Supporting Information

Pd-Catalyzed One-Pot Dehydrogenative Aromatization and *Ortho*-Functionalization Sequence of *N*-Acetyl Enamides

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I. General Methods and Materials. Unless stated otherwise, reactions were performed in flame-dried glassware. Analytical thin layer chromatography (TLC) was performed on precoated silica gel 60 F^{254} plates and visualization on TLC was achieved by UV light (254 and 365 nm). Flash column chromatography was undertaken on silica gel (400-630 mesh). ^{1}H NMR was recorded on 300 or 400 MHz and chemical shifts were quoted in parts per million (ppm) referenced to the appropriate solvent peak or 0.0 ppm for tetramethylsilane. The following abbreviations were used to describe peak splitting patterns when appropriate: br = broad, s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublet, td = doublet of triplet, ddd = doublet of doublet of doublet. Coupling constants, *J*, were reported in hertz unit (Hz). ^{13}C NMR was recorded on 100 or 150 MHz and was fully decoupled by broad band proton decoupling. Chemical shifts were reported in ppm referenced to the center line of a triplet at 77.0 ppm of $CDCl_3$. Mass spectral data were obtained from the KAIST Basic Science Institute by using ESI method. Commercial grade reagents and solvents were used without further purification except as indicated below. Dichloromethane was distilled from calcium hydride.

II. Experimental Procedures

General procedure (GP) for synthesis of *N*-cyclohexenylacetamide.

A solution of cyclohexanone (3 mmol, 1 eq), acetamide (2.5 eq), and amberlyst15 (250 mg) in toluene (30 mL) was heated to 140 ^{o}C for 24 h with continuous removal of water using a Dean-Stark trap. After cooled to room temperature, the reaction mixture was filtered and washed with CH_2Cl_2. The filtrate was concentrated and purified by flash chromatography on silica gel to give the desired *N*-cyclohexenylacetamide (ref. *J. Org. Chem.* **1995**, 4324).

General procedure (GP I) for dehydrogenative aromatization and arylation.

N-(4-methylcyclohex-1-en-1-yl)acetamide (0.11 mmol), $Pd(OAc)_2$ (0.2 eq), AgOAc (4 eq) and iPr$_2$S (0.025 eq) were combined in DME (1.0 mL) in a cap test tube. The reaction mixture was heated to 100 ^{o}C. After 3.5 h, AgOAc (1.0 eq) was added and the mixture was monitored by TLC (EtOAc and *n*-hexane = 1 : 1 as the mobile phase). When starting material disappeared, PhI (3-5 eq), and $Cu(OTf)_2$ (2 eq) and were added to the reaction mixture. The mixture was stirred for 12~18 hours. The mixture was monitored by TLC (EtOAc and *n*-hexane = 2 : 3 as the mobile phase) and stirred until intermediate disappeared. After cooled to RT, the mixture solvent was removed under reduced pressure. The reaction mixture was diluted with CH_2Cl_2 and the residue was extracted with

aqueous NH_4Cl (3 × 30 ml). The organic layer was dried over $MgSO_4$. After removal of solvent, the residue was purified by flash chromatography on silica gel to give desired product.

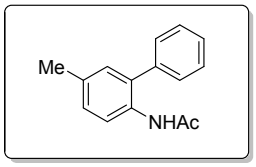
General procedure (GP II) for dehydrogenative aromatization and alkenylation.

N-(4-methylcyclohex-1-en-1-yl)acetamide (0.2 mmol), $Pd(OAc)_2$ (0.2 eq), $PhCO_3{}^tBu$ (2 eq) and iPr_2S (0.025 eq) in DME (2.0 mL) were combined in DME (1.0 mL) in a cap test tube. The reaction mixture was heated to 100 °C. After 3.5 h, $Pd(OAc)_2$ (0.1 eq), and $PhCO_3{}^tBu$ (1 eq) were added and the mixture was monitored by TLC (EtOAc and *n*-hexane = 1 : 1 as the mobile phase). When starting material disappeared, the reaction mixture was cooled down to 40 °C. Alkene (1.2-1.5 eq), and $TsOH{\cdot}H_2O$ (0.4 eq) were then added to the mixture. The reaction mixture was stirred for 2-10 h at 40~80 °C. After cooled to room temperature, EtOAc and saturated aqueous $NaHCO_3$ was added to the reaction mixture. It was extracted 3 times with EtOAc. The combined organic layer was dried over $MgSO_4$. After removal of solvent, the residue was purified by flash chromatography on silica gel to give desired product.

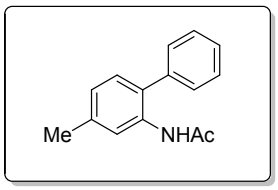
General procedure (GP III) for dehydrogenative aromatization, alkenylation, and cyclization.

N-(4-methylcyclohex-1-en-1-yl)acetamide (0.2 mmol), $Pd(OAc)_2$ (0.2 eq), $PhCO_3{}^tBu$ (2 eq) and iPr_2S (0.025 eq) in DME (2.0 mL) were combined in DME (1.0 mL) in a cap test tube. The reaction mixture was heated to 100 °C. After 3.5 h, $Pd(OAc)_2$ (0.1 eq), and $PhCO_3{}^tBu$ (1 eq) were added and the mixture was monitored by TLC (EtOAc and *n*-hexane = 1 : 1 as the mobile phase). When starting material disappeared, the reaction mixture was cooled down to 80 °C. *n*-Butyl acrylate (1.2-1.5 eq) and $TsOH{\cdot}H_2O$ (0.4 eq) were then added to the reaction mixture. The resulting mixture was stirred for 2 h at 80 °C and then 0.2 mL of 35% $HCl_{(aq)}$ was added. The resulting mixture was further stirred for 16 h at 80 °C. This was cooled to room temperature, neutralized with saturated $NaHCO_3$(aq). The mixture was extracted 3 times with 10% *i*PrOH (in DCM) and the combined organic layer was dried over $MgSO_4$. After removal of solvent, the residue was purified by flash chromatography on silica gel to give desired product.

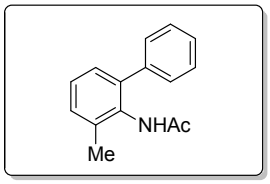
IV. Compound Characterizations:



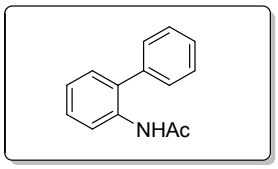
***N*-(5-methyl-[1,1'-biphenyl]-2-yl)acetamide (3a).** Yield 70% (15.9 mg). mp 120–122 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (d, *J* = 8.3 Hz, 1H), 7.45 (dd, *J* = 8.1, 6.5 Hz, 2H), 7.39 (d, *J* = 7.3 Hz, 1H), 7.36 – 7.31 (m, 2H), 7.15 (ddt, *J* = 8.3, 2.2, 0.7 Hz, 1H), 7.07 – 6.99 (m, 2H), 2.33 (s, 3H), 1.98 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.2, 138.3, 134.1, 132.5, 132.0, 130.6, 129.2, 129.0, 128.9, 127.8, 122.0, 24.5, 20.8. HRMS (ESI$^+$) m/z calcd. for $C_{15}H_{15}NNaO^+$ $[M+Na]^+$: 248.1046, found: 248.1028.



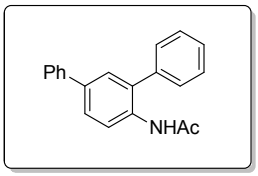
***N*-(4-methyl-[1,1'-biphenyl]-2-yl)acetamide (3b).** Yield 74% (17.9 mg). mp 149–151 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (s, 1H), 7.49 – 7.41 (m, 2H), 7.41 – 7.30 (m, 3H), 7.15 – 7.04 (m, 2H), 7.02 – 6.94 (m, 1H), 2.38 (s, 3H), 1.99 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.2, 138.4, 138.2, 134.4, 129.8, 129.5, 129.3, 129.0, 127.7, 125.2, 122.3, 24.6, 21.4. HRMS (ESI$^+$) m/z calcd. for $C_{15}H_{15}NNaO^+$ $[M+Na]^+$: 248.1046, found: 248.1045.



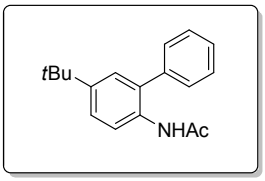
***N*-(3-methyl-[1,1'-biphenyl]-2-yl)acetamide (3c).** Yield 56% (13.7 mg). mp 127–129 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 – 7.34 (m, 2H), 7.34 – 7.28 (m, 3H), 7.26 – 7.22 (m, 2H), 7.17 – 7.13 (m, 1H), 6.64 (s, 1H), 2.29 (s, 3H), 1.97 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.4, 139.6, 139.5, 136.8, 132.6, 130.1, 128.8, 128.3, 127.9, 127.4, 127.4, 23.0, 18.6. HRMS (ESI$^+$) m/z calcd. for $C_{15}H_{15}NNaO^+$ $[M+Na]^+$: 248.1046, found: 248.1054.



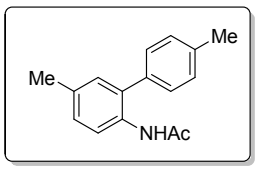
***N*-([1,1'-biphenyl]-2-yl)acetamide (3d).** Yield 52% (11.9 mg). mp 119–121 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.24 (d, *J* = 8.2 Hz, 1H), 7.52 – 7.44 (m, 2H), 7.42 – 7.30 (m, 4H), 7.24 – 7.20 (m, 1H), 7.19 – 7.05 (m, 2H), 1.99 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.2, 138.1, 134.6, 132.2, 130.0, 129.2, 129.1, 128.4, 127.9, 124.3, 121.6, 24.6. HRMS (ESI$^+$) m/z calcd. for $C_{14}H_{13}NNaO^+$ $[M+Na]^+$: 234.0889, found: 234.0884.



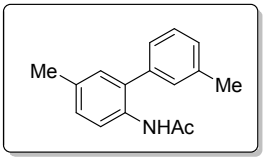
***N*-([1,1':3',1''-terphenyl]-4'-yl)acetamide (3e).** Yield 56% (17.2 mg). mp 105–107 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (d, *J* = 8.5 Hz, 1H), 7.59 (ddd, *J* = 8.1, 4.6, 1.7 Hz, 3H), 7.54 – 7.45 (m, 3H), 7.42 (qd, *J* = 6.6, 6.0, 1.4 Hz, 5H), 7.36 – 7.27 (m, 1H), 7.19 (s, 1H), 2.03 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.2, 140.3, 138.1, 137.1, 134.0, 132.5, 129.2, 129.1, 128.7, 128.6, 128.1, 127.2, 126.9, 126.8, 121.9, 24.6. HRMS (ESI^+) m/z calcd. for $C_{20}H_{17}NNaO^+$ $[M+Na]^+$: 310.1202, found: 310.1178.



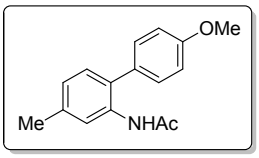
***N*-(5-(tert-butyl)-[1,1'-biphenyl]-2-yl)acetamide (3f).** Yield 53% (15.1 mg). mp 118–120 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09 (d, *J* = 8.6 Hz, 1H), 7.51 – 7.44 (m, 2H), 7.43 – 7.34 (m, 4H), 7.23 (d, *J* = 2.4 Hz, 1H), 7.05 (s, 1H), 1.99 (s, 3H), 1.31 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.2, 147.4, 138.8, 132.1, 132.0, 129.3, 129.0, 127.8, 127.0, 125.3, 121.7, 34.4, 31.3, 24.4. HRMS (ESI^+) m/z calcd. for $C_{18}H_{21}NNaO^+$ $[M+Na]^+$: 290.1515, found: 290.1493.



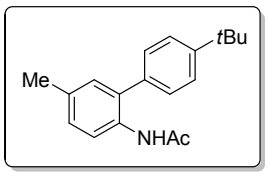
***N*-(4',5-dimethyl-[1,1'-biphenyl]-2-yl)acetamide (3g).** Yield 67% (17.2 mg). white oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (d, *J* = 8.3 Hz, 1H), 7.29 – 7.20 (m, 4H), 7.14 (dd, *J* = 8.3, 2.1 Hz, 1H), 7.07 (s, 1H), 7.02 (s, 1H), 2.40 (s, 3H), 2.32 (s, 3H), 1.99 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.2, 137.6, 135.3, 133.9, 132.3, 132.1, 130.6, 129.7, 129.0, 128.7, 121.8, 24.5, 21.2, 20.8. HRMS (ESI^+) m/z calcd. for $C_{16}H_{17}NNaO^+$ $[M+Na]^+$: 262.1202, found: 262.1187.



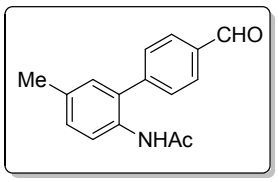
***N*-(3',5-dimethyl-[1,1'-biphenyl]-2-yl)acetamide (3h).** Yield 67% (17.3 mg). mp 122–124 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 (d, *J* = 8.3 Hz, 1H), 7.34 (t, *J* = 7.5 Hz, 1H), 7.19 (d, *J* = 7.7 Hz, 1H), 7.17 – 7.10 (m, 3H), 7.10 – 6.98 (m, 2H), 2.39 (s, 3H), 2.32 (s, 3H), 1.99 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.1, 138.8, 138.3, 133.9, 132.4, 132.1, 130.5, 129.9, 128.8, 128.5, 126.1, 121.7, 24.5, 21.4, 20.8. HRMS (ESI$^+$) m/z calcd. for $C_{16}H_{17}NNaO^+$ $[M+Na]^+$: 262.1202, found: 262.1199.



***N*-(4'-methoxy-4-methyl-[1,1'-biphenyl]-2-yl)acetamide (3i).** Yield 70% (19.3 mg). mp 117–119 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (s, 1H), 7.29 – 7.21 (m, 2H), 7.09 (d, *J* = 7.6 Hz, 2H), 7.00 – 6.92 (m, 3H), 3.84 (s, 3H), 2.37 (s, 3H), 2.00 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.2, 159.2, 138.1, 134.6, 130.4, 130.3, 129.9, 129.1, 125.1, 122.0, 114.4, 55.3, 24.6, 21.4. HRMS (ESI$^+$) m/z calcd. for $C_{16}H_{17}NNaO_2^+$ $[M+Na]^+$: 278.1151, found: 278.1152.

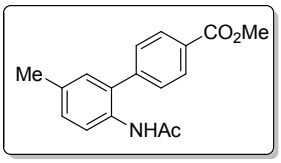


***N*-(4'-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)acetamide (3j).** Yield 63% (18.9 mg). white oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 (d, *J* = 8.3 Hz, 1H), 7.47 (d, *J* = 8.3 Hz, 2H), 7.28 (d, *J* = 8.2 Hz, 2H), 7.14 (dd, *J* = 8.4, 2.3 Hz, 2H), 7.06 – 7.00 (m, 1H), 2.32 (s, 3H), 2.01 (s, 3H), 1.36 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.2, 150.8, 135.2, 133.9, 132.2, 132.1, 130.7, 128.8, 128.7, 125.9, 121.9, 34.6, 31.3, 24.5, 20.8. HRMS (ESI$^+$) m/z calcd. for $C_{19}H_{23}NNaO^+$ $[M+Na]^+$: 304.1672, found: 304.1707.

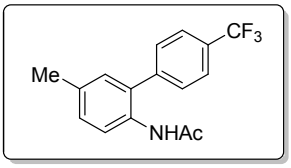


***N*-(4'-formyl-5-methyl-[1,1'-biphenyl]-2-yl)acetamide (3k).** Yield 64% (17.3 mg). mp 141–143 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.02 (s, 1H), 7.99 – 7.85 (m, 3H), 7.52 (d, *J* = 8.1 Hz, 2H), 7.22 – 7.15 (m, 1H), 7.09 – 7.03 (m, 1H), 6.99 (s, 1H), 2.34 (s, 3H), 1.99 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 191.6, 168.5, 145.0,

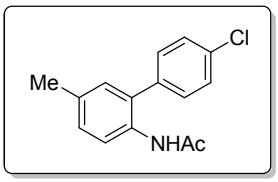
135.5, 134.9, 132.2, 131.7, 130.4, 130.1, 129.8, 129.8, 123.5, 24.2, 20.9. HRMS (ESI^+) m/z calcd. for $C_{16}H_{15}NNaO_2^+$ $[M+Na]^+$: 276.0995, found: 276.0984.



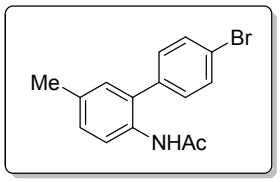
methyl 2'-acetamido-5'-methyl-[1,1'-biphenyl]-4-carboxylate (3l). Yield 60% (18.2 mg). mp 155–157 °C. white solid. ^1H NMR (400 MHz, $CDCl_3$) δ 8.10 (d, *J* = 8.3 Hz, 2H), 7.97 (d, *J* = 8.3 Hz, 1H), 7.42 (d, *J* = 8.2 Hz, 2H), 7.20 – 7.16 (m, 1H), 7.06 – 7.02 (m, 1H), 6.94 (s, 1H), 3.93 (s, 3H), 2.33 (s, 3H), 1.98 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.3, 166.7, 143.3, 134.6, 132.0, 131.8, 130.4, 130.1, 129.6, 129.5, 129.2, 123.0, 52.2, 24.3, 20.8. HRMS (ESI^+) m/z calcd. for $C_{17}H_{17}NNaO_3^+$ $[M+Na]^+$: 306.1101, found: 306.1083.



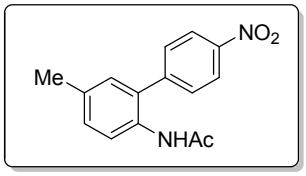
***N*-(5-methyl-4'-(trifluoromethyl)-[1,1'-biphenyl]-2-yl)acetamide (3m).** Yield 56% (17.5 mg). mp 133–135 °C. white solid. ^1H NMR (400 MHz, $CDCl_3$) δ 7.94 (d, *J* = 8.3 Hz, 1H), 7.70 (d, *J* = 8.0 Hz, 2H), 7.47 (d, *J* = 8.0 Hz, 2H), 7.23 – 7.16 (m, 1H), 7.04 (s, 1H), 6.88 (s, 1H), 2.34 (s, 3H), 2.00 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.4, 142.3, 134.9, 131.9, 131.8, 130.5, 129.9 (q, *J* = 32.7 Hz), 129.7, 129.6, 125.8 (q, *J* = 3.6 Hz), 124.0 (q, *J* = 272.3 Hz), 123.3, 24.3, 20.8. HRMS (ESI^+) m/z calcd. for $C_{16}H_{14}F_3NNaO^+$ $[M+Na]^+$: 316.0920, found: 316.0921.



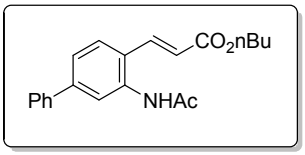
***N*-(4'-chloro-5-methyl-[1,1'-biphenyl]-2-yl)acetamide (3n).** Yield 55% (15.3 mg). mp 137–139 °C. white solid. ^1H NMR (400 MHz, $CDCl_3$) δ 7.96 (d, *J* = 8.3 Hz, 1H), 7.41 (d, *J* = 8.4 Hz, 2H), 7.27 (d, *J* = 8.4 Hz, 2H), 7.19 – 7.12 (m, 1H), 7.02 – 6.98 (m, 1H), 6.93 (s, 1H), 2.32 (s, 3H), 1.99 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.3, 136.8, 134.5, 133.9, 131.9, 131.8, 130.5, 129.3, 129.1, 122.8, 24.3, 20.8. HRMS (ESI^+) m/z calcd. for $C_{15}H_{14}ClNNaO^+$ $[M+Na]^+$: 282.0656, found: 282.0651.



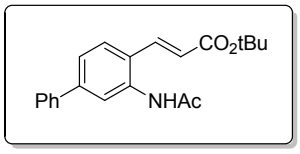
***N*-(4'-bromo-5-methyl-[1,1'-biphenyl]-2-yl)acetamide (3o).** Yield 56% (18.3 mg). mp 134–136 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 (d, *J* = 8.3 Hz, 1H), 7.57 (d, *J* = 8.4 Hz, 2H), 7.21 (d, *J* = 8.4 Hz, 2H), 7.16 (dd, *J* = 8.3, 2.1 Hz, 1H), 7.03 – 6.98 (m, 1H), 6.92 (s, 1H), 2.32 (s, 3H), 2.00 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.3, 137.3, 134.5, 132.1, 131.8, 131.8, 130.8, 130.4, 129.3, 122.8, 122.1, 24.4, 20.8. HRMS (ESI$^+$) m/z calcd. for $C_{15}H_{14}BrNNaO^+$ $[M+Na]^+$: 326.0151, found: 326.0141.



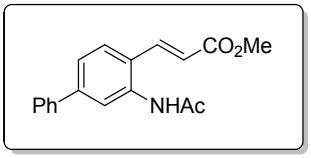
***N*-(5-methyl-4'-nitro-[1,1'-biphenyl]-2-yl)acetamide (3p).** Yield 63% (18.4 mg). mp 185–187 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.28 (d, *J* = 8.7 Hz, 2H), 7.84 (d, *J* = 8.3 Hz, 1H), 7.53 (d, *J* = 8.6 Hz, 2H), 7.24 – 7.19 (m, 1H), 7.06 (s, 1H), 6.86 (s, 1H), 2.35 (s, 3H), 2.01 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.5, 147.3, 145.6, 135.5, 131.9, 131.6, 130.4, 130.2, 130.1, 124.2, 124.0, 24.1, 20.9. HRMS (ESI$^+$) m/z calcd. for $C_{15}H_{14}N_2NaO_3^+$ $[M+Na]^+$: 293.0897, found: 293.0889.



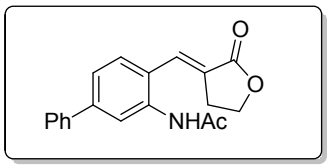
(*E*)-butyl 3-(3-acetamido-[1,1'-biphenyl]-4-yl)acrylate (4a). Yield 63% (42.3 mg) mp 127-128 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92 (s, 1H), 7.80 (d, *J* = 15.8 Hz, 1H), 7.70 (s, 1H), 7.62 – 7.49 (m, 3H), 7.42-7.33 (m, 4H), 6.38 (d, *J* = 15.8 Hz, 1H), 4.17 (t, *J* = 6.7 Hz, 2H), 2.21 (s, 3H), 1.73 – 1.57 (m, 2H), 1.47 – 1.33 (m, 2H), 0.94 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.1, 167.0, 143.5, 139.5, 138.9, 136.3, 128.8, 127.9, 127.3, 127.0, 126.5, 124.4, 123.9, 119.9, 64.6, 30.7, 24.0, 19.1, 13.7. HRMS (ESI$^+$) m/z calcd. for $C_{21}H_{23}NNaO_3^+$ $[M+Na]^+$: 360.1570, found: 360.1547.



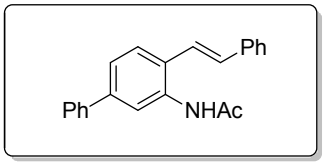
(*E*)-*tert*-butyl 3-(3-acetamido-[1,1'-biphenyl]-4-yl)acrylate (4b). Yield 68% (45.5 mg). mp 168-170 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (s, 1H), 7.73 (d, *J* = 15.8 Hz, 1H), 7.61 – 7.54 (m, 3H), 7.50 (s, 1H), 7.40 (t, *J* = 7.6 Hz, 3H), 7.33 (t, *J* = 7.1 Hz, 1H), 6.34 (d, *J* = 15.7 Hz, 1H), 2.22 (s, 3H), 1.51 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.9, 166.2, 143.4, 139.7, 137.7, 136.2, 128.8, 127.9, 127.4, 127.0, 126.4, 124.3, 123.7, 122.0, 80.9, 28.2, 24.2. HRMS (ESI$^+$) m/z calcd. for $C_{21}H_{23}NNaO_3^+$ [M+Na]$^+$: 360.1570, found: 360.1561.



(*E*)-methyl 3-(3-acetamido-[1,1'-biphenyl]-4-yl)acrylate (4c). Yield 68% (40.1 mg). mp 151-153 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (s, 1H), 7.80 (d, *J* = 15.8 Hz, 1H), 7.63 – 7.51 (m, 4H), 7.41-7.38 (m, 3H), 7.38 – 7.29 (m, 1H), 6.39 (d, *J* = 15.9 Hz, 1H), 3.78 (s, 3H), 2.22 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.0, 167.3, 143.7, 139.5, 139.1, 136.3, 128.8, 128.0, 127.4, 127.0, 126.3, 124.5, 123.9, 119.6, 51.8, 24.1. HRMS (ESI$^+$) m/z calcd. for $C_{18}H_{17}NNaO_3^+$ [M+Na]$^+$: 318.1101 , found: 318.1104.

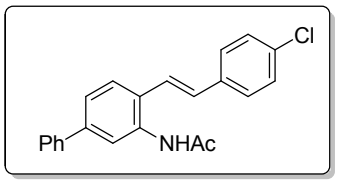


(*E*)-*N*-(4-((2-oxodihydrofuran-3(2H)-ylidene)methyl)-[1,1'-biphenyl]-3-yl)acetamide (4d). Yield 63% (38.8 mg). mp 55-57 °C. white solid. ^{1}H NMR (300 MHz, $CDCl_3$) δ 9.02 (s, 1H), 8.04 (s, 1H), 7.55 (d, *J* = 7.0 Hz, 2H), 7.38 (t, *J* = 7.3 Hz, 2H), 7.36 – 7.25 (m, 3H), 7.22 (d, J = 8.0 Hz, 1H), 4.79 (d, *J* = 1.8 Hz, 2H), 3.60 (s, 2H), 2.24 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.1, 169.4, 146.9, 141.1, 140.3, 136.4, 133.3, 130.5, 128.8, 128.4, 127.6, 127.2, 124.2, 124.0, 71.2, 27.5, 24.2. HRMS (ESI$^+$) m/z calcd. for $C_{19}H_{17}NNaO_3^+$ [M+Na]$^+$: 330.1101, found: 330.1106.

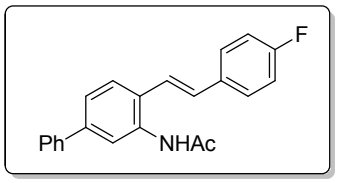


(*E*)-*N*-(4-styryl-[1,1'-biphenyl]-3-yl)acetamide (4e).Yield 50% (31.1 mg). mp 193-194 °C. white solid.^{1}H NMR (400 MHz, CD_2Cl_2) δ 7.97 (s, 1H), 7.67 (d, *J* = 8.3 Hz, 1H), 7.65 – 7.59 (m, 2H), 7.55 (d, *J* = 7.4 Hz, 2H), 7.47-7.42 (m, 3H), 7.42 – 7.33 (m, 4H), 7.33 – 7.27 (m, 1H), 7.20 (d, *J* = 16.2 Hz, 1H), 7.09 (d, *J* = 16.1 Hz, 1H), 2.20 (s, 3H). ^{13}C NMR (100 MHz, CD_2Cl_2) δ 168.1, 140.3, 139.5, 136.6, 134.8, 131.2, 129.3, 128.3, 128.2, 127.6, 127.1,

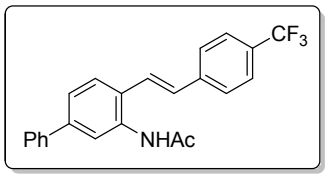
126.3, 126.3, 126.2, 123.7, 122.8, 122.5, 23.5. HRMS (ESI$^+$) m/z calcd. for $C_{22}H_{19}NNaO^+$ [M+Na]$^+$: 336.1359, found: 336.1338.



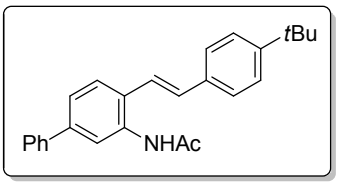
(*E*)-*N*-(4-(4-chlorostyryl)-[1,1'-biphenyl]-3-yl)acetamide (4f). Yield 51% (35.4 mg). mp 197–199 °C. white solid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.75 (s, 1H), 7.87 (d, J = 8.3 Hz, 1H), 7.82 (s, 1H), 7.68 – 7.63 (m, 4H), 7.55 – 7.43 (m, 5H), 7.42 – 7.33 (m, 2H), 7.27 (d, J = 16.3 Hz, 1H), 2.15 (s, 3H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 168.8, 139.4, 139.3, 136.2, 136.1, 132.0, 129.4, 129.0, 128.7, 128.3, 128.1, 127.6, 126.4, 126.0, 124.3, 123.9, 123.4, 23.5. HRMS (ESI$^+$) m/z calcd. for $C_{22}H_{18}ClNNaO^+$ [M+Na]$^+$: 370.0969, found: 370.0966.



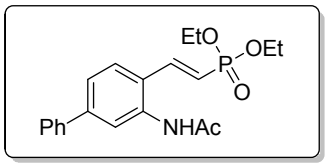
(*E*)-*N*-(4-(4-fluorostyryl)-[1,1'-biphenyl]-3-yl)acetamide (4g). Yield 46% (30.7 mg). mp 176–178 °C. white solid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.73 (s, 1H), 7.89 – 7.79 (m, 2H), 7.72 – 7.62 (m, 4H), 7.54 – 7.44 (m, 3H), 7.36 (dd, J = 15.2, 7.8 Hz, 2H), 7.30 – 7.21 (m, 3H), 2.15 (s, 3H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 168.8, 162.9, 160.5, 139.3(d, J = 11.6 Hz), 135.9, 133.9(d, J = 3.2 Hz), 129.6, 129.0, 128.5(d, J = 8.1 Hz), 128.3, 127.6, 126.4, 125.9, 123.9, 123.4, 115.6(d, J = 21.5 Hz), 23.5. HRMS (ESI$^+$) m/z calcd. for $C_{22}H_{18}FNNaO^+$ [M+Na]$^+$: 354.1265, found: 354.1250.



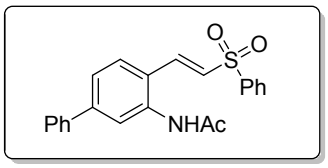
(*E*)-*N*-(4-(4-(trifluoromethyl)styryl)-[1,1'-biphenyl]-3-yl)acetamide (4h). Yield 46% (35.0 mg). mp 209–211 °C. white solid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.80 (s, 1H), 7.91 (d, J = 8.3 Hz, 1H), 7.87 – 7.81 (m, 3H), 7.76 (d, J = 8.2 Hz, 2H), 7.69 – 7.64 (m, 2H), 7.59 – 7.52 (m, 2H), 7.48 (t, J = 7.6 Hz, 2H), 7.43 – 7.32 (m, 2H), 2.16 (s, 3H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 168.8, 141.4, 139.9, 139.3, 136.3, 129.1, 129.0, 127.9, 127.7, 127.2, 126.5(q, J = 174.2 Hz), 126.4, 126.3, 125.6(q, J = 3.8 Hz), 123.9, 123.4 , 123.0, 23.5. HRMS (ESI$^+$) m/z calcd. for $C_{23}H_{18}F_3NNaO^+$ [M+Na]$^+$: 404.1233, found: 404.1239.



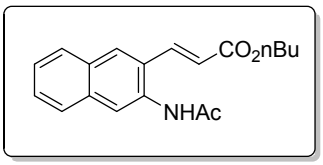
(*E*)-*N*-(4-(4-(tert-butyl)styryl)-[1,1'-biphenyl]-3-yl)acetamide (4i). Yield 40% (29.2 mg). colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 (s, 1H), 7.61-7.56 (m, 3H), 7.46-7.44 (m, 3H), 7.44 – 7.36 (m, 4H), 7.32 (t, *J* = 7.2 Hz, 1H), 7.26 (s, 1H), 7.10 (d, *J* = 16.0 Hz, 1H), 7.01 (d, *J* = 16.1 Hz, 1H), 2.21 (s, 3H), 1.33 (s, 9H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.5, 151.5, 141.0, 140.2, 134.9, 134.3, 132.3, 129.4, 128.7, 127.5, 127.1, 127.0, 126.4, 125.7, 124.2, 122.8, 122.3, 34.7, 31.3, 24.3. HRMS (ESI$^+$) m/z calcd. for $C_{26}H_{27}NNaO^+$ $[M+Na]^+$: 392.1985, found: 392.1992.



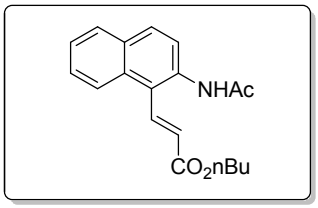
(*E*)-diethyl (2-(3-acetamido-[1,1'-biphenyl]-4-yl)vinyl)phosphonate (4j). Yield 72% (53.7 mg). yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.51 (s, 1H), 7.96 (s, 1H), 7.75 (dd, *J* = 22.9, 17.4 Hz, 1H), 7.61 – 7.54 (m, 3H), 7.42-7.34 (m, 3H), 7.33 (t, *J* = 7.3 Hz, 1H), 6.19 (t, *J* = 17.8 Hz, 1H), 4.07 (p, *J* = 7.2 Hz, 4H), 2.23 (s, 3H), 1.30 (t, *J* = 7.0 Hz, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.5, 143.9 (d, *J* = 8 Hz), 143.6, 139.6, 136.5, 128.8, 127.9, 127.0, 126.8, 124.2, 114.9 (d, *J* = 189 Hz), 62.0 (d, *J* = 5.5 Hz), 23.9, 16.3 (d, *J* = 6.4 Hz). HRMS (ESI$^+$) m/z calcd. for $C_{20}H_{24}NNaO_4P^+$ $[M+Na]^+$: 396.1335, found: 396.1355.



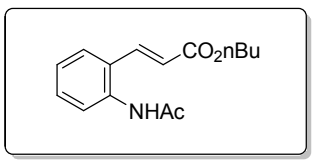
(*E*)-*N*-(4-(2-(phenylsulfonyl)vinyl)-[1,1'-biphenyl]-3-yl)acetamide (4k). Yield 58% (43.4 mg). mp 203-205 ^{o}C. white solid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.04 (s, 1H), 7.97 – 7.92 (m, 2H), 7.90 (d, *J* = 8.3 Hz, 1H), 7.77 – 7.62 (m, 7H), 7.58 (d, *J* = 15.5 Hz, 1H), 7.55 (d, *J* = 8.6 Hz, 1H), 7.50 – 7.43 (m, 2H), 7.42 – 7.36 (m, 1H), 2.13 (s, 3H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 169.0, 142.8, 140.6, 138.6, 138.1, 137.3, 133.5, 129.5, 129.0, 128.2, 128.1, 127.8, 127.2, 126.6, 125.8, 124.4, 123.9, 23.2. HRMS (ESI$^+$) m/z calcd. for $C_{22}H_{19}NNaO_3S^+$ $[M+Na]^+$: 400.0978, found: 400.0967.



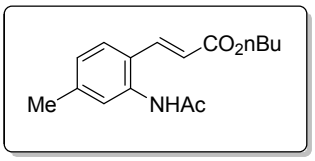
(*E*)-butyl 3-(3-acetamidonaphthalen-2-yl)acrylate (4l, major). Yield 35% (21.3 mg). mp 148-149 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.22 (s, 1H), 7.96 (s, 1H), 7.89 (d, *J* = 15.8 Hz, 1H), 7.74 (d, *J* = 8.0 Hz, 2H), 7.47-7.38 (m, 3H), 6.49 (d, *J* = 15.7 Hz, 1H), 4.21 (t, *J* = 6.7 Hz, 2H), 2.25 (s, 3H), 1.72 – 1.64 (m, 2H), 1.48 – 1.36 (m, 2H), 0.95 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.0, 166.7, 139.7, 134.3, 132.6, 130.9, 128.0, 127.6, 127.5, 127.4, 127.1, 126.1, 122.4, 121.4, 64.7, 30.7, 24.3, 19.2, 13.7. HRMS (ESI$^+$) m/z calcd. for $C_{19}H_{21}NNaO_3^+$ $[M+Na]^+$: 334.1414, found: 334.1396.



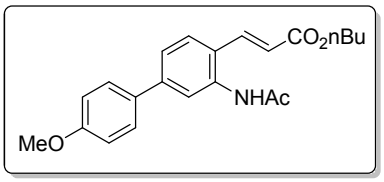
(*E*)-butyl 3-(2-acetamidonaphthalen-1-yl)acrylate (4l, minor). Yield 17% (10.8 mg). mp 93-95 °C. white solid. ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.15 (d, *J* = 8.9 Hz, 1H), 8.07 (d, *J* = 16.4 Hz, 1H), 7.93 (d, *J* = 8.2 Hz, 1H), 7.87 – 7.76 (m, 2H), 7.55 – 7.39 (m, 2H), 7.31 (s, 1H), 6.35 (d, *J* = 16.4 Hz, 1H), 4.27 (t, *J* = 6.7 Hz, 2H), 2.21 (s, 3H), 1.79 – 1.65 (m, 2H), 1.52 – 1.35 (m, 2H), 0.97 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.6, 166.3, 139.7, 133.3, 131.4, 131.2, 130.0, 128.6, 127.2, 127.2, 125.7, 124.5, 122.1, 121.9, 65.1, 30.9, 24.7, 19.4, 13.9. HRMS (ESI$^+$) m/z calcd. for $C_{19}H_{21}NNaO_3^+$ $[M+Na]^+$: 334.1414, found: 334.1402.



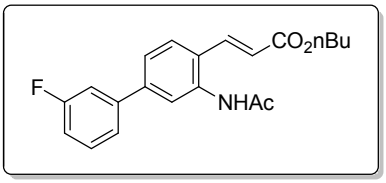
(*E*)-butyl 3-(2-acetamidophenyl)acrylate (4m). Yield 58% (30.3 mg). mp 85-86 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, *J* = 15.9 Hz, 1H), 7.70 (d, *J* = 8.1 Hz, 1H), 7.52 (d, *J* = 7.8 Hz, 1H), 7.47 (s, 1H), 7.35 (t, *J* = 7.7 Hz, 1H), 7.17 (t, *J* = 7.6 Hz, 1H), 6.36 (d, *J* = 15.8 Hz, 1H), 4.17 (t, *J* = 6.7 Hz, 2H), 2.19 (s, 3H), 1.70 – 1.61 (m, 2H), 1.46 – 1.34 (m, 2H) 0.93 (t, J = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.9, 166.9, 139.3, 135.8, 130.7, 127.6, 127.0, 125.8, 125.2, 120.6, 64.6, 30.7, 24.1, 19.1, 13.7. HRMS (ESI$^+$) m/z calcd. for $C_{15}H_{19}NNaO_3^+$ $[M+Na]^+$: 284.1257, found: 284.1261.



(*E*)-butyl 3-(2-acetamido-4-methylphenyl)acrylate (4n). Yield 65% (35.9 mg). mp 137-138 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 (d, *J* = 15.8 Hz, 1H), 7.50 (s, 1H), 7.47 (s, 1H), 7.42 (d, *J* = 8.0 Hz, 1H), 6.97 (d, *J* = 8.0 Hz, 1H), 6.32 (d, *J* = 15.8 Hz, 1H), 4.15 (t, *J* = 6.7 Hz, 2H), 2.32 (s, 3H), 2.18 (s, 3H), 1.70 – 1.58 (m, 2H), 1.45 – 1.33 (m, 2H), 0.93 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.9, 167.1, 141.4, 139.2, 135.7, 126.8, 125.8, 124.9, 119.3, 64.5, 30.7, 24.1, 21.4, 19.1, 13.7. HRMS (ESI$^+$) m/z calcd. for $C_{16}H_{21}NNaO_3^+$ $[M+Na]^+$: 298.1414, found: 298.1382.

