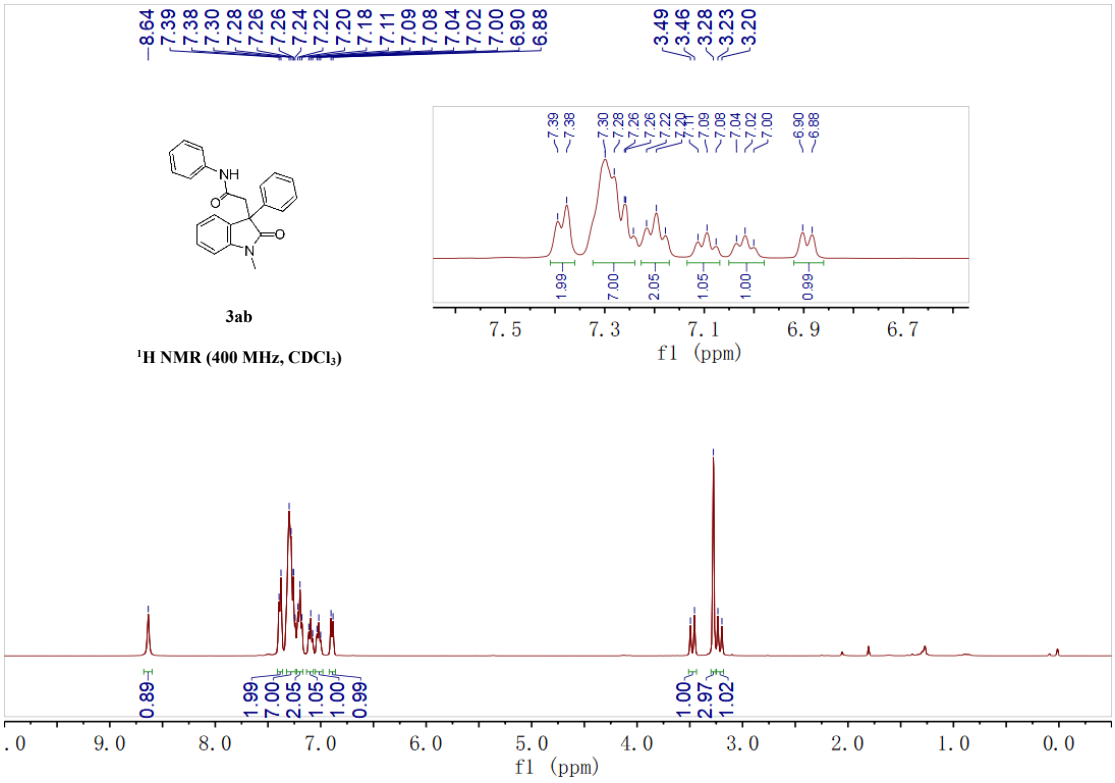

3ab

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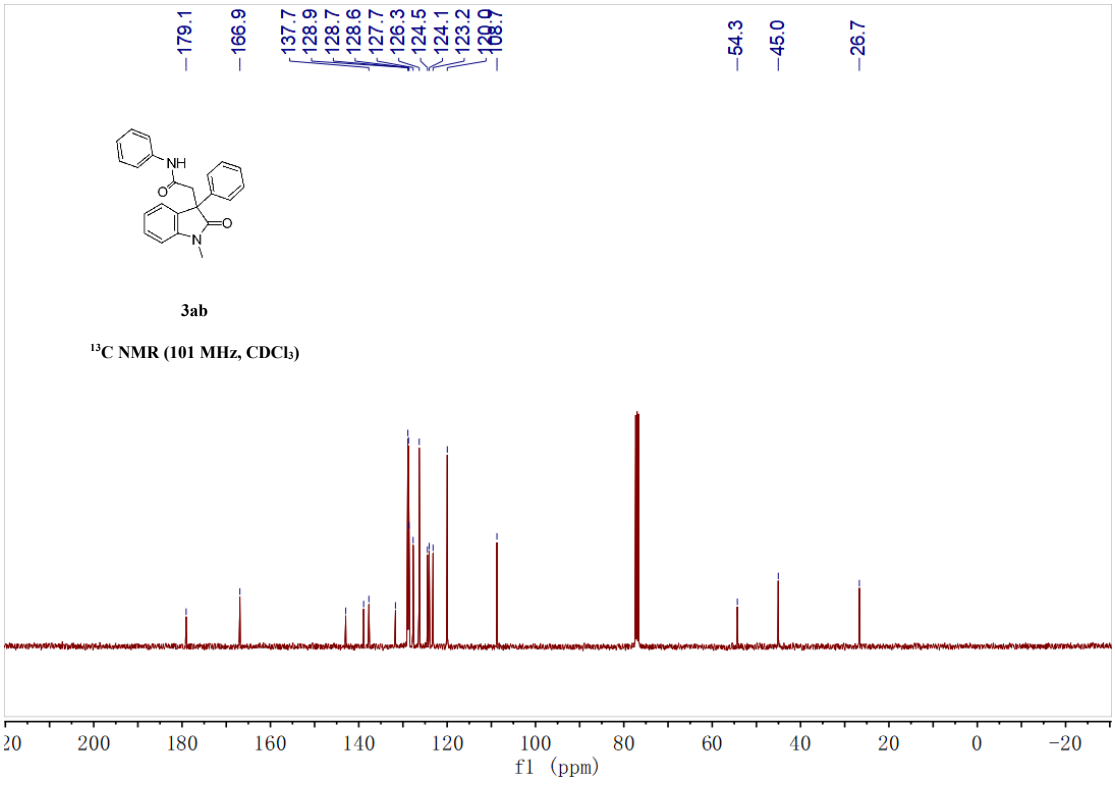
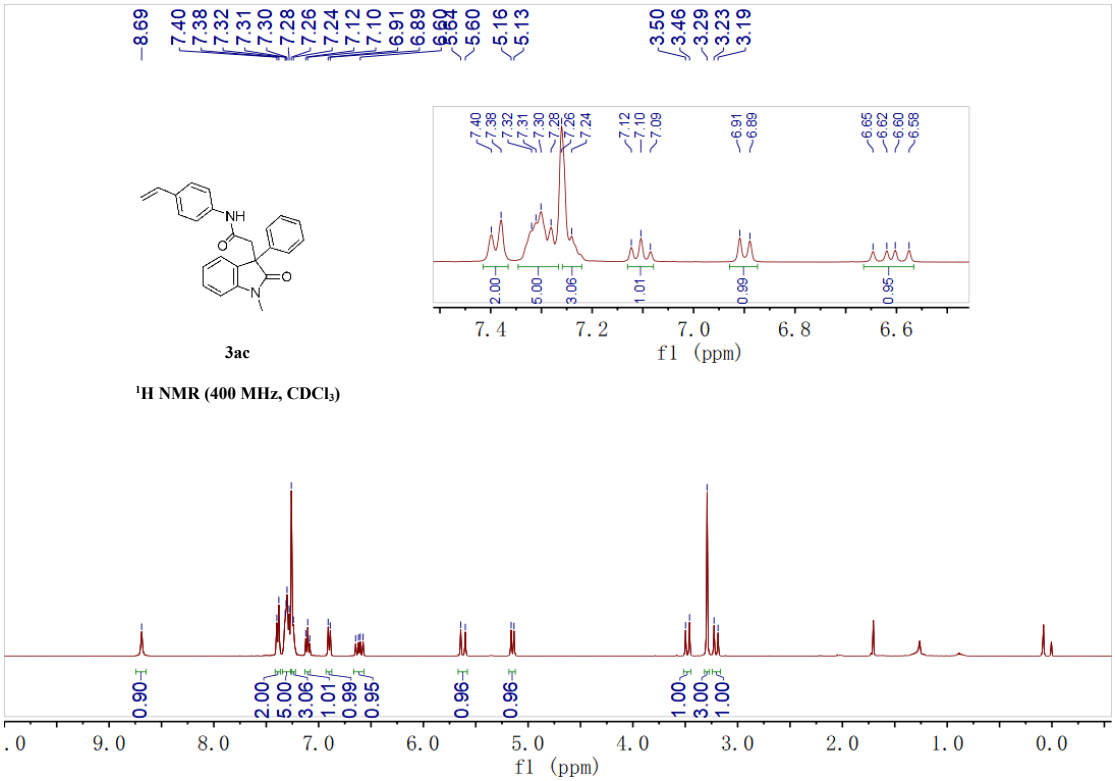


3ab

^{13}C NMR (101 MHz, $CDCl_3$)



3ac

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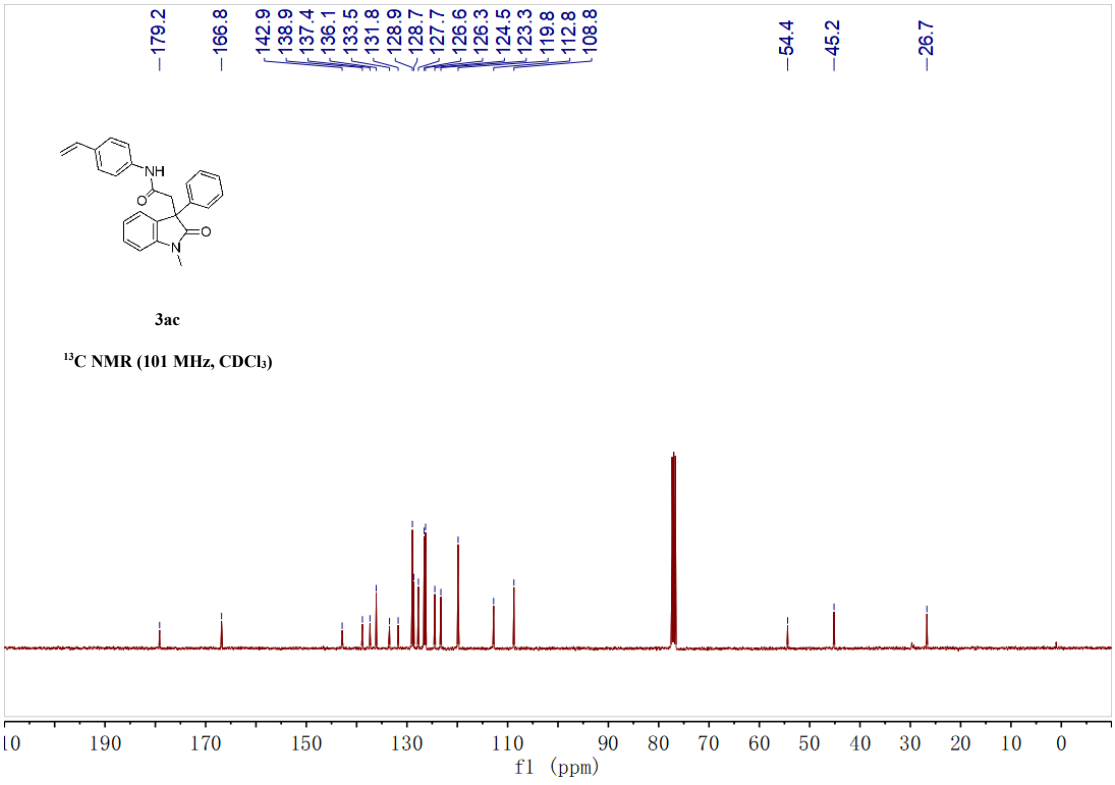

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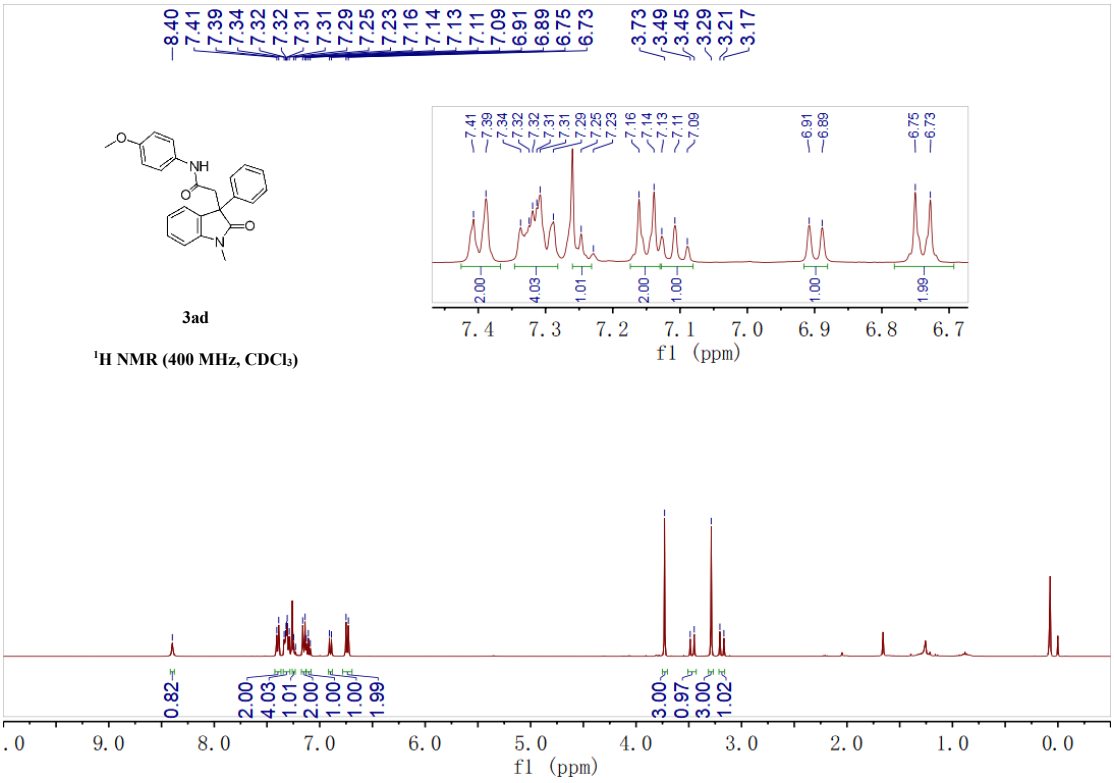

3ad

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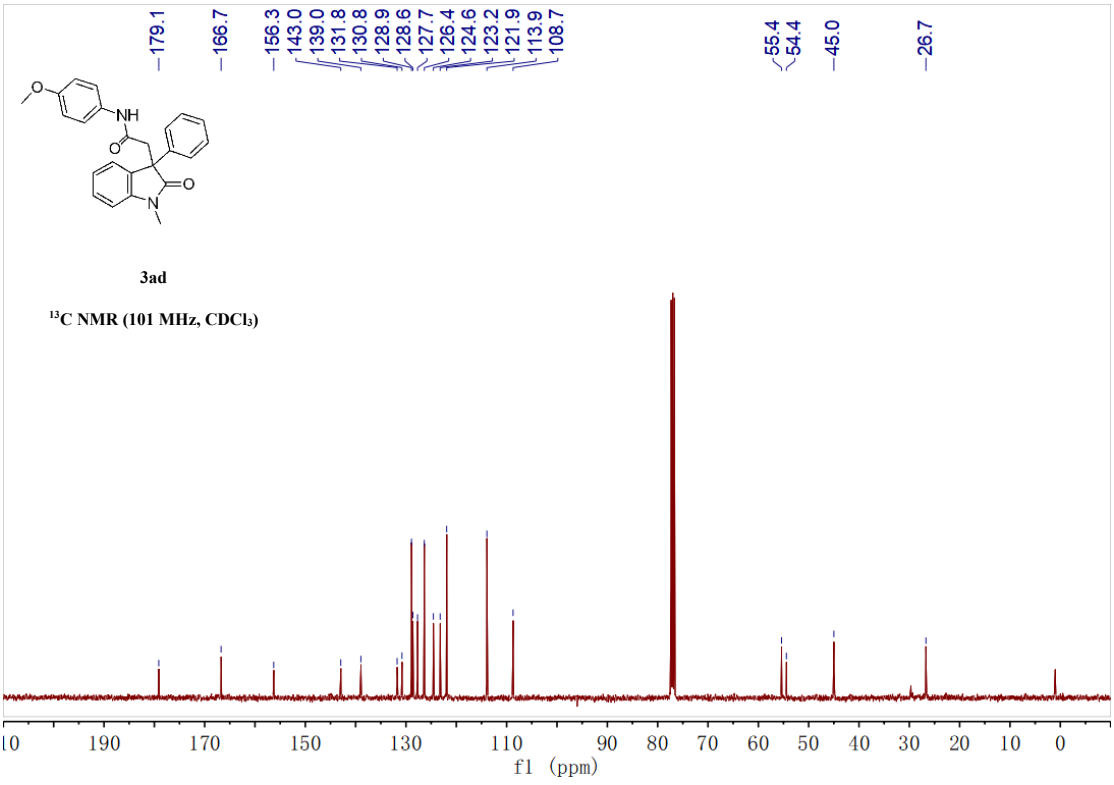
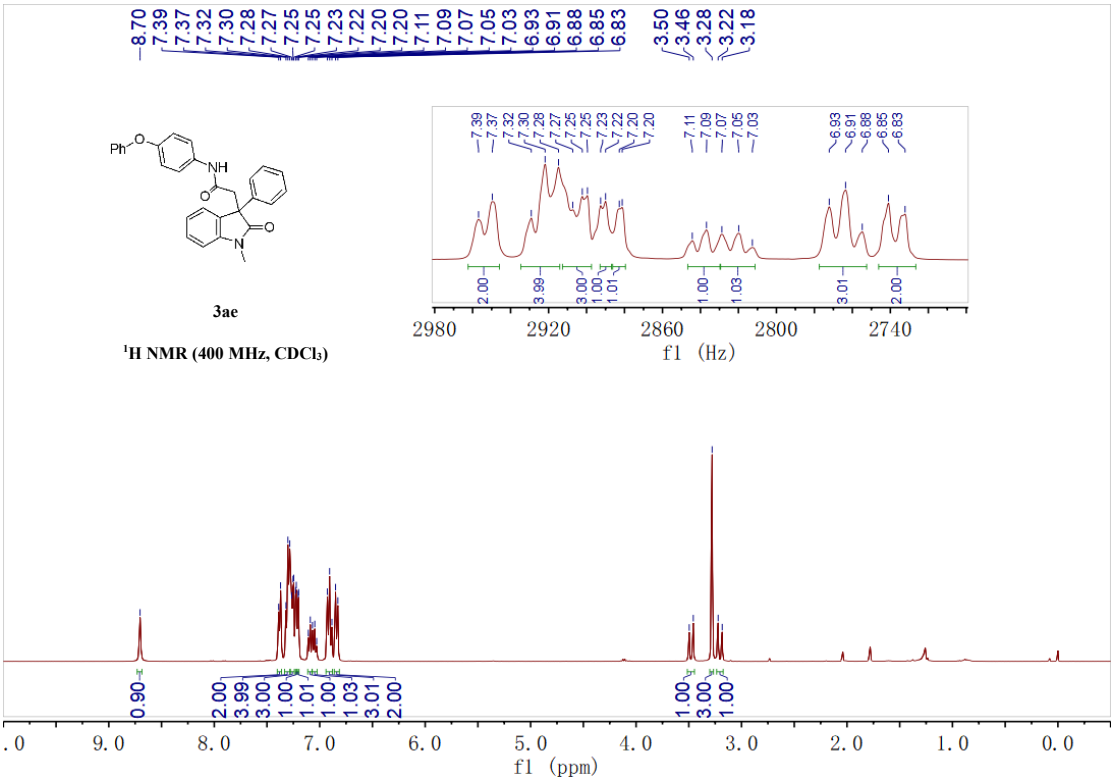


