Pd-Catalyzed Heck-type Cascade Reaction with *N*-Tosylhydrazones: An Efficient Way to Alkenes Via *in-situ* Generated Alkylpalladium

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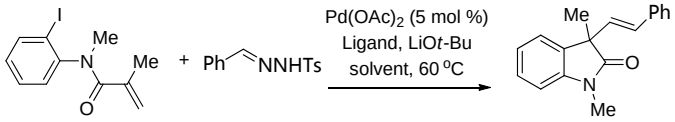
Supporting Information

General Information. All reactions were carried out under a nitrogen atmosphere in oven or flame-dried glassware, unless the reaction procedure states otherwise. Tetrahydrofuran (THF) was distilled from sodium-benzophenone in a continuous still under an atmosphere of N_2. Dichloromethane and acetonitrile were distilled from calcium hydride in a still under and atmosphere of nitrogen. Room temperature reactions were carried out between 20-25 °C. Flash column chromatography was performed using 40-63 μm silica gel as the stationary phase. ^{1}H and ^{13}C NMR spectra were recorded on a Bruker AC-400 FT spectrometer using solvent residue as an internal reference (7.26 and 77.00 ppm for $CDCl_3$, respectively). High resolution mass spectra (HRMS) were recorded on a LC-TOF spectrometer (Micromass).

Table S1. Reaction Condition Optimization [a]



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

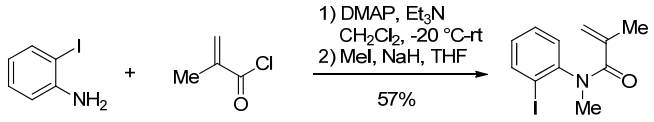
Entry	Ligand (mol %)	Solvent	Time	Yield (%)[b]
1	TFP (15)	PhMe	3 h	73
2	TFP (15)	DMF	1.5 h	76
3	TFP (15)	THF	2 h	95[c]
4	TFP (15)	CH_3CN	1 h	98
5	PPh_3 (15)	CH_3CN	1 h	98
6	PPh_3 (10)	CH_3CN	1 h	94
7	*rac*-BINAP (7.5)	CH_3CN	5 h	37
8	dppe (7.5)	CH_3CN	5 h	31
9[d]	PPh_3 (3)	CH_3CN	20 min	93
10[e]	PPh_3 (15)	CH_3CN	1 h	NR
11	-	CH_3CN	1 h	[f]

[a] The reactions were conducted in 0.15-0.20 mmol scale with 2 equiv of **2a**, 3.0 equiv of LiO*t*-Bu

in the presence of 5 mol % $Pd(OAc)_2$ and phosphine. [b] Isolated yields. [c] The isolated product was contaminated with small amount of uncharacterized compound. [d] 1 mol % of $Pd(OAc)_2$ was used and the reaction was conducted at 80 °C. [e] The reaction was conducted in the absence of Pd catalyst. [f] A complicated mixture was detected.

Typical Procedures for the preparation of 1:[1,2]

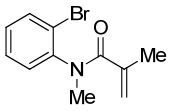
Preparation of 1a:



1a

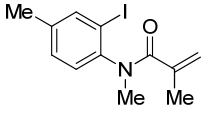
Methacryloyl chloride (0.12 ml, 1.2 mmol, 1.2 eq) was added to a mixture of 2-iodoaniline (0.219 g, 1.0 mmol, 1.0 eq), DMAP (6.0 mg, 0.05 mmol, 5 mol %), Et_3N (0.28 ml, 2.0 mmol, 2.0 eq) in CH_2Cl_2 (2.0 ml) at -20 °C dropwise. After stirring at -20 °C for 30 min and room temperature overnight, the mixture was quenched with saturated $NaHCO_3$. The mixture was extracted with CH_2Cl_2, washed with brine, and dried over Na_2SO_4. After filtration and concentration, the obtained crude amide was used in next step without purification.

NaH (80 mg, 60% in mineral oil, 2.0 mmol, 2.0 eq) was added to a solution of the above crude amide in THF (4.0 ml) at 0 °C in portions. After stirring for 20 min at 0 °C MeI (0.19 ml, 3.0 mmol, 3.0 eq) added dropwise and the reaction mixture was allowed to warm to room temperature and stirred for another 2 h. The reaction was quenched with water and the resulting mixture was extracted with ethyl acetate twice. The combined organic phase was washed with brine, dried over Na_2SO_4, filtered and concentrated. The residue was purified by column chromatography on silica gel (10% ethyl acetate/hexanes) to afford the desired amide **1a** (0.173 g, 57%).[2] Solid, 71-72 °C (ethyl acetate/hexanes). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.87 (dd, *J* = 8.0, 1.2 Hz, 1 H), 7.35 (dd, *J* = 7.6, 7.2 Hz, 1 H), 7.18 (d, *J* = 7.6 Hz, 1 H), 7.07-6.91 (m, 1 H), 5.05 (s, 1 H), 4.98 (s, 1 H), 3.24 (s, 3 H), 1.82 (s, 3 H).



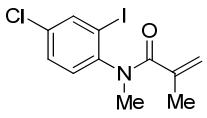
1b

1b[1,3] (Rf = 0.2, PE:EA = 10:1) (1.87 g, 74%) was prepared following the typical procedure in 10.0 mmol scale. Solid, 49-51 °C (ethyl acetate/hexanes). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.61 (d, *J* = 8.0 Hz, 1 H), 7.34-7.27 (m, 1 H), 7.21-7.14 (m, 2 H), 5.00 (s, 1 H), 4.96 (s, 1 H), 3.24 (s, 3 H), 1.81 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 171.9, 143.5, 140.0, 133.7, 129.8, 129.1, 128.4, 122.8, 118.6, 36.3, 20.2.



1c

1c (Rf = 0.3, PE:EA = 5:1) (2.05 g, 65%) was prepared following the typical procedure in 10.0 mmol scale. ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.69 (s, 1 H), 7.13 (d, *J* = 7.6 Hz, 1 H), 7.04 (d, *J* = 8.0 Hz, 1 H), 5.06 (s, 1 H), 4.97 (s, 1 H), 3.21 (s, 3 H), 2.31 (s, 3 H), 1.82 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 171.8, 144.2, 140.5, 140.2, 139.5, 130.1, 128.7, 118.7, 98.8, 36.8, 20.6, 20.4. HRMS (ESI) calcd for $C_{12}H_{15}ONI$ [M^++H] 316.0193, found 316.0184.



1d

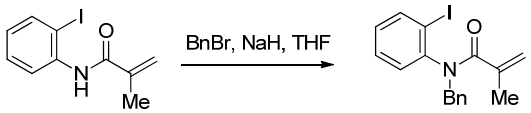
1d (Rf = 0.3, PE:EA = 5:1) (1.92 g, 67%) was prepared following the typical procedure in 8.5 mmol scale. Solid, 60-61 °C (ethyl acetate/hexanes). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.86 (d, *J* = 2.4 Hz, 1 H), 7.33 (d, *J* = 7.6 Hz, 1 H), 7.09 (d, *J* = 8.4 Hz, 1 H), 5.03 (d, *J* = 6.8 Hz, 2 H), 3.21 (s, 3 H), 1.83 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 171.6, 145.7, 139.9, 139.5, 133.9, 129.7, 129.6, 119.3, 99.3, 36.8, 20.5. HRMS (APCI) calcd for $C_{11}H_{12}ON^{35}ClI$ [M^++H] 335.9647, found 335.9643.

Preparation of 1f: [4]

1f

Under a nitrogen atmosphere methacryloyl chloride (2.3 ml, 24.0 mmol, 1.2 eq) was added to a solution of 2-iodoaniline (4.38 g, 20.0 mmol, 1.0 eq), DMAP (0.122 g, 1.0 mmol, 5 mol %), Et_3N (5.6 ml, 40.0 mmol, 2.0 eq) in CH_2Cl_2 (40.0 ml) at -20 °C dropwise. After stirring at -20 °C for 30 min and room temperature overnight, the reaction was quenched with saturated $NaHCO_3$. The mixture was extracted with CH_2Cl_2 twice, and the combined organic layer washed with brine, and dried over Na_2SO_4. After filtration and concentration, the residue was purified by column chromatography (5% ethyl acetate/hexanes) on silica gel to afford **1f** (3.10 g, 10.8 mmol, 54%). Solid, 47-48 °C (ethyl acetate/hexanes).

Preparation of 1e

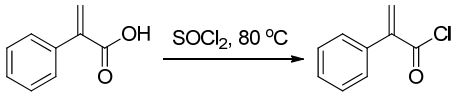


1f **1e**

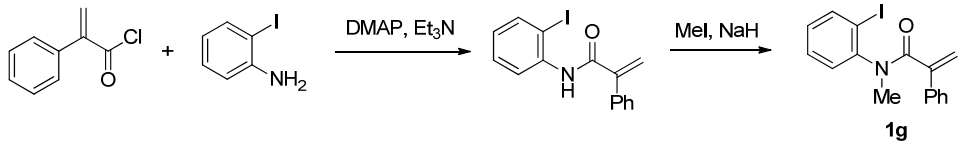
NaH (30 mg, 60% in mineral oil, 0.75 mmol, 1.5 eq) was added in portions to a solution of **1f** (0.144 g, 0.50 mmol, 1.0 eq) in THF (2.0 ml) at 0 °C. After stirring for 20 min, BnBr (70 µl, 0.60 mmol, 1.2 eq) was added dropwise and the reaction mixture was allowed to warm to room temperature and stirred overnight. The reaction was quenched with water and THF was removed by evaporation. The residue was extracted with ethyl acetate twice, and the organic phase was washed with brine, dried

over Na_2SO_4, filtered and concentrated, and the residue was purified by column chromatography (5% ethyl acetate/hexanes) on silica gel to afford **1e** (0.175 g, 93%). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.88 (dd, J_1 = 8.0, 1.2 Hz, 1 H), 7.37-7.09 (m, 6 H), 6.96 (td, J = 7.6, 1.6 Hz, 1 H), 6.67 (d, J = 7.6 Hz, 1 H), 5.68 (d, J = 14.0 Hz, 1 H), 5.04 (s, 1 H), 4.97 (s, 1 H), 4.12 (d, J = 14.4 Hz, 1 H), 1.85 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 171.4, 144.5, 140.2, 140.1, 136.8, 131.3, 129.4, 129.2, 128.6, 128.4, 127.5, 118.7, 100.0, 51.8, 20.7. HRMS (ESI) calcd for $C_{17}H_{17}ONI$ [M^++H] 378.0349, found 378.0346.

Preparation of 1g:



Thionyl chloride (0.15.0 ml, 2.0 mmol, 2.0 eq) was added to 2-phenylacrylic acid (0.178 g, 1.2 mmol, 1.2 eq) at 80 °C dropwise. The reaction was maintain at 80 °C for about 30 min and then cooled to room temperature. The excess thionyl chloride was removed under vacuum and the resulting crude acid chloride used in next step directly.

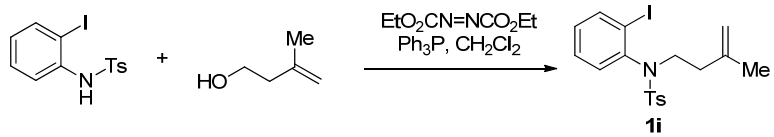


1g

The above acid chloride in CH_2Cl_2 (2.0 ml) was added to a solution of 2-iodoaniline (0.219 g, 1.0 mmol, 1.0 eq), DMAP (6.0 mg, 0.05 mmol, 5 mol %), Et_3N (0.28 ml, 2.0 mmol, 2.0 eq) in CH_2Cl_2 (2.0 ml) at -20 °C dropwise. After stirring at -20 °C for 30 min and room temperature overnight, the mixture was quenched with saturated $NaHCO_3$, extracted with CH_2Cl_2 twice. The combined organic layer was washed with brine, dried over Na_2SO_4. After filtration and concentration, the resulting crude amide was used in next step without further purification.

NaH (80 mg, 60% in mineral oil, 2.0 mmol, 2.0 eq) was added to a solution of the above crude amide in THF (5.0 ml) at 0 °C for portions. After stirring for 20 min at 0 °C MeI (0.19 ml, 3.0 mmol, 3.0 eq) added dropwise and the reaction mixture was allowed to warm to room temperature and stirred for another 2 h. After quenched with water, the residue was extracted with ethyl acetate twice. The combined organic layer was washed with brine, dried over Na_2SO_4, filtrated and concentrated, and purified by column chromatography (10% ethyl acetate/hexanes) on silica gel to afford **1g** (0.151 g, 42%). A mixture of rotamers were observed and the followings are selected peaks: ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.72 (d, J = 7.6 Hz, 1 H), 7.26-7.16 (m, 3 H), 7.17-7.09 (m, 2 H), 7.08-6.97 (m, 1 H), 6.85 (t, J = 7.2 Hz, 1 H), 6.74 (d, J = 7.6 Hz, 1 H), 5.61 (s, 1 H), 5.33 (s, 1 H), 3.28 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 170.1, 145.5, 145.2, 144.8, 139.9, 139.7, 136.8, 135.1, 129.7, 129.0, 128.7, 128.2, 127.9, 126.0, 117.3, 114.8, 99.1, 98.0, 39.3, 36.2. HRMS (APCI) calcd for $C_{16}H_{15}ONI$ [M^++H] 364.0193, found 364.0183.

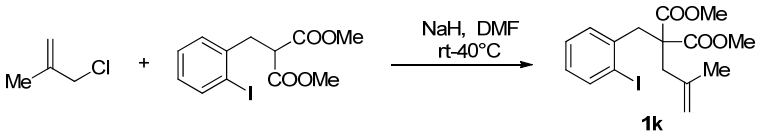
Preparation of 1i:



1i

A solution of diethyl azodicarboxylate (DEAD) (0.15 ml, 0.98 mmol, 1.3 eq) in CH_2Cl_2 (3.0 mL) was added slowly to a mixture of 3-methylbut-3-en-1-ol (100 µl, 0.98 mmol, 1.3 eq), PPh_3 (0.171 g, 0.98 mmol, 1.3 eq), *N*-tosyl-2-iodoaniline (0.280 g, 0.75 mmol, 1.0 eq) in CH_2Cl_2 (3.0 mL) at 0 ^oC. The resulted solution was allowed to warm to room temperature and stirred for 1 h. The reaction was quenched with water and extracted with EtOAc twice. The combined organic layer was washed with brine and dried over Na_2SO_4, filtered and concentrated. The residue was purified by column chromatography on silica gel (5% ethyl acetate/hexanes) to afford compound **1i** (0.330 g, 0.75 mmol, 99%). Solid, 63-66 ^oC (ethyl acetate/hexanes). ^1H NMR (400 MHz, $CDCl_3$): δ 7.92 (d, *J* = 7.6 Hz, 1 H), 7.64 (d, *J* = 8.0 Hz, 2 H), 7.39-7.22 (m, 3 H), 7.04 (t, *J* = 7.6 Hz, 1 H), 6.97 (d, *J* = 8.0 Hz, 1 H), 4.72 (s, 1 H), 4.61 (s, 1 H), 3.85-3.68 (m, 1 H), 3.60-3.43 (m, 1 H), 2.44 (s, 3 H), 2.40-2.25 (m, 1 H), 2.22-2.06 (m, 1 H), 1.65 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 143.6, 142.1, 141.5, 140.5, 136.2, 130.6, 129.9, 129.5, 128.7, 128.1, 111.9, 103.1, 50.3, 36.3, 22.6, 21.6. HRMS (APCI) calcd for $C_{18}H_{21}O_2NIS$ [M^++H] 442.0332, found 442.0326.

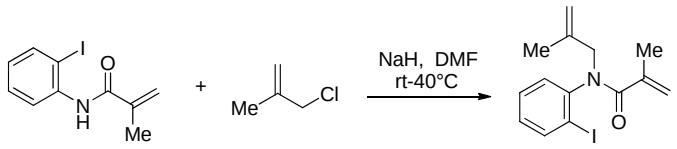
Preparation of 1k:



1k

NaH (0.120 g, 60% in mineral oil, 3.0 mmol, 2.0 eq) was added to a solution of dimethyl 2- (2-iodobenzyl)malonate[5] (0.52 g, 1.5 mmol, 1.0 eq) in DMF (4.0 ml) in portions. After stirring at rt for 30 min 3-chloro-2-methylprop-1-ene (0.18 ml, 1.8 mmol, 1.2 eq) was added dropwise and the reaction mixture was allowed to heat to 40 °C for 3 h. After cooling to rt the reaction was quenched with saturated aqueous NH_4Cl, and the mixture was extracted with ethyl acetate twice. The combined organic layer was washed with brine, dried over Na_2SO_4, filtered and concentrated, and the residue was purified by column chromatography on silica gel (5% ethyl acetate/hexanes) to afford **1k** (0.40 g, 60%). ^1H NMR (400 MHz, $CDCl_3$): δ 7.81 (dd, *J* = 8.0, 0.8 Hz, 1 H), 7.34-7.19 (m, 2 H), 6.88 (td, *J* = 8.0 Hz, J_2 = 1.6 Hz, 1 H), 4.89 (s, 1 H), 4.74 (s, 1 H), 3.65 (s, 6 H), 3.52 (s, 2 H), 2.80 (s, 2 H), 1.70 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 171.4, 140.7, 139.9, 139.7, 130.0, 128.4, 128.0, 115.2, 102.7, 58.3, 52.5, 42.9, 42.0, 23.5. HRMS (APCI) calcd for $C_{16}H_{20}O_4I$ [M^++H] 403.0401, found 403.0396.

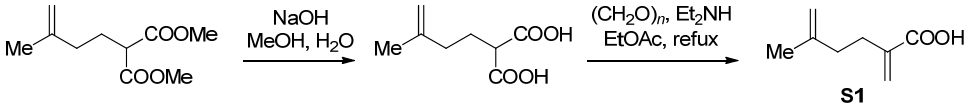
Preparation of 1m:



1f **1m**

NaH (60 mg, 60% in mineral oil, 1.5 mmol, 1.5 eq) was added to a solution of **1f** (0.287 g, 1.0 mmol, 1.0 eq) in DMF (2.0 ml) in portions and stirred at room temperature for 30 min before 3-chloro-2-methylprop-1-ene (0.12.0 ml, 1.2 mmol, 1.2 eq) was added dropwise. The reaction mixture was heated to 40 °C for 3 h. After cooling down the reaction was quenched with saturated aqueous NH_4Cl, and the mixture was extracted with ethyl acetate twice. The combined organic layer was washed with brine, dried over Na_2SO_4, filtered and concentrated, and the residue was purified by column chromatography (5% ethyl acetate/hexanes) on silica gel to afford **1m** (0.284 g, 0.83 mmol, 83%). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.88 (d, J = 8.0 Hz, 1 H), 7.31 (t, J = 7.6 Hz, 1 H), 7.16 (d, J = 7.6 Hz, 1 H), 7.00 (t, J = 7.6 Hz, 1 H), 5.13-4.94 (m, 3 H), 4.85 (s, 1 H), 4.71 (s, 1 H), 3.49 (d, J = 14.8 Hz, 1 H), 1.86 (s, 3 H), 1.80 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 171.2, 144.9, 140.4, 140.3, 140.2, 130.8, 129.2, 128.7, 118.7, 113.9, 99.9, 54.1, 20.7. HRMS (APCI) calcd for $C_{14}H_{17}ONI$ [M^++H] 342.0349, found 342.0343.

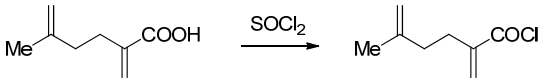
Preparation of 1n:



S1

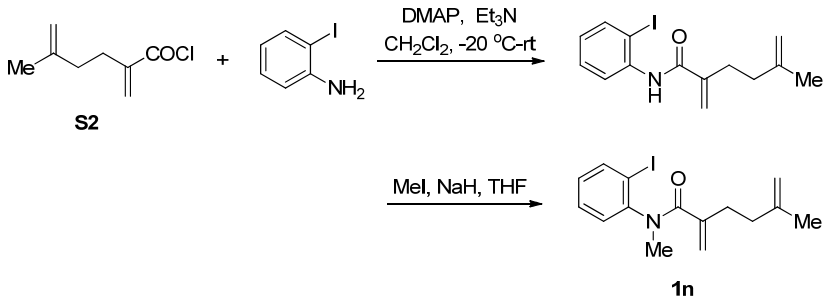
A solution of NaOH (1.0 M, 3.0 ml, 3.0 mmol, 1.5 eq) was added to a mixture of dimethyl 2-(3-methylbut-3-enyl)malonate (0.40 g, 2.0 mmol, 1.0 eq) in MeOH (5.0 ml) and was stirred at reflux for 1 h. After cooling to room temperature the mixture was acidified by 4.0 M HCl (pH ~ 3.0) and extracted with EtOAc three times. The combined organic phase was washed with brine, dried over Na_2SO_4, filtered and concentrated.

Diethylamine (0.24 ml, 2.3 mmol, 1.15 eq) was added to a mixture of above diacid in EtOAc (2.0 ml) at 0 °C followed by polyformaldehyde (84 mg, 2.8 mmol, 1.4 eq), and the mixture was heated at reflux for 2 h. After cooling to room temperature, the reaction mixture was acidified by 2.0 M HCl (pH ~ 3.0). The mixture was extracted with EtOAc three times, and the combined organic layer was washed with brine, dried over Na_2SO_4, filtered and concentrated. The residue was purified by column chromatography (20% ethyl acetate/hexanes) on silica gel to afford **S1**[6] (0.221 g, 1.56 mmol, 79%). ^{1}H NMR (400 MHz, $CDCl_3$): δ 6.32 (s, 1 H), 5.67 (s, 1 H), 4.74 (s, 1 H), 4.70 (s, 1 H), 2.46 (t, J = 8.0 Hz, 2 H), 2.21 (t, J = 8.0 Hz, 2 H), 1.75 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 172.6, 144.7, 139.6, 127.3, 110.6, 36.5, 29.7, 22.4. HRMS (APCI) calcd for $C_8H_{13}O_2$ [M^++H] 141.0910, found 141.0906.



S1 **S2**

Thionyl chloride (0.18 ml, 2.5 mmol, 2.0 eq) was added to **S1** (0.21 g, 1.5 mmol, 1.2 eq) at 80 °C dropwise and the reaction was maintained at 80 °C for 30min. After cooling to room temperature, the excess thionyl chloride was removed under vacuum and the resulting acid chloride **S2** was used in next step directly.

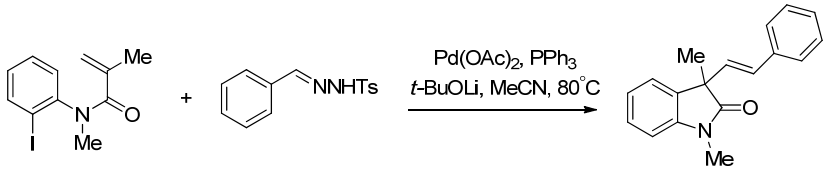


S2 **1n**

The above acid chloride **S2** in CH_2Cl_2 (2.0 ml) was added to a mixture of 2-iodoaniline (0.273 g, 1.25 mmol, 1.0 eq), DMAP (7.6 mg, 0.0625 mmol, 5 mol %), Et_3N (0.35 ml, 2.5 mmol, 2.0 eq) in CH_2Cl_2 (2.0 ml) at -20 °C dropwise. After stirring at -20 °C for 30 min and room temperature overnight, the mixture was quenched with saturated aqueous $NaHCO_3$, and extracted with CH_2Cl_2 twice. The combined organic layer was washed with brine, and dried over Na_2SO_4. After filtration and concentration, the residue was used in next step without purification.

NaH (0.100 g, 60% in mineral oil, 2.5 mmol, 2.0 eq) was added to a solution of the above crude amide in THF (5.0 ml) at 0 °C for portions. After stirring for 20 min at 0 °C MeI (0.23 ml, 3.75 mmol, 3.0 eq) was added dropwise and the reaction mixture was allowed to warm to room temperature and stirred for another 2 h. The reaction was quenched with saturated aqueous NH_4Cl, and extracted with EtOAc twice. The combined organic layer was washed with brine, dried over Na_2SO_4, concentrated, and the residue was purified by column chromatography (10% ethyl acetate/hexanes) on silica gel to afford **1n** (0.199 g, 45%). A mixture of rotamers were observed in ^1H NMR spectra in $CDCl_3$ at room temperature. ^1H NMR (400 MHz, $CDCl_3$): δ 7.88 (d, J = 7.6 Hz, 1 H), 7.34 (t, J = 7.6 Hz, 1 H), 7.16 (d, J = 7.6 Hz, 1 H), 7.01 (t, J = 7.6 Hz, 1 H), 5.15 (s, 1 H), 5.00 (s, 1 H), 4.69 (s, 1 H), 4.63 (s, 1 H), 3.25 (s, 3 H), 2.40-2.20 (m, 2 H), 2.20-2.00 (m, 2 H), 1.69 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 171.6, 146.8, 144.9, 144.2, 140.2, 129.6, 129.3, 129.2, 117.7, 110.1, 99.1, 36.9, 35.7, 31.7, 22.6. HRMS (APCI) calcd for $C_{15}H_{19}ONI$ [M^++H] 356.0506, found 356.0503.

Synthesis of (*E*)-1,3-dimethyl-3-styrylindolin-2-one (3aa):

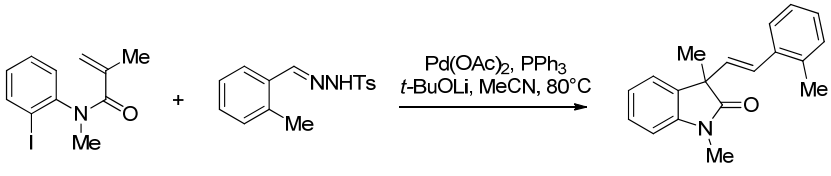


1a **2a** **3aa**

Typical Procedure for $Pd(OAc)_2$-catalyzed cross-coupling of **1** and *N*-tosyl hydrazones **2**: A mixture of **1a** (60.2 mg, 0.20 mmol, 1.0 eq), **2b** (0.110 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN was stirred at 80 °C for 50 min. After complete consumption of starting material **1a**, the reaction was quenched with saturated aqueous NH_4Cl. The mixture was extracted with EtOAc twice, and the combined organic layer was washed with brine, dried over Na_2SO_4, filtered and concentrated. The residue was purified by column chromatography on silica gel (10% ethyl acetate/hexanes) to afforded **3aa** (52.3 mg, 99%).[7] Solid, 119-122 °C (ethyl acetate/hexanes). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.35-7.28 (m, 3 H), 7.28-7.21 (m, 3 H), 7.22-7.15 (m, 1 H), 7.11 (td, J_1 = 7.6 Hz, J_2 = 0.8 Hz, 1 H), 6.88 (d, J = 7.8 Hz, 1 H), 6.42 (d, J = 16.4 Hz, 1 H), 6.32 (d, J = 16.4 Hz, 1 H), 3.22 (s, 3 H), 1.58 (s, 3 H).

Procedure for the 5 mmol scale reaction: A mixture of **1a** (1.51 g, 5.0 mmol, 1.0 eq), **2a** (2.74 g, 10.0 mmol, 2.0 eq), $Pd(OAc)_2$ (56 mg, 0.25 mmol, 5 mol %), LiO*t*-Bu (1.20 g, 15.0 mmol, 3.0 eq) and PPh_3 (0.197 g, 0.75 mmol, 15 mol %) in 75.0 mL of CH_3CN was stirred at 80 °C for 30 min. After complete consumption of **1a**, the reaction was quenched with saturated aqueous NH_4Cl and MeCN was removed by evaporation. The residue was extracted with ethyl acetate twice and the combined organic layer was washed with brine, dried over Na_2SO_4 and concentrated. The residue was purified by column chromatography on silica (10% ethyl acetate/hexanes) to afford **3aa** (1.26 g, 96%).

Synthesis of (*E*)-1,3-dimethyl-3-(2'-methylstyryl)indolin-2-one (3ab):

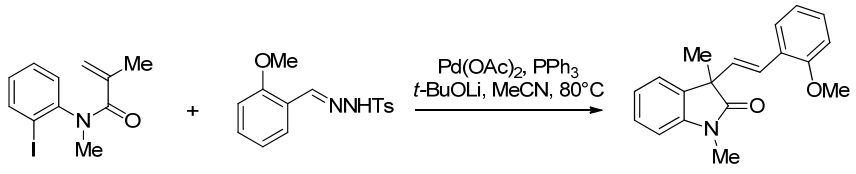


1a **2b** **3ab**

The reaction of **1a** (60.2 mg, 0.20 mmol, 1.0 eq), **2b** (0.115 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 30 min afforded **3ab** (Rf = 0.4, PE:EA = 10:1) (55.0 mg, 99%). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.42-7.34 (m, 1 H), 7.34-7.21 (m, 2 H), 7.16-7.05 (m, 4 H), 6.88 (d, J = 8.0 Hz, 1 H), 6.66 (d, J = 16.0 Hz, 1 H), 6.20 (d, J = 16.0 Hz, 1 H), 3.22 (s, 3 H), 2.24 (s, 3 H), 1.59 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 178.7, 142.9, 135.6, 135.4, 132.9, 131.1, 130.1, 128.1, 127.9, 127.5, 126.0, 125.6, 123.8, 122.5, 108.3, 50.9, 26.3, 23.2, 19.6.

HRMS (ESI) calcd for $C_{19}H_{20}ON$ [M^++H] 278.1539, found 278.1533.

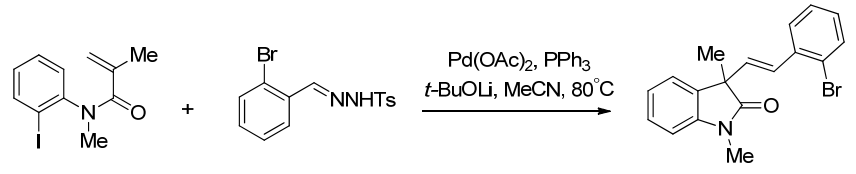
Synthesis of (*E*)-3-1,3-dimethyl-(2'-methoxystyryl)indolin-2-one (3ac):



1a **2c** **3ac**

The reaction of **1a** (60.2 mg, 0.20 mmol, 1.0 eq), **2c** (0.122 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 1 h afforded **3ac** (Rf = 0.4, PE:Acetone = 4:1) (58.1 mg, 99%). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.40 (dd, *J* = 7.6, 1.6 Hz, 1 H), 7.35-7.28 (m, 2 H), 7.22-7.16 (m, 1 H), 7.13 (t, *J* = 7.2 Hz, 1 H), 6.92-6.84 (m, 2 H), 6.85-6.77 (m, 2 H), 6.35 (d, *J* = 16.0 Hz, 1 H), 3.79 (s, 3 H), 3.24 (s, 3 H), 1.61 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 178.9, 156.6, 142.9, 133.2, 130.1, 128.6, 128.0, 126.9, 125.5, 124.9, 124.0, 122.5, 120.5, 110.7, 108.2, 55.3, 51.0, 26.3, 23.1. HRMS (ESI) calcd for $C_{19}H_{20}O_2N$ [M^++H] 294.1489, found 294.1491.

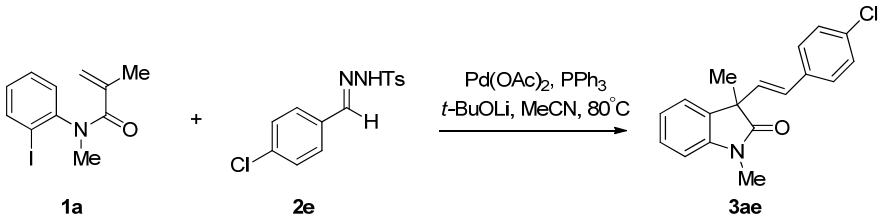
Synthesis of (*E*)-1,3-dimethyl-3-(2'-bromostyryl)indolin-2-one (3ad):



1a **2d** **3ad**

The reaction of **1a** (60.2 mg, 0.20 mmol, 1.0 eq), **2d** (0.141 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 50 min afforded **3ad** (Rf = 0.6, PE:EA = 5:1) (61.3 mg, 90%). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.53-7.45 (m, 2 H), 7.37-7.30 (m, 2 H), 7.22 (t, *J* = 7.6 Hz, 1 H), 7.15 (t, *J* = 7.6 Hz, 1 H), 7.10-7.03 (m, 1 H), 6.90 (d, *J* = 8.0 Hz, 1 H), 6.81 (d, *J* = 16.0 Hz, 1 H), 6.27 (d, *J* = 16.0 Hz, 1 H), 3.24 (s, 3 H), 1.62 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 178.4, 143.0, 136.4, 132.74, 132.66, 132.4, 129.3, 128.9, 128.3, 127.4, 127.1, 124.1, 123.8, 122.7, 108.4, 50.9, 26.4, 23.1. HRMS (ESI) calcd for $C_{18}H_{17}ONBr^{79}$ [M^++H] 342.0488, found 342.0491.