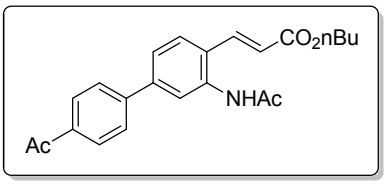


(*E*)-butyl 3-(3-acetamido-4'-methoxy-[1,1'-biphenyl]-4-yl)acrylate (4o). Yield 52% (38.2 mg). mp 148-149 °C. White solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (s, 1H), 7.79 (d, *J* = 15.8 Hz, 1H), 7.66 – 7.52 (m, 2H), 7.50 (d, *J* = 8.7 Hz, 2H), 7.35 (d, *J* = 8.2 Hz, 1H), 6.93 (d, *J* = 8.3 Hz, 2H), 6.37 (d, *J* = 15.8 Hz, 1H), 4.17 (t, *J* = 6.6 Hz, 2H), 3.82 (s, 3H), 2.22 (s, 3H), 1.72 – 1.60 (m, 2H), 1.47 – 1.34 (m, 2H), 0.94 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.0, 167.0 159.7, 143.2, 138.9, 136.3, 132.0, 128.1, 127.4, 125.8, 123.9, 123.3, 119.6, 114.2, 64.6, 55.3, 30.7, 24.1, 19.1, 13.7. HRMS (ESI$^+$) m/z calcd. for $C_{22}H_{25}NNaO_4^+$ $[M+Na]^+$: 390.1676, found: 390.1654.

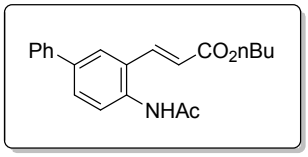


(*E*)-butyl 3-(3-acetamido-3'-fluoro-[1,1'-biphenyl]-4-yl)acrylate (4p). Yield 58% (41.4 mg). mp 133-134 °C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 (s, 1H), 7.79 (d, *J* = 15.8 Hz, 1H), 7.67 (s, 1H), 7.57 (d, *J* = 8.2 Hz, 1H), 7.38-7.32 (m, 3H), 7.24 (d, *J* = 10.2 Hz, 1H), 7.02 (t, *J* = 7.4 Hz, 1H), 6.38 (d, *J* = 15.8 Hz, 1H), 4.17 (t, *J* = 6.7 Hz, 2H), 2.22 (s, 3H), 1.72 – 1.59 (m, 2H), 1.47 – 1.32 (m, 2H), 0.93 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.1, 166.9, 163.1 (d, *J* = 245 Hz), 142.1, 141.8 (d, *J* = 7.8 Hz), 138.6, 136.4, 130.3 (d, *J* = 8.4 Hz),

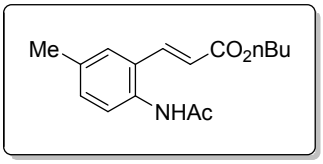
127.5, 126.9, 124.3,123.7, 122.6 (d, J = 2.8 Hz), 120.4, 114.7 (d, J = 21 Hz), 113.9 (d, J = 22.1 Hz), 64.7, 30.7, 24.1, 19.1, 13.7. HRMS (ESI$^+$) m/z calcd. for $C_{21}H_{22}FNNaO_3^+$ [M+Na]$^+$: 378.1476, found: 378.1449.



(*E*)-butyl 3-(3-acetamido-4'-acetyl-[1,1'-biphenyl]-4-yl)acrylate (4q). Yield 61% (38.8 mg). mp 162-164 ^{o}C. white solid. ^{1}H NMR (300 MHz, $CDCl_3$) δ 8.01 (s, 1H), 7.96 (d, J = 8.1 Hz, 2H), 7.80 (d, J = 15.8 Hz, 1H), 7.75 (s, 1H), 7.69 – 7.54 (m, 3H), 7.40 (d, J = 8.2 Hz, 1H), 6.39 (d, J = 15.8 Hz, 1H), 4.17 (t, J = 6.7 Hz, 2H), 2.59 (s, 3H), 2.23 (s, 3H), 1.65 (dq, J = 8.6, 6.8 Hz, 2H), 1.47 – 1.30 (m, 2H), 0.93 (t, J = 7.3 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.8, 169.3, 167.0, 144.2, 142.1, 138.7, 136.6, 136.5, 129.0, 127.7, 127.4, 127.3, 124.5, 124.0, 120.8, 64.8, 30.8, 26.8, 24.3, 19.3, 13.8. HRMS (ESI$^+$) m/z calcd. for $C_{23}H_{25}NNaO_4^+$ [M+Na]$^+$: 402.1676, found: 402.1656.

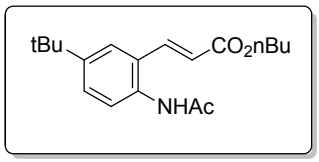


(*E*)-butyl 3-(4-acetamido-[1,1'-biphenyl]-3-yl)acrylate (4r). Yield 60% (40.2 mg). mp 183-185 ^{o}C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 (d, J = 15.8 Hz, 1H), 7.79 (d, J = 8.4 Hz, 1H), 7.71 (d, J = 2.0 Hz, 1H), 7.60 – 7.49 (m, 4H), 7.41 (dd, J = 8.3, 6.6 Hz, 2H), 7.35 (m, 1H), 6.44 (d, J = 15.8 Hz, 1H), 4.18 (t, J = 6.7 Hz, 2H), 2.23 (s, 3H), 1.70 – 1.61 (m, 1H), 1.47 – 1.34 (m, 2H), 0.94 (t, J = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.9, 166.9, 139.9, 139.3, 138.8, 135.0, 129.4, 128.8, 127.7, 127.6, 126.9, 125.6, 125.4, 120.9, 64.7, 30.7, 24.2, 19.2, 13.7. HRMS (ESI$^+$) m/z calcd. for $C_{21}H_{23}NNaO_3^+$ [M+Na]$^+$: 360.1570, found: 360.1561.

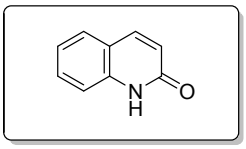


(*E*)-butyl 3-(2-acetamido-5-methylphenyl)acrylate (4s). Yield 68% (37.6 mg). mp 93-94 ^{o}C. white solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 (d, J = 15.8 Hz, 1H), 7.53 (d, J = 8.2 Hz, 1H), 7.34 (d, J = 1.9 Hz, 1H), 7.28 (s, 1H), 7.16 (d, J = 7.7 Hz, 1H), 6.36 (d, J = 15.8 Hz, 1H), 4.17 (t, J = 6.7 Hz, 2H), 2.31 (s, 3H), 2.19 (s, 3H), 1.70 – 1.60 (m, 2H), 1.48 – 1.33 (m, 2H), 0.94 (t, J = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.9, 166.9, 139.4,

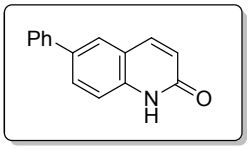
135.7, 133.3, 131.6, 127.8, 127.3, 125.5, 120.2, 64.6, 30.7, 24.0, 20.9, 19.2, 13.7. HRMS (ESI^+) m/z calcd. for $C_{16}H_{21}NNaO_3^+$ $[M+Na]^+$: 298.1414, found: 298.1393.



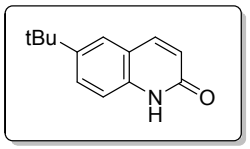
(*E*)-butyl 3-(2-acetamido-5-(tert-butyl)phenyl)acrylate (4t). Yield 52% (32.8 mg). mp 125-126 °C. white solid. ^1H NMR (400 MHz, $CDCl_3$) δ 7.80 (d, *J* = 15.8 Hz, 1H), 7.58 (d, *J* = 8.5 Hz, 1H), 7.53 (d, *J* = 2.2 Hz, 1H), 7.39 (dd, *J* = 8.5, 2.2 Hz, 1H), 7.35 (s, 1H), 6.38 (d, *J* = 15.8 Hz, 1H), 4.18 (t, *J* = 6.7 Hz, 2H), 2.19 (s, 3H), 1.71 – 1.60 (m, 2H), 1.47 – 1.36 (m, 2H), 1.29 (s, 9H), 0.94 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.9, 167.0, 148.9, 139.9, 133.3, 128.2, 127.3, 125.2, 123.7, 120.1, 64.6, 34.5, 31.2, 30.7, 24.0, 19.2, 13.7. HRMS (ESI^+) m/z calcd. for $C_{19}H_{27}NNaO_3^+$ $[M+Na]^+$: 340.1883, found: 340.1861.



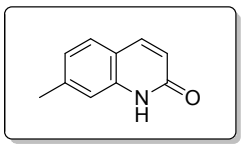
quinolin-2(1H)-one (5a). Yield 45% (13.2 mg). mp 192-194 °C. white solid. ^1H NMR (300 MHz, CDCl3) δ 12.60 (s, 1H), 7.83 (d, *J* = 9.3 Hz, 1H), 7.58 – 7.51 (m, 1H), 7.51 – 7.41 (m, 2H), 7.20 (ddd, *J* = 8.1, 6.7, 1.5 Hz, 1H), 6.71 (d, *J* = 9.5 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 164.7, 141.4, 138.6, 130.8, 127.9, 122.9, 120.1, 116.4. HRMS (ESI^+) m/z calcd. for $C_9H_7NNaO^+$ $[M+Na]^+$: 168.0420, found: 168.0429.



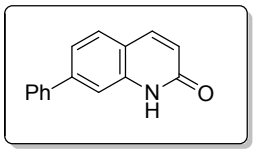
6-phenylquinolin-2(1H)-one (5b). Yield 64% (28.2 mg). mp 272-273 °C. white solid. ^1H NMR (300 MHz, DMSO-d_6) δ 11.81 (s, 1H), 7.96 (d, *J* = 9.6 Hz, 2H), 7.82 (dd, *J* = 8.6, 2.1 Hz, 1H), 7.69 (d, *J* = 7.0 Hz, 2H), 7.46 (t, *J* = 7.6 Hz, 2H), 7.41 – 7.30 (m, 2H), 6.53 (d, *J* = 9.5 Hz, 1H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 162.3, 140.8, 139.8, 138.7, 134.1, 129.4, 127.7, 126.9, 126.1, 122.7, 119.9, 116.2. HRMS (ESI^+) m/z calcd. for $C_{15}H_{11}NNaO^+$ $[M+H]^+$: 222.0914, found: 222.0894.



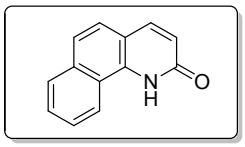
6-(*tert*-butyl)quinolin-2(1H)-one (5c). Yield 52% (20.6 mg). mp 177-179 °C. NMR (300 MHz, $CDCl_3$) δ 12.40 (s, 1H), 7.79 (d, *J* = 9.5 Hz, 1H), 7.56 (dd, *J* = 8.6, 2.2 Hz, 1H), 7.50 (d, *J* = 2.1 Hz, 1H), 7.37 (d, *J* = 8.7 Hz, 1H), 6.69 (d, *J* = 9.5 Hz, 1H), 1.34 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 164.8, 145.9, 141.5, 136.5, 128.9, 123.7, 121.2, 119.8, 116.1, 34.6, 31.5. HRMS (ESI^+) m/z calcd. for $C_{13}H_{15}NNaO^+$ $[M+H]^+$: 202.1227, found: 202.1220.



7-methylquinolin-2(1H)-one (5d). Yield 63% (20.0 mg). mp 195-196 °C. white solid. ^{1}H NMR (300 MHz, $CDCl_3$) δ 12.74 (s, 1H), 7.76 (d, *J* = 9.4 Hz, 1H), 7.42 (d, *J* = 8.0 Hz, 1H), 7.25 (s, 1H), 7.01 (dd, *J* = 8.0, 1.5 Hz, 1H), 6.65 (d, *J* = 9.4 Hz, 1H), 2.43 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.1, 141.7, 141.1, 138.8, 127.6, 124.5, 120.1, 118.0, 116.3, 21.8. HRMS (ESI^+) m/z calcd. for $C_{10}H_9NNaO^+$ $[M+Na]^+$: 182.0576, found: 182.0578.



7-phenylquinolin-2(1H)-one (5e). Yield 57% (25.1 mg). mp 262-263 °C. white solid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 11.78 (s, 1H), 7.92 (d, *J* = 9.5 Hz, 1H), 7.72 (d, *J* = 8.1 Hz, 1H), 7.66 (dd, *J* = 8.3, 1.3 Hz, 2H), 7.57 – 7.44 (m, 4H), 7.44 – 7.37 (m, 1H), 6.50 (d, *J* = 9.5 Hz, 1H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 162.0, 142.1, 139.9, 139.4, 139.4, 129.1, 128.5, 128.1, 126.9, 121.9, 120.6, 118.4, 112.8. HRMS (ESI^+) m/z calcd. for $C_{15}H_{11}NNaO^+$ $[M+H]^+$: 222.0914, found: 222.0902.



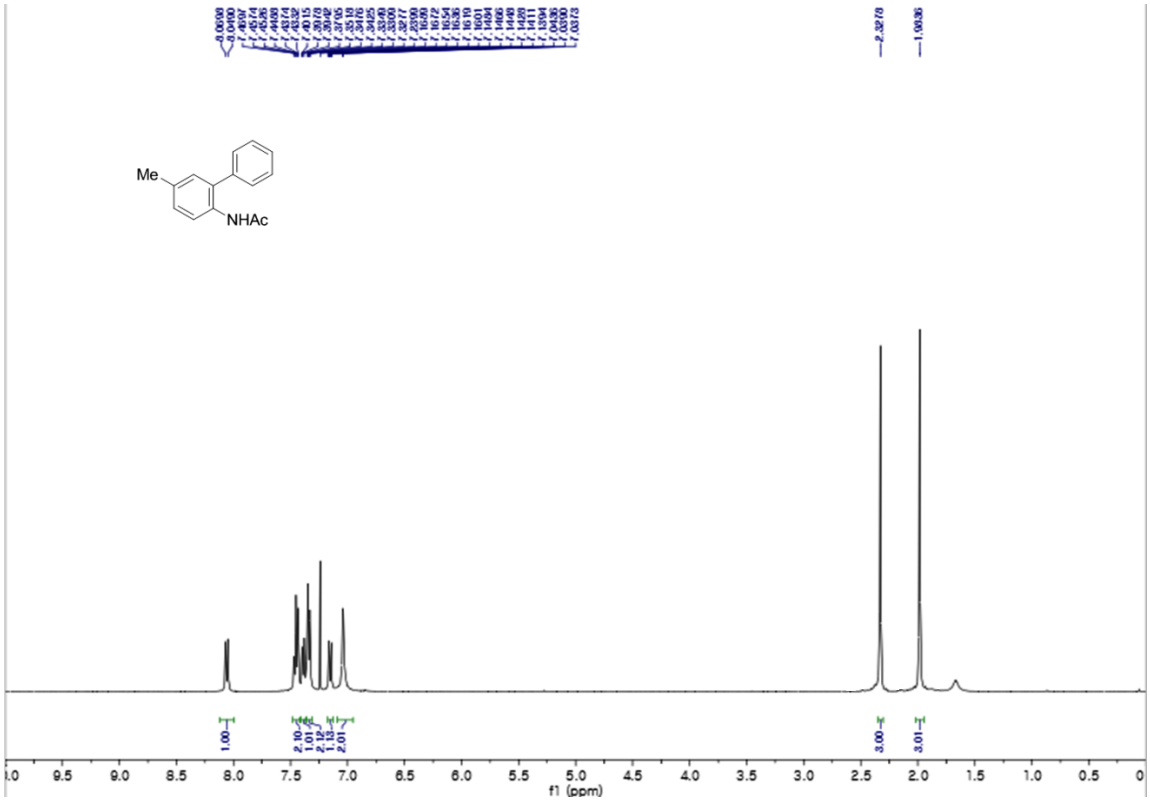
benzo[h]quinolin-2(1H)-one (5f). Yield 53% (20.7 mg). mp 250-251 °C. white solid. ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.14 (s, 1H), 8.87 (dd, J= 8.1, 1.7 Hz, 1H), 8.03 (d, J = 9.4 Hz, 1H), 7.98-7.92 (m, 1H), 7.71-7.58 (m, 4H), 6.63 (d, J = 9.4 Hz, 1H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 162.6, 141.2, 135.8, 133.7, 128.4, 127.8, 126.4, 125.3, 122.3, 122.2, 121.6, 121.4, 115.3. HRMS (ESI^+) m/z calcd. for $C_{13}H_9NNaO^+$ $[M+Na]^+$: 218.0576, found: 218.0570.

Appendix I

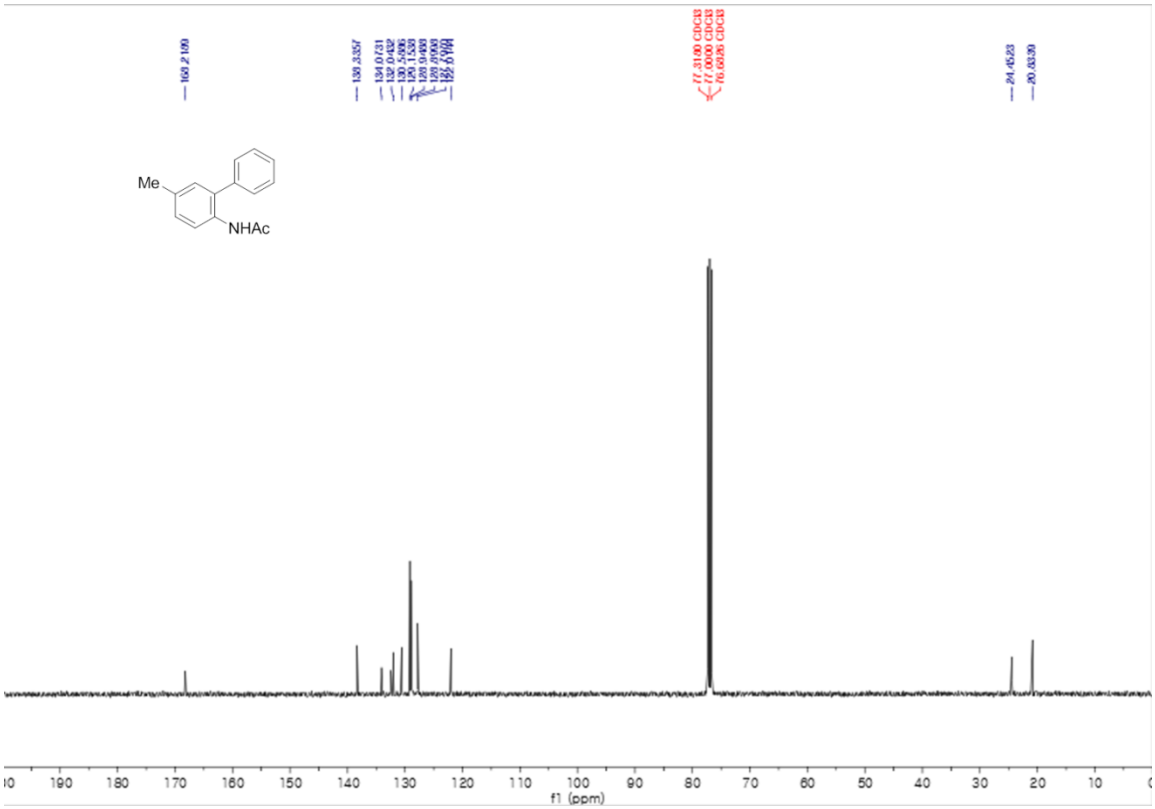
Spectral Copies of ^{1}H and ^{13}C NMR Data