3ad

^{13}C NMR (101 MHz, $CDCl_3$)



3ae

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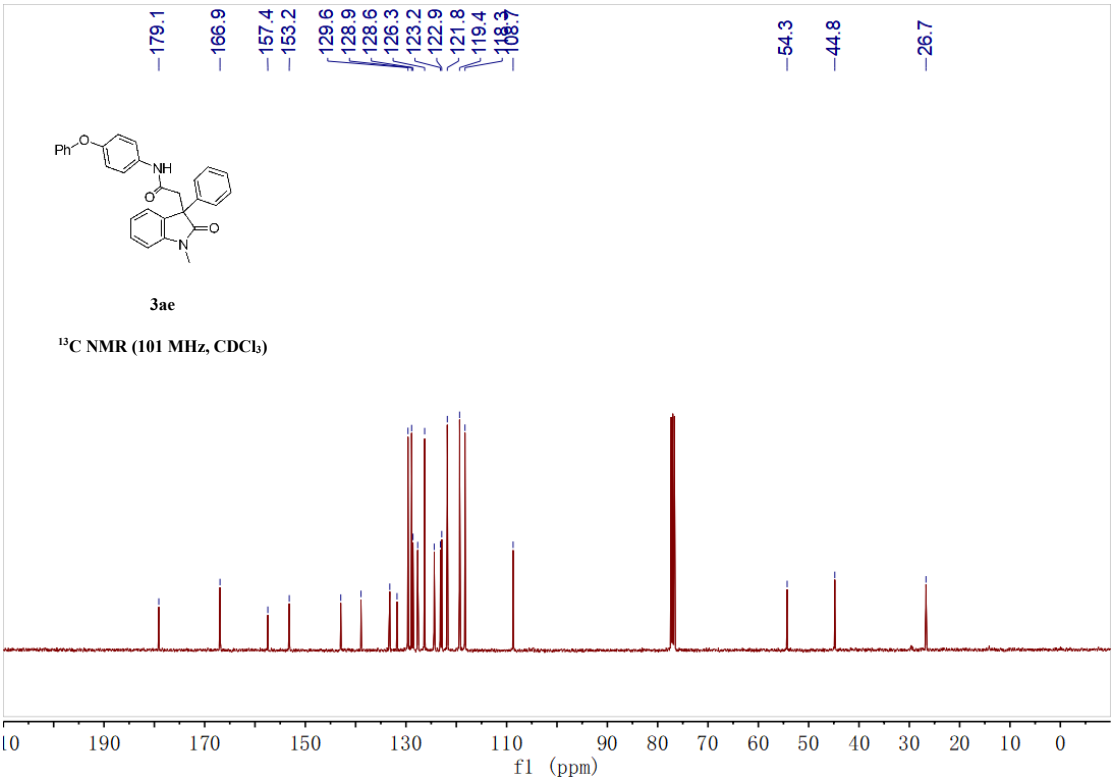
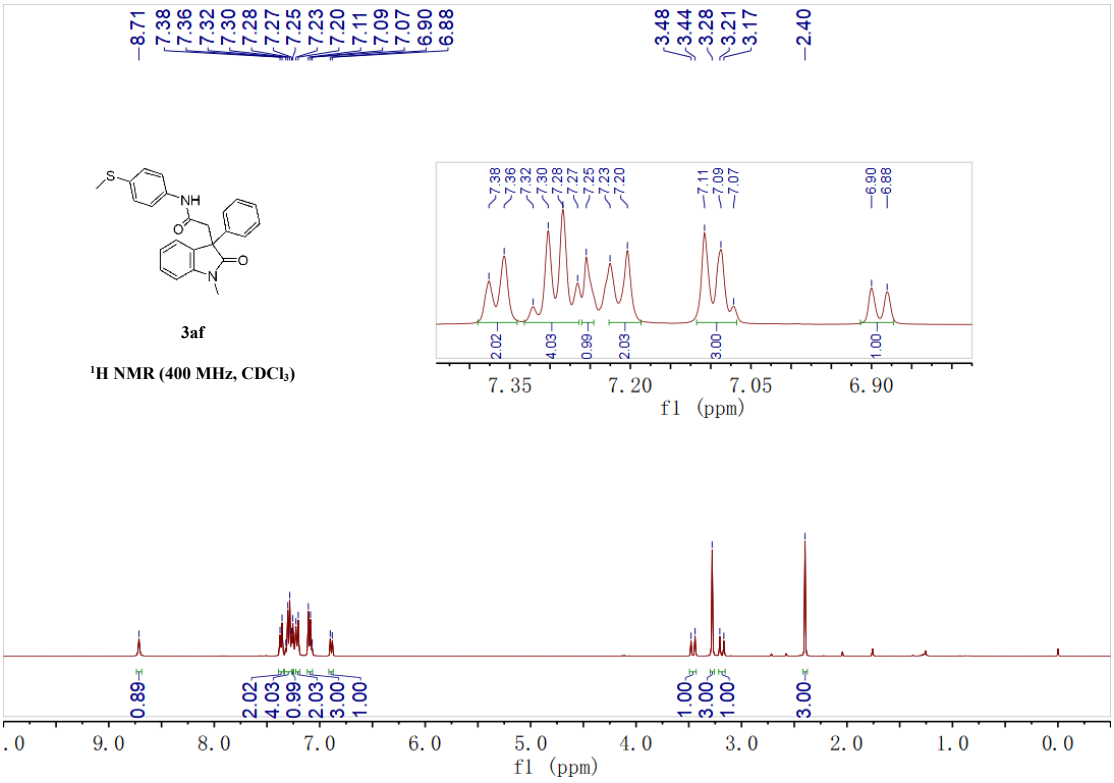


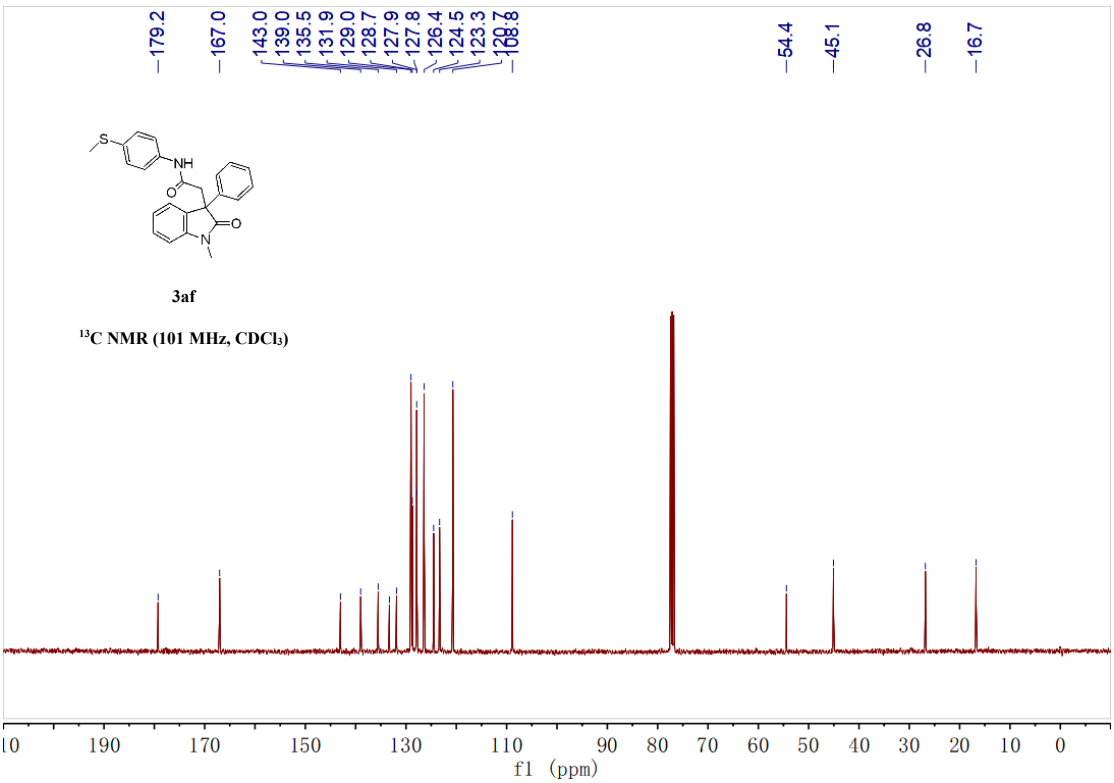
3ae

^{13}C NMR (101 MHz, $CDCl_3$)



3af

^{1}H NMR (400 MHz, $CDCl_3$)



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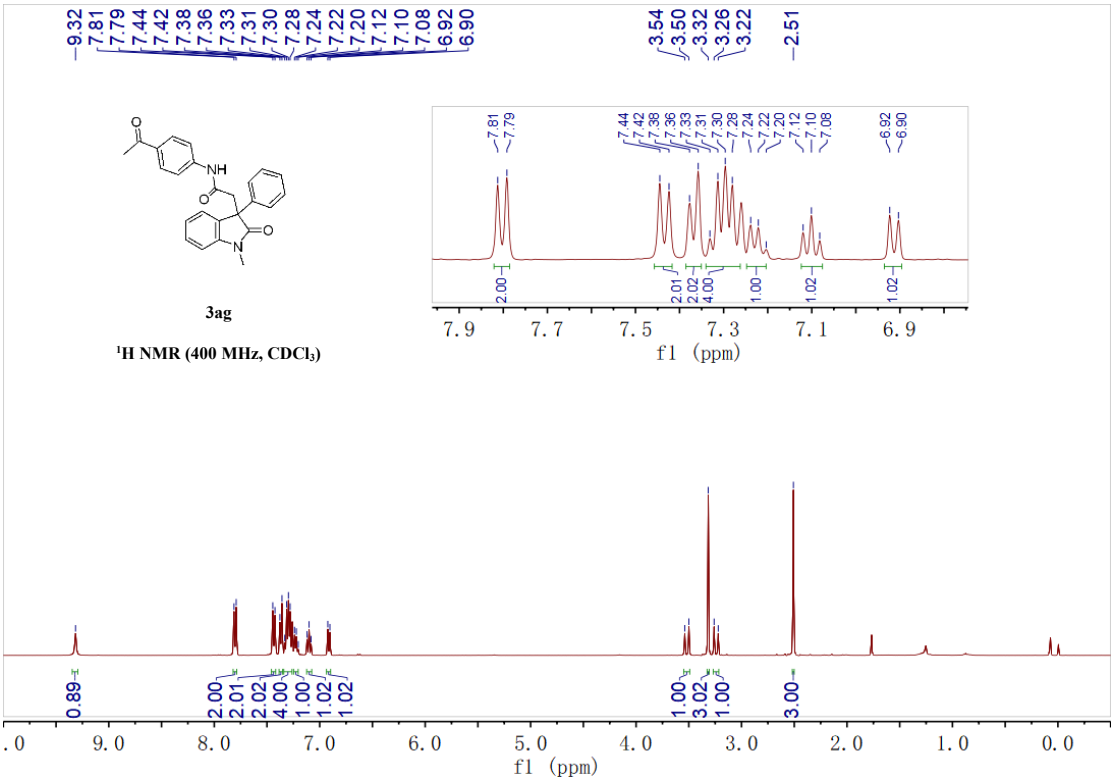
^{13}C NMR (101 MHz, $CDCl_3$)



3ag

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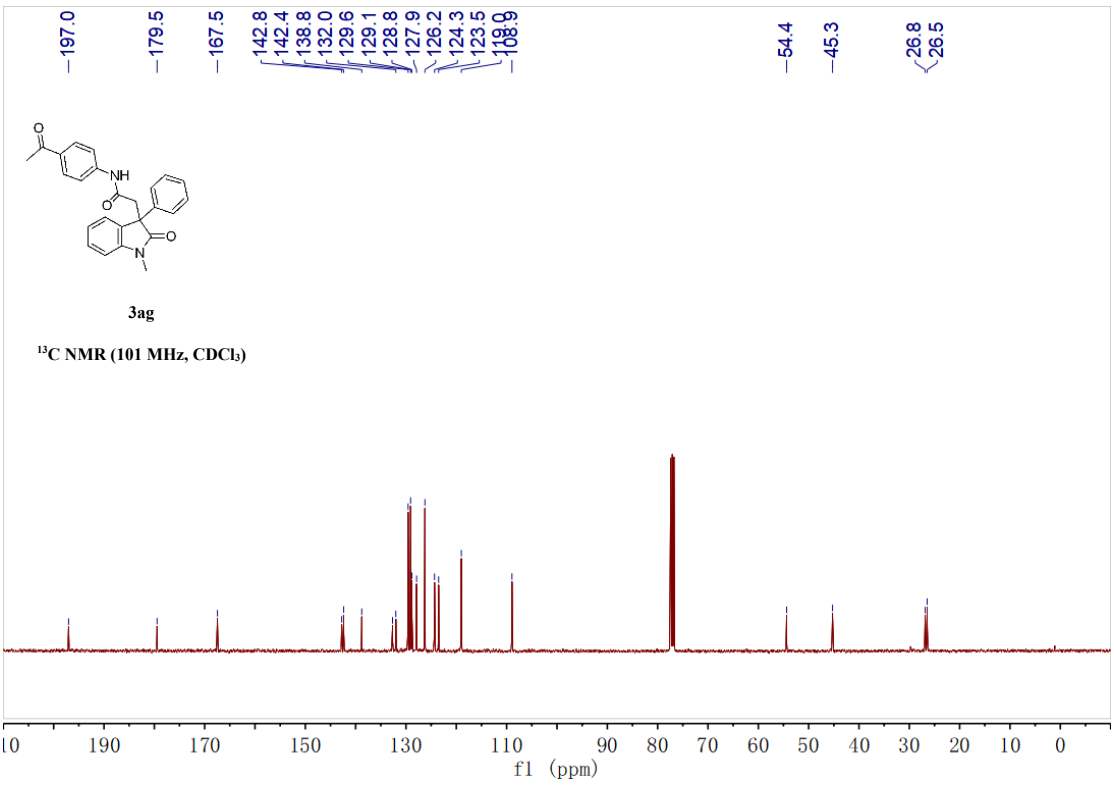
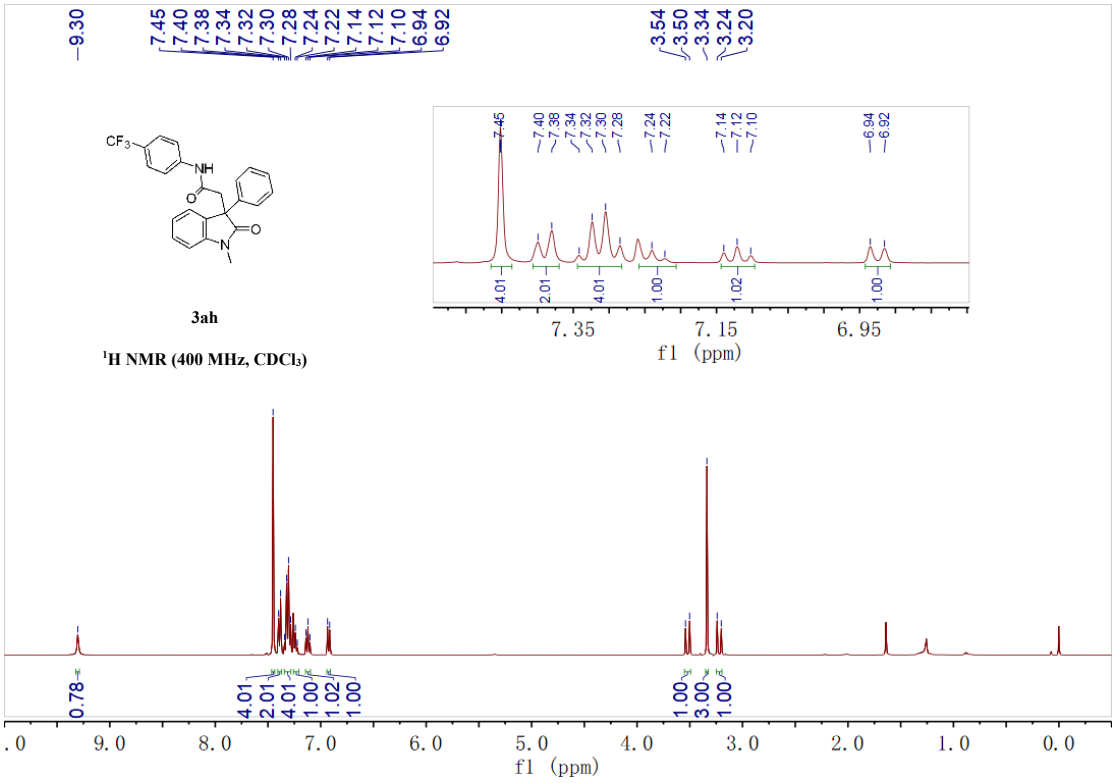


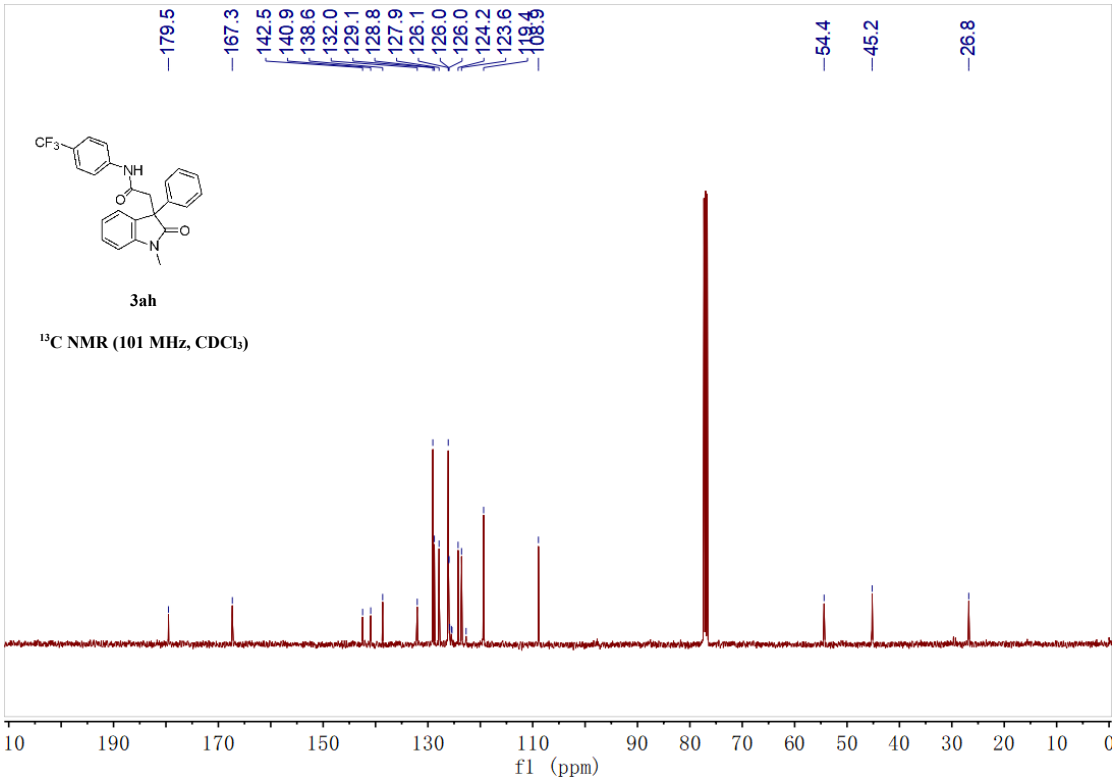
3ag

^{13}C NMR (101 MHz, $CDCl_3$)



