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Supporting Information

Gold(I) Catalyzed Tandem Cyclization of Propargylic Esters to 4-acyloxy-1,2-dihydroquinolines

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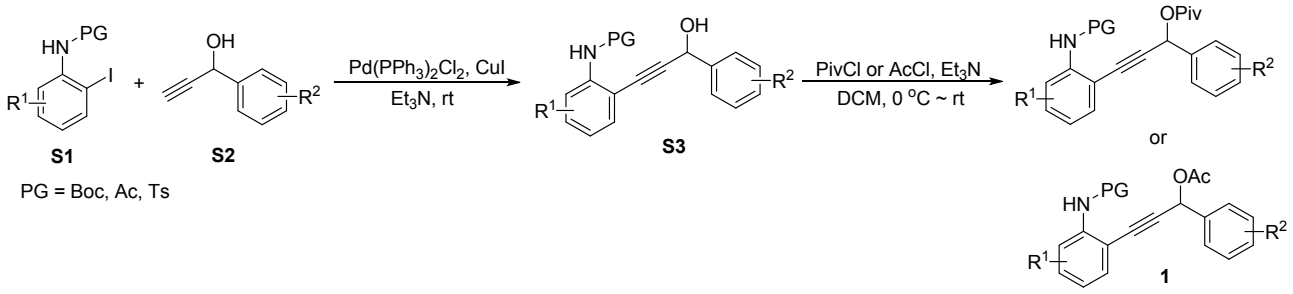
CONTENTS

1. General Remarks. Melting points were determined on a digital melting point apparatus and temperatures were uncorrected. Optical rotations were determined in a solution of CH_2Cl_2 at 20 ^oC by using a Perkin-Elmer-241 MC polarimeter; $[\alpha]_D$-values are given in units of 10^{-1} deg cm^2 g^{-1}. NMR spectra were recorded with a Bruker spectrometer at 400 MHz (^1H NMR), 100 MHz (^{13}C NMR) and 376 MHz (^{19}F NMR) in $CDCl_3$, respectively. Chemical shift were reported in ppm down field from internal TMS. Organic solvents used were dried by standard methods when necessary. Commercially available reagents were used without further purification. All reactions were monitored by TLC with Huanghai GF_{254} silica gel coated plates. Flash column chromatography was carried out using 300-400 mesh silica gel at increased pressure. All reactions were performed under argon using standard Schlenk techniques. The optical purities of products were determined by HPLC analysis using a SHIMADZU SPD-10A *vp* series with chiral columns (Chiralpak AD-H, and OD-H columns 4.6 x 250 mm, (Daicel Chemical Ind., Ltd.)). Infrared spectra were recorded on a Perkin-Elmer PE-983 spectrometer with absorption in cm^{-1}. Mass spectra were recorded by ESI and HRMS was measured on a HP-5989 instrument.

2. Preparation of substrates

(a) Synthesis of **1a-1j**, **1l** and **1n-1z**



Typical procedures:

N-protected 2-iodoanilines **S1** were partially prepared according to the previous literature.[1] Propargylic alcohols **S2** were prepared according to the previous literature.[2]

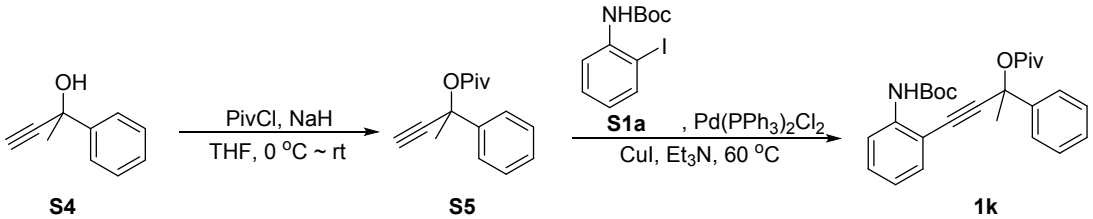
Sonogashira coupling:

A round bottle was filled with $Pd(PPh_3)_2Cl_2$ (2 mol%) and CuI (5 mol%), then a solution of **S1** (10 mmol) and **S2** (11 mmol) in degassed Et_3N (40 mL) was added to this bottle under argon. The reaction mixture was stirred at room temperature overnight. The reaction was filtered with a Celite and filter residue was washed with EtOAc for three times. The filtrate was combined and solvent was removed under reduce pressure. The residue was purified by a flash column chromatography on silica gel (eluent: petroleum ether / ethyl acetate = 10:1).

Synthesis of propargylic esters:

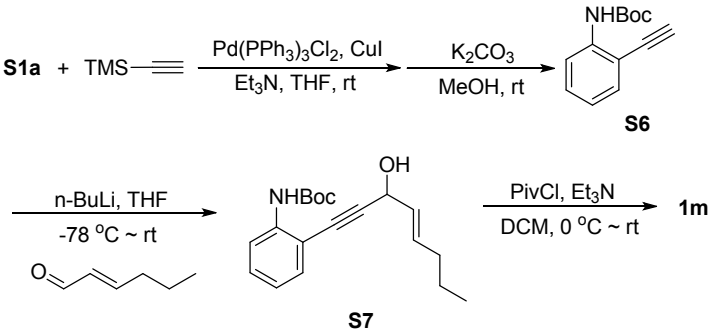
To a stirred solution of **S3** (2 mmol) in DCM (20 mL) was slowly added PivCl (2.2 mmol) or AcCl (2.2 mmol) at 0 °C under argon and the mixture was allowed to be warmed to room temperature slowly. Upon consumption of the starting material, the reaction mixture was quenched with saturated $NaHCO_3$ aqueous solution and extracted with CH_2Cl_2. The combined organic layers were dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether / ethyl acetate = 20:1).

(b) Synthesis of **1k**.



To an anhydrous THF (20 mL) a solution of **S4** (1.46 g, 10 mmol) was added NaH (60% dispersion in mineral oil, 440 mg, 11 mmol) at 0 °C. The reaction mixture was stirred at the same temperature for 30 minutes. Then PivCl (11 mmol) was added. After that, the reaction mixture was warmed up to room temperature and stirred overnight. The reaction mixture was quenched with water, extracted with EtOAc, washed with brine and dried over anhydrous Na_2SO_4. The solvent was evaporated in vacuo and the residue was purified by a column chromatography on silica gel (eluent: petroleum ether / ethyl acetate = 20:1) to afford **S5** (1.06 g, 46% yield). **S5** (2.0 mmol) was used for synthesizing **1k** under the previously mentioned Sonogashira coupling conditions (160 mg, 38% yield).

(c) Synthesis of **1m**.

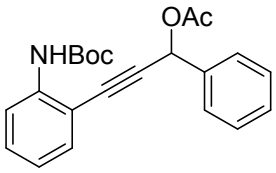


A round bottle was filled with $Pd(PPh_3)_2Cl_2$ (2 mol%) and CuI (5 mol%), then Et_3N (30 mmol), trimethylsilylacetylene (11 mmol) and a solution of **S1a** (10 mmol) in degassed THF (40 mL) was added to this bottle under argon. The reaction mixture was stirred at room temperature overnight. The reaction was filtered with a Celite and filter residue was washed with EtOAc for three times. The filtrate was combined and solvent was removed under reduce pressure to afford the crude product. K_2CO_3 (20 mmol) was added to a solution of the crude product in MeOH (20 mL). The mixture was stirred at room temperature for three hours, and then the solvent was removed under reduce pressure. The residue was redissolved in EtOAc and water, and then extracted with EtOAc for three times. The solvent was evaporated in vacuo and the residue was purified by a column chromatography on silica gel (eluent: petroleum ether / ethyl acetate = 20:1) to afford **S6** (1.80 g, 83% yield for 2 steps).

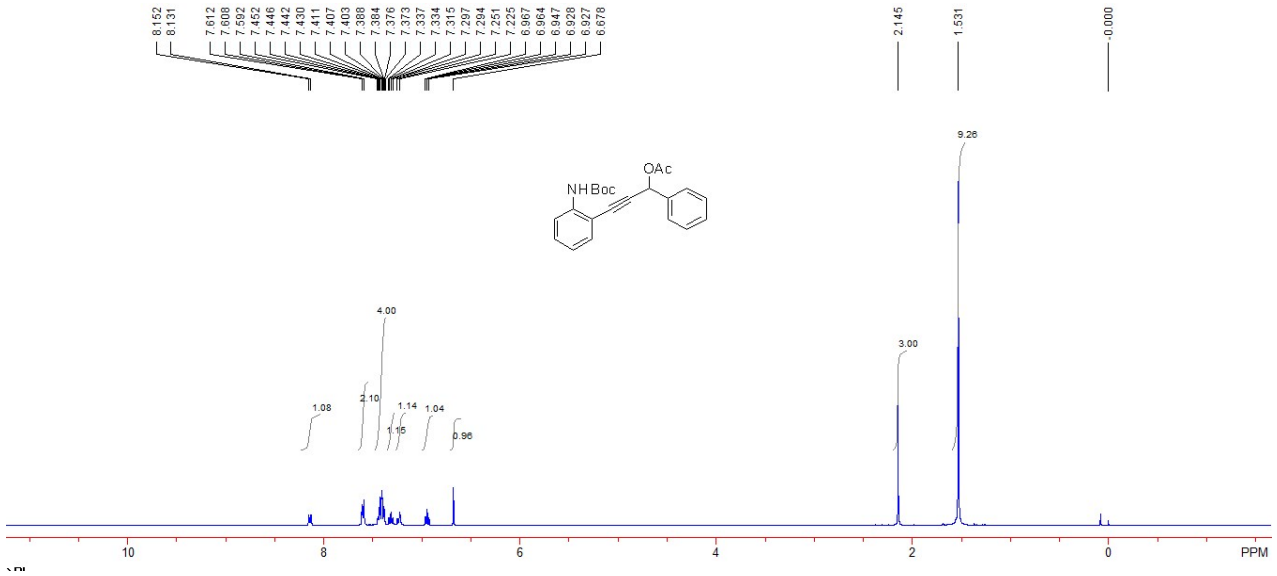
S6 (5 mmol, 1.08 g) was dissolved in 25 mL of dry THF in a round-bottomed flask. After the mixture was cooled to -78 °C, a 2.5 M solution of BuLi in hexanes (11 mmol, 4.4 mL) was added dropwise. The temperature was maintained for 1 h with stirring. The trans-2-hexenal (5 mmol, 490

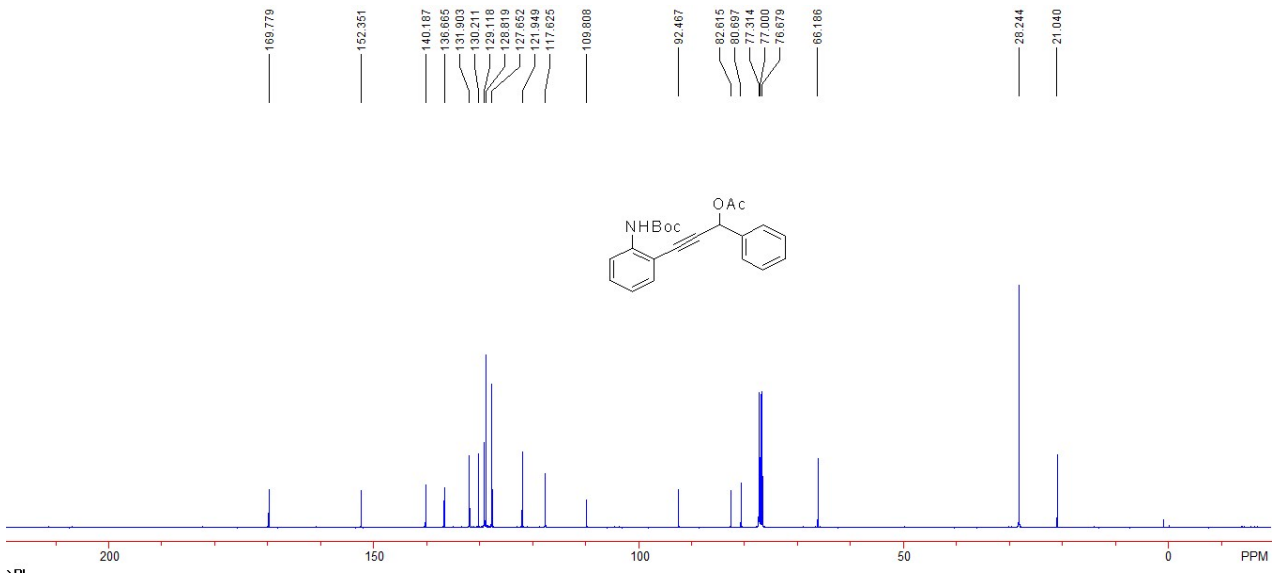
mg) was then added slowly, and stirring was continued overnight, allowing the reaction mixture to be warmed to room temperature slowly without additional cooling. After completion, the reaction was quenched with a saturated NH_4Cl solution and extracted with EtOAc. This was followed by isolation of the corresponding product by flash column chromatography (eluent: petroleum ether / ethyl acetate = 10:1) to afford **S7** (1.23 g, 78% yield). Acylation reaction of **S7** (2 mmol) was identical to the other compounds, affording **1m** (694 mg, 87% yield).

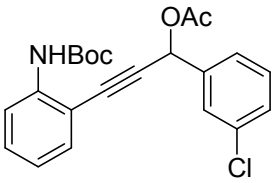
3. The characterization data of substrates



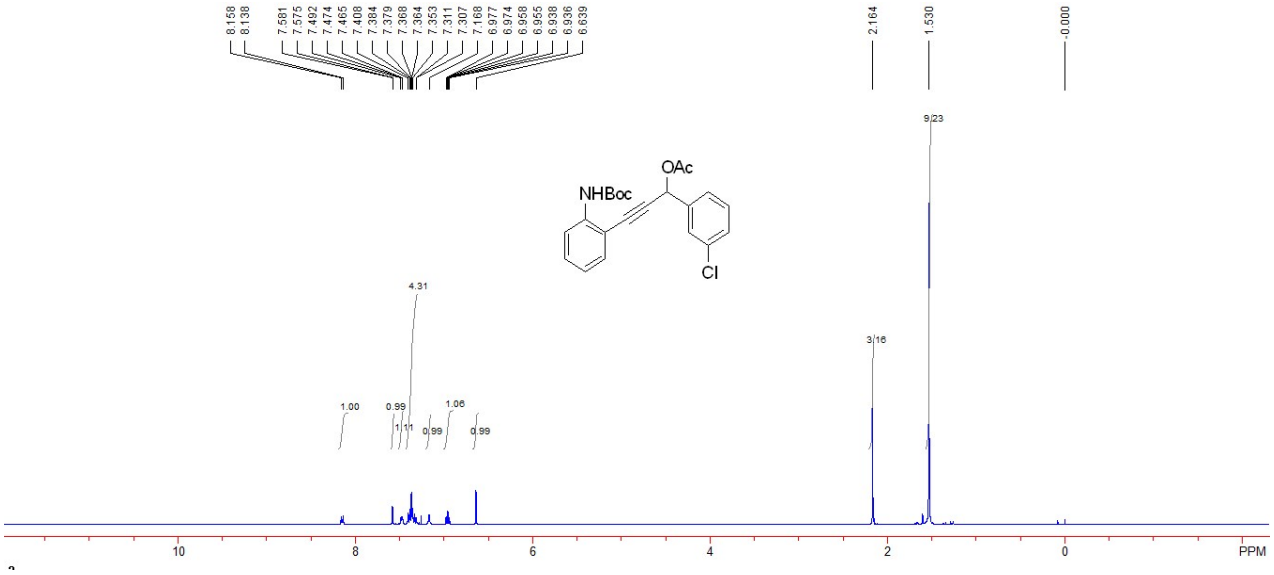
3-(2-((*tert*-Butoxycarbonyl)amino)phenyl)-1-phenylprop-2-yn-1-yl acetate (1a): A yellow oil, 635 mg, 87% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.14 (d, J = 8.4 Hz, 1H), 7.61-7.59 (m, 2H), 7.45-7.37 (m, 4H), 7.32 (td, J_1 = 1.2 Hz, J_2 = 8.4 Hz, 1H), 7.23 (br, 1H), 6.95 (td, J_1 = 1.2 Hz, J_2 = 8.4 Hz, 1H), 6.68 (s, 1H), 2.15 (s, 3H), 1.53 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.8, 152.4, 140.2, 136.7, 131.9, 130.2, 129.1, 128.8, 127.7, 121.9, 117.6, 109.8, 92.5, 82.6, 80.7, 66.2, 28.2, 21.0. IR (CH_2Cl_2) ν 3405, 2978, 1733, 1579, 1517, 1449, 1368, 1305, 1222, 1155, 1043, 1022, 952, 756, 697 cm^{-1}. HRMS (ESI) Calcd. for $C_{22}H_{23}NNaO_4^{+1}$ $(M+Na)^+$ requires: 388.1519, Found: 388.1516.