Synthesis of (*E*)-1,3-dimethyl-3-(4'-chlorostyryl)indolin-2-one (3ae):

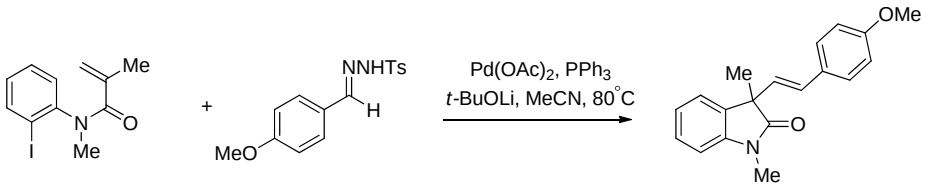


1a **2e** **3ae**

The reaction of **1a** (60.2 mg, 0.20 mmol, 1.0 eq), **2e** (0.124 g, 0.40 mmol, 2.0 eq),

$Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 50 min afforded **3ae** (Rf = 0.6, PE:EA = 5:1) (53.4 mg, 87%). Solid, 92-95 °C (ethyl acetate/hexanes). ^1H NMR (400 MHz, $CDCl_3$): δ 7.24 (dd, *J* = 7.6, 7.2 Hz, 1 H), 7.21-7.12 (m, 5 H), 7.04 (dd, *J* = 7.6, 7.2 Hz, 1 H), 6.81 (d, *J* = 8.0 Hz, 1 H), 6.31 (d, *J* = 16.0 Hz, 1 H), 6.22 (d, *J* = 16.0 Hz, 1 H), 3.15 (s, 3 H), 1.50 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 178.5, 142.9, 135.0, 133.2, 132.6, 130.5, 128.8, 128.6, 128.2, 127.6, 123.9, 122.6, 108.4, 50.6, 26.4, 23.0. HRMS (APCI) calcd for $C_{18}H_{17}ONCl^{35}$ $[M^+ + H]$ 298.0993, found 298.0986.

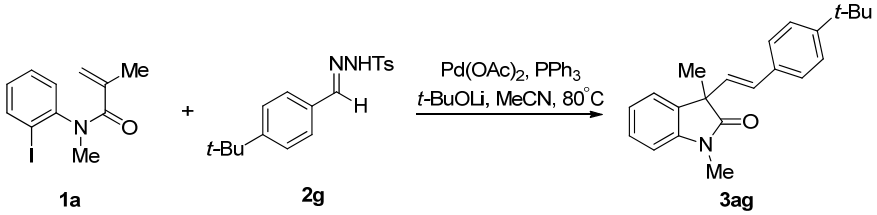
Synthesis of (*E*)-1,3-dimethyl-3-(4'-methoxystyryl)indolin-2-one (3af):



1a **2f** **3af**

The reaction of **1a** (60.2 mg, 0.20 mmol, 1.0 eq), **2f** (0.122 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 1 h afforded **3af** (Rf = 0.4, PE:Acetone = 4:1) (58.2 mg, 99%). ^1H NMR (400 MHz, $CDCl_3$): δ 7.31 (t, *J* = 7.6 Hz, 1 H), 7.29-7.21 (m, 3 H), 7.12 (t, *J* = 7.6 Hz, 1 H), 6.88 (d, *J* = 8.0 Hz, 1 H), 6.79 (d, *J* = 8.8 Hz, 2 H), 6.35 (d, *J* = 16.0 Hz, 1 H), 6.19 (d, *J* = 16.0 Hz, 1 H), 3.77 (s, 3 H), 3.22 (s, 3 H), 1.57 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 178.9, 159.2, 143.0, 133.0, 129.4, 129.3, 128.1, 127.6, 124.0, 122.6, 113.8, 108.3, 55.2, 50.6, 26.3, 23.1. HRMS (APCI) calcd for $C_{19}H_{20}O_2N$ $[M^+ + H]$ 294.1489, found 294.1487.

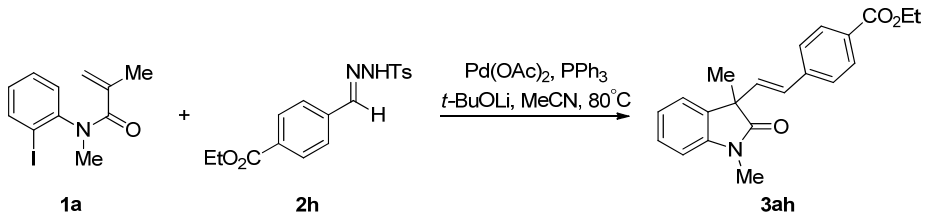
Synthesis of (*E*)-1,3-dimethyl-3-(4'-tert-butylstyryl)indolin-2-one (3ag):



1a **2g** **3ag**

The reaction of **1a** (60.2 mg, 0.20 mmol, 1.0 eq), **2g** (0.132 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 30 min afforded **3ag** (Rf = 0.7, PE:EA = 5:1) (62.0 mg, 97%). ^1H NMR (400 MHz, $CDCl_3$): δ 7.34-7.21 (m, 6 H), 7.11 (td, *J* = 7.6, 0.4 Hz, 1 H), 6.87 (d, *J* = 7.6 Hz, 1 H), 6.40 (d, *J* = 16.0 Hz, 1 H), 6.29 (d, *J* = 16.0 Hz, 1 H), 3.21 (s, 3 H), 1.57 (s, 3 H), 1.28 (s, 9 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 178.7, 150.7, 142.9, 133.7, 132.9, 129.7, 129.0, 128.0, 126.1, 125.3, 123.9, 122.5, 108.2, 50.6, 34.4, 31.2, 26.3, 23.1. HRMS (ESI) calcd for $C_{22}H_{26}ON$ $[M^+ + H]$ 320.2009, found 320.2004.

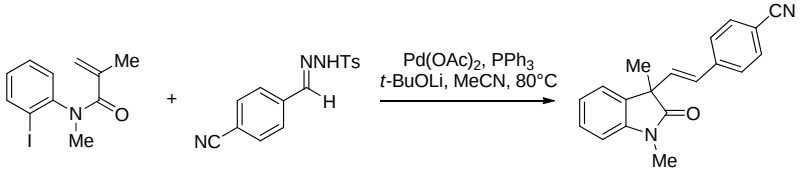
Synthesis of (*E*)-1,3-dimethyl-3-(4'-ethoxycarbonystyryl)indolin-2-one (3ah):



1a **2h** **3ah**

The reaction of **1a** (60.2 mg, 0.20 mmol, 1.0 eq), **2h** (0.139 g, 0.40 mmol, 2.0 eq) $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 40 min afforded **3ah** (Rf = 0.4, PE:EA = 5:1) (65.0 mg, 97%). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.93 (d, *J* = 8.4 Hz, 2 H), 7.40-7.25 (m, 4 H), 7.12 (dd, *J* = 7.6, 7.2 Hz, 1 H), 6.89 (d, *J* = 8.0 Hz, 1 H), 6.48 (d, *J* = 16.0 Hz, 1 H), 6.43 (d, *J* = 16.0 Hz, 1 H), 4.34 (q, *J* = 7.2 Hz, 2 H), 3.23 (s, 3 H), 1.60 (s, 3 H), 1.36 (t, *J* = 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 178.2 (*C*), 166.2 (*C*), 142.9 (*C*), 140.9 (*C*), 132.4 [*CH*=CH], 129.7 [*CH*(Ar)], 129.3 (*C*), 129.2 [*CH*=CH], 128.3 [*CH*(Ar)], 126.2 [*CH*(Ar)], 123.8 [*CH*(Ar)], 122.6 [*CH*(Ar)], 108.4 [*CH*(Ar)], 60.8 (CH_2), 50.7 (*C*), 26.3 (NCH_3), 23.0 (CCH_3), 14.2 (OCH_2CH_3) (one quaternary carbon was missing or overlapped with others). HRMS (ESI) calcd for $C_{21}H_{22}O_3N$ [M^++H] 336.1594, found 336.1589.

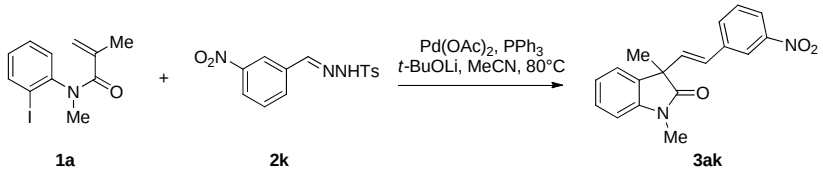
Synthesis of (*E*)-1,3-dimethyl-3-(4'-cyanostyryl)indolin-2-one (3ai):



1a **2i** **3ai**

The reaction of **1a** (60.2 mg, 0.20 mmol, 1.0 eq), **2i** (0.120 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 50 min afforded **3ai** (Rf = 0.2, PE:EA = 5:1) (40.1 mg, 70%). Solid, 115-118 °C (ethyl acetate/hexanes). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.54 (d, *J* = 8.8 Hz, 2 H), 7.40 (d, *J* = 8.4 Hz, 2 H), 7.34 (td, *J* = 7.6, 1.2 Hz, 1 H), 7.27 (dd, *J* = 6.4, 0.8 Hz, 1 H), 7.14 (td, *J* = 7.6, 0.8 Hz, 1 H), 6.91 (d, *J* = 7.8 Hz, 1 H), 6.45 (s, 2 H), 3.24 (s, 3 H), 1.60 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 178.0, 142.9, 141.0, 133.8, 132.2, 132.1, 128.5, 128.4, 126.9, 123.8, 122.8, 118.8, 110.8, 108.5, 50.7, 26.4, 22.9. HRMS (APCI) calcd for $C_{19}H_{17}ON_2$ [M^++H] 289.1335, found 289.1331.

Synthesis of (*E*)-1,3-dimethyl-3-(3'-nitrostyryl)indolin-2-one (3ak):

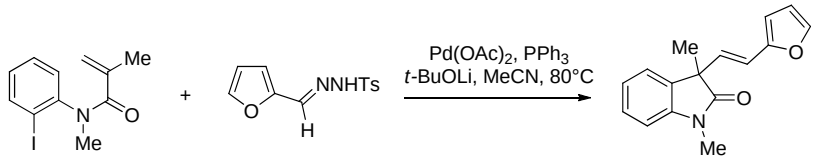


1a **2k** **3ak**

The reaction of **1a** (60.2 mg, 0.20 mmol, 1.0 eq), **2k** (0.128 g, 0.40 mmol, 2.0 eq),

$Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 1 h afforded **3ak** (Rf = 0.4, PE:EA = 10:1) (58.1 mg, 95%). Solid, 162-164 °C (ethyl acetate/hexanes). ^1H NMR (400 MHz, $CDCl_3$): δ 8.16 (s, 1 H), 8.04 (d, *J* = 8.0 Hz, 1 H), 7.63 (d, *J* = 7.6 Hz, 1 H), 7.43 (t, *J* = 8.0 Hz, 1 H), 7.35 (td *J* = 7.6, 0.8 Hz, 1 H), 7.28 (dd, *J* = 7.2, 6.0 Hz, 1 H), 7.15 (t, *J* = 7.6 Hz, 1 H), 6.92 (d, *J* = 8.0 Hz, 1 H), 6.50 (d, *J* = 16.4 Hz, 1 H), 6.46 (d, *J* = 16.4 Hz, 1 H), 3.25 (s, 3 H), 1.61 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 178.1, 148.4, 142.9, 138.3, 133.2, 132.24, 132.20, 129.3, 128.4, 128.0, 123.8, 122.8, 122.2, 121.0, 108.5, 50.7, 26.4, 22.9. HRMS (APCI) calcd for $C_{18}H_{17}O_3N_2$ $[M^+$+H] 309.1234, found 309.1227.

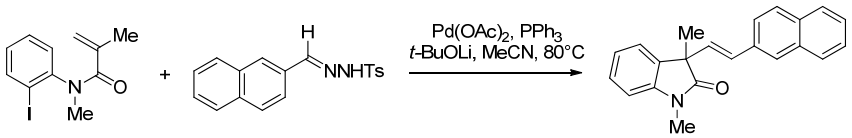
Synthesis of (*E*)-3-(2'-(furan-2-yl)vinyl)-1,3-dimethylindolin-2-one (3al):



1a **2l** **3al**

The reaction of **1a** (60.2 mg, 0.20 mmol, 1.0 eq), **2l** (0.106 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 50 min afforded **3al** (Rf = 0.5, PE:EA = 5:1) (45.0 mg, 89%). ^1H NMR (400 MHz, $CDCl_3$): δ 7.27-7.21 (m, 2 H), 7.20-7.16 (m, 1 H), 7.04 (td, *J* = 7.6, 0.8 Hz, 1 H), 6.81 (d, *J* = 7.6 Hz, 1 H), 6.26-6.14 (m, 3 H), 6.10 (d, *J* = 3.2 Hz, 1 H), 3.15 (s, 3 H), 1.50 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 178.5, 152.2, 142.9, 141.9, 132.7, 128.4, 128.2, 123.8, 122.7, 118.7, 111.2, 108.3, 108.2, 50.4, 26.4, 23.0. HRMS (ESI) calcd for $C_{16}H_{16}O_2N$ $[M^+$+H] 254.1176, found 254.1171.

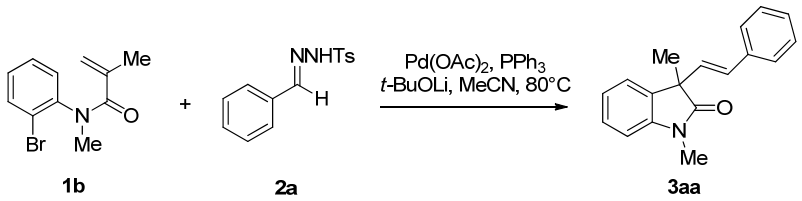
Synthesis of (*E*)-1,3-dimethyl-3-(2'-(naphthalen-2-yl)vinyl)indolin-2-one (3am):



1a **2m** **3am**

The reaction of **1a** (60.2 mg, 0.20 mmol, 1.0 eq), **2m** (0.130 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 1 h afforded **3am** (Rf = 0.6, PE:EA = 10:1) (45.2 mg, 72%). Solid, 111-112 °C (ethyl acetate/hexanes). ^1H NMR (400 MHz, $CDCl_3$): δ 7.82-7.72 (m, 3 H), 7.69 (s, 1 H), 7.58 (dd, *J* = 8.6, 1.2 Hz, 1 H), 7.49-7.40 (m, 2 H), 7.40-7.29 (m, 2 H), 7.17 (t, *J* = 7.6 Hz, 1 H), 6.92 (d, *J* = 7.6 Hz, 1 H), 6.61 (d, *J* = 16.0 Hz, 1 H), 6.49 (d, *J* = 16.0 Hz, 1 H), 3.26 (s, 3 H), 1.65 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 178.7, 143.0, 134.0, 133.4, 132.9, 132.8, 130.2, 130.1, 128.2, 128.1, 127.9, 127.6, 126.5, 126.1, 125.8, 124.0, 123.5, 122.6, 108.4, 50.8, 26.4, 23.1. HRMS (ESI) calcd for $C_{22}H_{20}ON$ $[M^+$+H] 314.1539, found 314.1538.

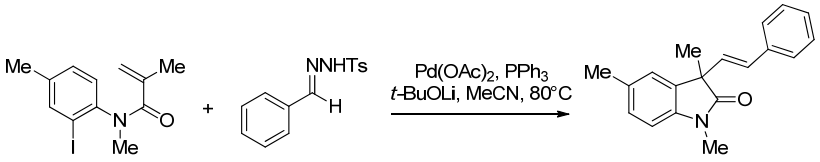
Synthesis of (*E*)-1,3-dimethyl-3-styrylindolin-2-one (3aa):



1b **2a** **3aa**

A mixture of **1b** (50.8 mg, 0.20 mmol, 1.0 eq), **2a** (0.110 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN was stirred at 80 °C for 1 h afforded **3aa** (51.5 mg, 98%).

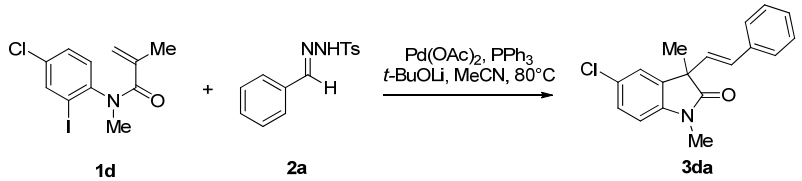
Synthesis of (*E*)-1,3,5-trimethyl-3-styrylindolin-2-one (3ca):



1c **2a** **3ca**

The reaction of **1c** (63.0 mg, 0.20 mmol, 1.0 eq), **2a** (0.110 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 30 min afforded **3ca** (Rf = 0.4, PE:EA = 10:1) (54.9 mg, 99%). Solid, 83-85 ^oC (ethyl acetate/hexanes). ^1H NMR (400 MHz, $CDCl_3$): δ 7.25 (d, *J* = 7.6 Hz, 2 H), 7.17 (t, *J* = 7.6 Hz, 2 H), 7.10 (t, *J* = 7.2 Hz, 1 H), 7.06-6.98 (m, 2 H), 6.69 (d, *J* = 8.0 Hz, 1 H), 6.36 (d, *J* = 16.4 Hz, 1 H), 6.23 (d, *J* = 16.0 Hz, 1 H), 3.12 (s, 3 H), 2.29 (s, 3 H), 1.49 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 178.6, 140.5, 136.5, 132.9, 132.1, 129.90, 129.87, 128.4, 128.3, 127.6, 126.4, 124.7, 108.0, 50.7, 26.3, 23.0, 21.1. HRMS (ESI) calcd for $C_{19}H_{20}ON$ $[M^+ + H]$ 278.1539, found 278.1537.

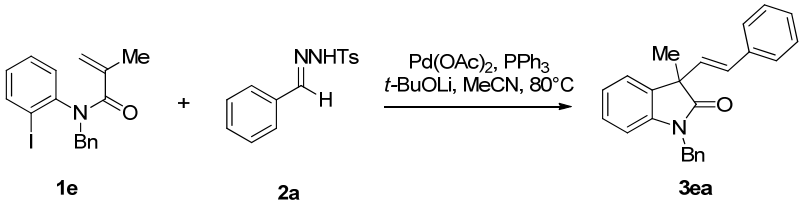
Synthesis of (*E*)-1,3-dimethyl-5-chloro-3-styrylindolin-2-one (3da):



1d **2a** **3da**

The reaction of **1d** (67.1 mg, 0.20 mmol, 1.0 eq), **2a** (0.110 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 50 min afforded **3da** (Rf = 0.4, PE:EA = 5:1) (58.3 mg, 98%). Solid, 96-98 ^oC (ethyl acetate/hexanes). ^1H NMR (400 MHz, $CDCl_3$): δ 7.34-7.08 (m, 7 H), 6.72 (d, *J* = 8.4 Hz, 1 H), 6.35 (d, *J* = 16.0 Hz, 1 H), 6.21 (d, *J* = 16.0 Hz, 1 H), 3.13 (s, 3 H), 1.50 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 178.2, 141.6, 136.3, 134.6, 130.5, 129.1, 128.6, 128.2, 128.0, 127.9., 126.6, 124.5, 109.4, 50.9, 26.6, 23.0. HRMS (ESI) calcd for $C_{18}H_{17}ON^{35}Cl$ $[M^+ + H]$ 298.0993, found 298.0989.

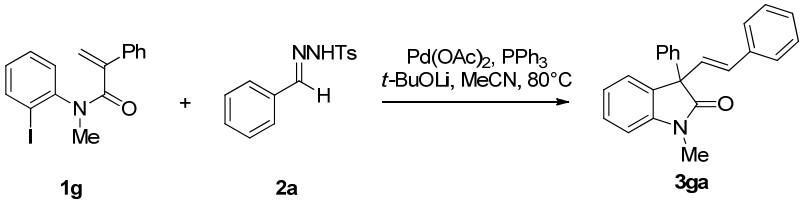
Synthesis of (*E*)-1-benzyl-3-methyl-3-styrylindolin-2-one (3ea):



1e **2a** **3ea**

The reaction of **1e** (75.4 mg, 0.20 mmol, 1.0 eq), **2a** (0.110 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 40 min afforded **3ea** (Rf = 0.7, PE:EA = 10:1) (67.4 mg, 99%). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.35 (d, *J* = 7.6 Hz, 2 H), 7.32-7.15 (m, 10 H), 7.08 (dd, *J* = 7.6, 7.2 Hz, 1 H), 6.76 (d, *J* = 7.8 Hz, 1 H), 6.46 (d, *J* = 16.0 Hz, 1 H), 6.39 (d, *J* = 16.4 Hz, 1 H), 4.95 (d, *J* = 15.6 Hz, 1 H), 4.91 (d, *J* = 16.0 Hz, 1 H), 1.65 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 178.8, 142.0, 136.5, 135.8, 132.8, 130.2, 129.9, 128.8, 128.5, 128.0, 127.7, 127.5, 127.1, 126.5, 124.0, 122.6, 109.4, 50.7, 43.6, 23.3. HRMS (APCI) calcd for $C_{24}H_{22}ON$ [M^++H] 340.1696, found 340.1689.

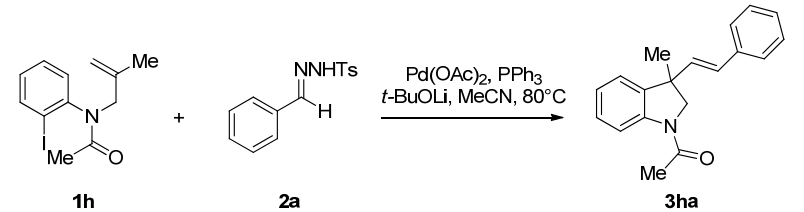
Synthesis of (*E*)-1-methyl-3-phenyl-3-styrylindolin-2-one (3ga):



1g **2a** **3ga**

The reaction of **1g** (72.6 mg, 0.20 mmol, 1.0 eq), **2a** (0.110 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 30 min afforded **3ga** (Rf = 0.5, PE:EA = 5:1) (63.3 mg, 97%). Solid, 128-130 °C (ethyl acetate/hexanes). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.43-7.20 (m, 12 H), 7.15 (t, *J* = 72 Hz, 1 H), 6.96 (d, *J* = 7.6 Hz, 1 H), 6.71 (d, *J* = 16.0 Hz, 1 H), 6.55 (d, *J* = 16.0 Hz, 1 H), 3.30 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 176.7, 143.2, 140.1, 136.4, 131.6, 131.5, 128.9, 128.7, 128.5, 128.4, 127.8, 127.5, 127.4, 126.6, 125.5, 122.8, 108.6, 59.6, 26.6. HRMS (APCI) calcd for $C_{23}H_{20}ON$ [M^++H] 326.1539, found 326.1538.

Synthesis of (*E*)-1-acetyl-3-methyl-3-styrylindoline (3ha):

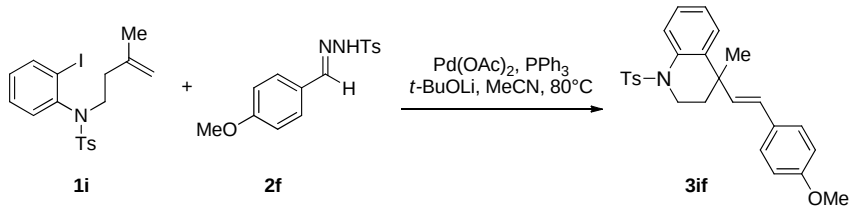


1h **2a** **3ha**

The reaction of **1h** (47.3 mg, 0.15 mmol, 1.0 eq), **2a** (82.2 mg, 0.30 mmol, 2.0 eq), $Pd(OAc)_2$ (1.7 mg, 0.0075 mmol, 5 mol %), LiO*t*-Bu (36.0 mg, 0.45 mmol, 3.0 eq) and PPh_3 (5.8 mg, 0.0225 mmol, 15 mol %) in 2.5 mL of CH_3CN at 80 °C for 1.5 h afforded **3ha** (Rf = 0.5, PE:EA = 3:1) (27.0 mg, 65%). A mixture of rotamers were

observed, and the following are selected peaks. ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.25 (d, *J* = 8.0 Hz, 1 H), 7.40-7.21 (m, 6 H), 7.16-7.05 (m, 2 H), 6.39 (s, 2 H), 4.05 (d, *J* = 10.4 Hz, 1 H), 3.89 (d, *J* = 10.4 Hz, 1 H), 2.25 (s, 3 H), 1.58 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 168.6, 141.9, 137.8, 136.6, 134.9, 128.6, 128.5, 128.2, 127.6, 126.3, 123.9, 123.4, 117.1, 62.8, 46.0, 25.8, 24.2. HRMS (ESI) calcd for $C_{19}H_{20}ON$ [M^++H] 278.1539, found 278.1541.

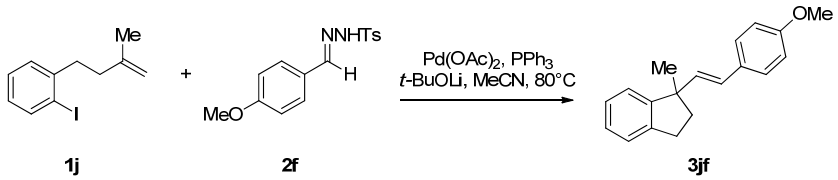
Synthesis of (*E*)-4-(4'-methoxystyryl)-4-methyl-*N*-tosyl-1,2,3,4-tetrahydroquinoline (3if):



1i **2f** **3if**

The reaction of **1i** (88.3 mg, 0.20 mmol, 1.0 eq), **2f** (0.122 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 1.5 h afforded **3if** (Rf = 0.2, PE:EA = 20:1) (66.7 mg, 77%). Solid, 131-132 ^{o}C (ethyl acetate/hexanes). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.90 (d, *J* = 8.0 Hz, 1 H), 7.46 (d, *J* = 8.0 Hz, 2 H), 7.29-7.05 (m, 7 H), 6.81 (d, *J* = 8.4 Hz, 2 H), 5.89 (d, *J* = 16.4 Hz, 1 H), 5.68 (d, *J* = 16.0 Hz, 1 H), 3.98-3.82 (m, 2 H), 3.79 (s, 3 H), 2.34 (s, 3 H), 1.64-1.54 (m, 1 H), 1.53-1.40 (m, 1 H), 1.26 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 158.9, 143.6, 136.8, 136.7, 136.1, 135.5, 129.7, 129.5, 129.1, 127.5, 127.3, 127.2, 126.7, 125.0, 124.6, 113.9, 55.3, 43.6, 38.8, 34.5, 28.5, 21.5. HRMS (APCI) calcd for $C_{26}H_{28}O_3NS$ [M^++H] 434.1784, found 434.1780.

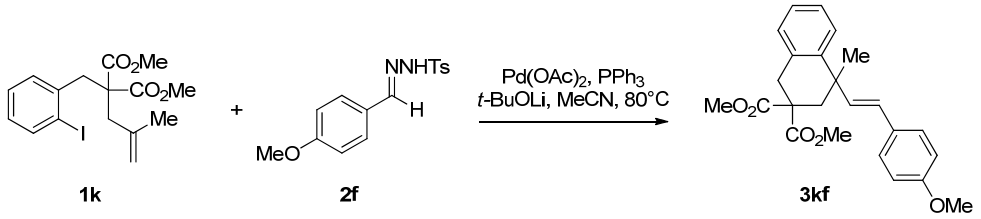
(E)-1-(4'-methoxystyryl)-1-methyl-2,3-dihydro-1*H*-indene (3jf):



1j **2f** **3jf**

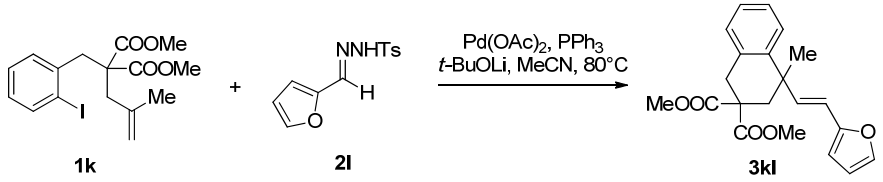
The reaction of **1j** (54.4 mg, 0.20 mmol, 1.0 eq), **2f** (0.122 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 70 min afforded **3jf** (Rf = 0.6, PE:EA = 40:1) (51.2 mg, 97%). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.30-7.09 (m, 6 H), 6.80 (d, *J* = 8.4 Hz, 2 H), 6.25 (d, *J* = 16.0 Hz, 1 H), 6.16 (d, *J* = 16.0 Hz, 1 H), 3.76 (s, 3 H), 2.91 (dd, *J* = 7.2, 6.8 Hz, 2 H), 2.28-2.11 (m, 1 H), 2.08-1.92 (m, 1 H), 1.43 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 158.7, 149.9, 143.3, 136.2, 130.4, 127.2, 126.6, 126.4, 125.9, 124.6, 123.5, 113.9, 55.2, 49.8, 40.9, 30.2, 25.7. HRMS (APCI) calcd for $C_{19}H_{21}O$ [M^++H] 265.1587, found 265.1579.

Synthesis of (*E*)-1-(4-methoxystyryl)-1-methyl-3,3-di(methoxycarbonyl)-1,2,3,4-tetrahydronaphthalene (3kf):



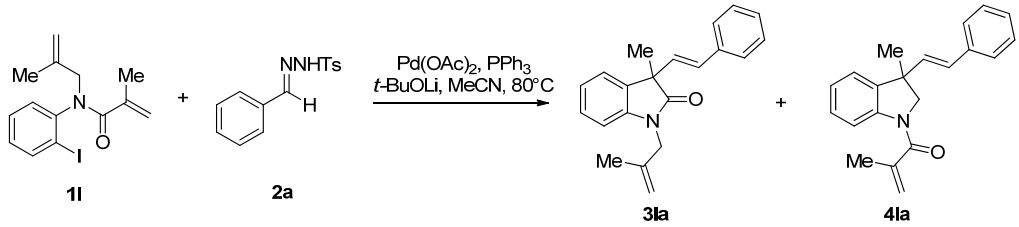
The reaction of **1k** (80.4 mg, 0.20 mmol, 1.0 eq), **2f** (0.122 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 30 min afforded **3kf** (Rf = 0.2, PE:EA = 20:1) (53.7 mg, 68%). Solid, 115-118 ^oC (ethyl acetate/hexanes). ^1H NMR (400 MHz, $CDCl_3$): δ 7.33-7.14 (m, 6 H), 6.79 (d, *J* = 8.8 Hz, 2 H), 6.07 (d, *J* = 16.0 Hz, 1 H), 5.73 (d, *J* = 16.1 Hz, 1 H), 3.78 (s, 3 H), 3.73 (s, 3 H), 3.46 (d, *J* = 16.0 Hz, 1 H), 3.36 (s, 3 H), 3.08 (d, *J* = 16.4 Hz, 1 H), 2.63 (d, *J* = 14.4 Hz, 1 H), 2.29 (d, *J* = 14.0 Hz, 1 H), 1.50 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 172.4, 171.0, 158.8, 139.7, 137.1, 133.6, 130.0, 128.8, 128.0, 127.8, 127.2, 126.5, 126.2, 113.8, 55.2, 52.7, 52.6, 52.1, 41.4, 40.0, 35.1, 30.2. HRMS (APCI) calcd for $C_{24}H_{27}O_5$ [M^++H] 395.1853, found 395.1845.