Obtained in this Study

***N*-(5-methyl-[1,1'-biphenyl]-2-yl)acetamide (3a)**

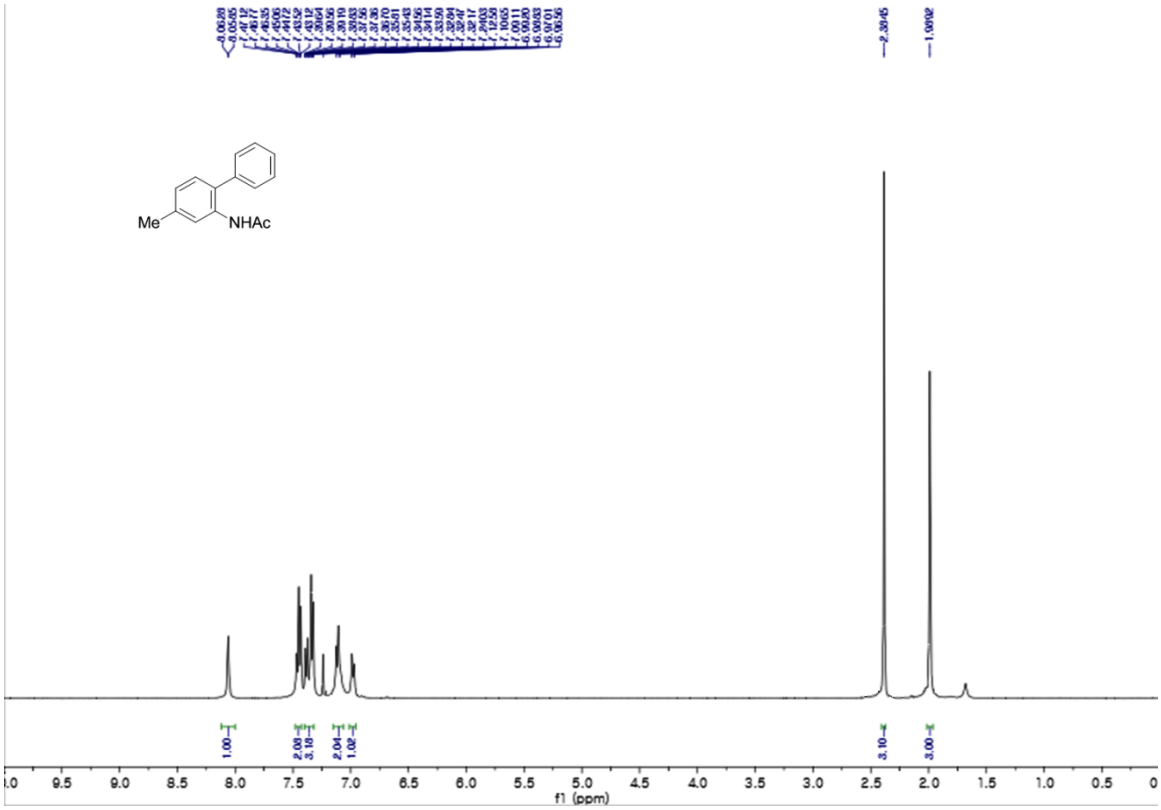


400 MHz, ^{1}H NMR in $CDCl_3$

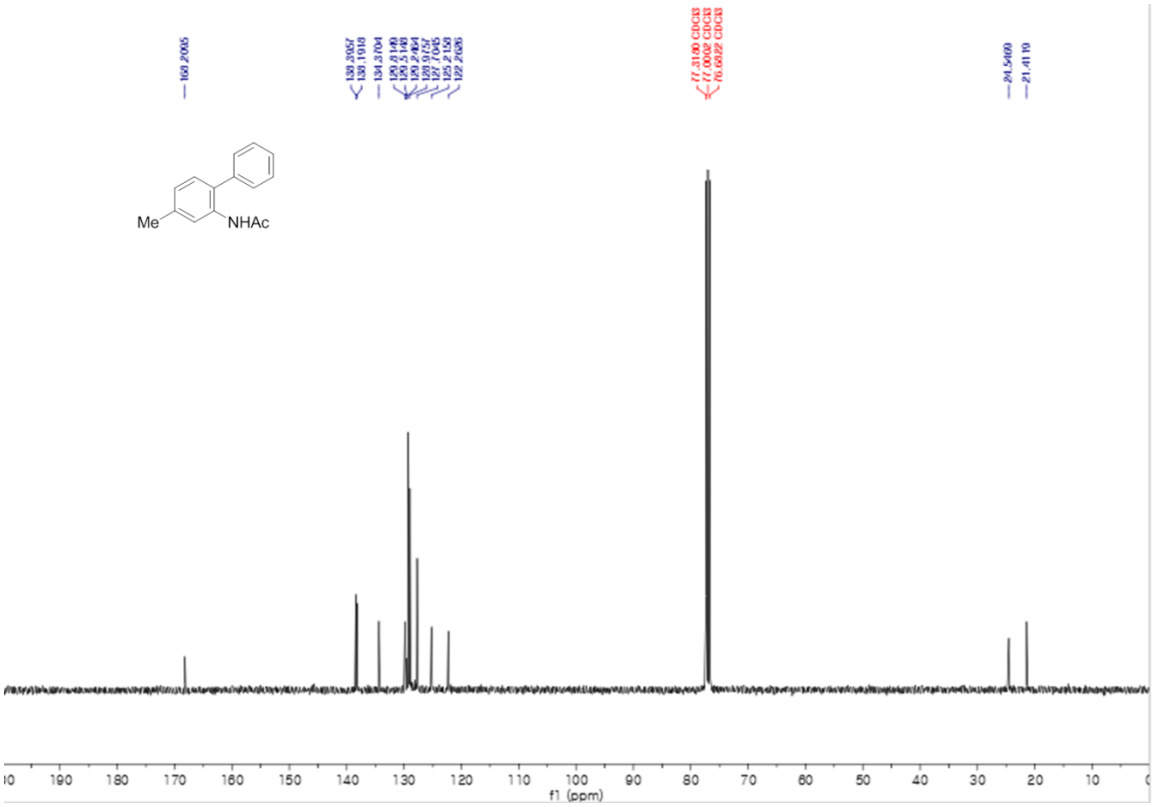


100 MHz, ^{13}C NMR in $CDCl_3$

***N*-(4-methyl-[1,1'-biphenyl]-2-yl)acetamide (3b)**

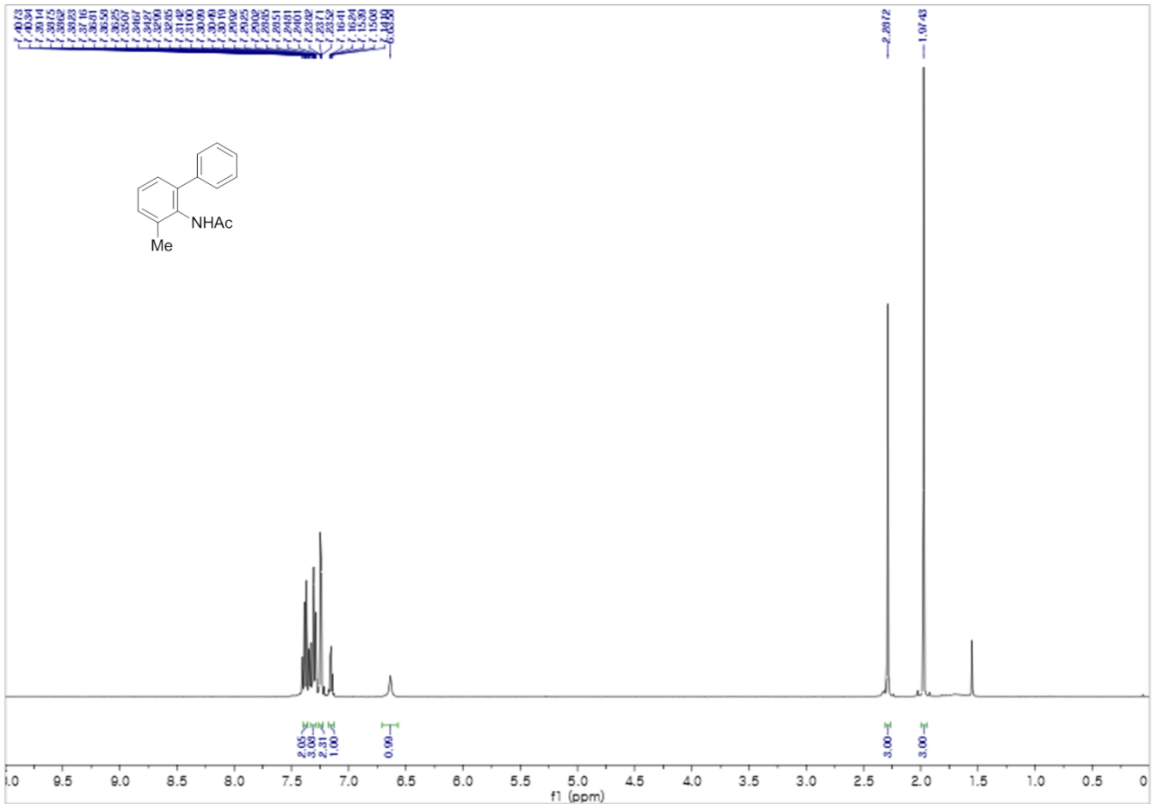


400 MHz, ^{1}H NMR in $CDCl_3$

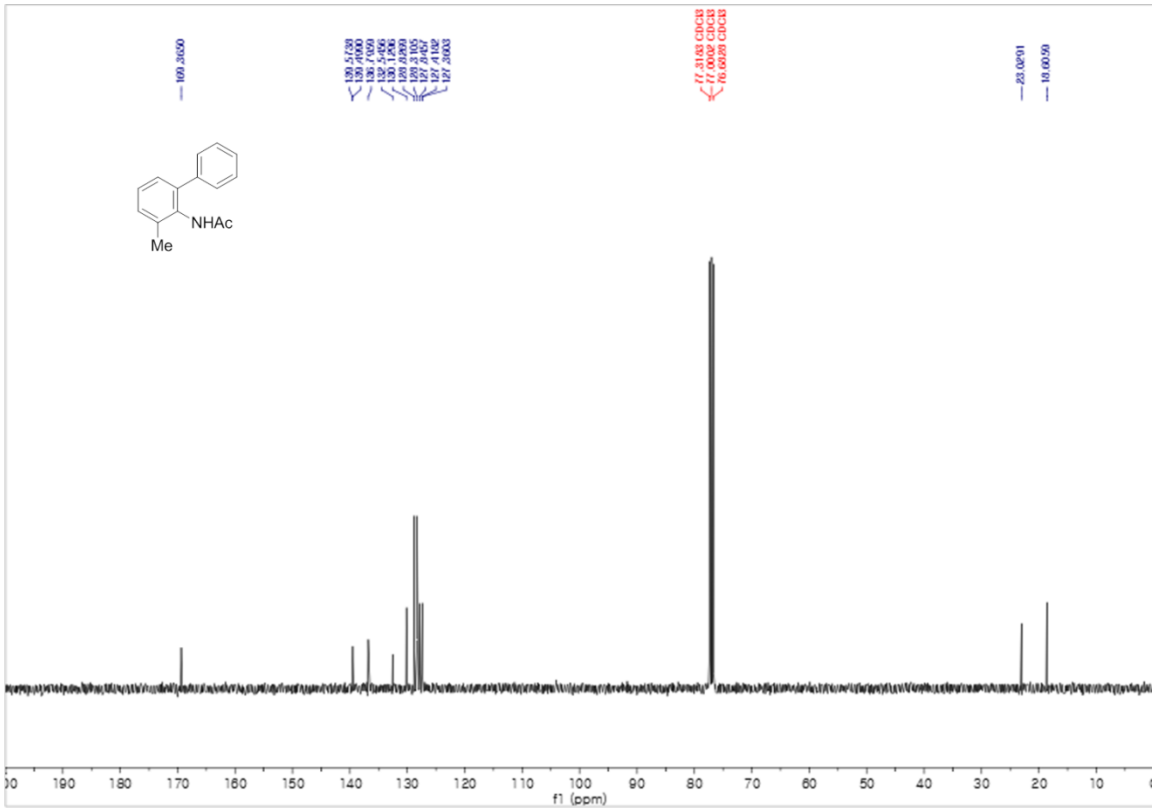


100 MHz, ^{13}C NMR in $CDCl_3$

***N*-(3-methyl-[1,1'-biphenyl]-2-yl)acetamide (3c)**

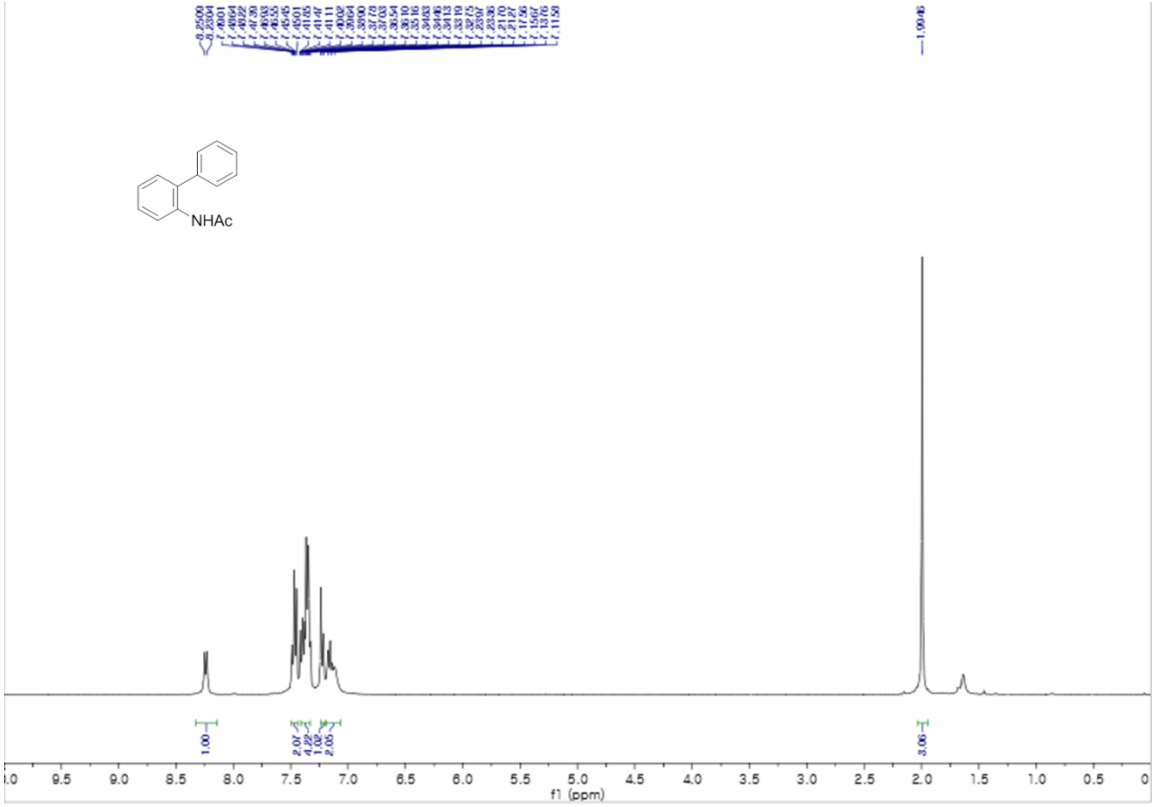


400 MHz, ^{1}H NMR in $CDCl_3$

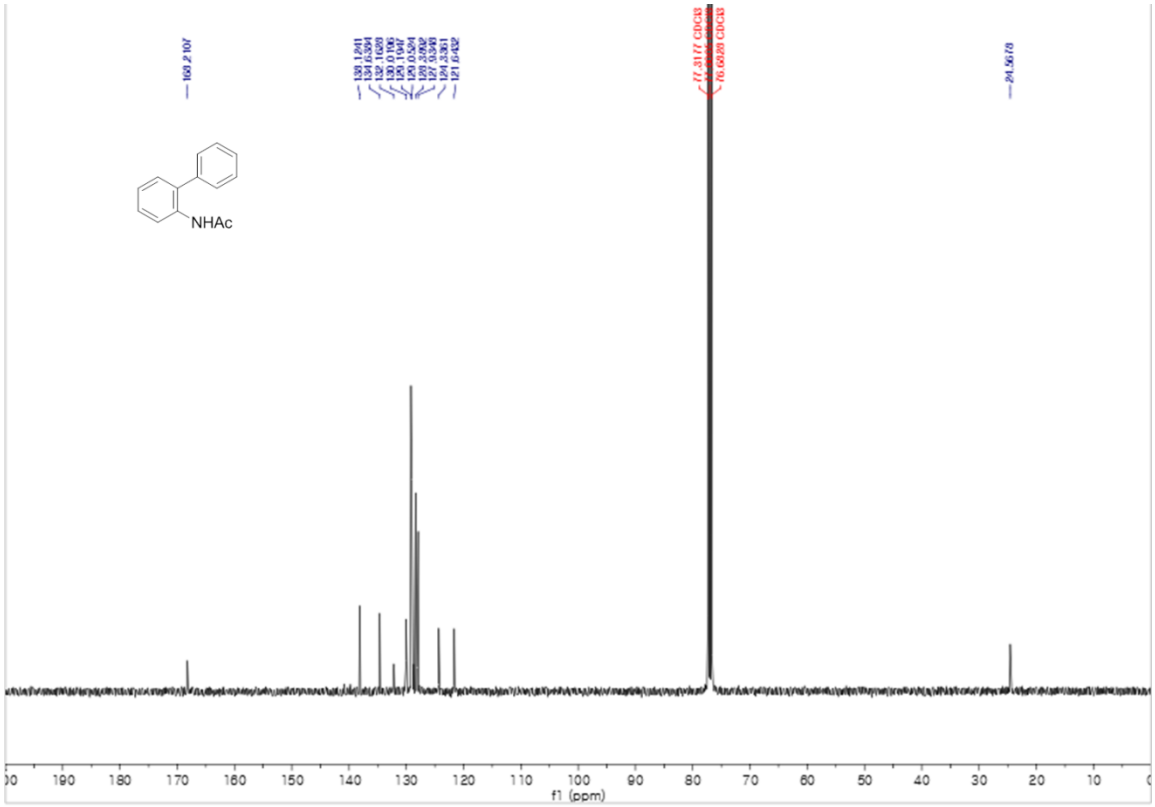


100 MHz, ^{13}C NMR in $CDCl_3$

***N*-([1,1'-biphenyl]-2-yl)acetamide (3d)**

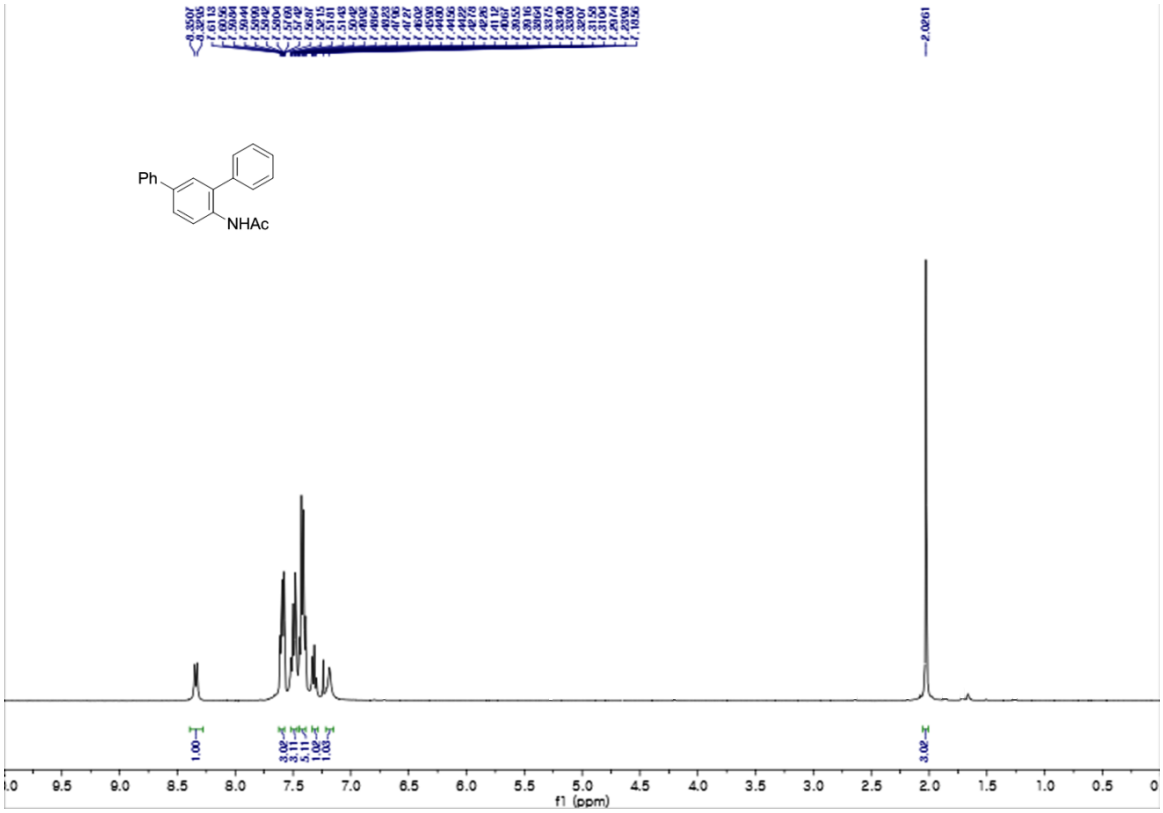


400 MHz, ^{1}H NMR in $CDCl_3$

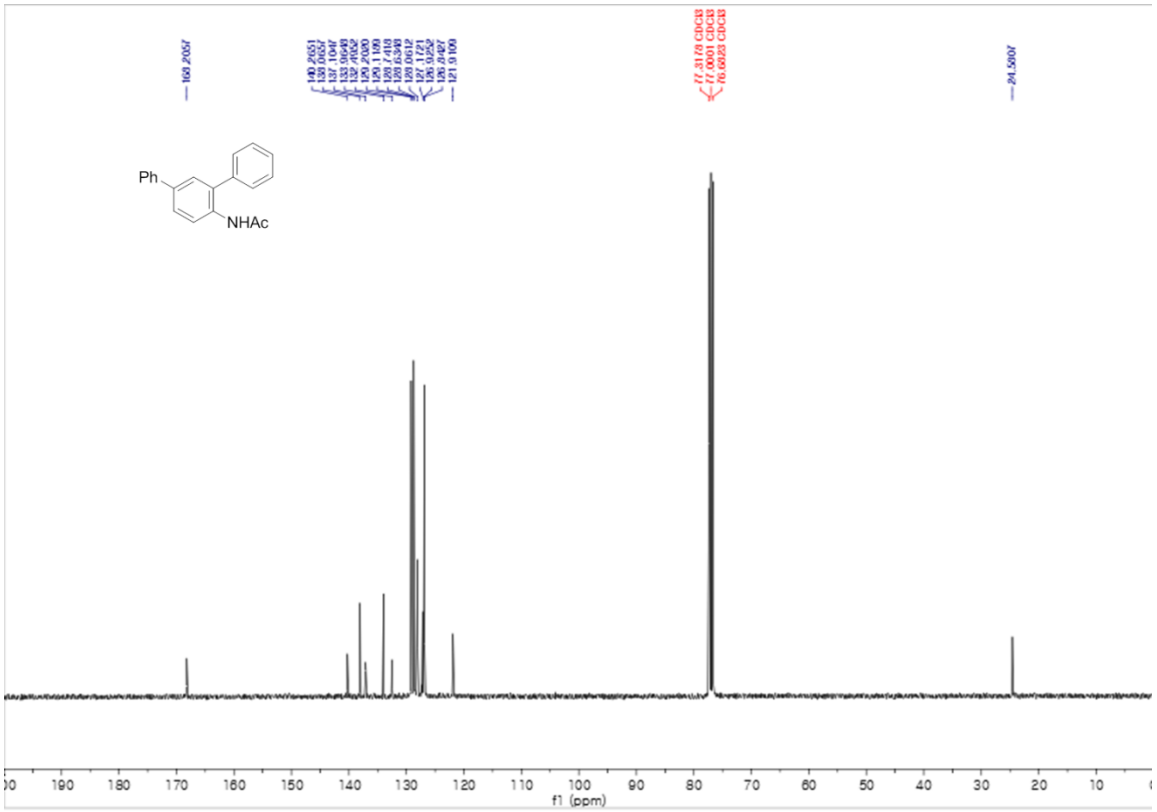


100 MHz, ^{13}C NMR in $CDCl_3$

***N*-([1,1':3',1''-terphenyl]-4'-yl)acetamide (3e)**

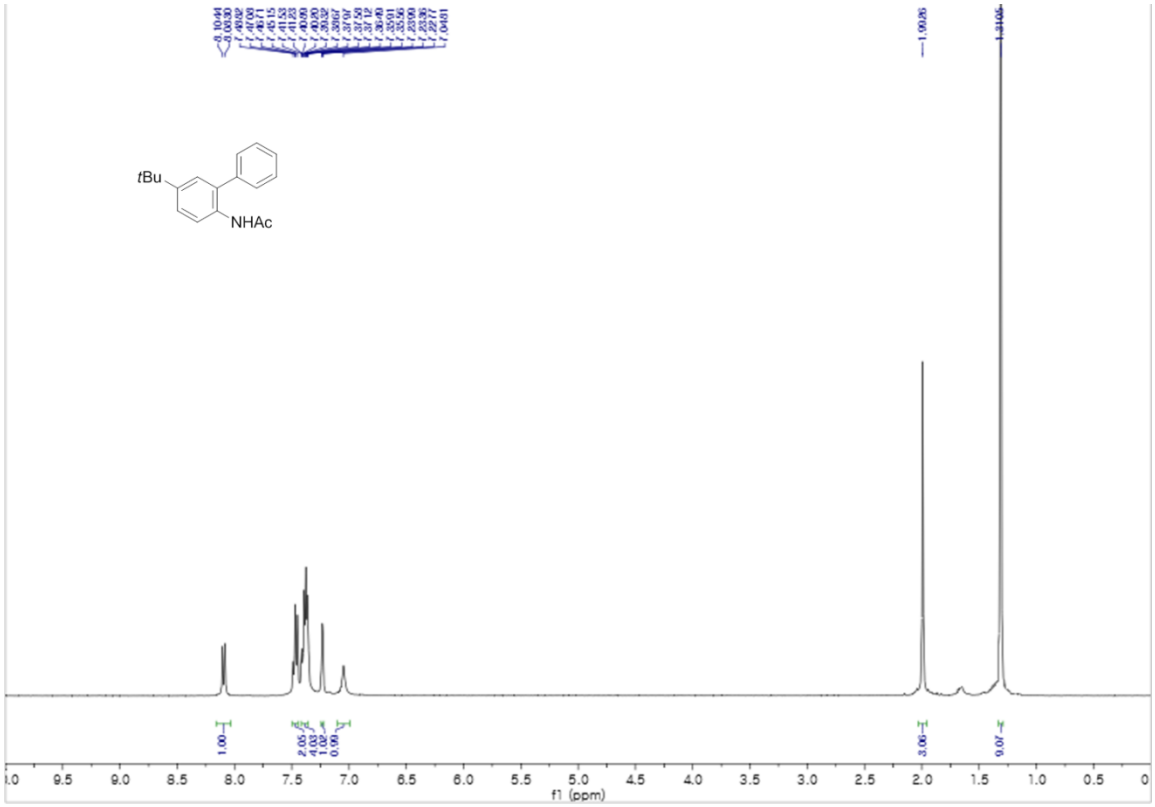


400 MHz, ^{1}H NMR in $CDCl_3$

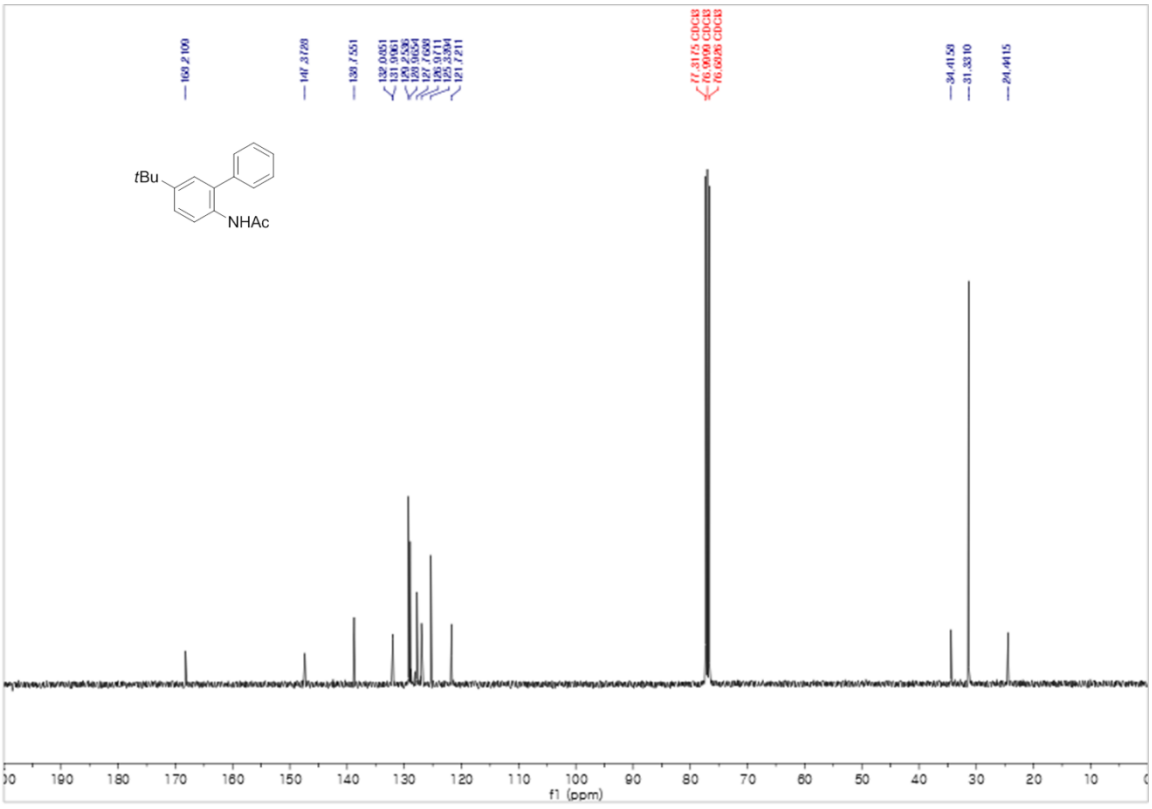


100 MHz, ^{13}C NMR in $CDCl_3$

***N*-(5-(tert-butyl)-[1,1'-biphenyl]-2-yl)acetamide (3f)**

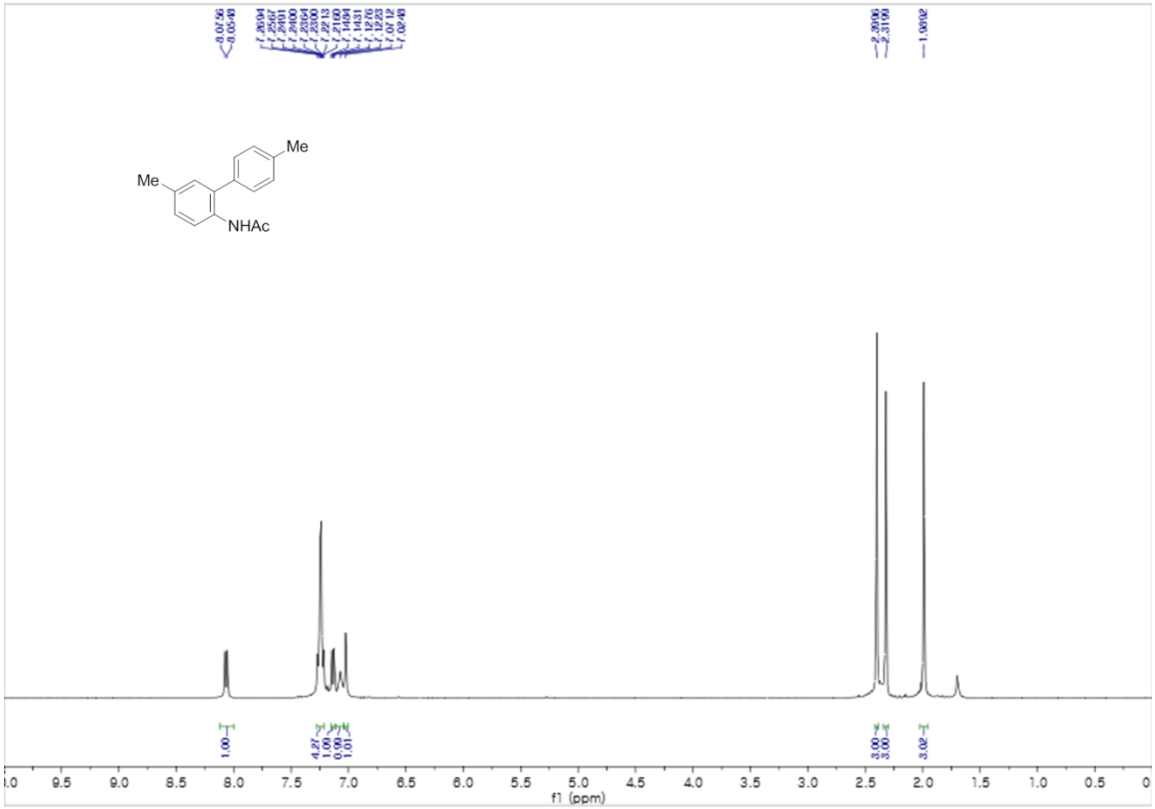


400 MHz, ^{1}H NMR in $CDCl_3$

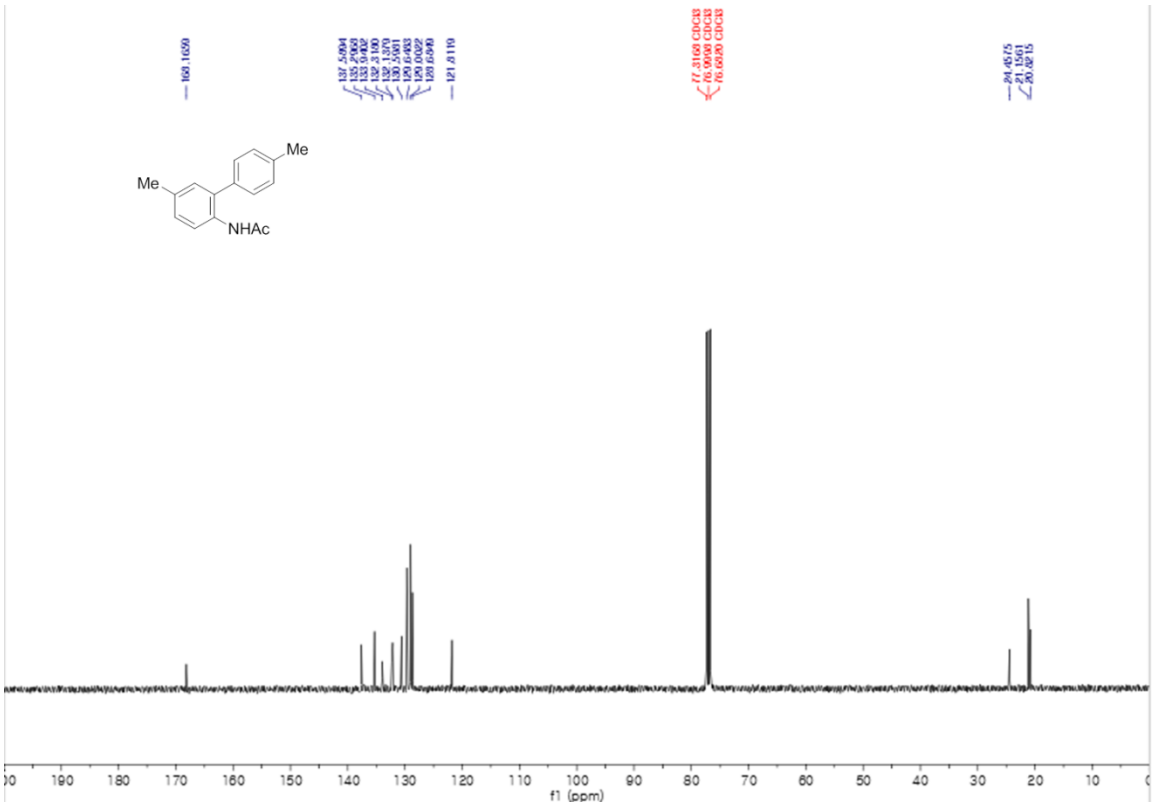


100 MHz, ^{13}C NMR in $CDCl_3$

***N*-(4',5-dimethyl-[1,1'-biphenyl]-2-yl)acetamide (3g)**

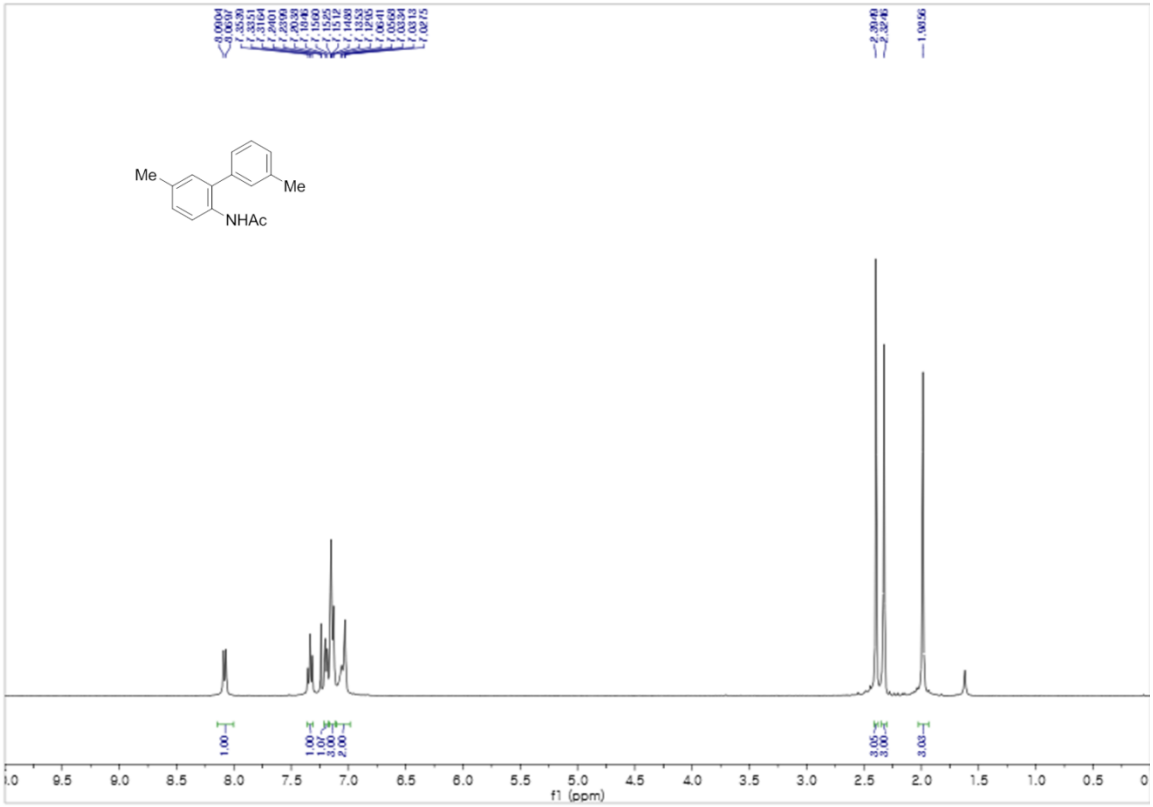


400 MHz, ^{1}H NMR in $CDCl_3$

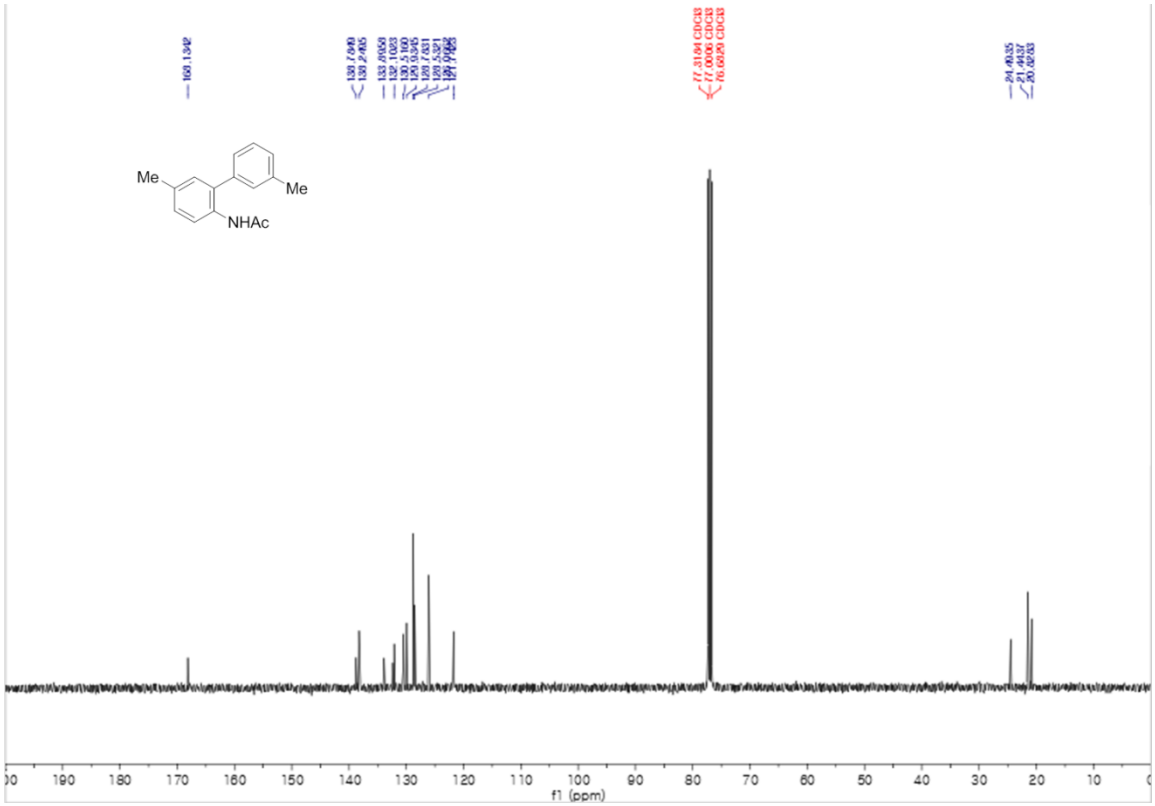


100 MHz, ^{13}C NMR in $CDCl_3$

***N*-(3',5-dimethyl-[1,1'-biphenyl]-2-yl)acetamide (3h)**

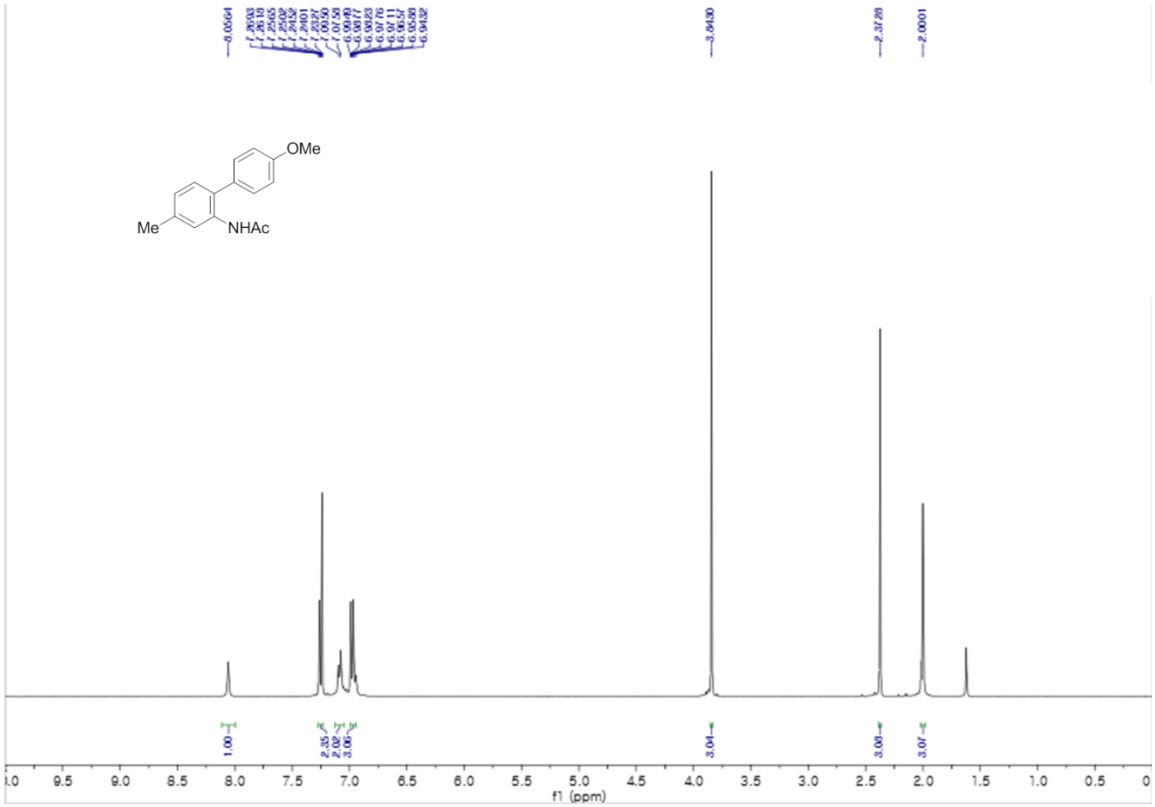


400 MHz, ^{1}H NMR in $CDCl_3$

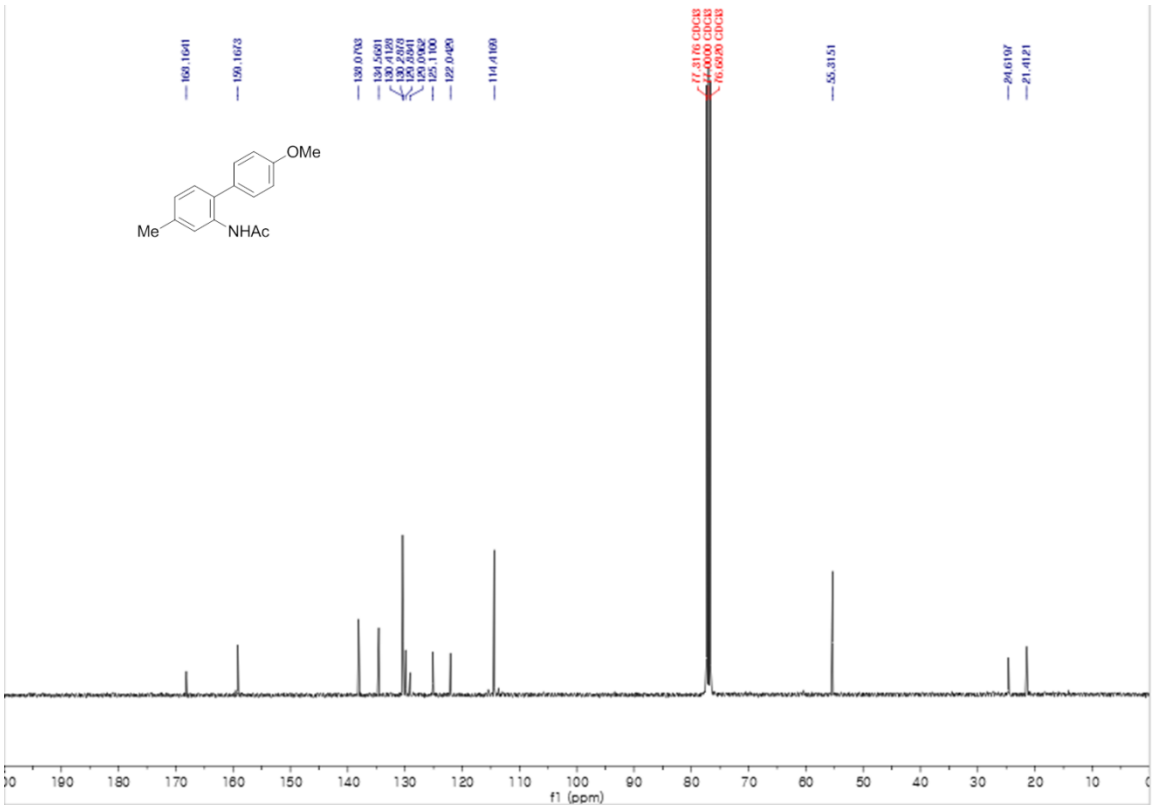


100 MHz, ^{13}C NMR in $CDCl_3$

***N*-(4'-methoxy-4-methyl-[1,1'-biphenyl]-2-yl)acetamide (3i)**

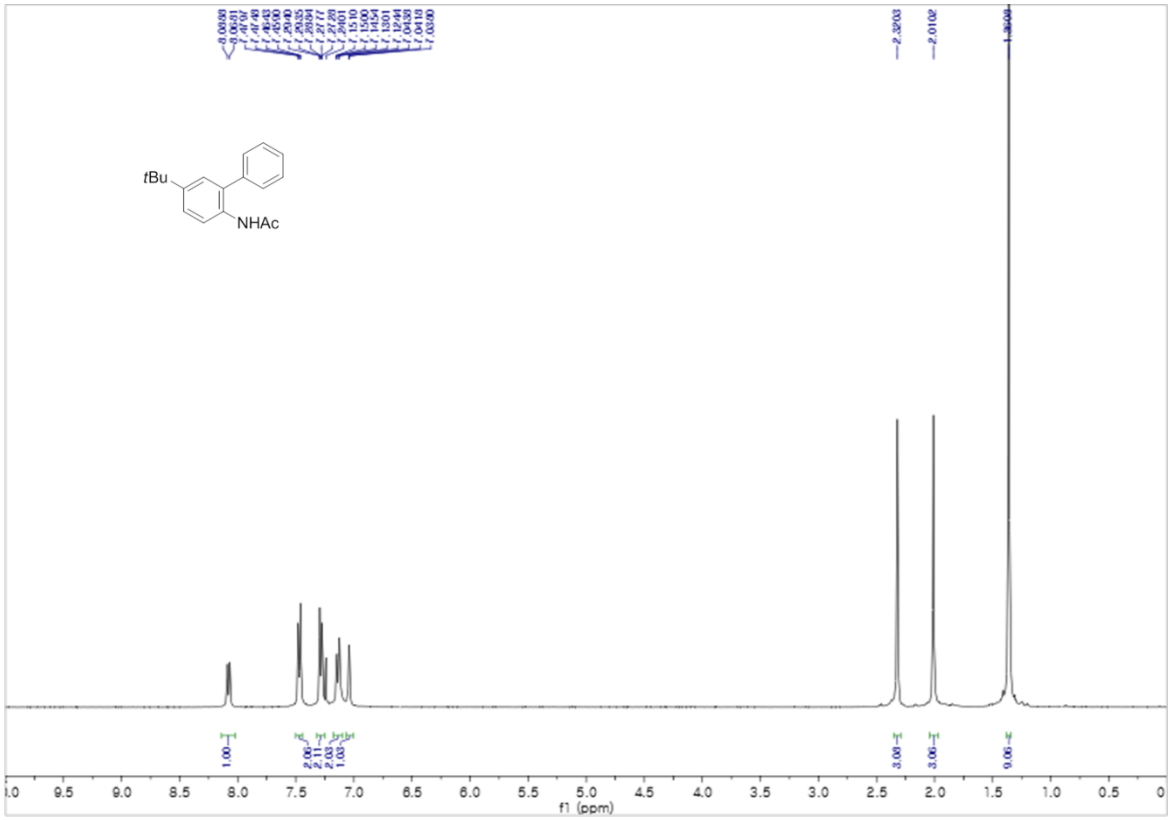