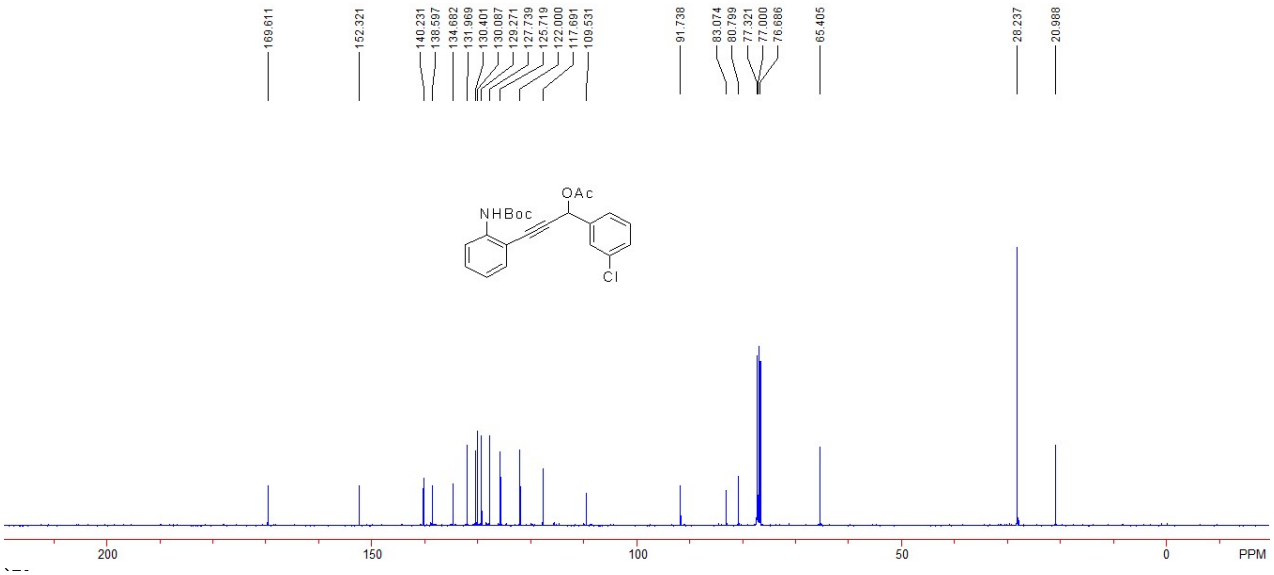


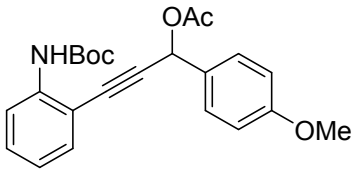




3-(2-((*tert*-Butoxycarbonyl)amino)phenyl)-1-(3-chlorophenyl)prop-2-yn-1-yl acetate (1b): A yellow oil, 694 mg, 87% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.15 (d, J = 8.0 Hz, 1H), 7.58 (d, J = 2.4 Hz, 1H), 7.49-7.47 (m, 1H), 7.41-7.31 (m, 4H), 7.17 (br, 1H), 6.96 (td, J_1 = 1.2 Hz, J_2 = 7.6 Hz, 1H), 6.64 (s, 1H), 2.16 (s, 3H), 1.53 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.6, 152.3, 140.2, 138.6, 134.7, 132.0, 130.4, 130.1, 129.3, 127.7, 125.7, 122.0, 117.7, 109.5, 91.7, 83.1, 80.8, 65.4, 28.2, 21.0. IR (CH_2Cl_2) ν 3407, 2978, 1731, 1598, 1578, 1515, 1476, 1447, 1367, 1304, 1218, 1192, 1151, 1116, 1043, 1016, 959, 875, 831, 755, 732, 689 cm^{-1}. HRMS (ESI) Calcd. for $C_{22}H_{22}NNaO_4Cl^{+1}$ $(M+Na)^+$ requires: 422.1130, Found: 422.1126.

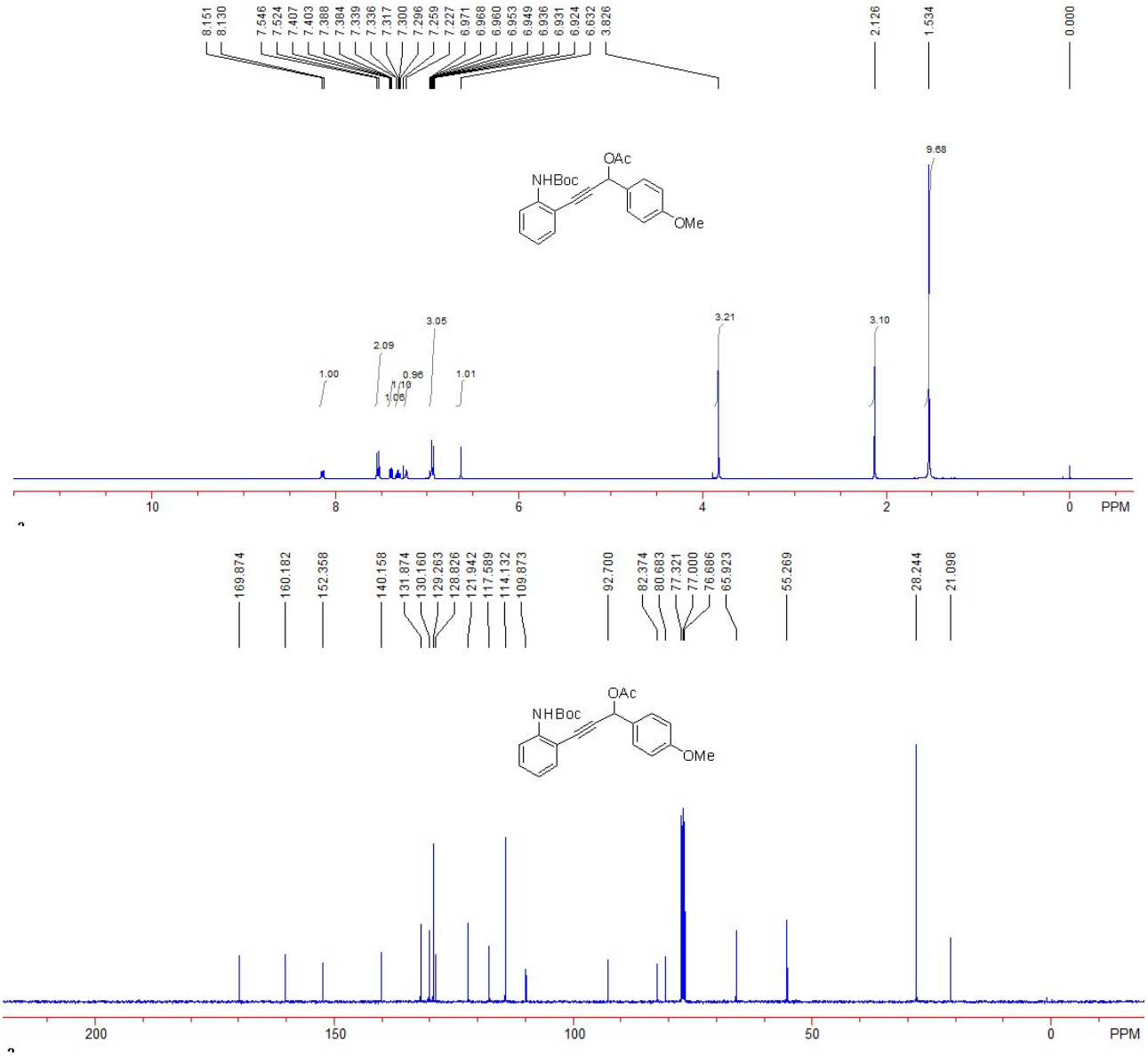


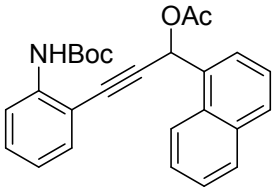




3-(2-((*tert*-Butoxycarbonyl)amino)phenyl)-1-(4-methoxyphenyl)prop-2-yn-1-yl acetate (1c): A yellow oil, 719 mg, 91% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.14 (d, J = 7.6 Hz, 1H), 7.53 (d, J = 8.8 Hz, 2H), 7.40 (dd, J_1 = 1.6 Hz, J_2 = 7.6 Hz, 1H), 7.32 (td, J_1 = 1.6 Hz, J_2 = 7.6 Hz, 1H), 7.23 (br, 1H), 6.97-6.92 (m, 3H), 6.63 (s, 1H), 3.83 (s, 3H), 2.13 (s, 3H), 1.53 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.9, 160.2, 152.4, 140.2, 131.9, 130.2, 129.3, 128.9, 121.9, 117.6, 114.1, 109.9, 92.7, 82.4, 80.7, 65.9, 55.3, 28.2, 21.1. IR (CH_2Cl_2) ν 3403, 2976, 1731, 1611, 1579, 1514, 1447, 1367, 1305, 1221, 1153, 1012, 943, 900, 828, 801, 755, 735, 703 cm^{-1}. HRMS (ESI) Calcd.

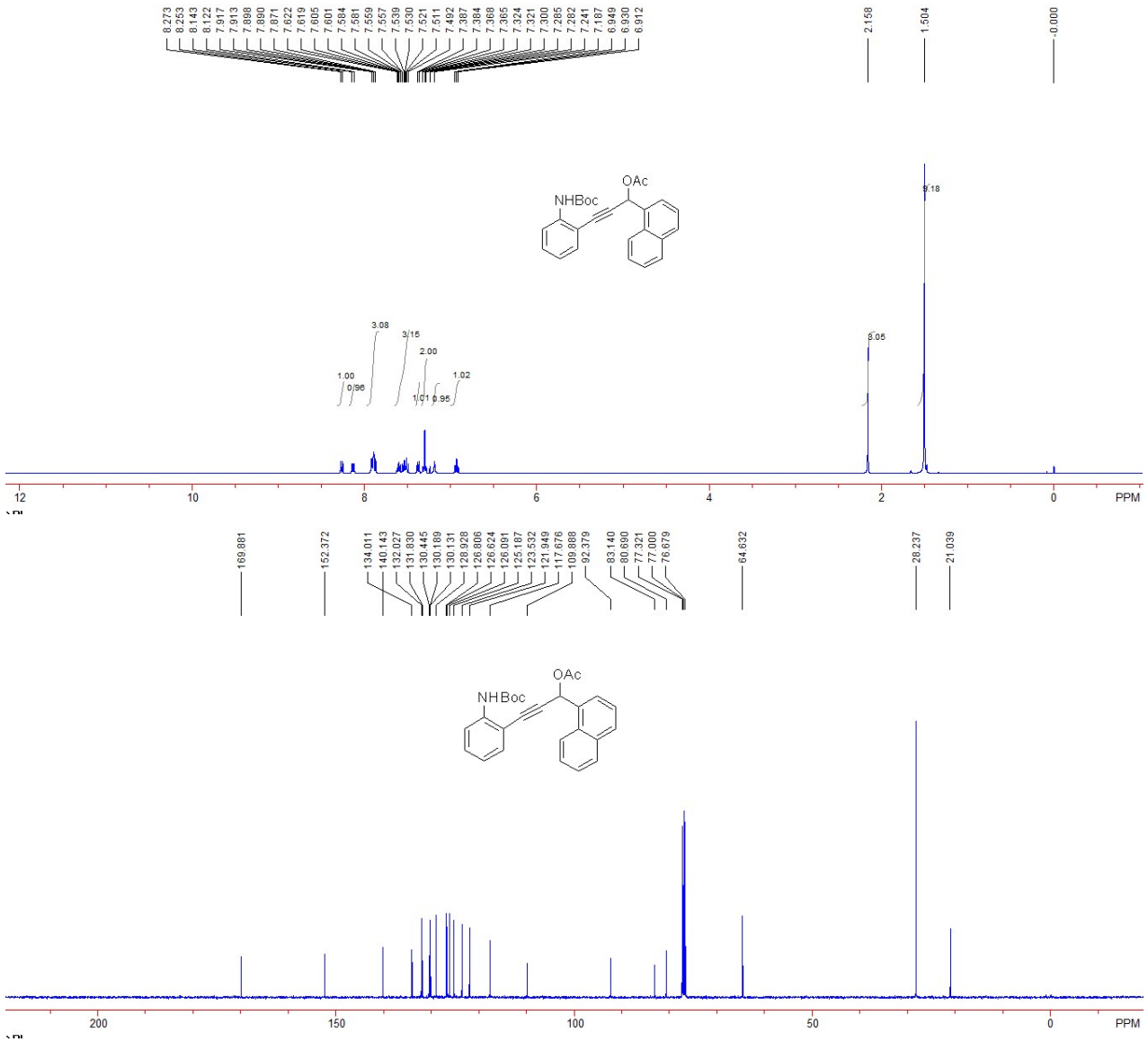
for $C_{23}H_{25}NNaO_5^{+1}$ $(M+Na)^+$ requires: 418.1625, Found: 418.1623.

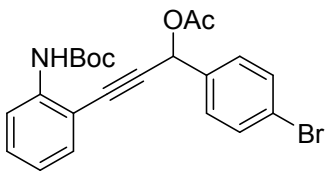




3-(2-((*tert*-Butoxycarbonyl)amino)phenyl)-1-(naphthalen-1-yl)prop-2-yn-1-yl acetate (1d): A yellow solid, 689 mg, 83% yield, m. p. 58-60 ^oC ^1H NMR (400 MHz, $CDCl_3$, TMS) δ 8.26 (d, J = 8.0 Hz, 1H), 8.13 (d, J = 8.4 Hz, 1H), 7.92-7.87 (m, 3H), 7.62-7.49 (m, 3H), 7.38 (dd, J_1 = 1.2 Hz, J_2 = 7.6 Hz, 1H), 7.32-7.28 (m, 2H), 7.19 (br, 1H), 6.93 (t, J = 7.6 Hz, 1H), 2.16 (s, 3H), 1.50 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.9, 152.4, 140.1, 134.0, 132.0, 131.8, 130.4, 130.2, 130.1,

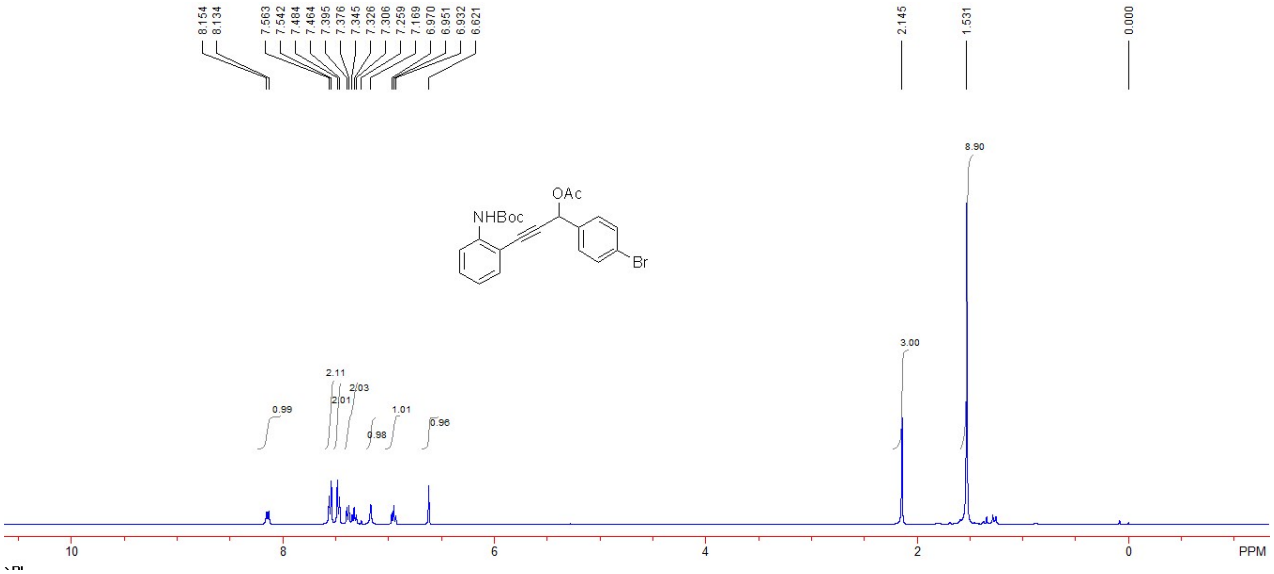
129.0, 126.9, 126.6, 126.1, 125.2, 123.5, 121.9, 117.7, 109.9, 92.4, 83.1, 80.7, 64.6, 28.2, 21.0. IR (CH_2Cl_2) ν 3403, 2978, 1729, 1578, 1514, 1446, 1392, 1367, 1304, 1218, 1150, 1116, 1045, 1010, 945, 898, 858, 832, 775, 754 cm^{-1}. HRMS (ESI) Calcd. for $C_{26}H_{25}NNaO_4^{+1}$ $(M+Na)^+$ requires: 438.1676, Found: 438.1672.