Synthesis of (*E*)-1-(2'-(furan-2-yl)vinyl)-1-methyl-3,3-di(methoxycarbonyl)-1,2,3,4-tetrahydronaphthalene (3kl):



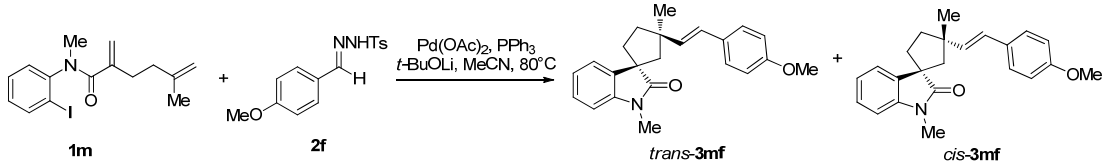
The reaction of **1k** (80.4 mg, 0.20 mmol, 1.0 eq), **2l** (0.106 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 50 min afforded **3kl** (Rf = 0.3, PE:EA = 20:1) (42.9 mg, 61%). ^1H NMR (400 MHz, $CDCl_3$): δ 7.30-7.14 (m, 5 H), 6.28 (s, 1 H), 6.14 (d, *J* = 16.0 Hz, 1 H), 6.03 (d, *J* = 3.2 Hz, 1 H), 5.53 (d, *J* = 16.0 Hz, 1 H), 3.72 (s, 3 H), 3.47 (d, *J* = 16.4 Hz, 1 H), 3.37 (s, 3 H), 3.02 (d, *J* = 16.4 Hz, 1 H), 2.60 (d, *J* = 14.0 Hz, 1 H), 2.28 (d, *J* = 14.0 Hz, 1 H), 1.48 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 172.3, 170.8, 152.7, 141.4, 139.0, 137.8, 133.7, 129.0, 128.0, 126.7, 126.3, 117.5, 111.2, 107.3, 52.7, 52.13, 52.06, 41.2, 40.0, 35.2, 30.1. HRMS (APCI) calcd for $C_{21}H_{23}O_5$ [M^++H] 355.1540, found 355.1539.

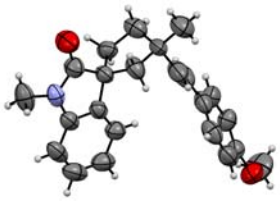
Synthesis of (*E*)-3-methyl-1-(2'-methylallyl)-3-styrylindolin-2-one (3la) and (4la):



The reaction of **1l** (68.2 mg, 0.20 mmol, 1.0 eq), **2a** (0.110 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 1 h afforded **3la** (Rf = 0.70, PE:EA = 10:1) (42.7 mg, 78%) and **4la** (Rf = 0.67, PE:EA = 10:1) (6.1 mg, 10%) (**3la**:**4la** = 91:9 by crude ^1H NMR analysis). **3la:** ^1H NMR (400 MHz, $CDCl_3$): δ 7.36-7.23 (m, 6 H), 7.19 (dd, *J* = 7.2, 6.8 Hz, 1 H), 7.11 (dd, *J* = 7.6, 7.2 Hz, 1 H), 6.87 (d, *J* = 7.6 Hz, 1 H), 6.42 (d, *J* = 16.0 Hz, 1 H), 6.35 (d, *J* = 16.0 Hz, 1 H), 4.90 (s, 1 H), 4.82 (s, 1 H), 4.31 (d, *J* = 16.0 Hz, 1 H), 4.24 (d, *J* = 16.4 Hz, 1 H), 1.71 (s, 3 H), 1.61 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 178.5, 142.2, 139.0, 136.5, 129.99, 129.97, 128.4, 128.0, 127.6, 126.4, 123.9, 122.5, 112.3, 109.4, 50.6, 45.6, 23.3, 19.8. HRMS (APCI) calcd for $C_{21}H_{22}ON$ [M^++H] 304.1696, found 304.1694; **4la:** ^1H NMR (400 MHz, $CDCl_3$): δ 7.37-7.19 (m, 7 H), 7.15 (d, *J* = 6.8 Hz, 1 H), 7.09 (t, *J* = 7.2 Hz, 1 H), 6.41-6.30 (m, 2 H), 5.34 (s, 1 H), 5.27 (s, 1 H), 4.10 (d, *J* = 11.2 Hz, 1 H), 3.94 (d, *J* = 11.2 Hz, 1 H), 2.05 (s, 3 H), 1.53 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 169.8, 141.6, 136.7, 134.6, 128.8, 128.6, 128.0, 127.6, 126.3, 124.3, 123.6, 29.7, 25.1, 22.7, 19.9. HRMS (APCI) calcd for $C_{21}H_{22}ON$ [M^++H] 304.1696, found 304.1692.

Synthesis of compounds (*trans*-3mf) and (*cis*-3nf):





trans-**3mf**

The reaction of **1m** (71.0 mg, 0.20 mmol, 1.0 eq), **2f** (0.122 g, 0.40 mmol, 2.0 eq), $Pd(OAc)_2$ (2.2 mg, 0.01 mmol, 5 mol %), LiO*t*-Bu (48.0 mg, 0.60 mmol, 3.0 eq) and PPh_3 (7.9 mg, 0.03 mmol, 15 mol %) in 3.0 mL of CH_3CN at 80 °C for 50 min afforded *trans*-**3mf** (Rf = 0.40, PE:EA = 10:1) (31.3 mg, 45%) and *cis*-**3mf** (Rf = 0.35, PE:EA = 10:1) (25.1 mg, 36%).

Trans-**3mf:** Solid, 97-99 °C (ethyl acetate/hexanes). ^1H NMR (400 MHz, $CDCl_3$): δ 7.29 (d, *J* = 8.8 Hz, 2 H), 7.21-7.11 (m, 2 H), 6.97-6.89 (m, 1 H), 6.81 (d, *J* = 8.8 Hz, 2 H), 6.73 (d, *J* = 7.6 Hz, 1 H), 6.35 (d, *J* = 16.4 Hz, 1 H), 6.24 (d, *J* = 16.4 Hz, 1 H), 3.76 (s, 3 H), 3.13 (s, 3 H), 2.26-2.17 (m, 1 H), 2.17-2.06 (m, 2 H), 2.06-1.85 (m, 3 H), 1.36 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 182.1, 158.9, 142.9, 137.6, 137.2, 130.4, 127.4, 127.2, 125.7, 122.7, 114.3, 114.0, 107.7, 55.3, 54.3, 50.5, 46.4, 40.5, 38.5, 26.9, 26.3. HRMS (APCI) calcd for $C_{23}H_{26}O_2N$ [M^++H] 348.1958, found 348.1954. CCDC 938635 contains the supplementary crystallographic data of *trans*-**3mf**. These data can be obtained free of charge from Cambridge Crystallographic Data Centre.

Cis-**3mf:** ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.37 (d, *J* = 8.4 Hz, 2 H), 7.32 (d, *J* = 7.2 Hz, 1 H), 7.29-7.22 (m, 1 H), 7.08 (t, *J* = 7.6 Hz, 1 H), 6.85 (d, *J* = 8.4 Hz, 2 H), 6.81 (d, *J* = 7.6 Hz, 1 H), 6.50 (d, *J* = 16.2 Hz, 1 H), 6.43 (d, *J* = 16.2 Hz, 1 H), 3.81 (s, 3 H), 3.21 (s, 3 H), 2.40 (d, *J* = 14.0 Hz, 1 H), 2.37-2.20 (m, 2 H), 2.14-1.99 (m, 1 H), 1.91-1.77 (m, 2 H), 1.47 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 182.3, 158.7, 143.1, 137.5, 136.5, 130.6, 127.5, 127.2, 125.2, 122.6, 122.4, 113.9, 107.7, 55.3, 54.4, 50.5, 45.8, 41.3, 38.6, 26.2, 26.1. HRMS (APCI) calcd for $C_{23}H_{26}O_2N$ [M^++H] 348.1958, found 348.1952.

References:

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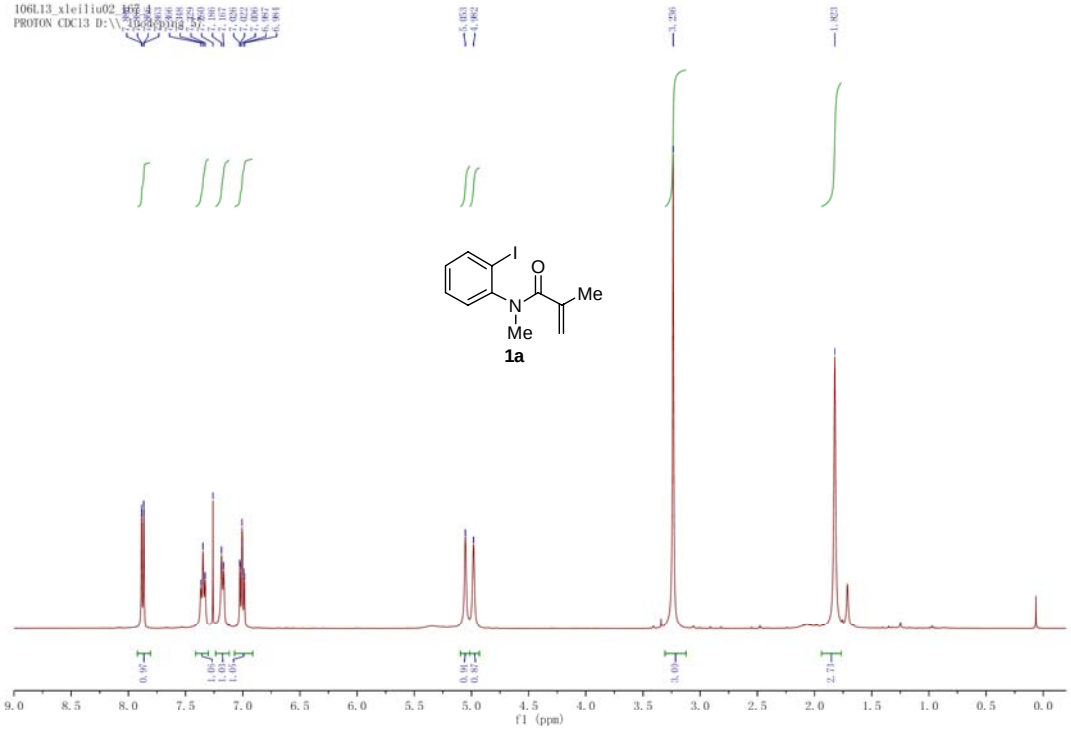
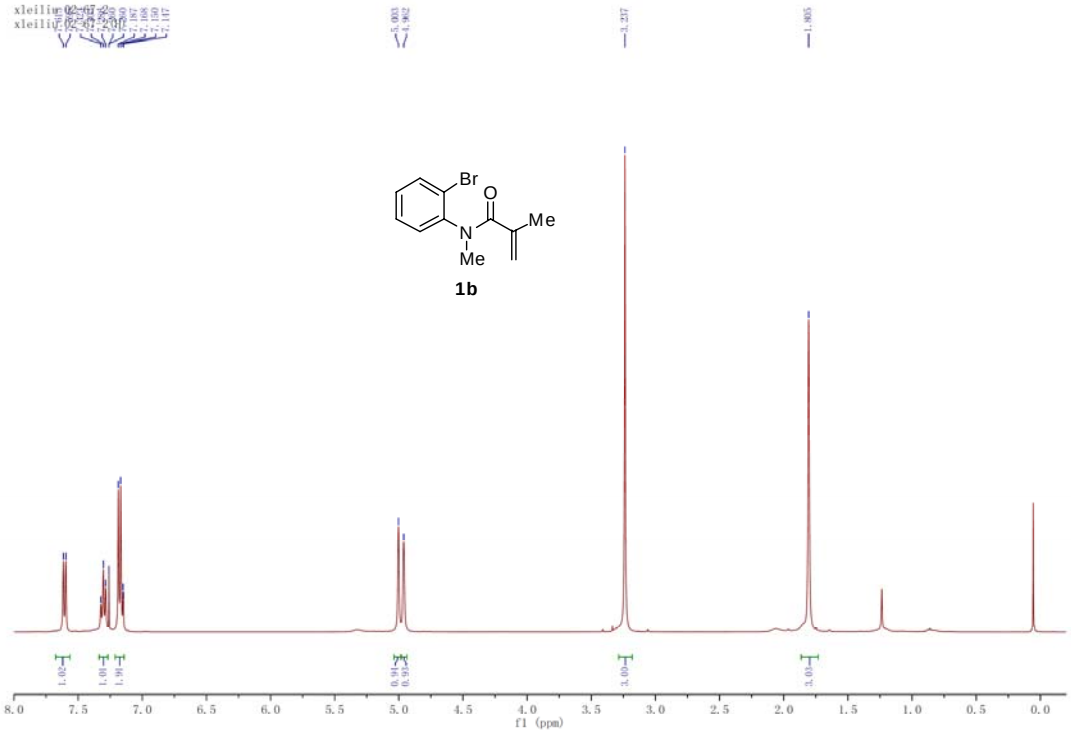
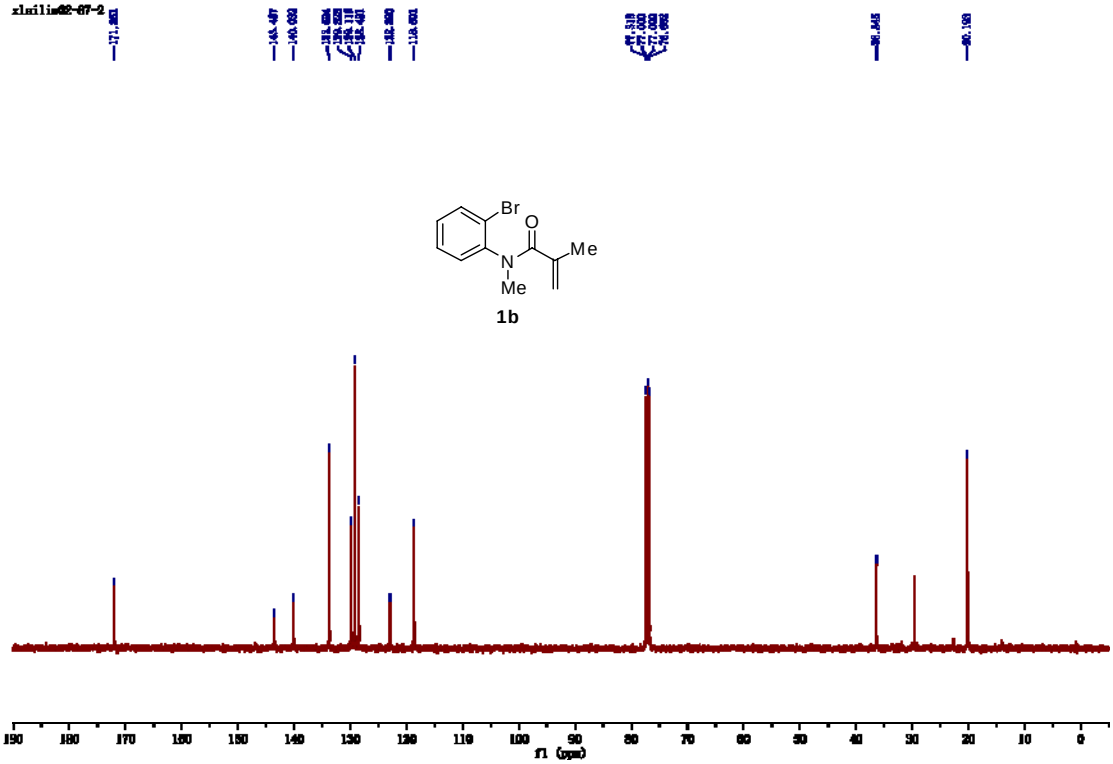
2. Ishikura, M.; Takahashi, N.;Yamada, K.; Yanada, R. *Tetrahedron* **2006**, *62*, 11580.
3. Jones, K.; Thompson, M.; Wright, C. *J. Chem. Soc., Chem. Commun*. **1986**. 115.
4. Casaschi, A.; Grigg, R.; Sansano, J. M.; Wilson, D.; Redpath, J. *Tetrahedron* **2000**, *56*, 7541.
5. Bi, H.; Guo, L.; Duan, X.; Gou, F.; Huang, S.; Liu, X.; Liang, Y. *Org. Lett*. **2007**, *9*, 397.
6. Kummer, D. A.; Chain, W. J.; Morales, M. R.; Quiroga, O.; Myers, A. G. *J. Am. Chem. Soc*. **2008**, *130*, 13231.
7. Jia, Y.; Katayev, D.; Bernardinelli, G.; Seidel, T. M.;Kündig, E. P. *Chem. Eur. J.* **2010**, *16*, 6300.



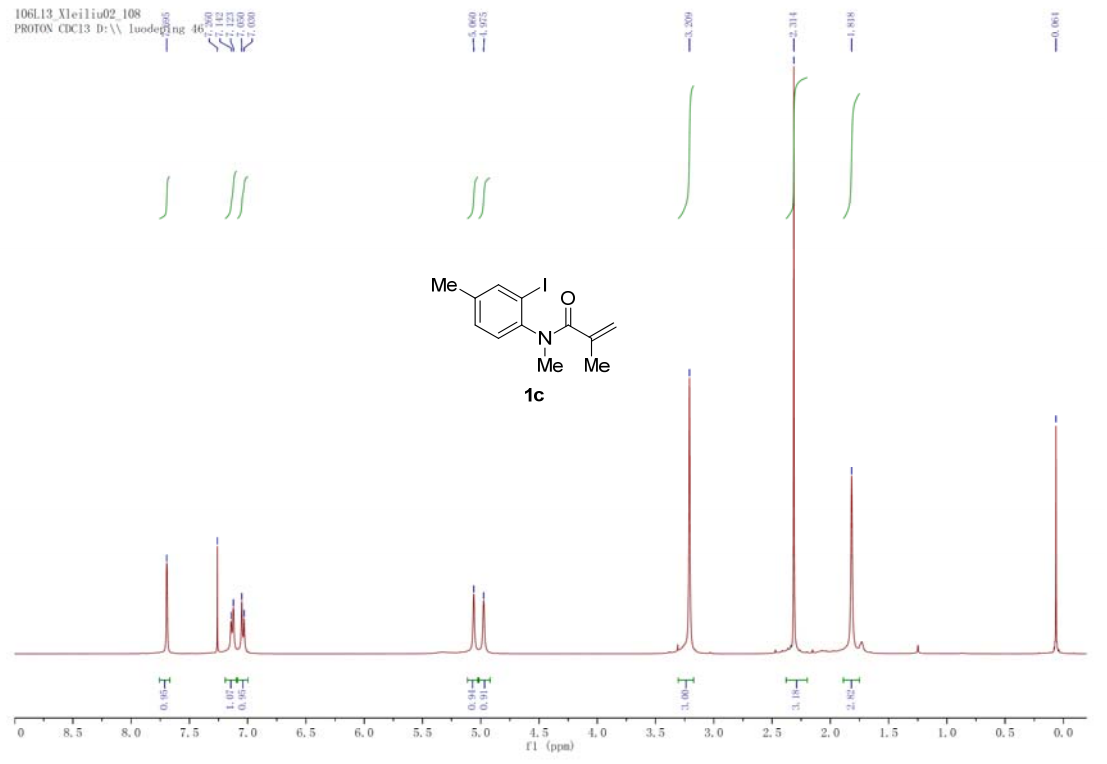
1a



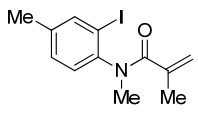
1b



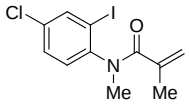
1b



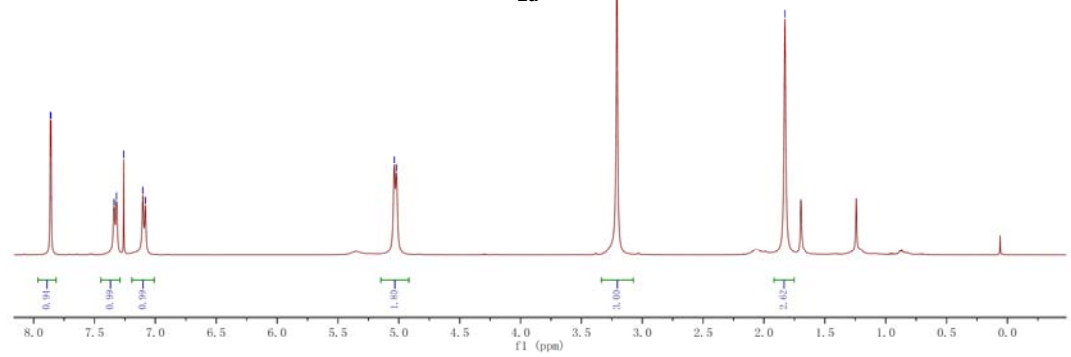
1c

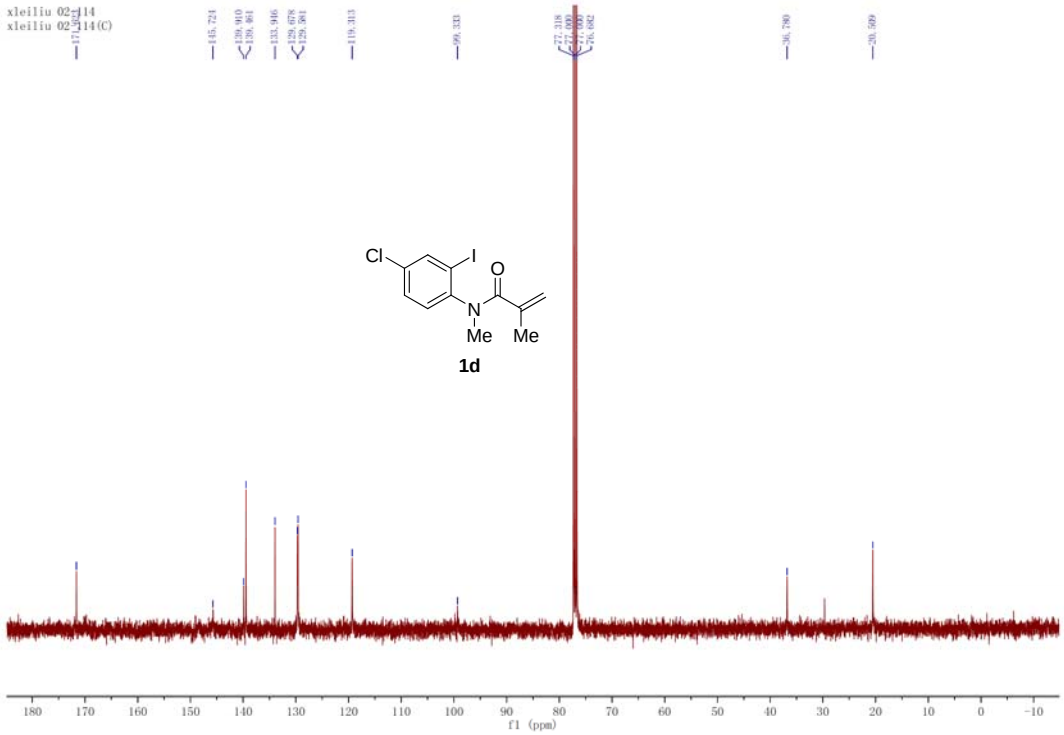


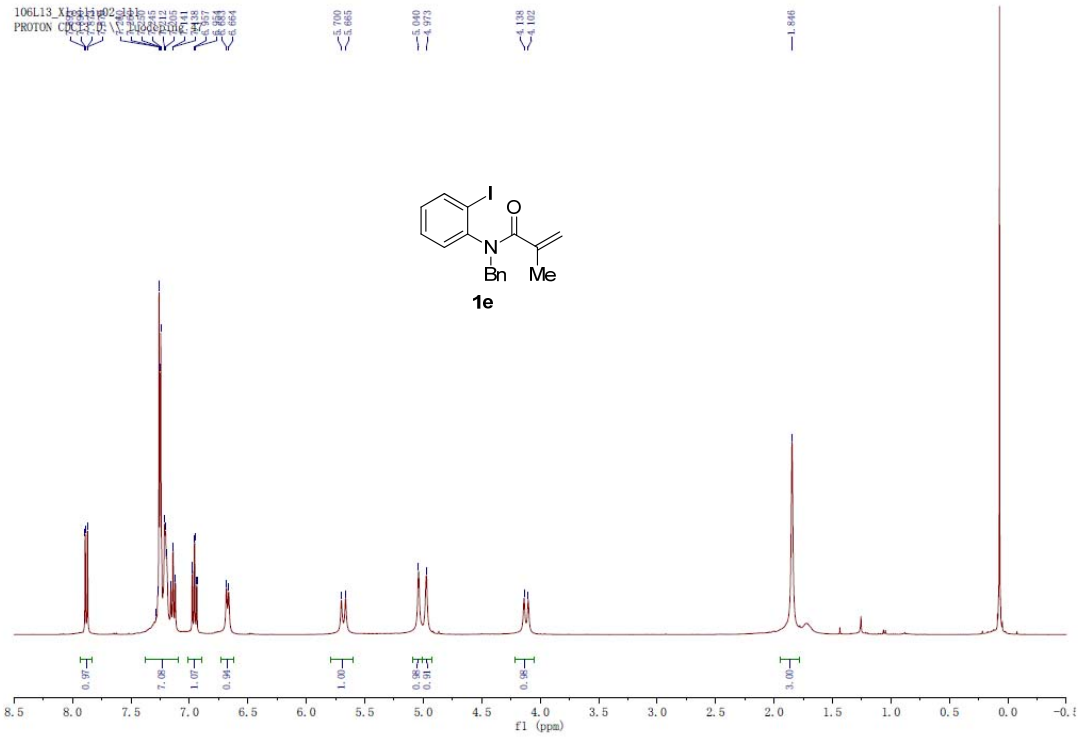
1c

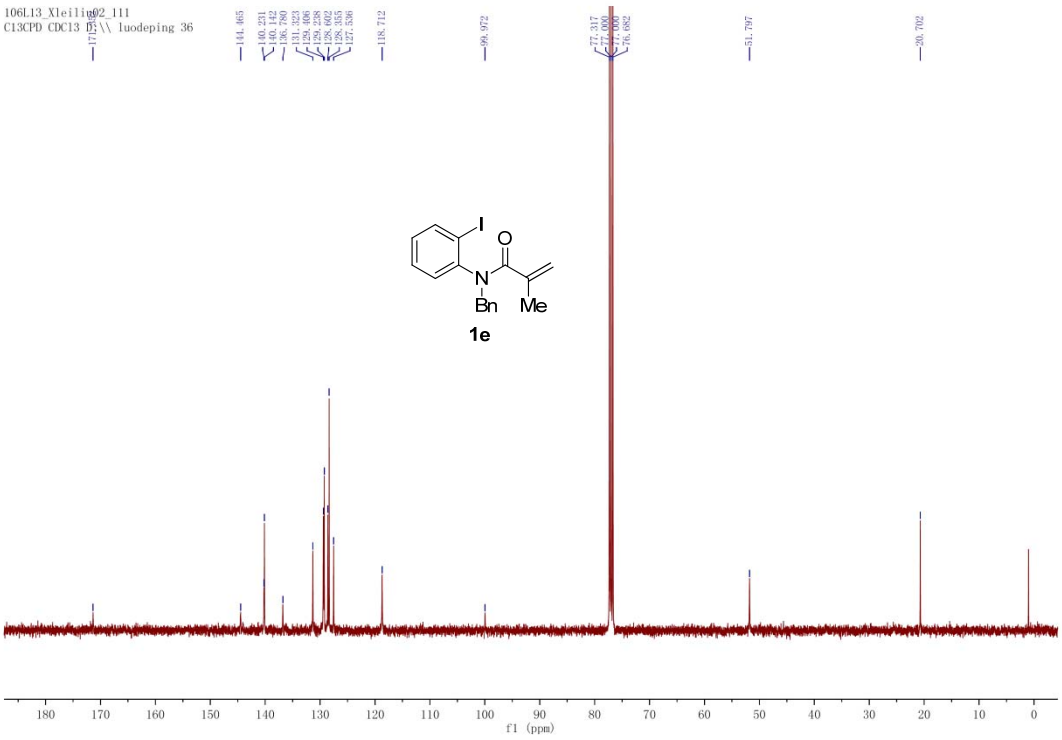


1d

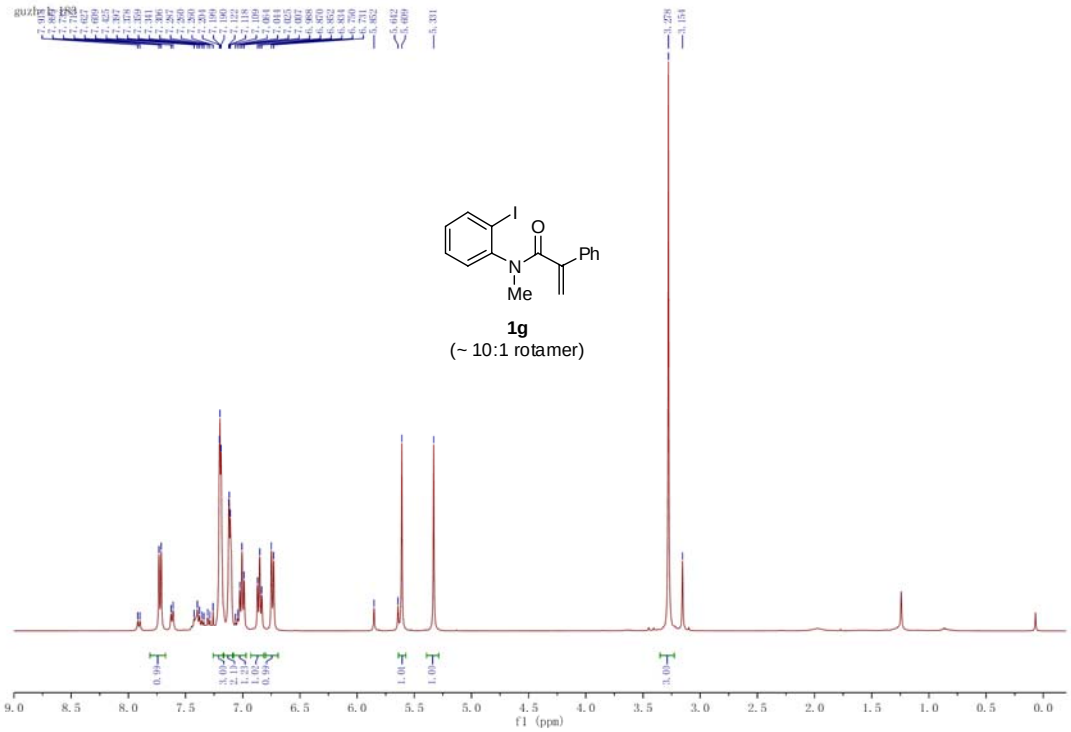



xleiliu 02-114
xleiliu 02-114(C)
Cl
I
O
N
Me
Me
1d
f1 (ppm)


I
O
N
Bn
Me
1e
f1 (ppm)