400 MHz, ^{1}H NMR in $CDCl_3$

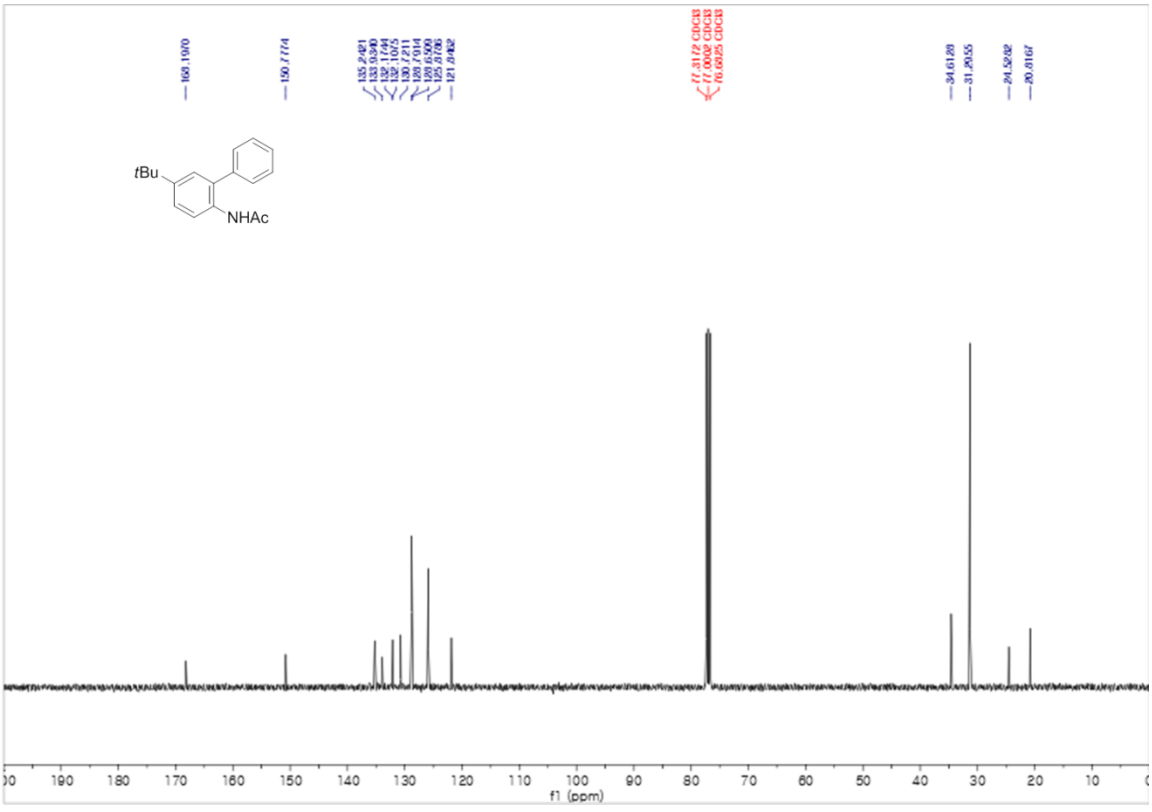


100 MHz, ^{13}C NMR in $CDCl_3$

***N*-(4'-(tert-butyl)-5-methyl-[1,1'-biphenyl]-2-yl)acetamide (3j)**

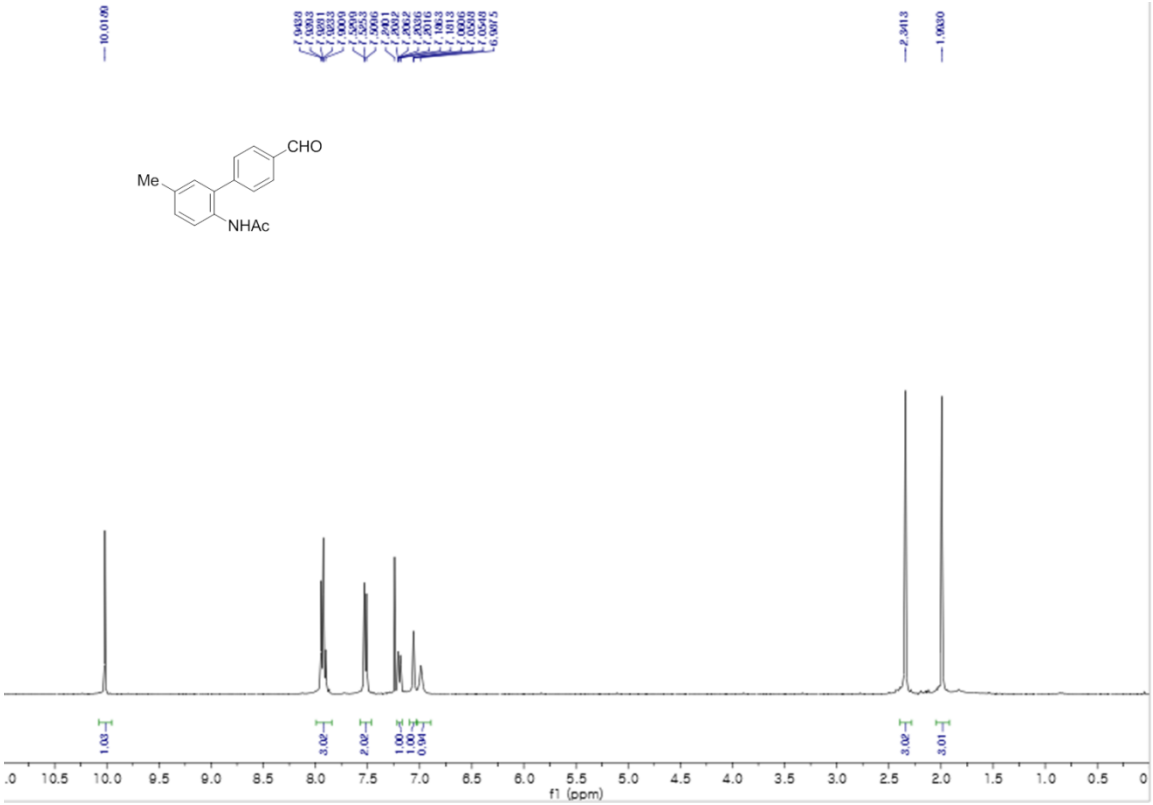


400 MHz, ^{1}H NMR in $CDCl_3$

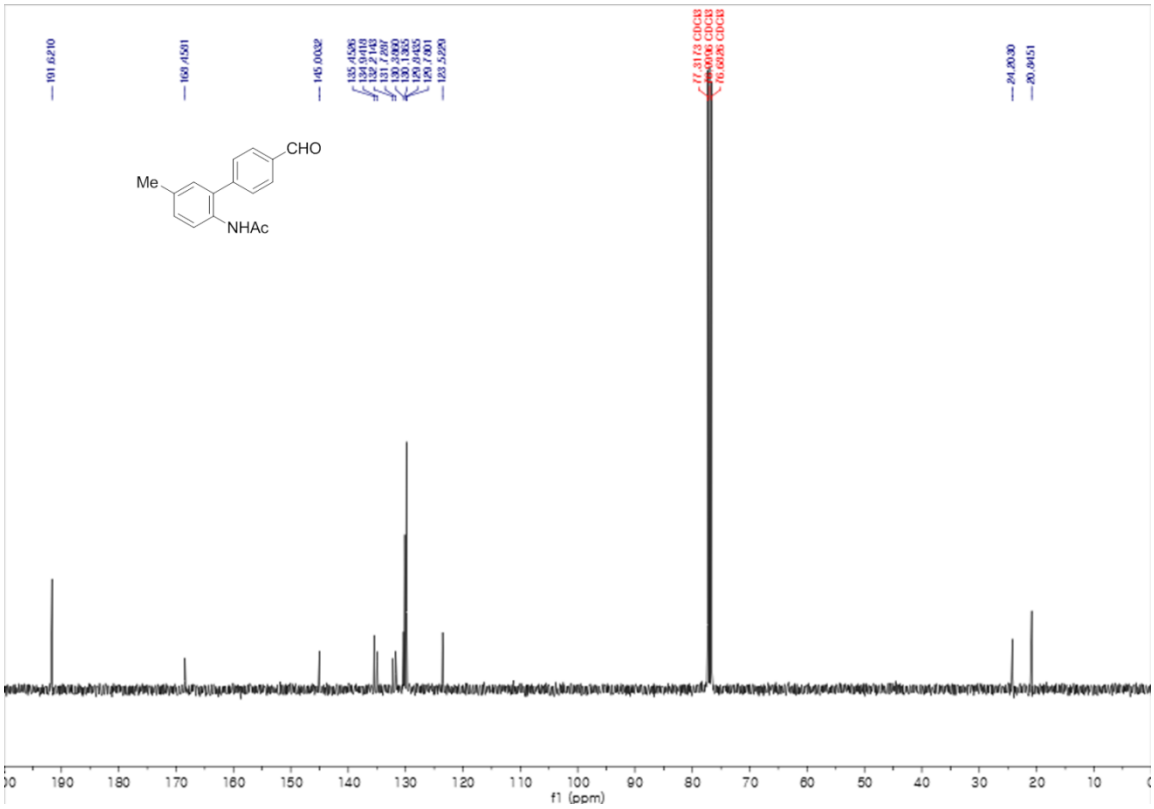


100 MHz, ^{13}C NMR in $CDCl_3$

***N*-(4'-formyl-5-methyl-[1,1'-biphenyl]-2-yl)acetamide (3k)**

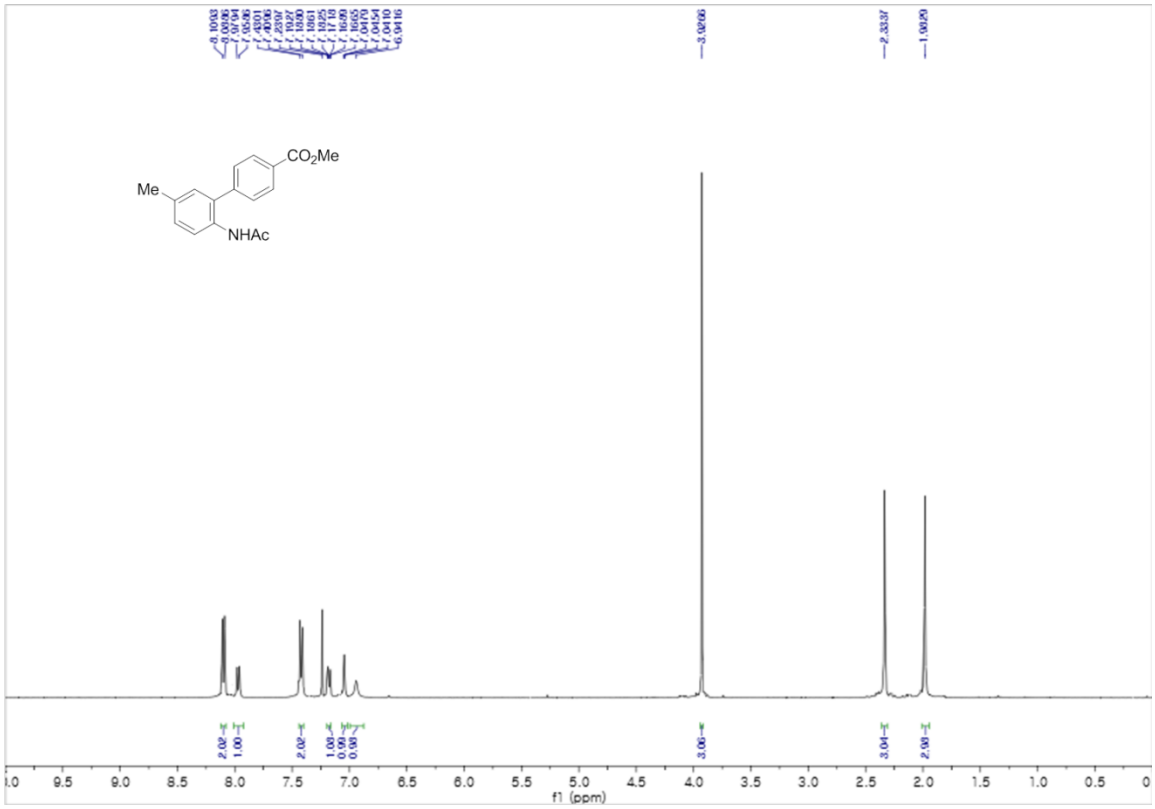


400 MHz, ^{1}H NMR in $CDCl_3$

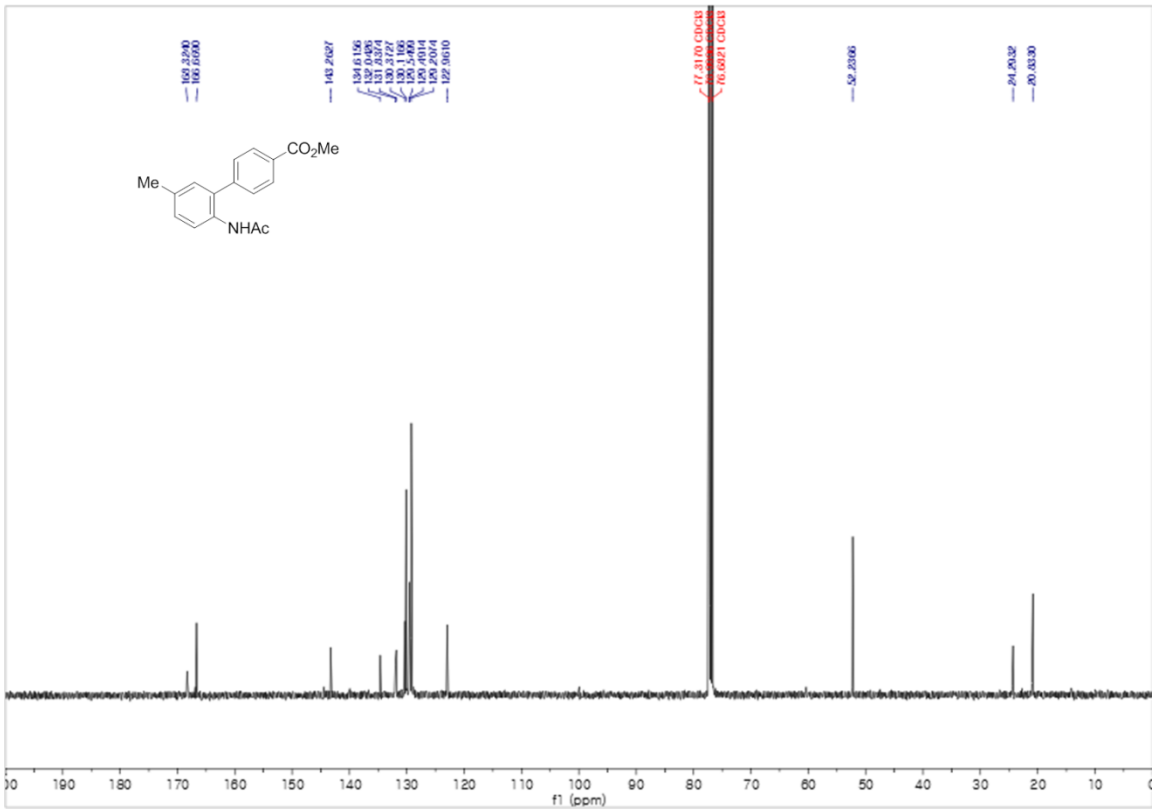


100 MHz, ^{13}C NMR in $CDCl_3$

methyl 2'-acetamido-5'-methyl-[1,1'-biphenyl]-4-carboxylate (3l)

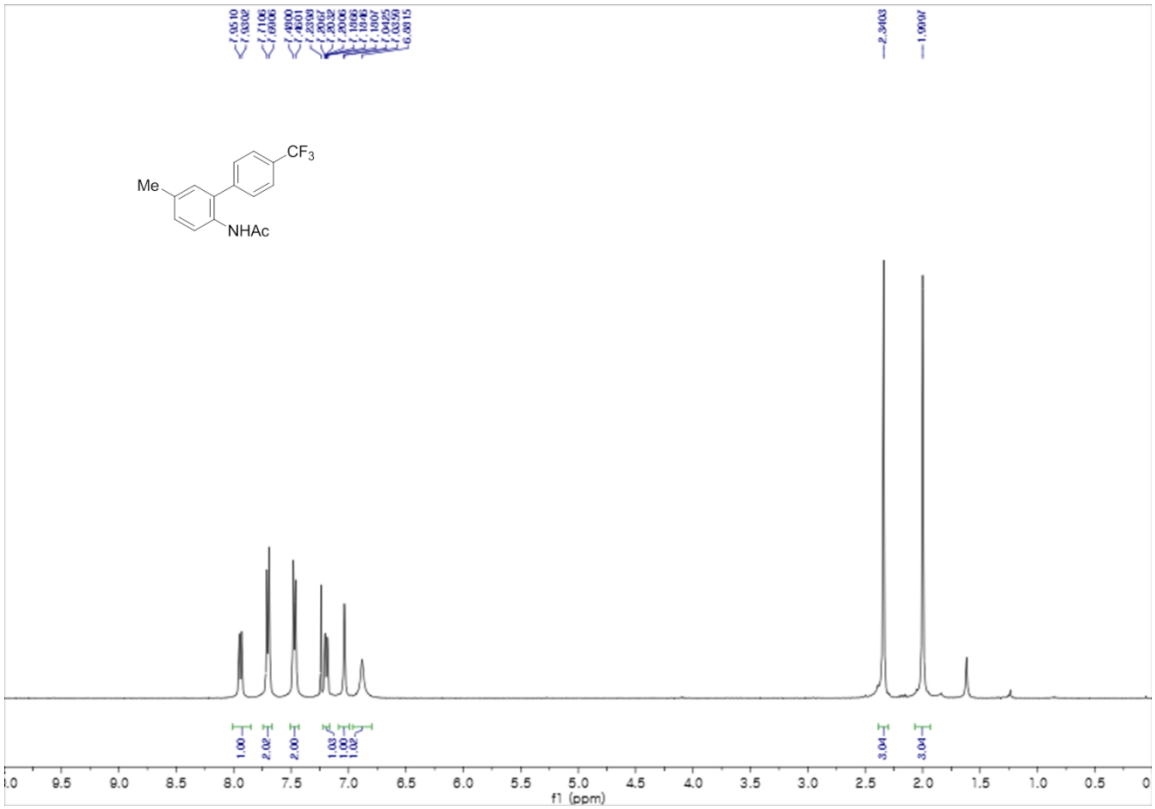


400 MHz, ^{1}H NMR in $CDCl_3$

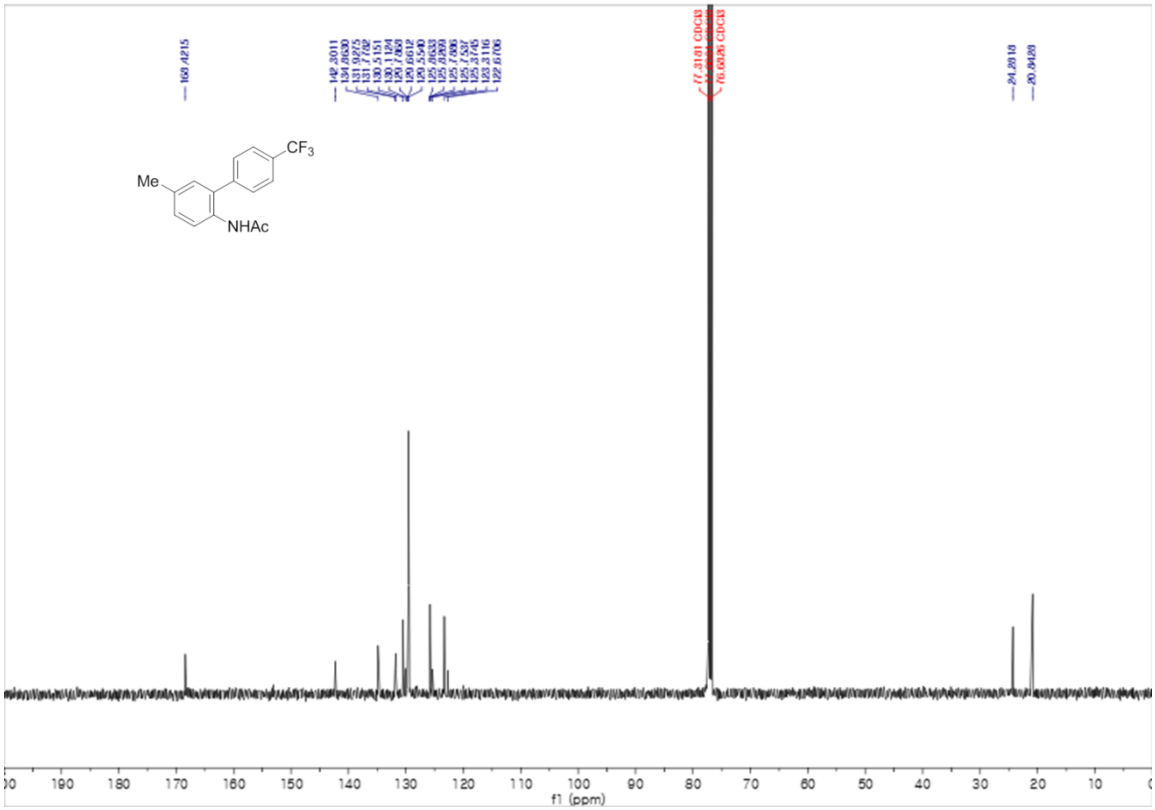


100 MHz, ^{13}C NMR in $CDCl_3$

***N*-(5-methyl-4'-(trifluoromethyl)-[1,1'-biphenyl]-2-yl)acetamide (3m)**

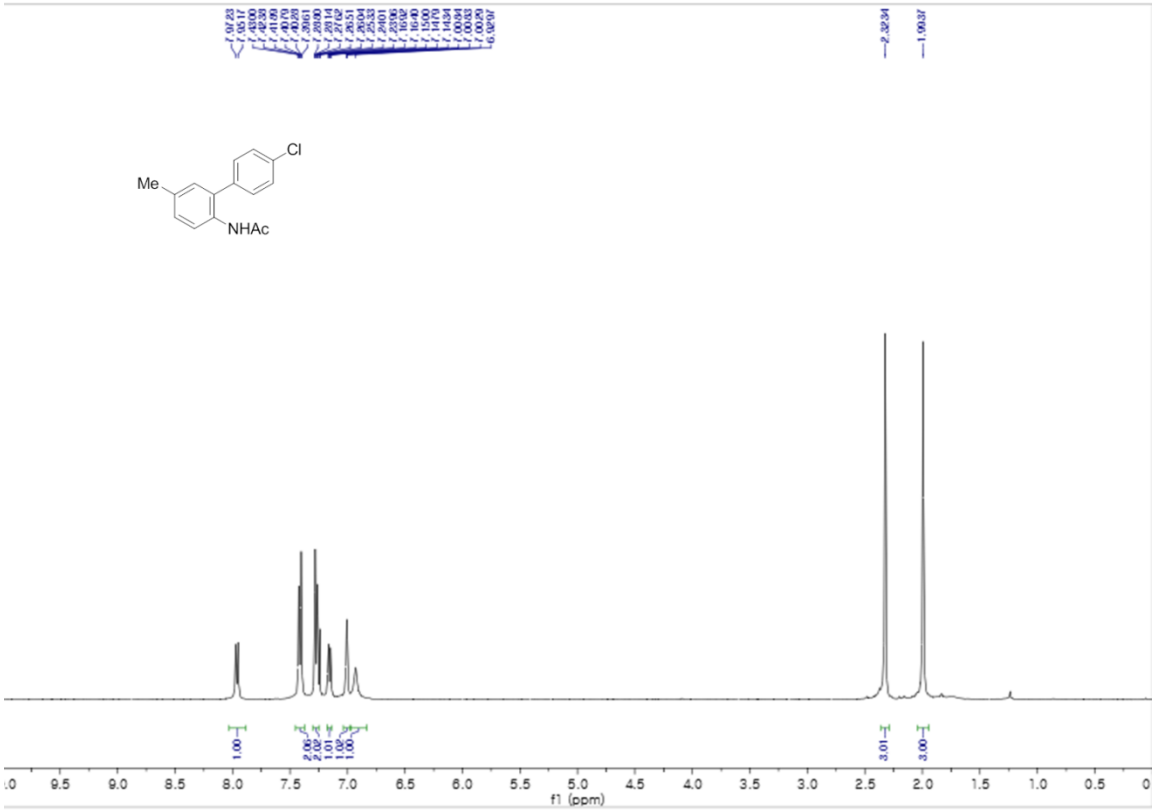


400 MHz, ^{1}H NMR in $CDCl_3$

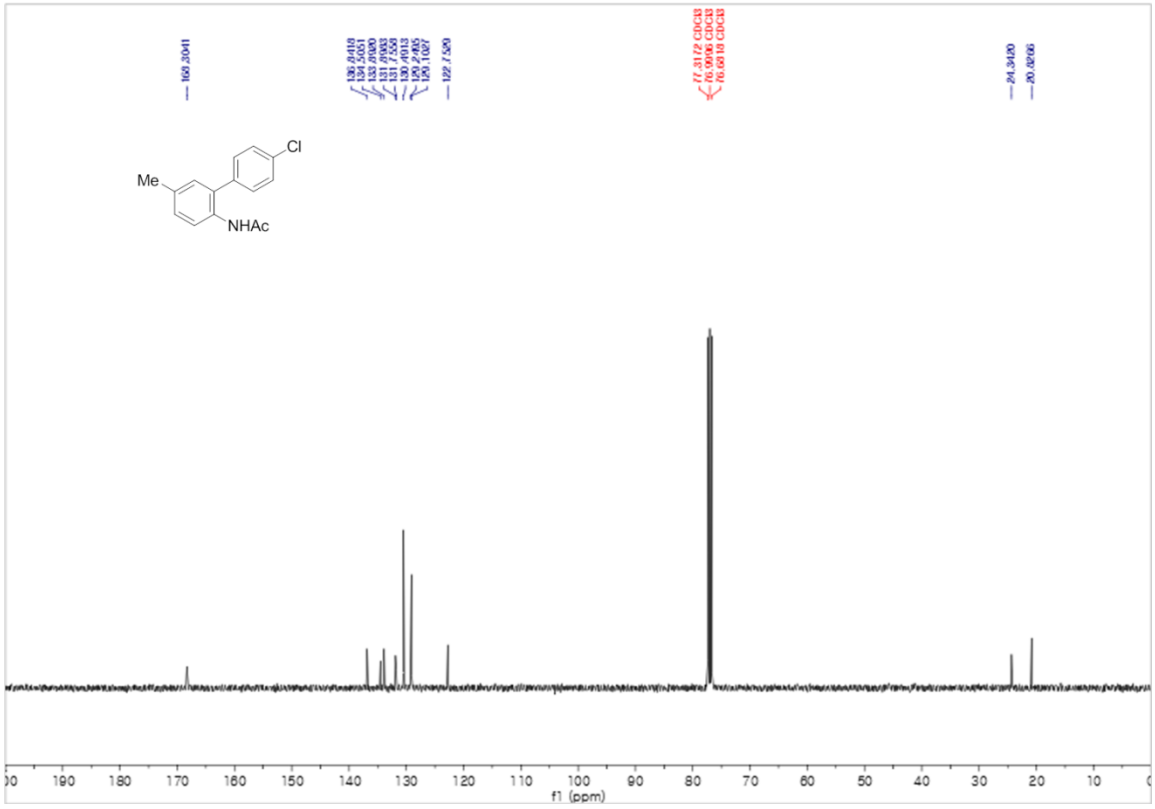


100 MHz, ^{13}C NMR in $CDCl_3$

***N*-(4'-chloro-5-methyl-[1,1'-biphenyl]-2-yl)acetamide (3n)**

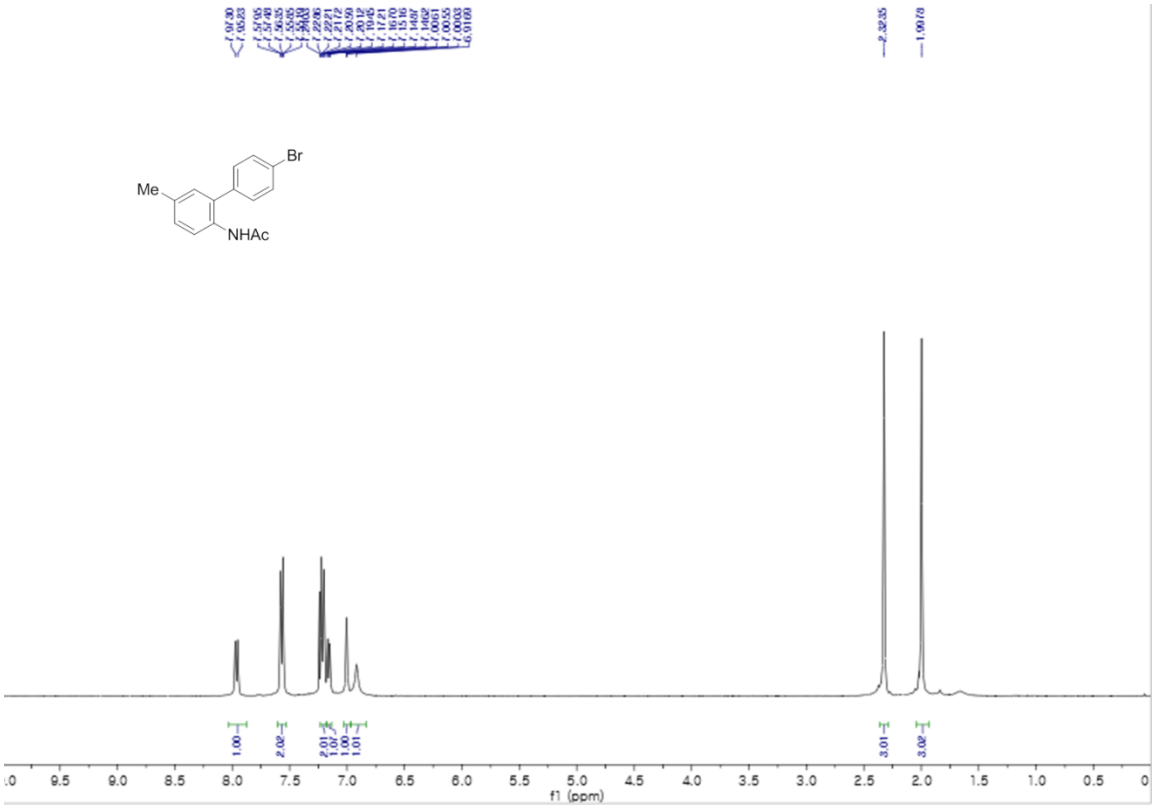


400 MHz, ^{1}H NMR in $CDCl_3$

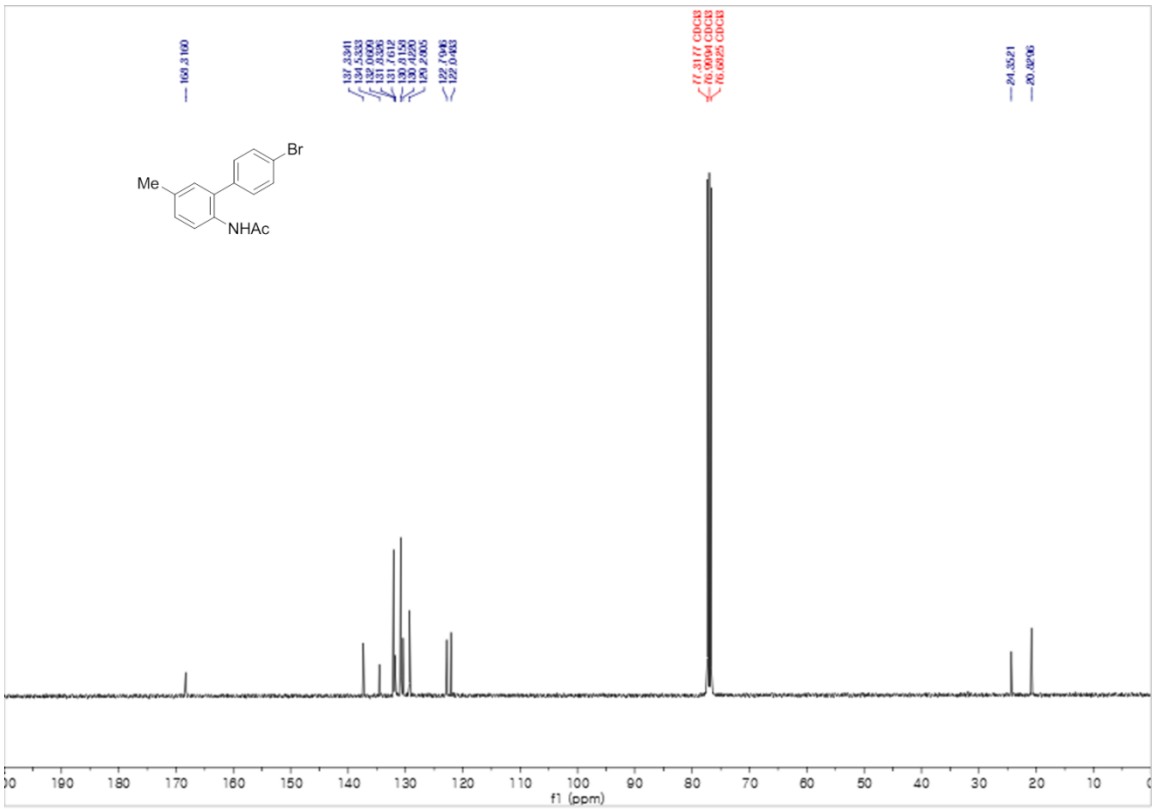


100 MHz, ^{13}C NMR in $CDCl_3$

***N*-(4'-bromo-5-methyl-[1,1'-biphenyl]-2-yl)acetamide (3o).**

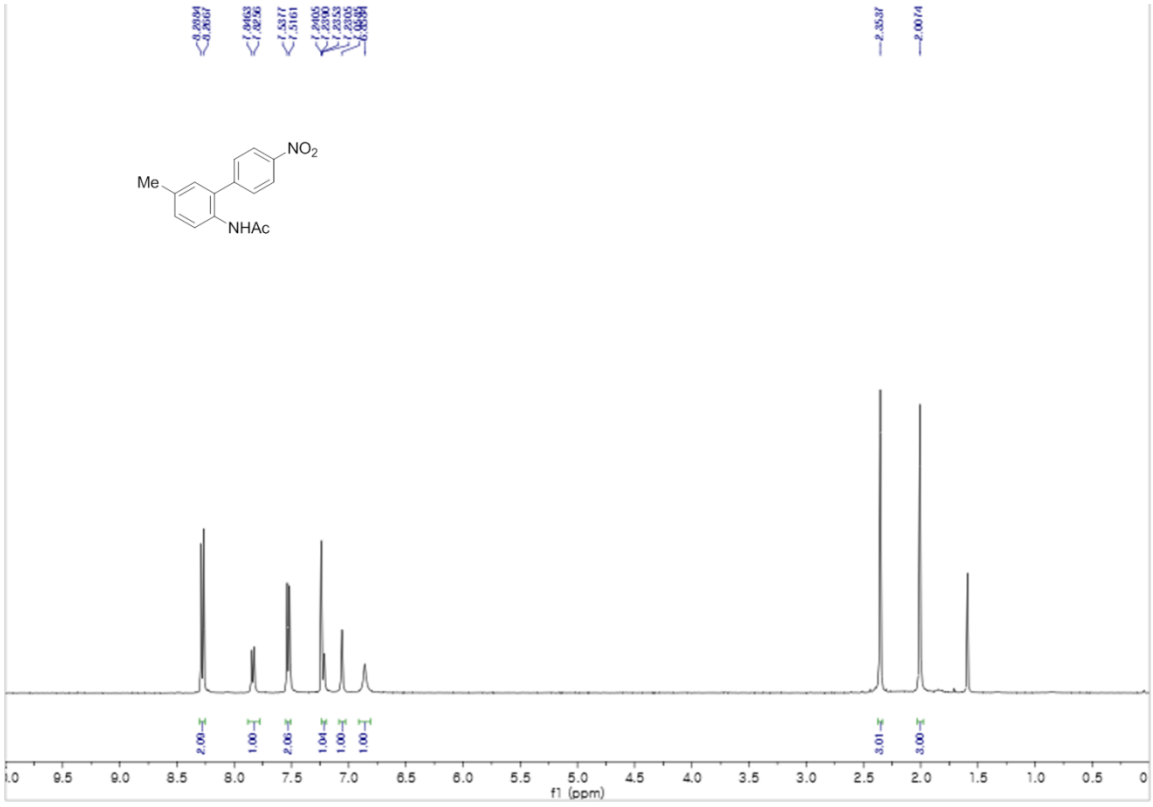


400 MHz, ^{1}H NMR in $CDCl_3$

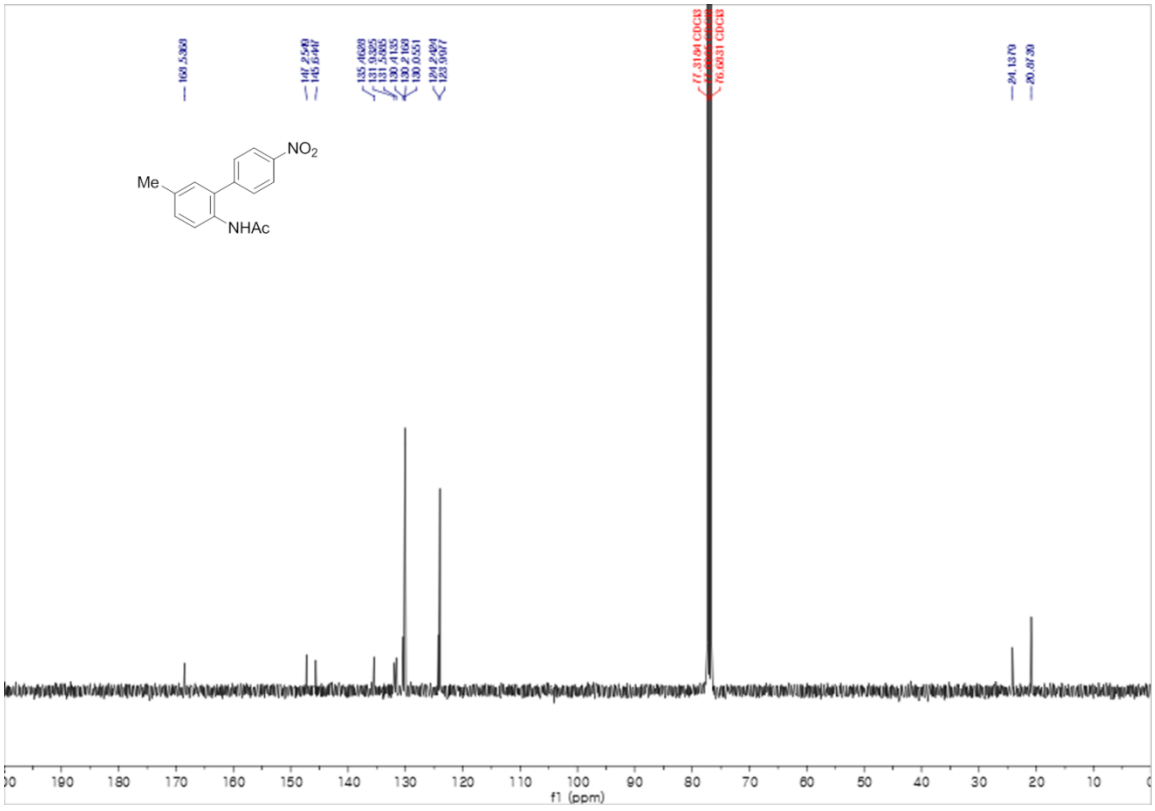


100 MHz, ^{13}C NMR in $CDCl_3$

***N*-(5-methyl-4'-nitro-[1,1'-biphenyl]-2-yl)acetamide (3p).**

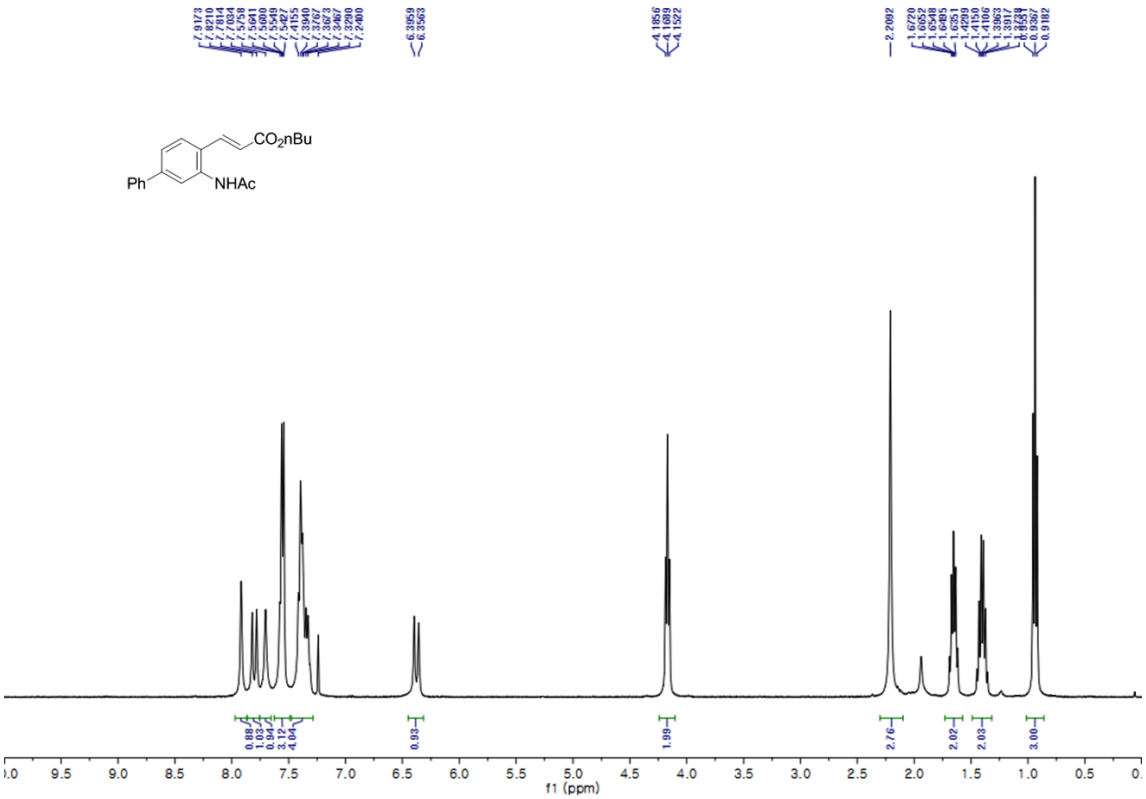


400 MHz, ^{1}H NMR in $CDCl_3$

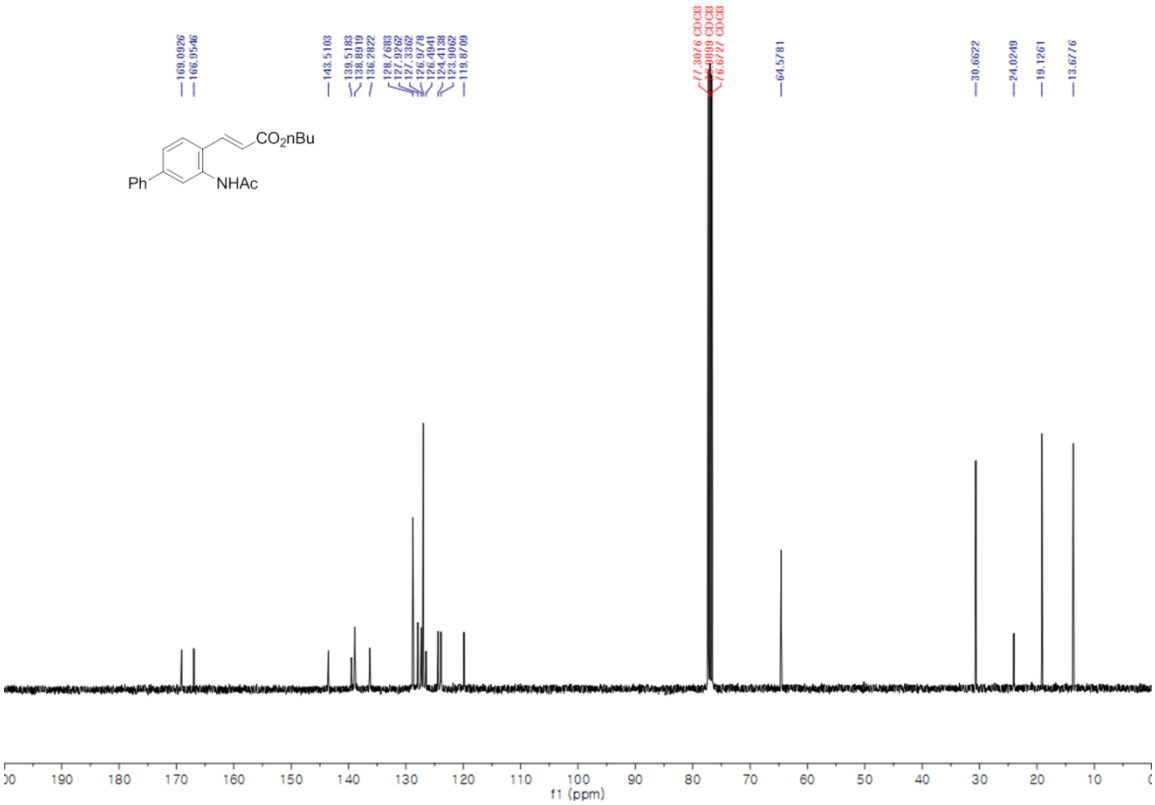


100 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-butyl 3-(3-acetamido-[1,1'-biphenyl]-4-yl)acrylate (4a).