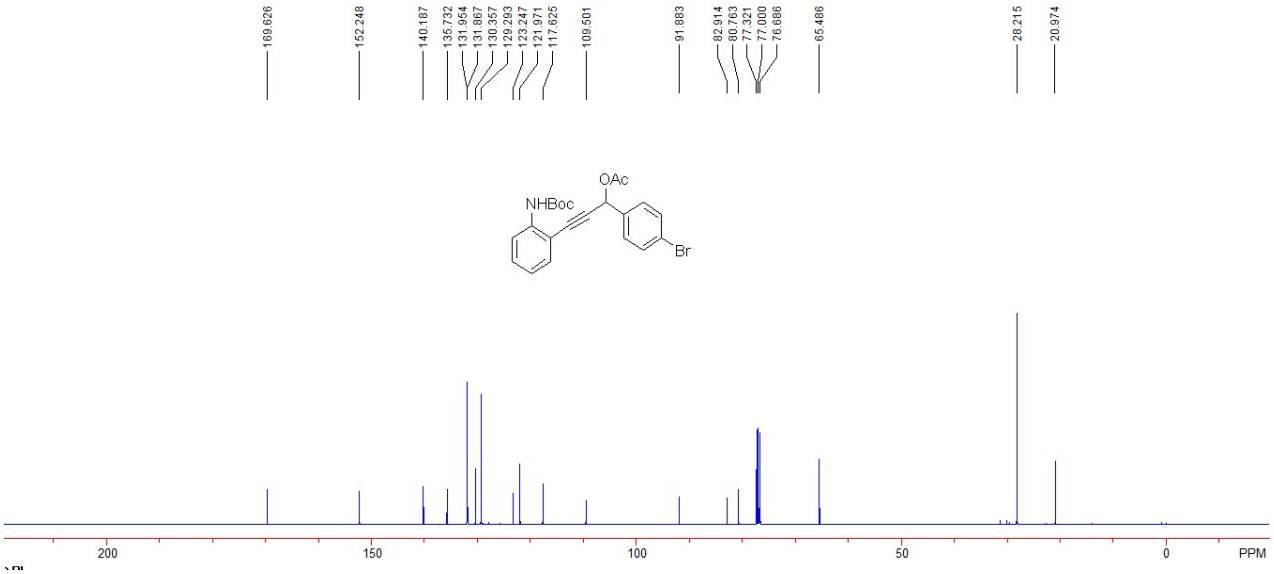


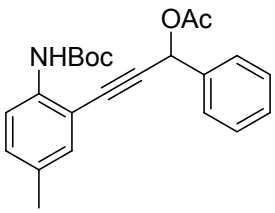


3-(2-((*tert*-Butoxycarbonyl)amino)phenyl)-1-(4-bromophenyl)prop-2-yn-1-yl acetate (1e): A yellow oil, 666 mg, 75% yield. ^1H NMR (400 MHz, $CDCl_3$, TMS) δ 8.14 (d, J = 8.0 Hz, 1H), 7.55 (d, J = 7.6 Hz, 2H), 7.47 (d, J = 8.0 Hz, 2H), 7.39 (d, J = 7.6 Hz, 1H), 7.33 (t, J = 7.6 Hz, 1H), 7.17

(br, 1H), 6.95 (t, J = 7.6 Hz, 1H), 6.62 (s, 1H), 2.15 (s, 3H), 1.53 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.6, 152.2, 140.2, 135.7, 132.0, 131.9, 130.4, 129.3, 123.2, 122.0, 117.6, 109.5, 91.9, 82.9, 80.8, 65.5, 28.2, 21.0. IR (CH_2Cl_2) ν 3406, 2978, 1733, 1578, 1516, 1487, 1448, 1393, 1368, 1305, 1221, 1154, 1071, 1043, 1012, 954, 819, 756, 729 cm^{-1}. HRMS (ESI) Calcd. for $C_{22}H_{22}BrNNaO_4^{+1}$ $(M+Na)^+$ requires: 466.0624, Found: 466.0621.

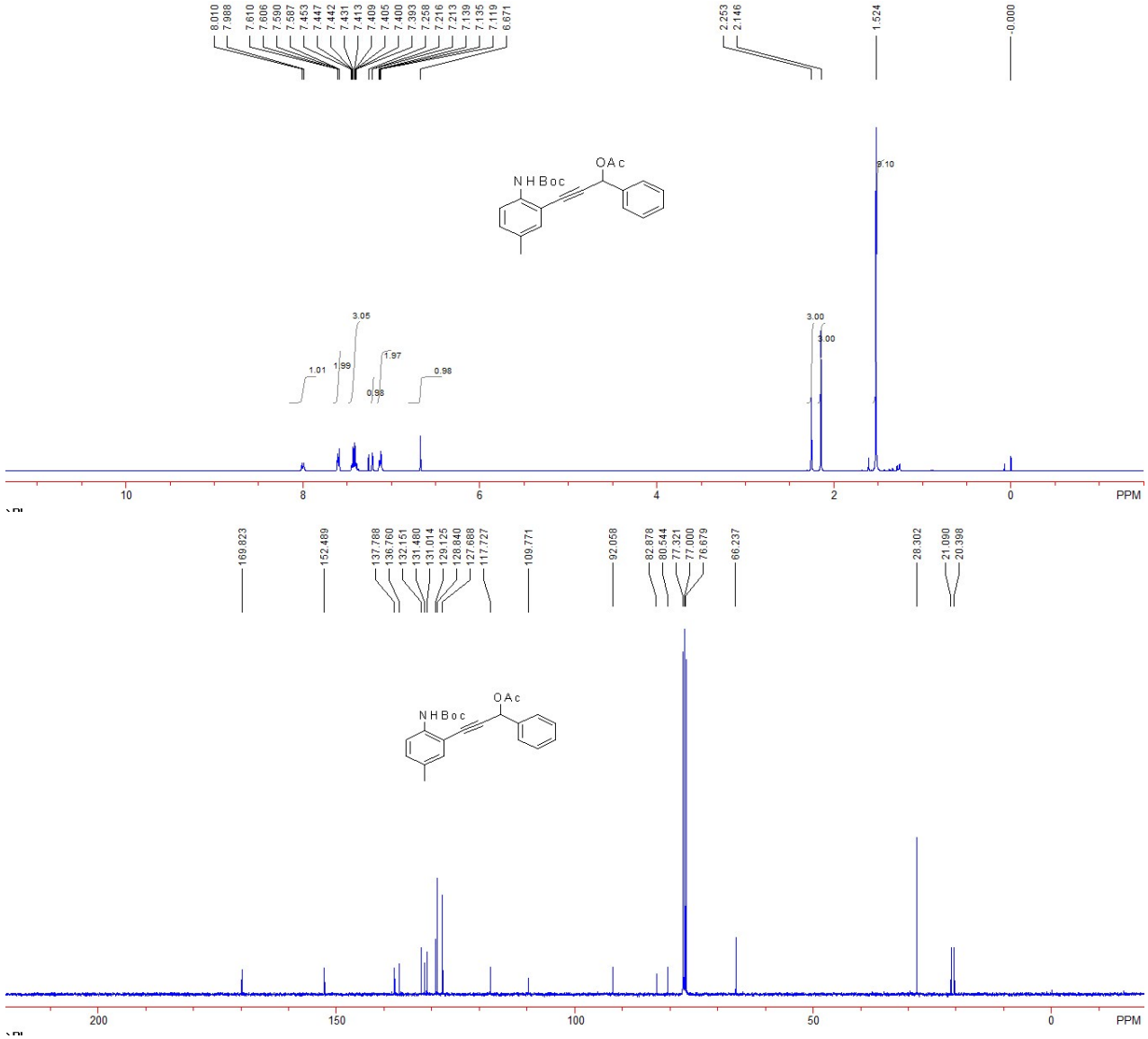


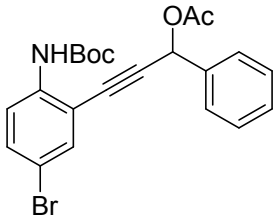




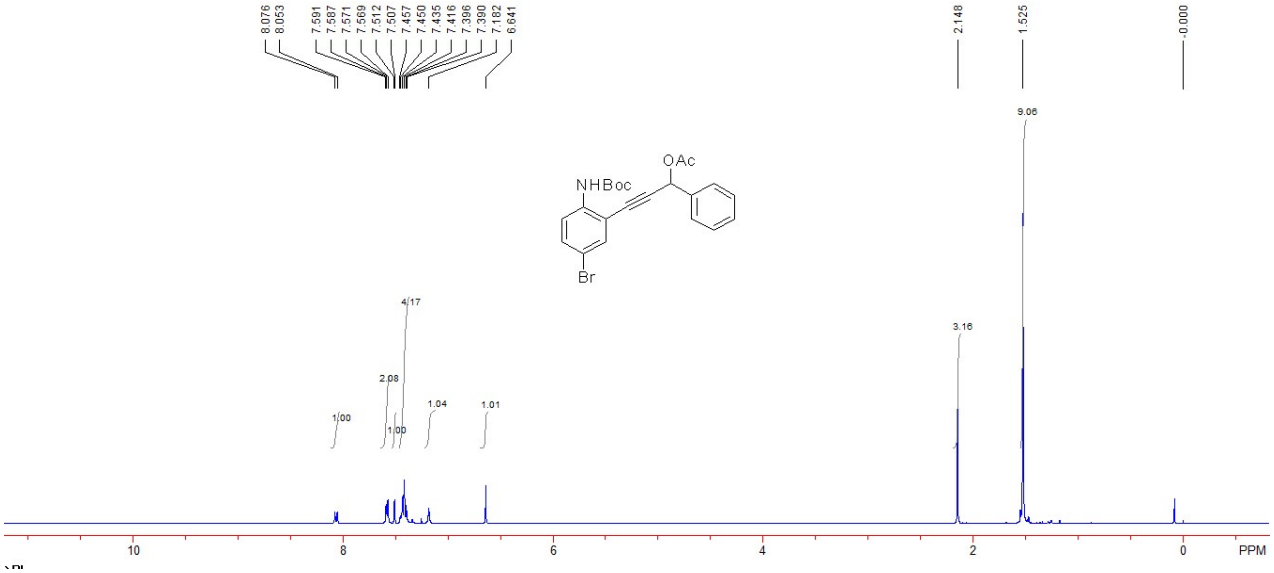
3-(2-((*tert*-Butoxycarbonyl)amino)-5-methylphenyl)-1-phenylprop-2-yn-1-yl acetate (1f): A

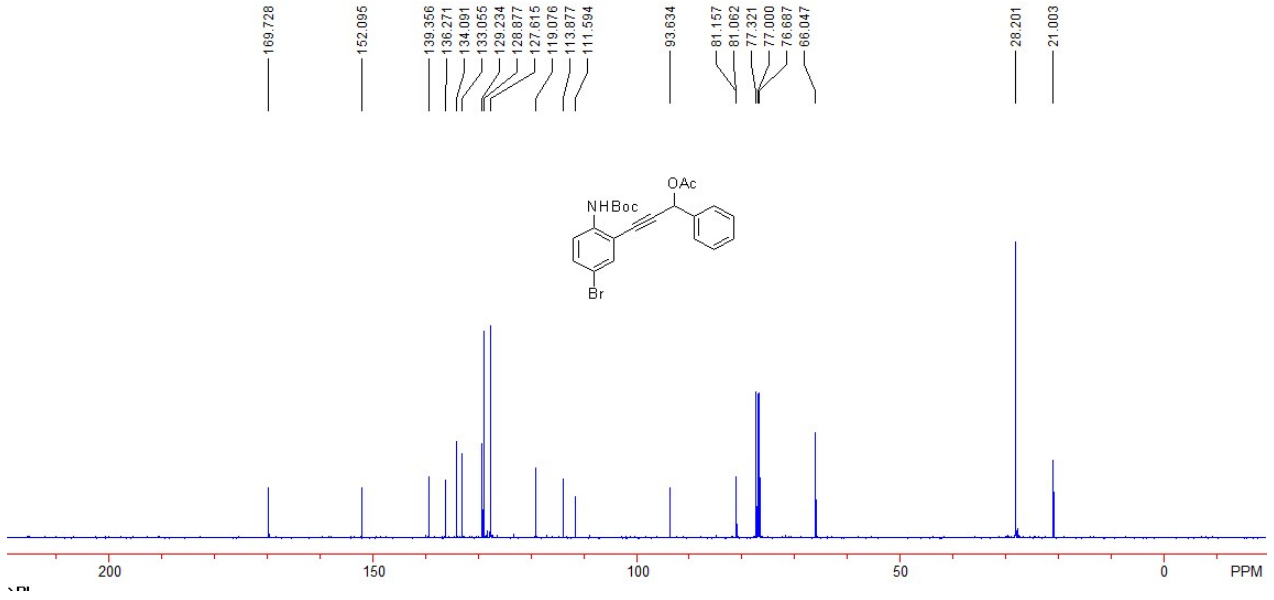
yellow oil, 652 mg, 86% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.00 (d, *J* = 8.8 Hz, 1H), 7.61-7.59 (m, 2H), 7.45-7.37 (m, 3H), 7.21 (d, *J* = 1.2 Hz, 1H), 7.14-7.12 (m, 2H), 6.67 (s, 1H), 2.25 (s, 3H), 2.15 (s, 3H), 1.52 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.8, 152.5, 137.8, 136.8, 132.2, 131.5, 131.0, 129.1, 128.8, 127.7, 117.7, 109.8, 92.1, 82.9, 80.5, 66.2, 28.3, 21.1, 20.4. IR (CH_2Cl_2) ν 3408, 2979, 2928, 1730, 1585, 1516, 1474, 1455, 1367, 1303, 1266, 1240, 1219, 1153, 1050, 1023, 953, 898, 823, 762, 736, 696 cm^{-1}. HRMS (ESI) Calcd. for $C_{23}H_{25}NNaO_4^{+1}$ $(M+Na)^+$ requires: 402.1676, Found: 402.1674.

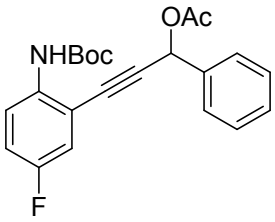




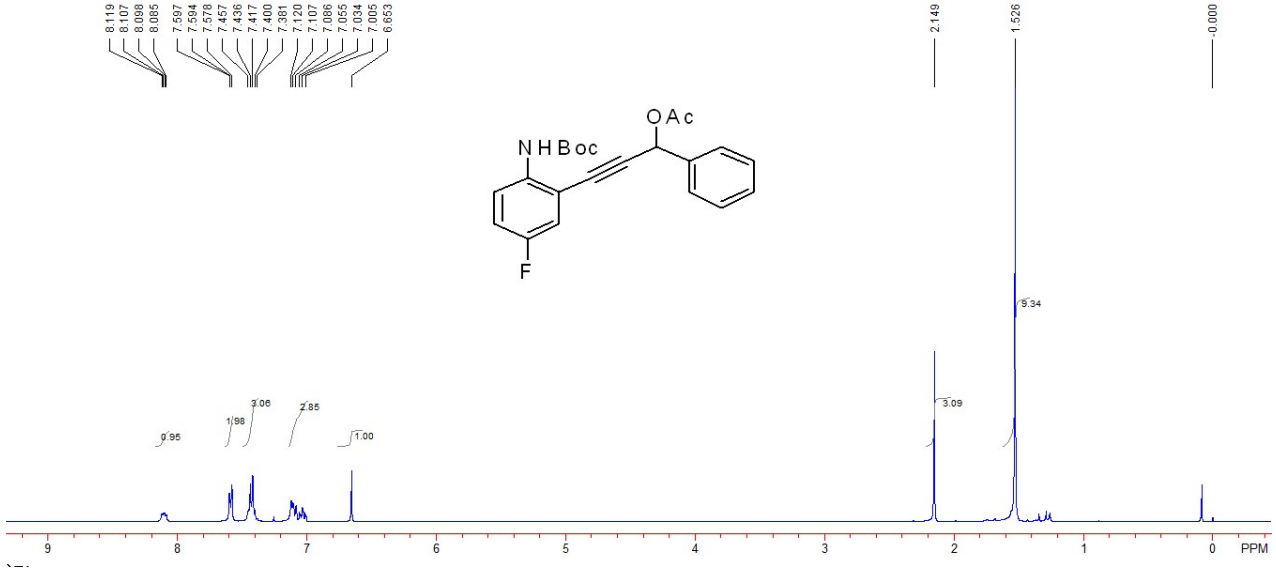
3-(5-Bromo-2-((*tert*-butoxycarbonyl)amino)phenyl)-1-phenylprop-2-yn-1-yl acetate (1g): A yellow oil, 808 mg, 91% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.06 (d, *J* = 9.2 Hz, 1H), 7.59-7.57 (m, 2H), 7.51 (d, *J* = 2.0 Hz, 1H), 7.46-7.39 (m, 4H), 7.18 (br, 1H), 6.64 (s, 1H), 2.15 (s, 3H), 1.53 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.7, 152.1, 139.4, 136.3, 134.1, 133.1, 129.2, 128.9, 127.6, 119.1, 113.9, 111.6, 93.6, 81.2, 81.1, 66.0, 28.2, 21.0. IR (CH_2Cl_2) ν 3406, 2978, 1733, 1571, 1509, 1456, 1400, 1368, 1301, 1221, 1152, 1082, 1049, 1019, 954, 898, 823, 801, 759, 731, 697 cm^{-1}. HRMS (ESI) Calcd. for $C_{22}H_{22}BrNNaO_4^{+1}$ $(M+Na)^+$ requires: 466.0624, Found: 466.0622.