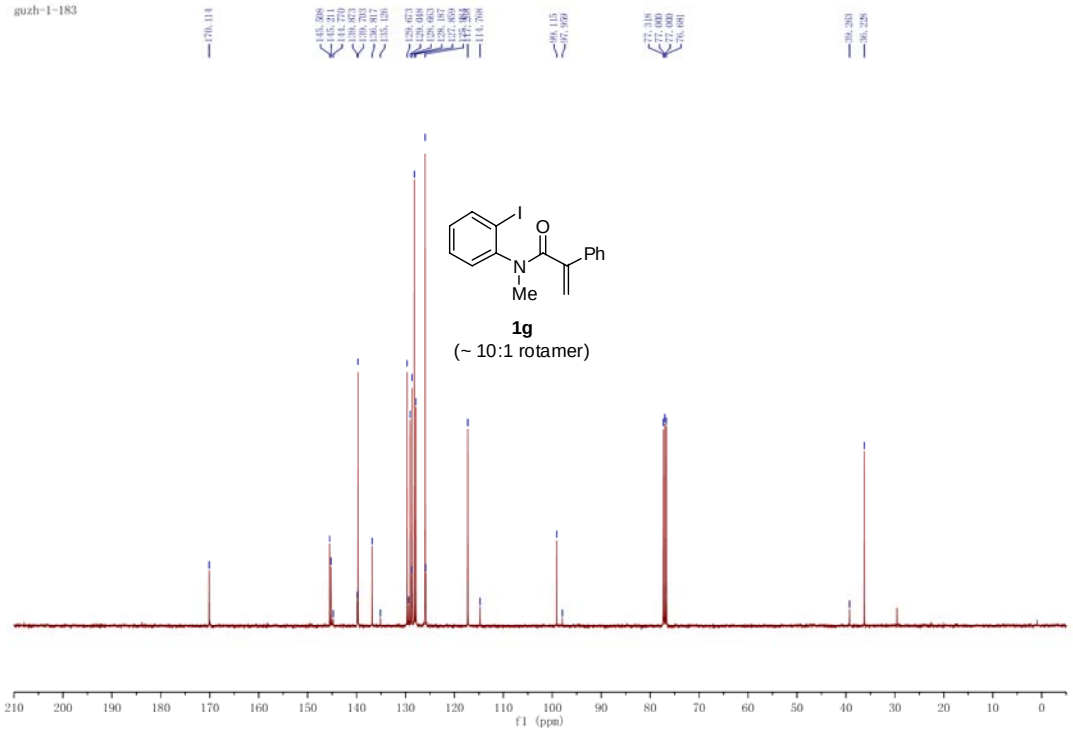


1e



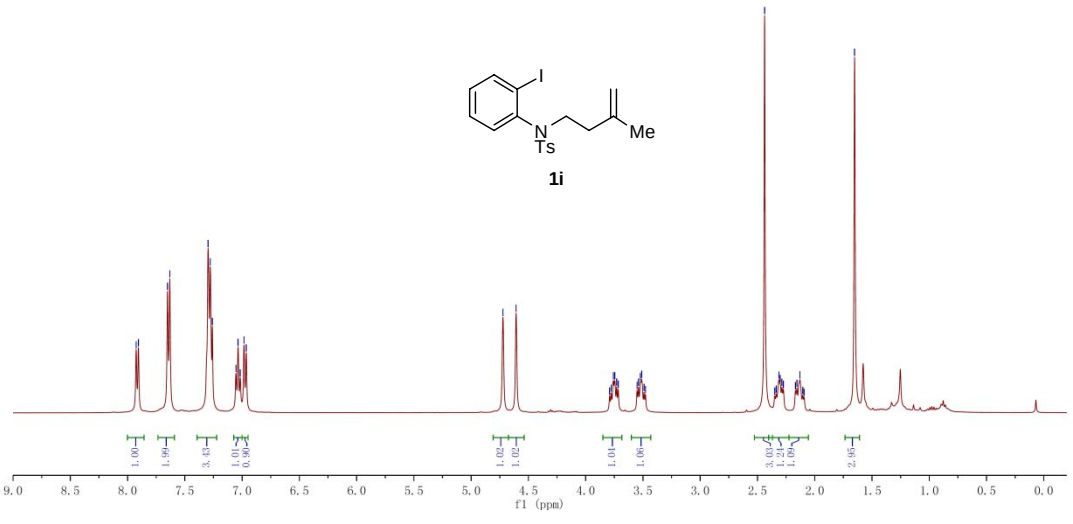
1g
(~ 10:1 rotamer)

guzh-1-183

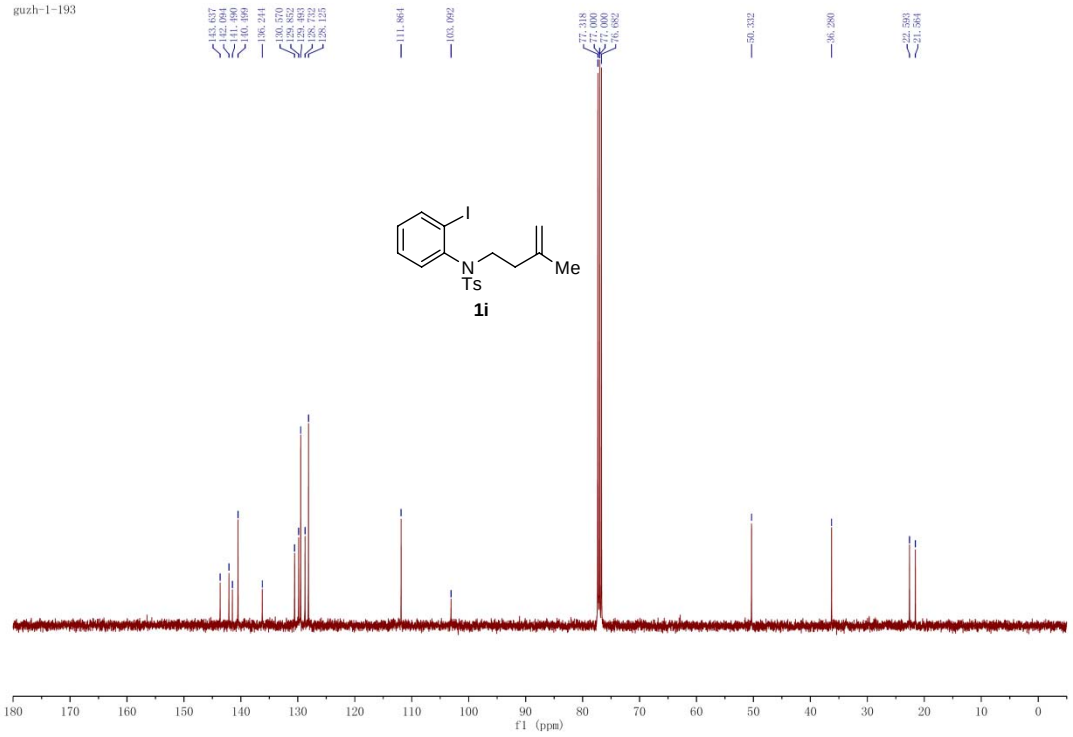


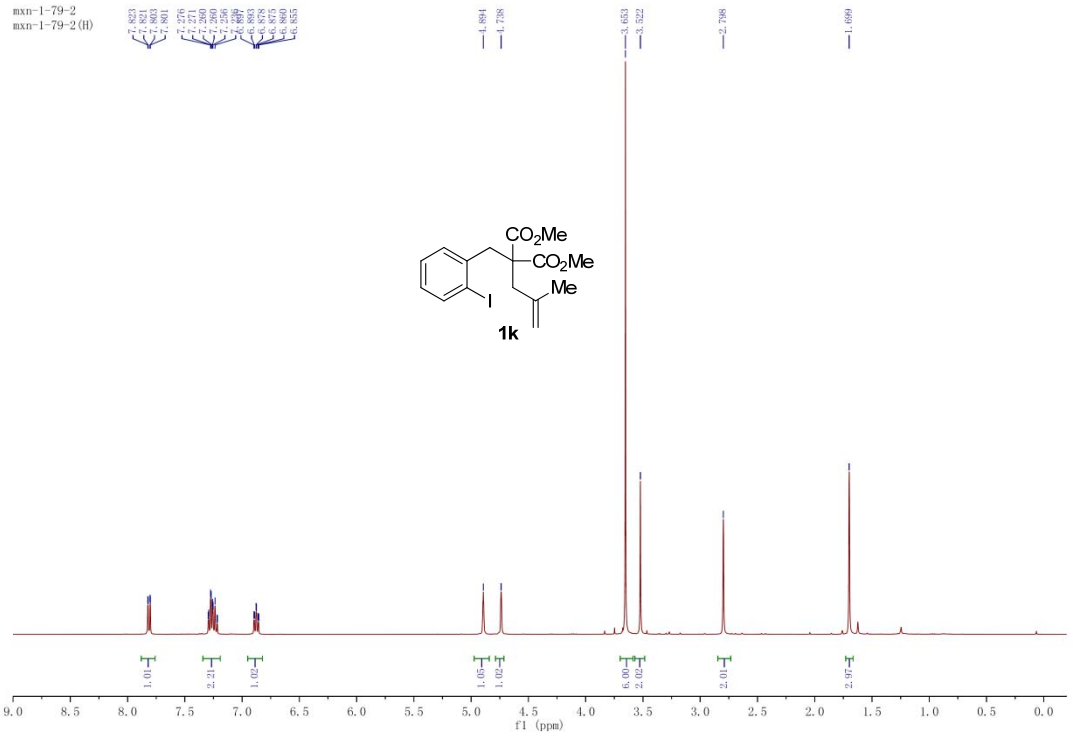
1g
(~ 10:1 rotamer)

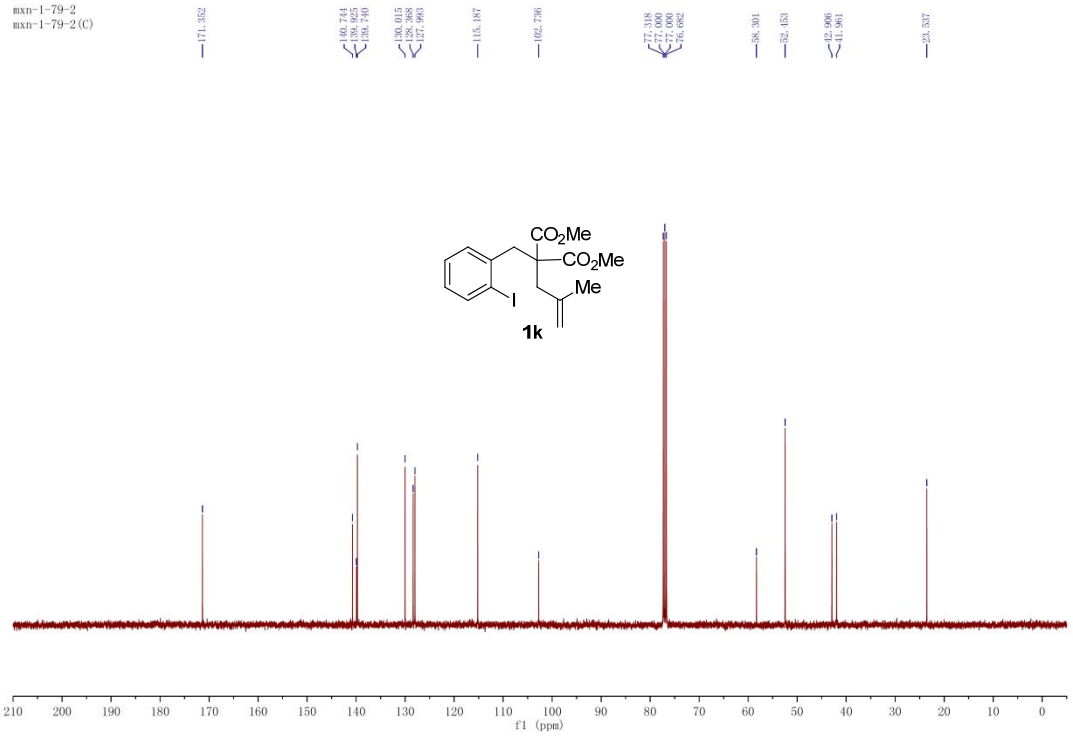
guzh-1-193

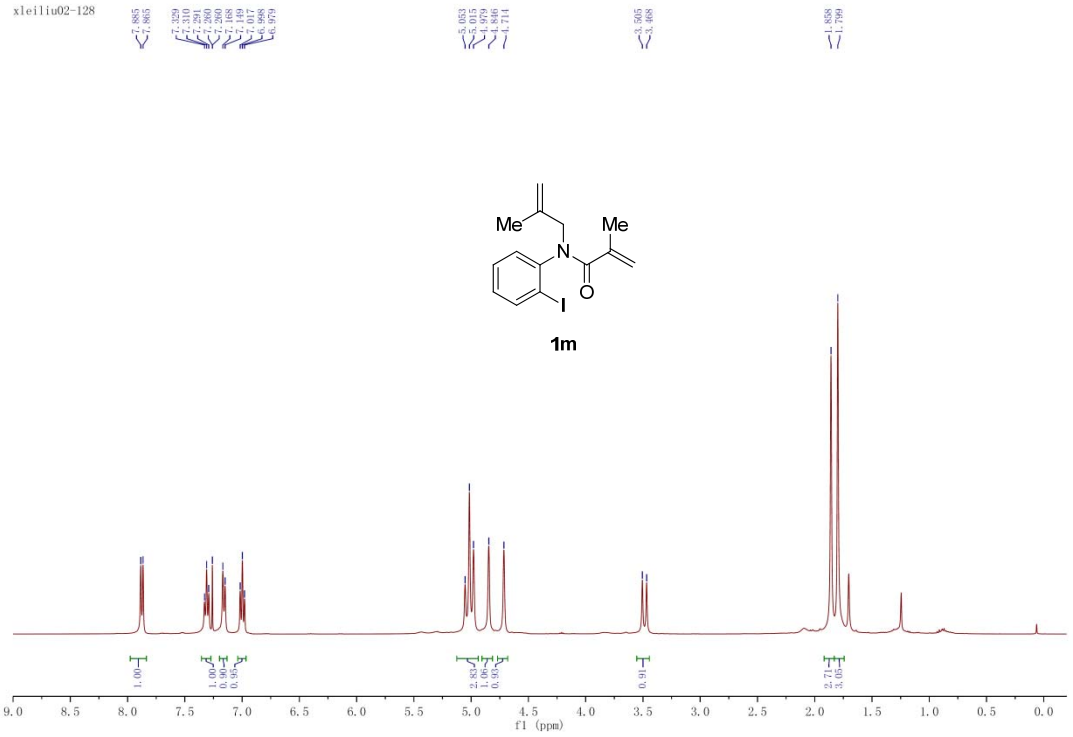


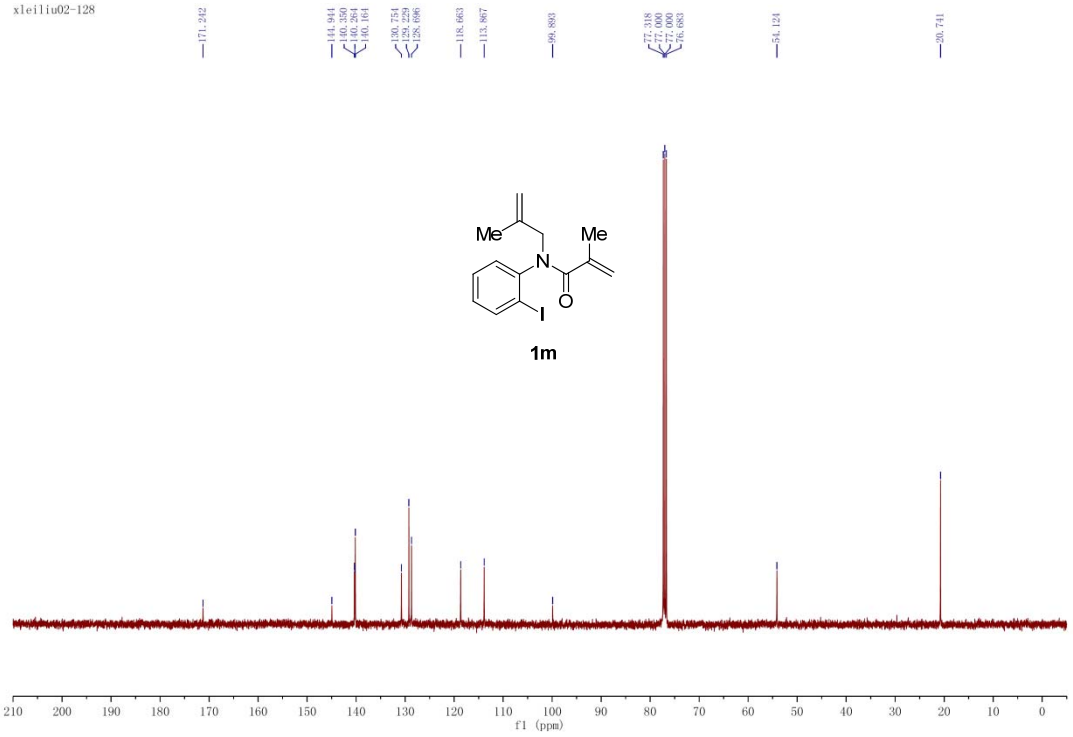
1i

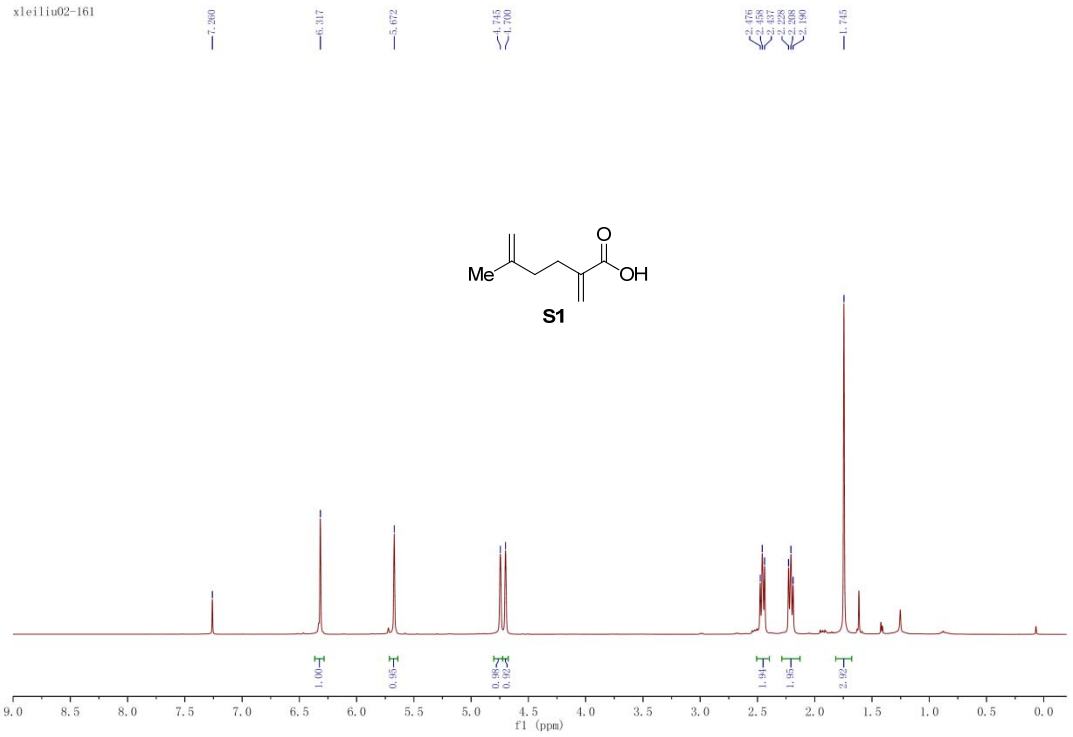

guzh-1-193
143.637
142.994
141.868
140.899
136.244
130.570
129.852
129.493
128.732
128.125
111.864
103.092
77.318
77.000
77.000
76.682
50.332
36.280
22.593
21.564
I
N
Ts
Me
1i
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

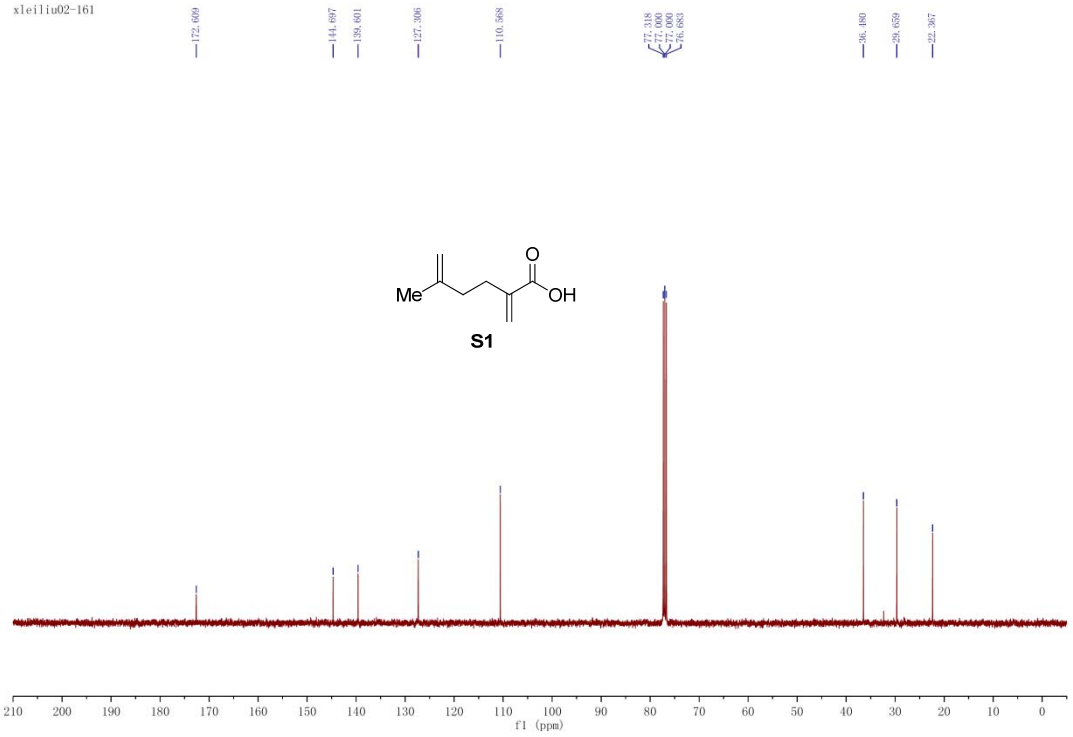

mxn-1-79-2
mxn-1-79-2 (H)
7.823
7.821
7.803
7.801
7.276
7.271
7.260
7.256
6.897
6.893
6.878
6.875
6.860
6.855
4.894
4.738
3.653
3.522
2.798
1.699
CO2Me
CO2Me
Me
I
1k
1.01
2.21
1.02
1.05
1.02
6.00
2.02
2.01
2.97
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

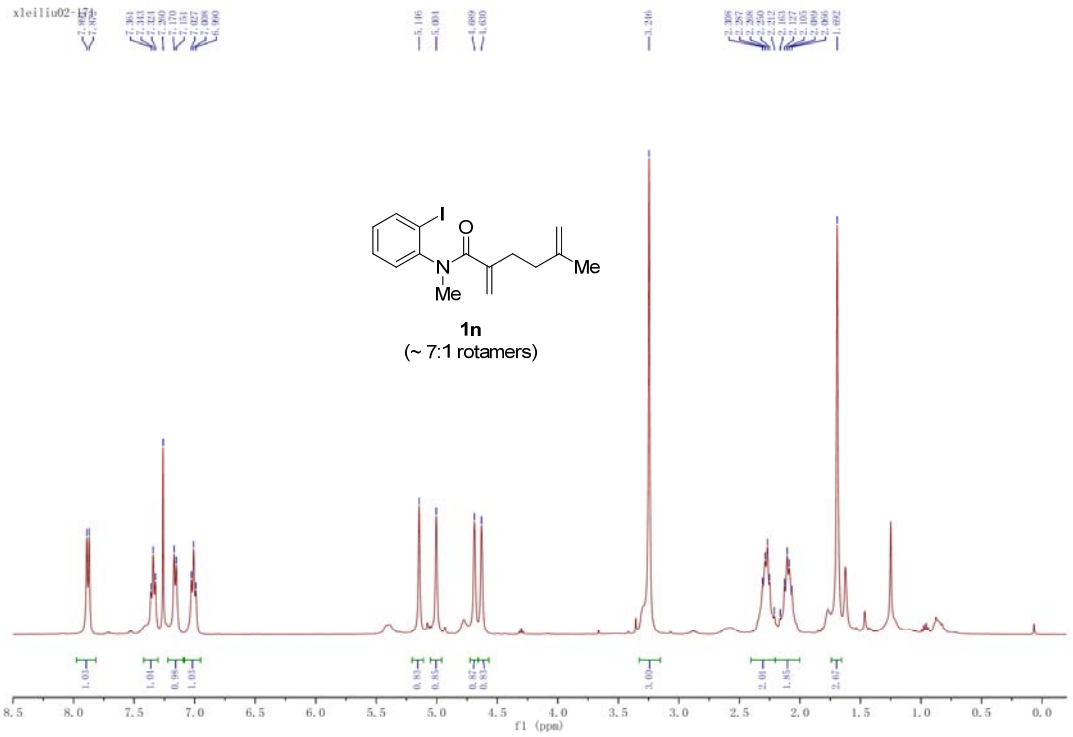

mxn-1-79-2
mxn-1-79-2(C)
171.352
140.744
139.925
130.740
130.015
128.368
127.963
115.187
102.736
77.318
77.000
76.682
58.301
52.453
42.906
41.961
23.537
CO2Me
CO2Me
Me
I
1k
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

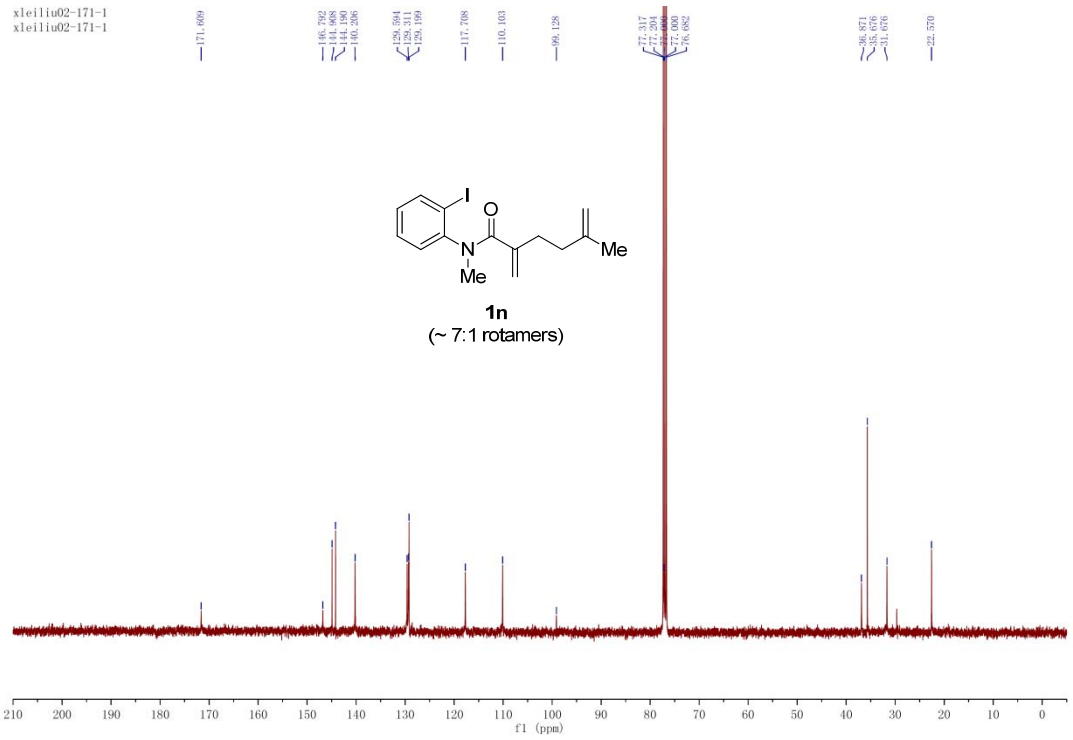

xleiliu02-128
7.885
7.865
7.329
7.310
7.291
7.280
7.168
7.149
7.047
6.988
6.979
5.053
5.015
4.979
4.846
4.714
3.505
3.468
1.858
1.799
Me
Me
N
O
I
1m
1.00
1.00
0.90
0.95
2.83
1.06
0.93
0.91
2.71
3.05
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


xleiliu02-128
171.242
144.944
140.350
140.264
140.164
130.754
128.229
126.696
118.663
113.867
99.893
77.318
77.000
76.683
54.124
20.741
Me
Me
N
O
I
1m
f1 (ppm)

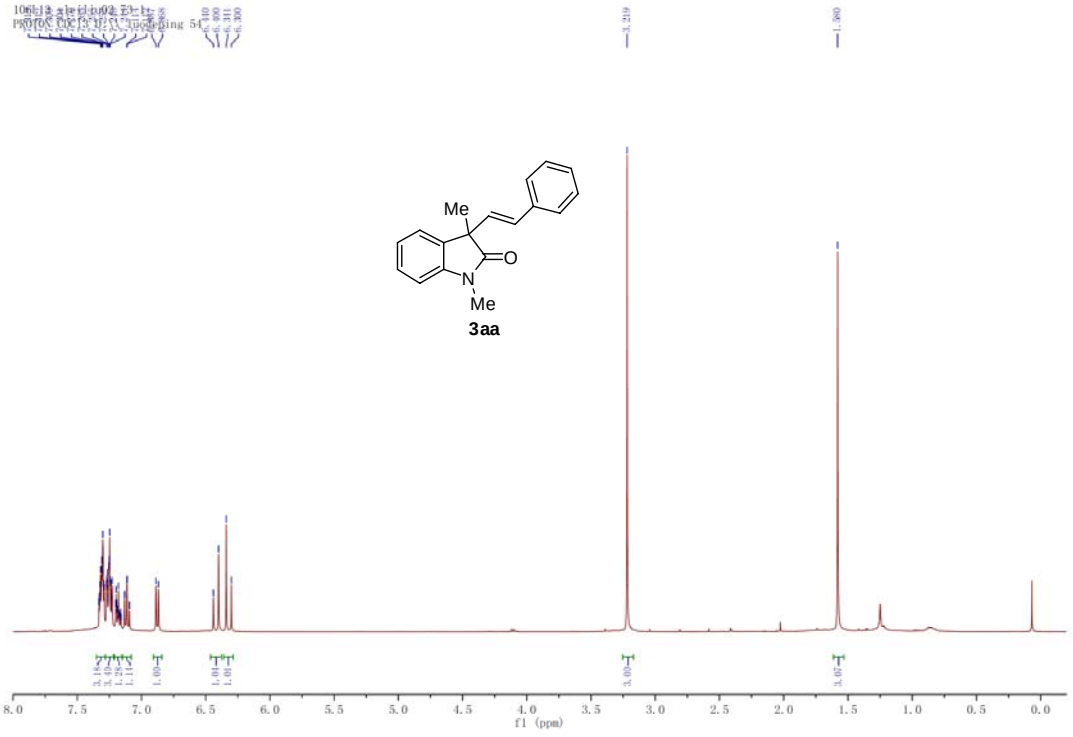

xleiliu02-161
7.260
6.317
5.672
4.745
1.700
2.476
2.458
2.437
2.298
2.288
2.190
1.745
Me
O
OH
S1
1.00
0.95
0.98
0.92
1.94
1.95
2.92
f1 (ppm)


xleiliu02-161
Me
OH
O
S1
f1 (ppm)

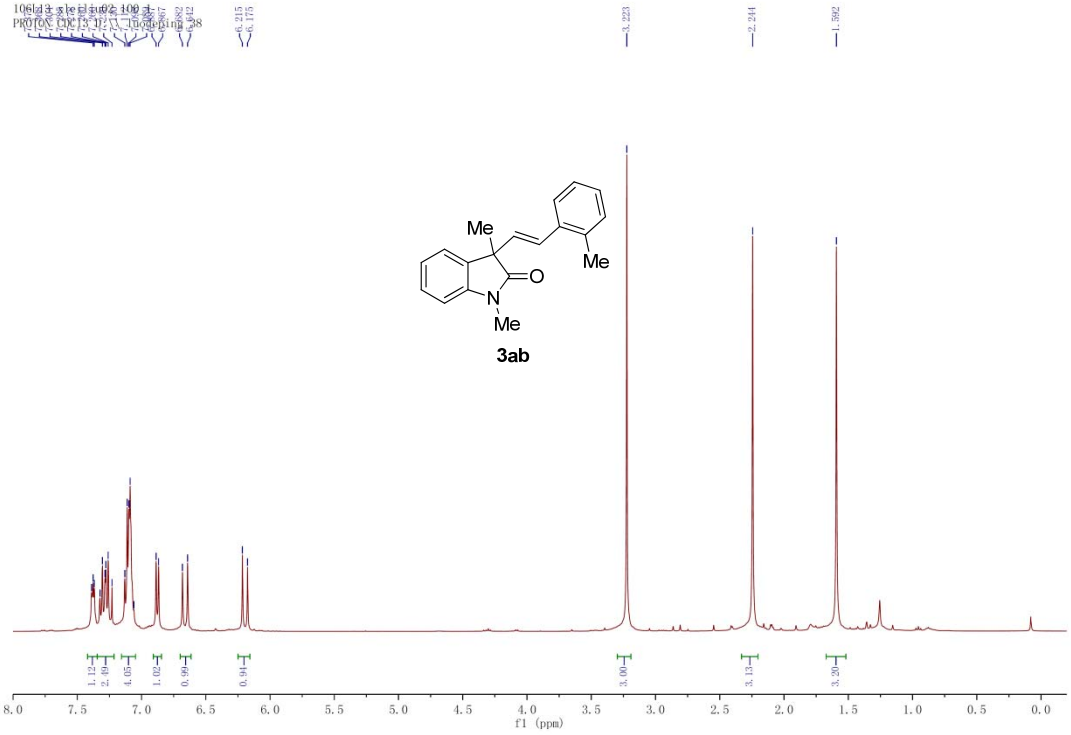

xleiliu02-1
I
O
N
Me
Me
1n
(~ 7:1 rotamers)
f1 (ppm)