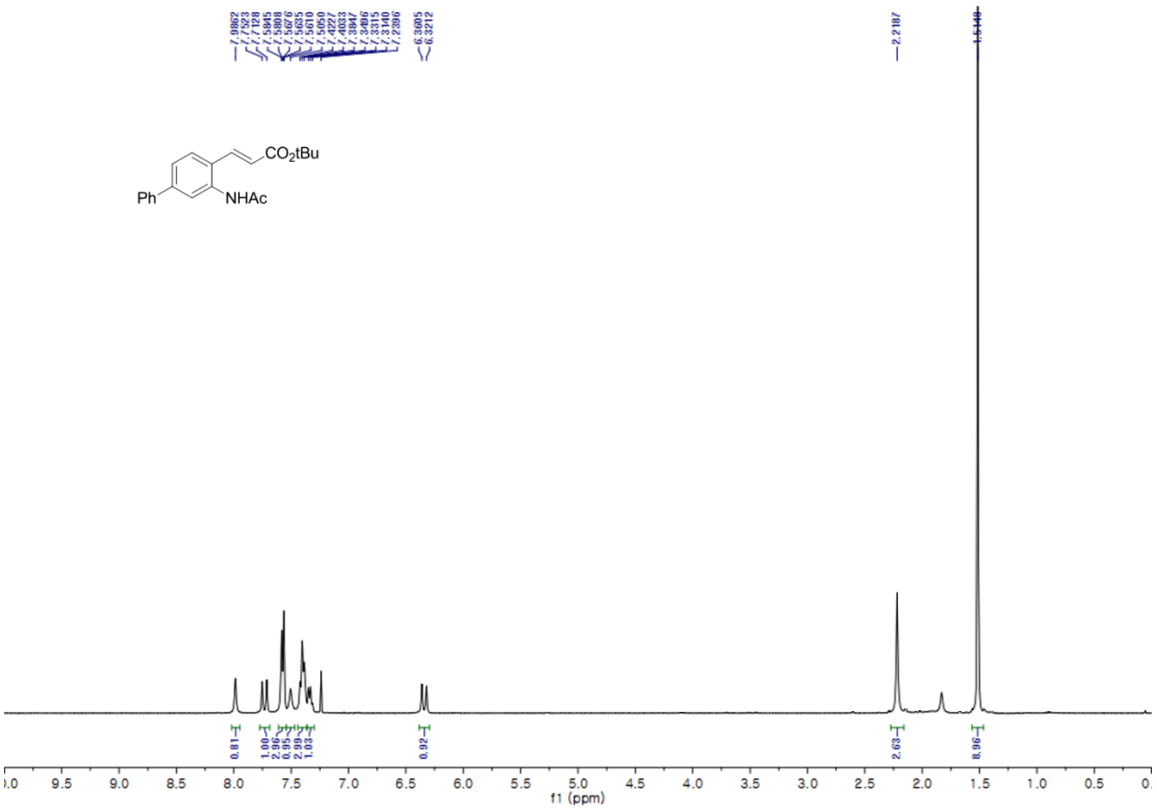


400 MHz, ^{1}H NMR in $CDCl_3$

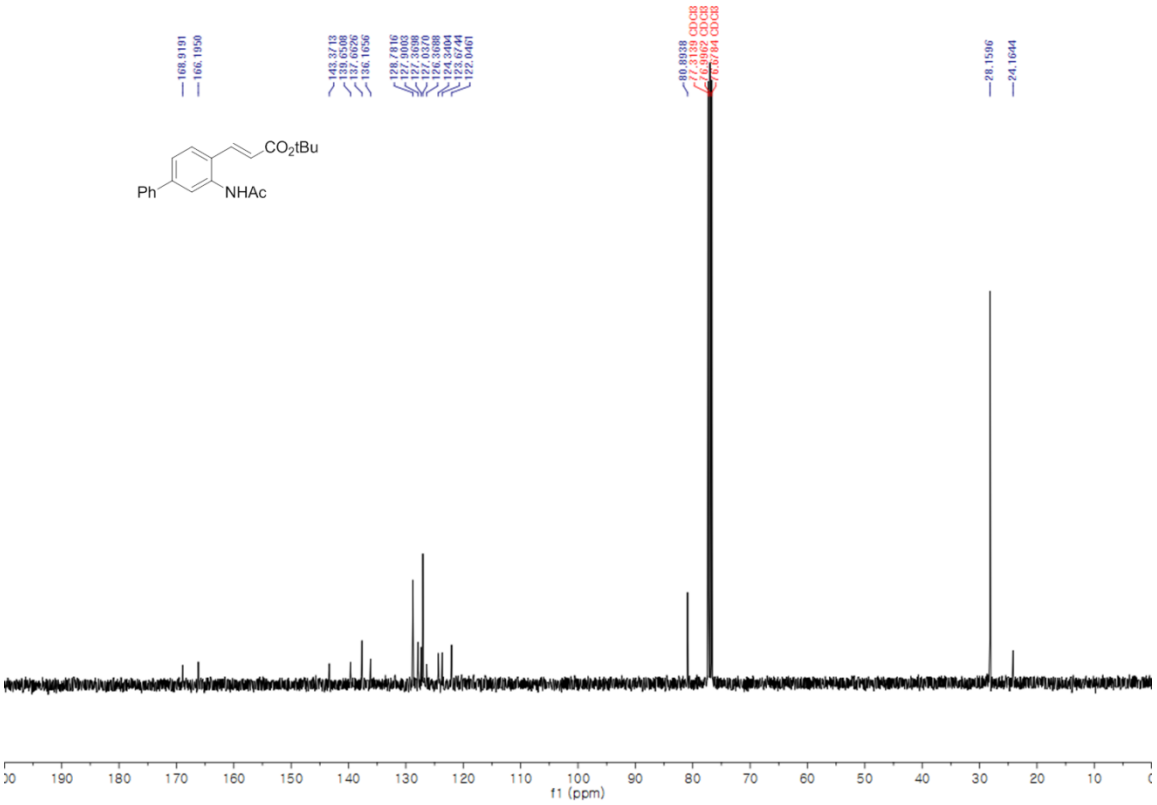


100 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-*tert*-butyl 3-(3-acetamido-[1,1'-biphenyl]-4-yl)acrylate (4b).

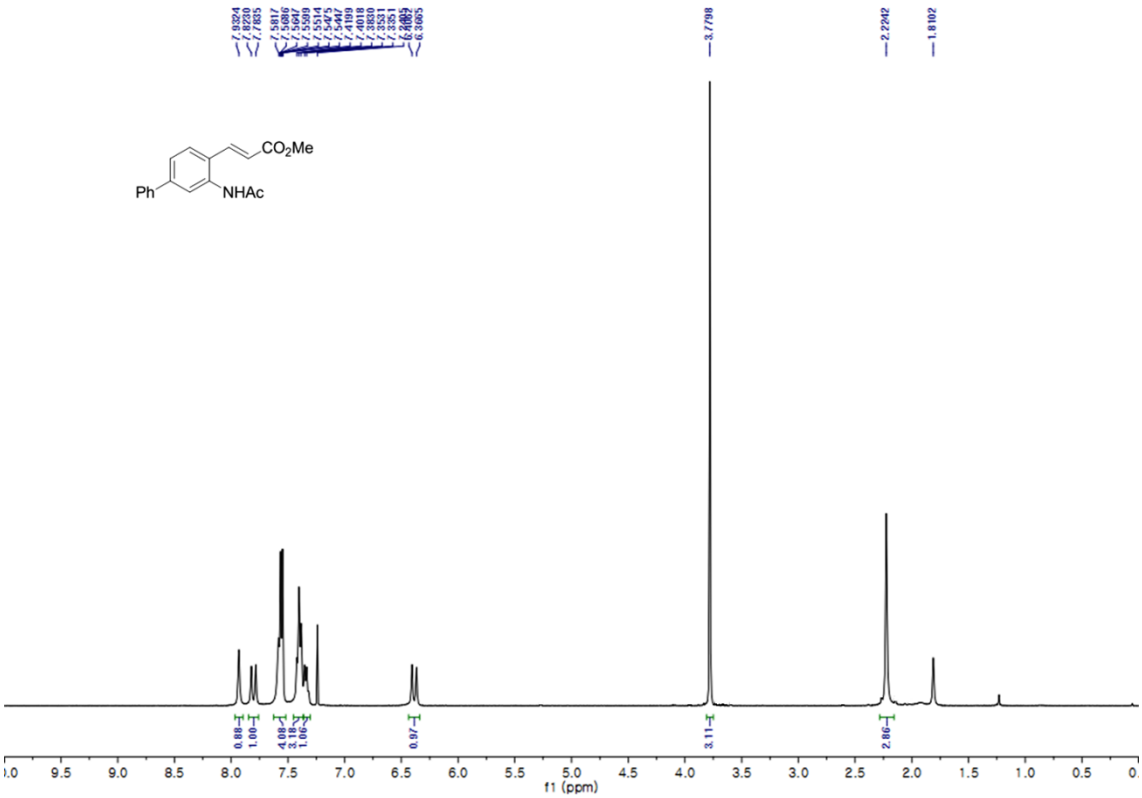


400 MHz, ^{1}H NMR in $CDCl_3$

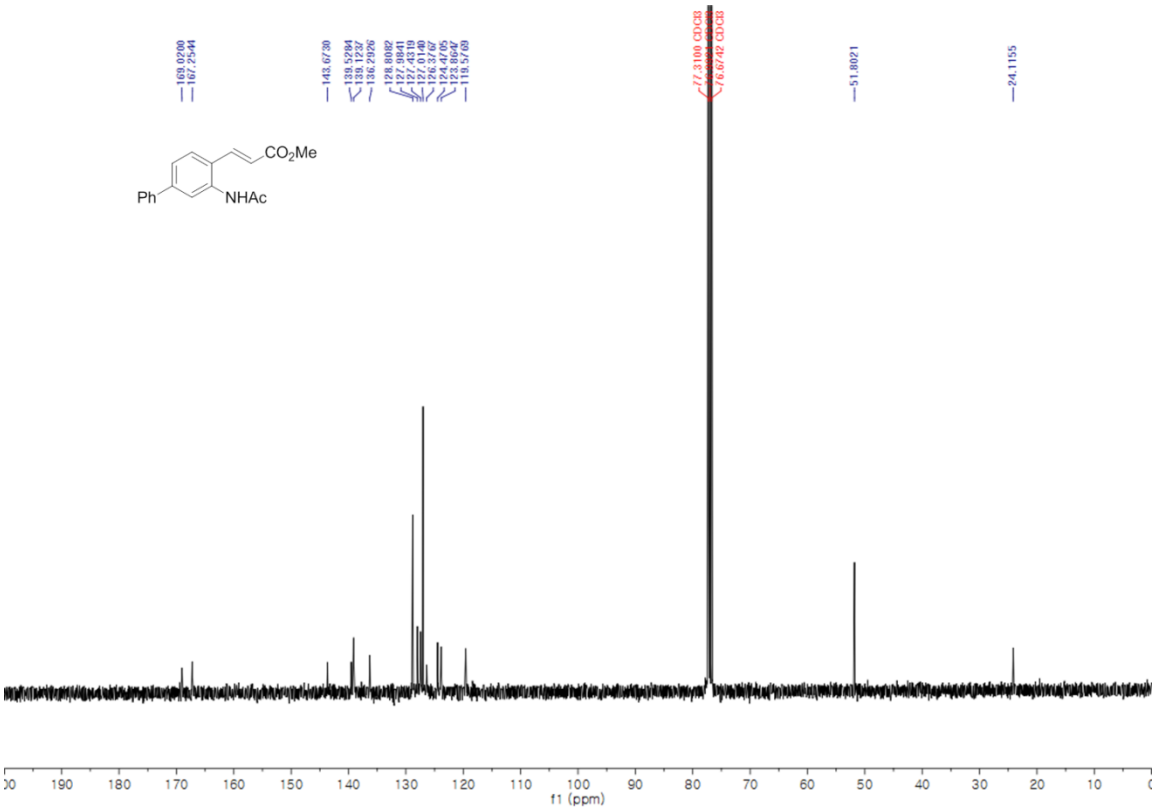


100 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-methyl 3-(3-acetamido-[1,1'-biphenyl]-4-yl)acrylate (4c).

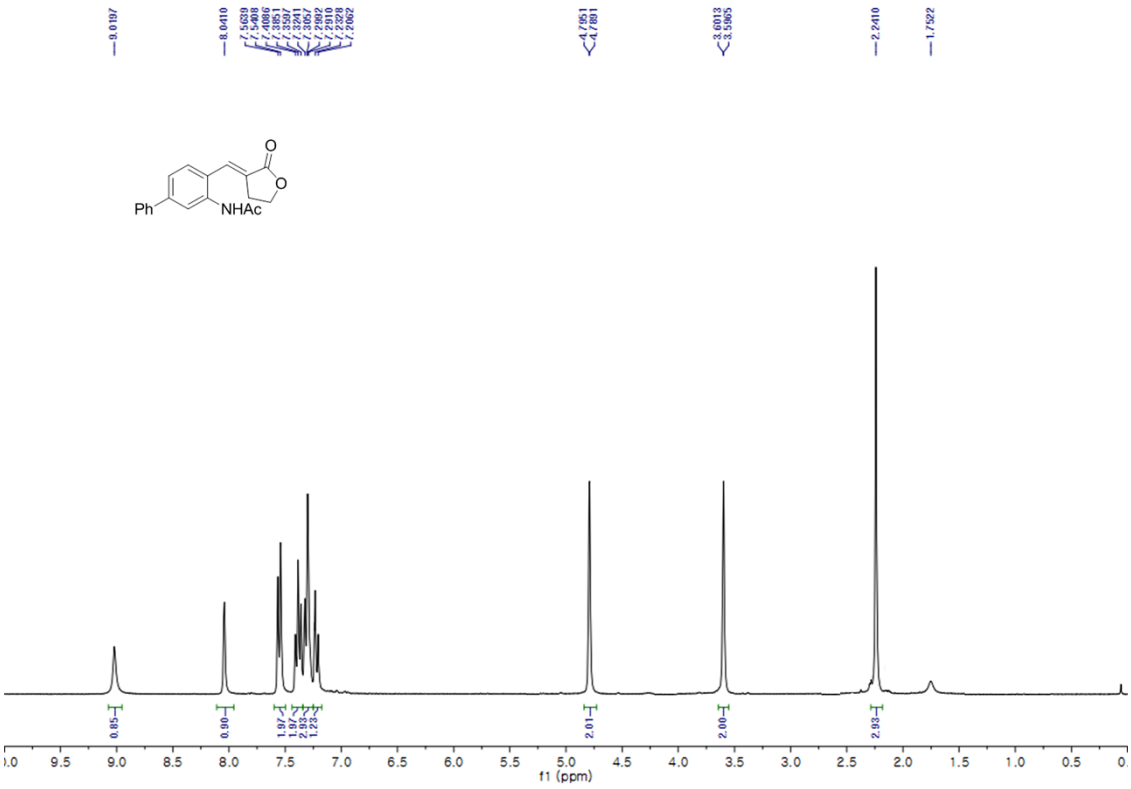


400 MHz, ^{1}H NMR in $CDCl_3$

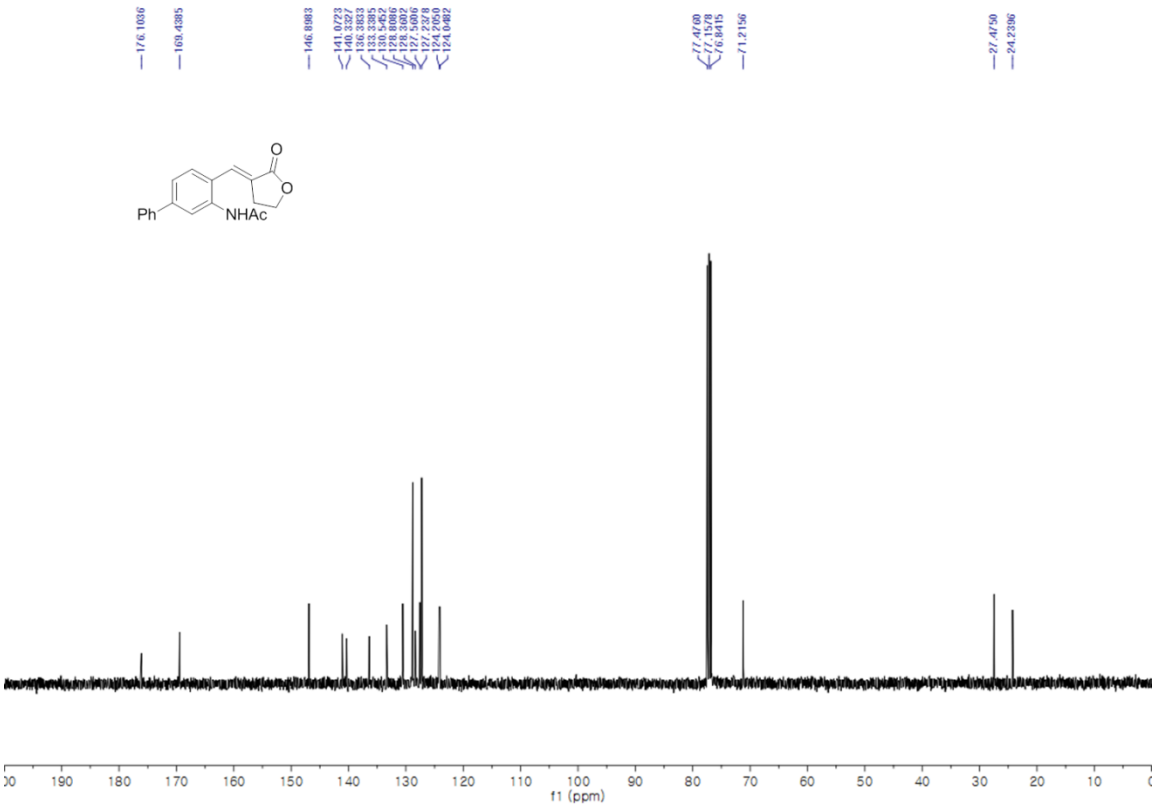


100 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-*N*-(4-((2-oxodihydrofuran-3(2H)-ylidene)methyl)-[1,1'-biphenyl]-3-yl)acetamide (4d).

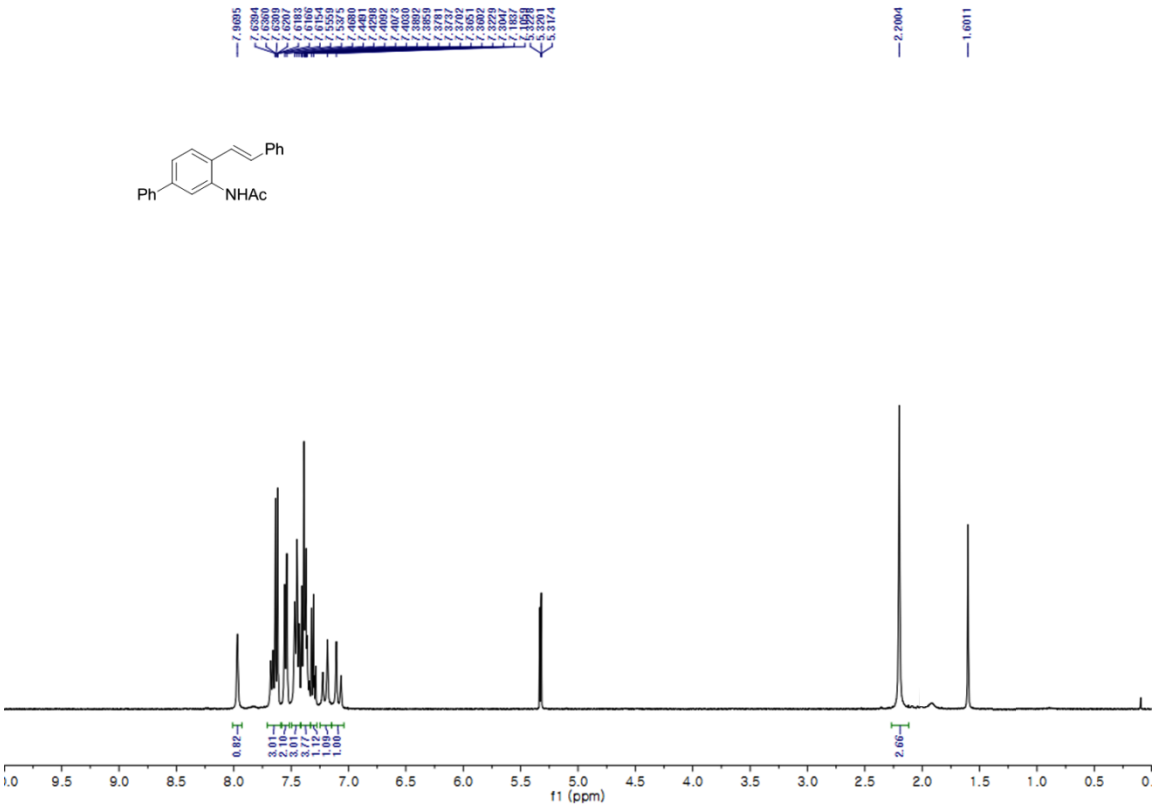


300 MHz, ^{1}H NMR in $CDCl_3$

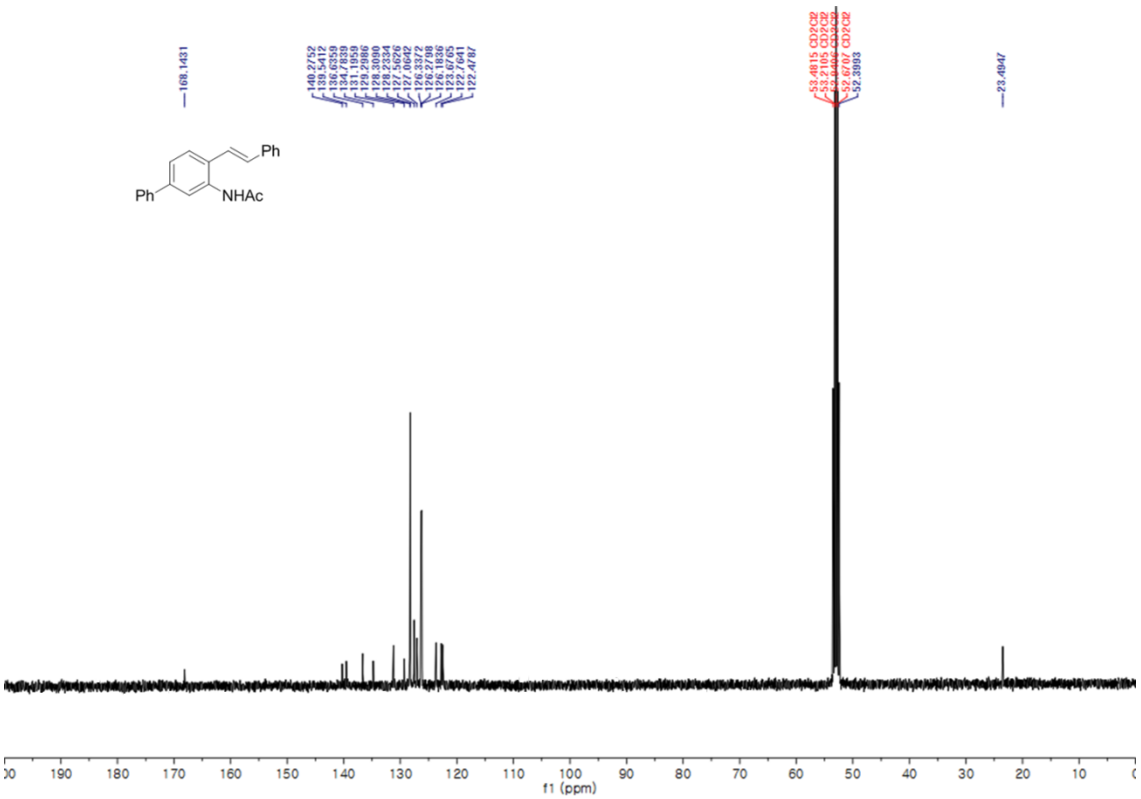


100 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-*N*-(4-styryl-[1,1'-biphenyl]-3-yl)acetamide (4e).

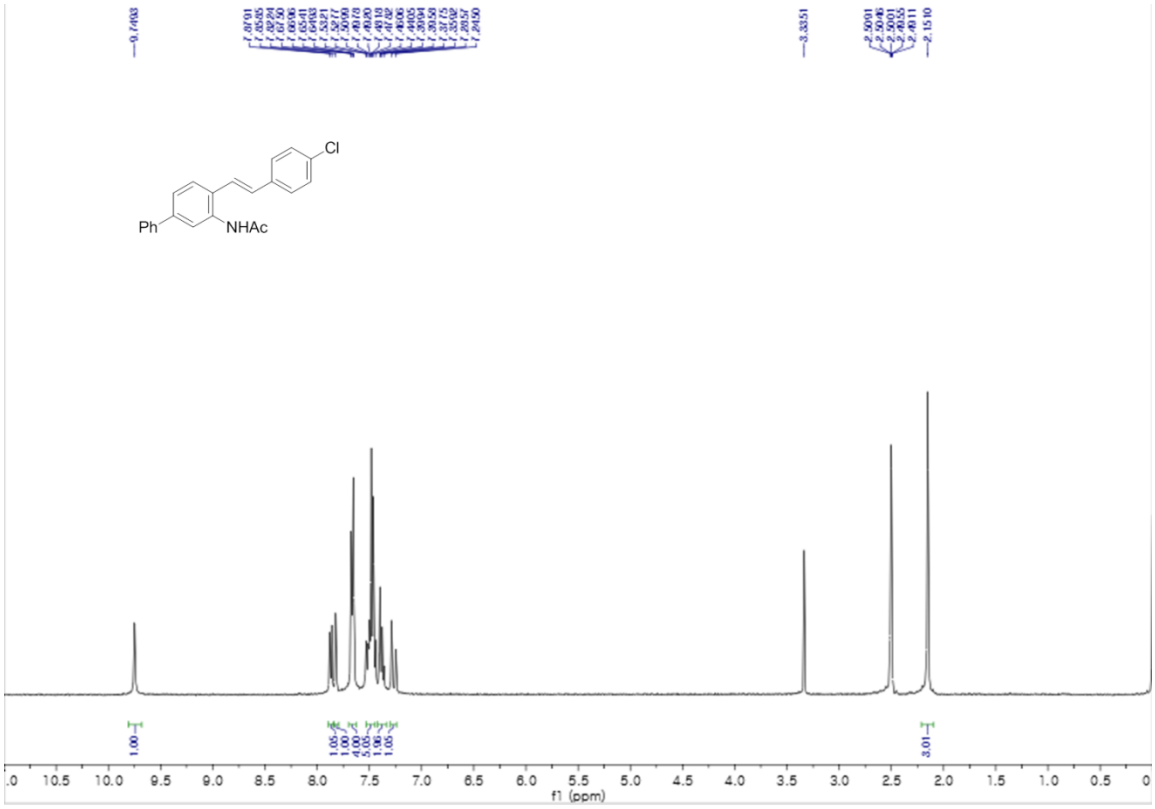


400 MHz, ^{1}H NMR in CD_2Cl_2

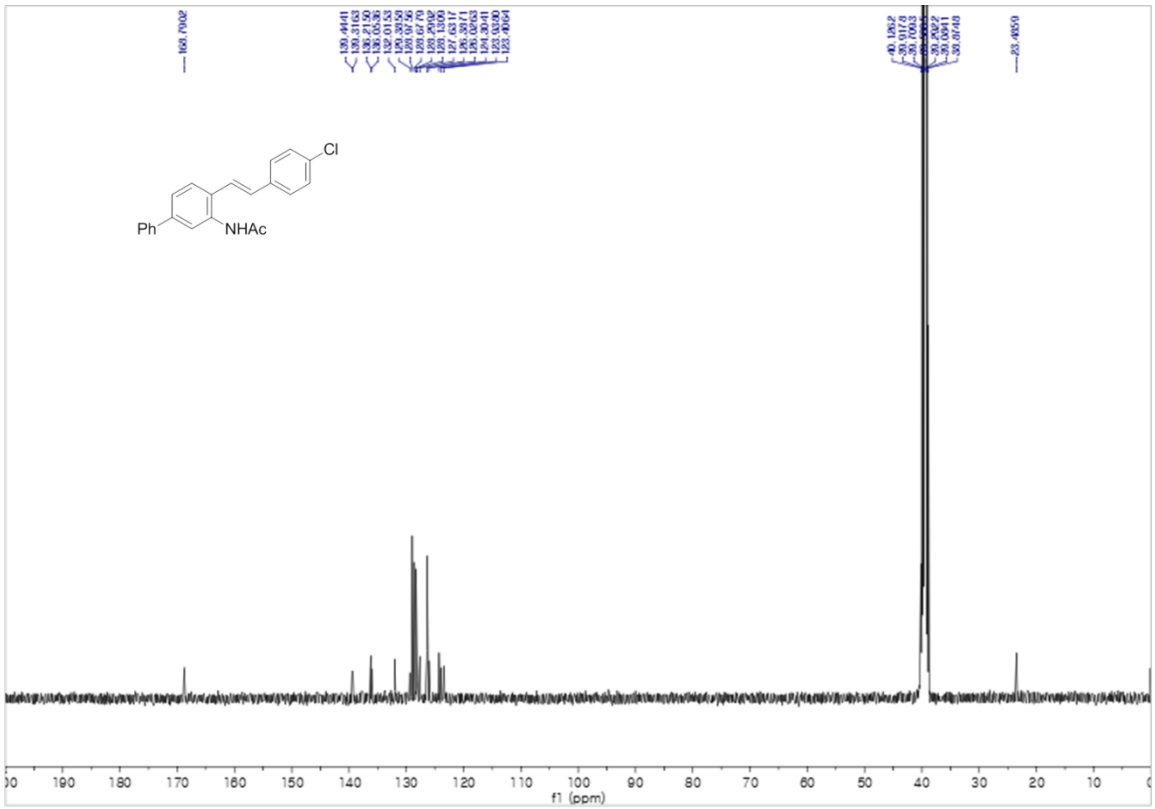


100 MHz, ^{13}C NMR in CD_2Cl_2

(*E*)-*N*-(4-(4-chlorostyryl)-[1,1'-biphenyl]-3-yl)acetamide (4f).

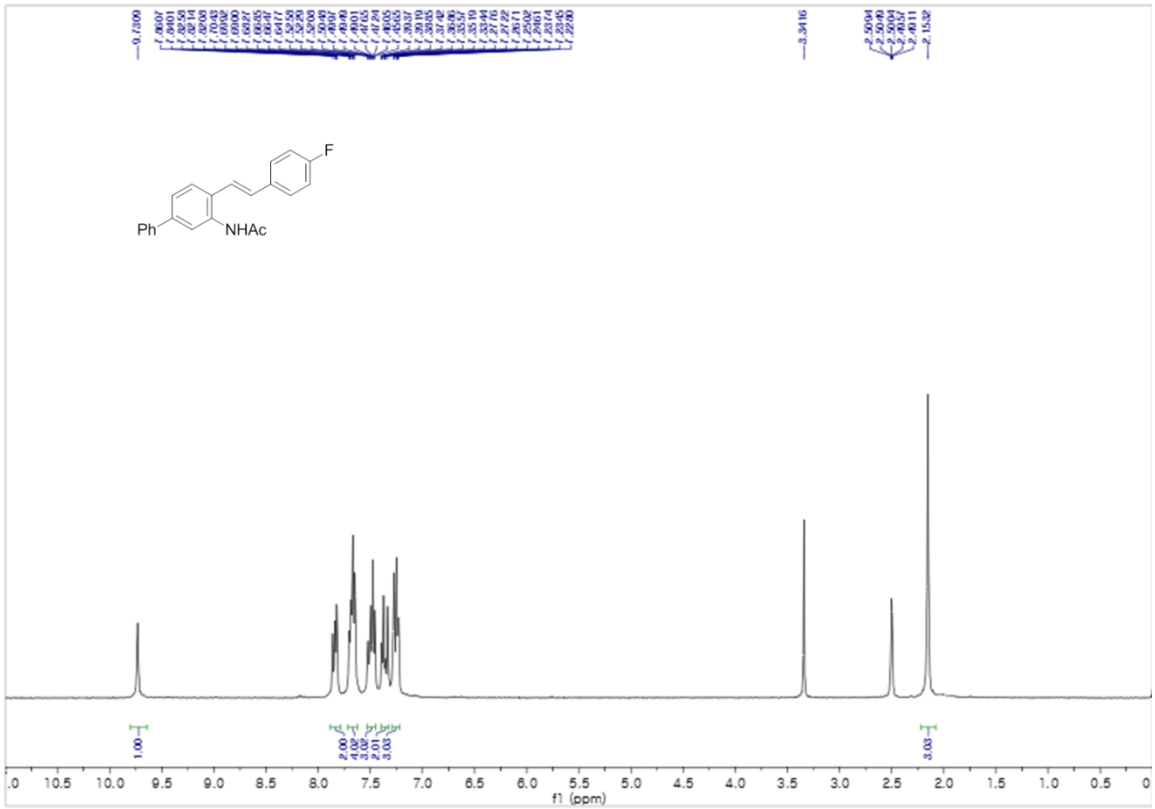


400 MHz, ^{1}H NMR in DMSO-*d*$_6$

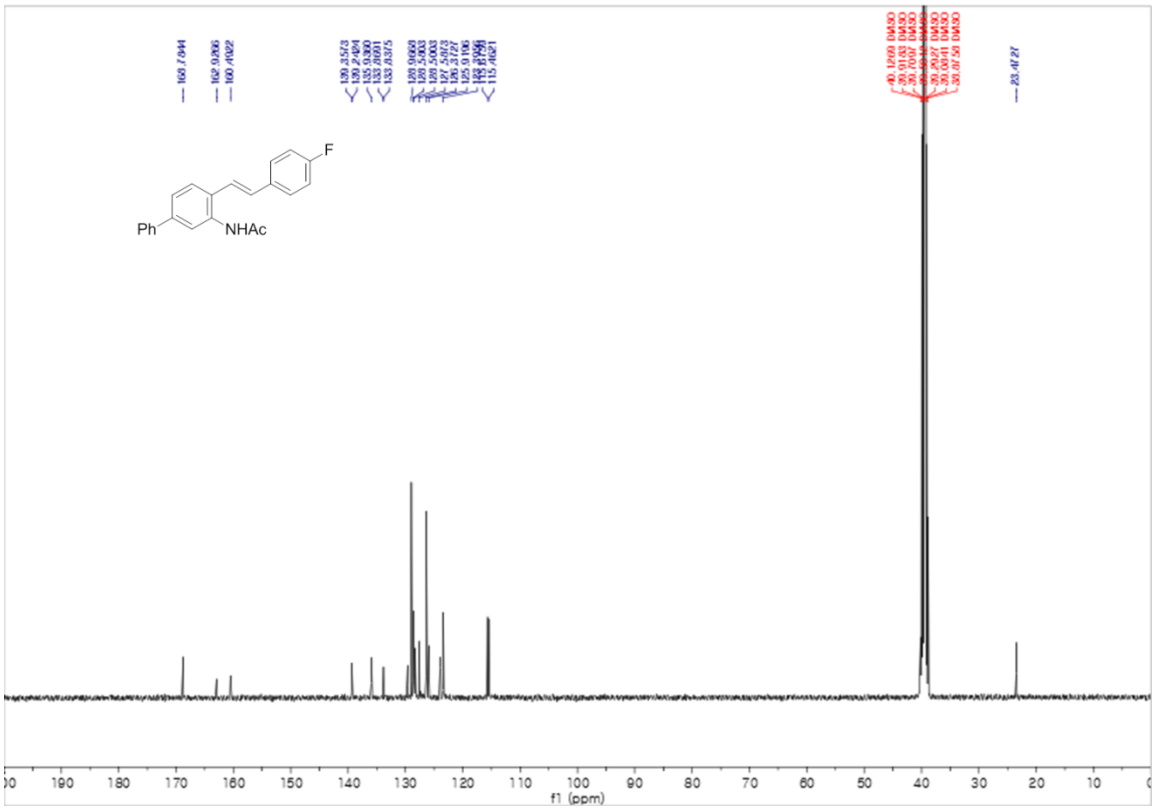


100 MHz, ^{13}C NMR in DMSO-*d*$_6$

(*E*)-*N*-(4-(4-fluorostyryl)-[1,1'-biphenyl]-3-yl)acetamide (4g).