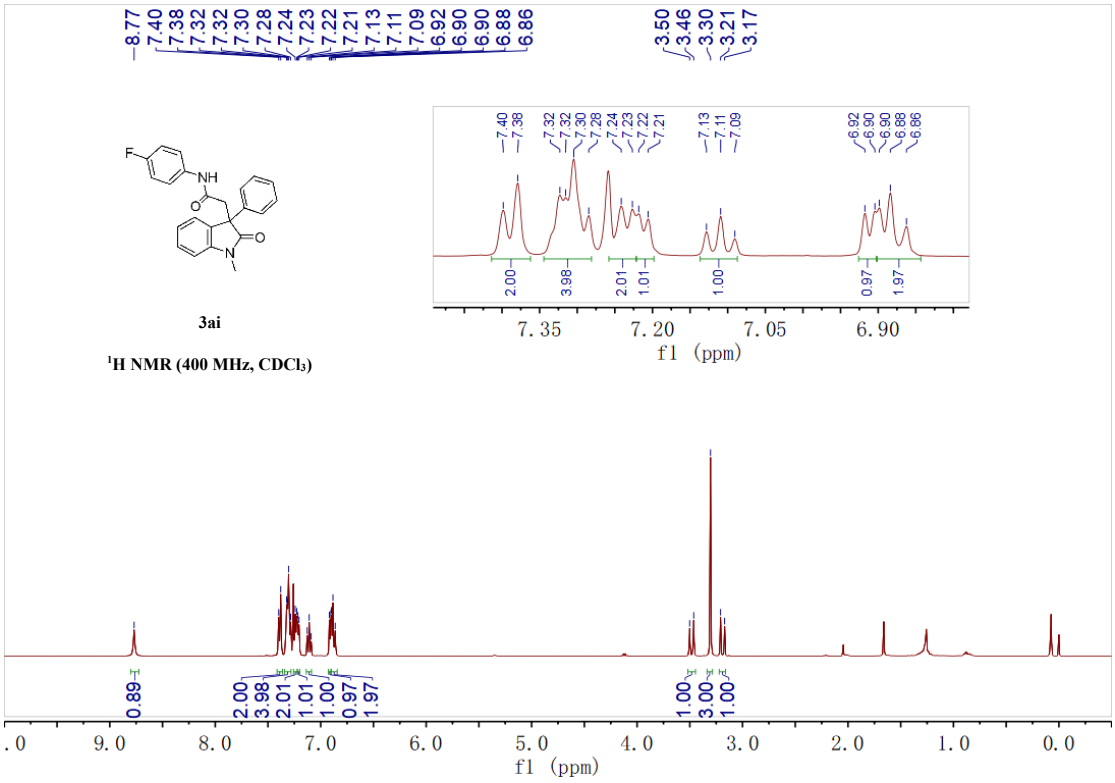
3ah

^{1}H NMR (400 MHz, $CDCl_3$)



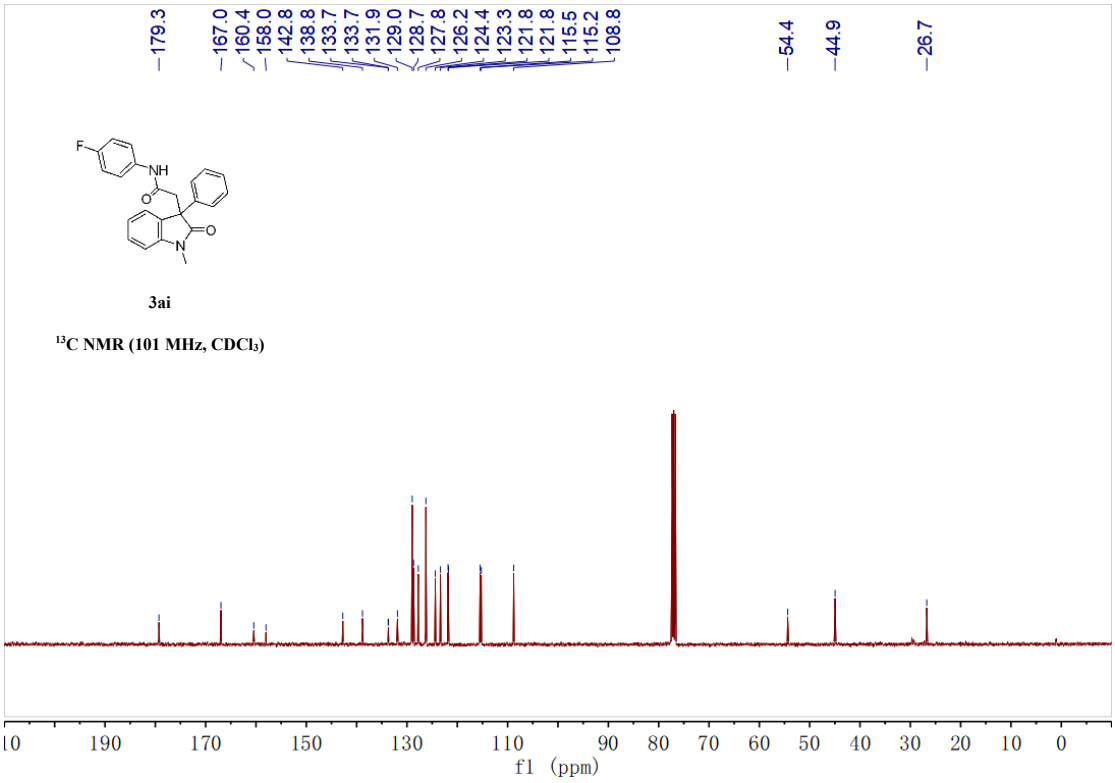
3ah

^{13}C NMR (101 MHz, $CDCl_3$)



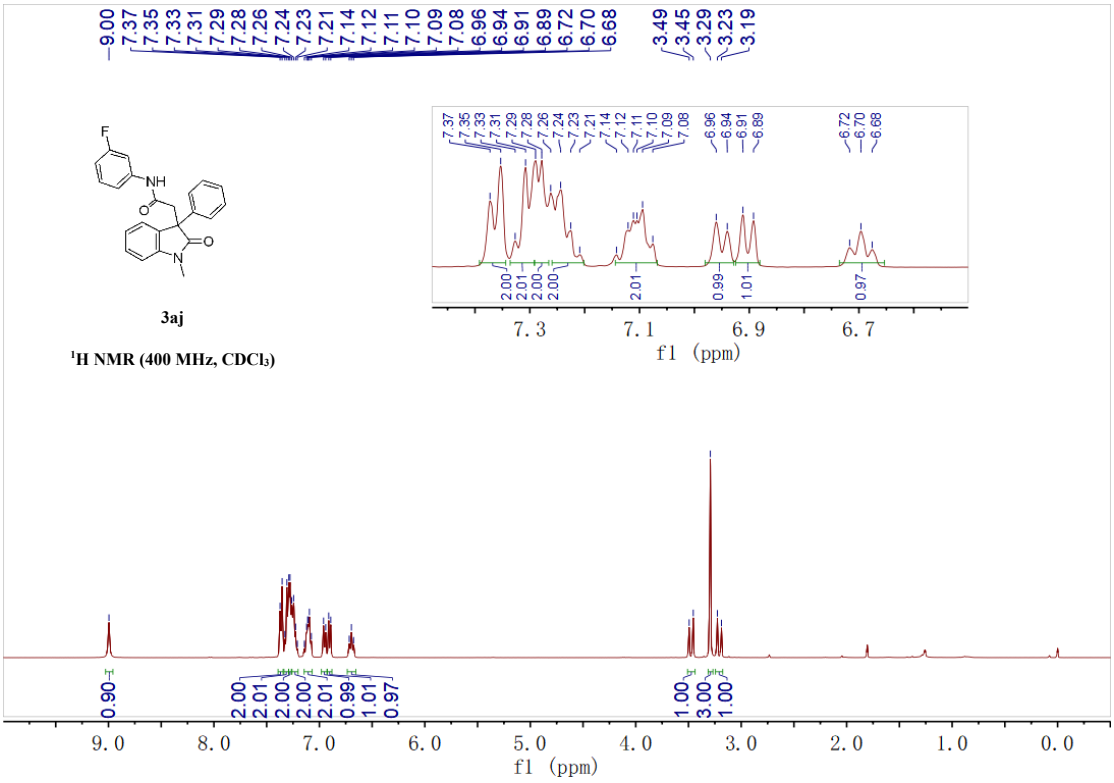
3ai

^{1}H NMR (400 MHz, $CDCl_3$)



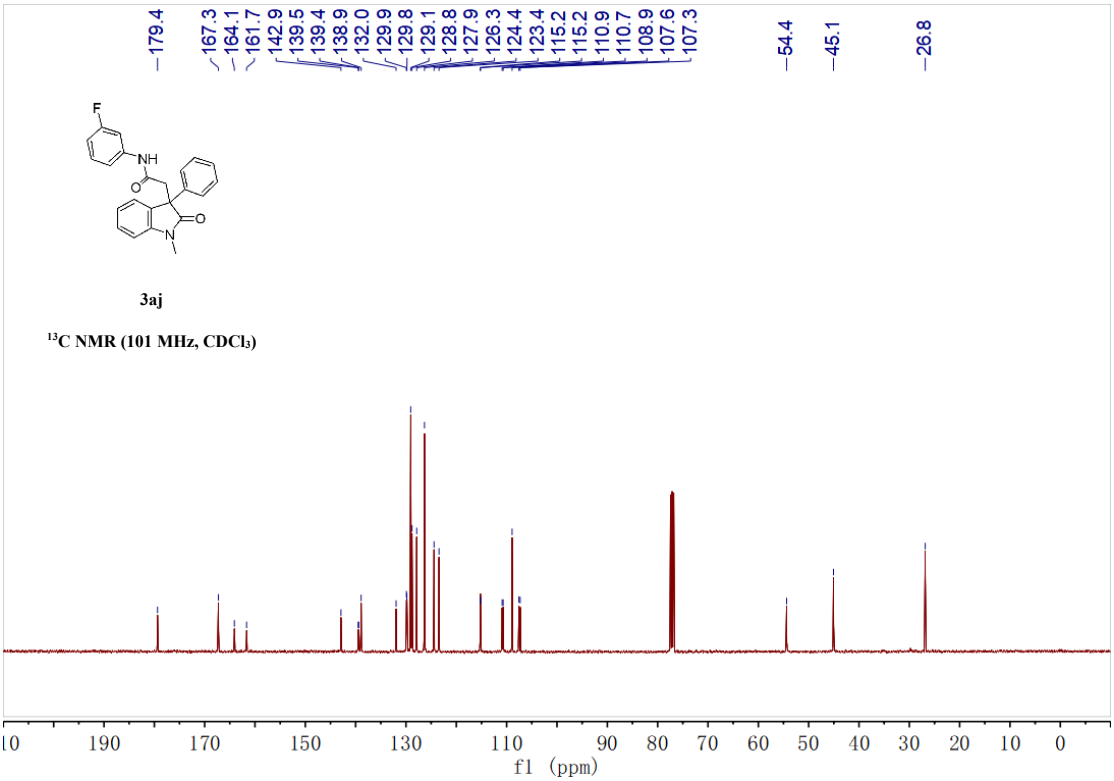
3ai

^{13}C NMR (101 MHz, $CDCl_3$)



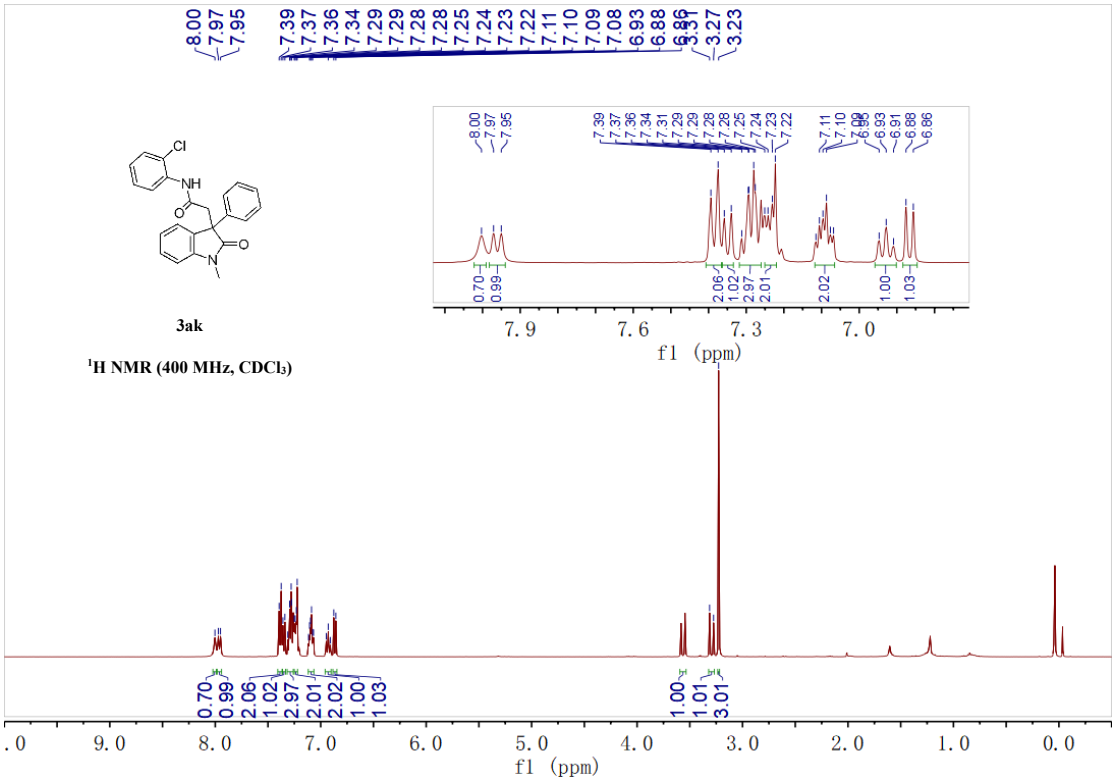
3aj

^{1}H NMR (400 MHz, $CDCl_3$)



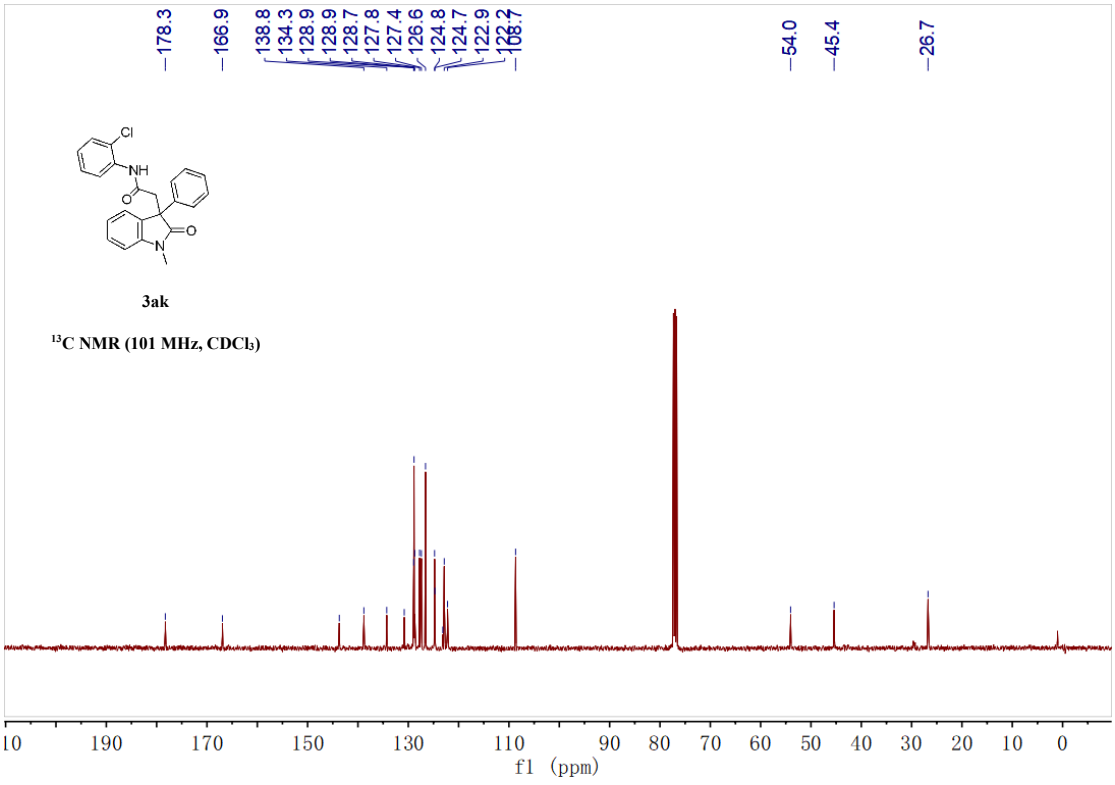
3aj

^{13}C NMR (101 MHz, $CDCl_3$)