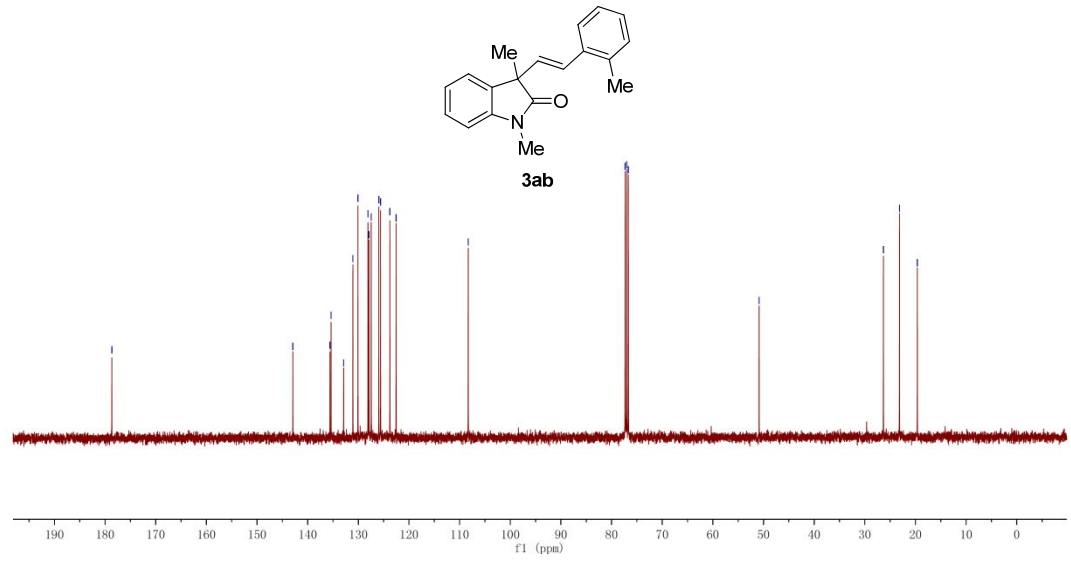


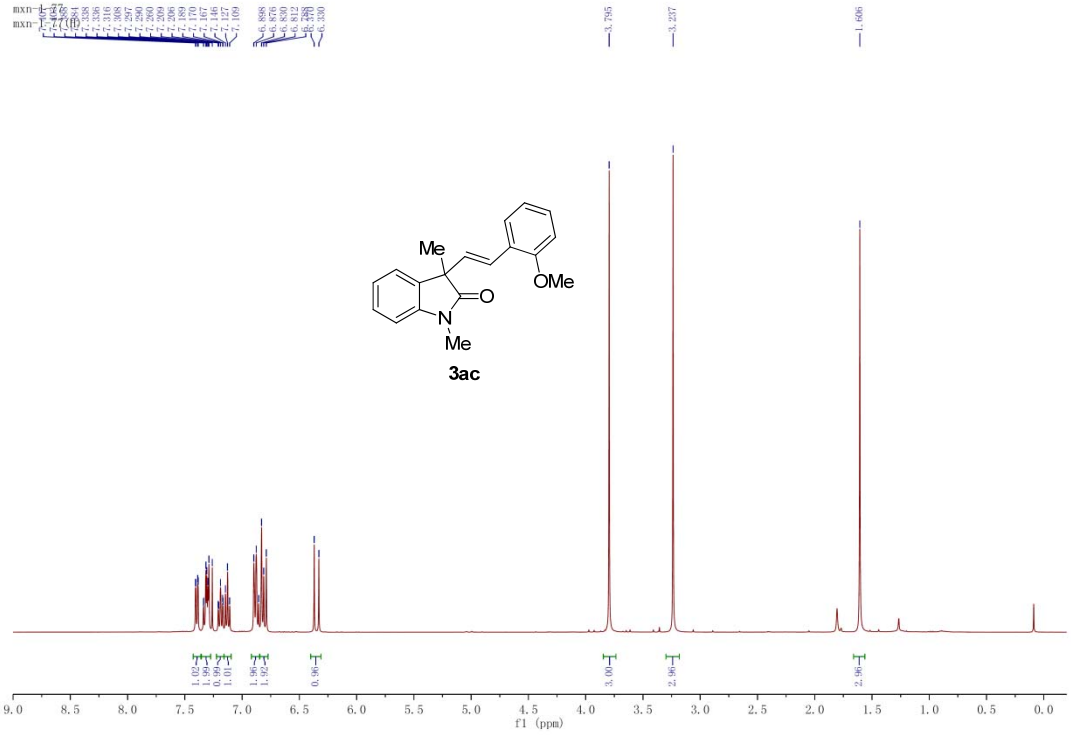
1n
(~ 7:1 rotamers)

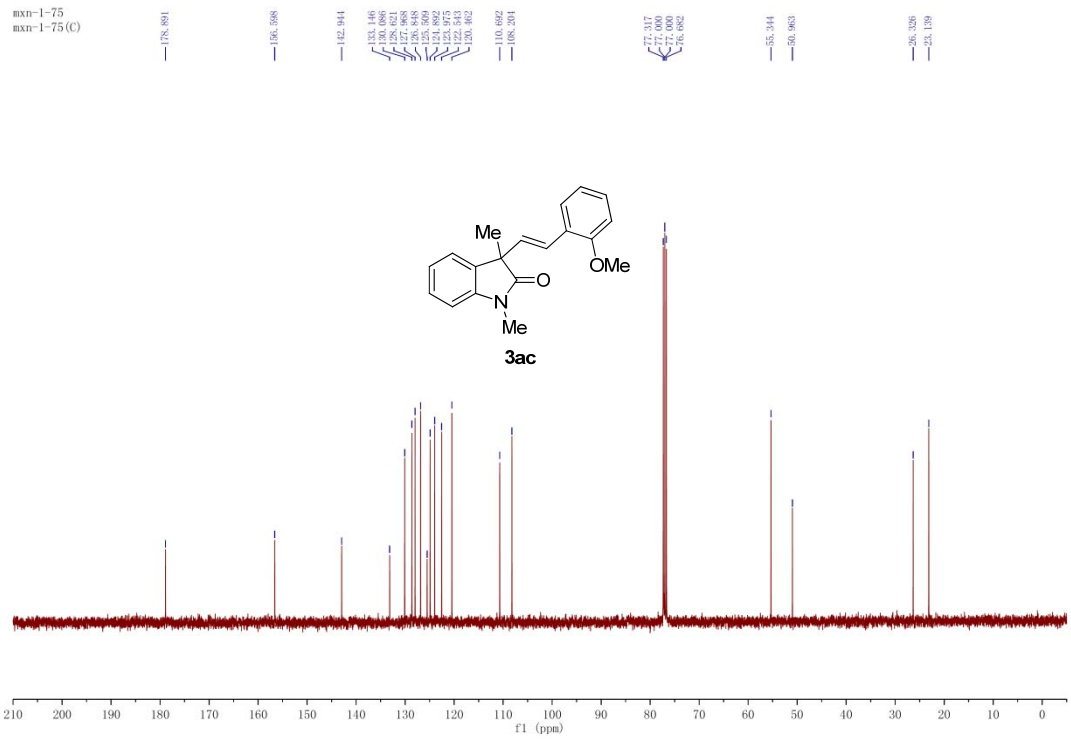


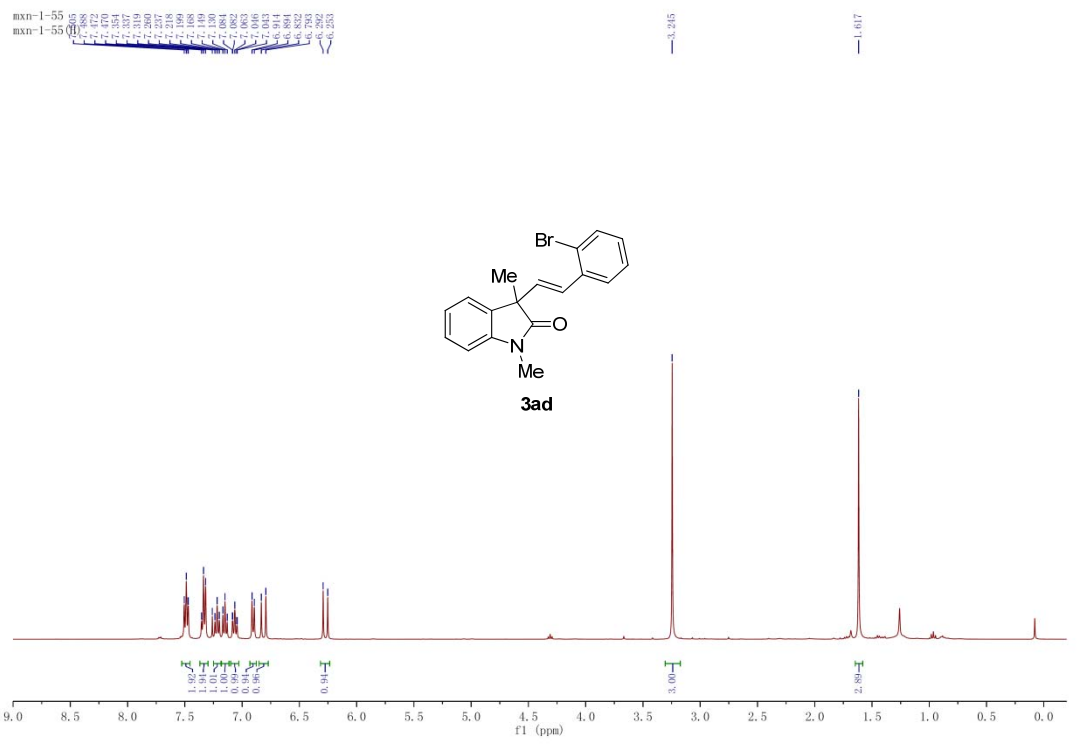
3aa

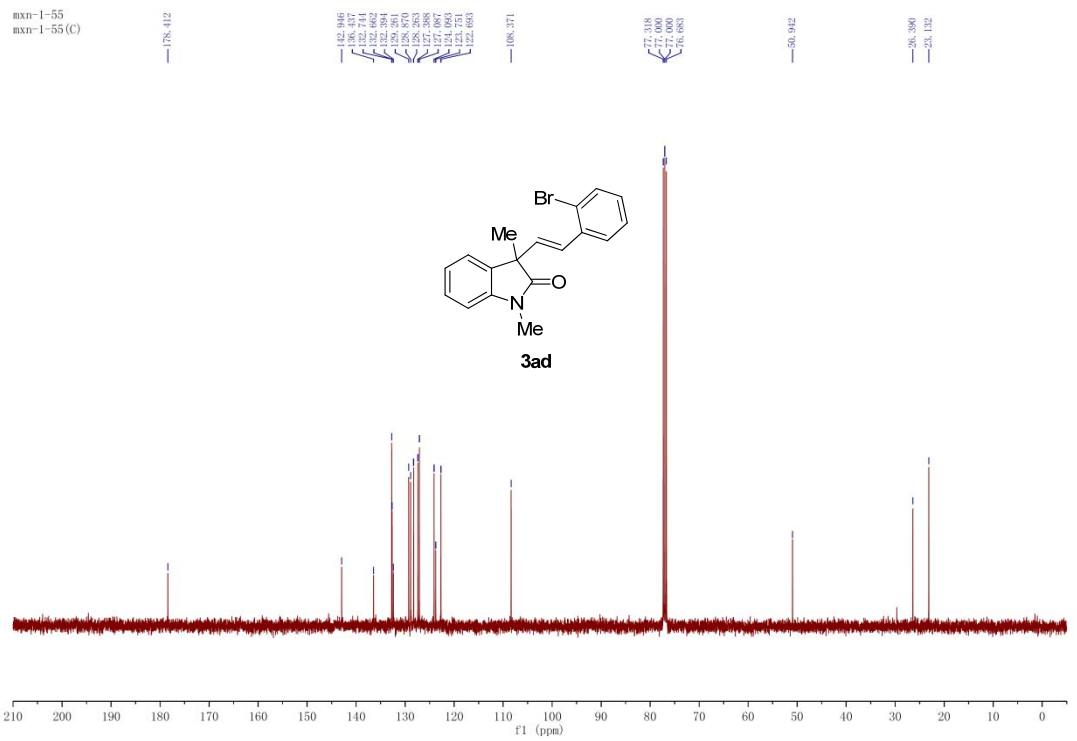

Me
Me
O
N
Me
3ab
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

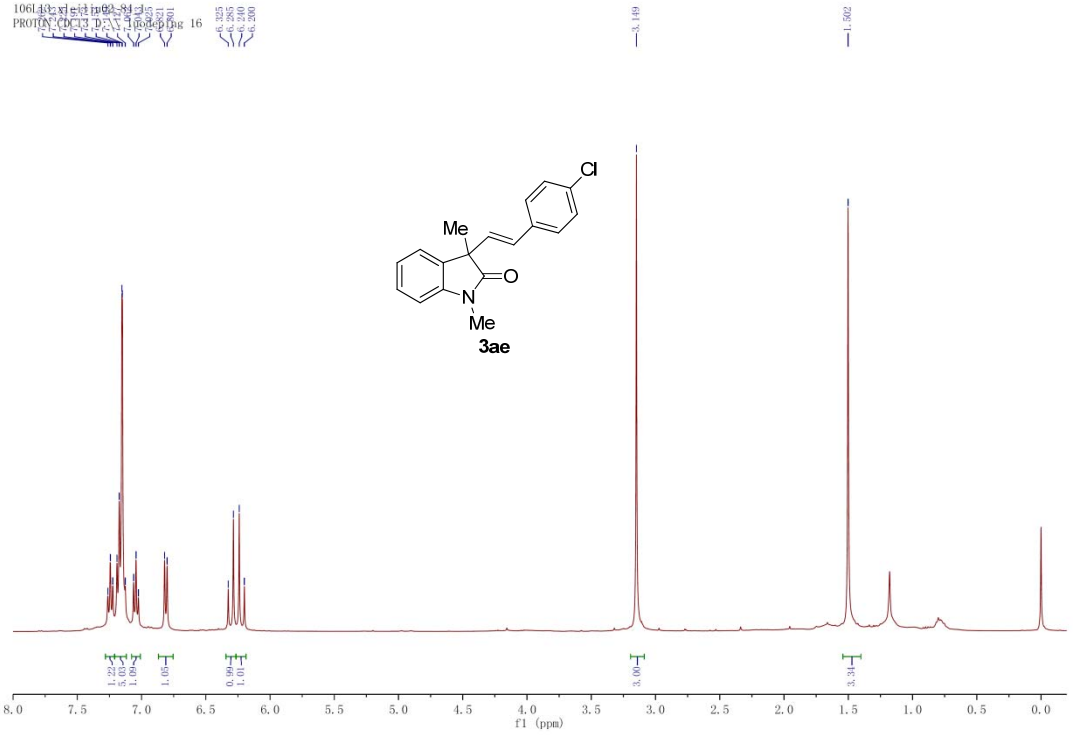

Me
Me
O
N
Me
3ab
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

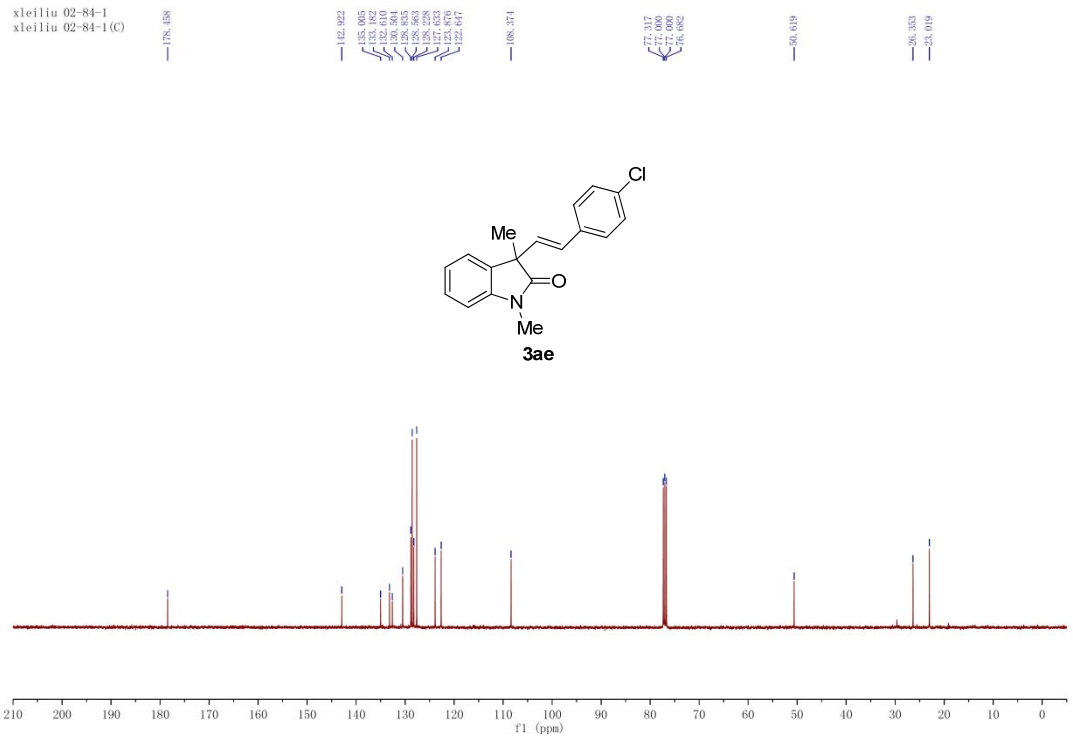

Me
OMe
O
N
Me
3ac
f1 (ppm)

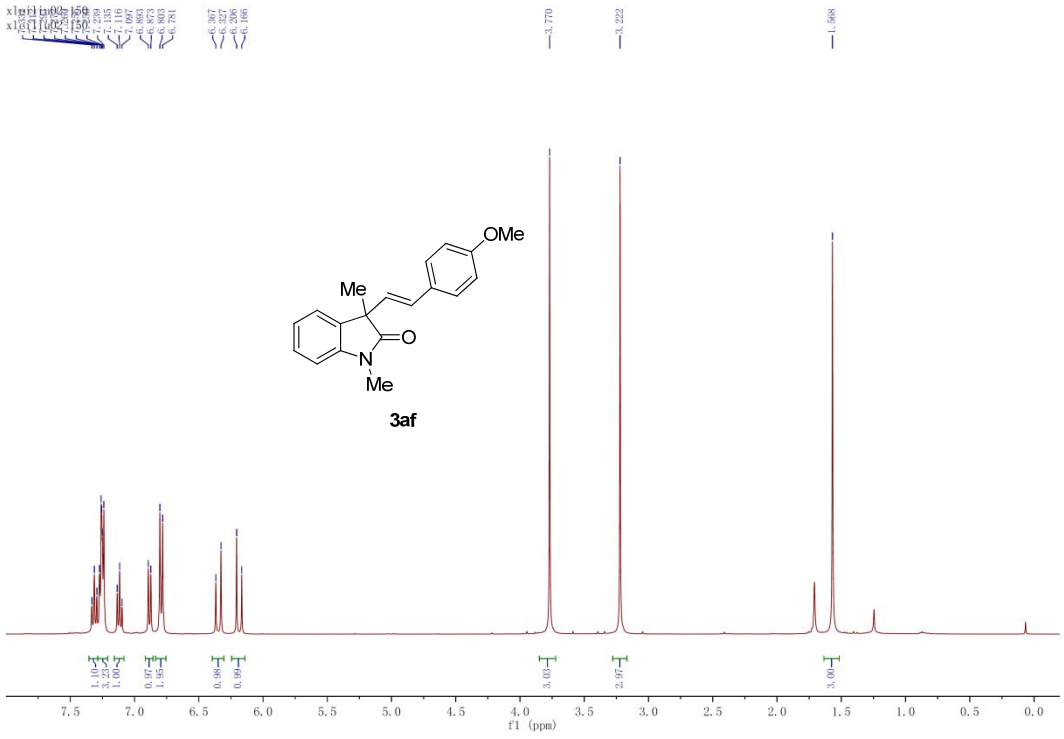

Me
OMe
O
N
Me
3ac
f1 (ppm)

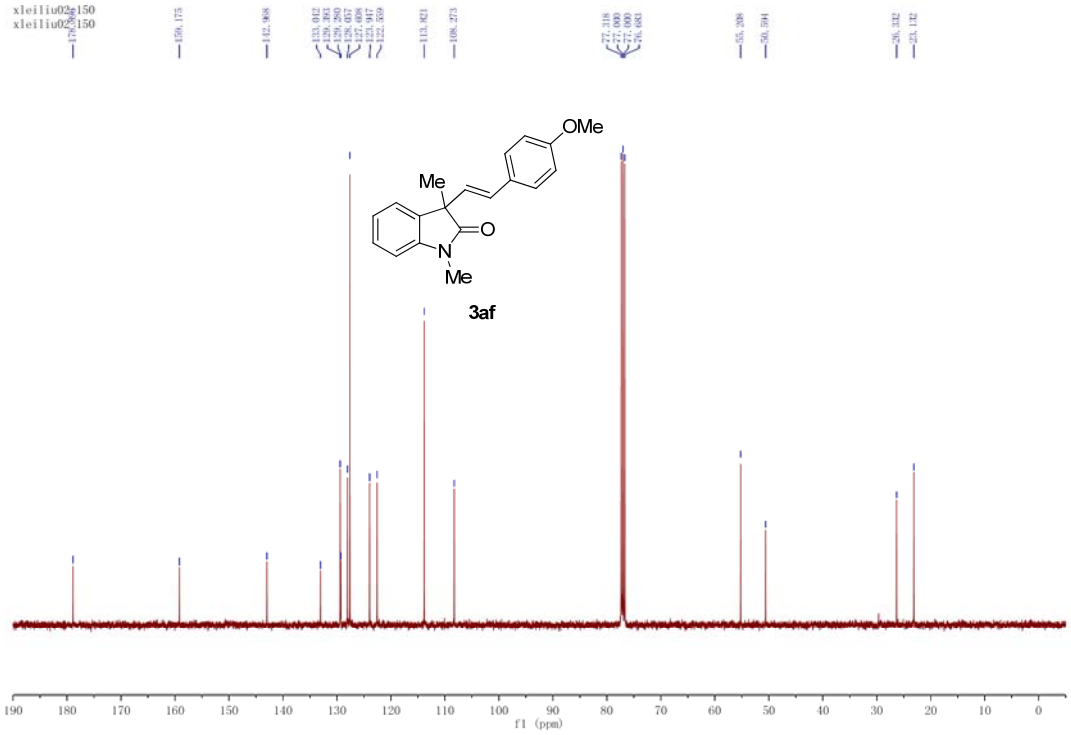

Br
Me
O
N
Me
3ad
f1 (ppm)

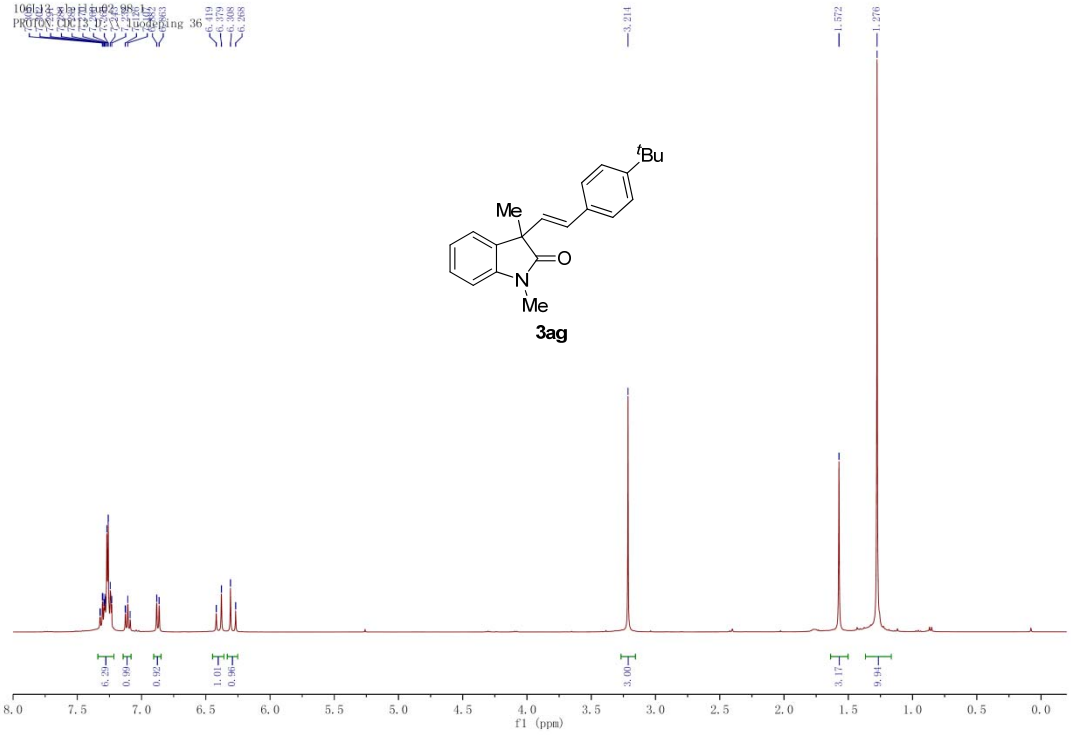

Br
Me
O
N
Me
3ad
f1 (ppm)


Cl
Me
O
N
Me
3ae
f1 (ppm)

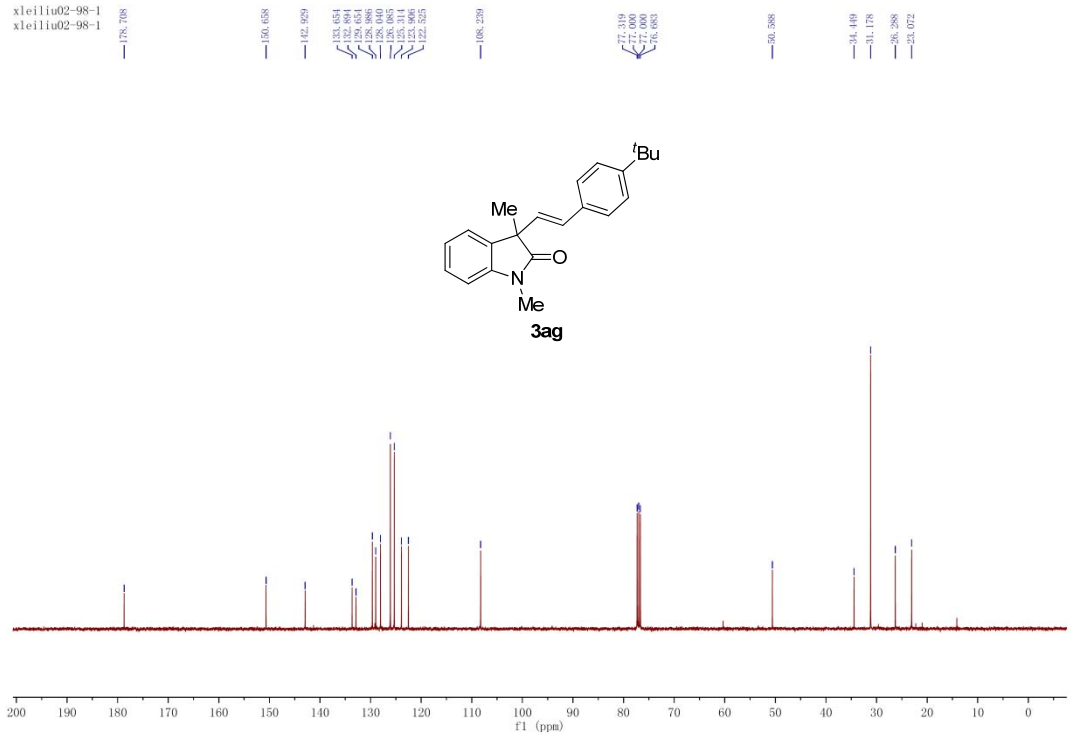

Cl
Me
O
N
Me
3ae
f1 (ppm)


OMe
Me
O
N
Me
3af
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

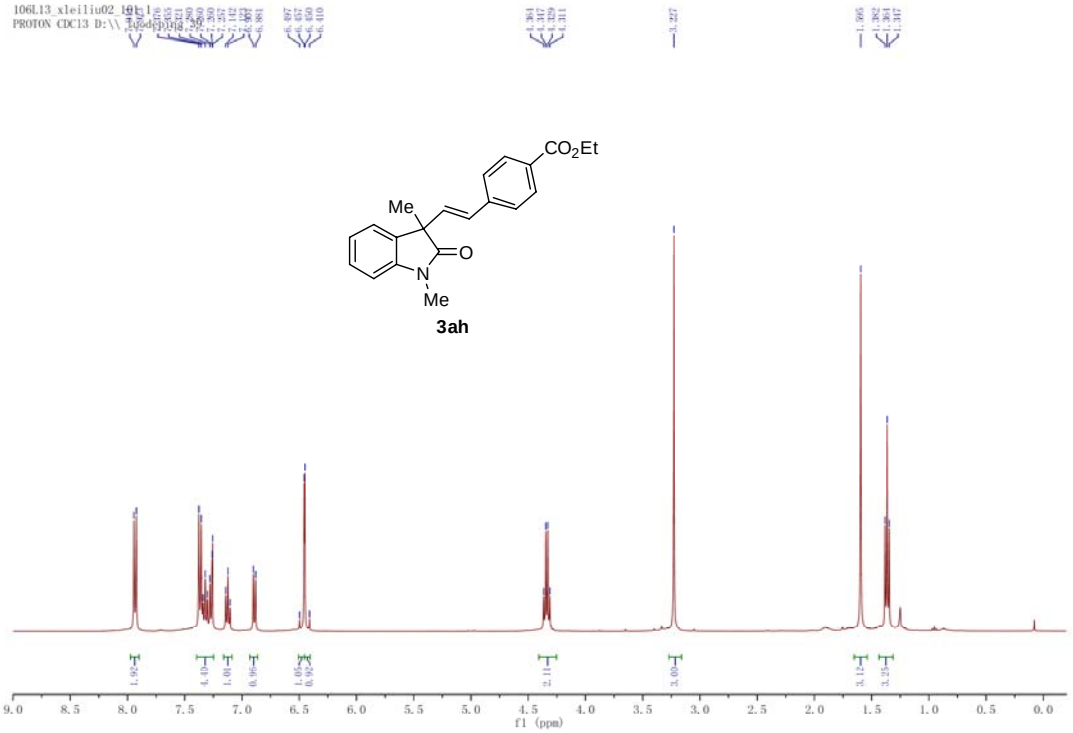

OMe
Me
O
N
Me
3af
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

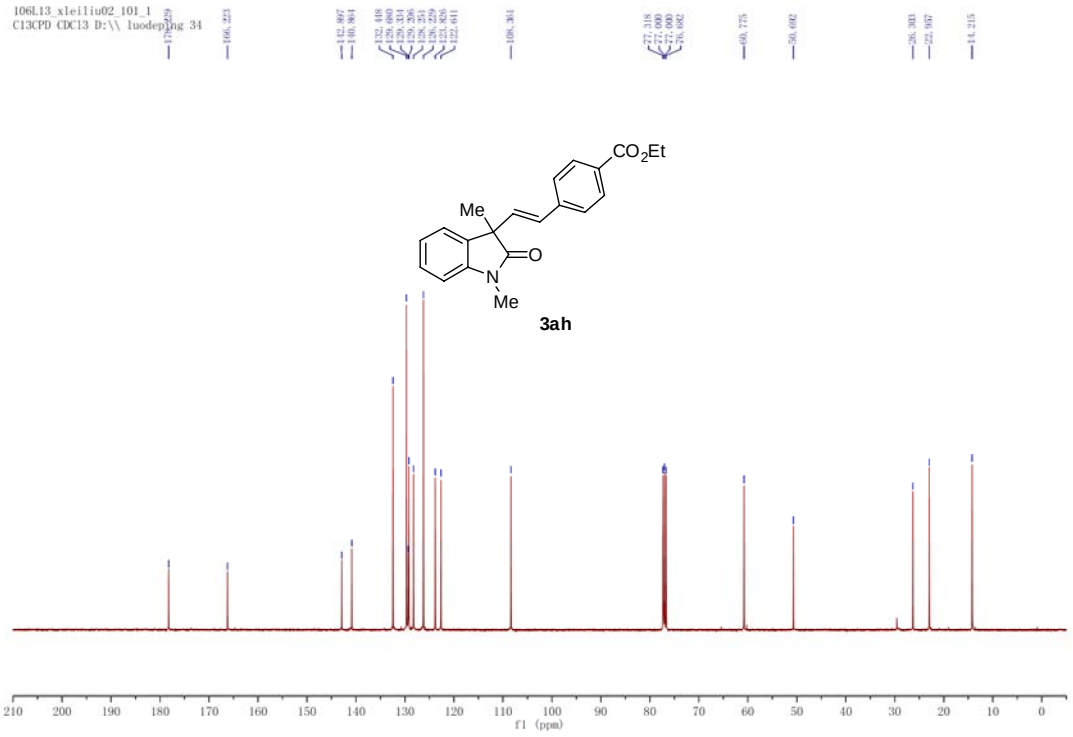

3.214
1.572
1.276
tBu
Me
O
N
Me
6.29
0.99
0.92
1.01
0.98
3.00
3.17
9.91
f1 (ppm)