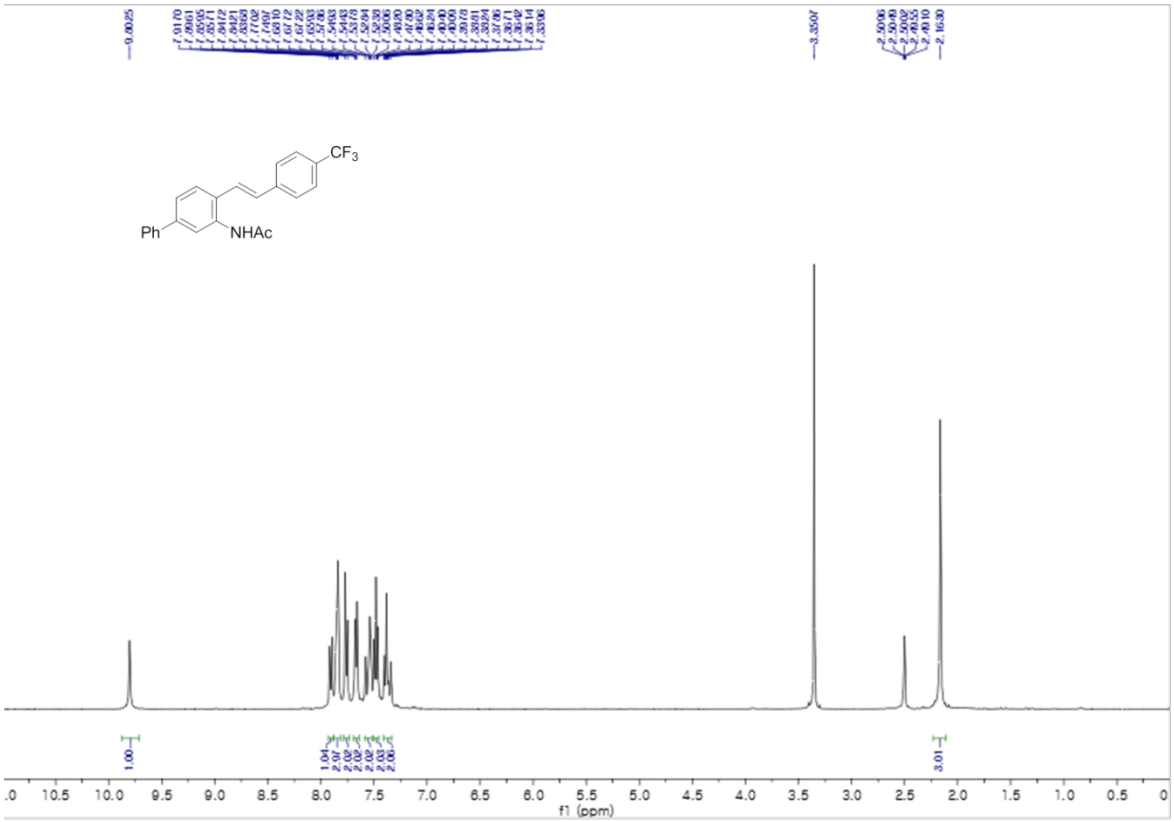


400 MHz, ^{1}H NMR in DMSO-*d*$_6$

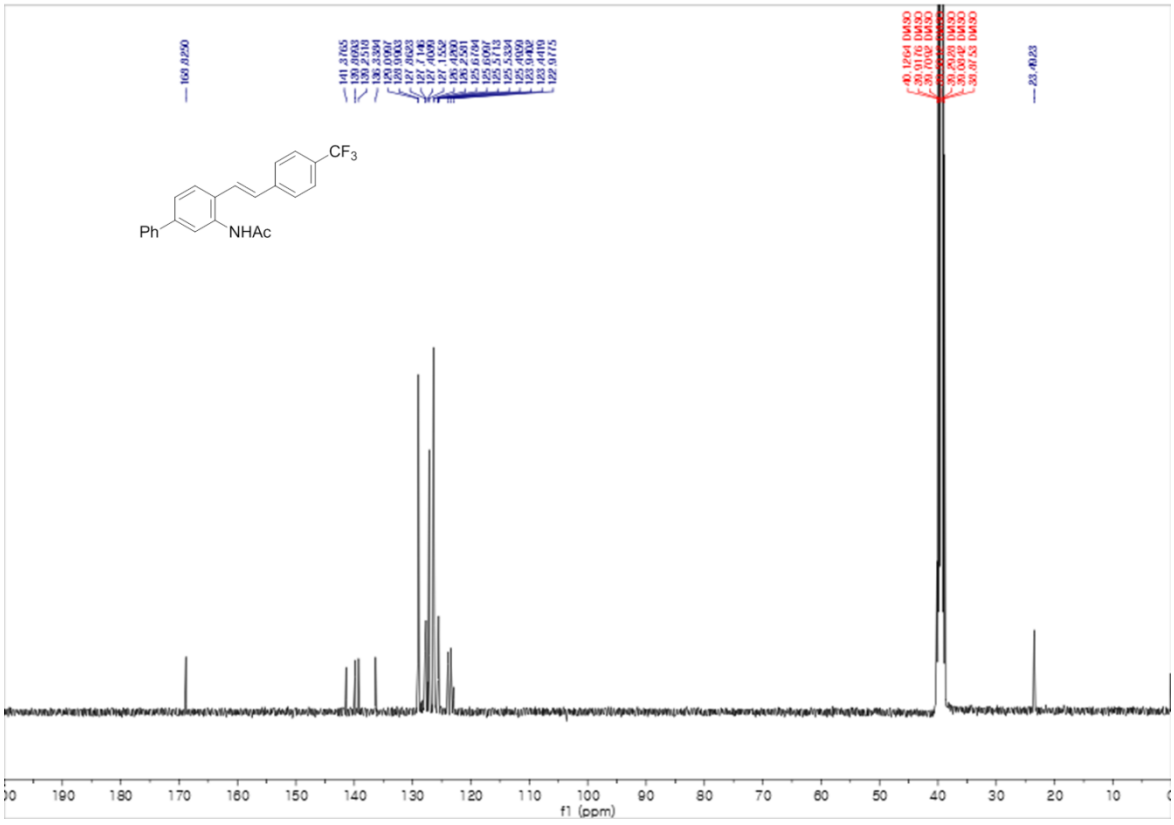


100 MHz, ^{13}C NMR in DMSO-*d*$_6$

(*E*)-*N*-(4-(4-(trifluoromethyl)styryl)-[1,1'-biphenyl]-3-yl)acetamide (4h).

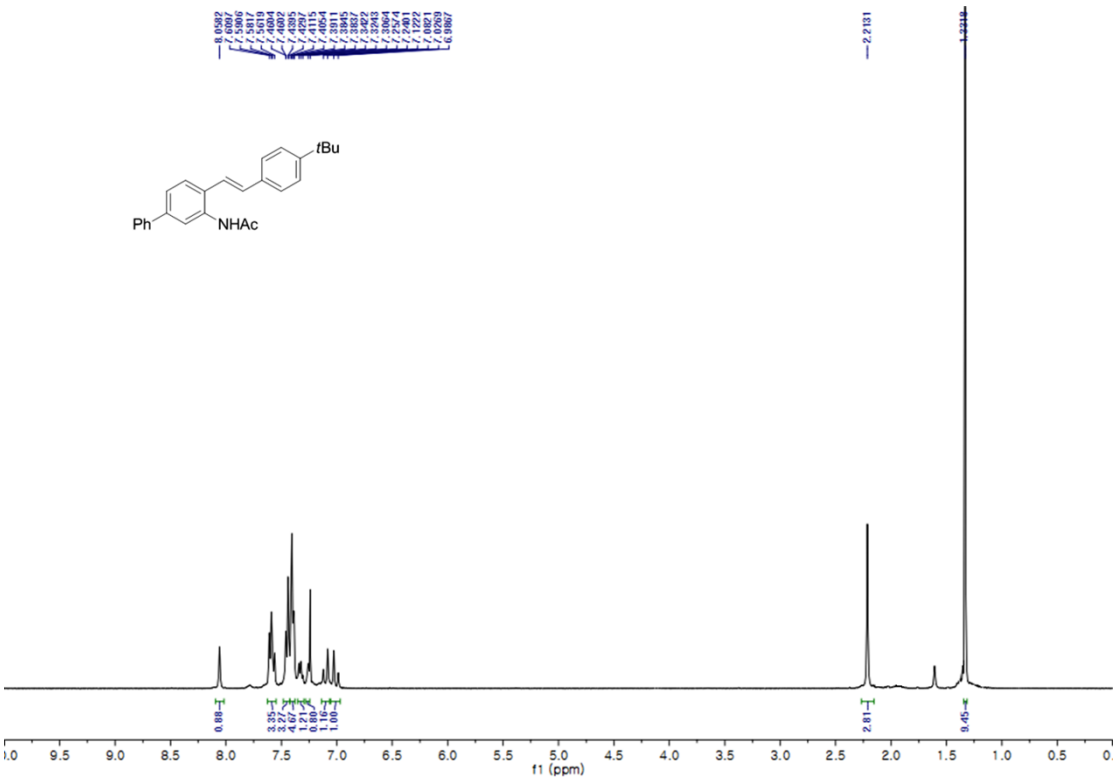


400 MHz, ^{1}H NMR in DMSO-d_6

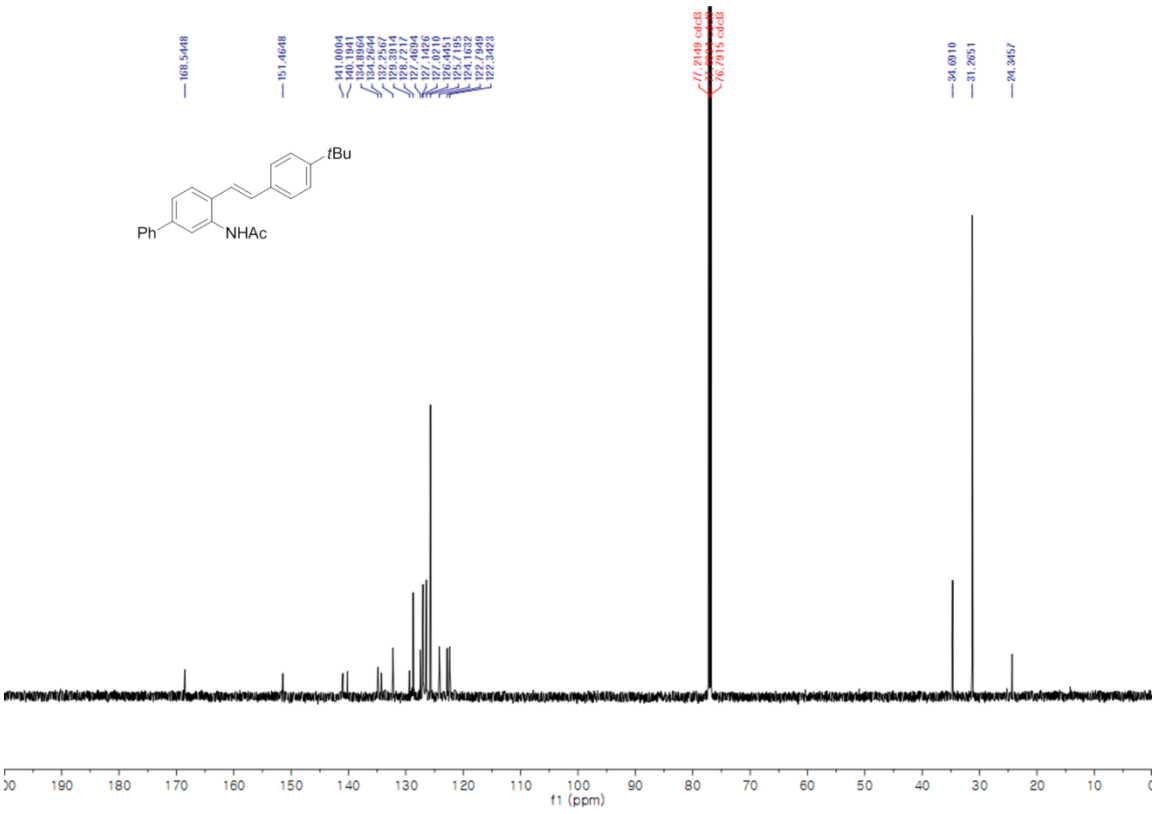


100 MHz, ^{13}C NMR in DMSO-d_6

(*E*)-*N*-(4-(4-(tert-butyl)styryl)-[1,1'-biphenyl]-3-yl)acetamide (4i).

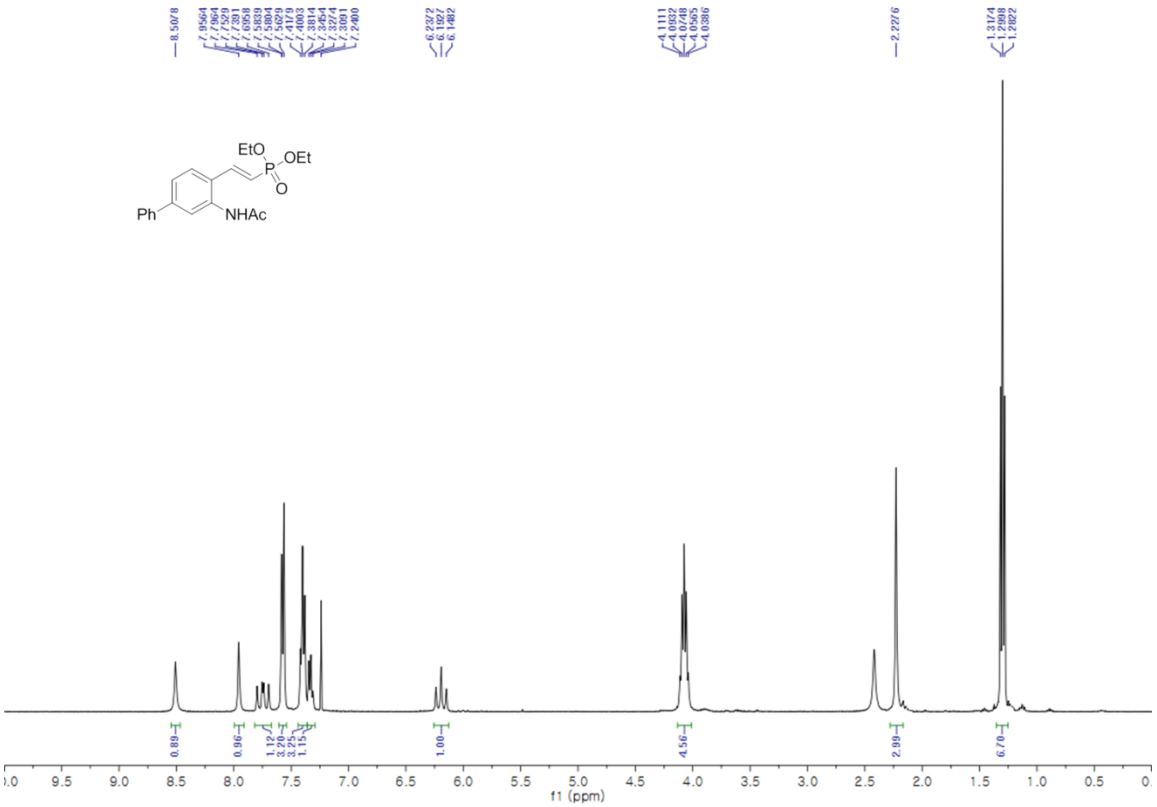


400 MHz, ^{1}H NMR in $CDCl_3$

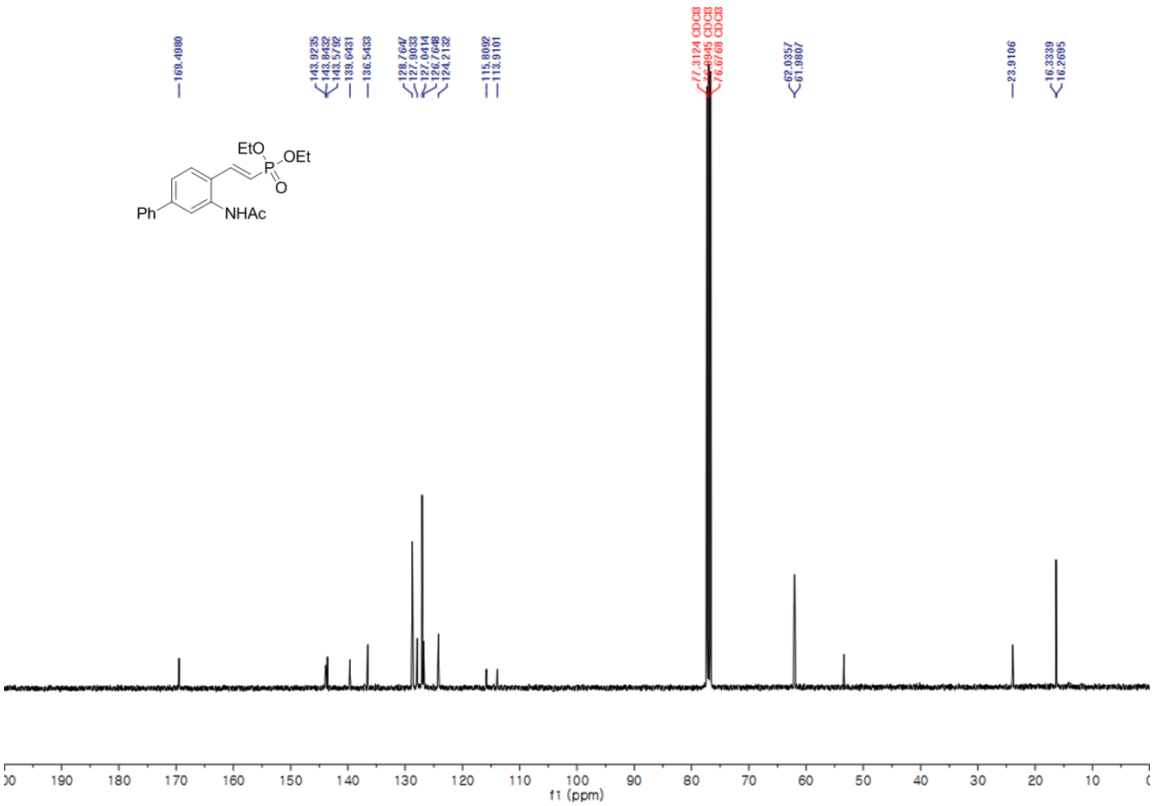


150 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-diethyl (2-(3-acetamido-[1,1'-biphenyl]-4-yl)vinyl)phosphonate (4j).

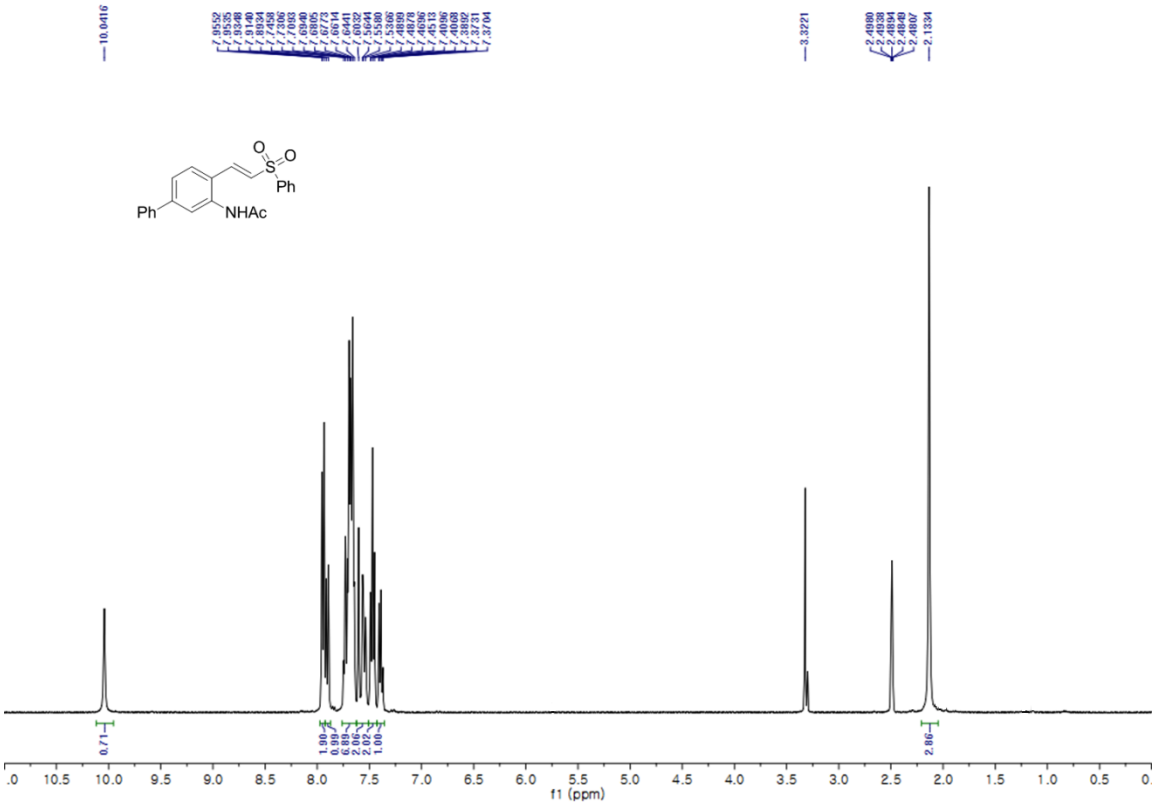


400 MHz, ^{1}H NMR in $CDCl_3$

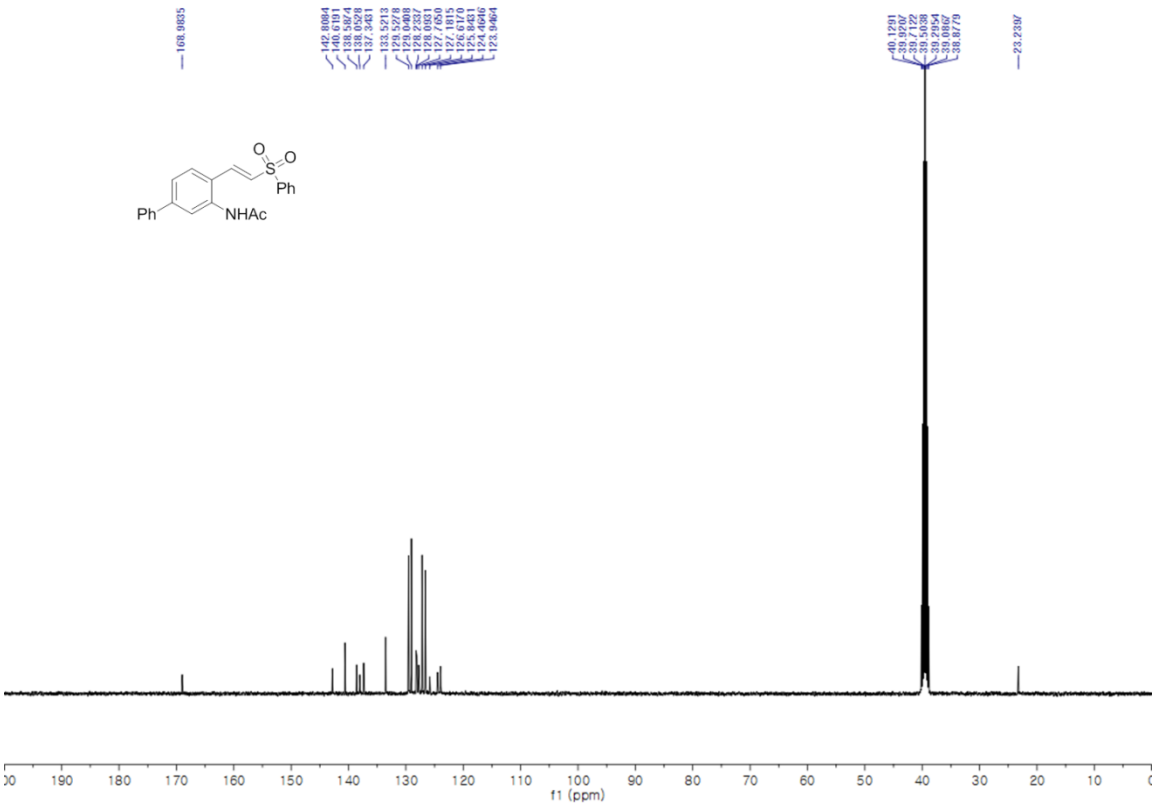


100 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-*N*-(4-(2-(phenylsulfonyl)vinyl)-[1,1'-biphenyl]-3-yl)acetamide (4k).

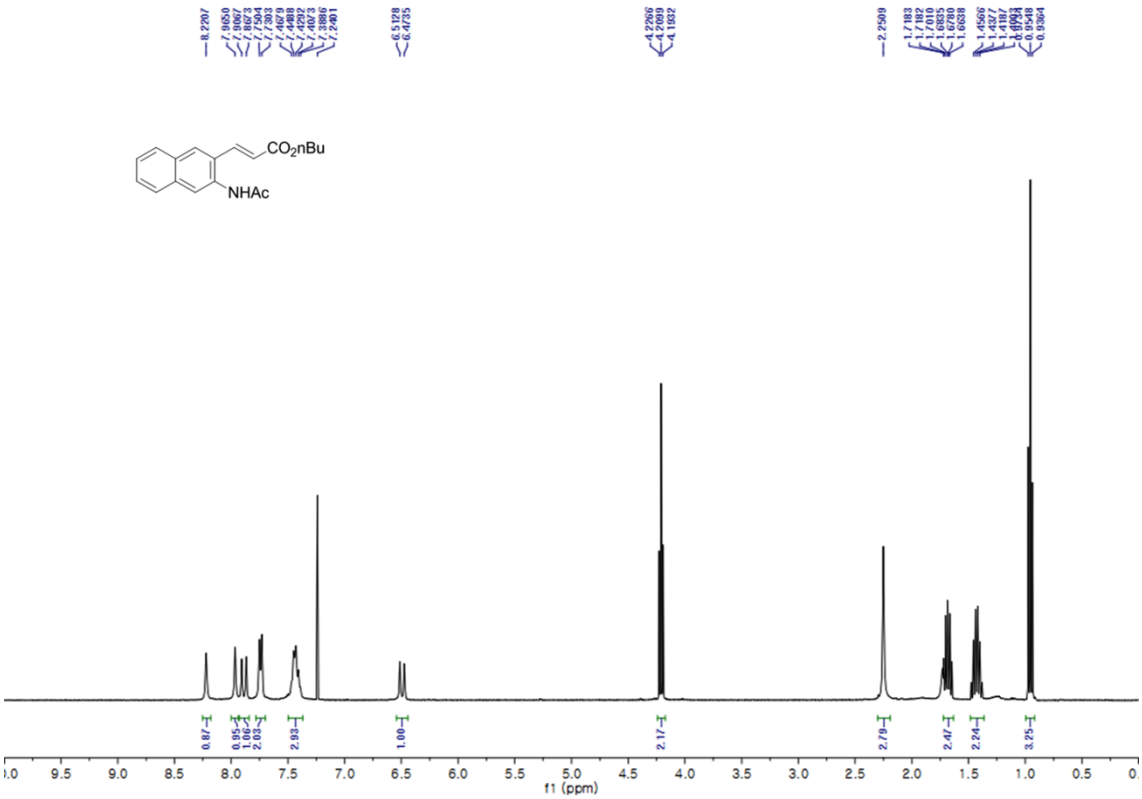


400 MHz, ^{1}H NMR in DMSO-d_6

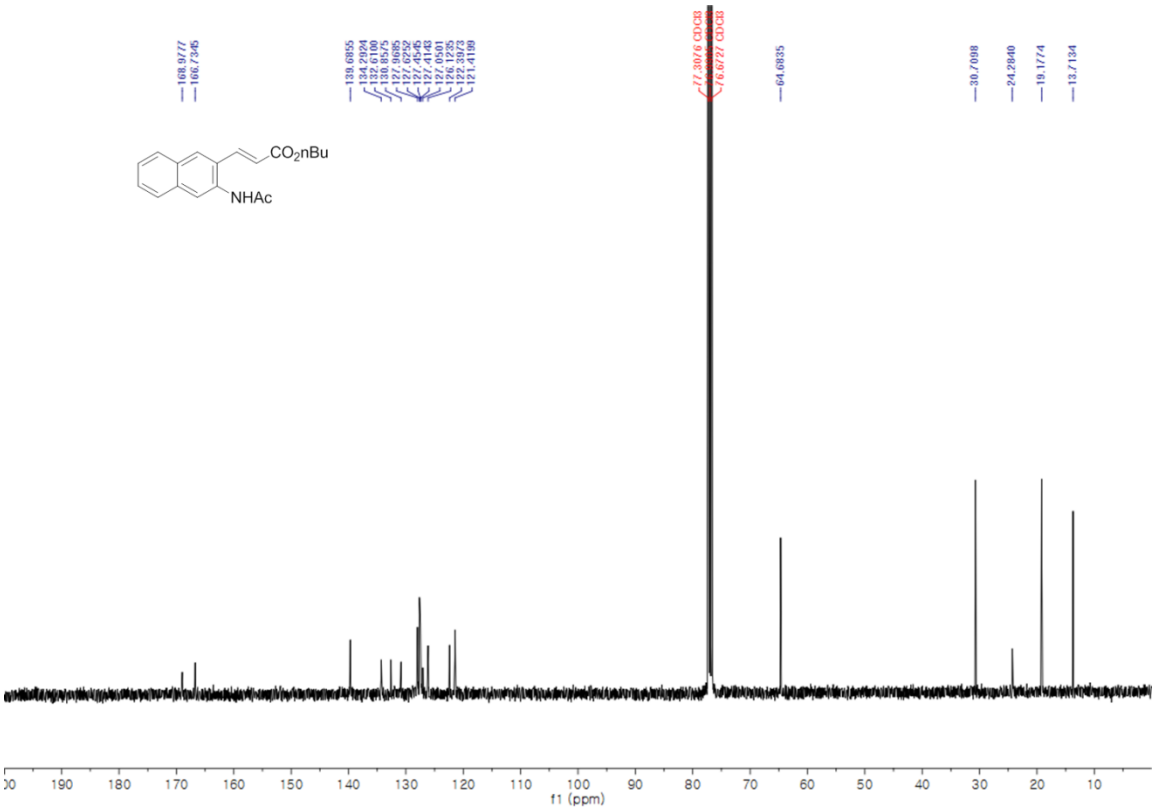


100 MHz, ^{13}C NMR in DMSO-d_6

(*E*)-butyl 3-(3-acetamidonaphthalen-2-yl)acrylate (4l, major).

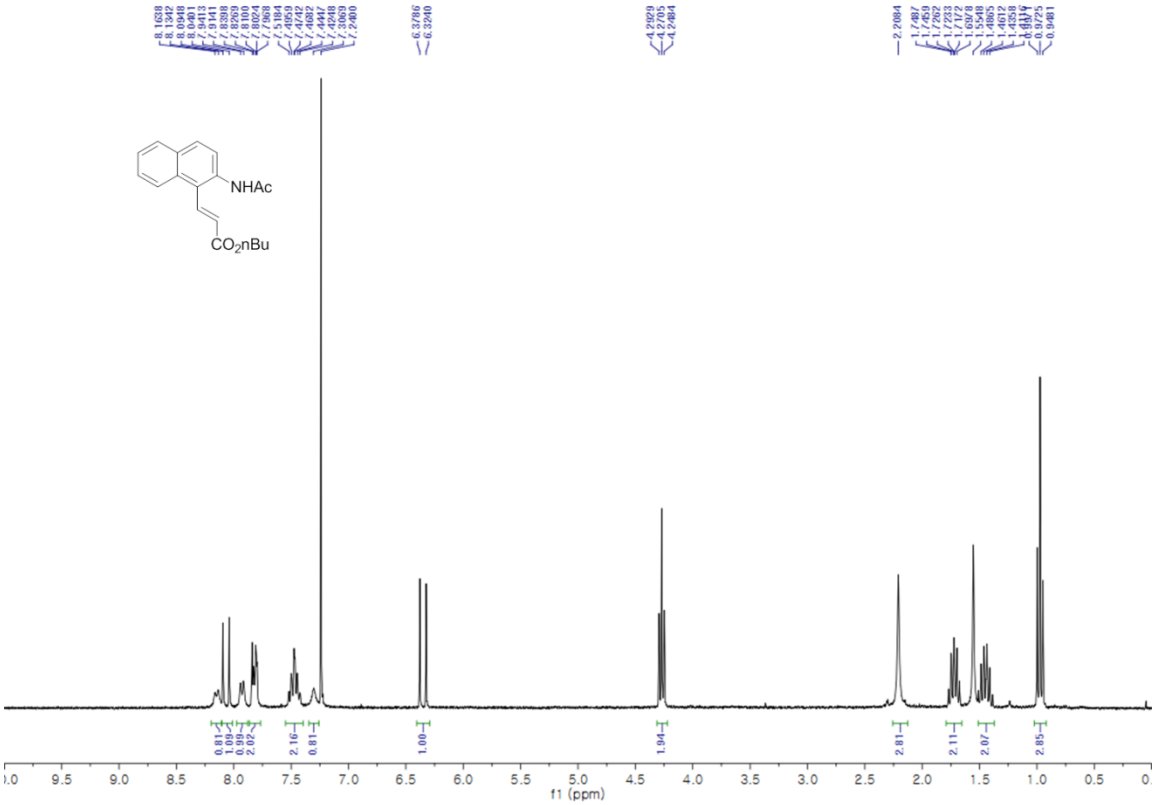


400 MHz, ^{1}H NMR in $CDCl_3$

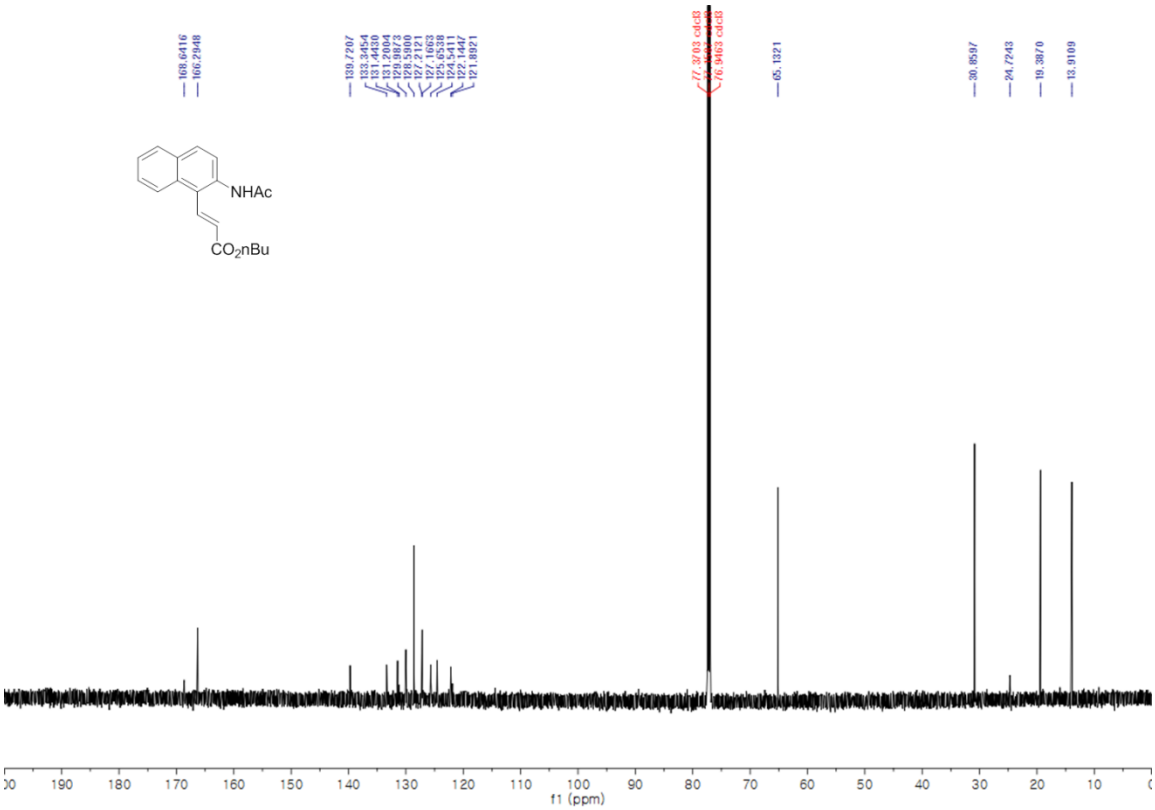


100 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-butyl 3-(2-acetamidonaphthalen-1-yl)acrylate (4l, minor).

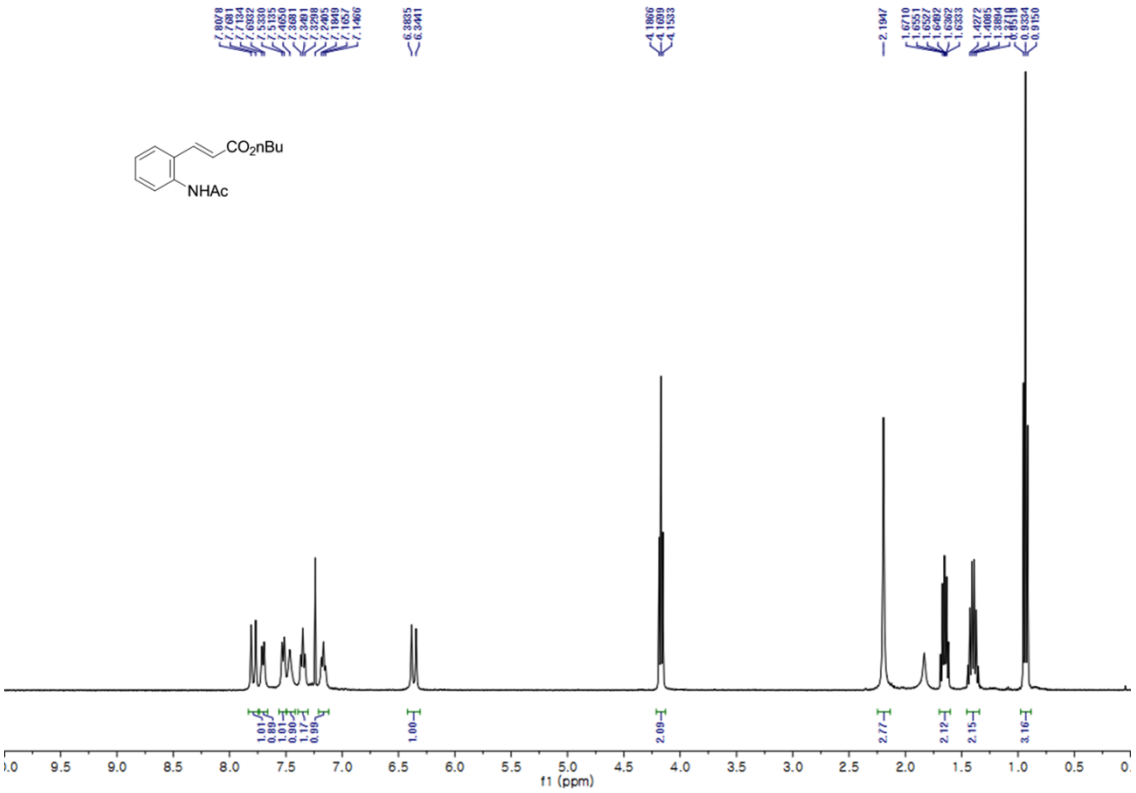


300 MHz, ^{1}H NMR in $CDCl_3$

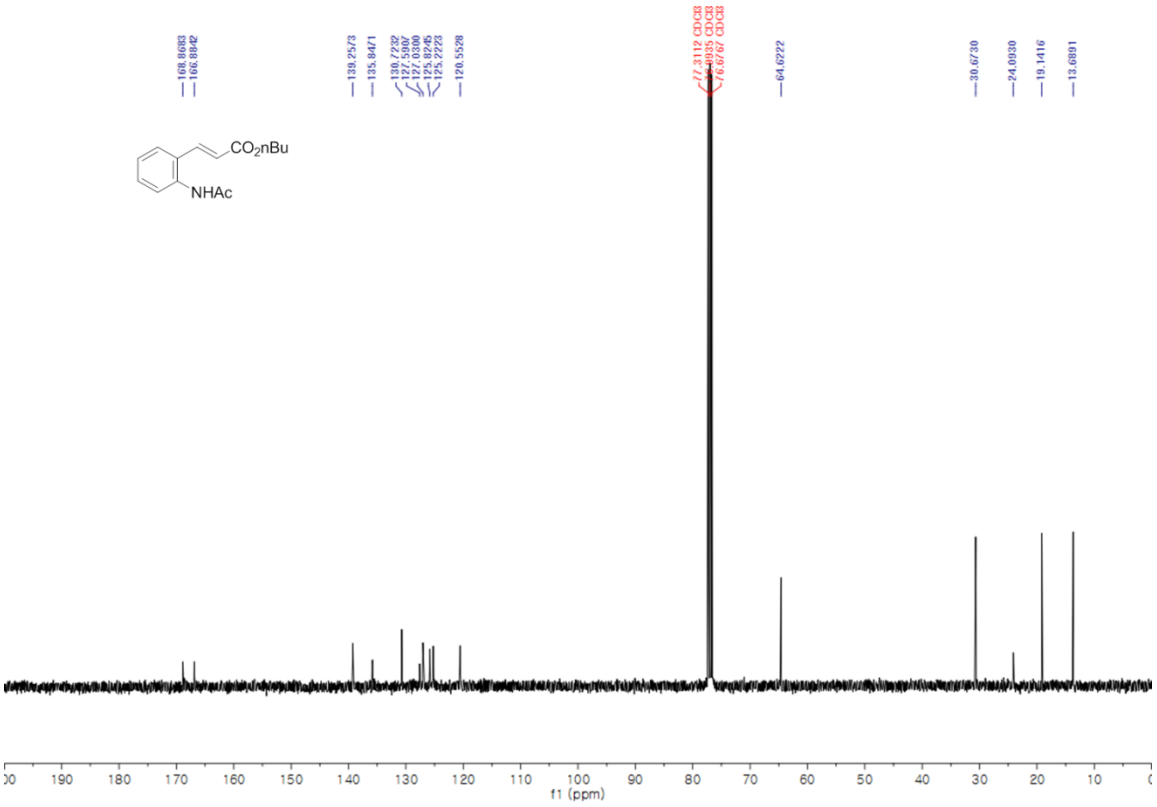


250 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-butyl 3-(2-acetamidophenyl)acrylate (4m).