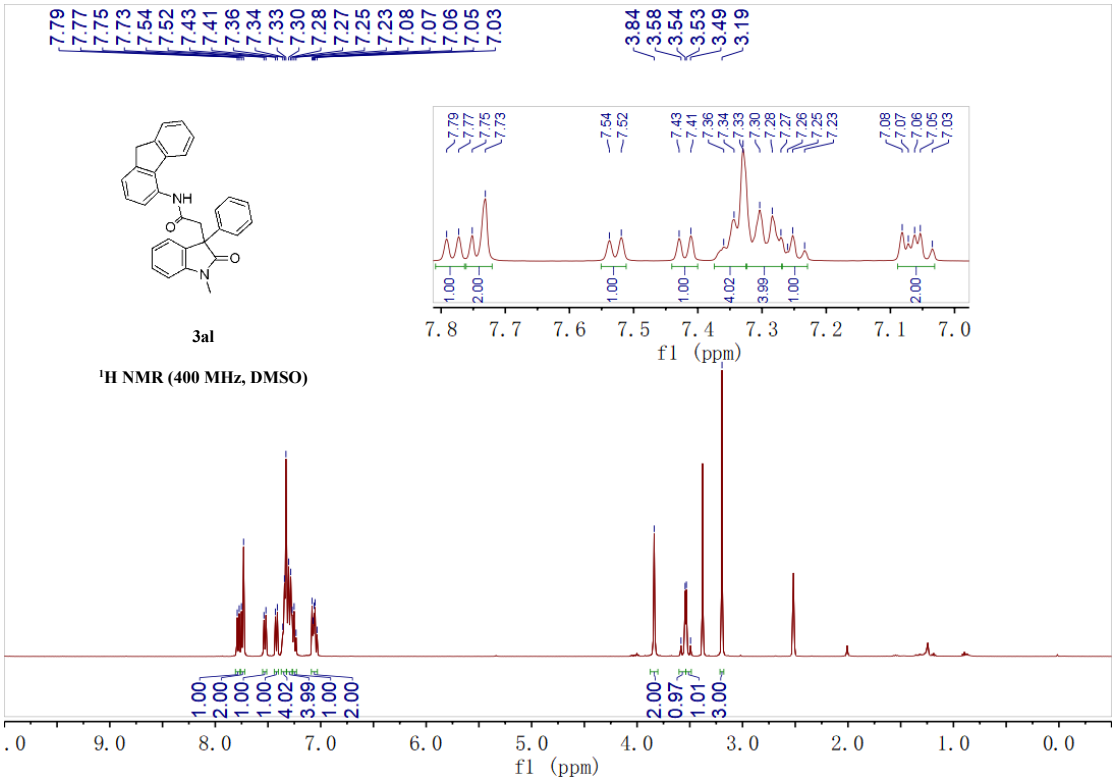
3ak

^{1}H NMR (400 MHz, $CDCl_3$)



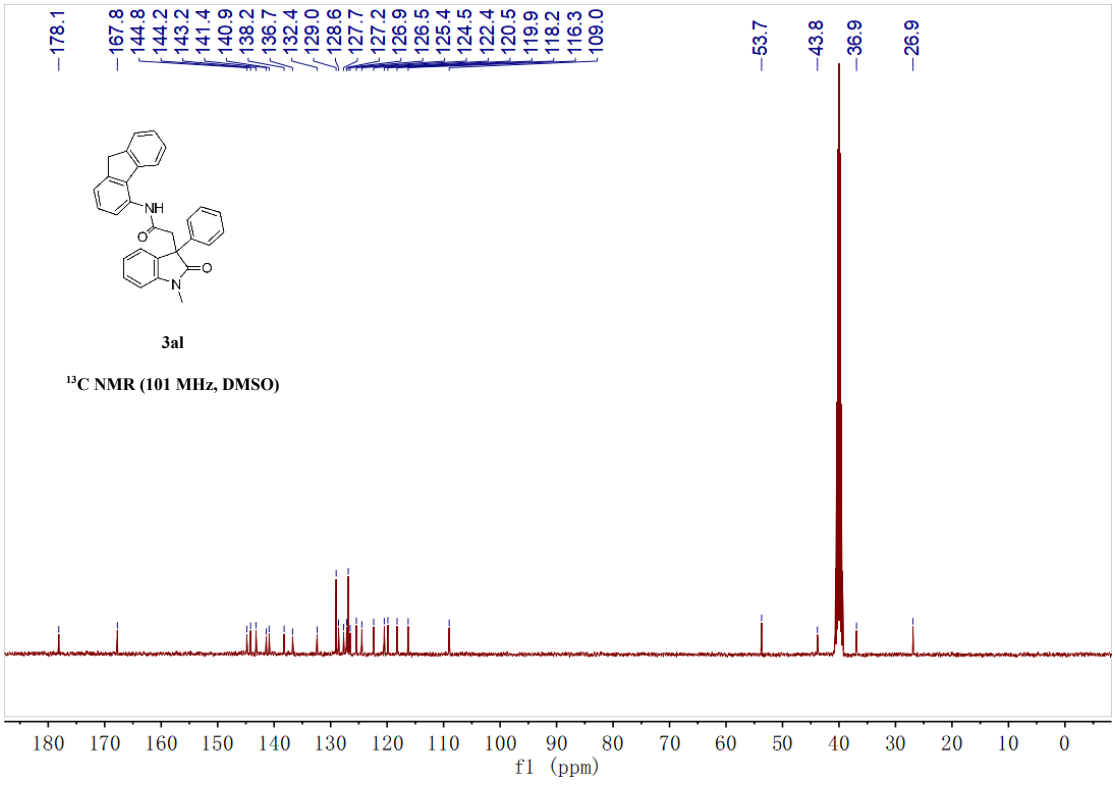
3ak

^{13}C NMR (101 MHz, $CDCl_3$)



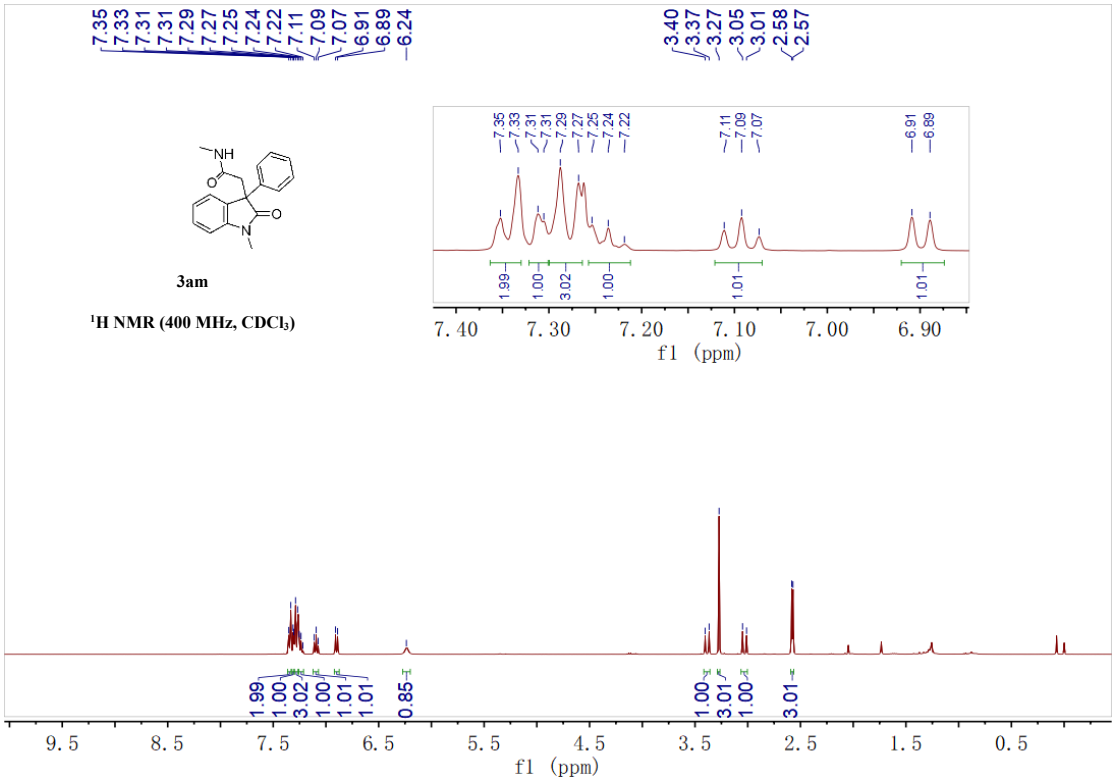
3al

^{1}H NMR (400 MHz, DMSO)



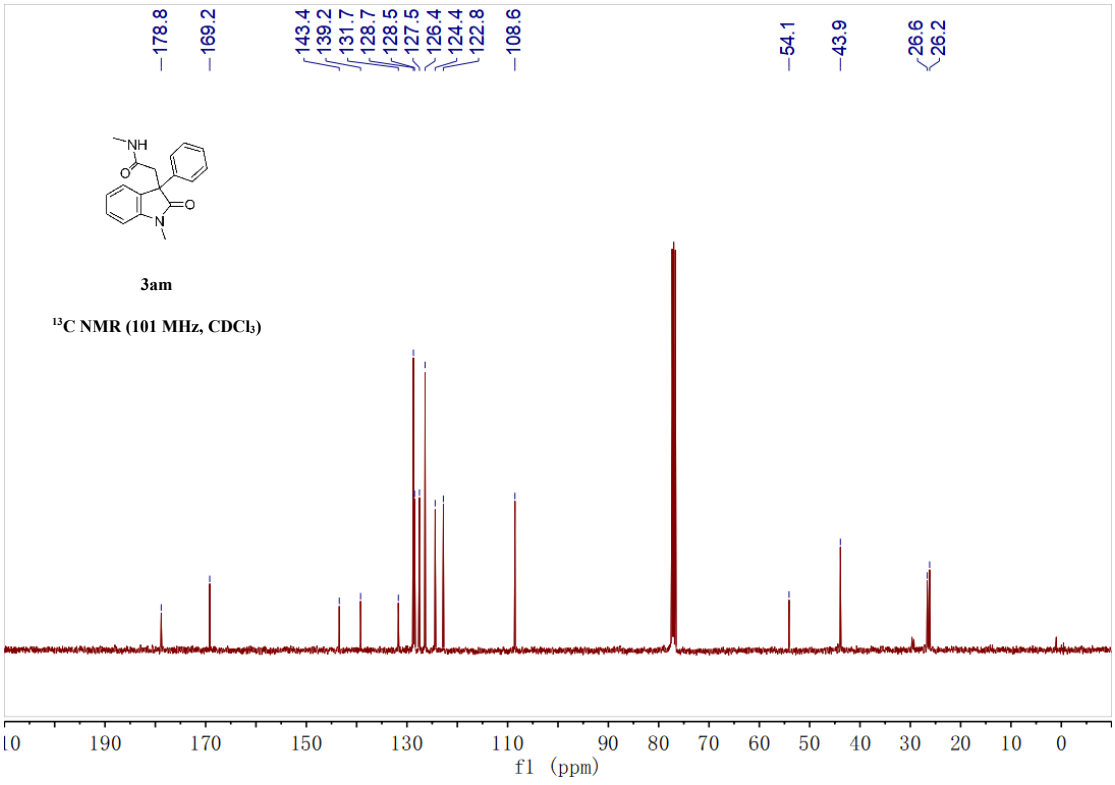
3al

^{13}C NMR (101 MHz, DMSO)



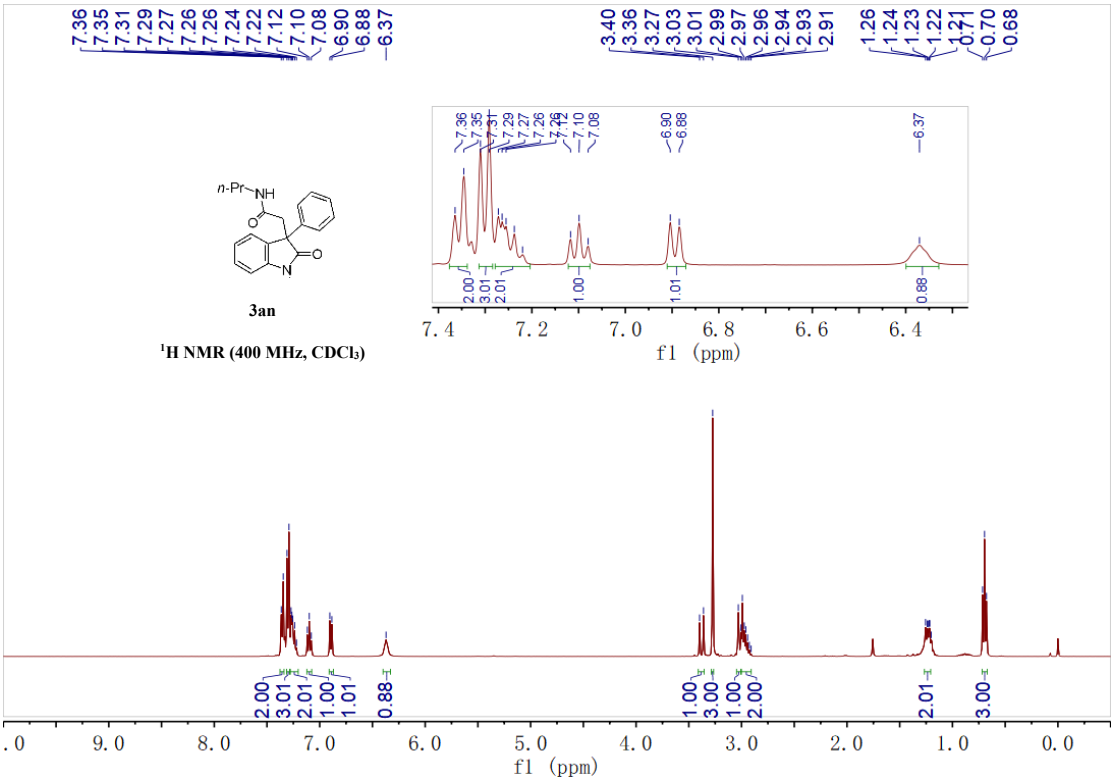
3am

^{1}H NMR (400 MHz, $CDCl_3$)



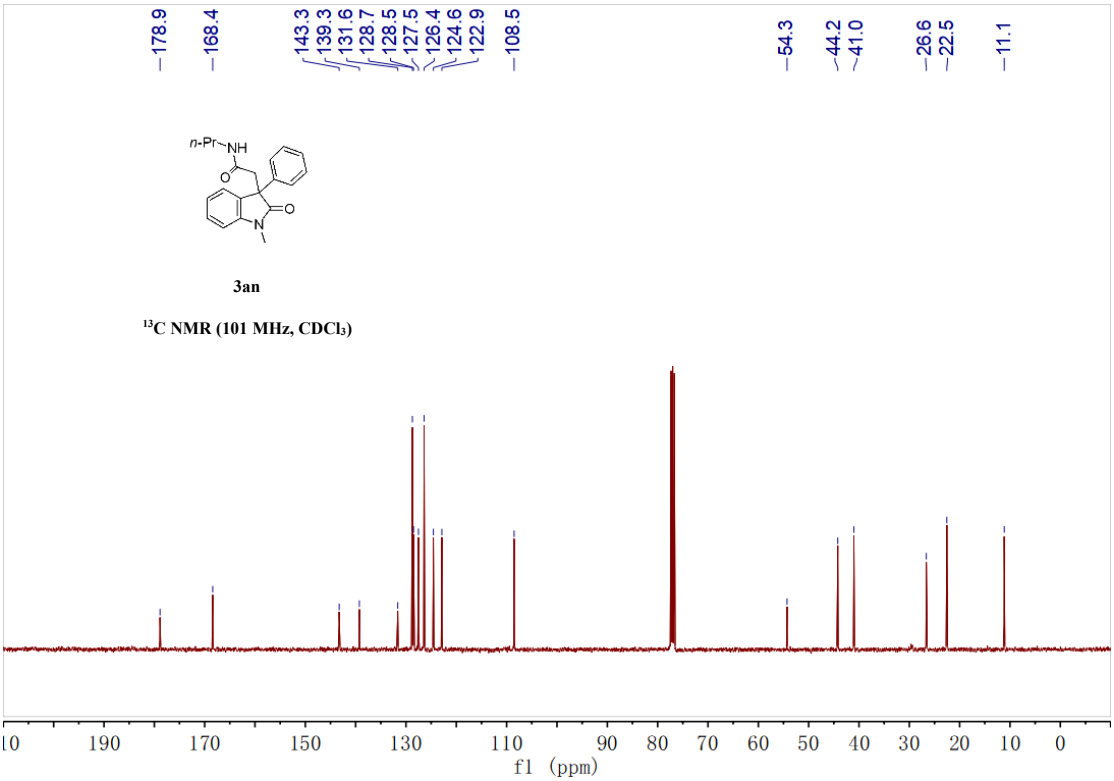
3am

^{13}C NMR (101 MHz, $CDCl_3$)



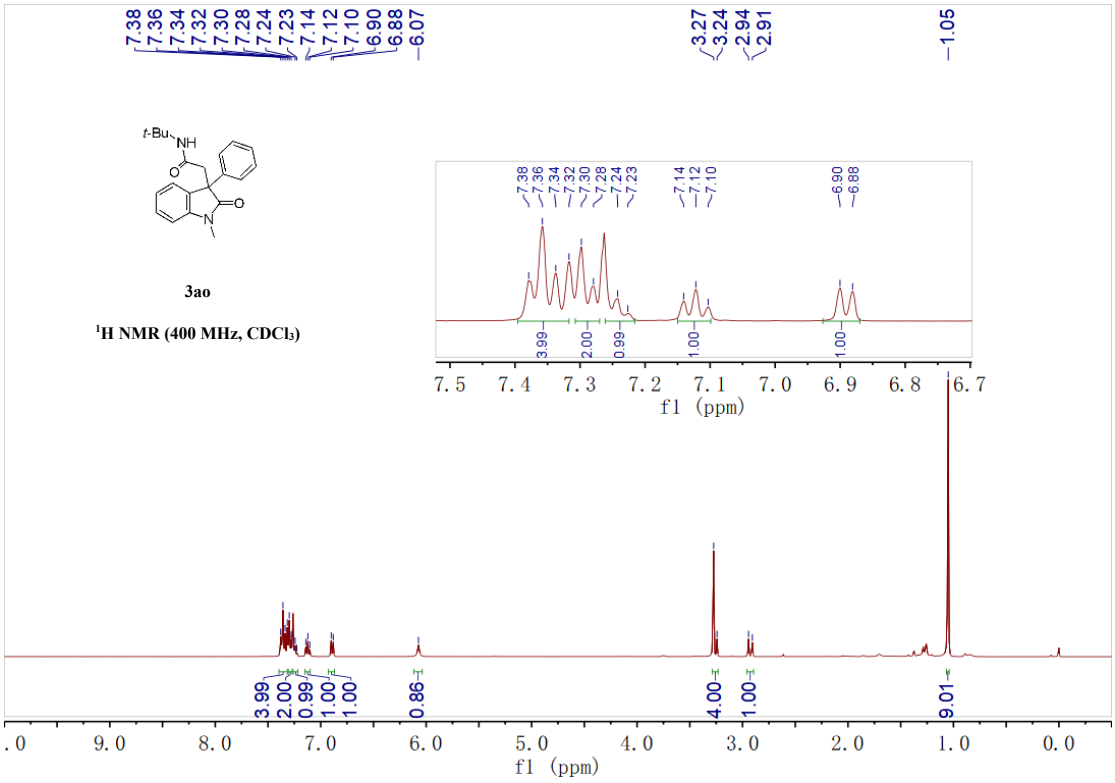
3an

^{1}H NMR (400 MHz, $CDCl_3$)