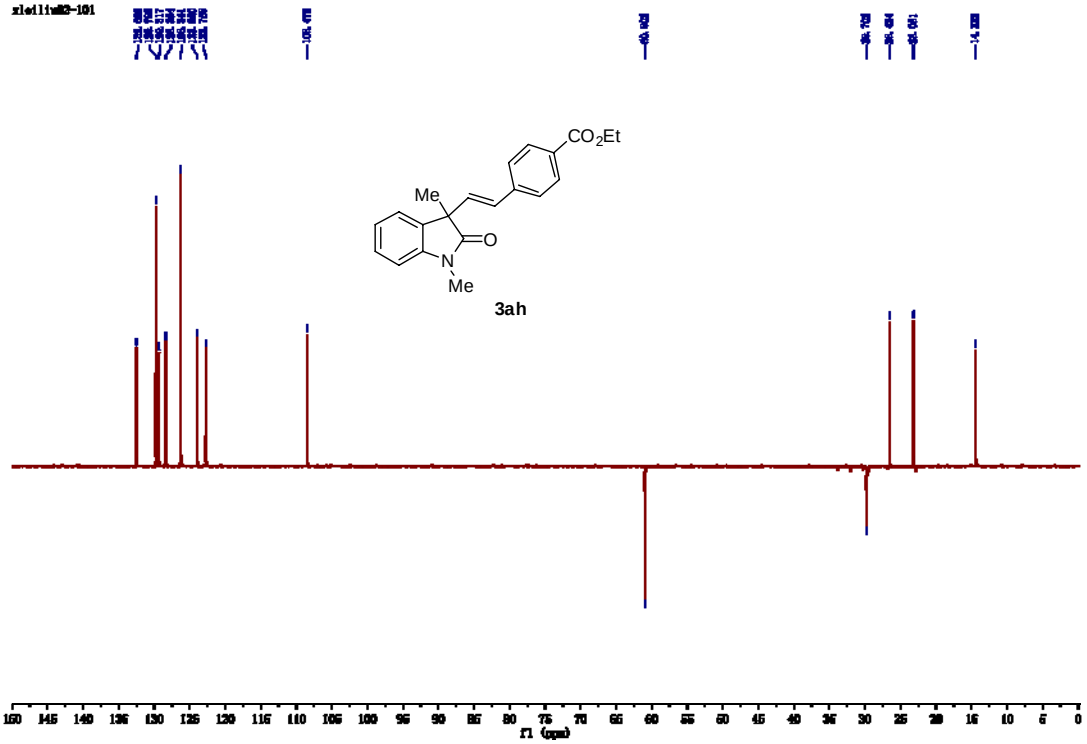
3ag

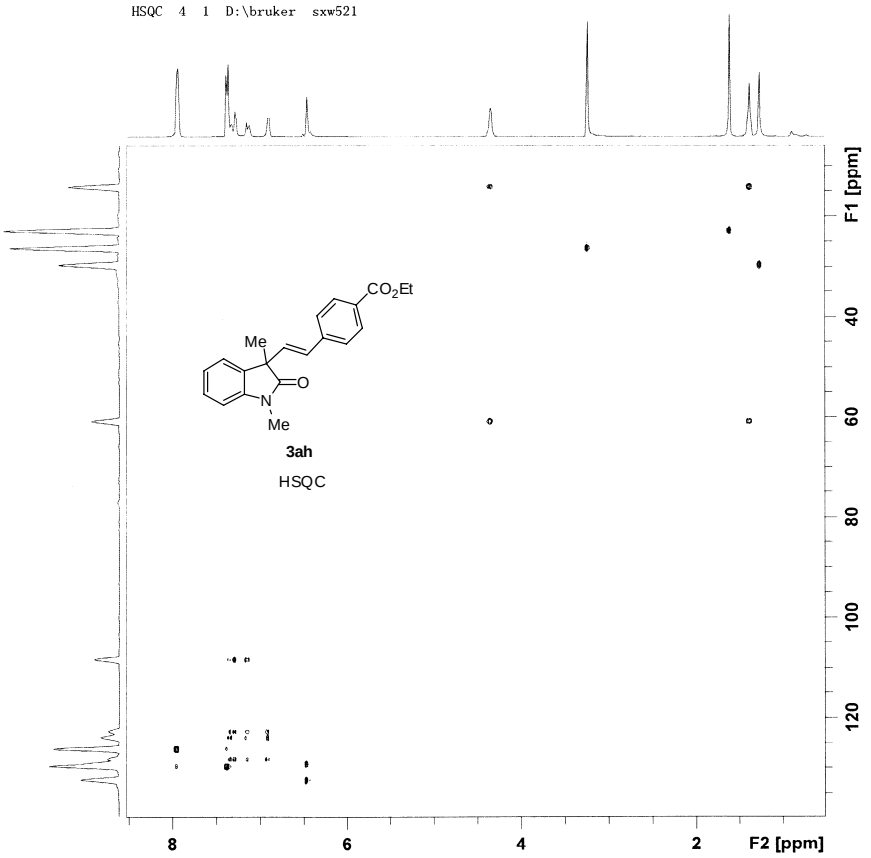

xleiliu02-98-1
xleiliu02-98-1
178.708
150.658
142.929
133.654
132.664
132.454
128.986
128.040
126.985
125.314
123.906
122.525
108.239
77.319
77.000
76.683
50.888
34.449
31.178
26.288
23.072
tBu
Me
O
N
Me
f1 (ppm)

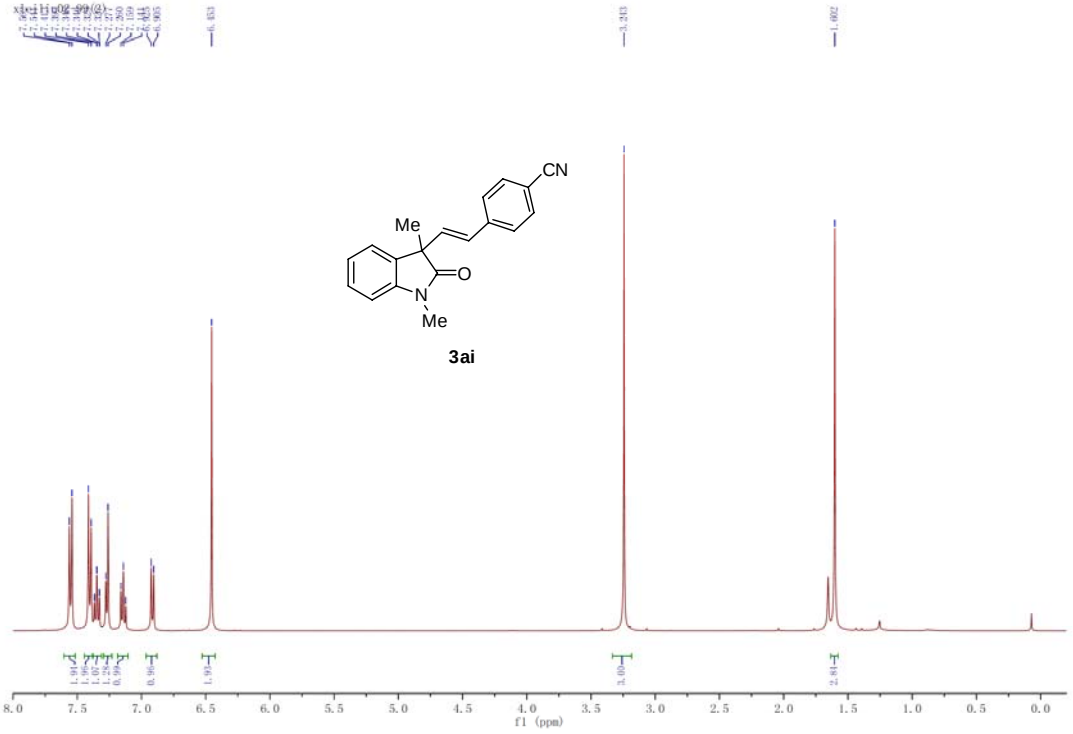
3ag

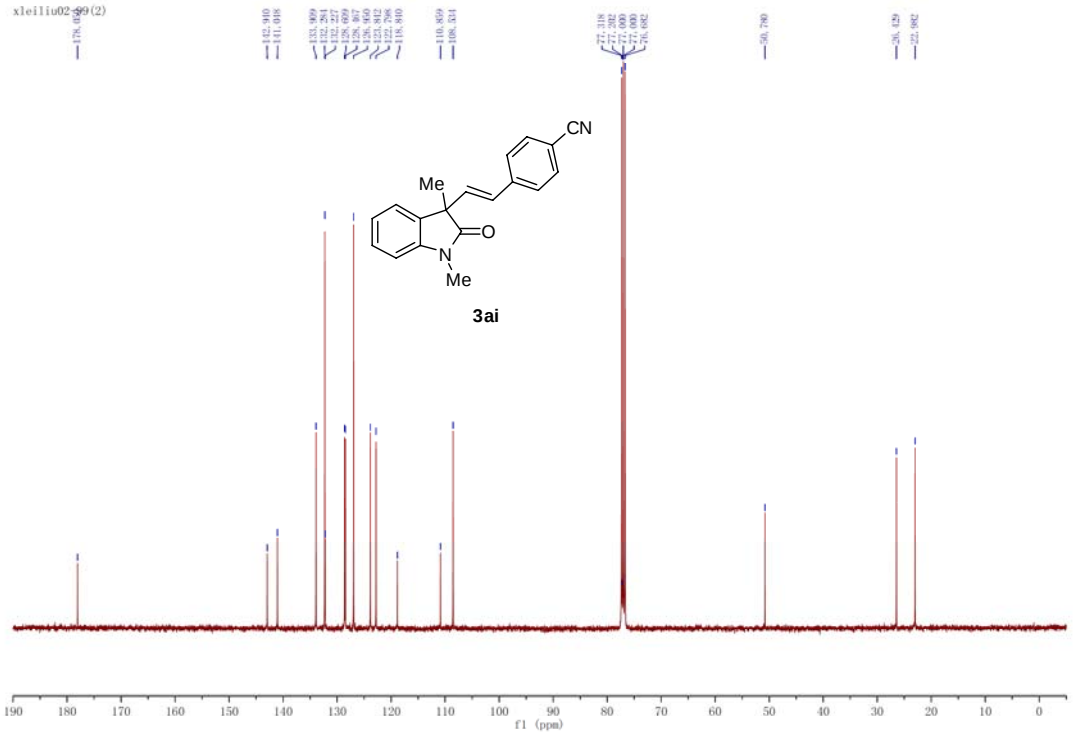

CO2Et
Me
O
N
Me
3ah
f1 (ppm)

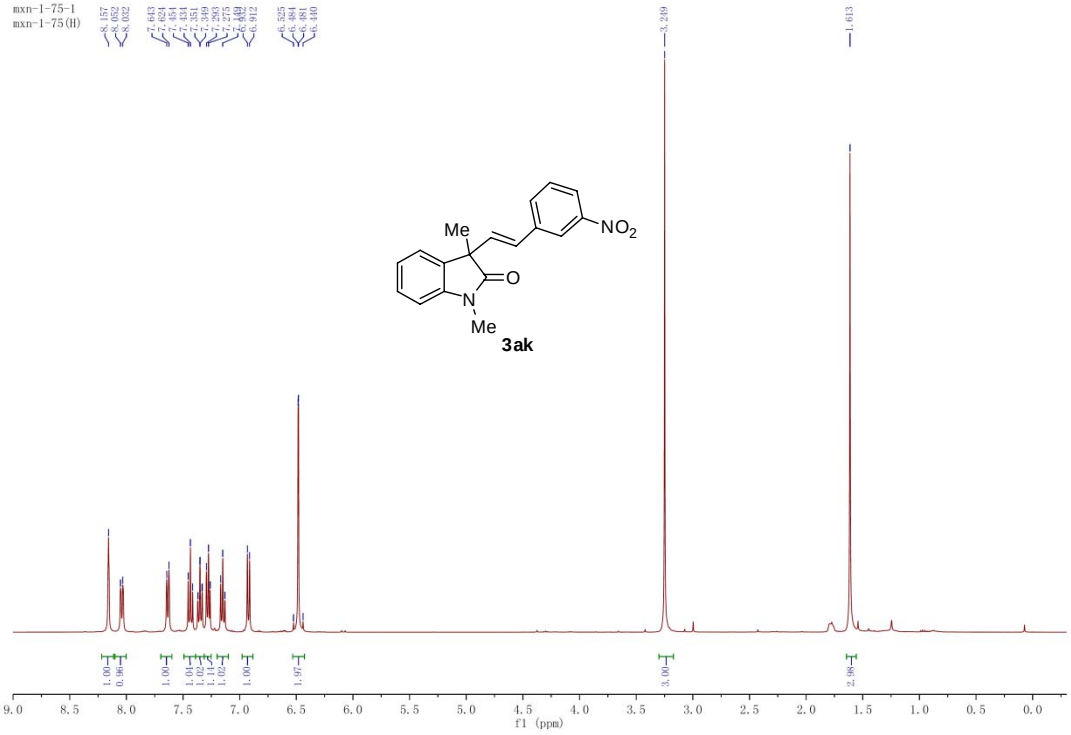

CO2Et
Me
O
N
Me
3ah
f1 (ppm)

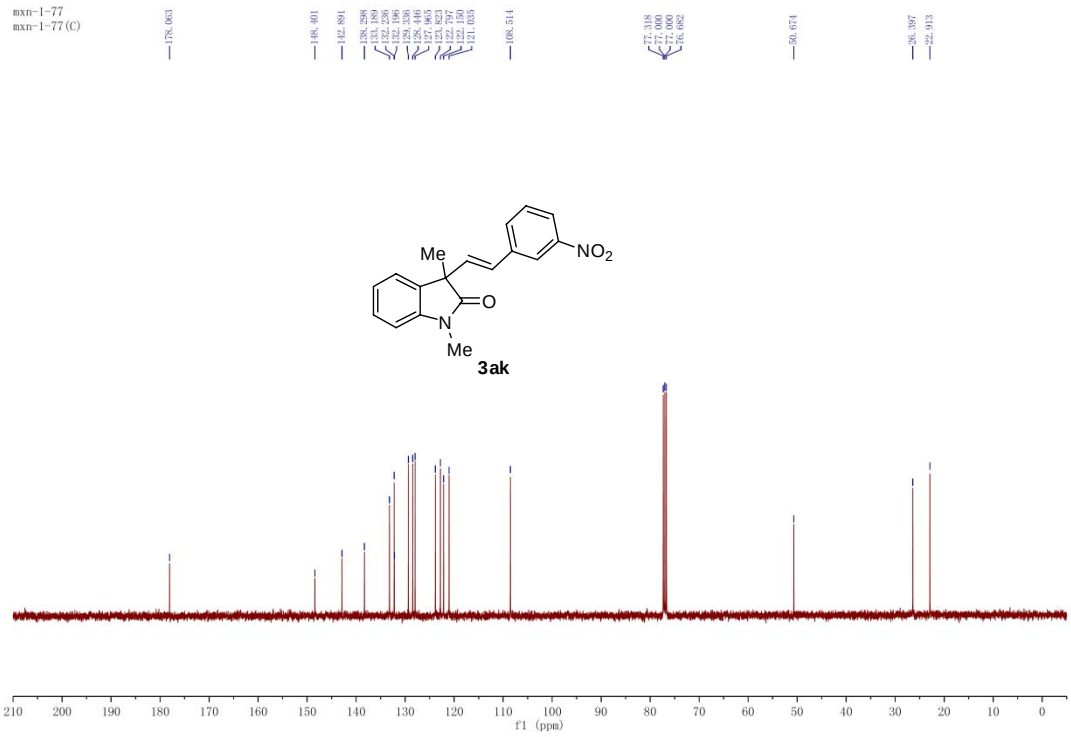

CO2Et
Me
O
N
Me
3ah
f1 (ppm)

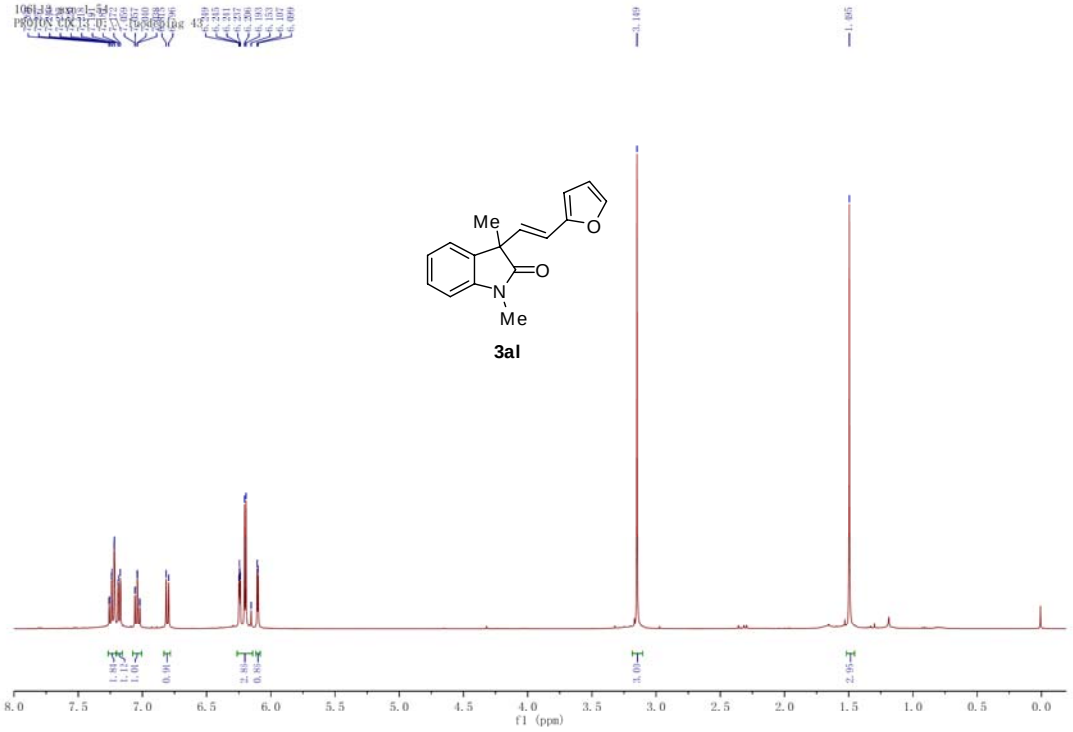

HSQC 4 1 D:\bruker sxw521
CO2Et
Me
O
N
Me
3ah
HSQC
F1 [ppm]
F2 [ppm]


CN
Me
O
N
Me
3ai
f1 (ppm)

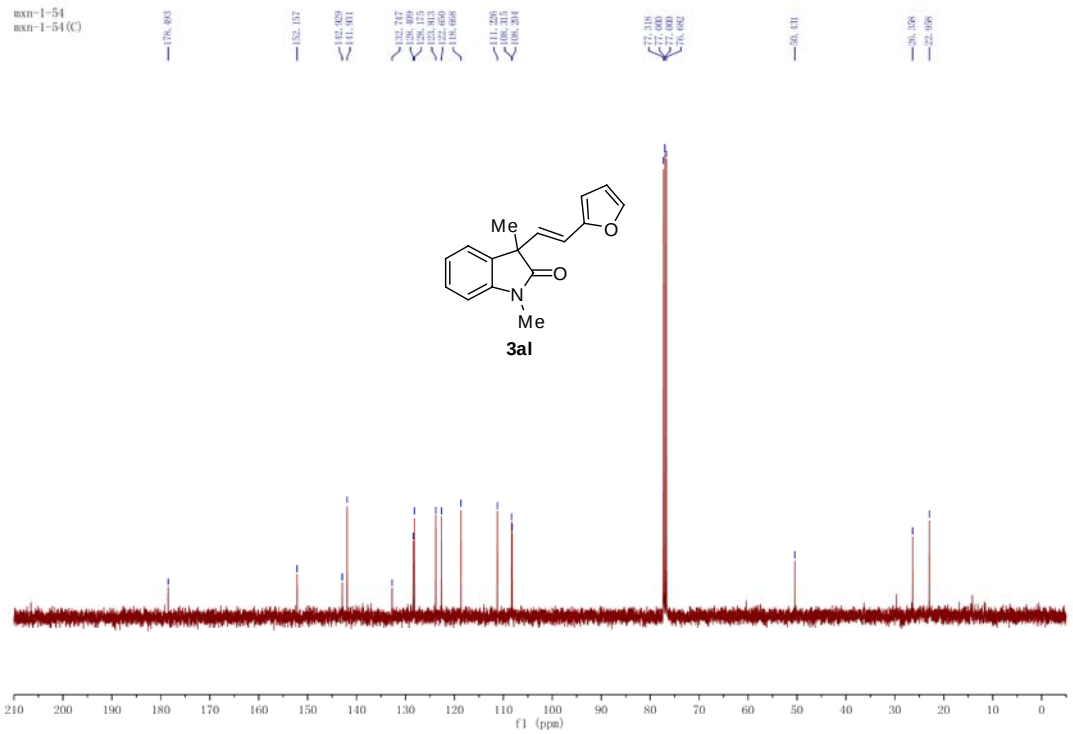

CN
Me
O
N
Me
3ai
f1 (ppm)


mxn-1-75-1
mxn-1-75(H)
Me
NO2
O
N
Me
3ak
f1 (ppm)

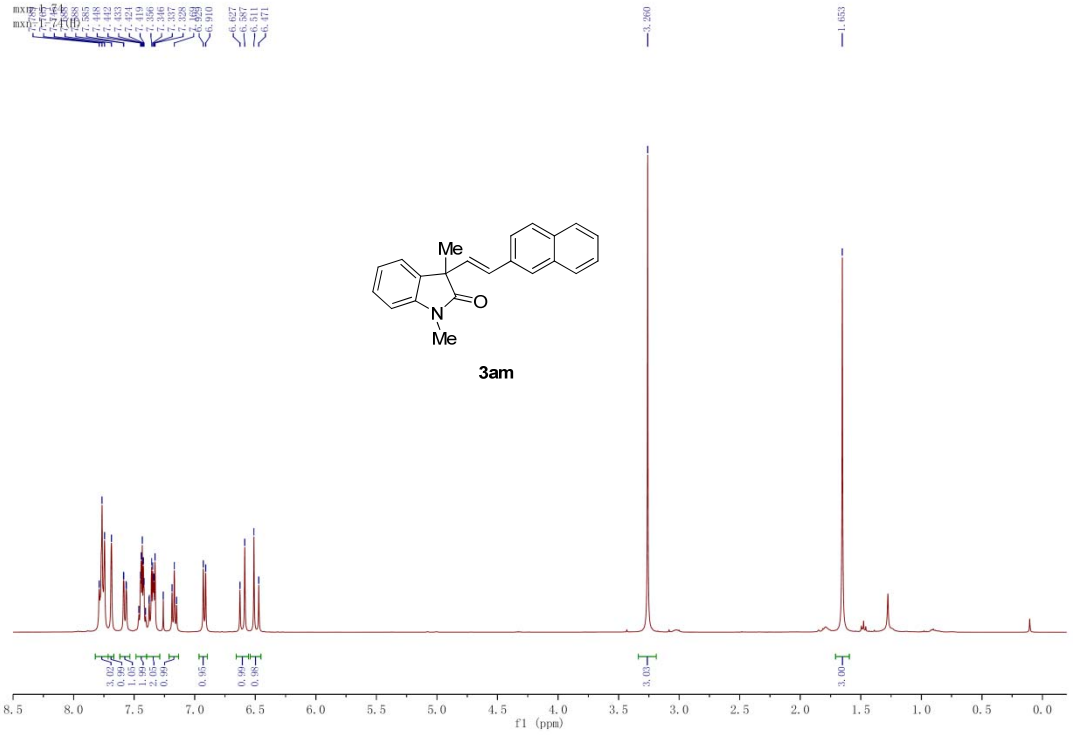

mxn-1-77
mxn-1-77(C)
Me
NO2
O
N
Me
3ak
f1 (ppm)

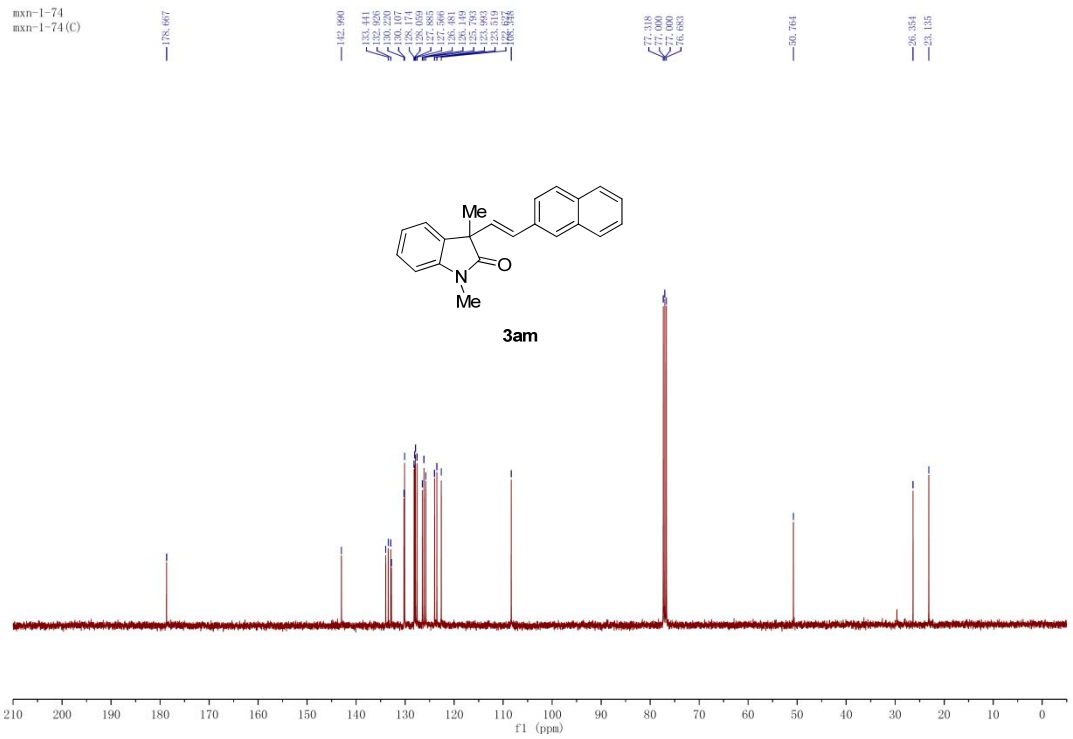


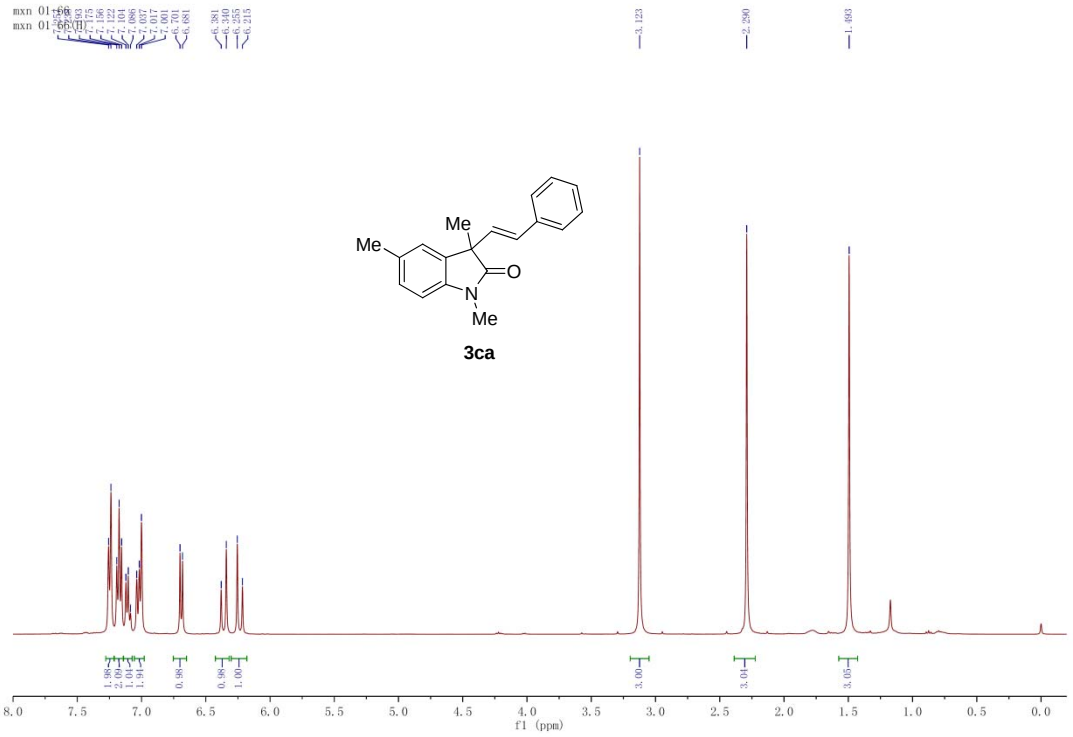
3aI



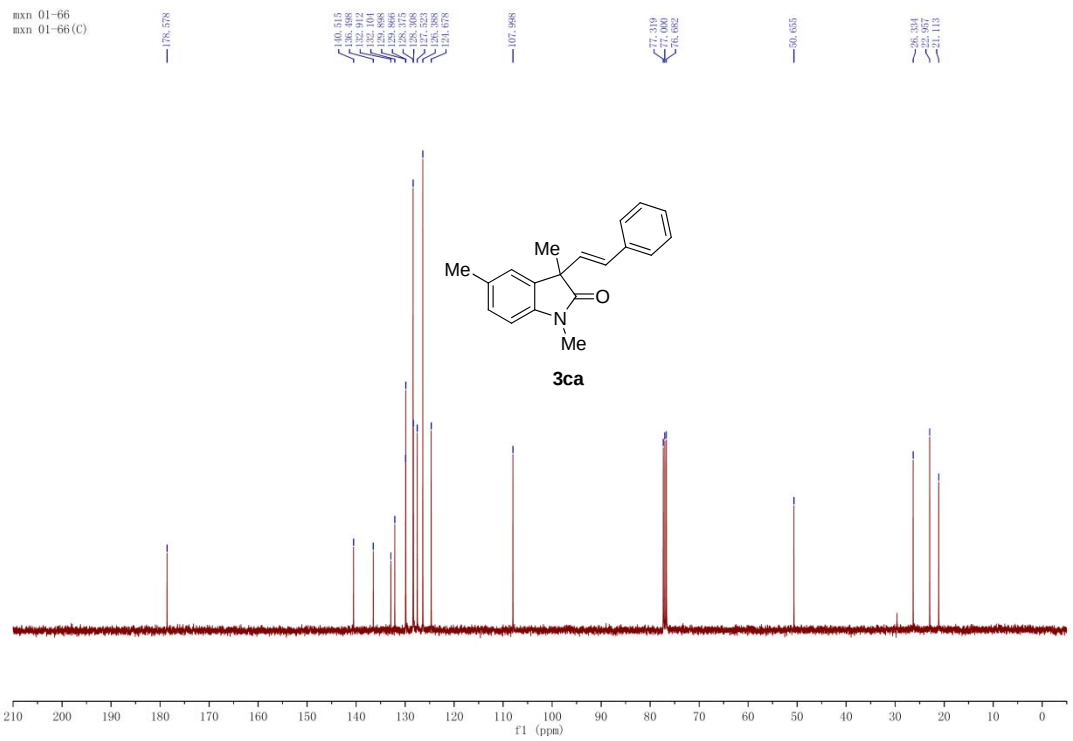
3aI


Me
O
N
Me
3am
f1 (ppm)