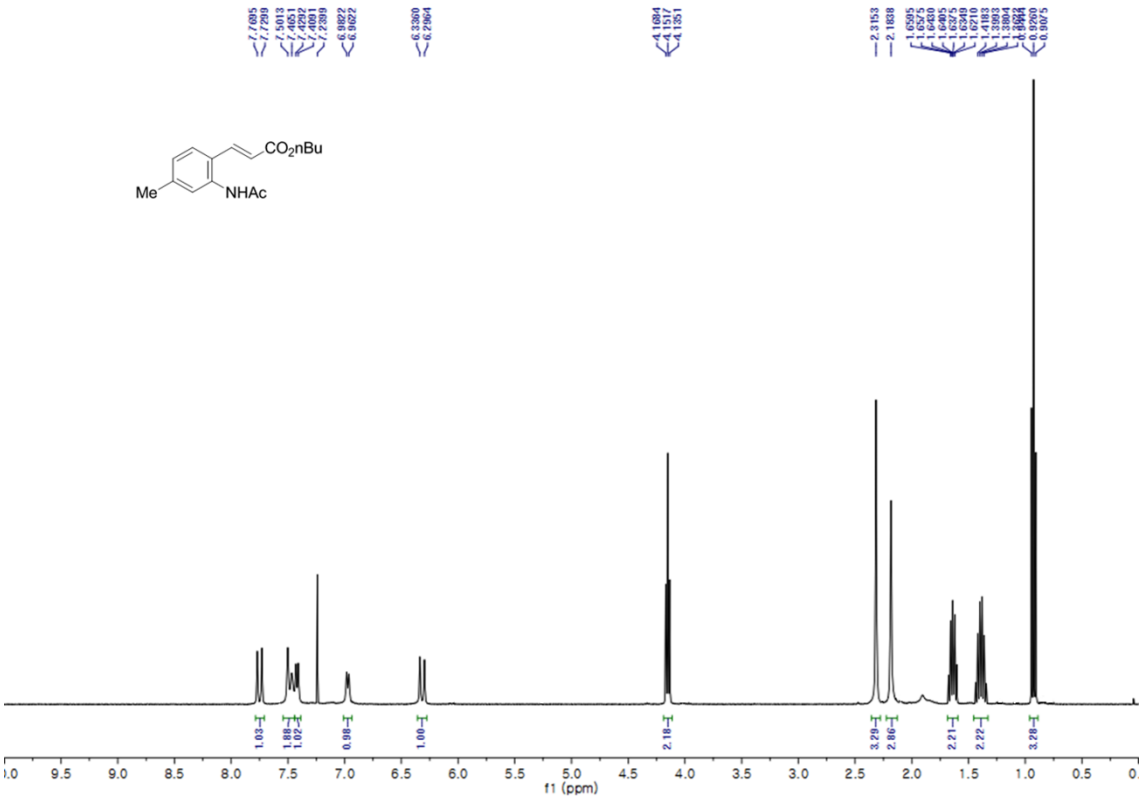


400 MHz, ^{1}H NMR in $CDCl_3$

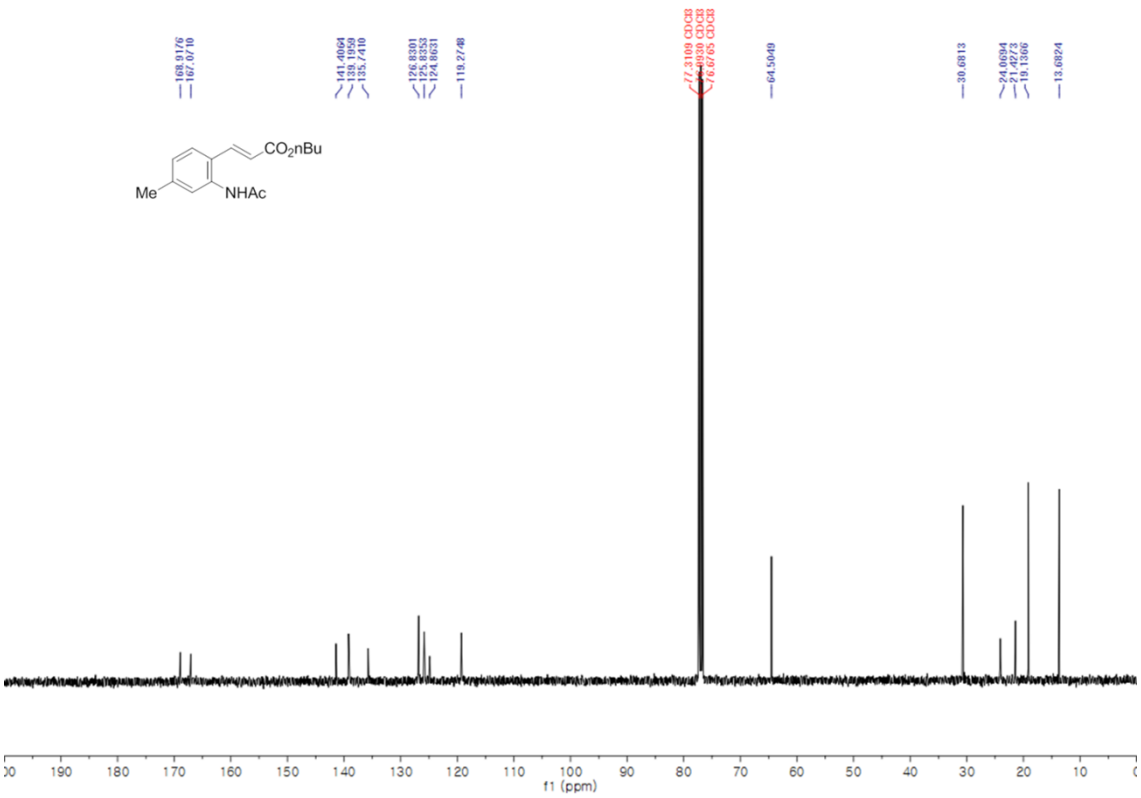


100 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-butyl 3-(2-acetamido-4-methylphenyl)acrylate (4n).

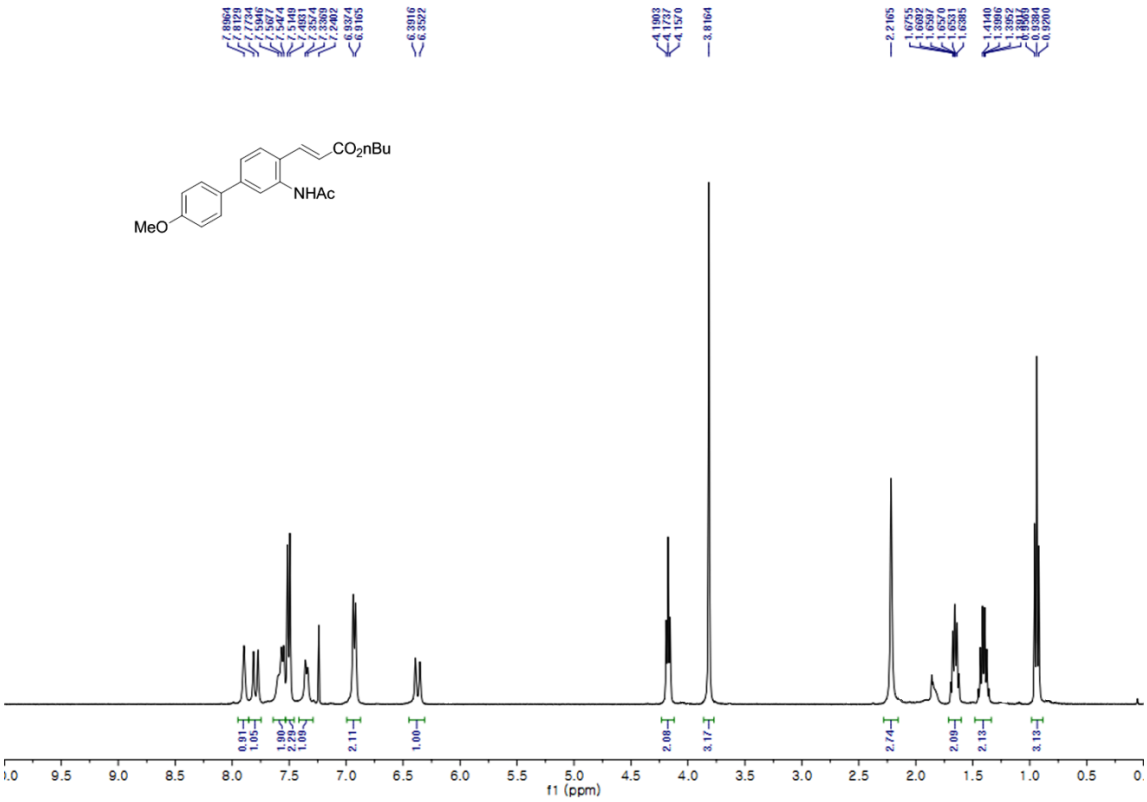


400 MHz, ^{1}H NMR in $CDCl_3$

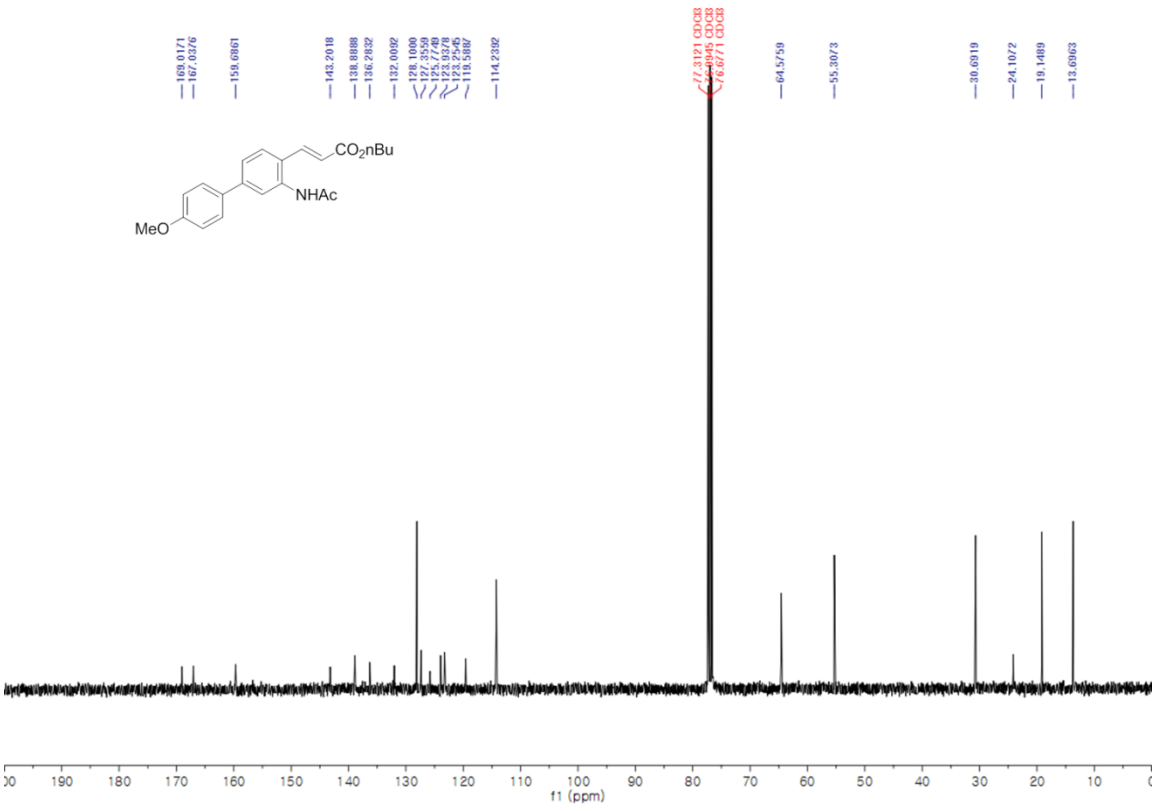


100 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-butyl 3-(3-acetamido-4'-methoxy-[1,1'-biphenyl]-4-yl)acrylate (4o).

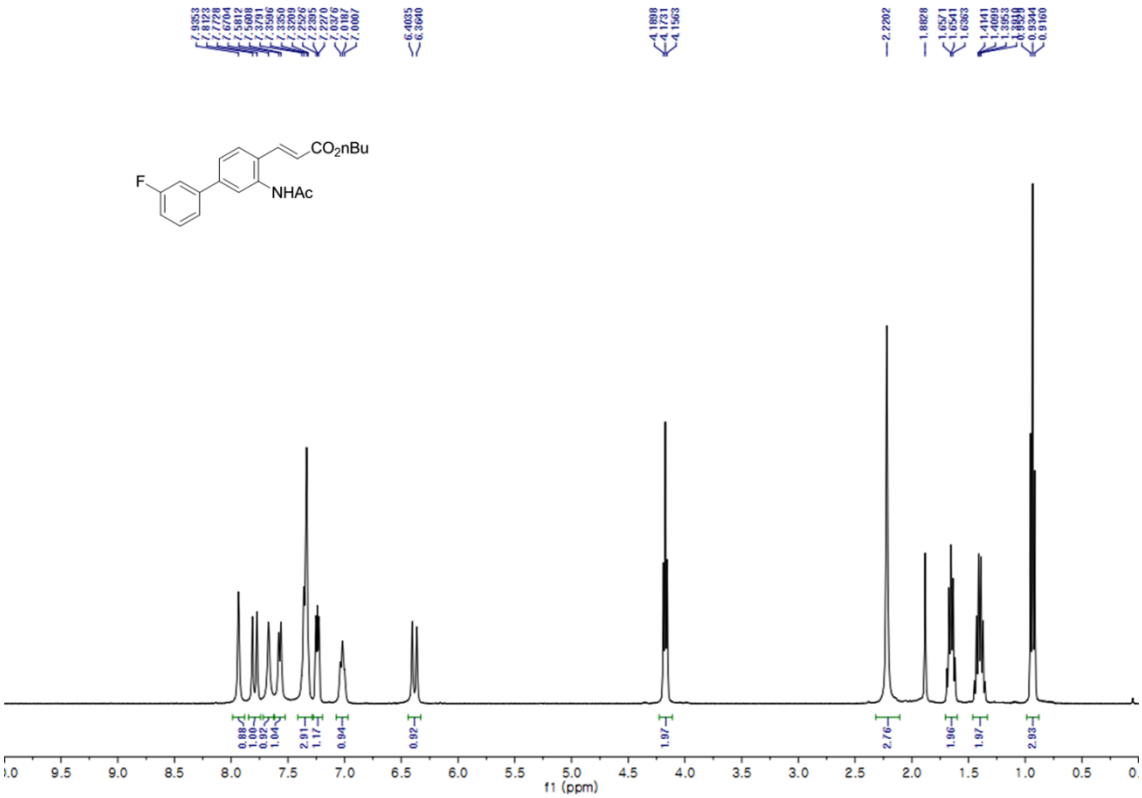


400 MHz, ^{1}H NMR in $CDCl_3$

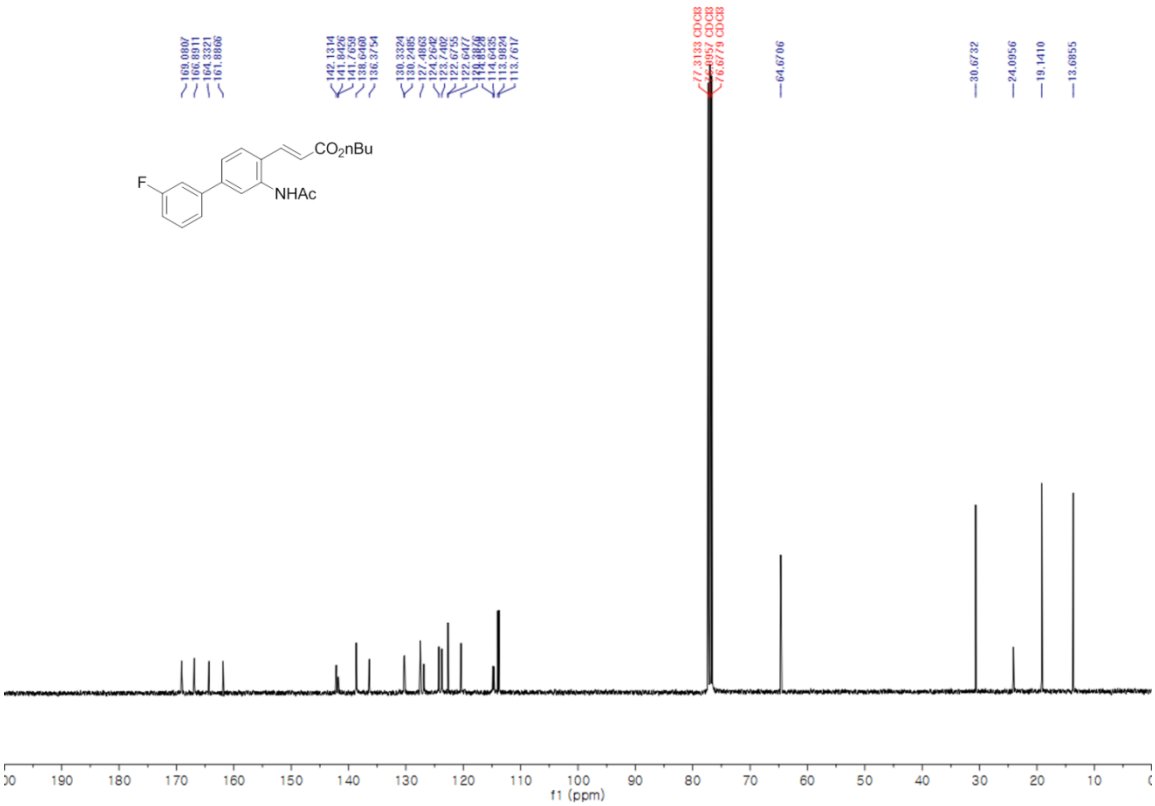


100 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-butyl 3-(3-acetamido-3'-fluoro-[1,1'-biphenyl]-4-yl)acrylate (4p).

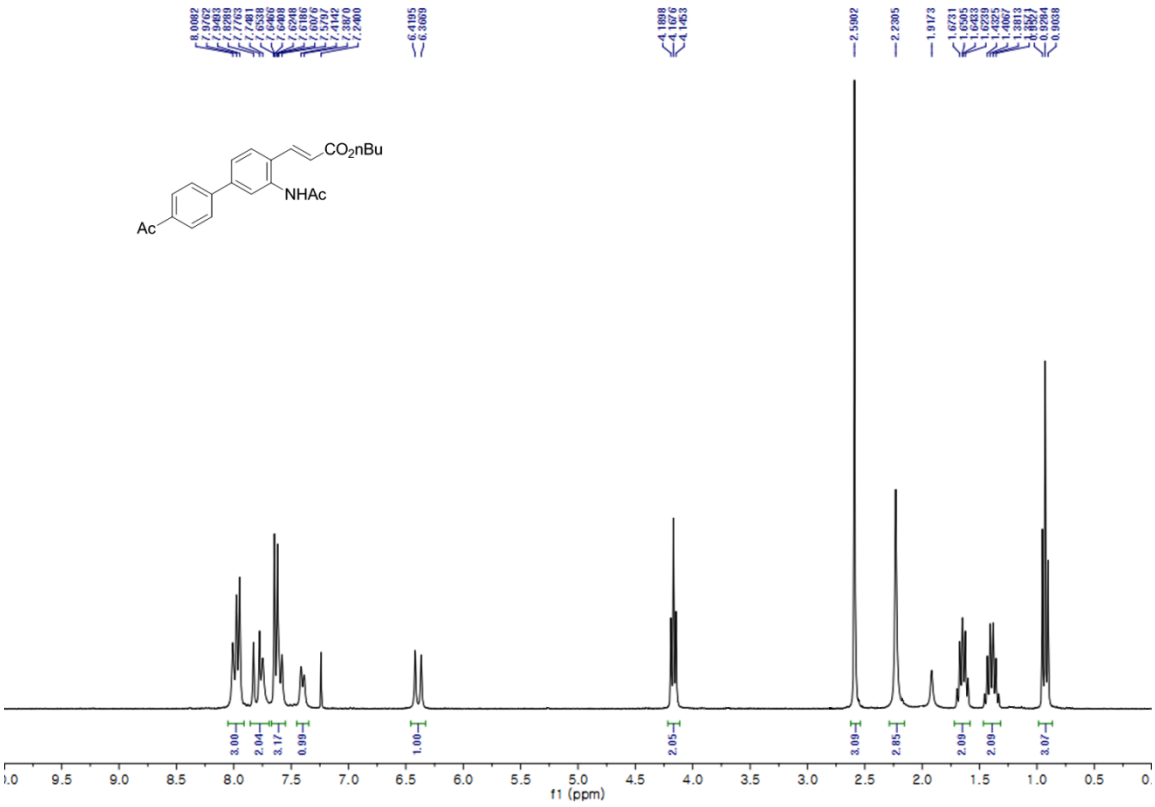


400 MHz, ^{1}H NMR in $CDCl_3$

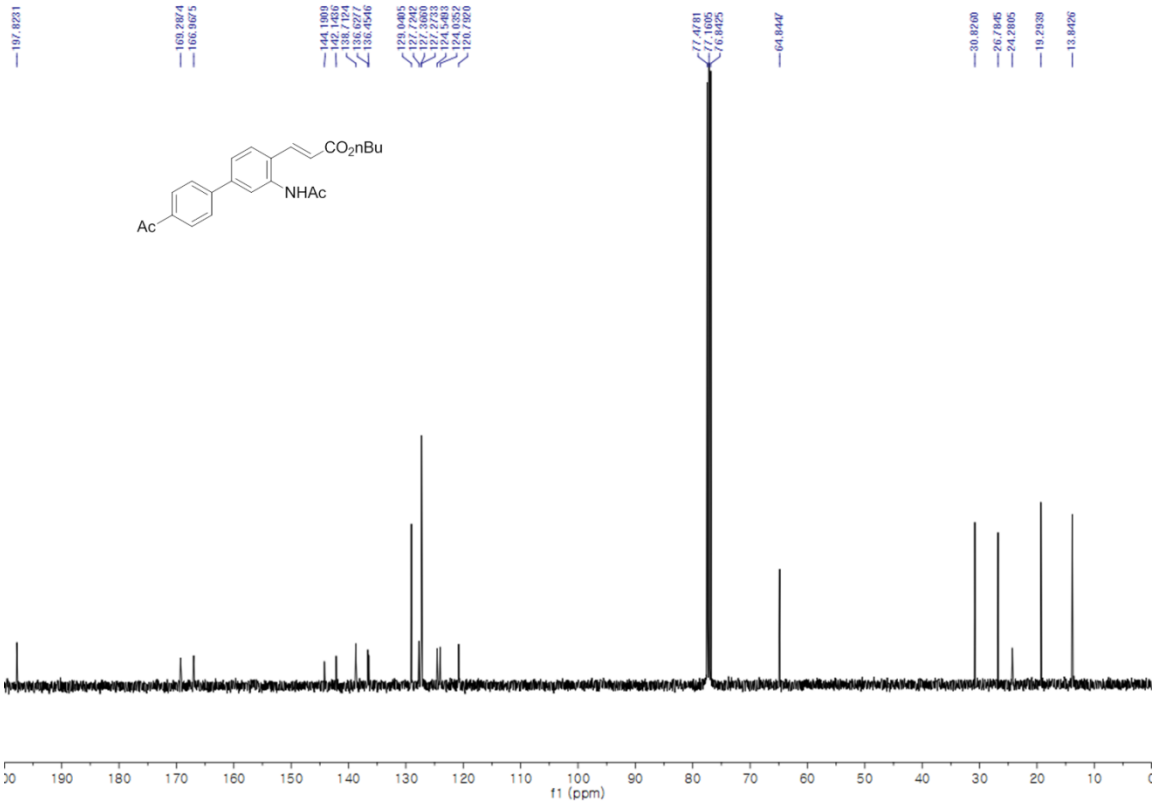


100 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-butyl 3-(3-acetamido-4'-acetyl-[1,1'-biphenyl]-4-yl)acrylate (4q).

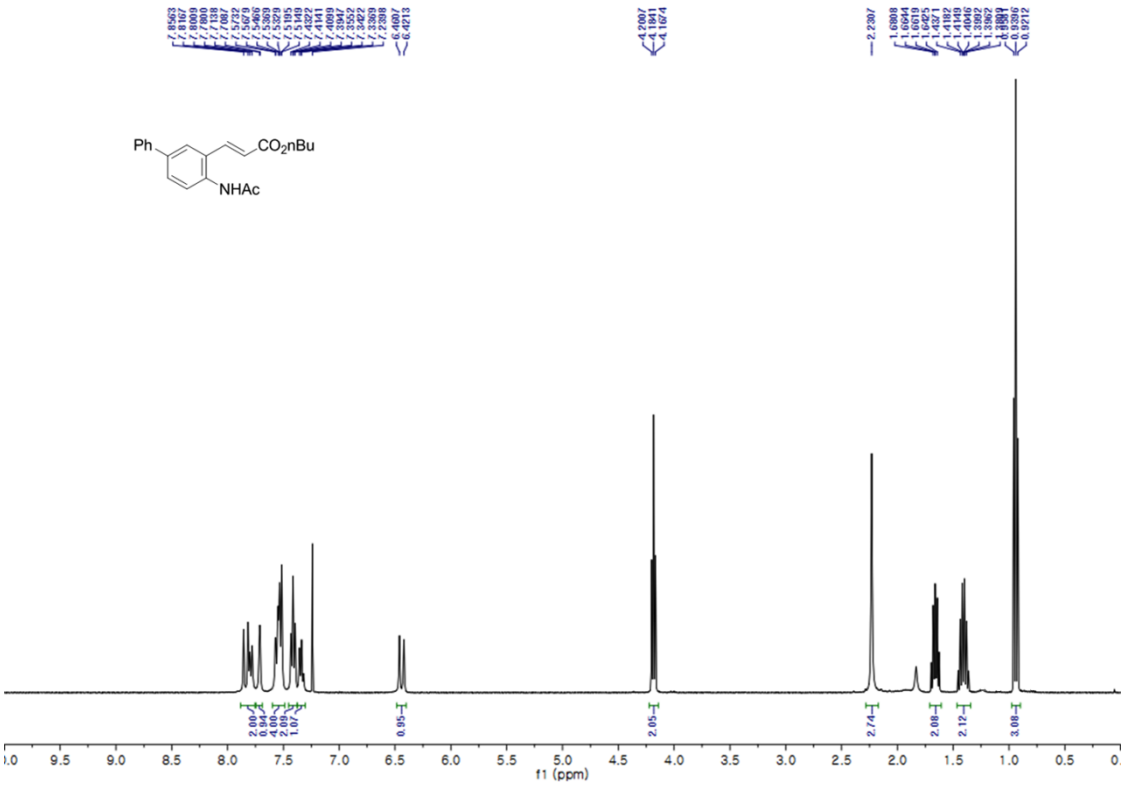


300 MHz, ^{1}H NMR in $CDCl_3$

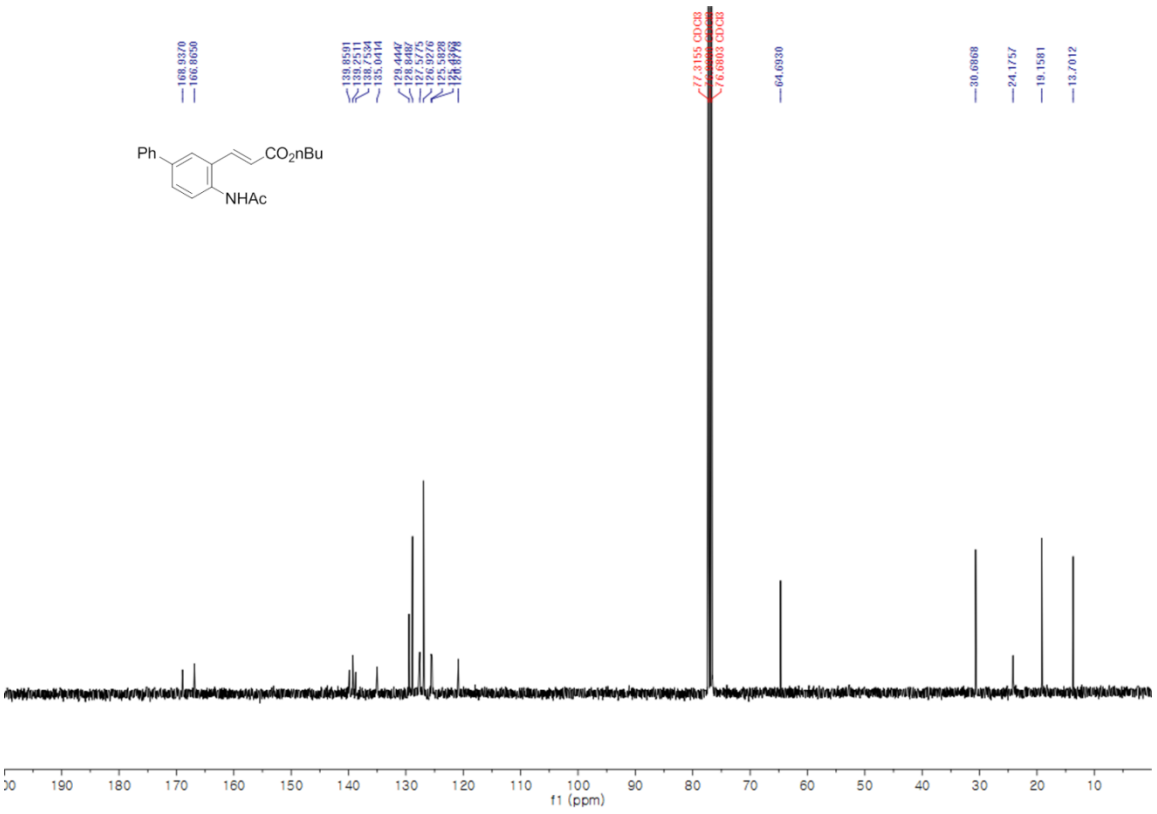


100 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-butyl 3-(4-acetamido-[1,1'-biphenyl]-3-yl)acrylate (4r).

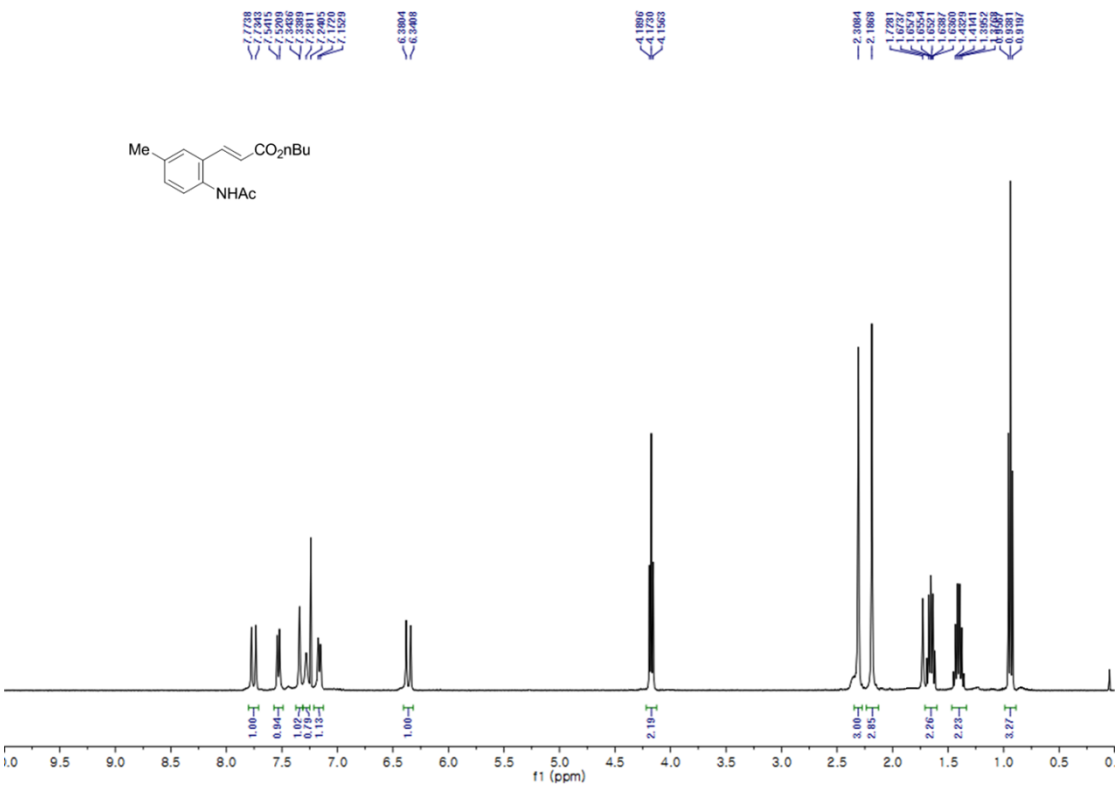


400 MHz, ^{1}H NMR in $CDCl_3$

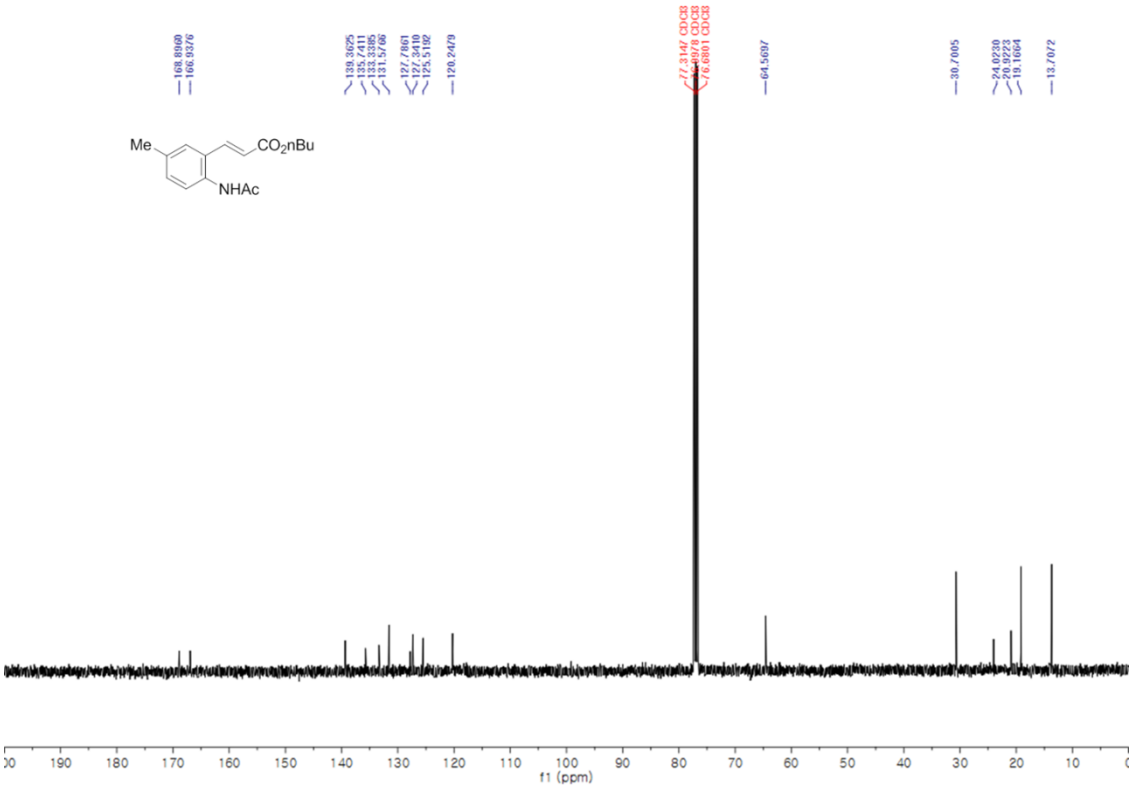


100 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-butyl 3-(2-acetamido-5-methylphenyl)acrylate (4s).