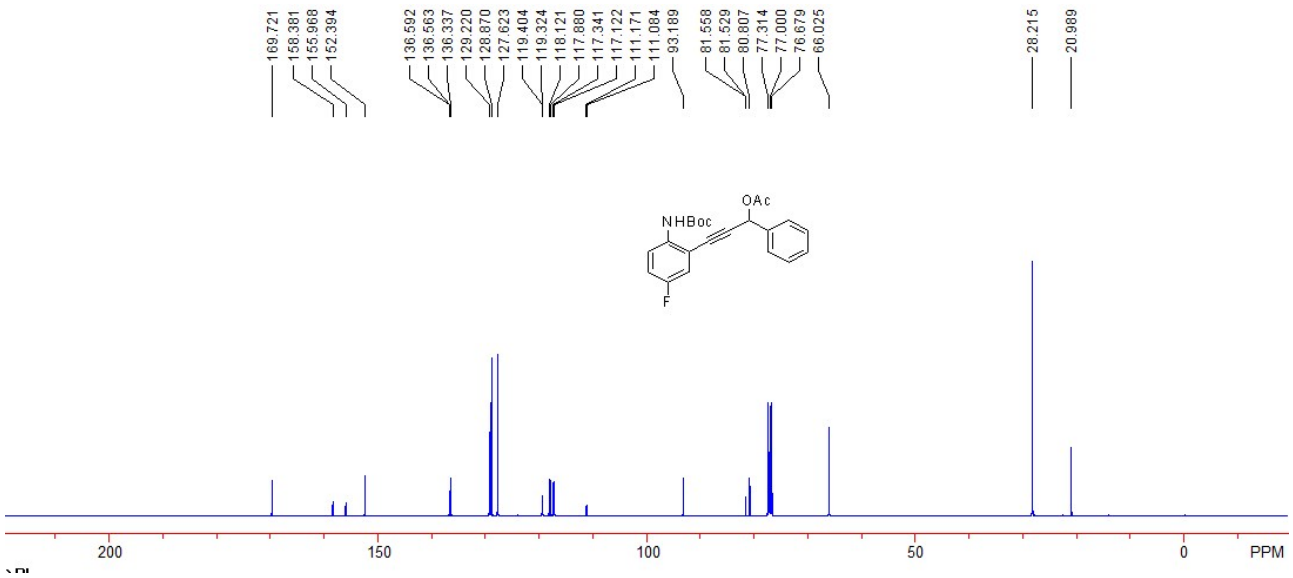


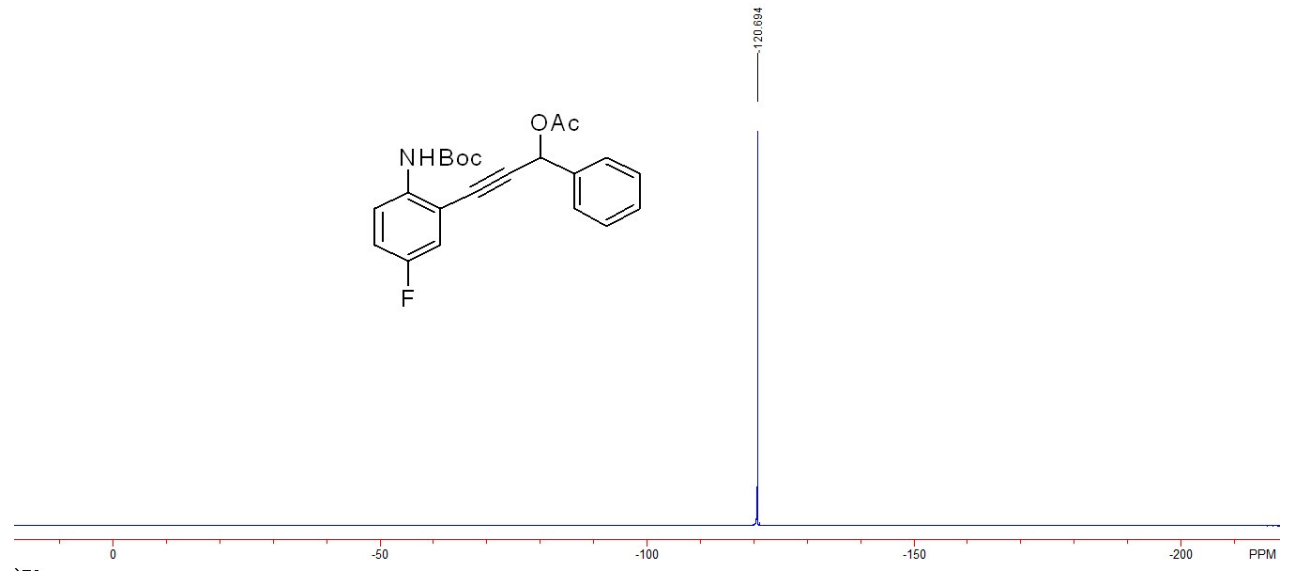


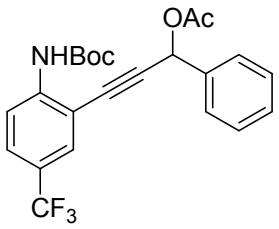


3-(2-((*tert*-Butoxycarbonyl)amino)-5-fluorophenyl)-1-phenylprop-2-yn-1-yl acetate (1h): A yellow oil, 582 mg, 76% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.10 (dd, J_1 = 1.2 Hz, J_2 = 8.8 Hz, 1H), 7.60-7.58 (m, 2H), 7.46-7.38 (m, 3H), 7.12-7.01 (m, 3H), 6.65 (s, 1H), 2.11 (s, 3H), 1.53 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.7, 157.2 (d, J = 241.3 Hz), 152.4, 136.6 (d, J = 2.9 Hz), 136.3, 129.2, 128.9, 119.4 (d, J = 8.0 Hz), 118.0 (d, J = 24.1 Hz), 117.2 (d, J = 21.9 Hz), 111.1 (d, J = 8.7 Hz), 93.2, 81.5 (d, J = 2.9 Hz), 80.8, 66.0, 28.2, 21.0. ^{19}F NMR (376 MHz, $CDCl_3$, $CFCl_3$) δ -120.7. IR (CH_2Cl_2) ν 3412, 2979, 1733, 1519, 1456, 1421, 1393, 1369, 1294, 1224, 1157, 1117, 1050, 1023, 958, 869, 822, 762, 738, 710, 697 cm^{-1}. HRMS (ESI) Calcd. for $C_{22}H_{22}FNNaO_4^{+1}$ $(M+Na)^+$ requires: 406.1425, Found: 406.1421.



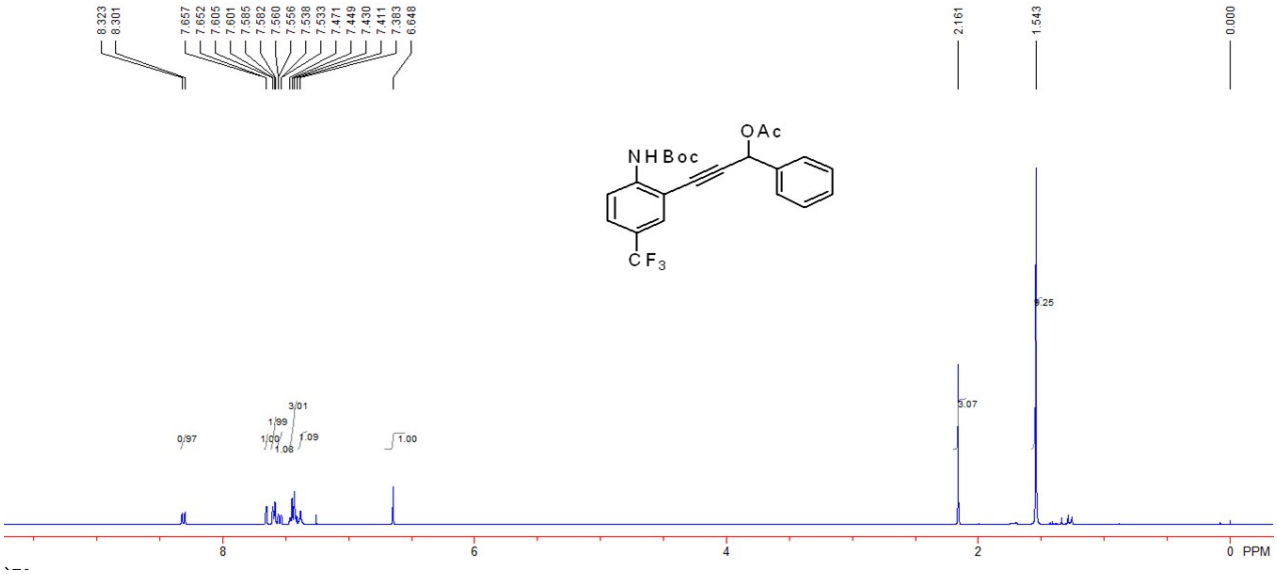


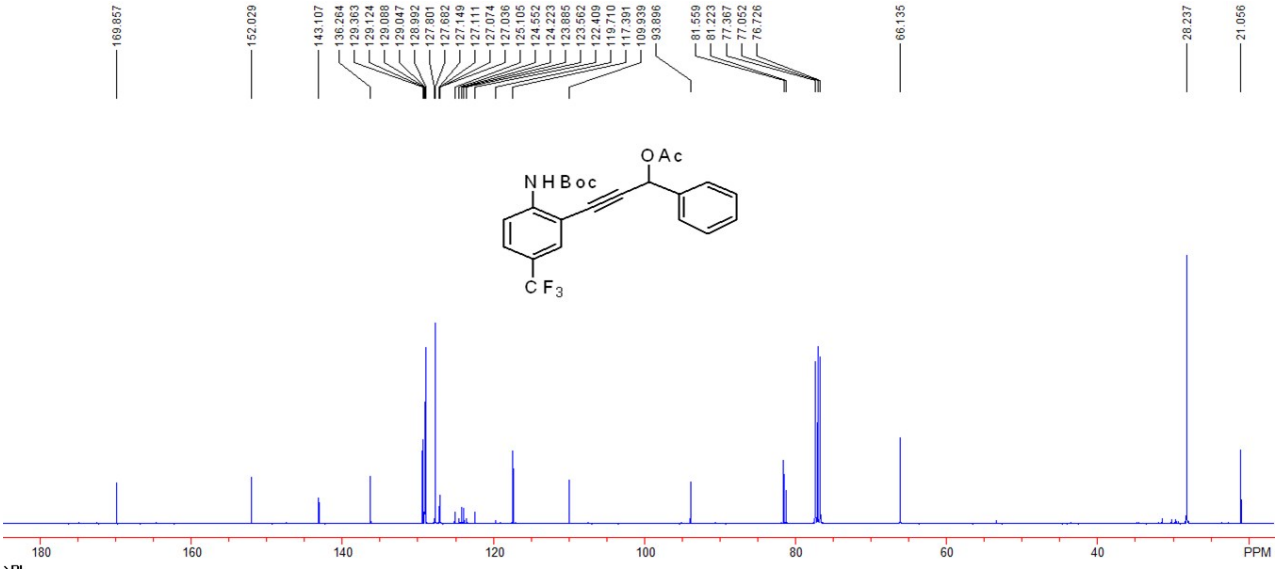


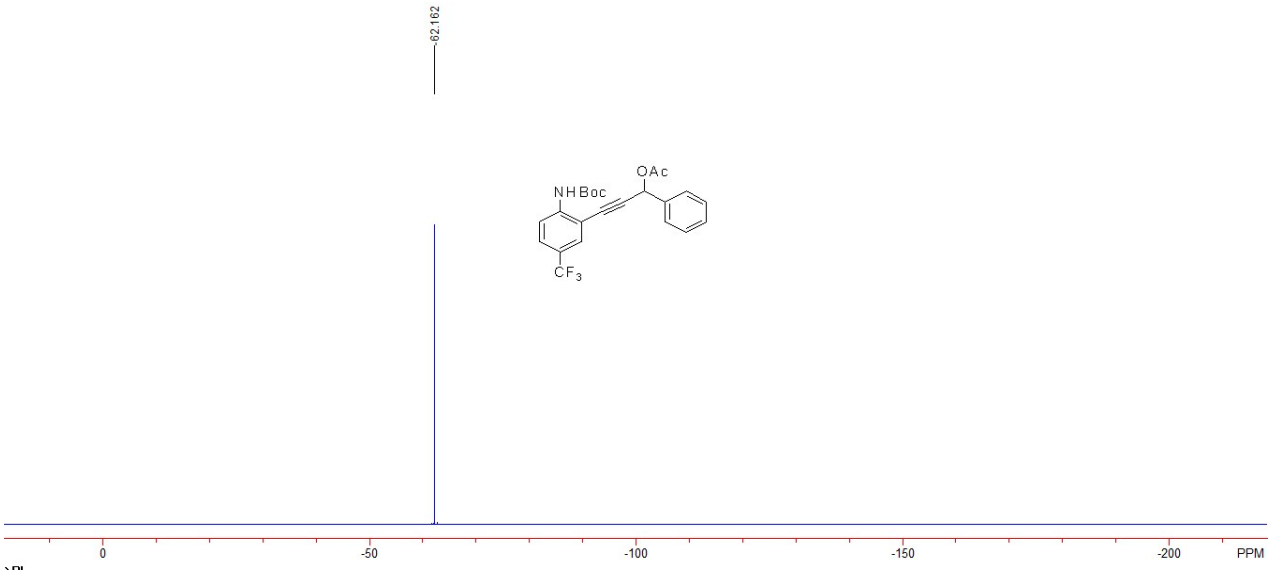


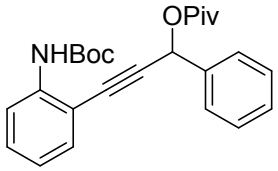
3-(2-((*tert*-Butoxycarbonyl)amino)-5-(trifluoromethyl)phenyl)-1-phenylprop-2-yn-1-yl acetate (1i): A yellow oil, 736 mg, 85% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.31 (d, J = 8.8 Hz, 1H), 7.65 (d, J = 2.0 Hz, 1H), 7.60-7.58 (m, 2H), 7.57 (dd, J_1 = 1.6 Hz, J_2 = 8.8 Hz, 1H), 7.47-7.41 (m, 3H), 7.38 (br, 1H), 6.65 (s, 1H), 2.16 (s, 3H), 1.54 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.9, 152.0, 143.1, 136.3, 129.3, 129.1 (q, J = 3.6 Hz), 127.8, 127.7, 127.1 (q, J = 3.8 Hz), 124.1 (q, J = 32.9 Hz), 123.8 (q, J = 269.6 Hz), 117.4, 110.0, 93.9, 81.6, 81.2, 66.1, 28.2, 21.1. ^{19}F NMR

(376 MHz, $CDCl_3$, $CFCl_3$) δ -62.2. IR (CH_2Cl_2) ν 3402, 2980, 2933, 1739, 1619, 1587, 1527, 1474, 1457, 1425, 1394, 1369, 1334, 1310, 1256, 1224, 1154, 1124, 1078, 1022, 957, 900, 840, 811, 763, 697 cm^{-1}. HRMS (ESI) Calcd. for $C_{23}H_{22}FNNaO_4F_3^{+1}$ $(M+Na)^+$ requires: 456.1393, Found: 456.1391.