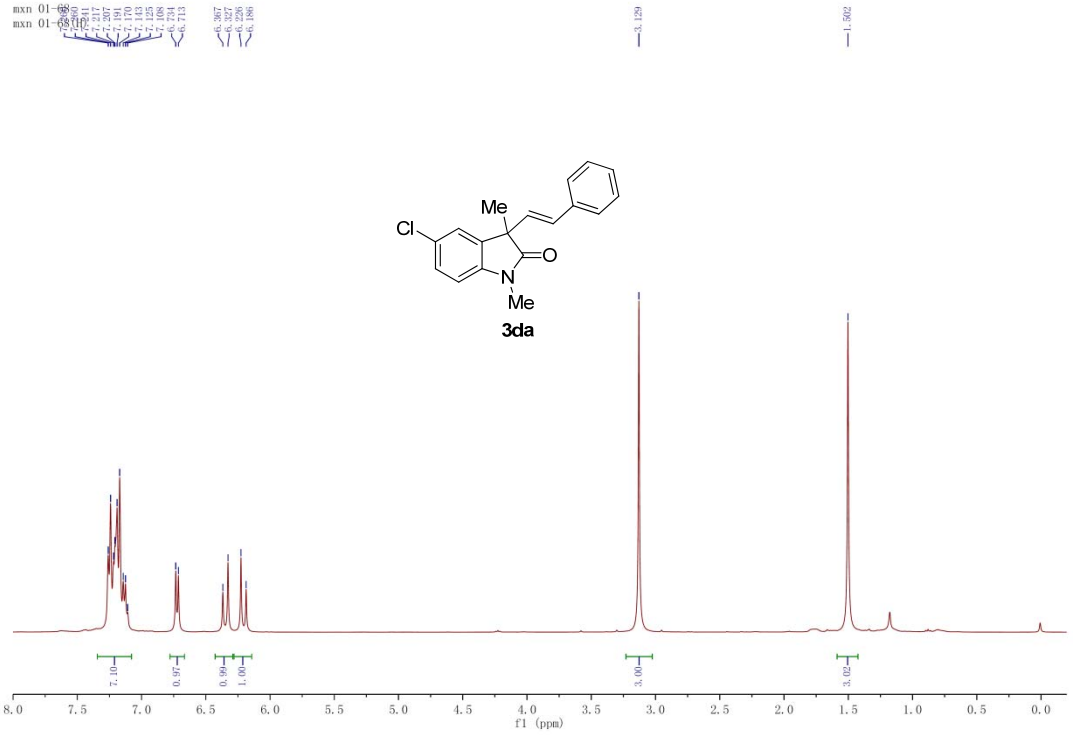

Me
O
N
Me
3am
f1 (ppm)

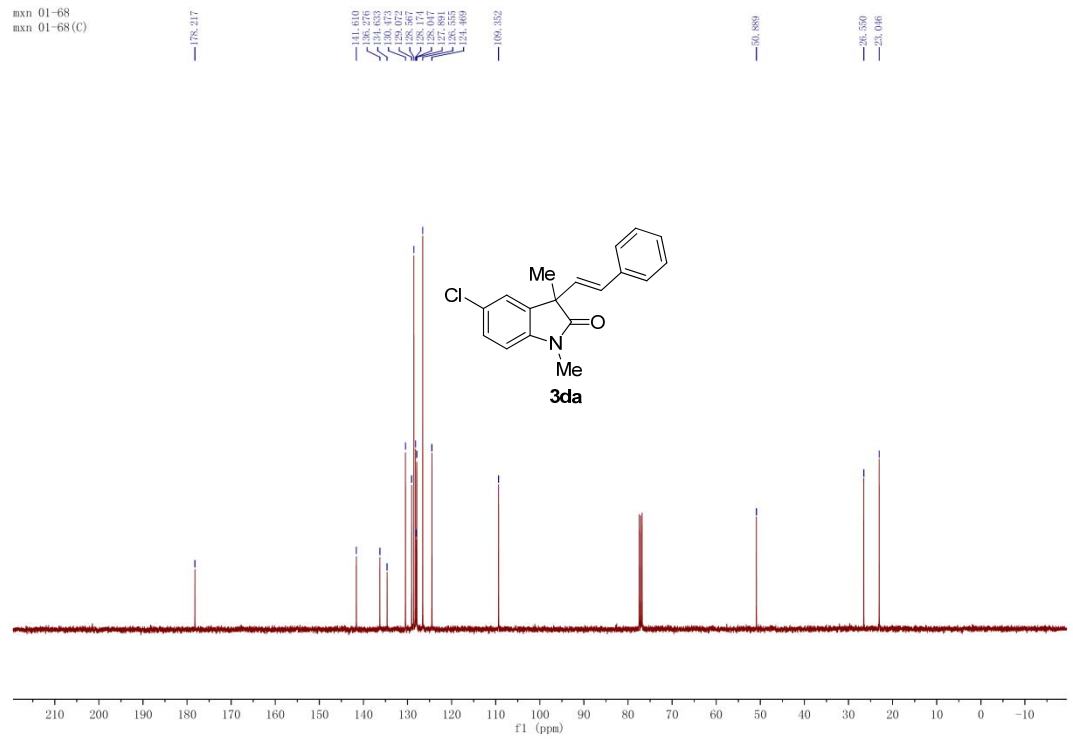


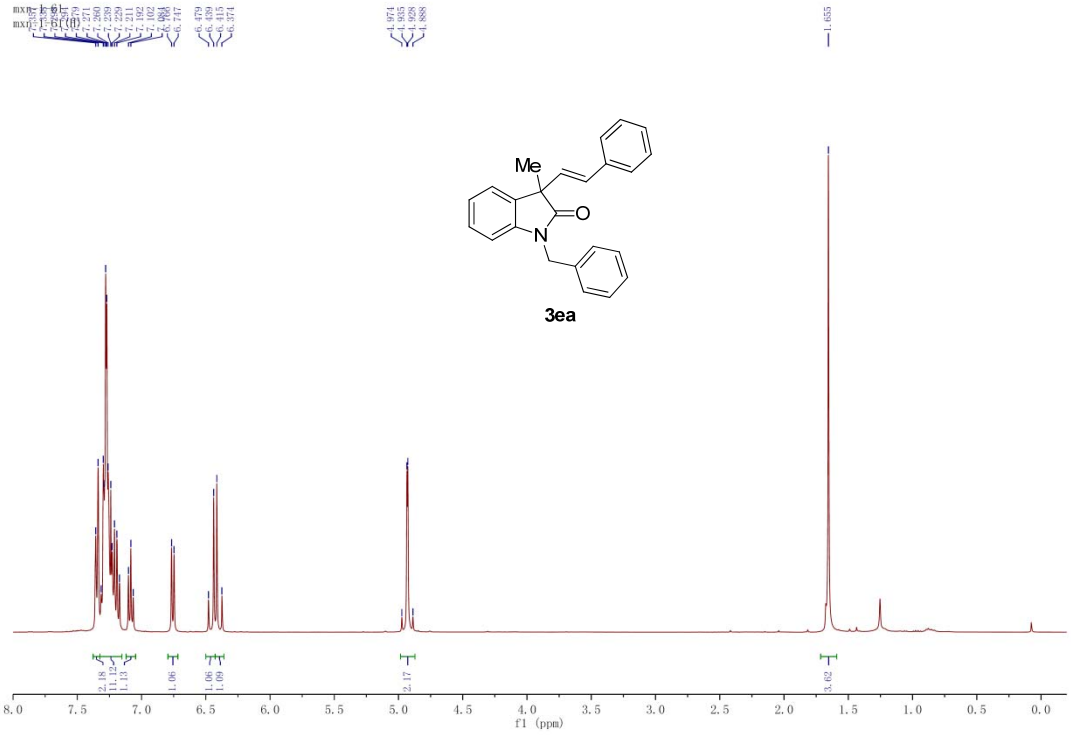
3ca

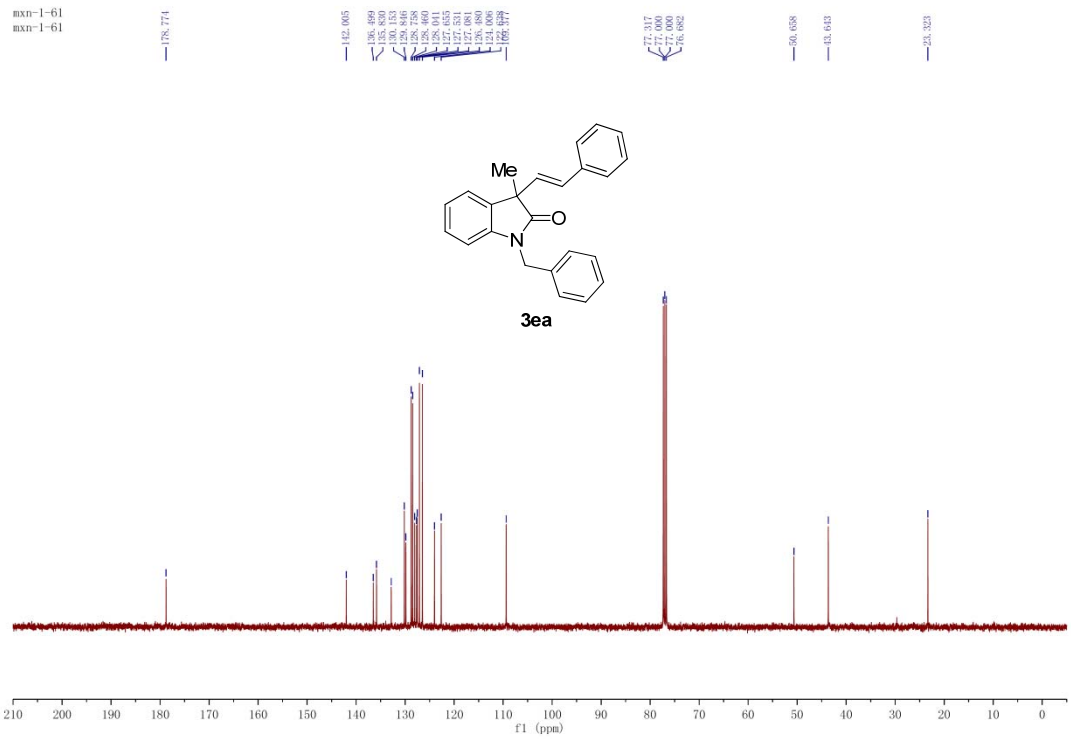


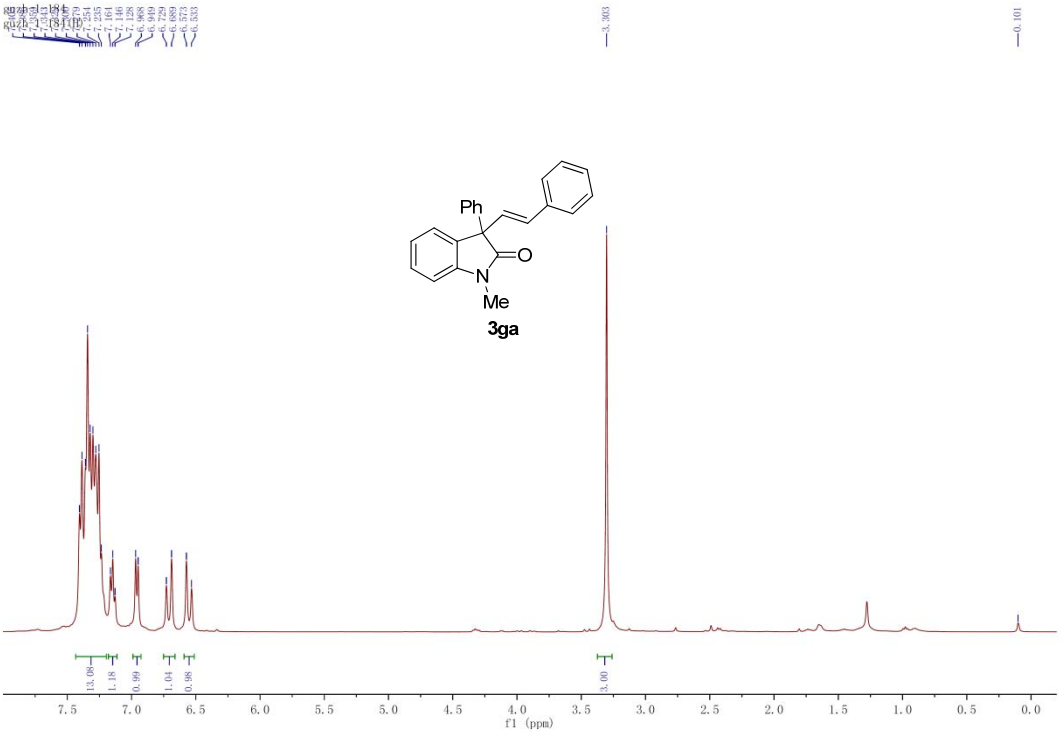
3ca

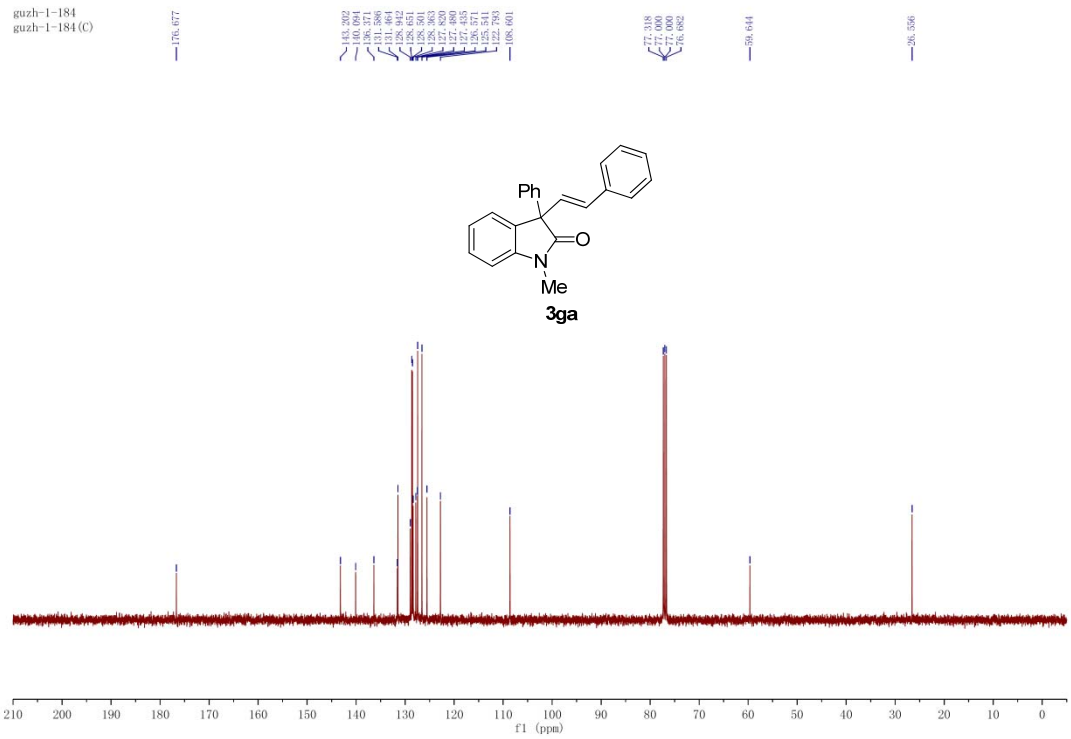

Me
Cl
O
N
Me
3da
f1 (ppm)

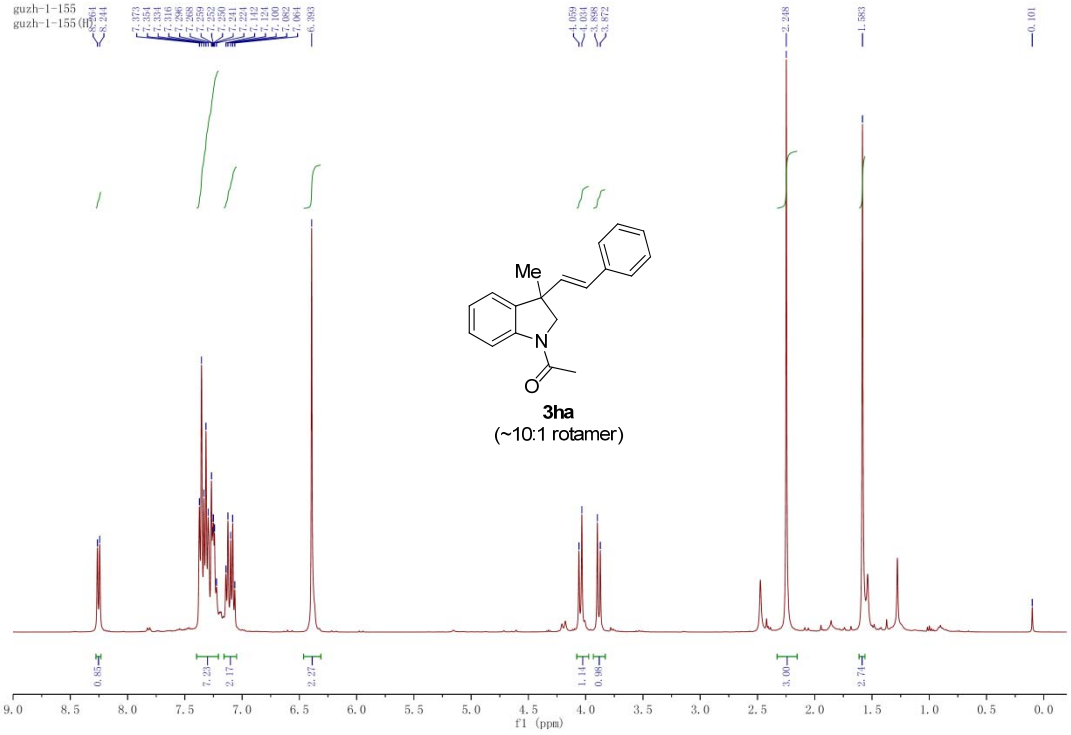

Me
Cl
O
N
Me
3da
f1 (ppm)

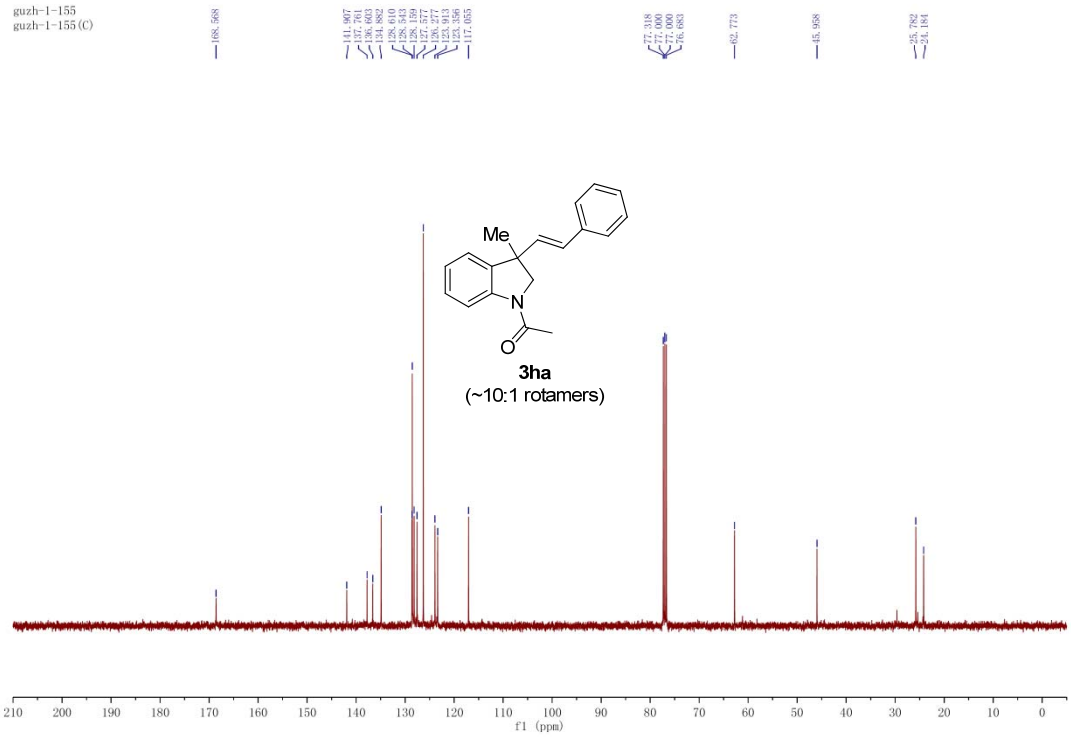

Me
O
N
3ea
f1 (ppm)

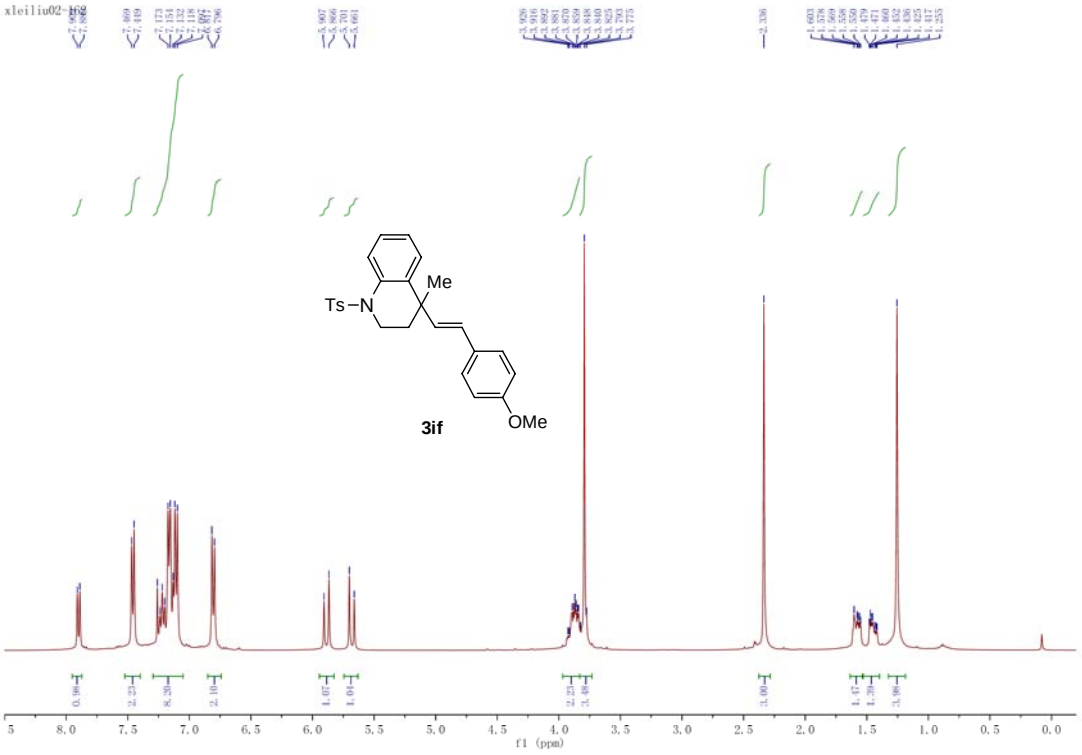

Me
O
N
3ea
f1 (ppm)

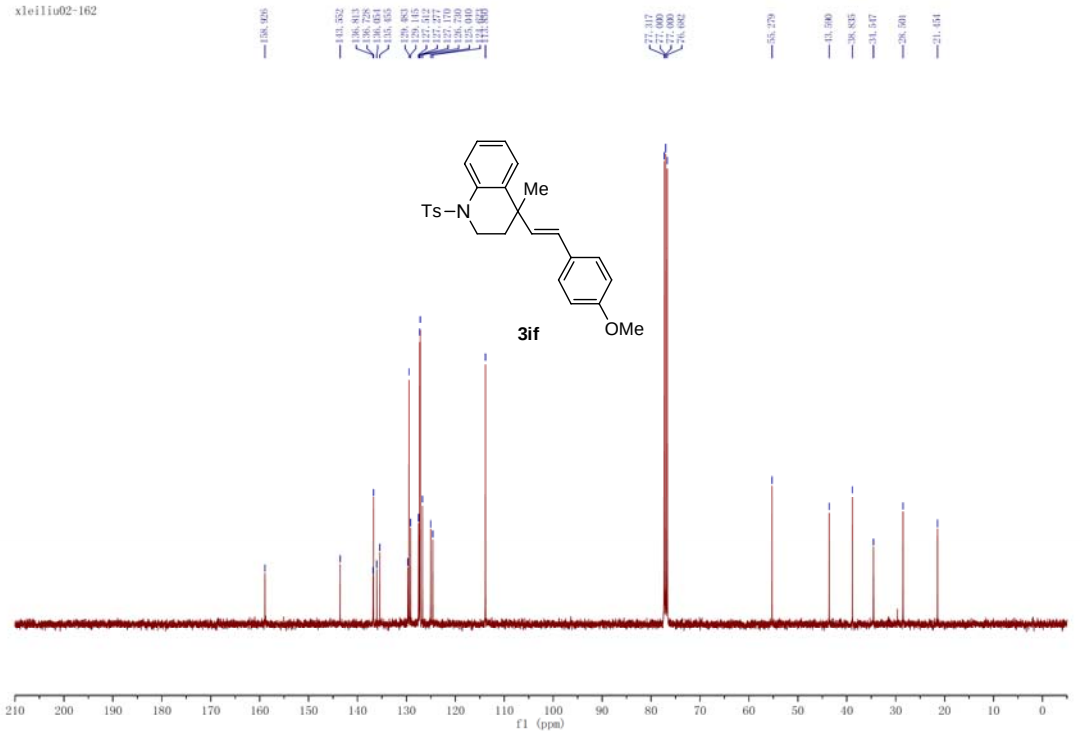

Ph
O
N
Me
3ga
13.08
1.18
0.99
1.04
0.98
3.00
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

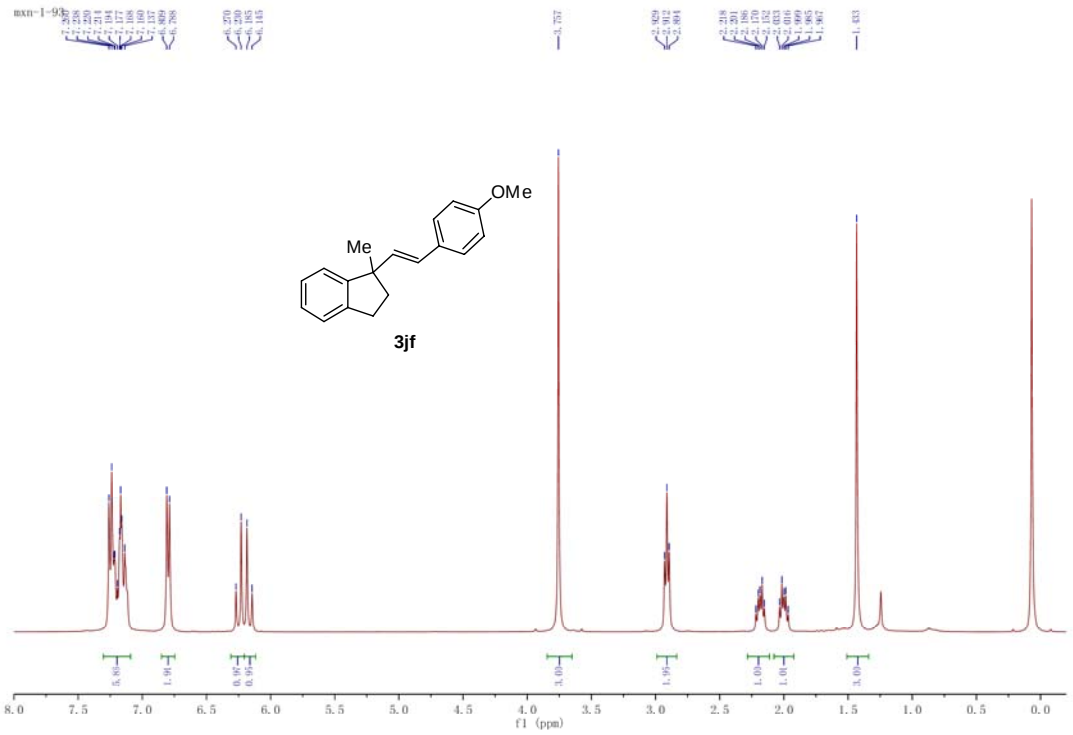

guzh-1-184
guzh-1-184(C)
Ph
O
N
Me
3ga
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

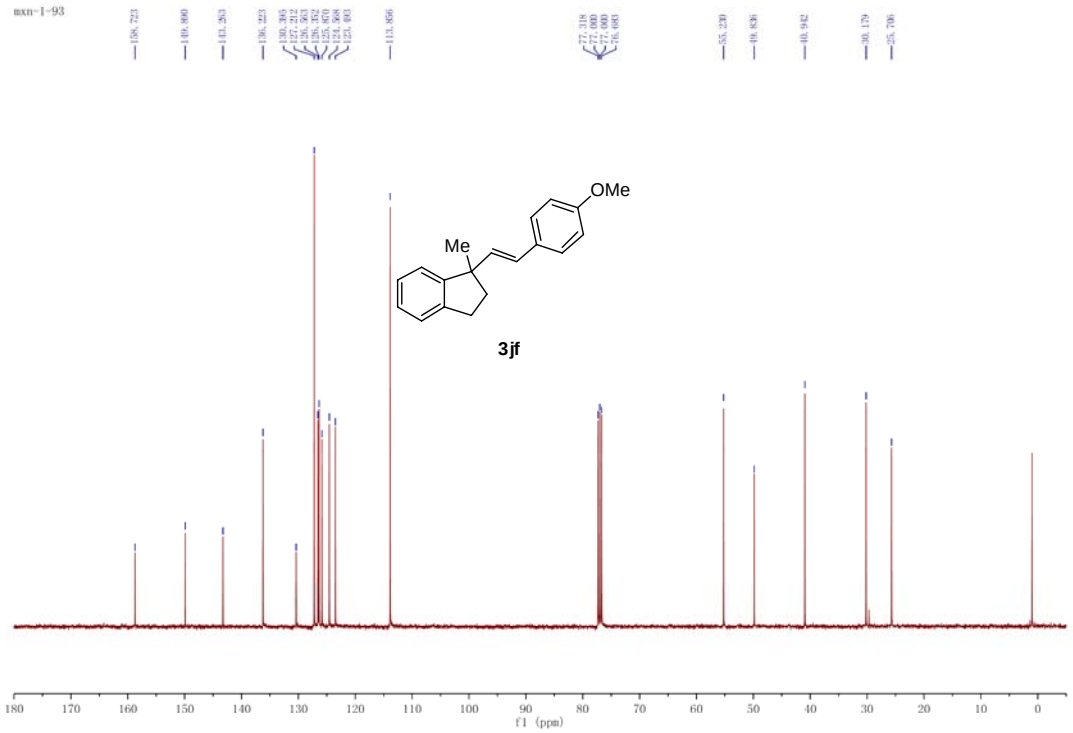

guzh-1-155
guzh-1-155 (H)
Me
N
O
3ha
(~10:1 rotamer)
f1 (ppm)