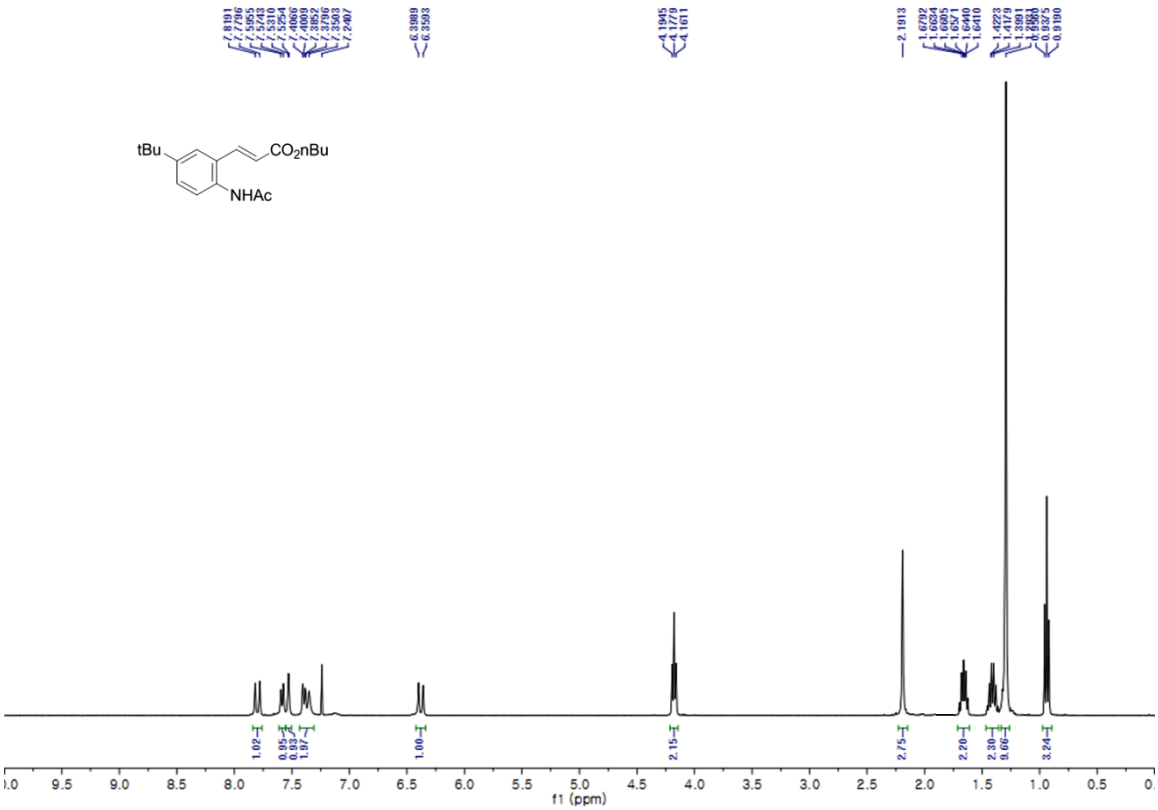


400 MHz, ^{1}H NMR in $CDCl_3$

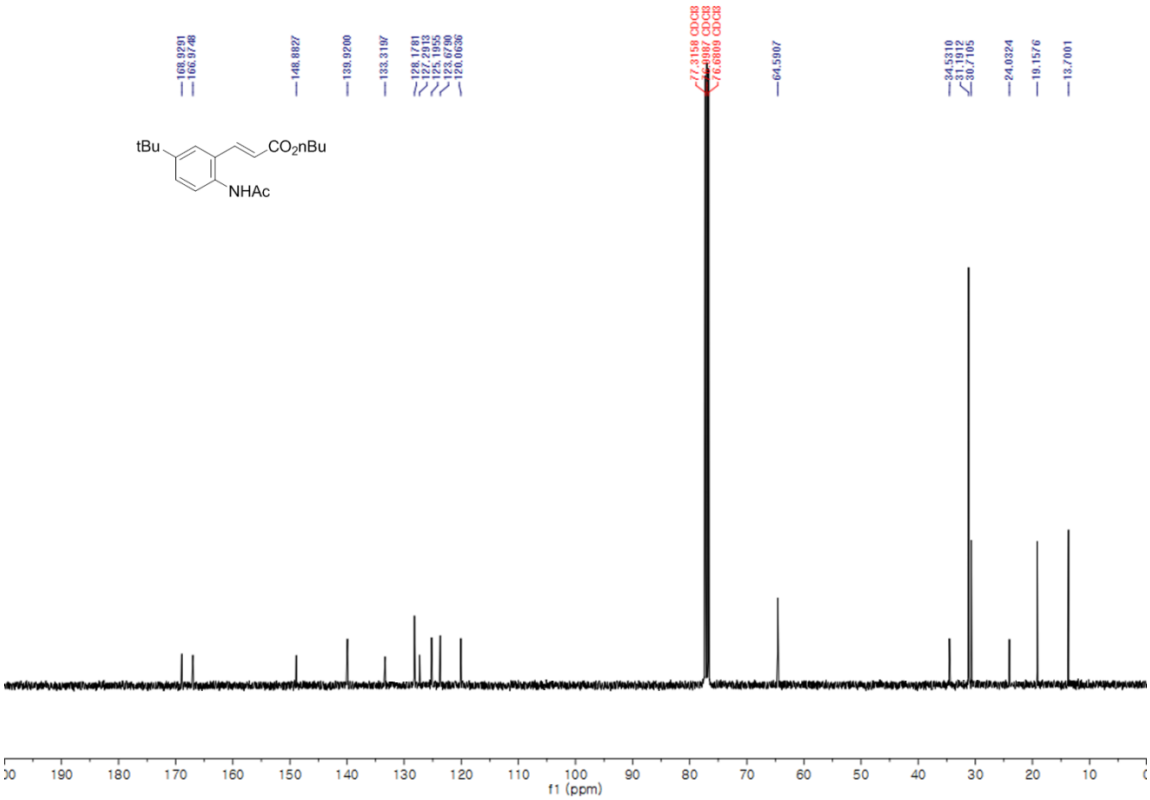


100 MHz, ^{13}C NMR in $CDCl_3$

(*E*)-butyl 3-(2-acetamido-5-(tert-butyl)phenyl)acrylate (4t).

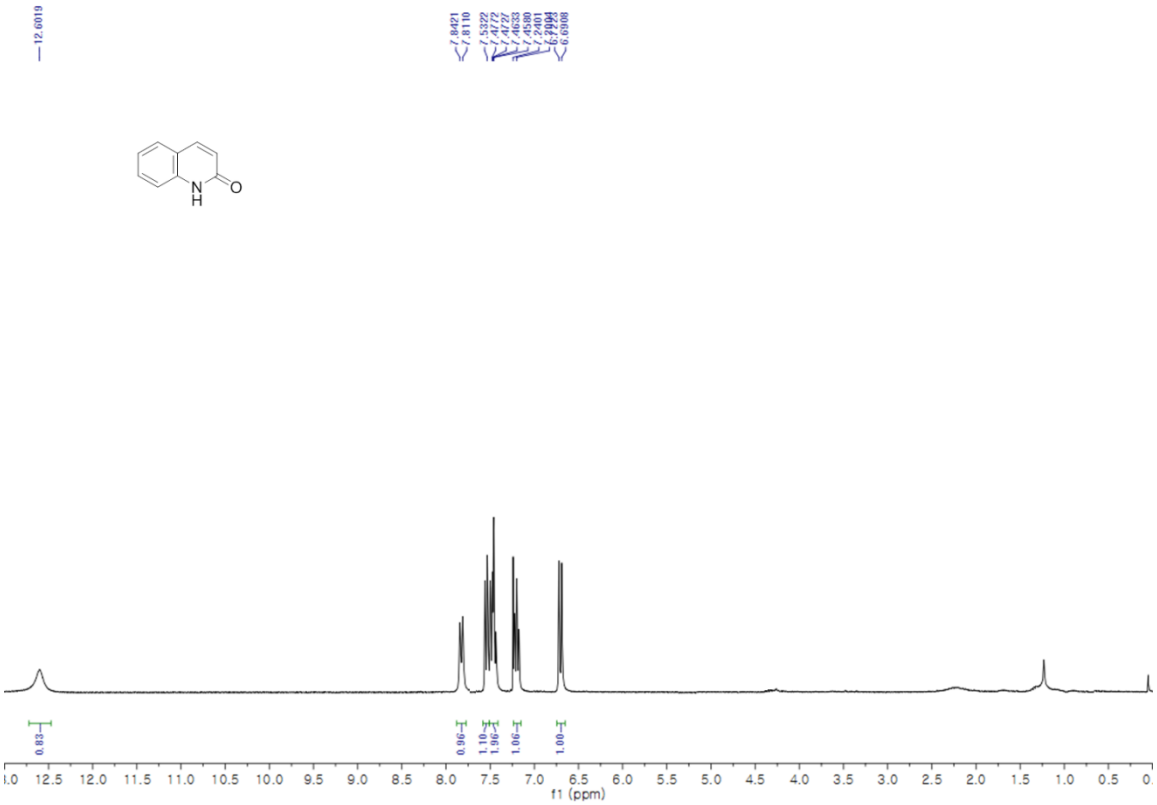


400 MHz, ^{1}H NMR in $CDCl_3$

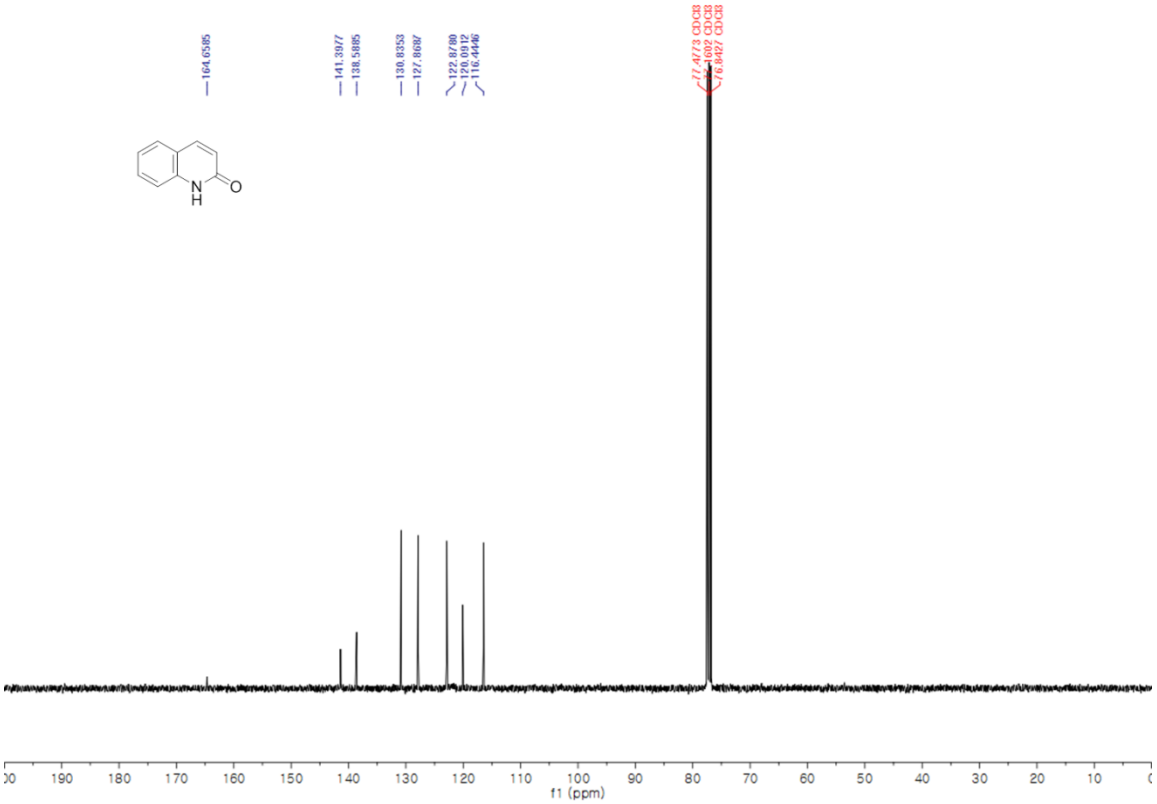


100 MHz, ^{13}C NMR in $CDCl_3$

quinolin-2(1H)-one (5a).

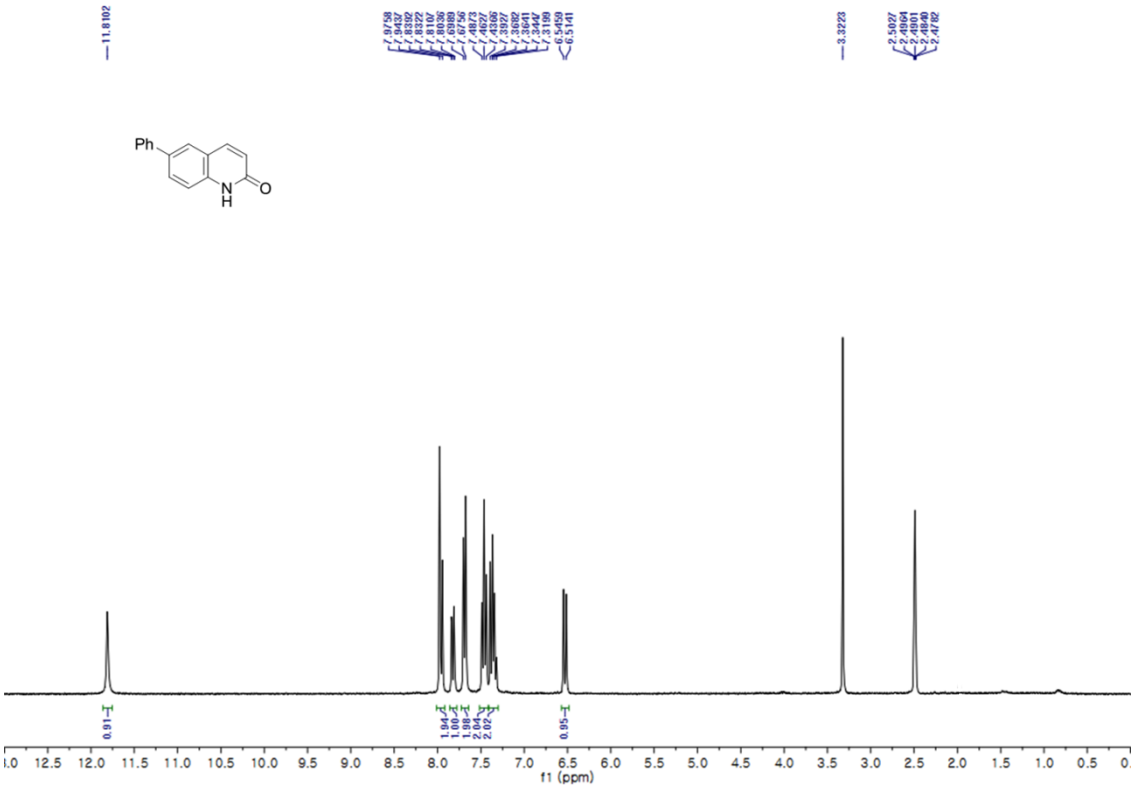


300 MHz, ^{1}H NMR in $CDCl_3$

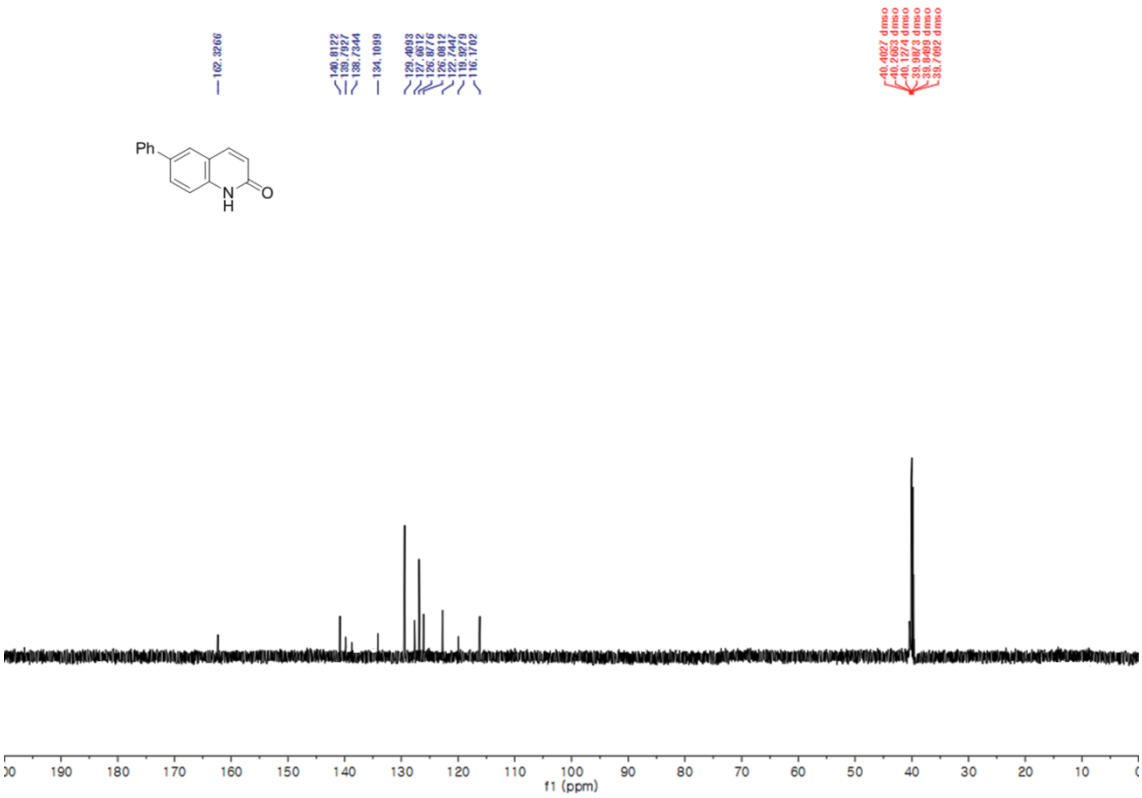


100 MHz, ^{13}C NMR in $CDCl_3$

6-phenylquinolin-2(1H)-one (5b)

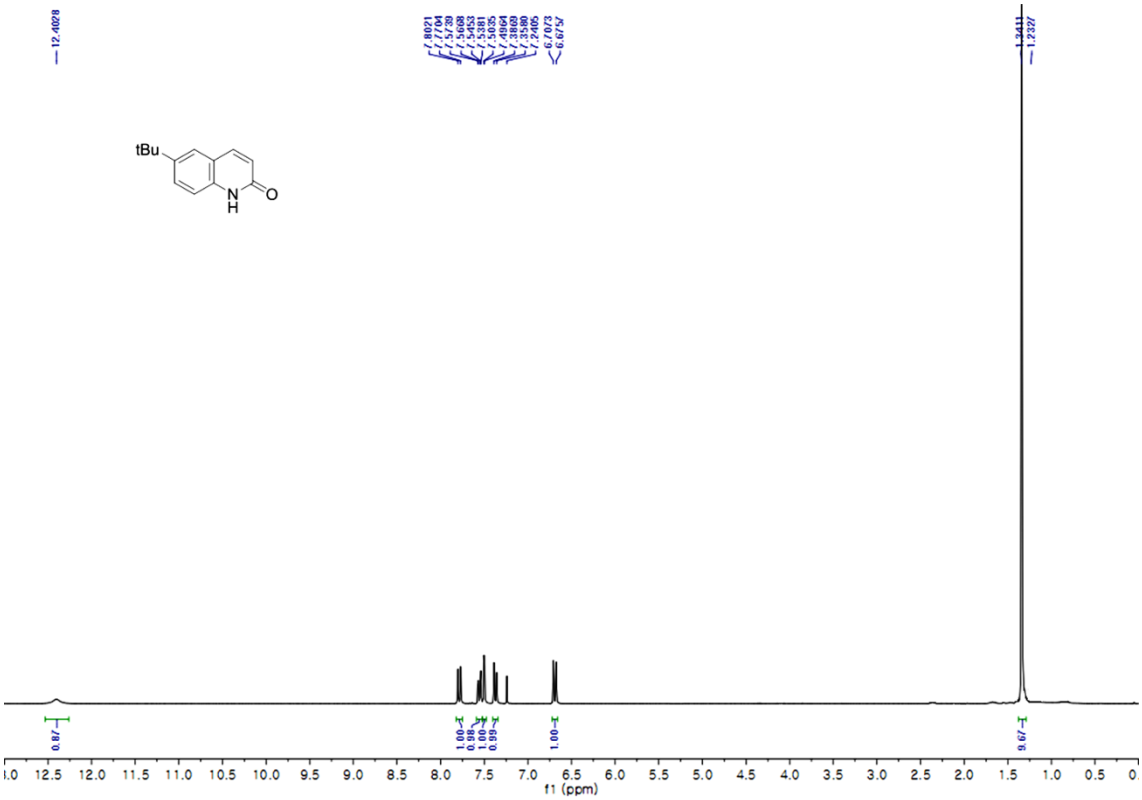


300 MHz, ^{1}H NMR in DMSO-*d*$_6$

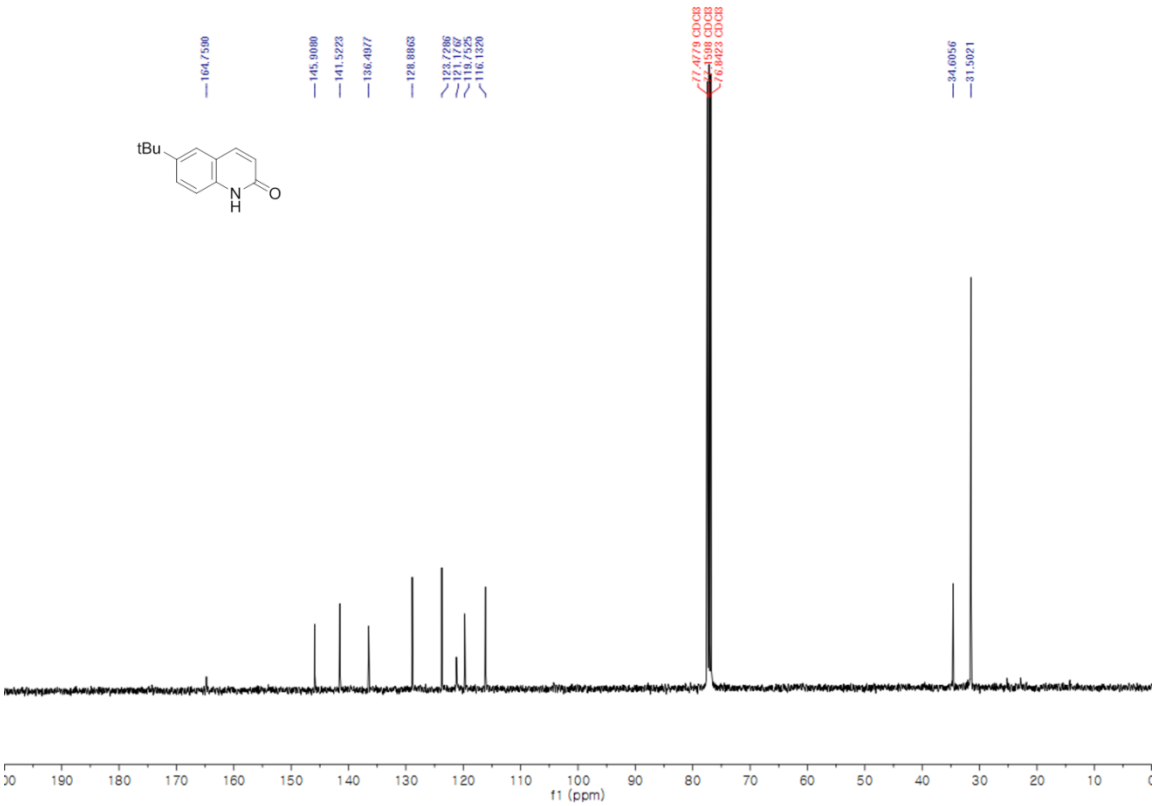


150 MHz, ^{13}C NMR in DMSO-*d*$_6$

6-(*tert*-butyl)quinolin-2(1H)-one (5c).

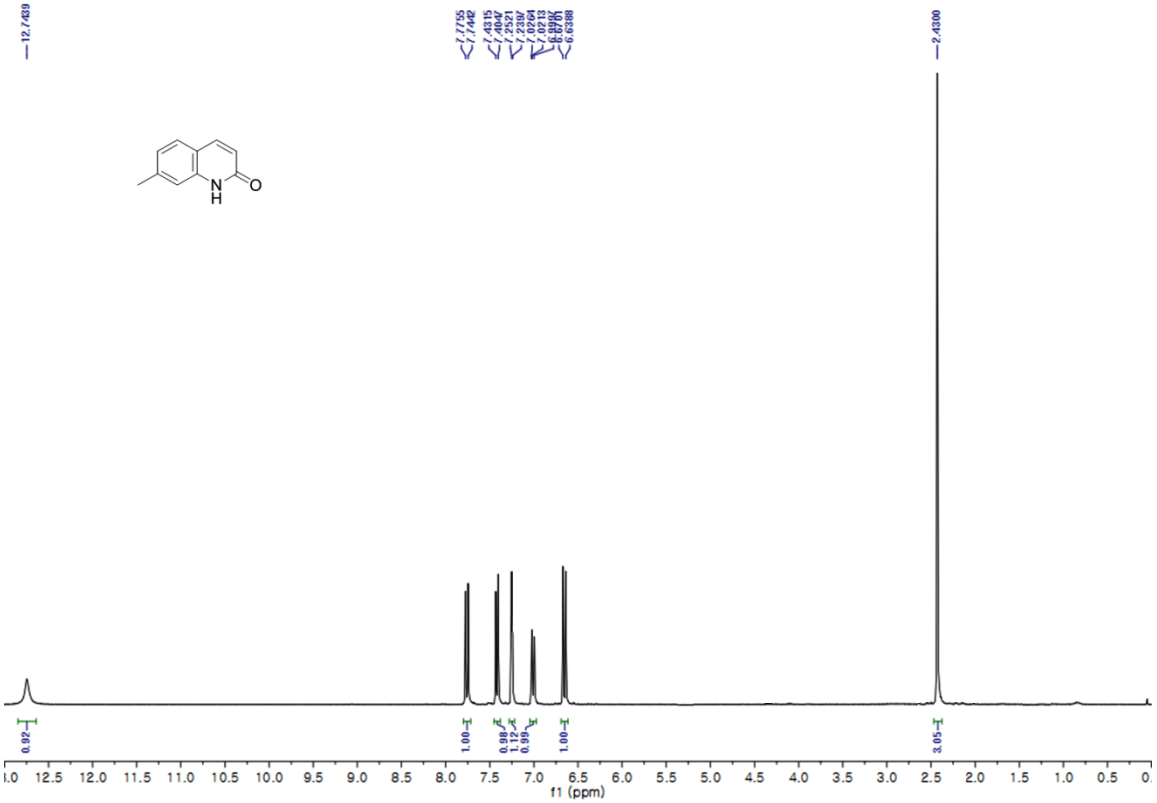


300 MHz, ^{1}H NMR in $CDCl_3$

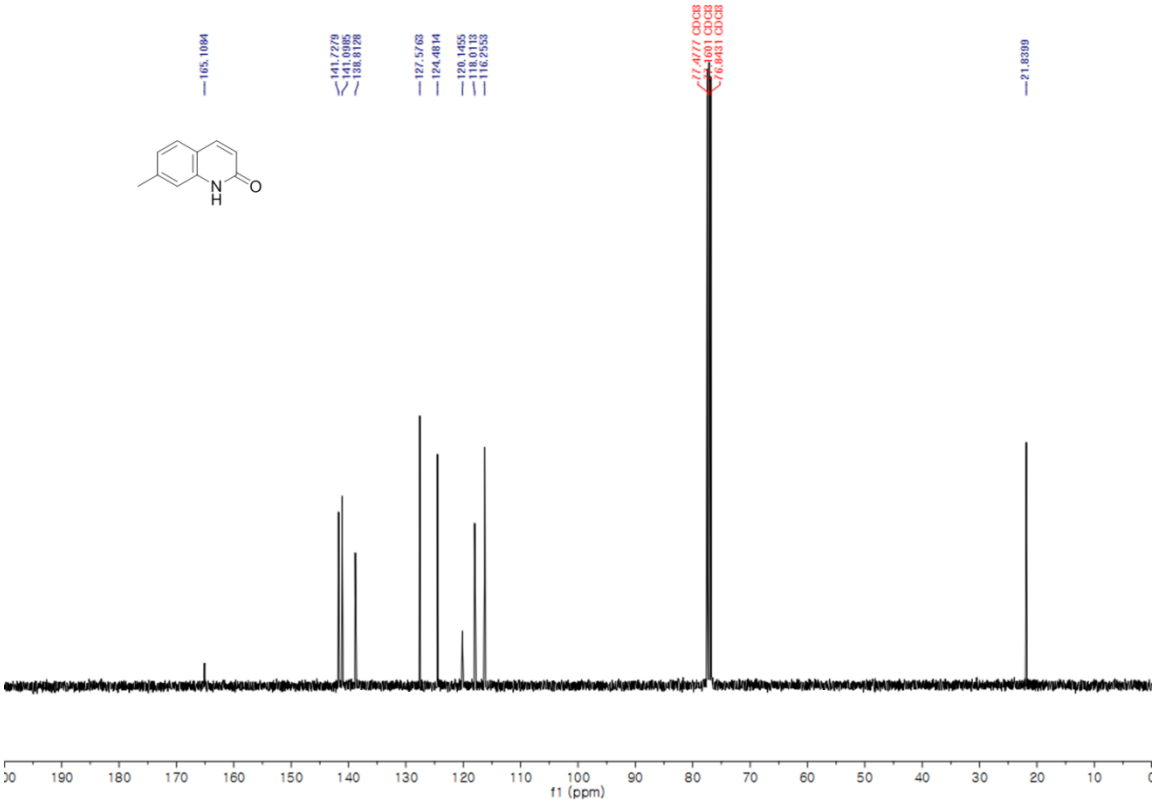


100 MHz, ^{13}C NMR in $CDCl_3$

7-methylquinolin-2(1H)-one (5d).

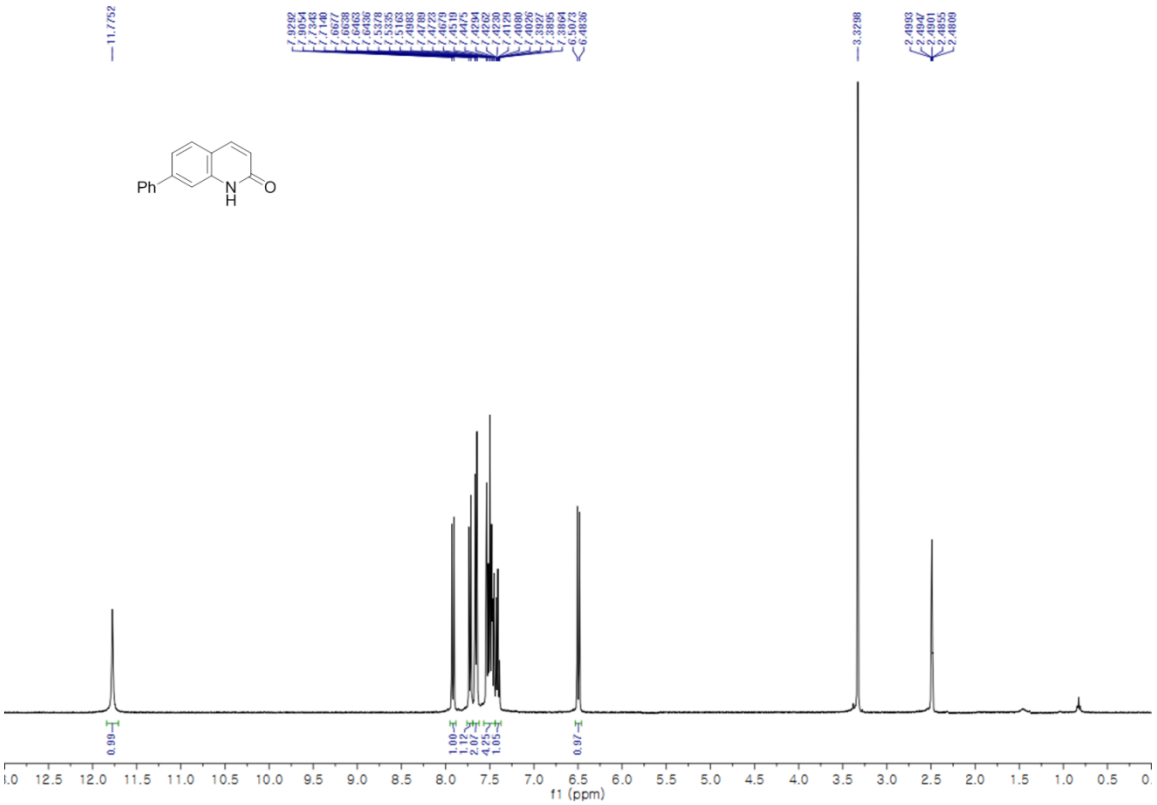


300 MHz, ^{1}H NMR in $CDCl_3$

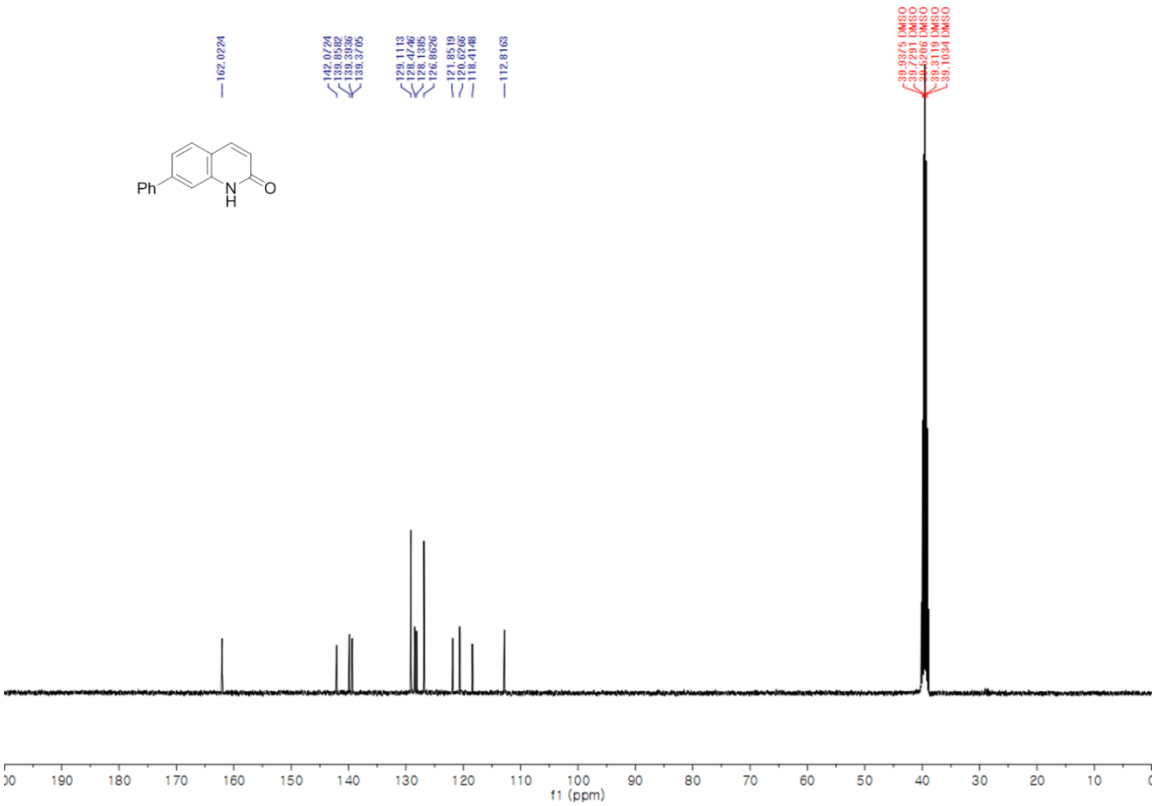


100 MHz, ^{13}C NMR in $CDCl_3$

7-phenylquinolin-2(1H)-one (5e).

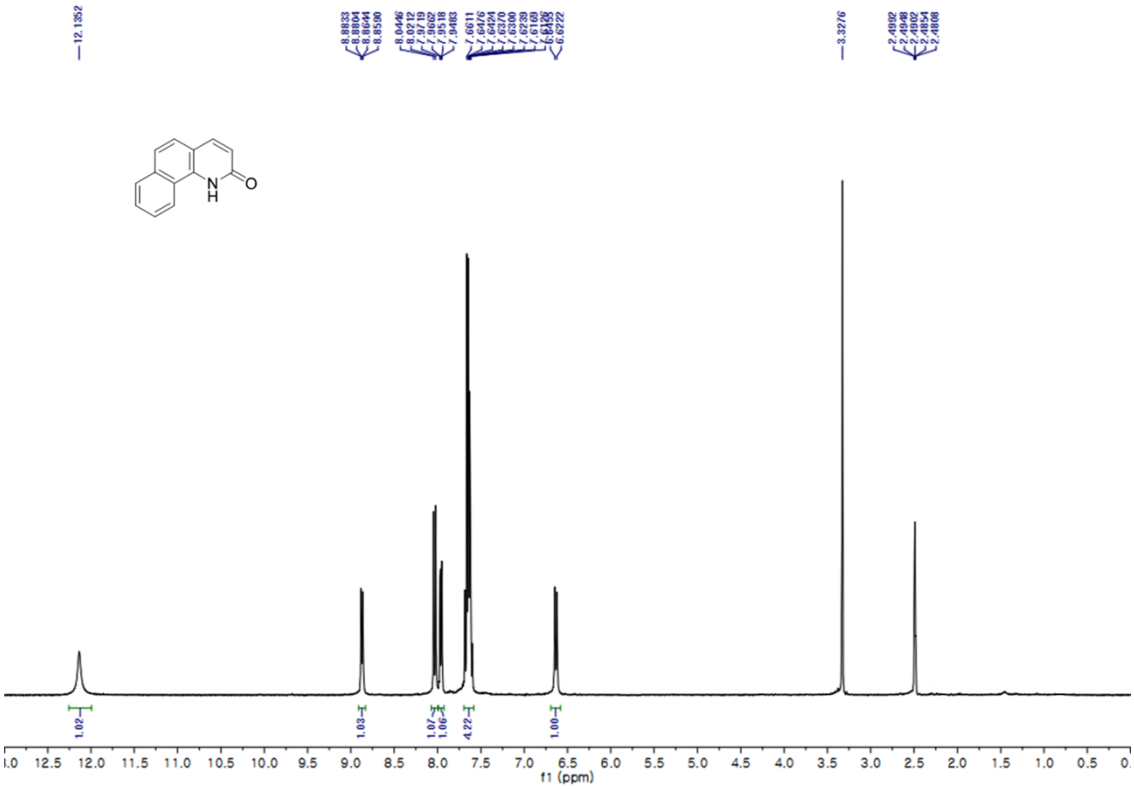


400 MHz, ^{1}H NMR in DMSO-*d*$_6$

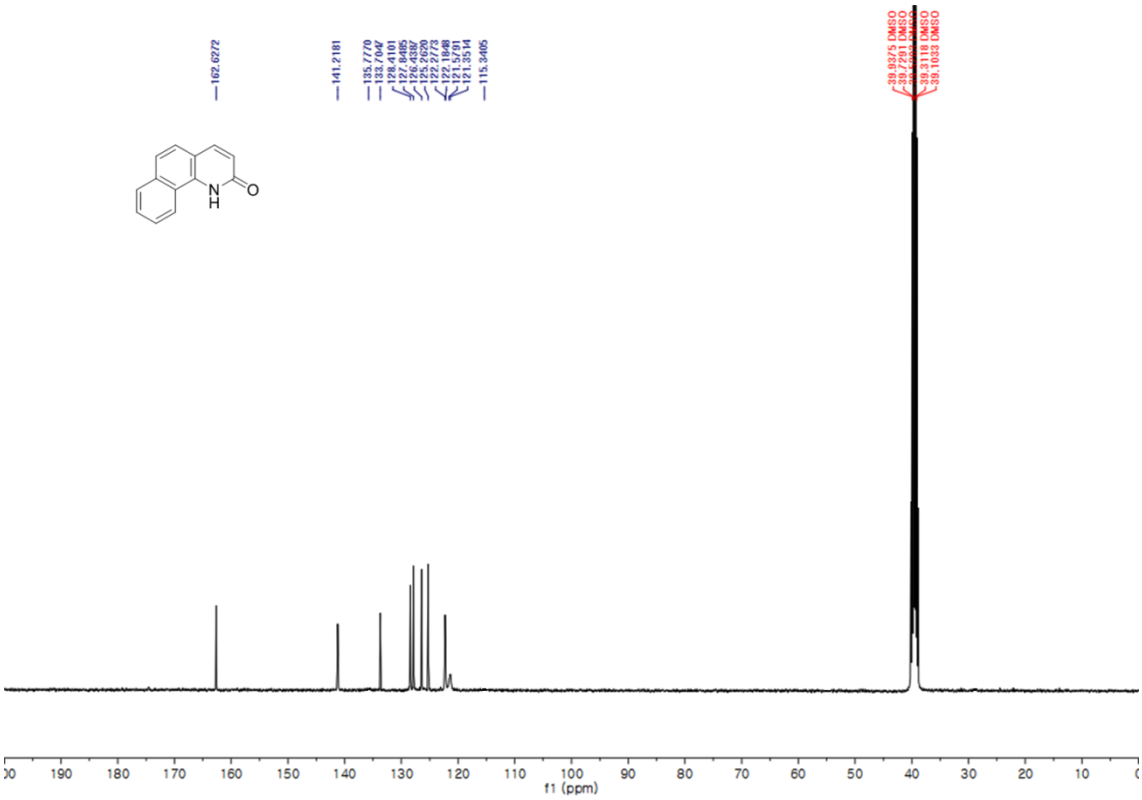


100 MHz, ^{13}C NMR in DMSO-*d*$_6$

benzo[h]quinolin-2(1H)-one (5f)



400 MHz, ^{1}H NMR in DMSO-*d*$_6$



100 MHz, ^{13}C NMR in DMSO-*d*$_6$