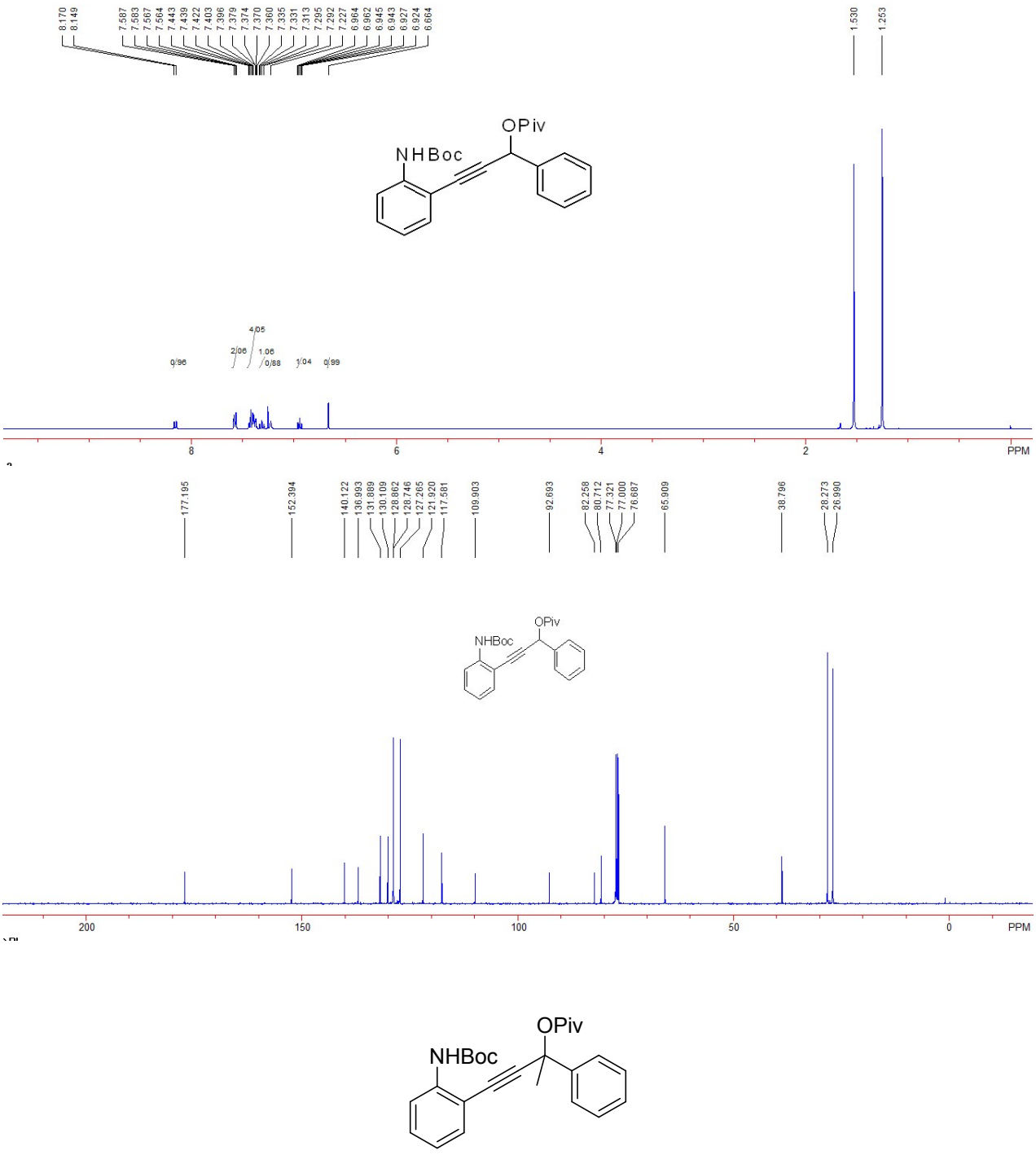




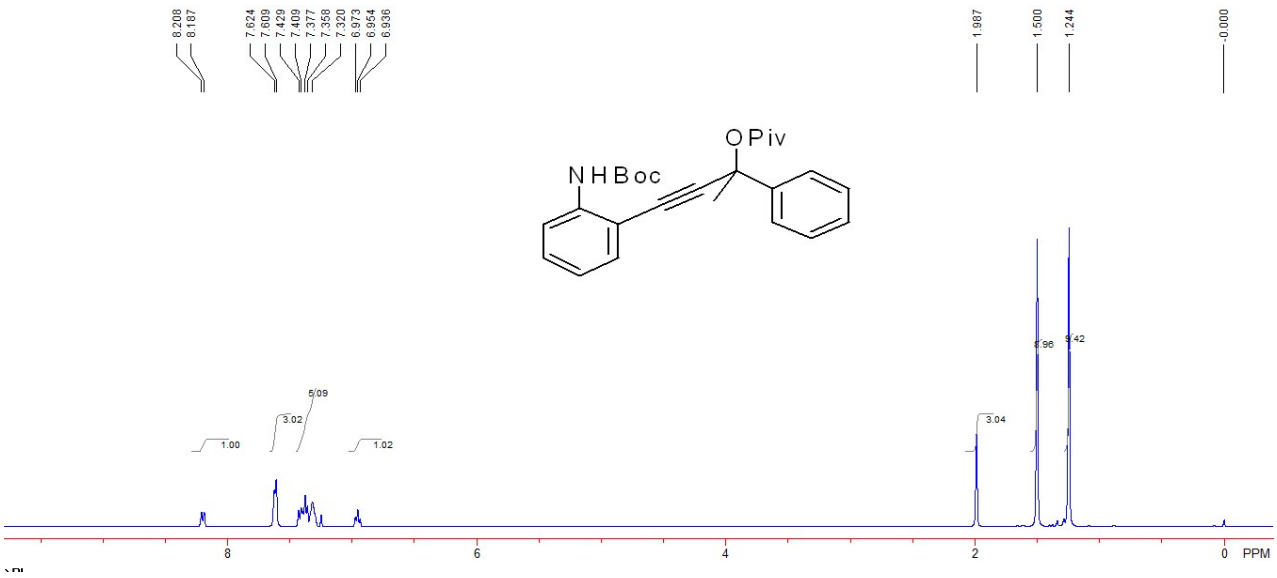


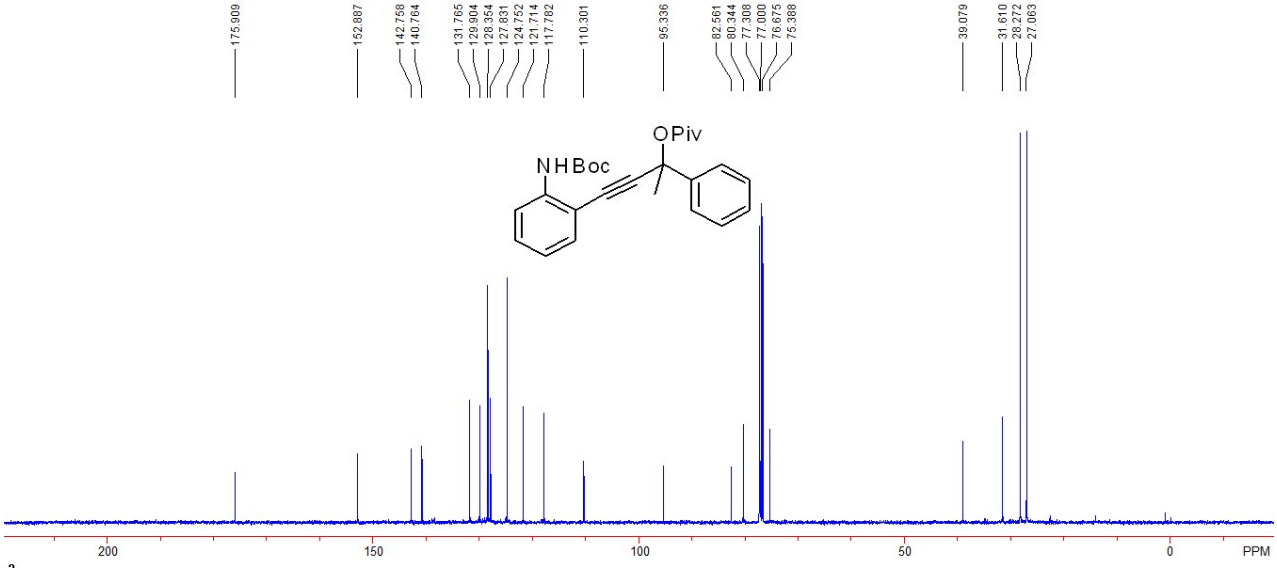


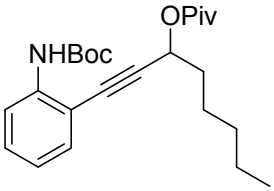
3-(2-((*tert*-Butoxycarbonyl)amino)phenyl)-1-phenylprop-2-yn-1-yl pivalate (1j): A yellow oil, 732 mg, 90% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.16 (d, J = 8.4 Hz, 1H), 7.59-7.56 (m, 2H), 7.44-7.36 (m, 4H), 7.31 (td, J_1 = 1.4 Hz, J_2 = 8.4 Hz, 1H), 7.23 (br, 1H), 6.94 (td, J_1 = 0.8 Hz, J_2 = 7.6 Hz, 1H), 6.66 (s, 1H), 1.53 (s, 9H), 1.25 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.2, 152.4, 140.1, 137.0, 131.9, 130.1, 128.9, 128.7, 127.3, 121.9, 117.6, 110.0, 92.7, 82.3, 80.7, 65.9, 38.8, 28.3, 27.0. IR (CH_2Cl_2) ν 3406, 2977, 1733, 1580, 1517, 1478, 1448, 1393, 1368, 1304, 1280, 1238, 1154, 1043, 1026, 939, 755, 696 cm^{-1}. HRMS (ESI) Calcd. for $C_{25}H_{29}NNaO_4^{+1}$ $(M+Na)^+$ requires: 430.1989, Found: 430.1986.



4-(2-((*tert*-Butoxycarbonyl)amino)phenyl)-2-phenylbut-3-yn-2-yl pivalate (1k): A yellow solid, 160 mg, 38% yield, m. p. 122-124 ^{o}C. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.20 (d, J = 8.4 Hz, 1H), 7.62-7.61 (m, 3H), 7.43-7.32 (m, 5H), 6.95 (d, J = 7.6 Hz, 1H), 1.99 (s, 3H), 1.50 (s, 9H), 1.24 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 175.9, 152.9, 142.8, 140.8, 131.8, 129.9, 128.4, 127.8, 124.8, 121.7, 117.8, 110.3, 95.3, 82.6, 80.3, 75.4, 39.1, 31.6, 28.3, 27.1. IR (EtOH) ν 3340, 2979, 2932, 2869, 2218, 1719, 1583, 1515, 1447, 1367, 1299, 1245, 1143, 1053, 860, 753, 745, 701 cm^{-1}. HRMS (ESI) Calcd. for $C_{26}H_{31}NNaO_4^{+1}$ $(M+Na)^+$ requires 442.2145, Found: 442.2145.

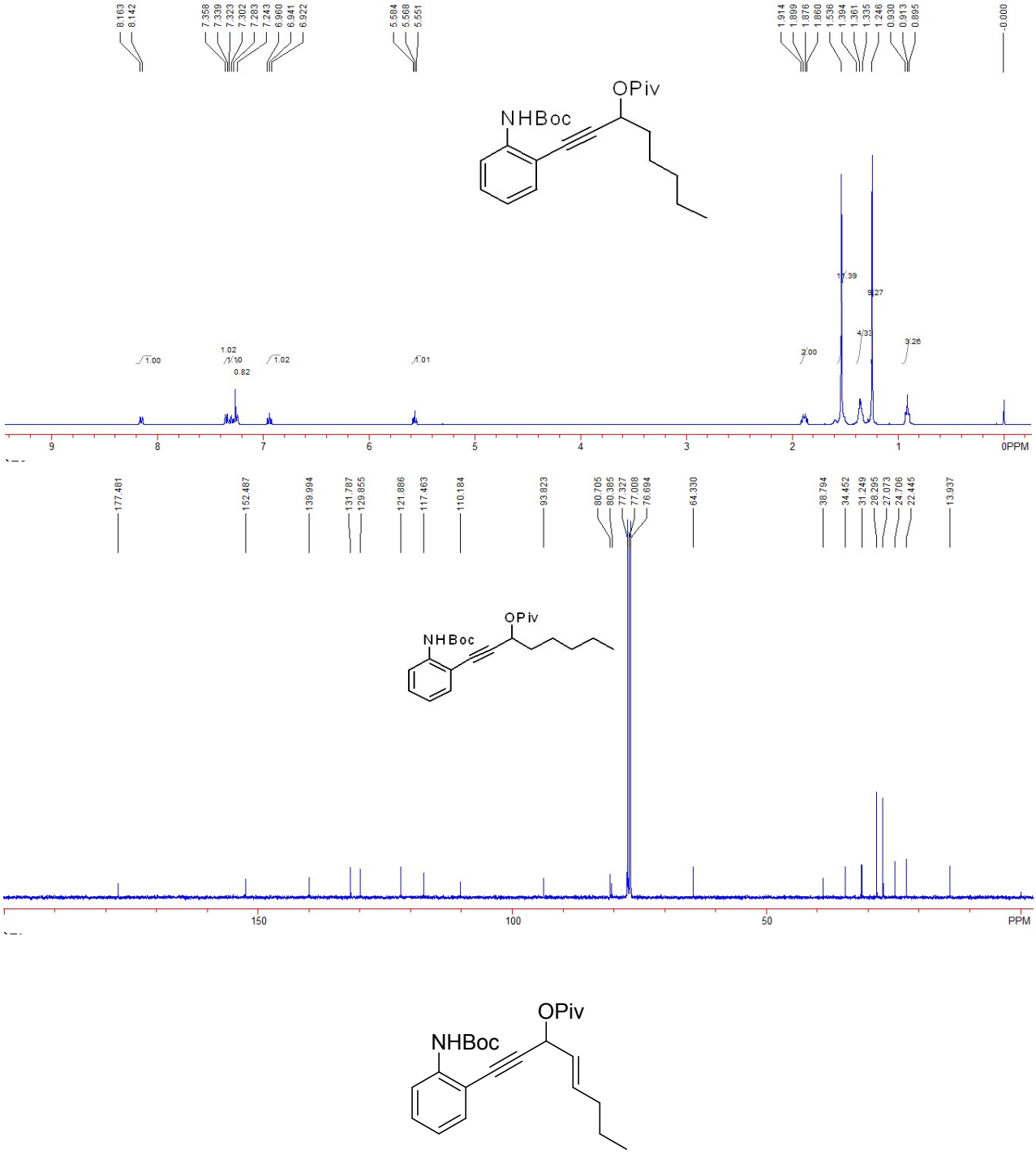






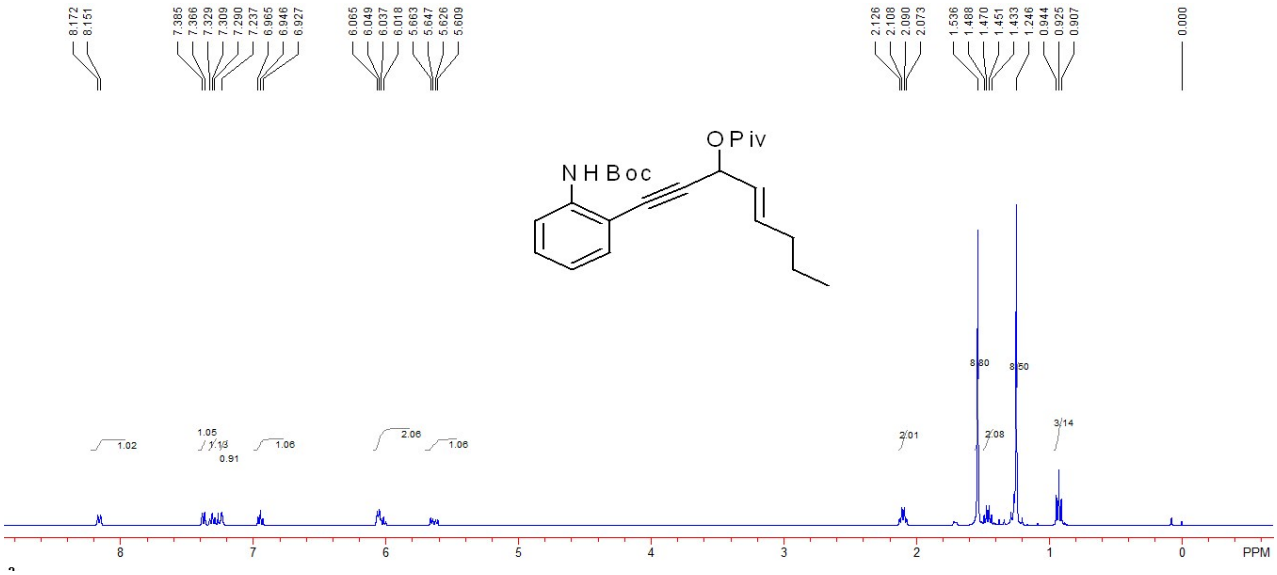
1-(2-((*tert*-Butoxycarbonyl)amino)phenyl)oct-1-yn-3-yl pivalate (1l): A yellow oil, 738 mg, 92% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.15 (d, *J* = 8.4 Hz, 1H), 7.35 (d, *J* = 7.6 Hz, 1H), 7.30 (t, *J* = 8.0 Hz, 1H), 7.24 (br, 1H), 6.94 (t, *J* = 7.6 Hz, 1H), 5.57 (t, *J* = 7.2 Hz, 1H), 1.91-1.86 (m, 2H), 1.54 (m, 11H), 1.40-1.33 (m, 4H), 1.25 (s, 9H), 0.91 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.5, 152.5, 140.0, 131.8, 129.9, 121.9, 117.5, 110.2, 93.8, 80.7, 80.4, 64.3, 38.8, 34.5, 31.2, 28.3, 27.1, 24.7, 22.4, 13.9. IR (EtOH) ν 3408, 2985, 2931, 2871, 2225, 1731, 1579, 1516, 1448, 1367, 1304, 1238, 1148, 1025, 941, 896, 832, 753 cm^{-1}. HRMS (ESI) Calcd. for

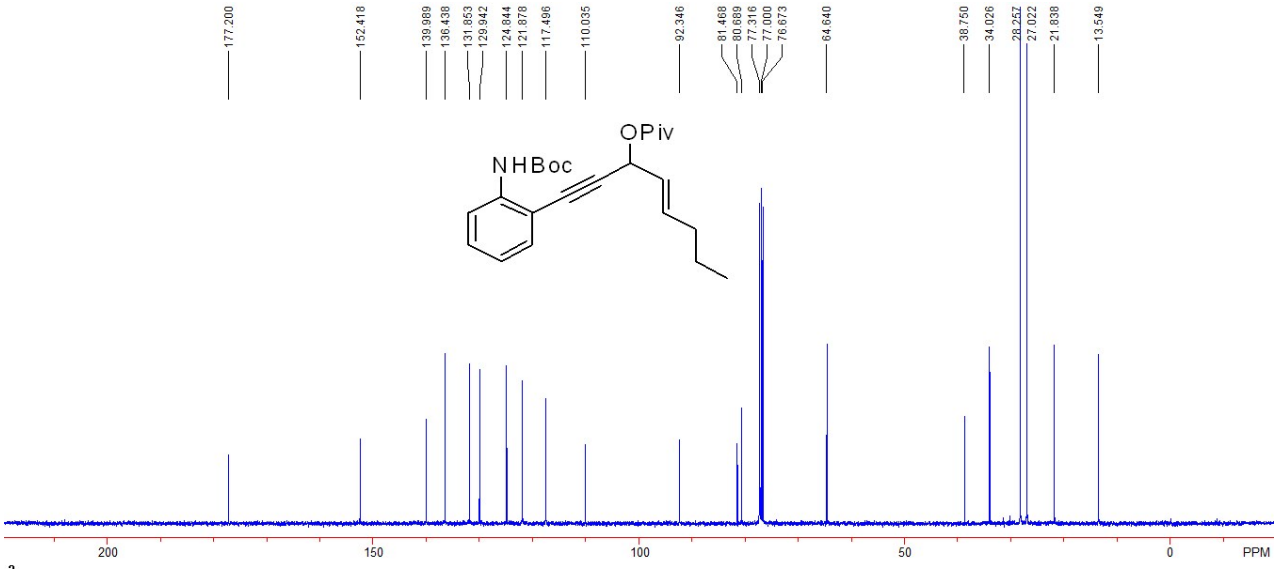
$C_{24}H_{35}NNaO_4^{+1}$ $(M+Na)^+$ requires 424.2458, Found: 424.2460.