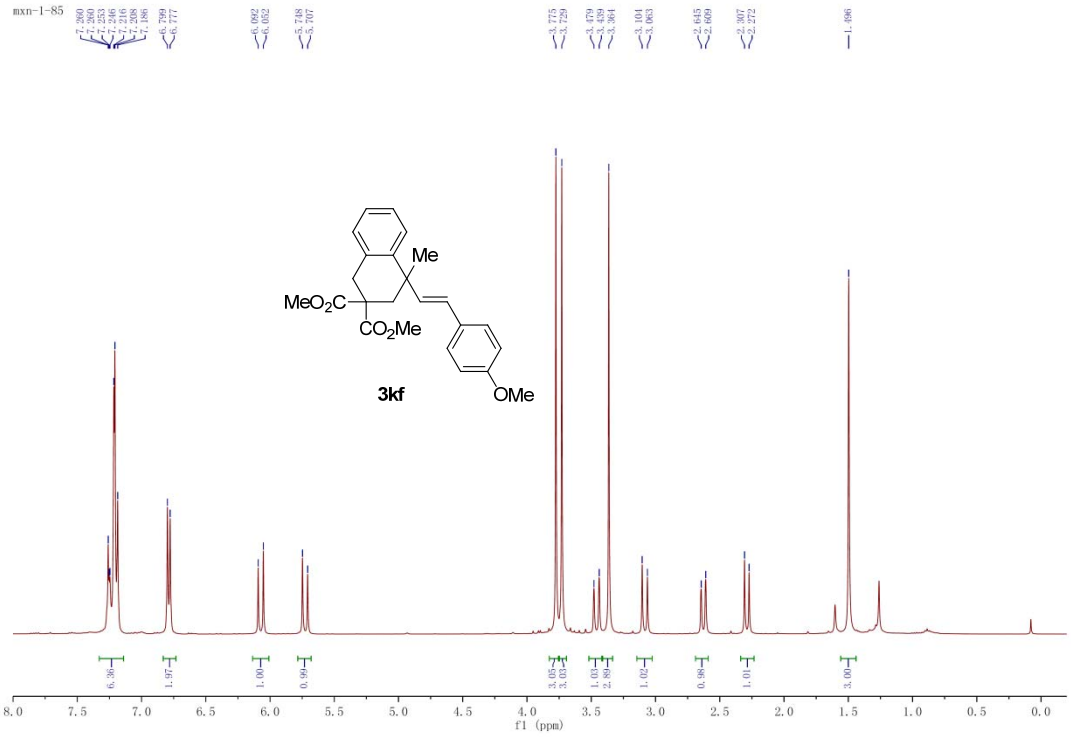

guzh-1-155
guzh-1-155 (C)
Me
N
O
3ha
(~10:1 rotamers)
f1 (ppm)

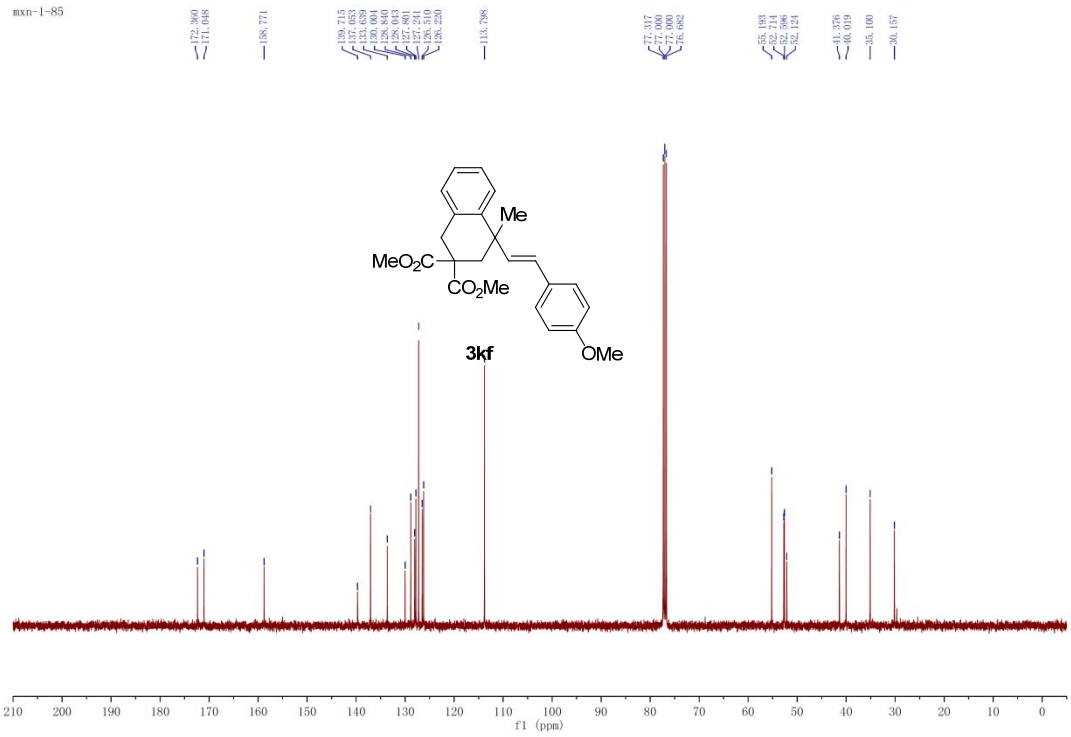

Me
Ts–N
OMe
3if
f1 (ppm)

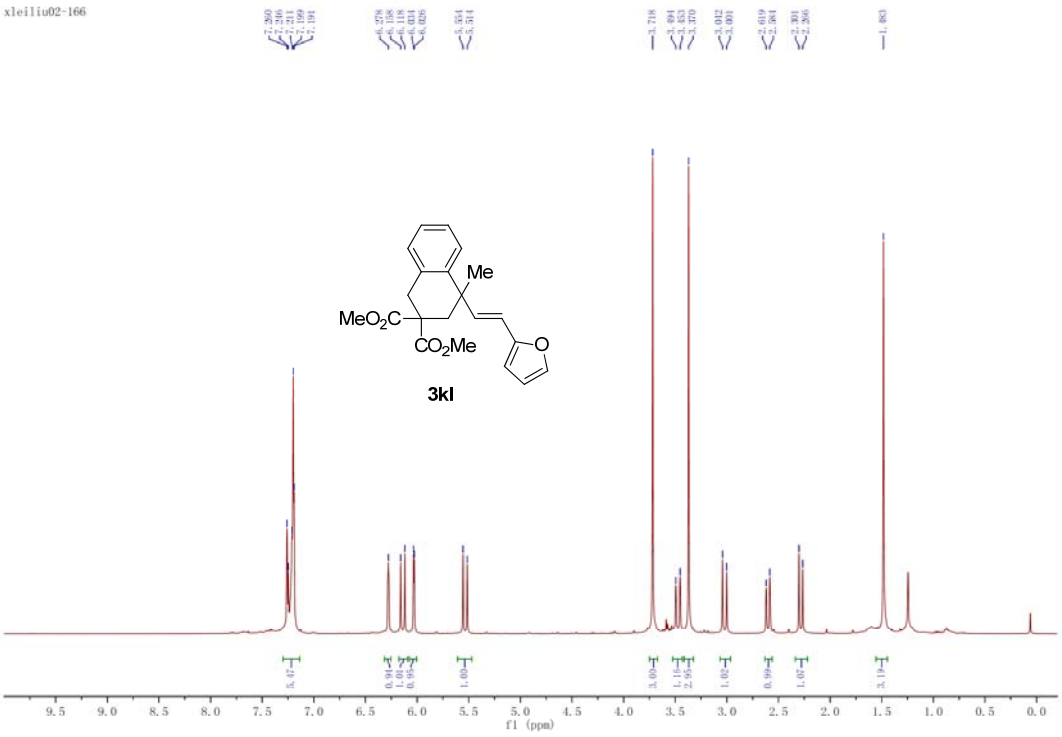

Me
Ts–N
OMe
3if
f1 (ppm)

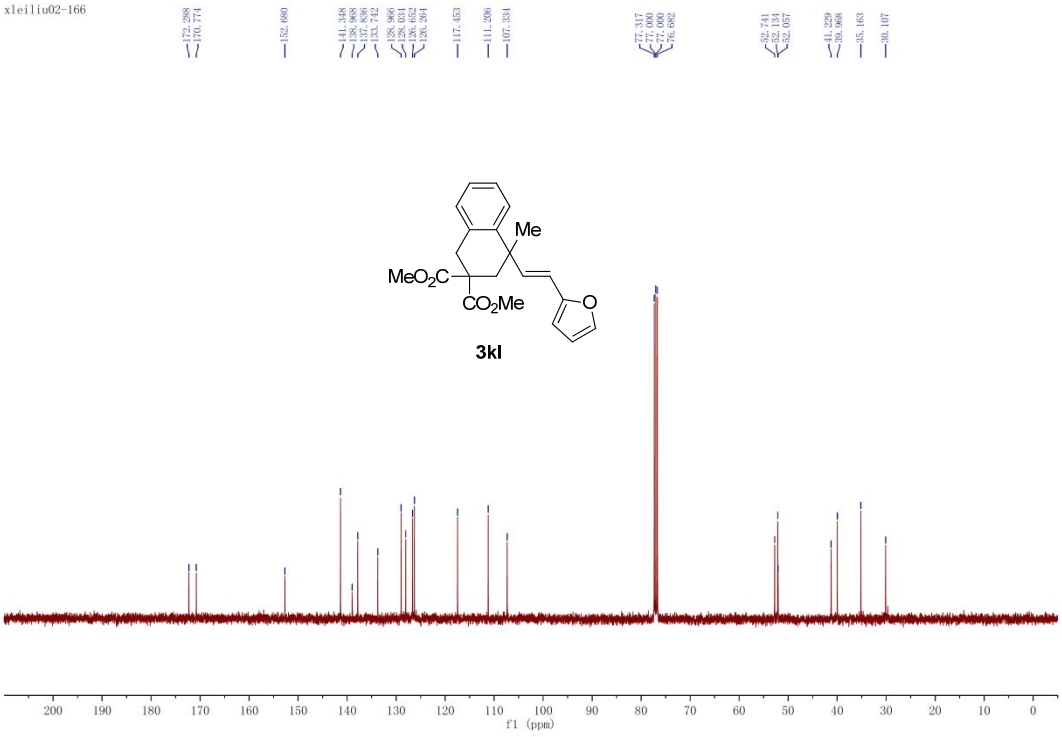

OMe
Me
3jf
f1 (ppm)

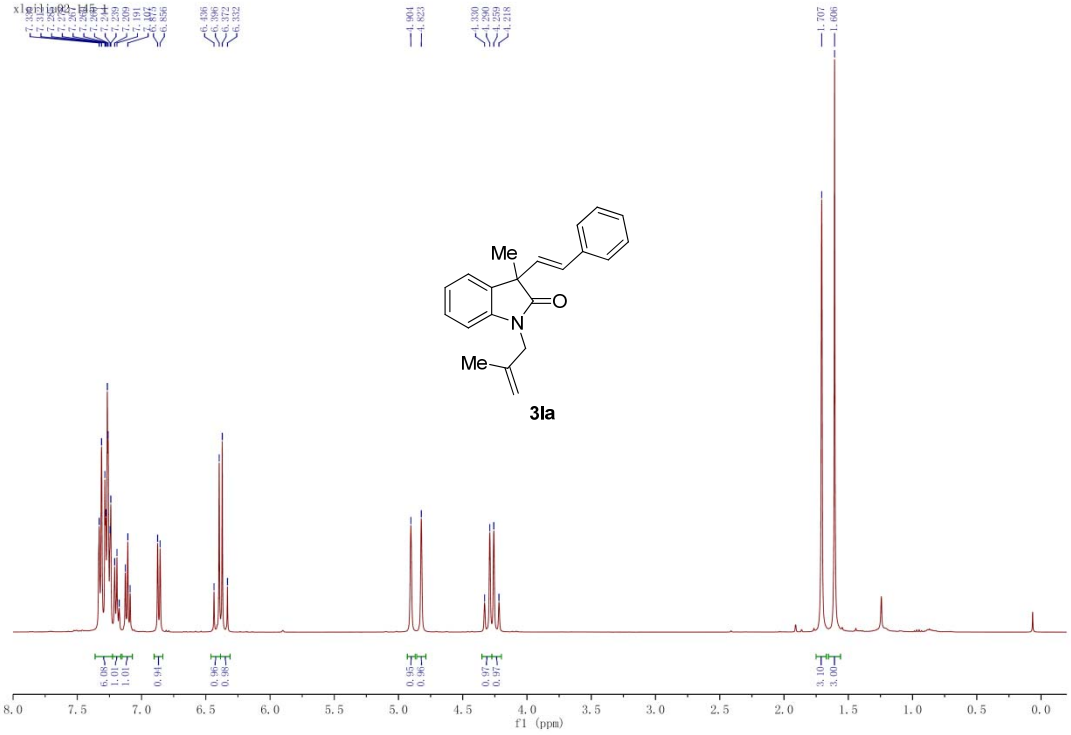

OMe
Me
3jf
f1 (ppm)

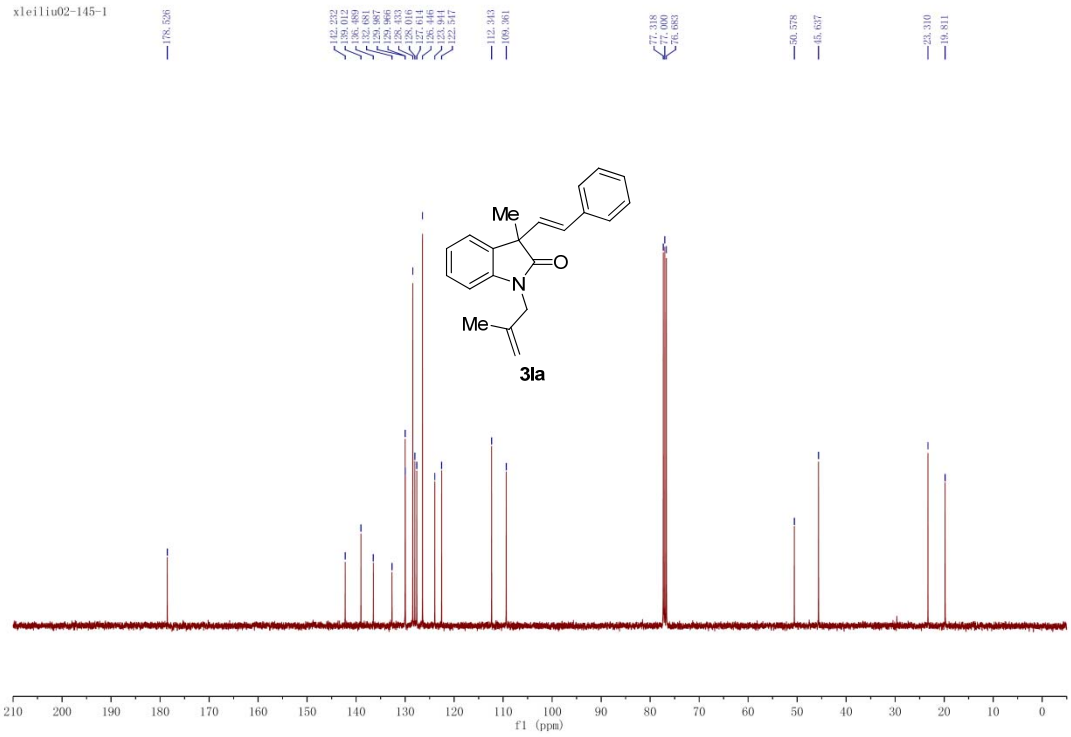

mxn-1-85
Me
MeO2C
CO2Me
OMe
3kf
f1 (ppm)

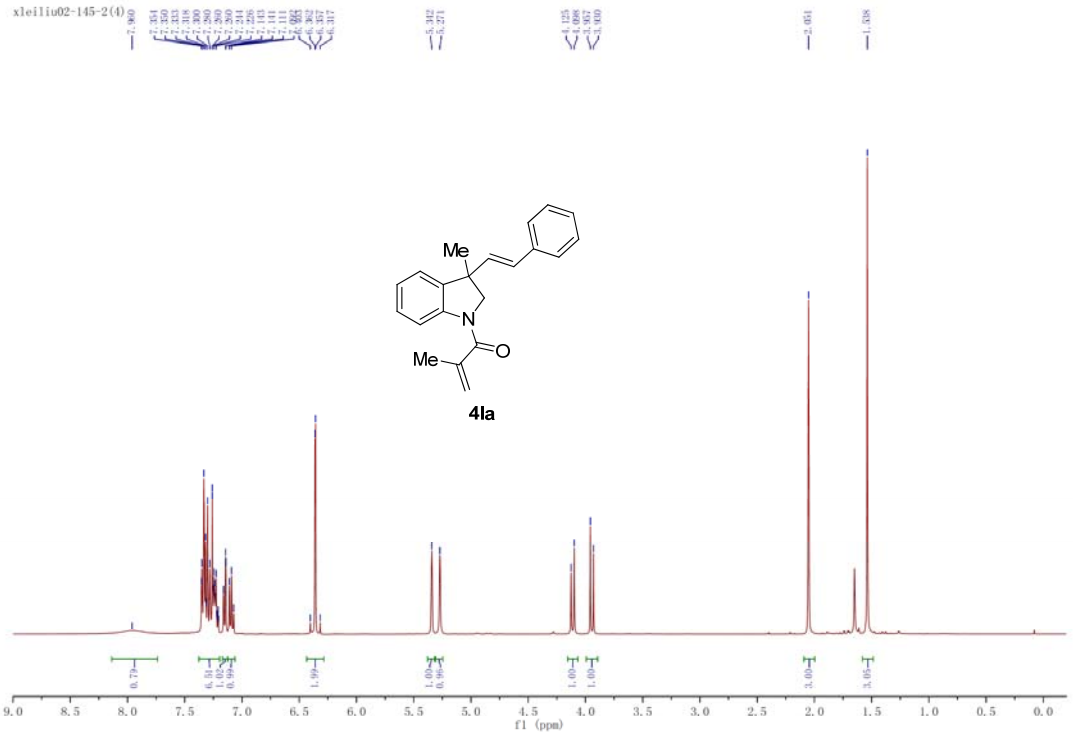

mxn-1-85
Me
MeO2C
CO2Me
OMe
3kf
f1 (ppm)

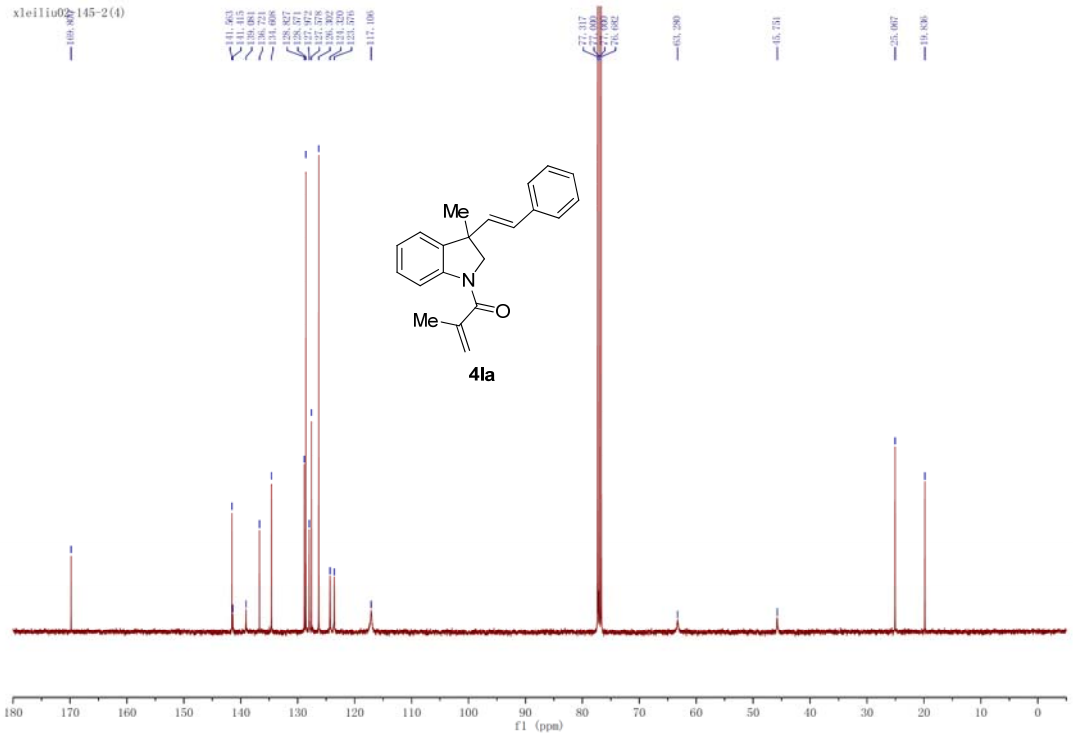

xleiliu02-166
Me
MeO2C
CO2Me
O
3kl
f1 (ppm)

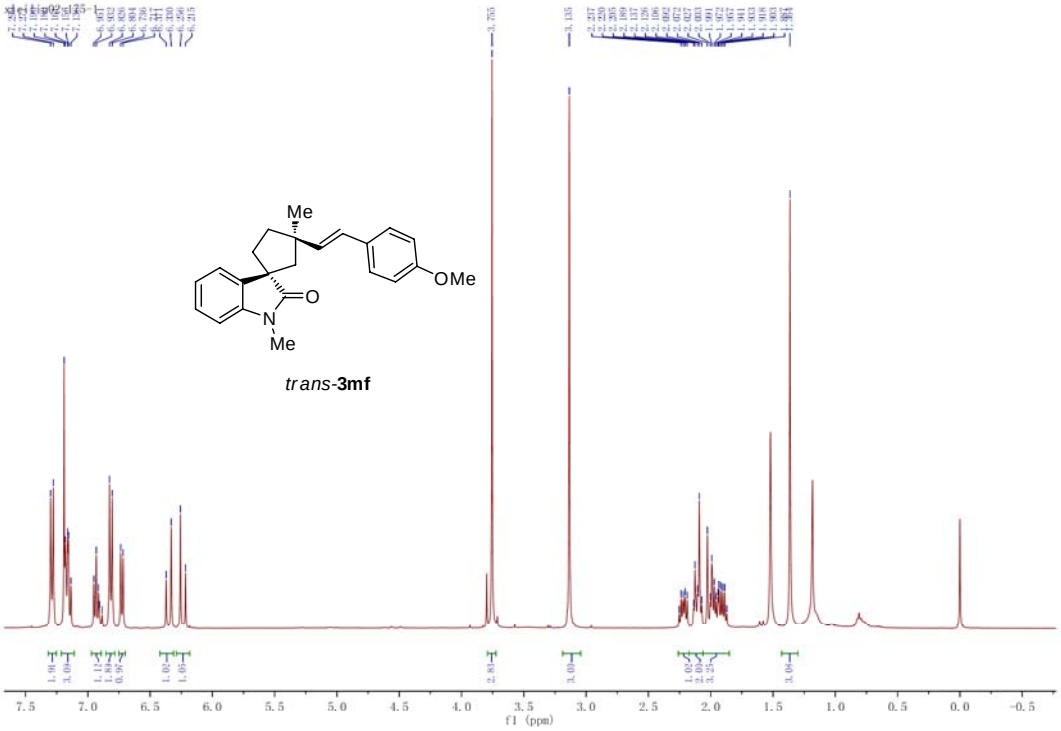

xleiliu02-166
Me
MeO2C
CO2Me
O
3kl
f1 (ppm)


Me
O
N
Me
3la
f1 (ppm)

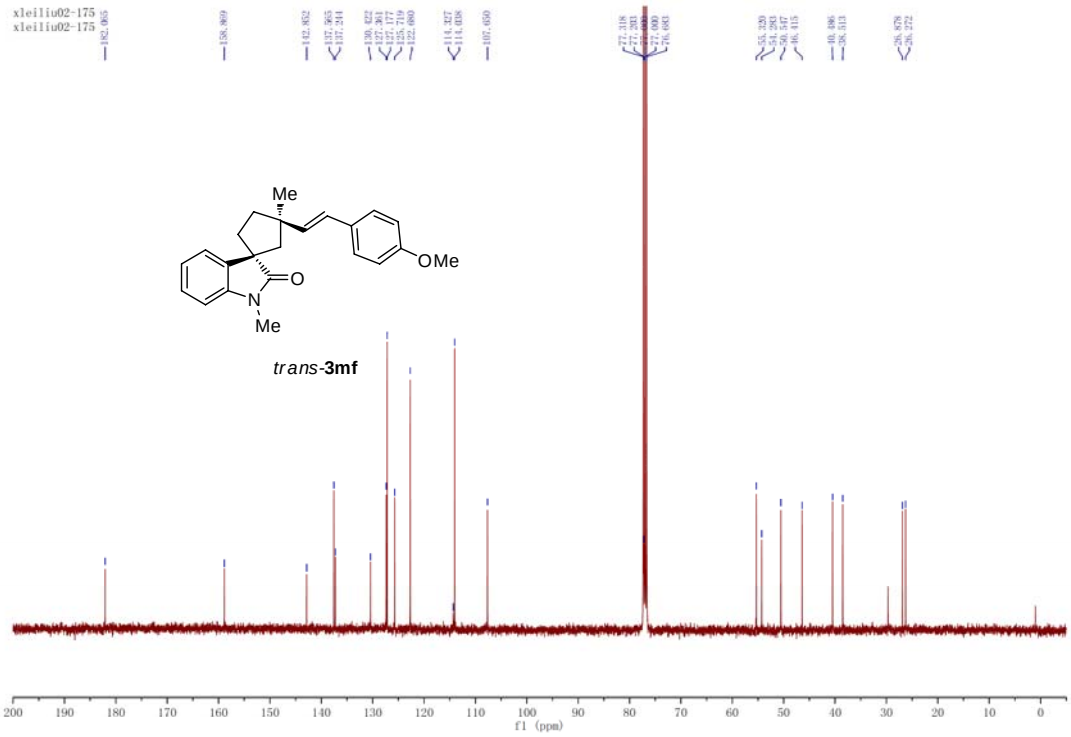

Me
O
N
Me
3la
f1 (ppm)


xleiliu02-145-2(4)
Me
N
O
Me
4la
f1 (ppm)

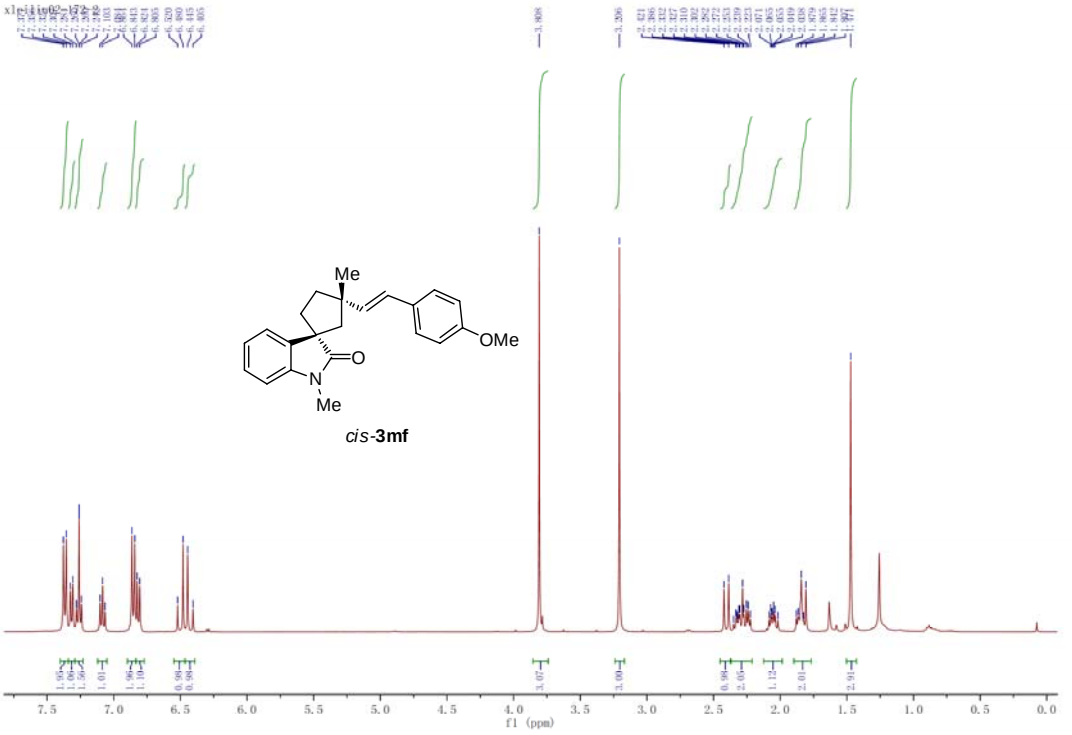

xleiliu02-145-2(4)
Me
N
O
Me
4la
f1 (ppm)



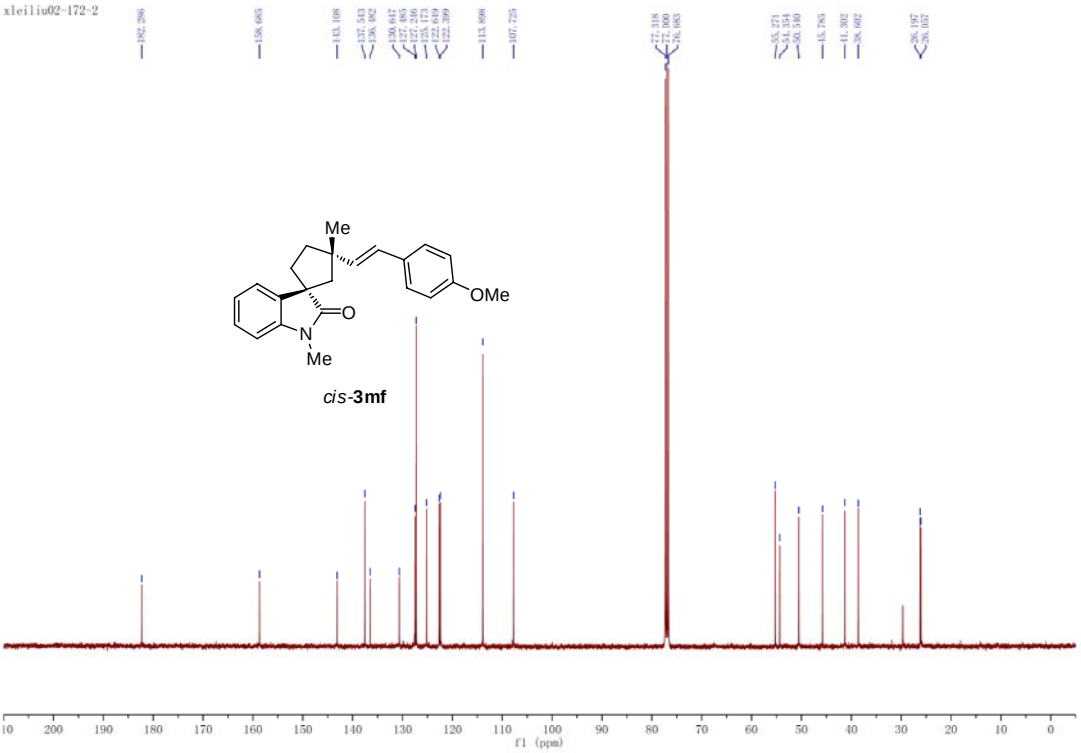
trans-**3mf**



trans-**3mf**



cis-**3mf**



cis-**3mf**