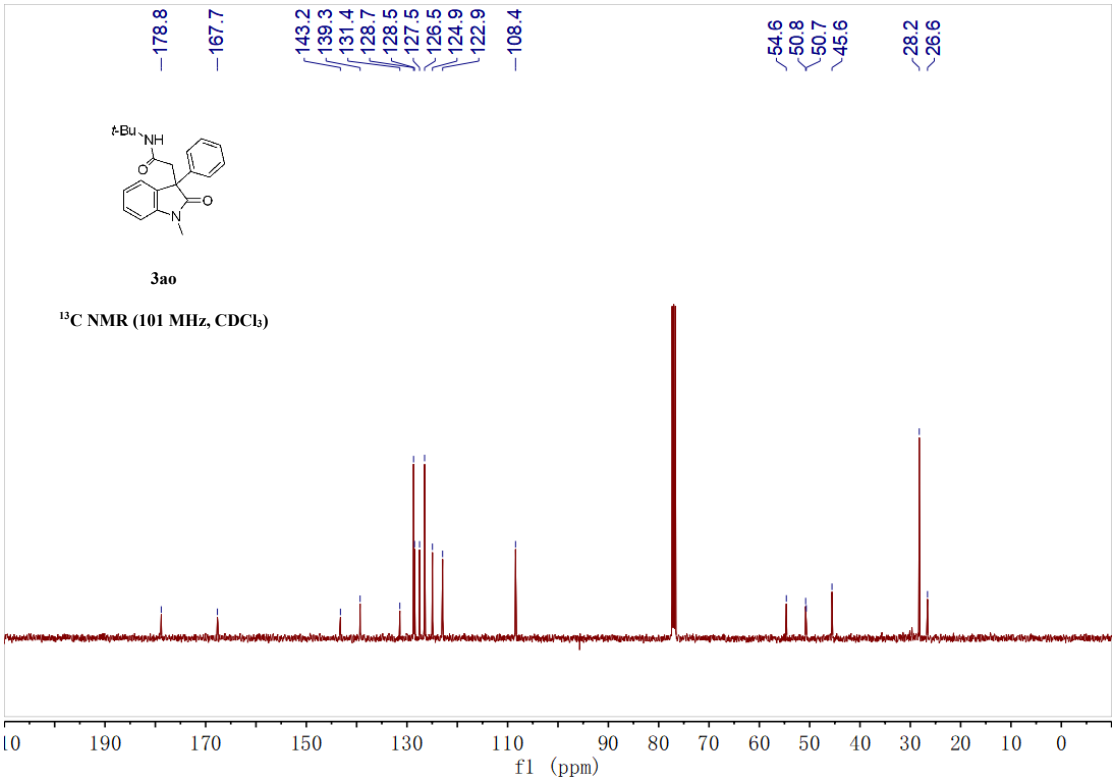
3an

^{13}C NMR (101 MHz, $CDCl_3$)



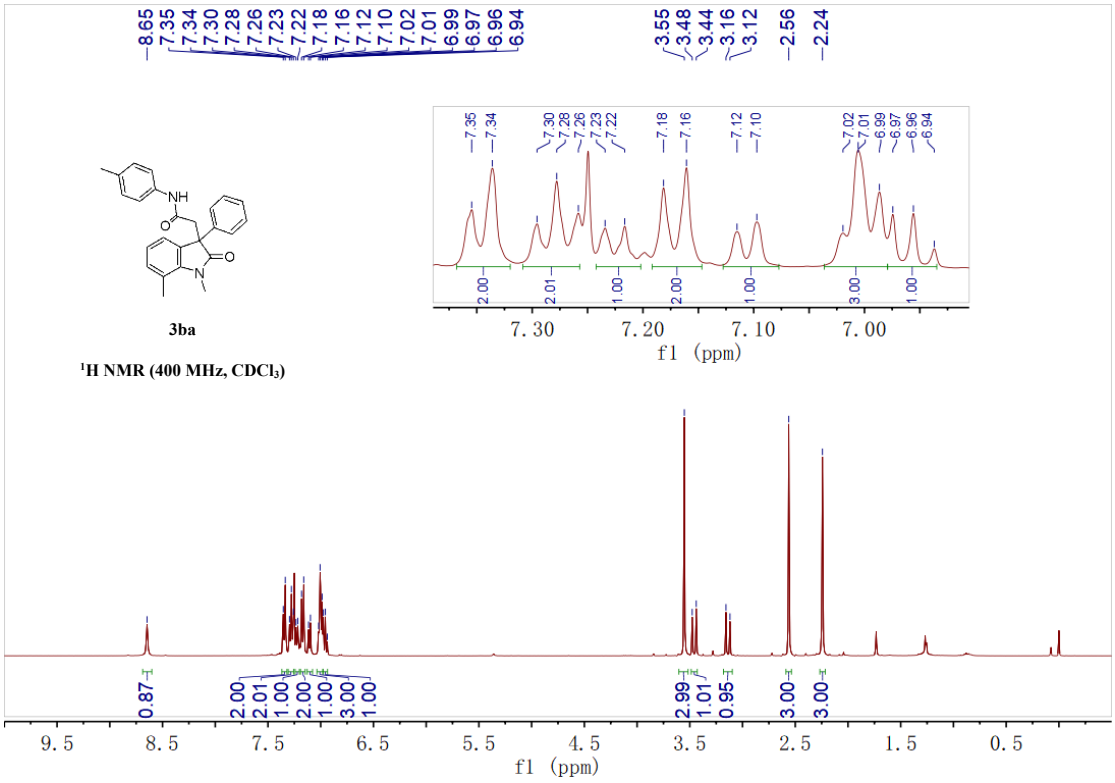
3ao

^{1}H NMR (400 MHz, $CDCl_3$)



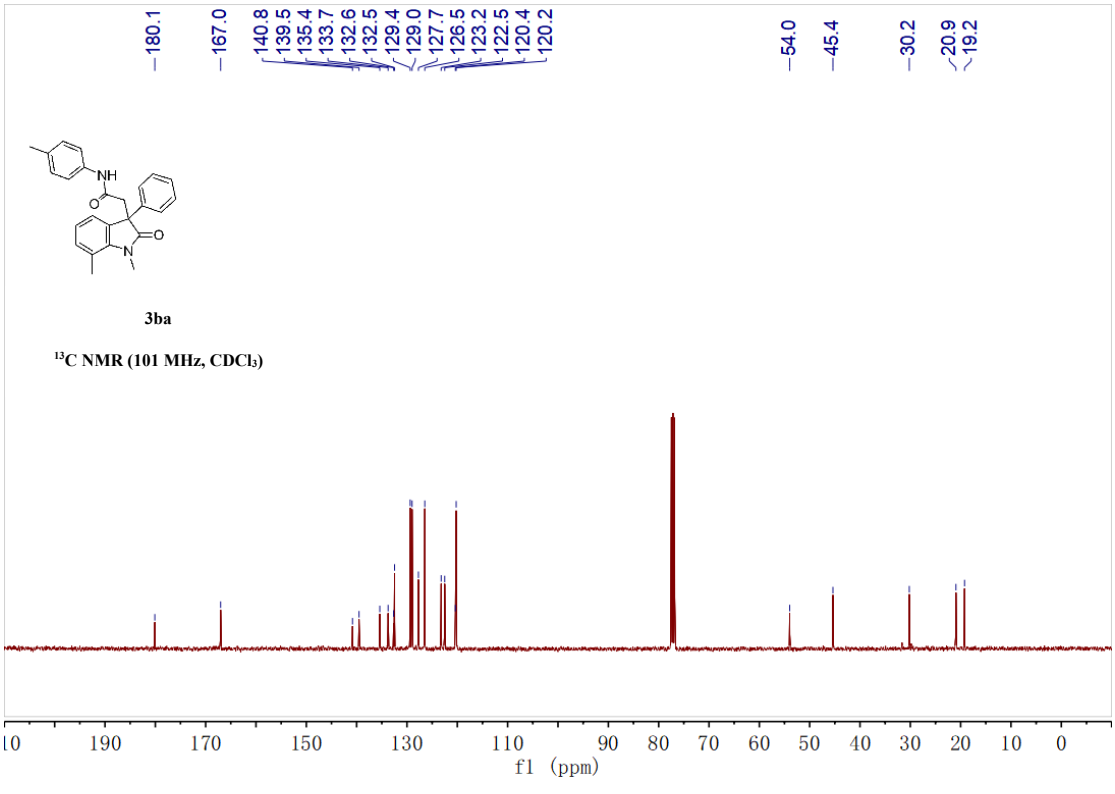
3ao

^{13}C NMR (101 MHz, $CDCl_3$)



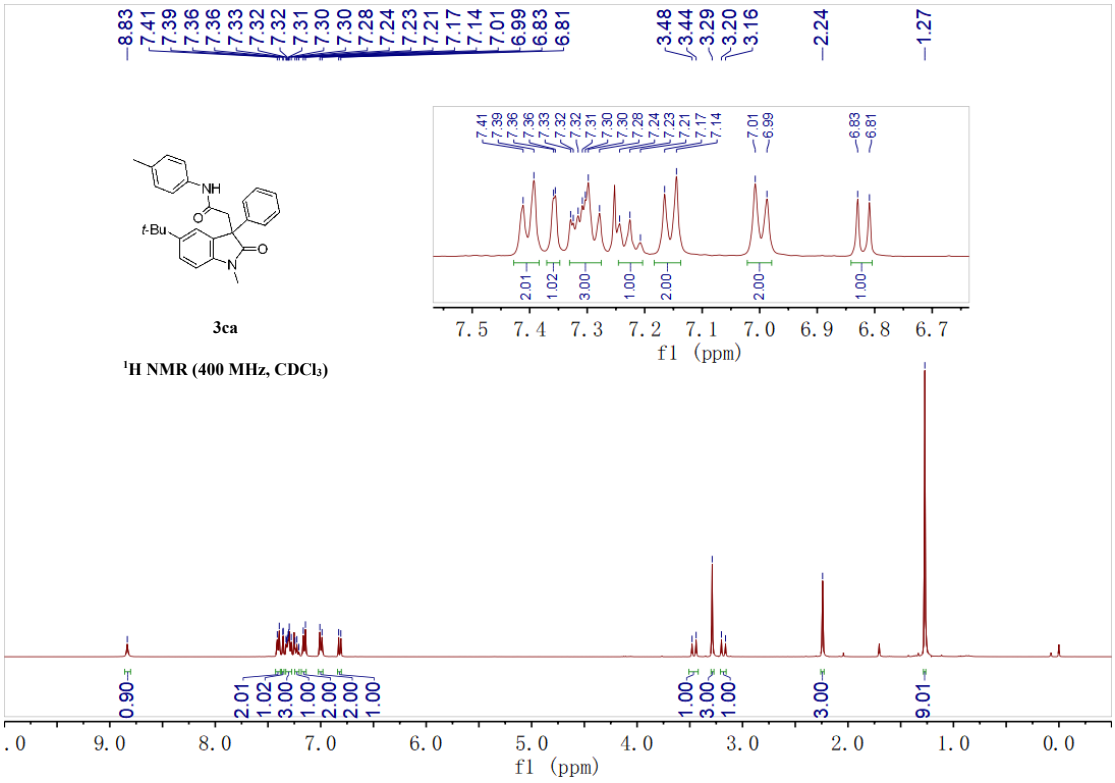
3ba

^{1}H NMR (400 MHz, $CDCl_3$)



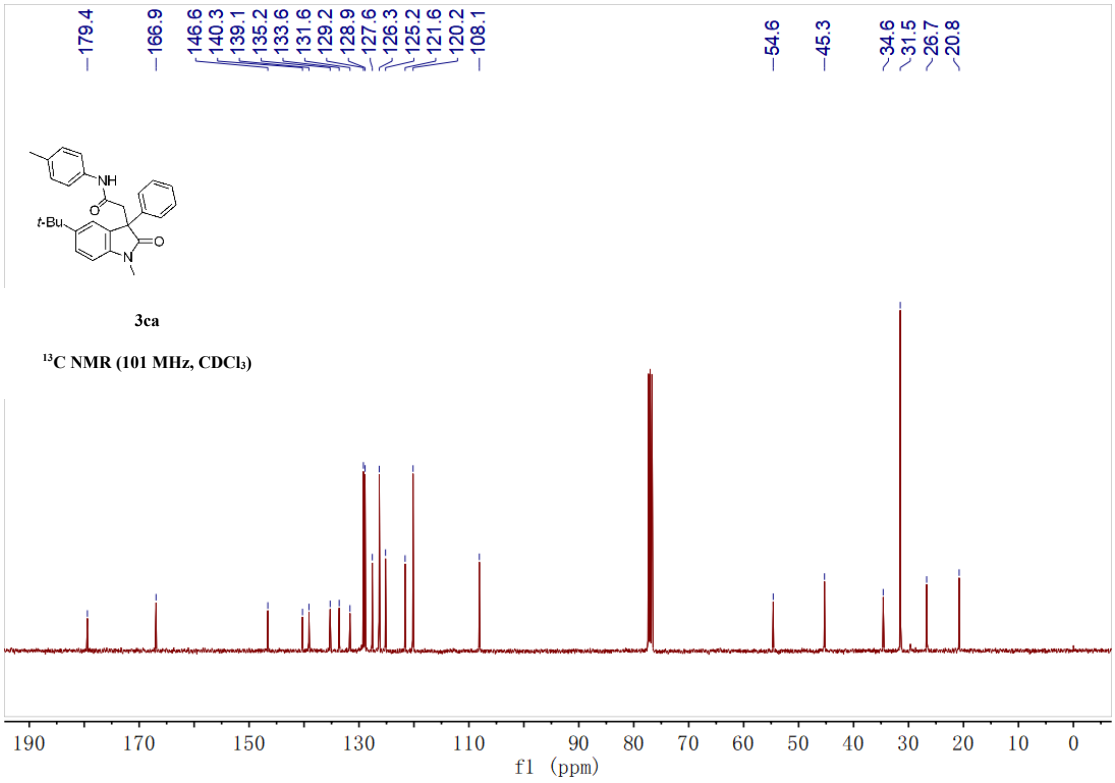
3ba

^{13}C NMR (101 MHz, $CDCl_3$)



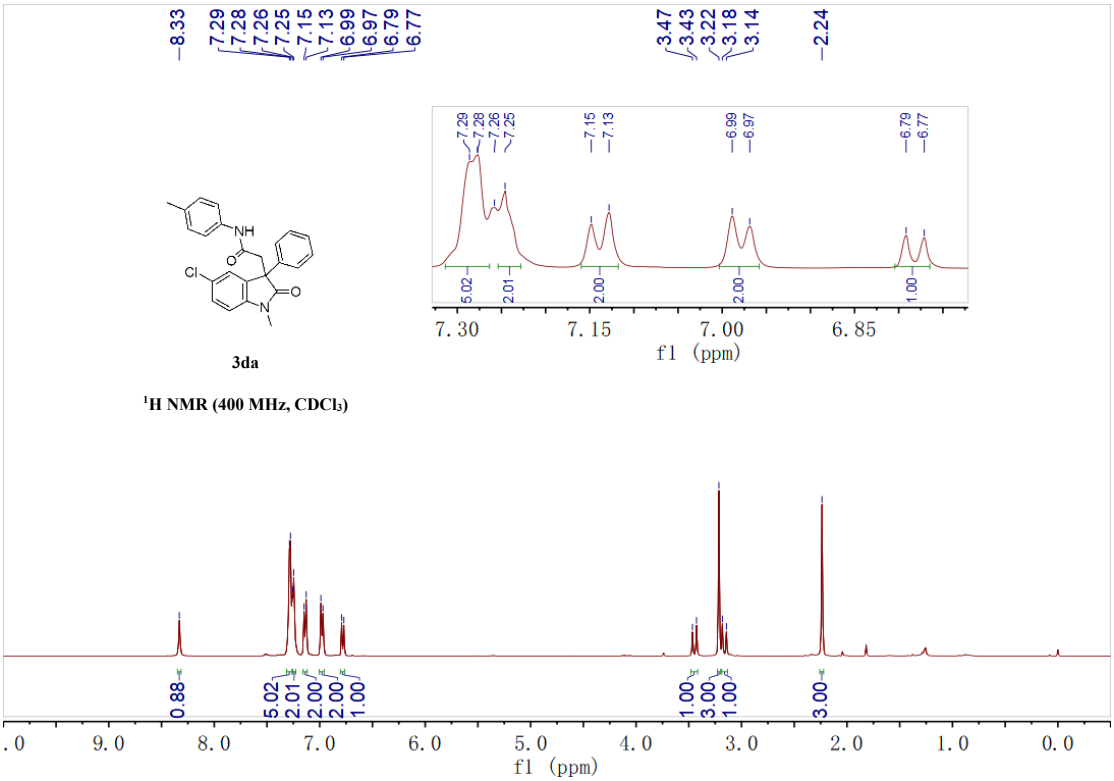
3ca

^{1}H NMR (400 MHz, $CDCl_3$)



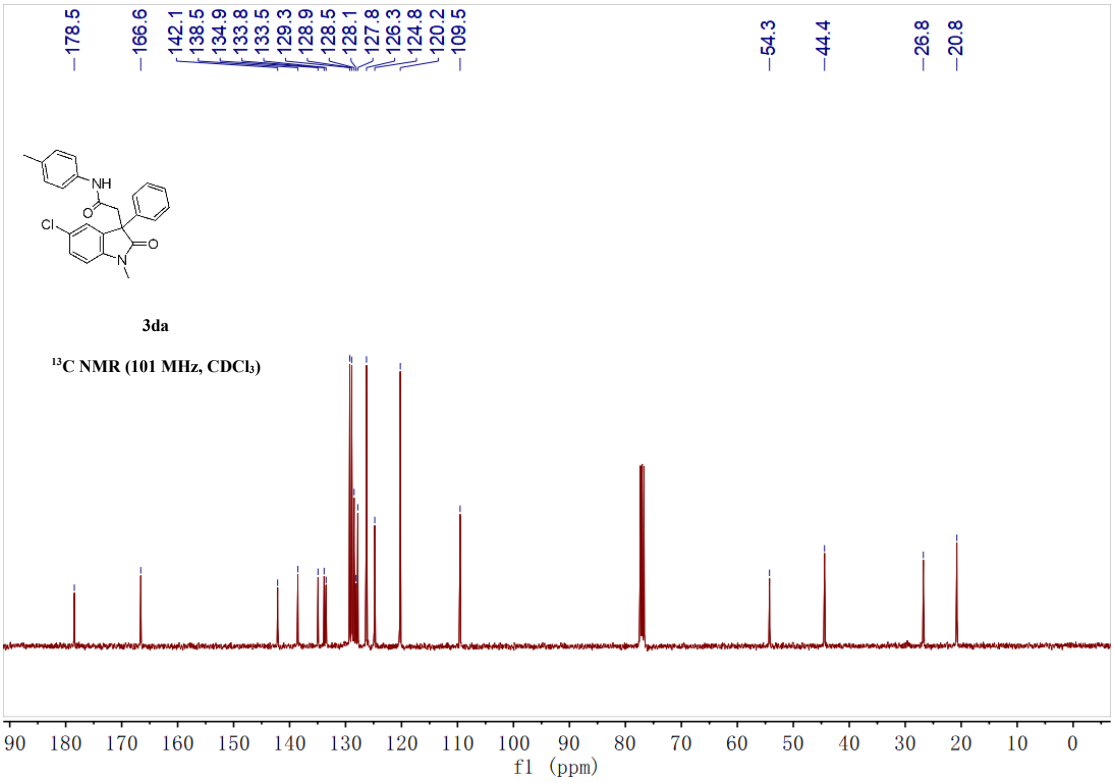
3ca

^{13}C NMR (101 MHz, $CDCl_3$)