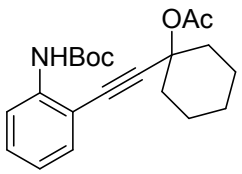


(E)-1-(2-((*tert*-Butoxycarbonyl)amino)phenyl)oct-4-en-1-yn-3-yl pivalate (1m): A yellow oil, 694mg, 87% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.16 (d, J = 8.4 Hz, 1H), 7.38 (d, J = 7.6 Hz, 1H). 7.31 (t, J = 8.0 Hz, 1H), 7.24 (br, 1H), 6.95 (t, J = 7.6 Hz, 1H), 6.07-6.02 (m, 2H), 5.64 (dd, J_1 = 6.4 Hz, J_2 = 14.8 Hz, 1H), 2.10 (q, J = 7.2 Hz, 2H), 1.54 (s, 9H), 1.46 (q, J = 7.2 Hz, 2H), 1.25 (s, 9H), 0.93 (t, J = 7.2 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.2, 152.4, 140.0, 136.4, 131.9, 130.0, 124.8, 121.9, 117.5, 110.0, 92.3, 81.5, 80.7, 64.6, 38.8, 34.0, 28.3, 27.0, 21.8, 13.5. IR

(EtOH) ν 3409, 2966, 2932, 2873, 2225, 1731, 1579, 1515, 1478, 1448, 1367, 1304, 1237, 1140, 1043, 1025, 925, 898, 833, 753 cm^{-1}. HRMS (ESI) Calcd. for $C_{24}H_{33}NNaO_4^{+1}$ $(M+Na)^+$ requires 422.2302, Found: 422.2303.

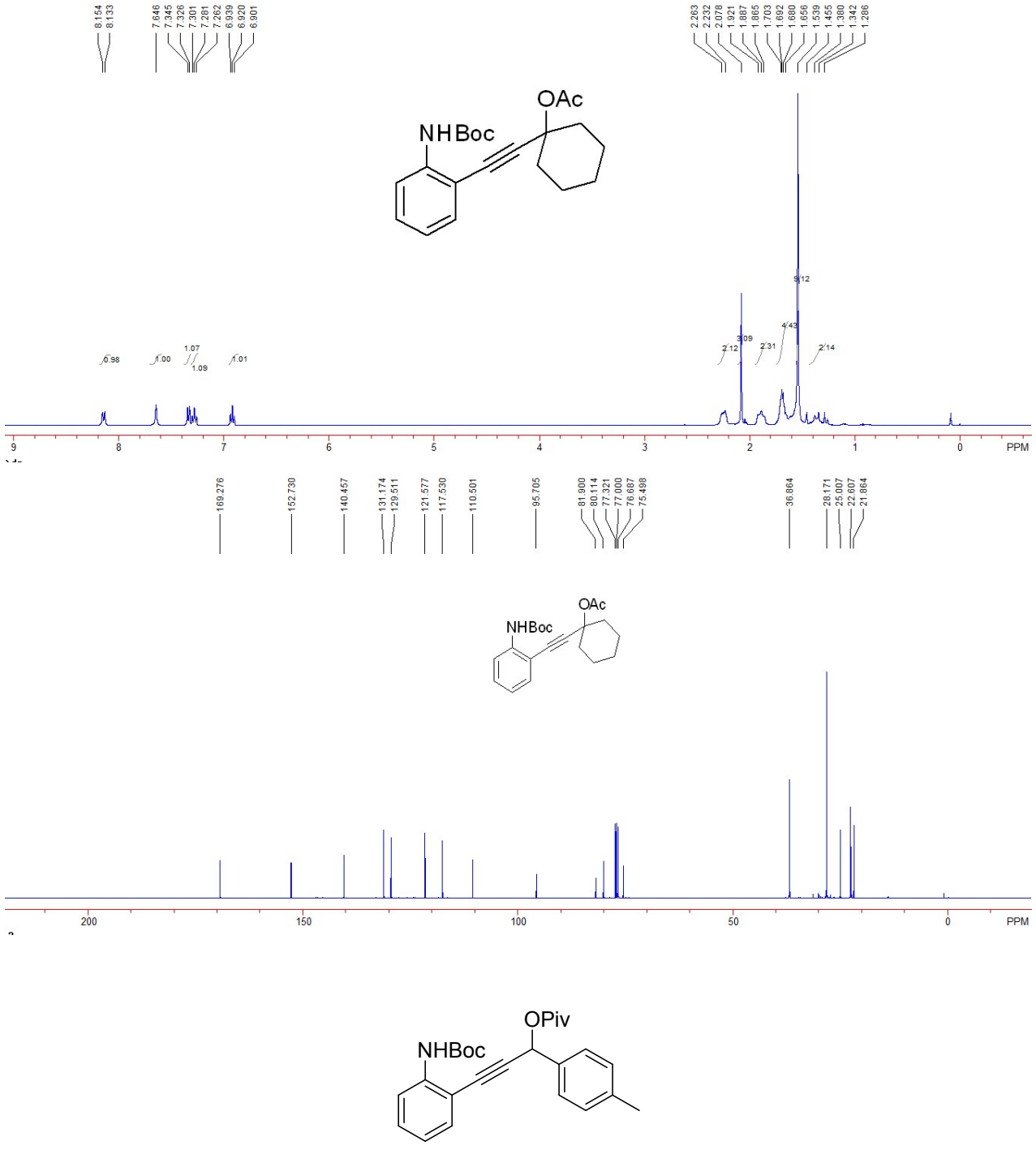






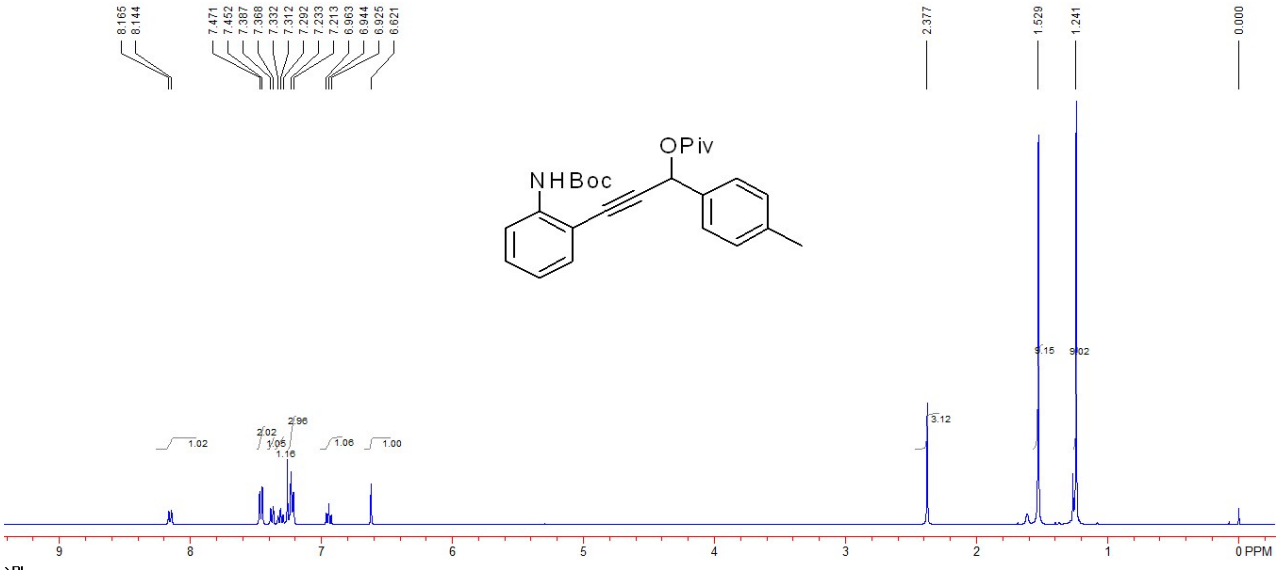
1-((2-((*tert*-Butoxycarbonyl)amino)phenyl)ethynyl)-cyclohexyl acetate (1n): A colorless oil, 456 mg, 64% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.14 (d, J = 8.4 Hz, 1H), 7.65 (br, 1H), 7.34 (d, J = 7.6 Hz, 1H), 7.28 (t, J = 8.0 Hz, 1H), 6.92 (t, J = 7.6 Hz, 1H), 2.26-2.23 (m, 2H), 2.08 (s, 3H), 1.92-1.87 (m, 2H), 1.70-1.66 (m, 4H), 1.54 (s, 9H), 1.46-1.29 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$)

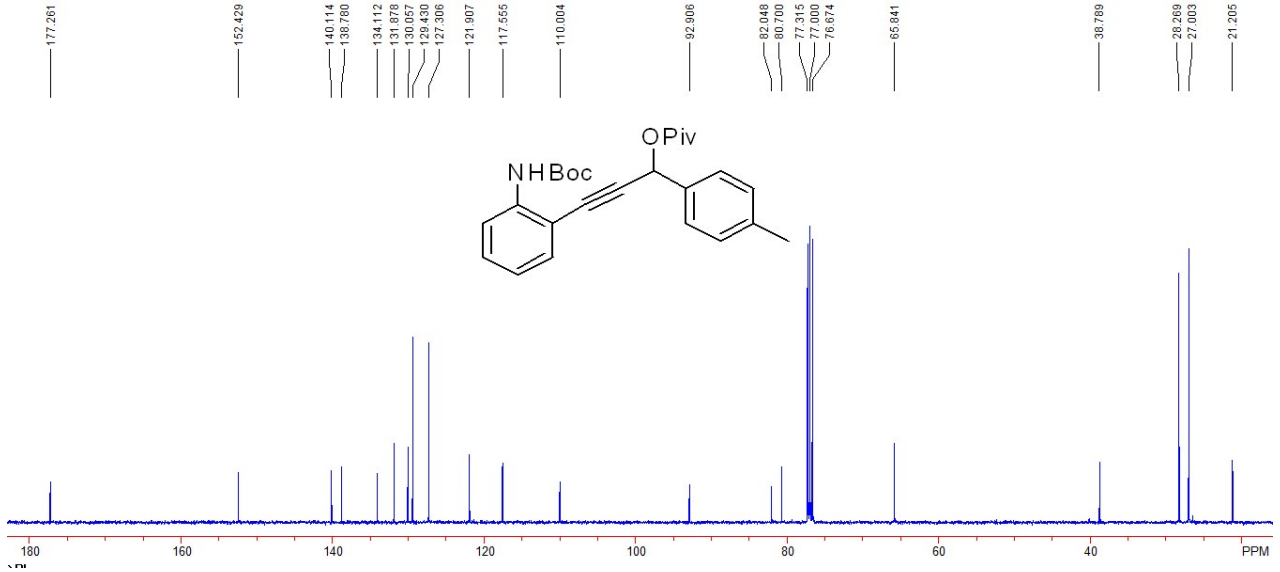
δ 169.3, 152.7, 140.5, 131.2, 129.5, 121.6, 117.5, 110.5, 95.7, 81.9, 80.1, 75.5, 36.9, 28.2, 25.0, 22.6, 21.9. IR (CH_2Cl_2) ν 3350, 2933, 2859, 1768, 1715, 1581, 1519, 1484, 1449, 1392, 1366, 1305, 1234, 1200, 1155, 1128, 1066, 1041, 1022, 978, 909, 754, 736, 702 cm^{-1}. HRMS (ESI) Calcd. for $C_{21}H_{27}NNaO_4^{+1}$ $(M+Na)^+$ requires: 380.1832. Found: 380.1831.

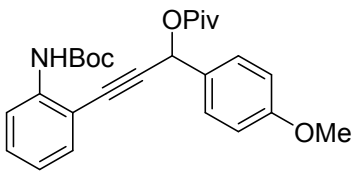


3-(2-((*tert*-Butoxycarbonyl)amino)phenyl)-1-(p-tolyl)prop-2-yn-1-yl pivalate (1o): A yellow oil, 699 mg, 83% yield. ^1H NMR (400 MHz, $CDCl_3$, TMS) δ 8.15 (d, *J* = 8.4 Hz, 1H), 7.46 (d, *J* = 7.6 Hz, 2H), 7.38 (d, *J* = 7.6 Hz, 1H), 7.31 (t, *J* = 8.0 Hz, 1H), 7.23-7.21 (m, 3H), 6.94 (t, *J* = 7.6 Hz,

1H), 6.62 (s, 1H), 2.38 (s, 3H), 1.53 (s, 9H), 1.24 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.3, 152.4, 140.1, 138.8, 134.1, 131.9, 130.1, 129.4, 127.3, 121.9, 117.6, 110.0, 92.9, 82.0, 80.7, 65.8, 38.8, 28.3, 27.0, 21.2. IR (EtOH) ν 3406, 2977, 2935, 2866, 2228, 1731, 1579, 1515, 1478, 1447, 1367, 1303, 1236, 1135, 1134, 1023, 929, 899, 816, 754, 725 cm^{-1}. HRMS (ESI) Calcd. for $C_{26}H_{31}NNaO_4^{+1}$ $(M+Na)^+$ requires 444.2145, Found: 444.2146.

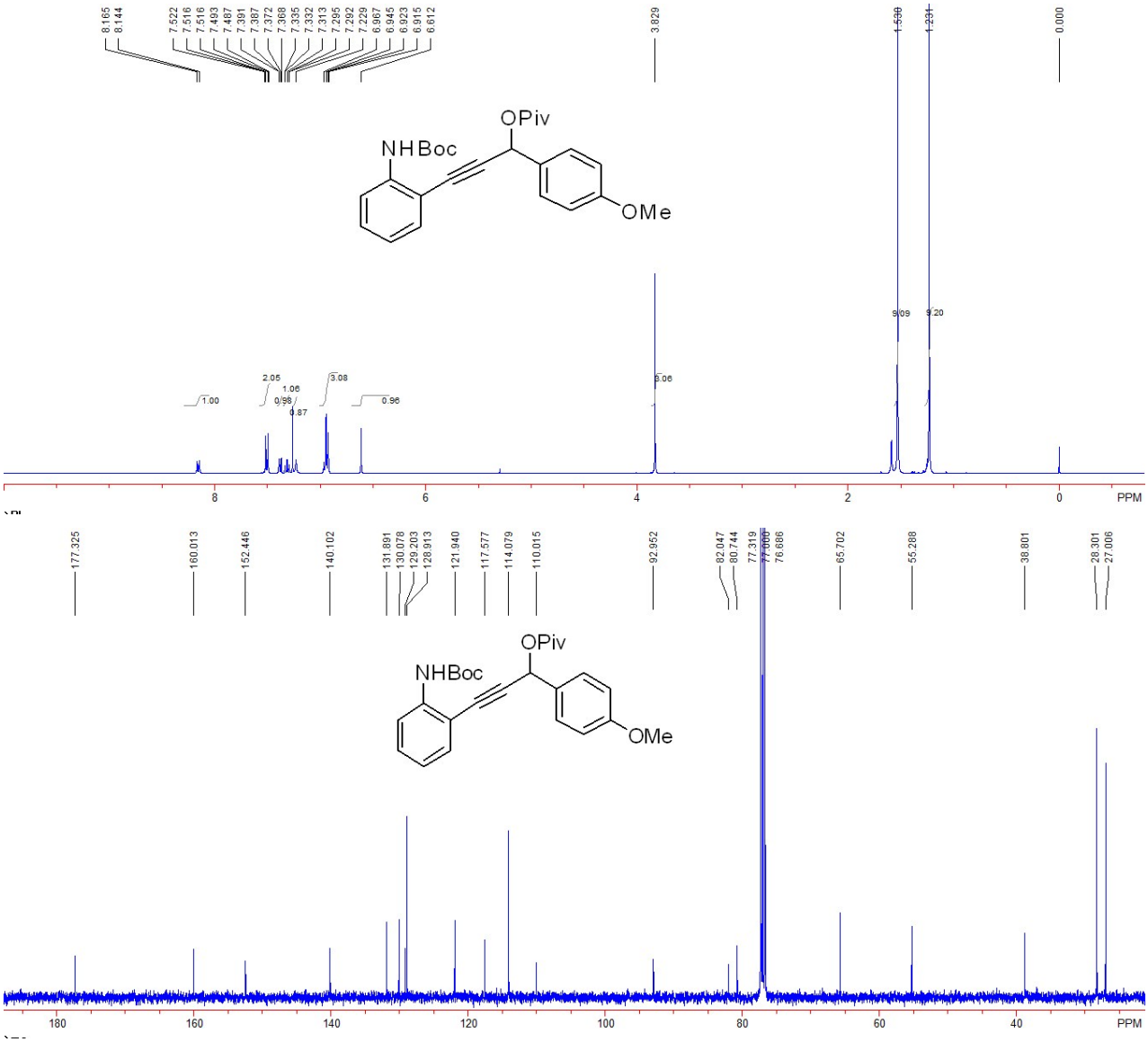


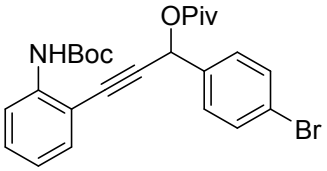




3-(2-((*tert*-Butoxycarbonyl)amino)phenyl)-1-(4-methoxyphenyl)prop-2-yn-1-yl pivalate (1p): A yellow oil, 769 mg, 88% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.15 (d, J = 8.4 Hz, 1H), 7.52-