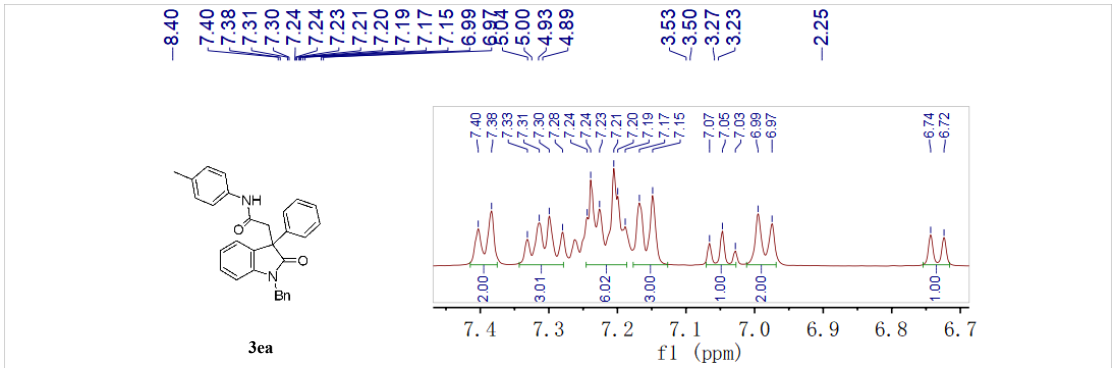
3da

^{1}H NMR (400 MHz, $CDCl_3$)



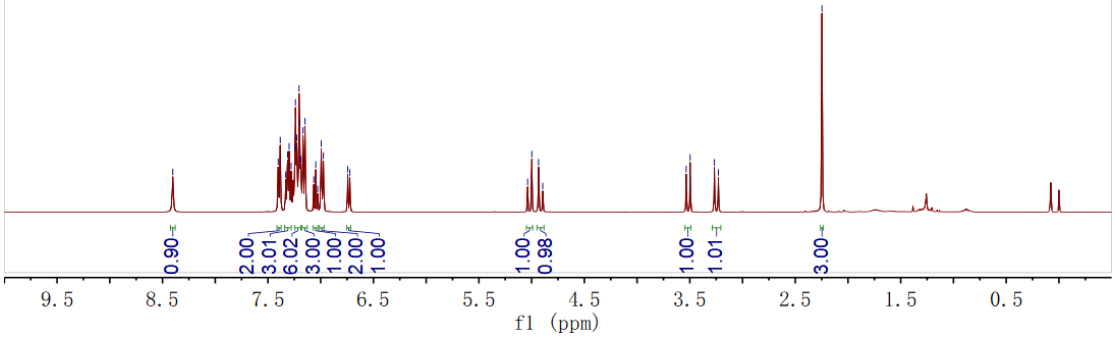
3da

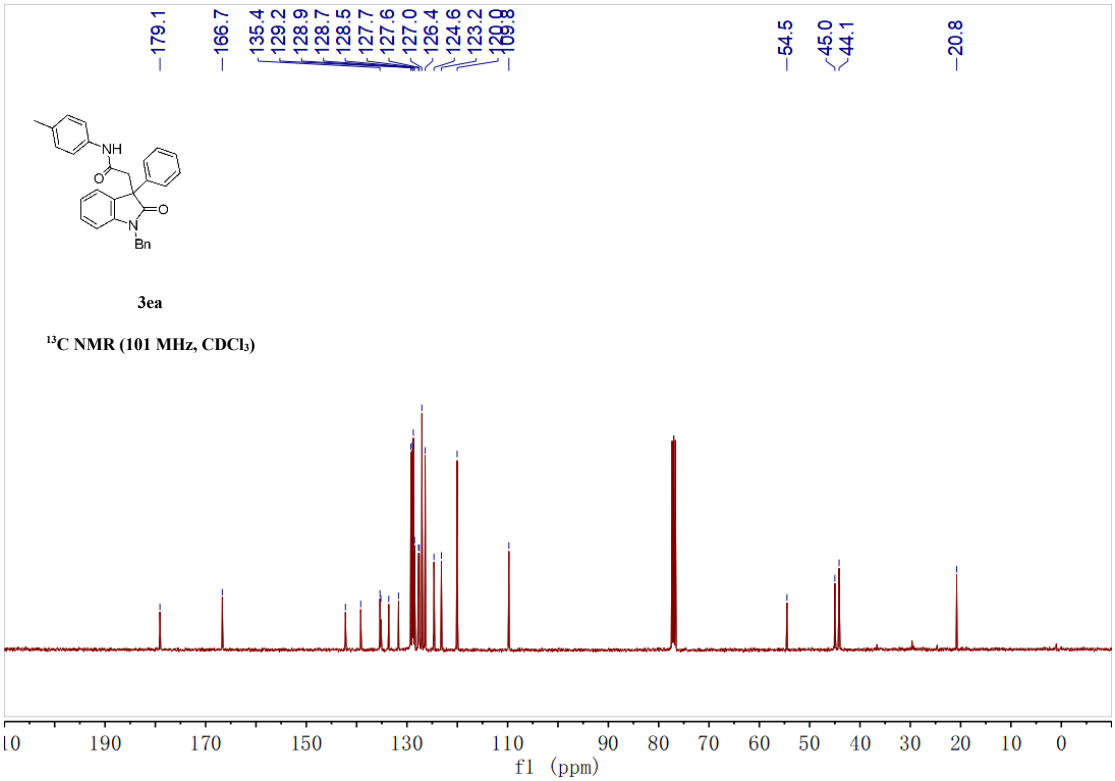
^{13}C NMR (101 MHz, $CDCl_3$)



3ea

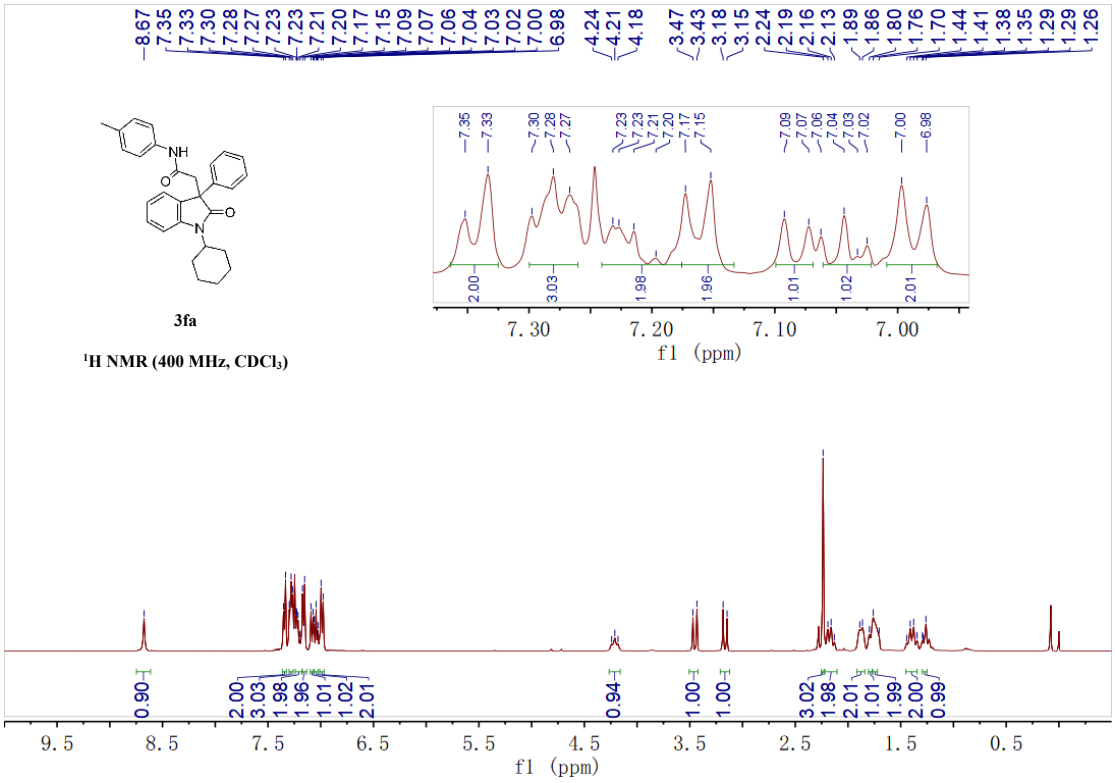
^{1}H NMR (400 MHz, $CDCl_3$)





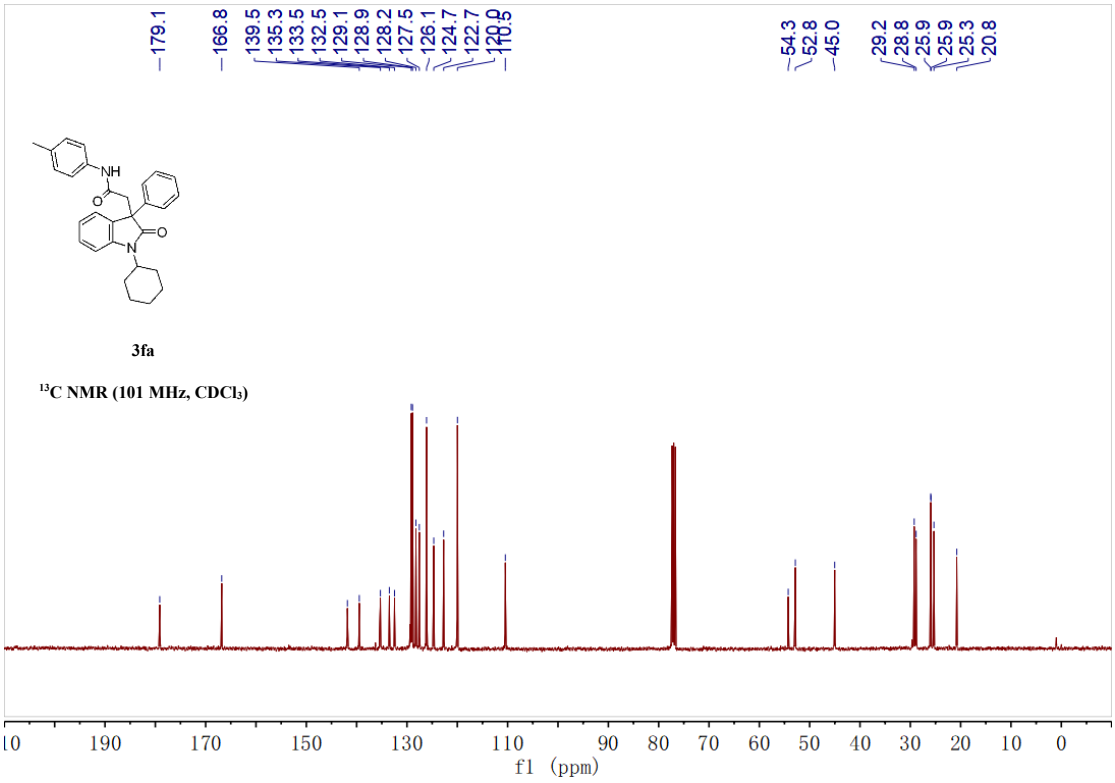
3ea

^{13}C NMR (101 MHz, $CDCl_3$)



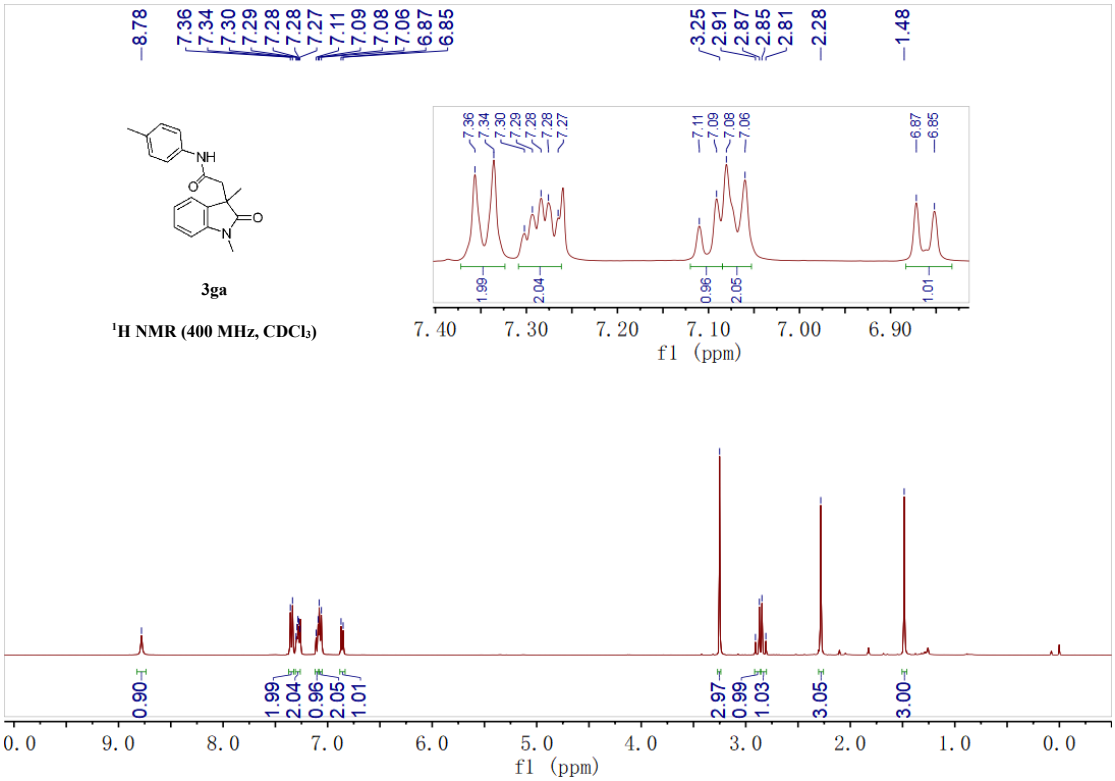
3fa

^{1}H NMR (400 MHz, $CDCl_3$)



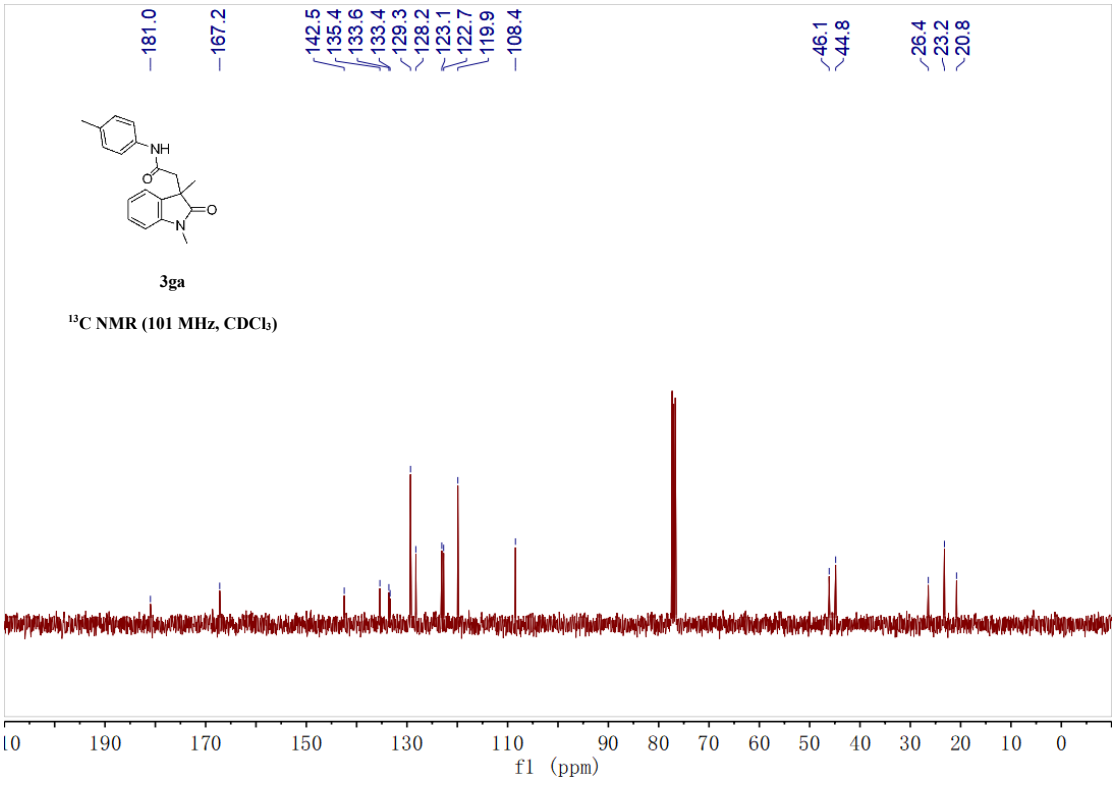
3fa

^{13}C NMR (101 MHz, $CDCl_3$)



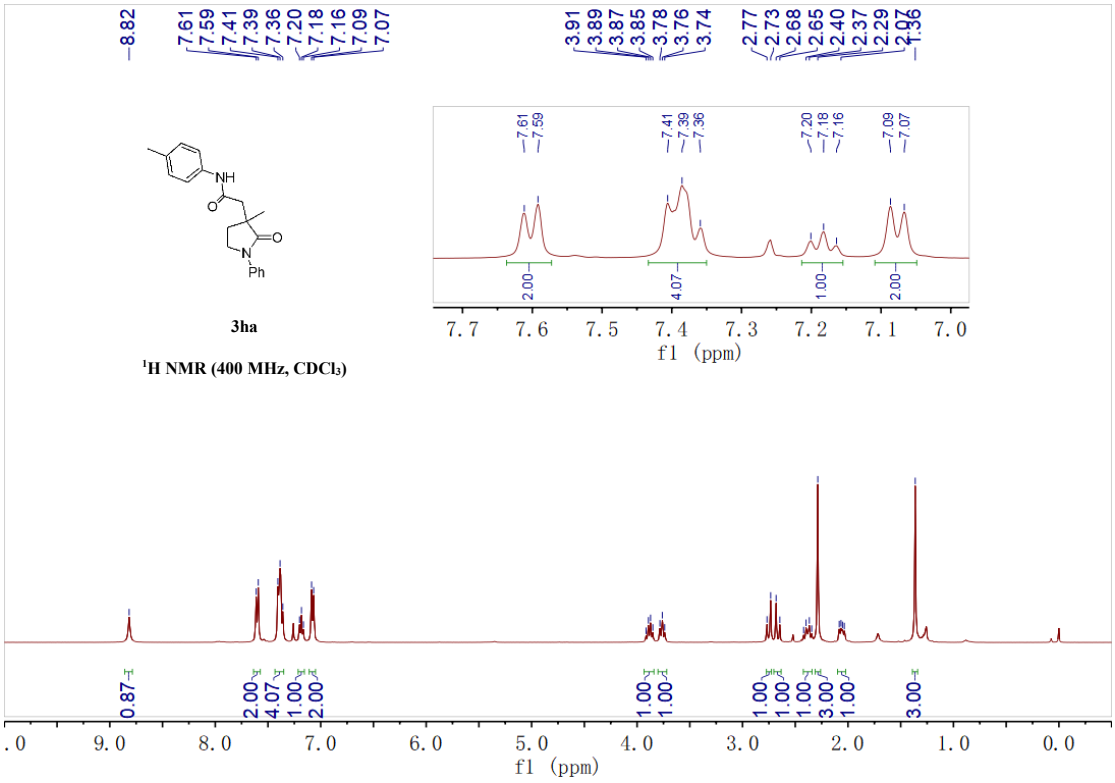
3ga

^{1}H NMR (400 MHz, $CDCl_3$)



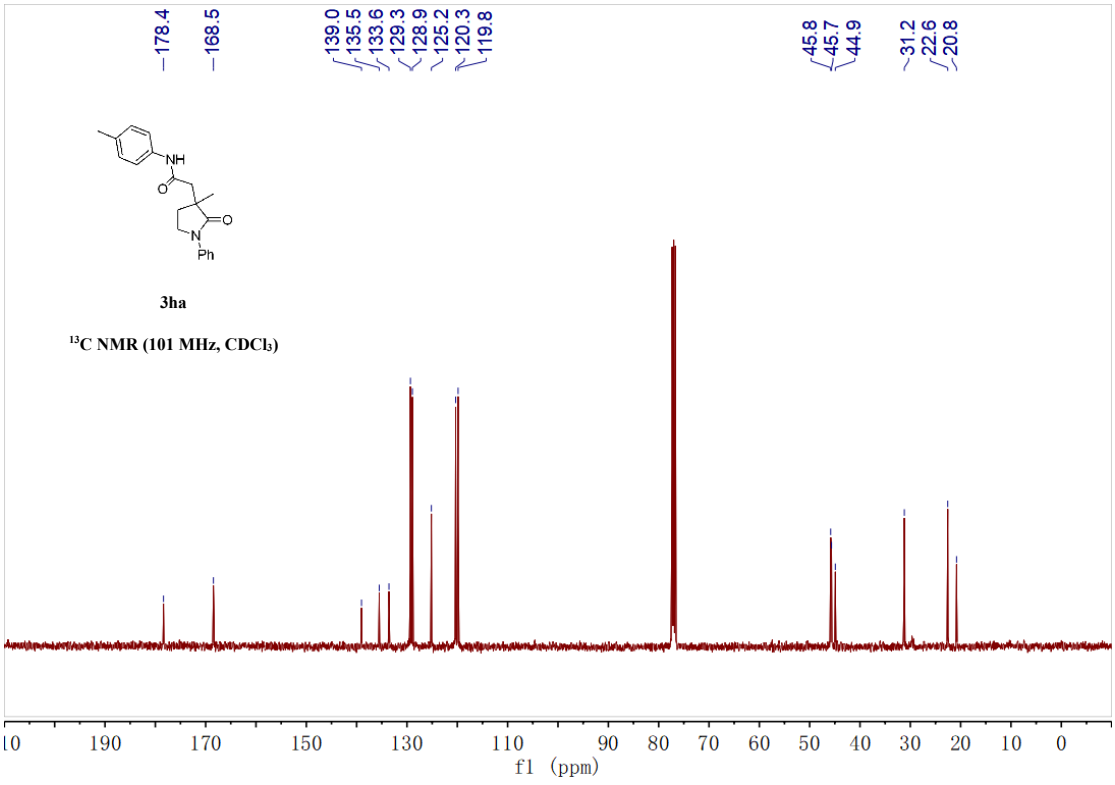
3ga

^{13}C NMR (101 MHz, $CDCl_3$)



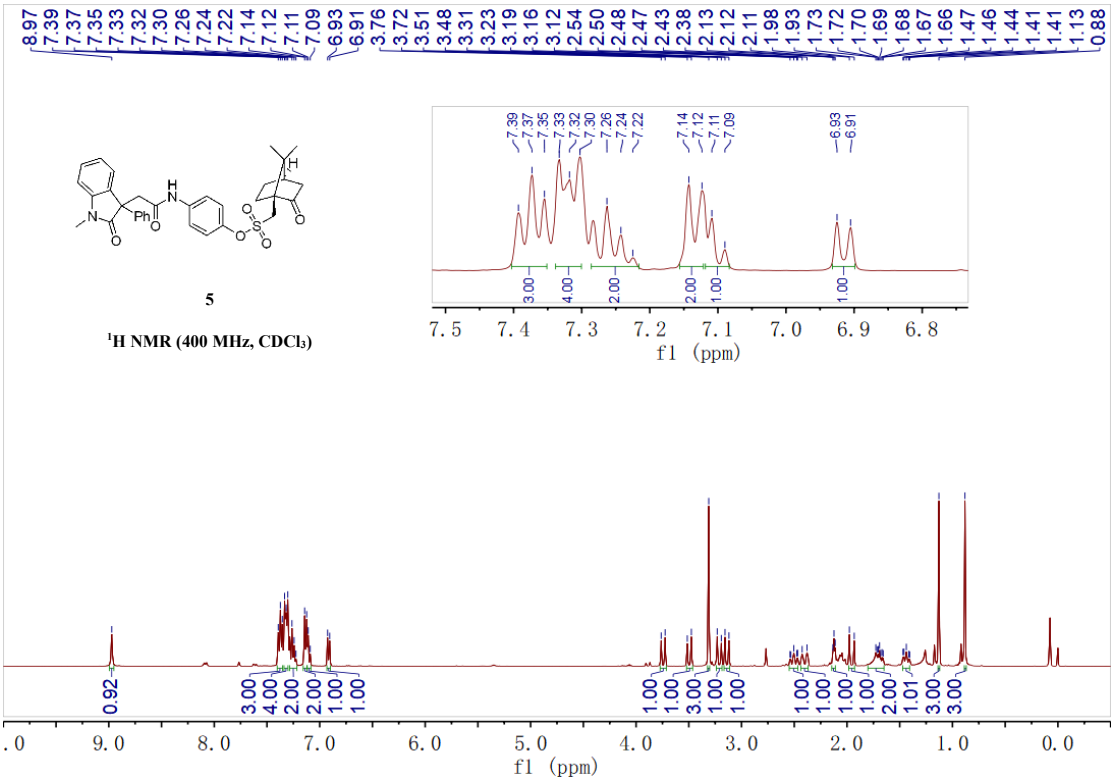
3ha

^{1}H NMR (400 MHz, $CDCl_3$)



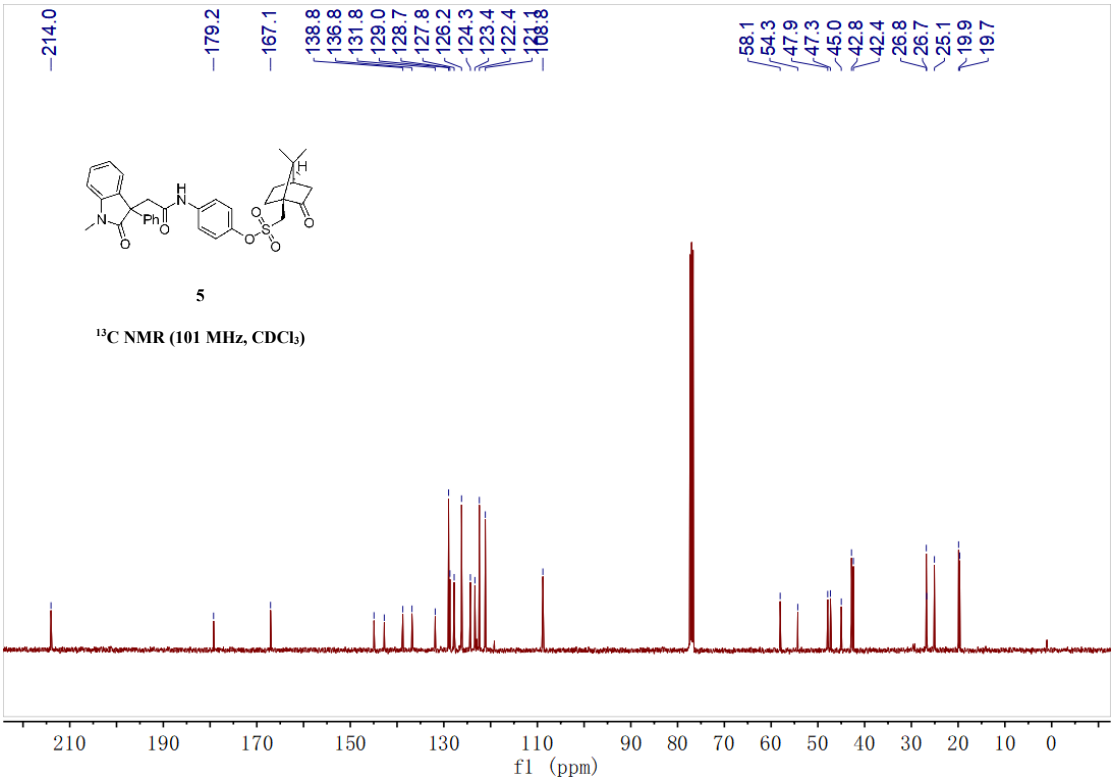
3ha

^{13}C NMR (101 MHz, $CDCl_3$)



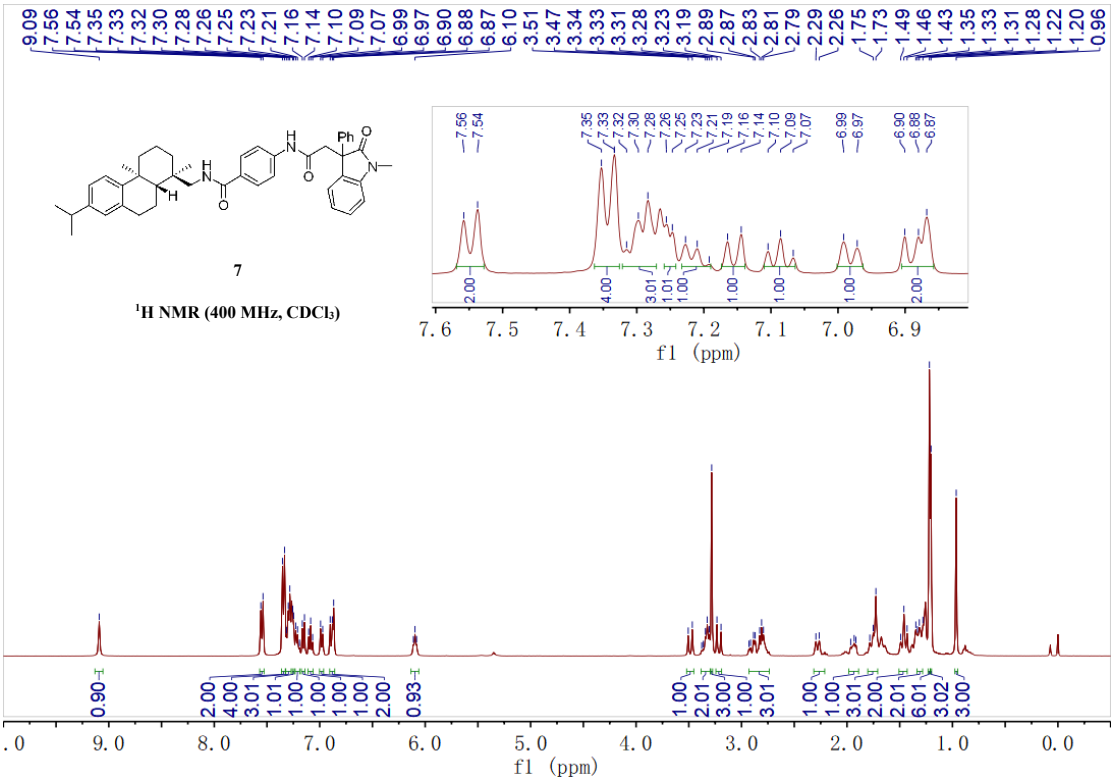
5

^{1}H NMR (400 MHz, $CDCl_3$)



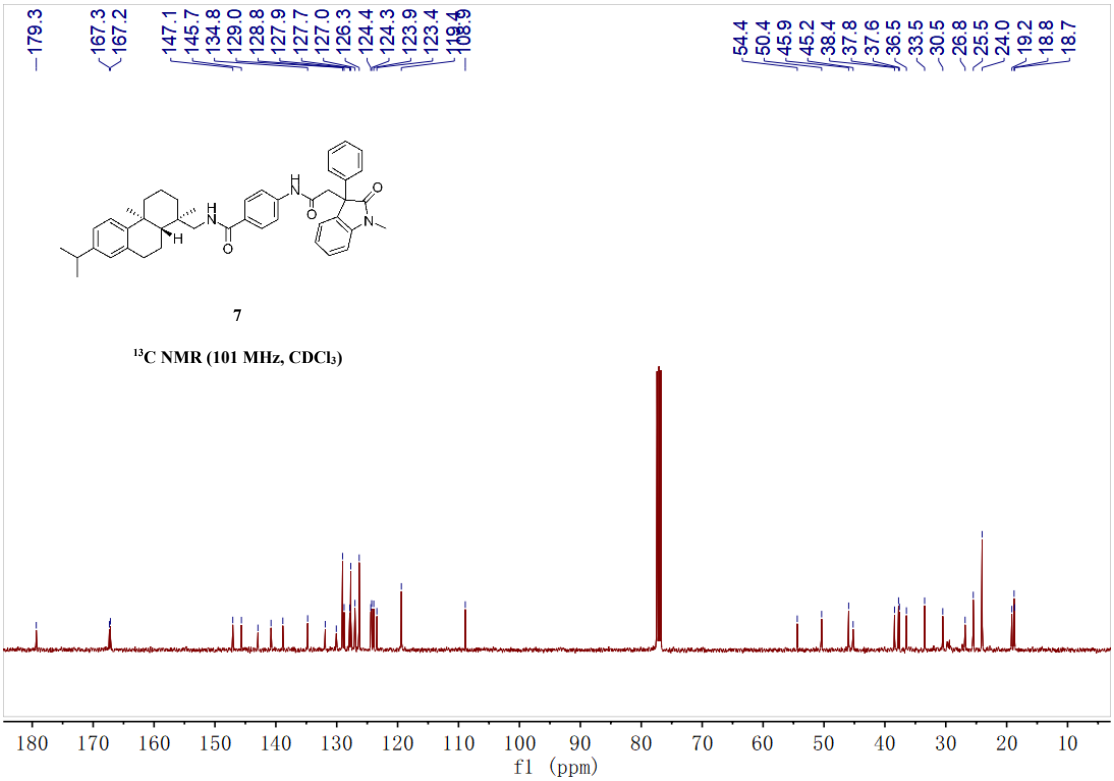
5

^{13}C NMR (101 MHz, $CDCl_3$)



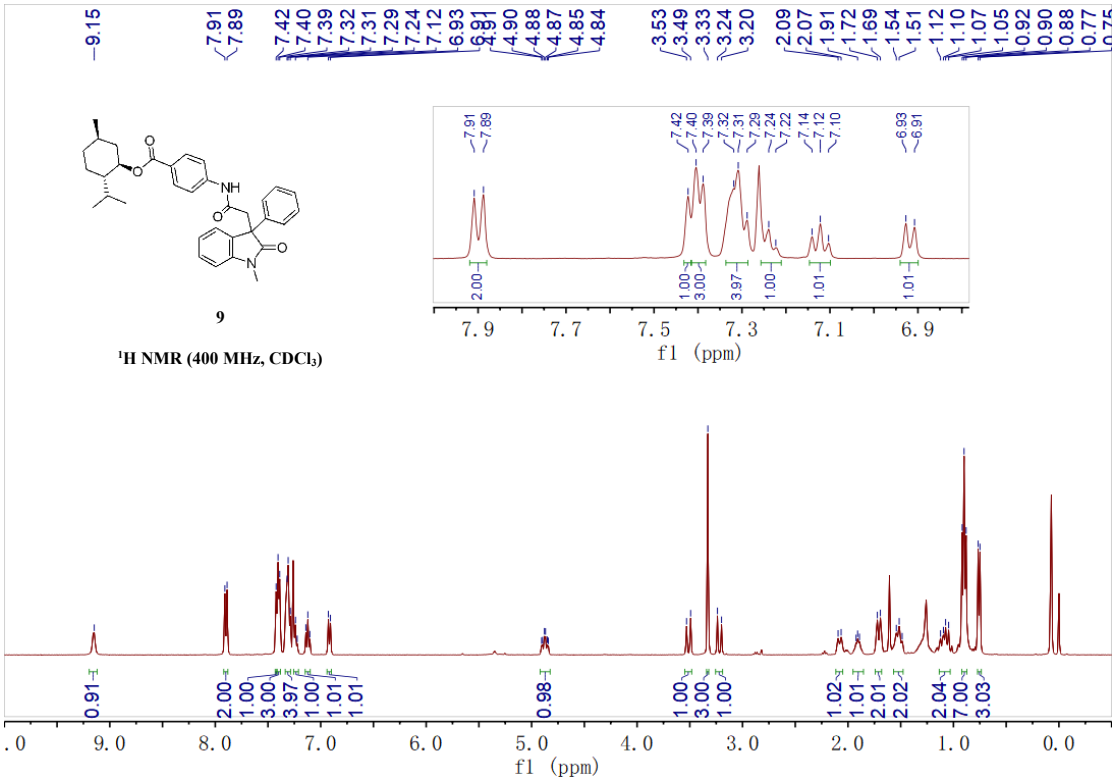
7

^{1}H NMR (400 MHz, $CDCl_3$)