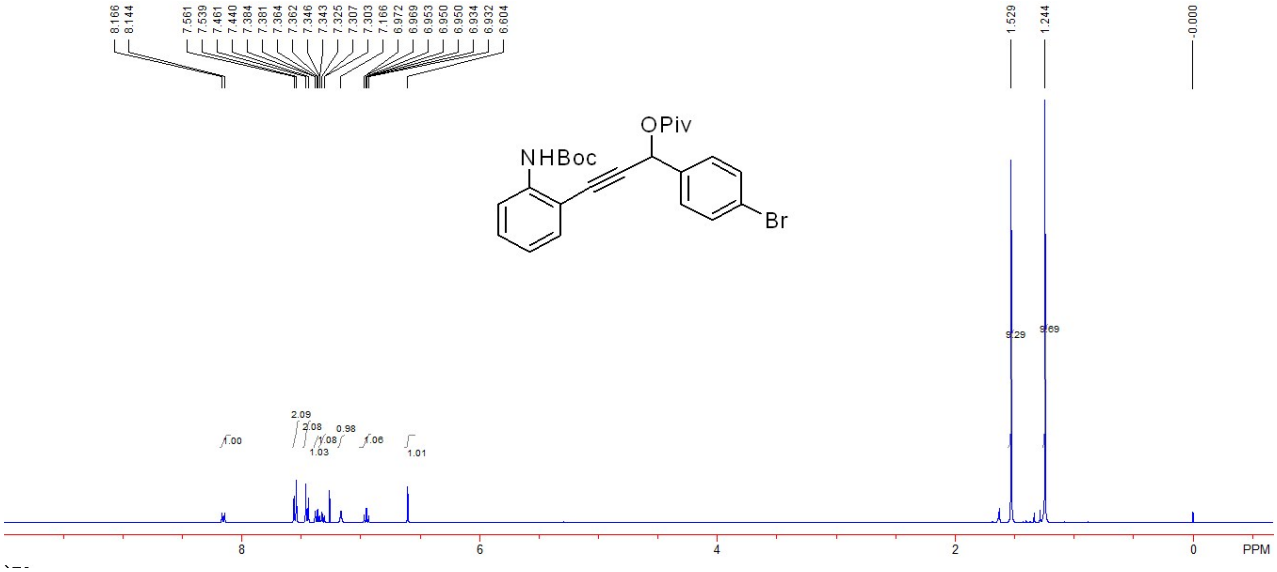
7.49 (m, 2H), 7.38 (dd, J_1 = 1.6 Hz, J_2 = 7.6 Hz, 1H), 7.31 (td, J_1 = 1.2 Hz, J_2 = 8.0 Hz, 1H), 7.23 (br, 1H), 6.97-6.92 (m, 3H), 6.61 (s, 1H), 3.83 (s, 3H), 1.53 (s, 9H), 1.23 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.3, 160.0, 152.4, 140.1, 131.9, 130.1, 129.2, 128.9, 121.9, 117.6, 114.1, 110.0, 93.0, 82.0, 80.7, 65.7, 55.3, 38.8, 28.3, 27.0. IR (EtOH) ν 3406, 2977, 2927, 2873, 2224, 1729, 1579, 1514, 1478, 1367, 1304, 1237, 1136, 1030, 924, 830, 755, 704 cm^{-1}. HRMS (ESI) Calcd. for $C_{26}H_{31}NNaO_5^{+1}$ $(M+Na)^+$ requires 460.2094, Found: 460.2095.

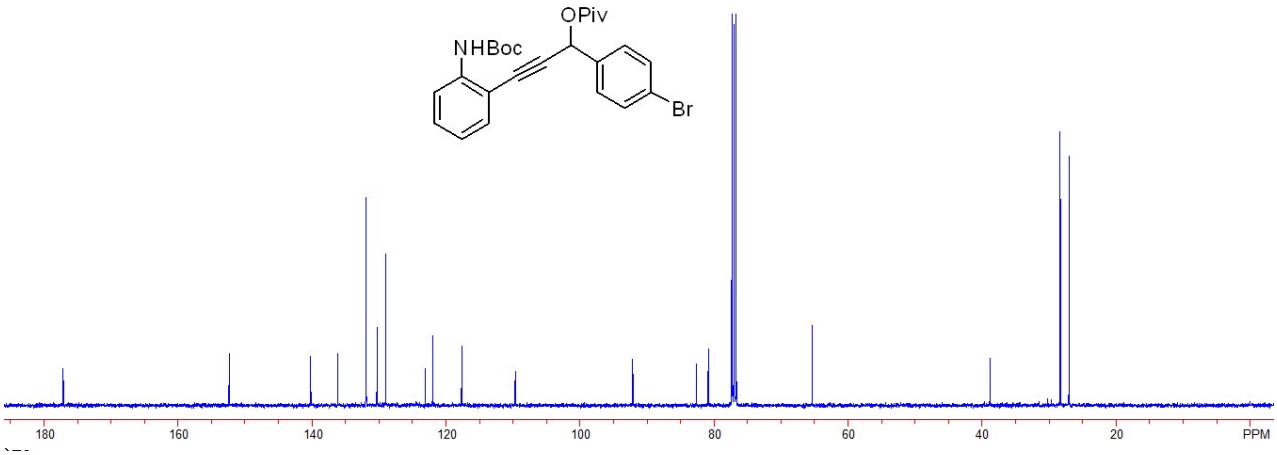


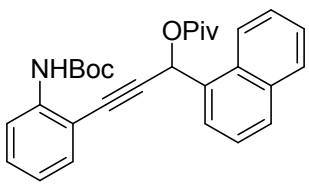


1-(4-Bromophenyl)-3-(2-((*tert*-butoxycarbonyl)amino)phenyl)prop-2-yn-1-yl pivalate (1q): A

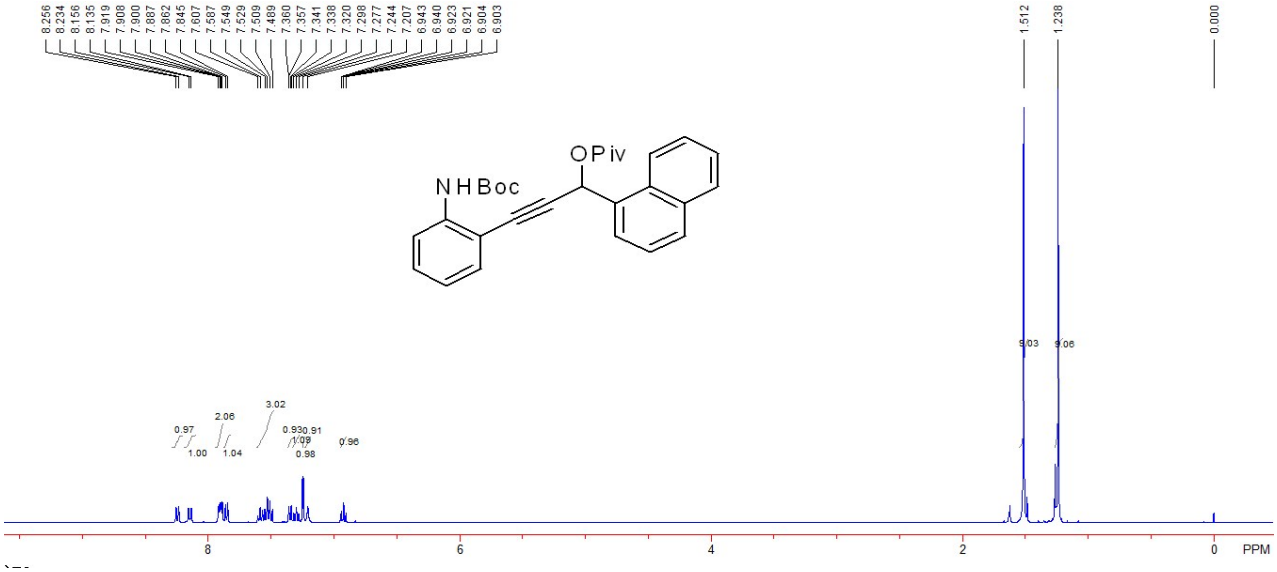
yellow oil, 904 mg, 93% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.16 (d, J = 8.8 Hz, 1H), 7.55 (d, J = 8.8 Hz, 2H), 7.45 (d, J = 8.4 Hz, 2H), 7.37 (dd, J_1 = 1.2 Hz, J_2 = 8.0 Hz, 1H), 7.33 (t, J = 7.2 Hz, 1H), 7.17 (br, 1H), 6.95 (td, J_1 = 1.2 Hz, J_2 = 7.6 Hz, 1H), 6.60 (s, 1H), 1.53 (s, 9H), 1.24 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.1, 152.4, 140.2, 136.2, 132.0, 131.9, 130.3, 129.0, 123.0, 122.0, 117.7, 109.7, 92.1, 82.7, 80.8, 65.3, 38.8, 28.3, 27.0. IR (EtOH) ν 3408, 2976, 2932, 2866, 2227, 1731, 1578, 1515, 1448, 1367, 1304, 1237, 1132, 1043, 1012, 935, 754, 696 cm^{-1}. HRMS (ESI) Calcd. for $C_{25}H_{28}BrNNaO_4^{+1}$ $(M+Na)^+$ requires 508.1094, Found: 508.1093.

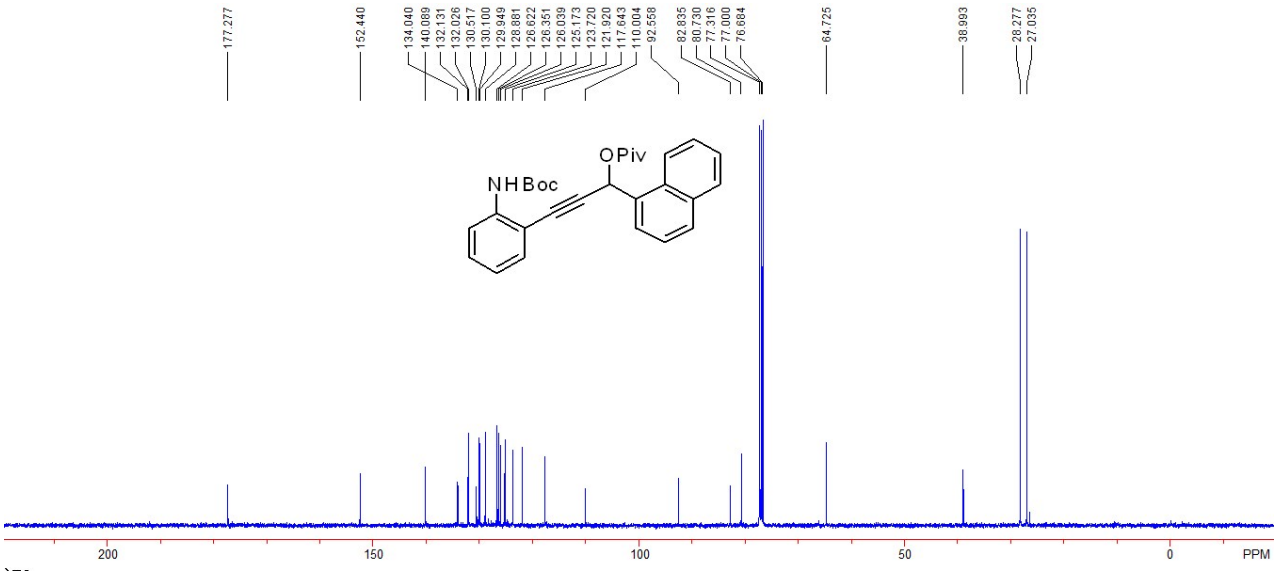


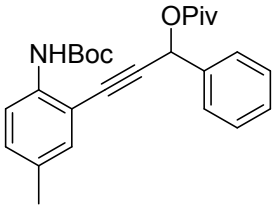




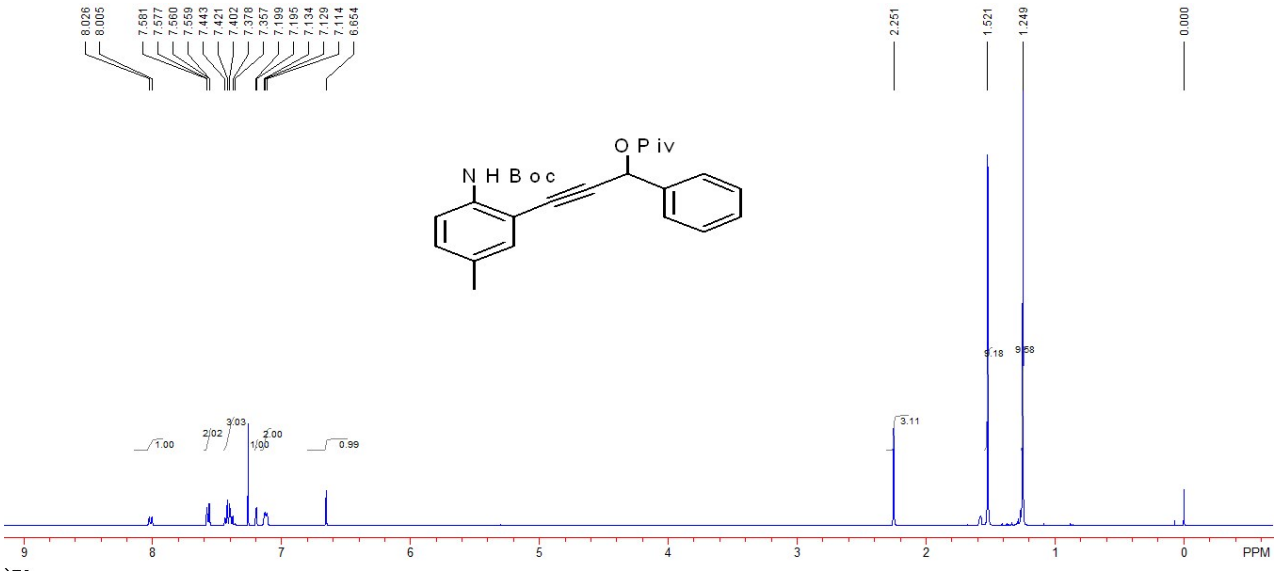
3-(2-((*tert*-Butoxycarbonyl)amino)phenyl)-1-(naphthalen-1-yl)prop-2-yn-1-yl pivalate (1r): A yellow oil, 713 mg, 78% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.25 (d, J = 8.8 Hz, 1H), 8.15 (d, J = 8.4 Hz, 1H), 7.92-7.89 (m, 2H), 7.85 (d, J = 6.8 Hz, 1H), 7.61-7.49 (m, 3H), 7.35 (dd, J_1 = 1.2 Hz, J_2 = 7.6 Hz, 1H), 7.30 (t, J = 8.4 Hz, 1H), 7.24 (s, 1H), 7.21 (br, 1H), 6.92 (td, J_1 = 1.2 Hz, J_2 = 8.0 Hz, 1H), 1.51 (s, 9H), 1.24 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.3, 152.4, 140.1, 134.0, 132.1, 132.0, 130.5, 130.1, 130.0, 128.9, 126.7, 126.3, 126.0, 125.2, 123.7, 122.0, 117.6, 110.0, 92.6, 82.9, 80.7, 64.7, 39.0, 28.3, 27.0. IR (EtOH) ν 3407, 2976, 2931, 2862, 2225, 1729, 1579, 1514, 1478, 1367, 1304, 1237, 1133, 1024, 933, 832, 754 cm^{-1}. HRMS (ESI) Calcd. for $C_{29}H_{31}NNaO_4^{+1}$ $(M+Na)^+$ requires 480.2145, Found: 480.2145.