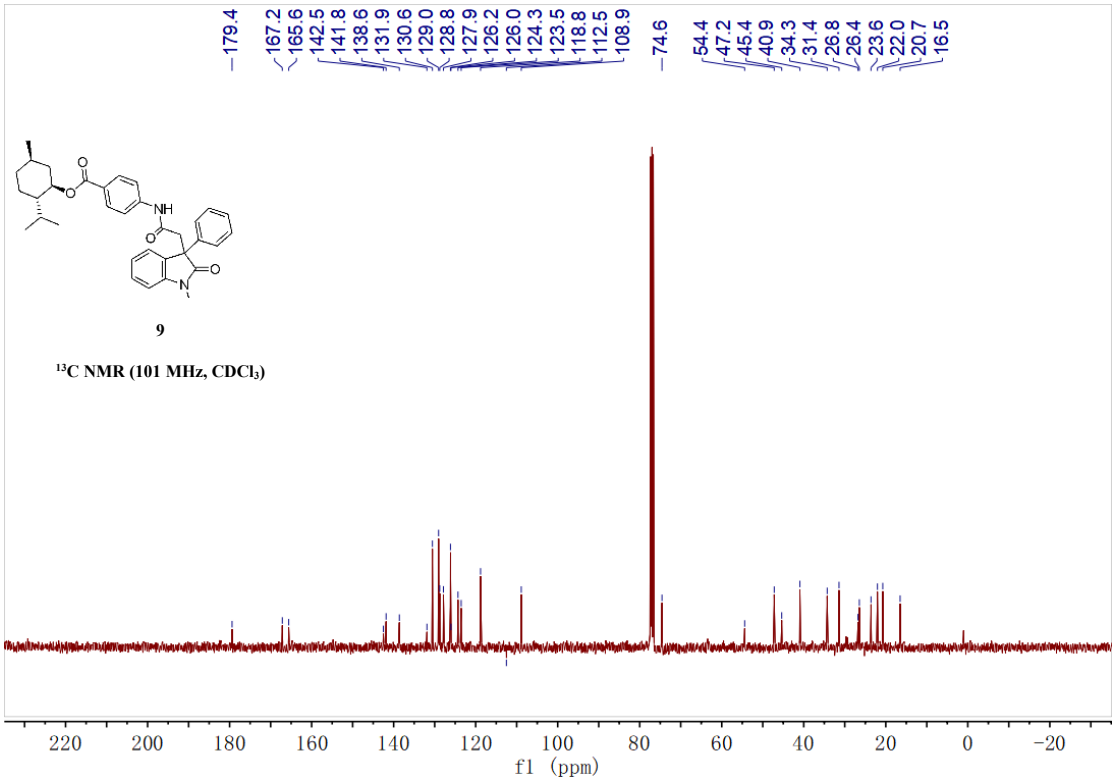
7

^{13}C NMR (101 MHz, $CDCl_3$)



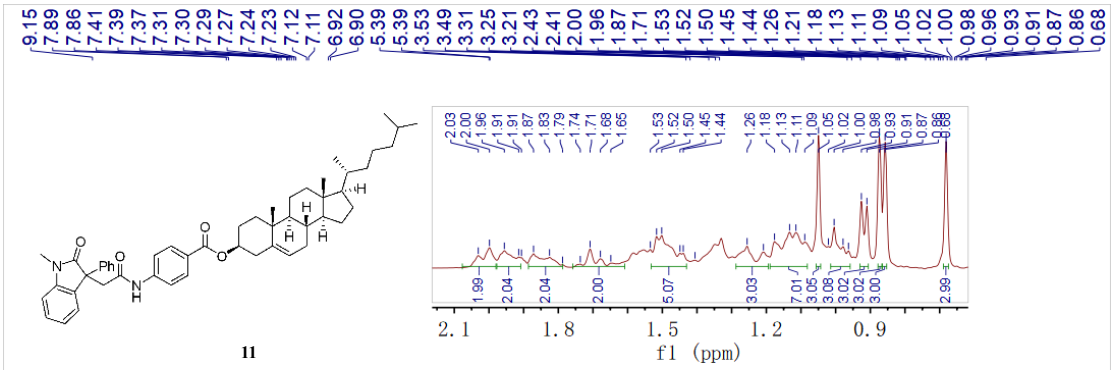
9

^{1}H NMR (400 MHz, $CDCl_3$)



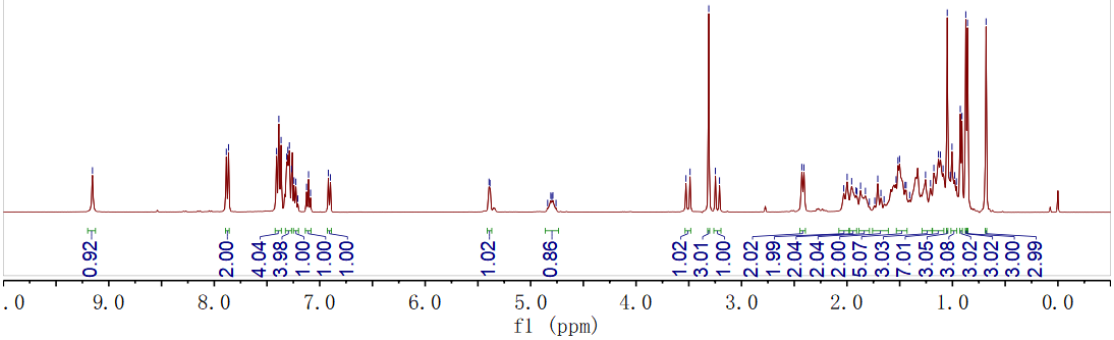
9

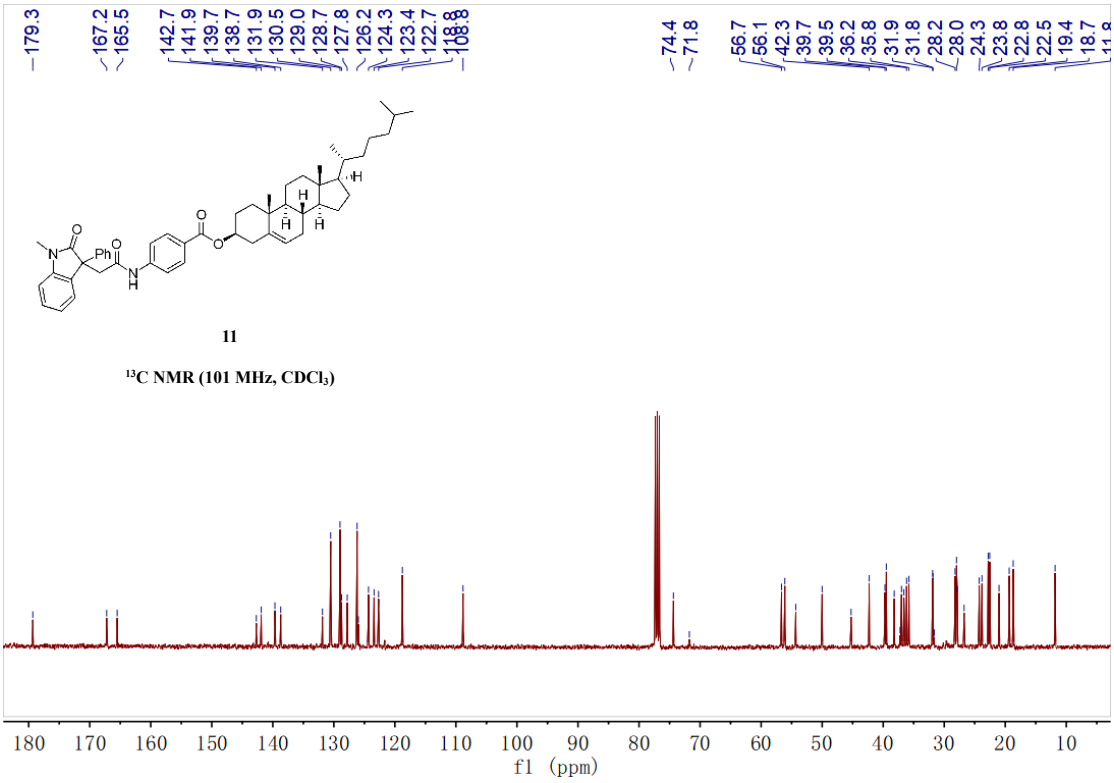
^{13}C NMR (101 MHz, $CDCl_3$)



11

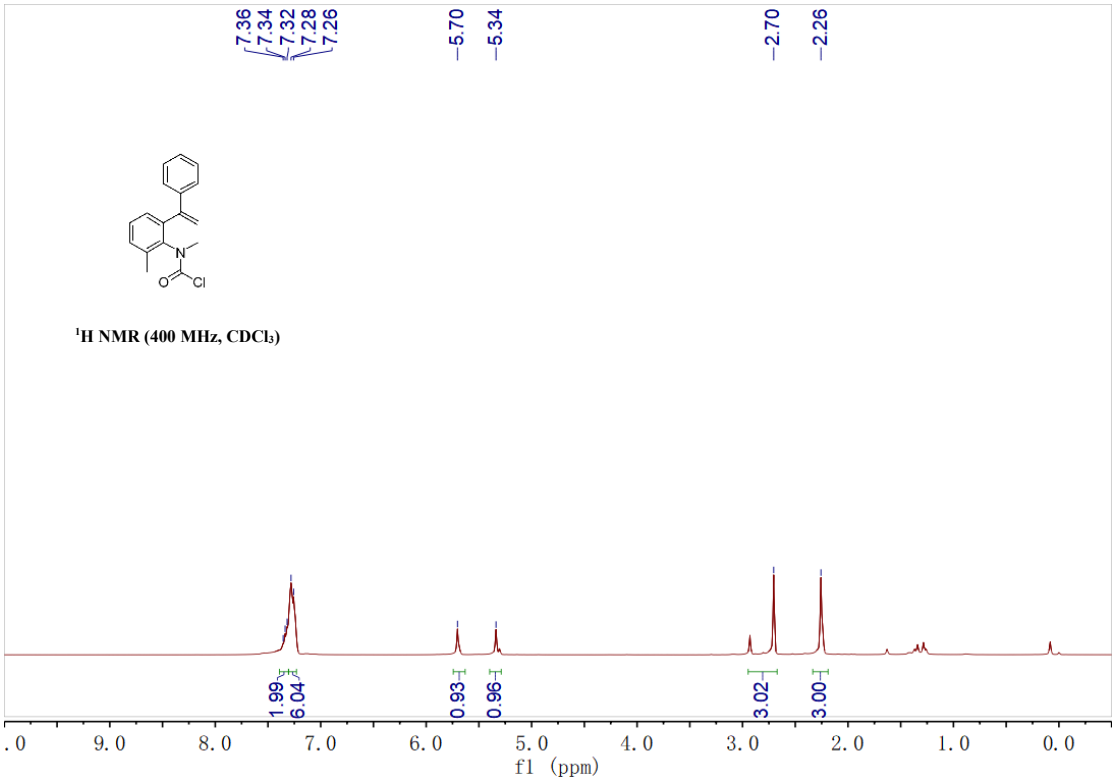
^{1}H NMR (400 MHz, $CDCl_3$)



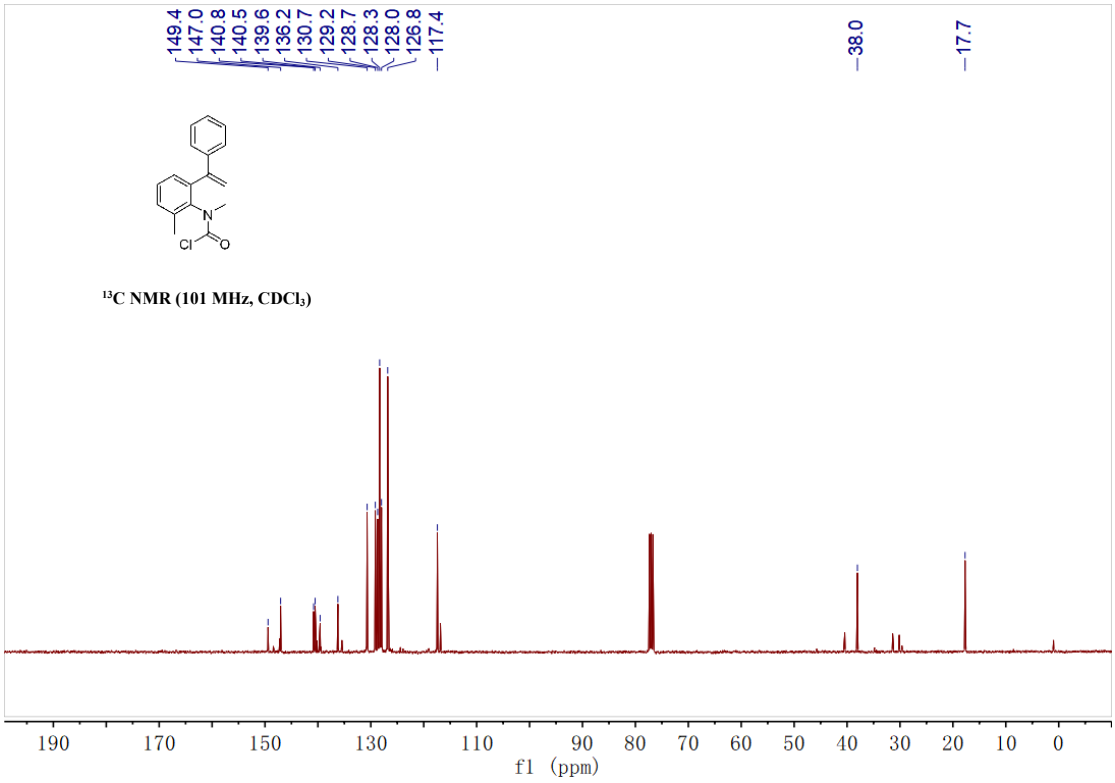


11

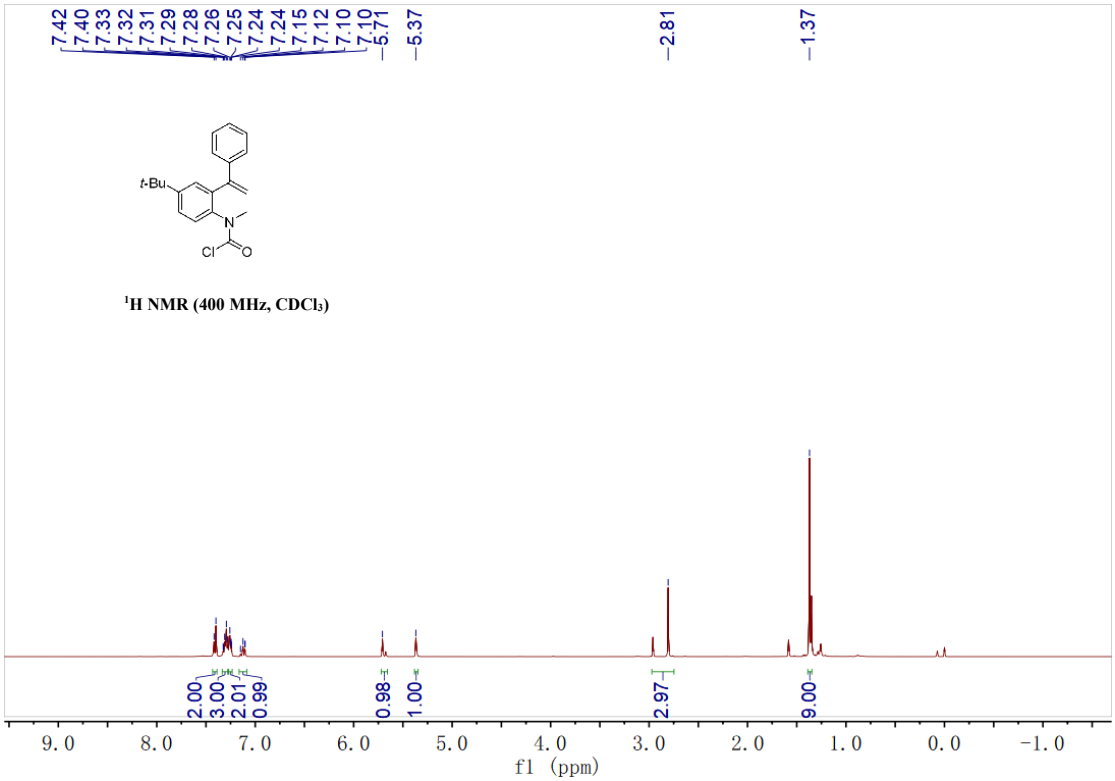
^{13}C NMR (101 MHz, $CDCl_3$)



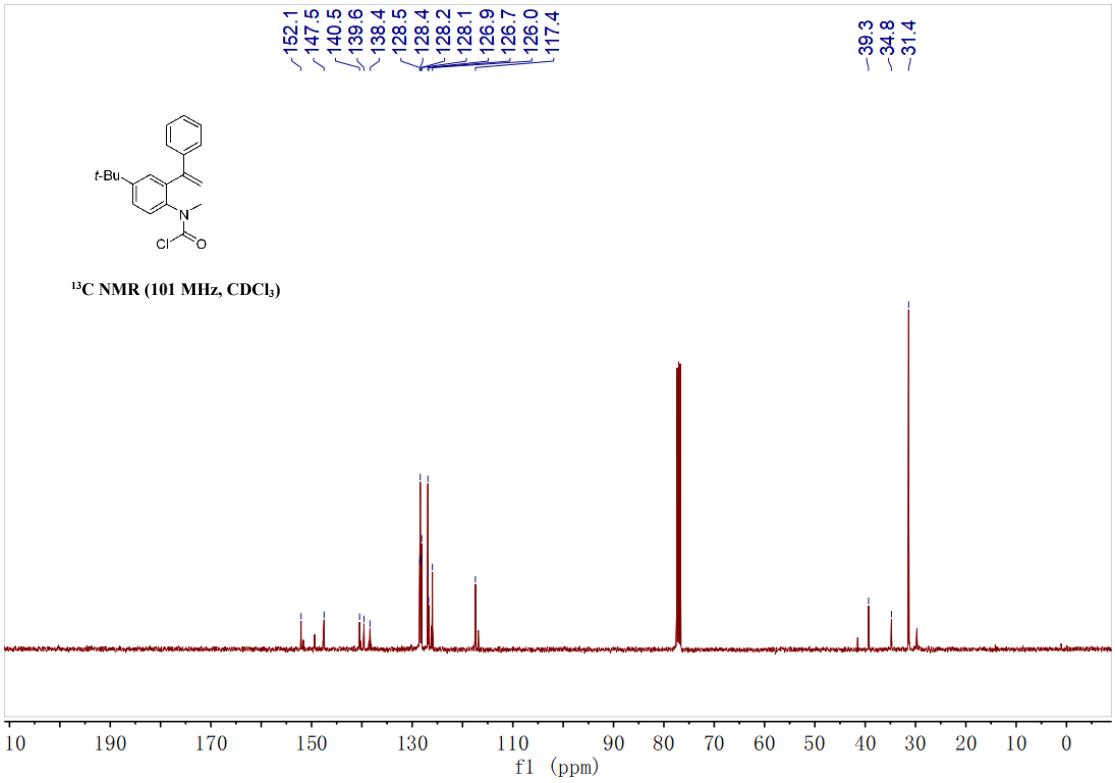
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)