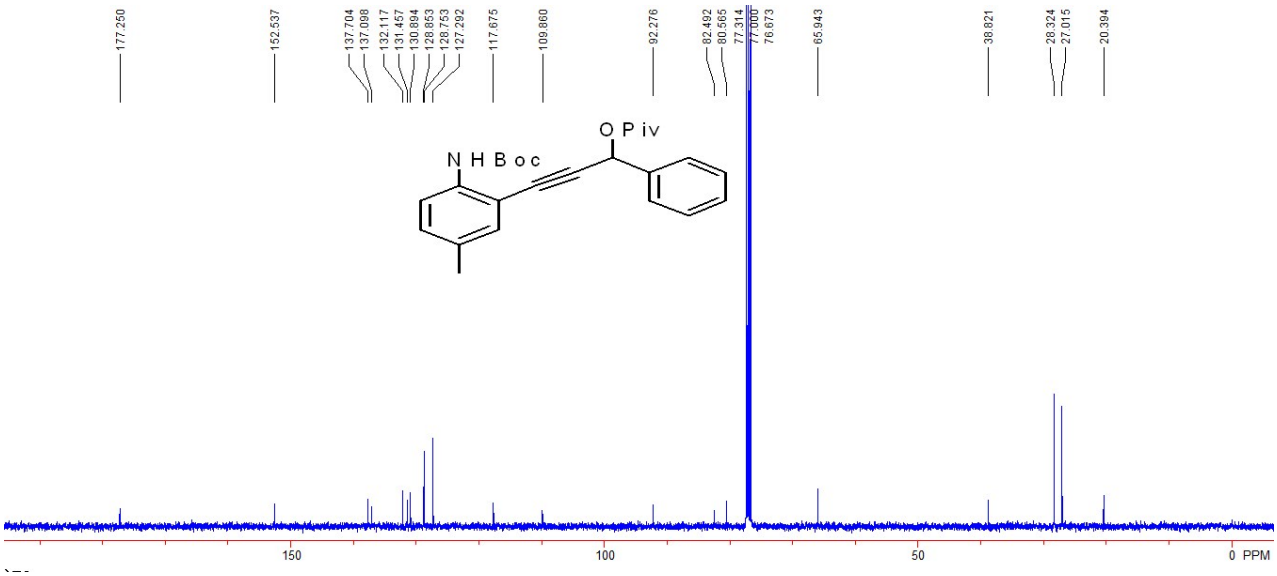


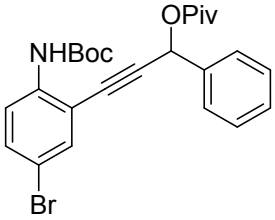




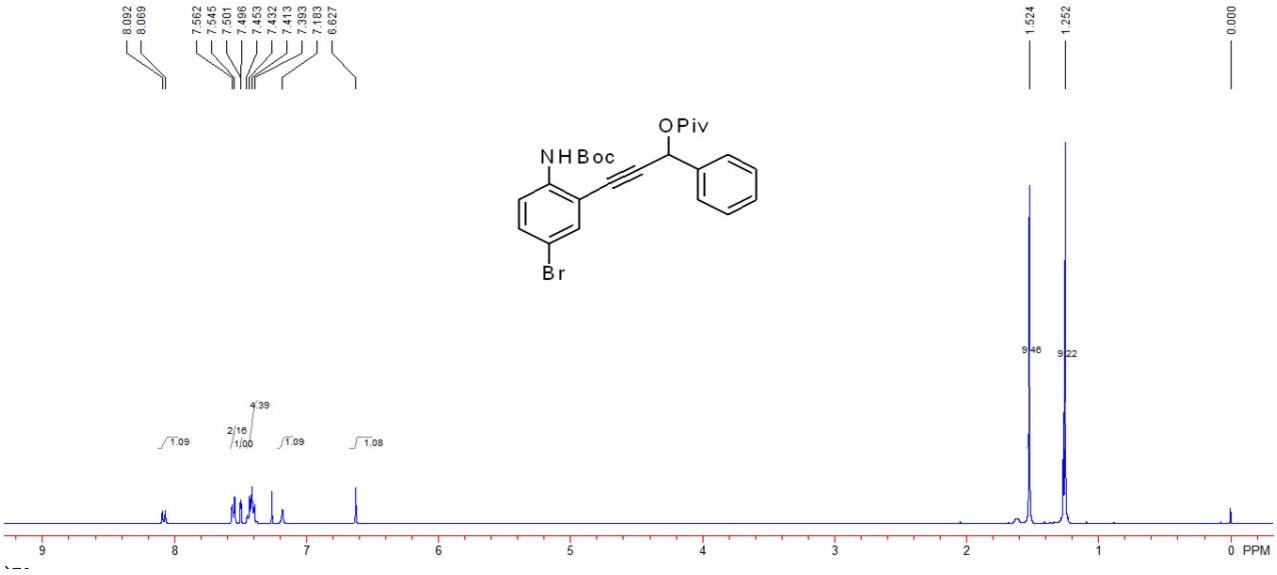
3-(2-((tert-Butoxycarbonyl)amino)-5-methylphenyl)-1-phenylprop-2-yn-1-yl pivalate (1s): A yellow oil, 783 mg, 93% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.02 (d, *J* = 8.4 Hz, 1H), 7.58-7.56 (m, 2H), 7.44-7.36 (m, 3H), 7.20 (d, *J* = 1.6 Hz, 1H), 7.13-7.11 (m, 2H), 6.65 (s, 1H), 2.25 (s, 3H), 1.52 (s, 9H), 1.25 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.3, 152.5, 137.7, 137.1, 132.1, 131.5, 130.9, 128.9, 128.8, 127.3, 117.7, 109.9, 92.3, 82.5, 80.6, 65.9, 38.8, 28.3, 27.0, 20.4. IR (EtOH) ν 3410, 2976, 2931, 2865, 2228, 1730, 1585, 1515, 1447, 1367, 1302, 1240, 1131, 1024, 937, 822, 762, 695 cm^{-1}. HRMS (ESI) Calcd. for $C_{26}H_{31}NNaO_4^{+1}$ $(M+Na)^+$ requires 444.2145, Found: 444.2147.

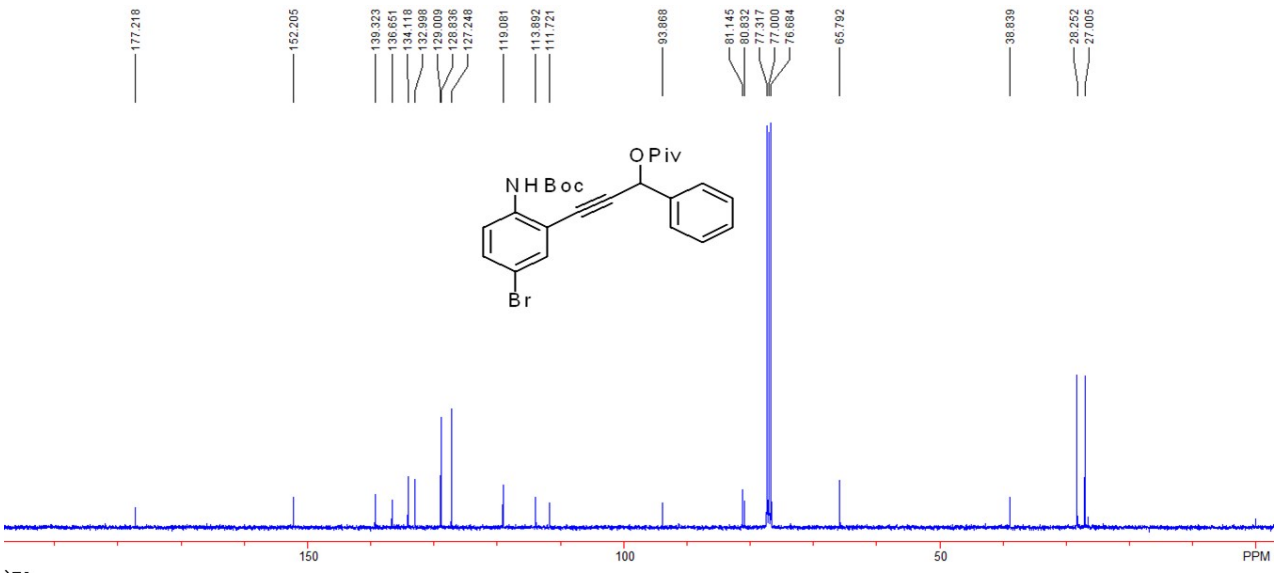


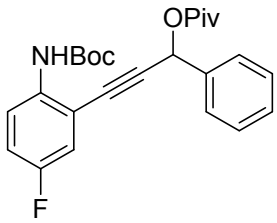




3-(5-Bromo-2-((tert-butoxycarbonyl)amino)phenyl)-1-phenylprop-2-yn-1-yl pivalate (1t): A yellow oil, 875 mg, 90% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.08 (d, J = 8.8 Hz, 1H), 7.55 (d, J = 6.8 Hz, 2H), 7.50 (d, J = 2.0 Hz, 1H), 7.45-7.39 (m, 4H), 7.18 (br, 1H), 6.63 (s, 1H), 1.52 (s, 9H), 1.25 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.2, 152.2, 139.3, 136.7, 134.1, 133.0, 129.0, 128.8, 127.2, 119.1, 113.9, 111.7, 93.9, 81.1, 80.8, 65.8, 38.8, 28.3, 27.0. IR (EtOH) ν 3406, 2976, 2926, 2866, 2225, 1731, 1571, 1507, 1456, 1367, 1299, 1236, 1150, 1021, 937, 898, 823, 764, 696 cm^{-1}. HRMS (ESI) Calcd. for $C_{25}H_{28}BrNNaO_4^{+1}$ $(M+Na)^+$ requires 508.1094, Found: 508.1094.

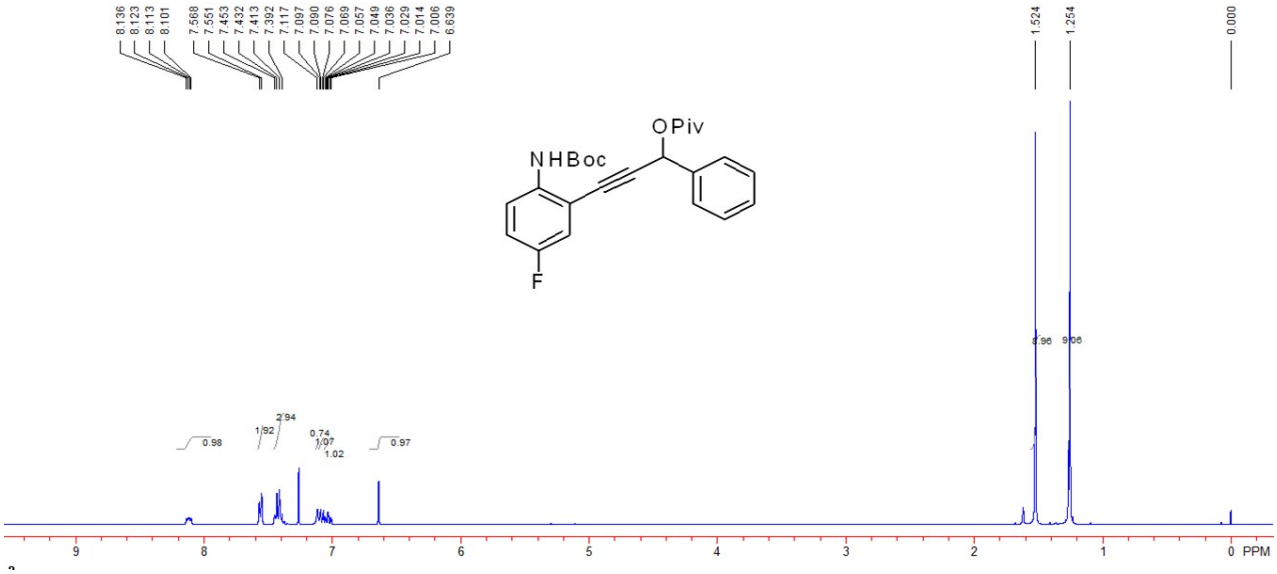


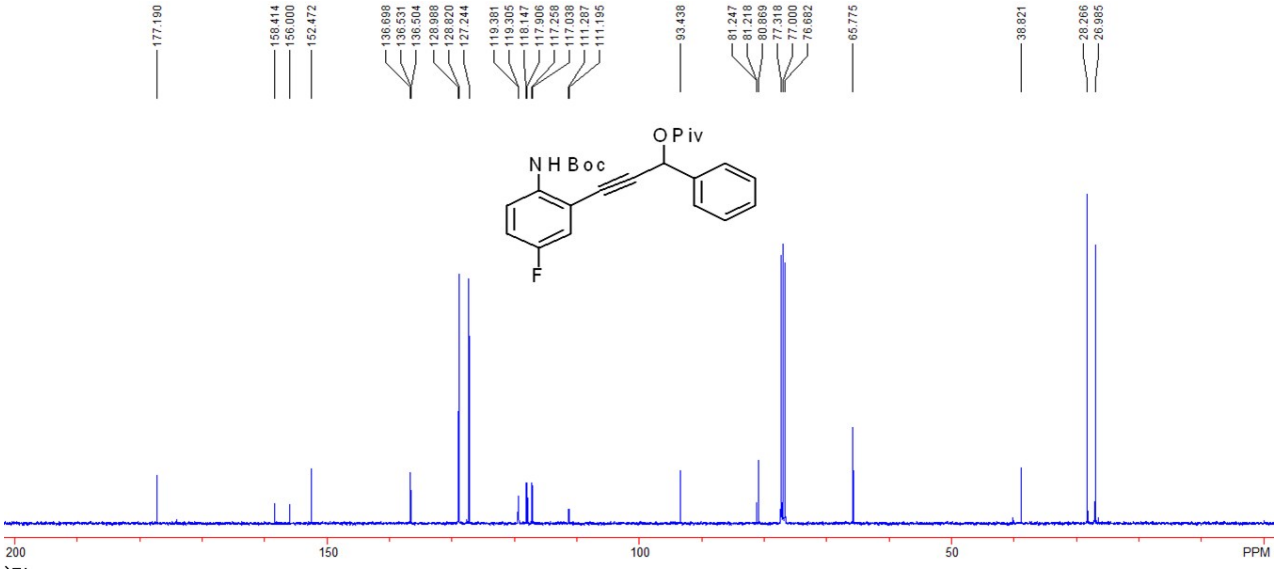


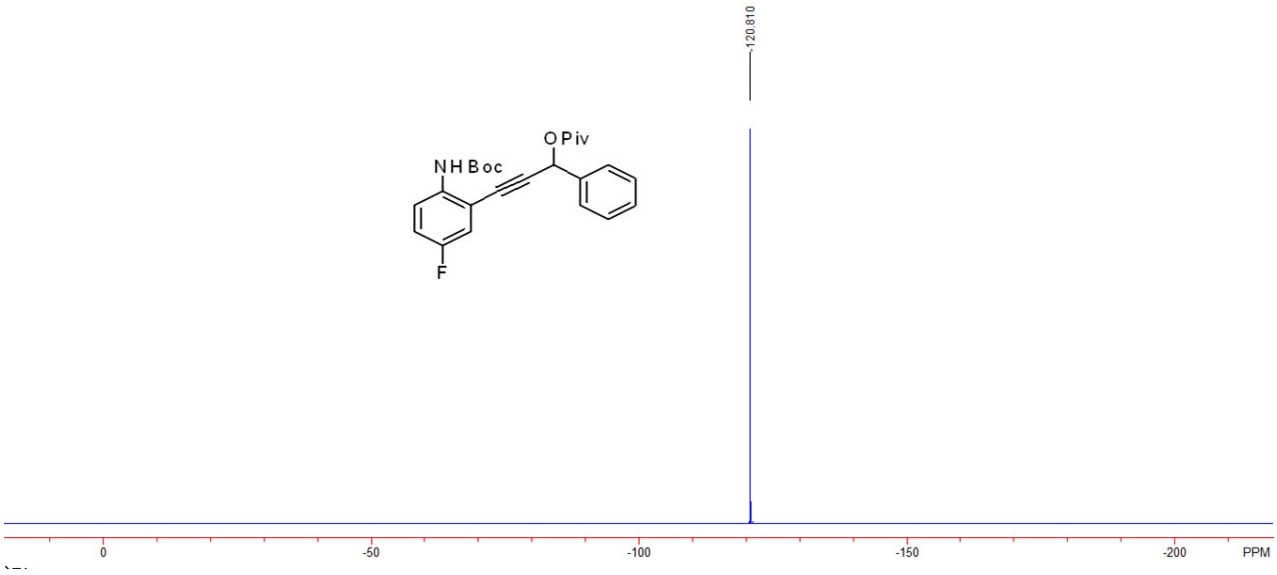


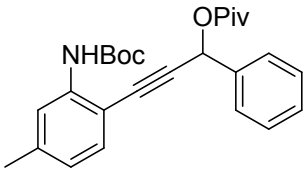
3-(2-((*tert*-Butoxycarbonyl)amino)-5-fluorophenyl)-1-phenylprop-2-yn-1-yl pivalate (1u): A yellow oil, 714 mg, 84% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.12 (dd, J_1 = 1.2 Hz, J_2 = 8.0 Hz, 1H), 7.56 (J = 6.8 Hz, 2H), 7.45-7.39 (m, 3H), 7.12 (br, 1H), 7.08 (dd, J_1 = 1.2 Hz, J_2 = 8.4 Hz, 1H), 7.03 (td, J_1 = 3.2 Hz, J_2 = 8.4 Hz, 1H), 6.64 (s, 1H), 1.52 (s, 9H), 1.25 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.2, 157.2 (d, J = 241.4 Hz), 152.5, 136.7, 136.5 (d, J = 2.7 Hz), 129.0, 128.8, 127.2, 119.3 (d, J = 7.6 Hz), 118.0 (d, J = 24.1 Hz), 117.2 (d, J = 2.0 Hz), 111.2 (d, J = 9.2 Hz),

93.4, 81.2 (d, J = 2.9 Hz), 80.9, 65.8, 38.8, 28.3, 27.0. ^{19}F NMR (376 MHz, $CDCl_3$, TMS) δ -120.8. IR (EtOH) ν 3411, 2978, 2931, 2869, 2226, 1731, 1571, 1516, 1478, 1420, 1367, 1292, 1235, 1153, 1134, 1021, 939, 867, 820, 761, 696 cm^{-1}. HRMS (ESI) Calcd. for $C_{25}H_{28}BrNNaO_4^{+1}$ $(M+Na)^+$ requires 448.1895, Found: 448.1896.

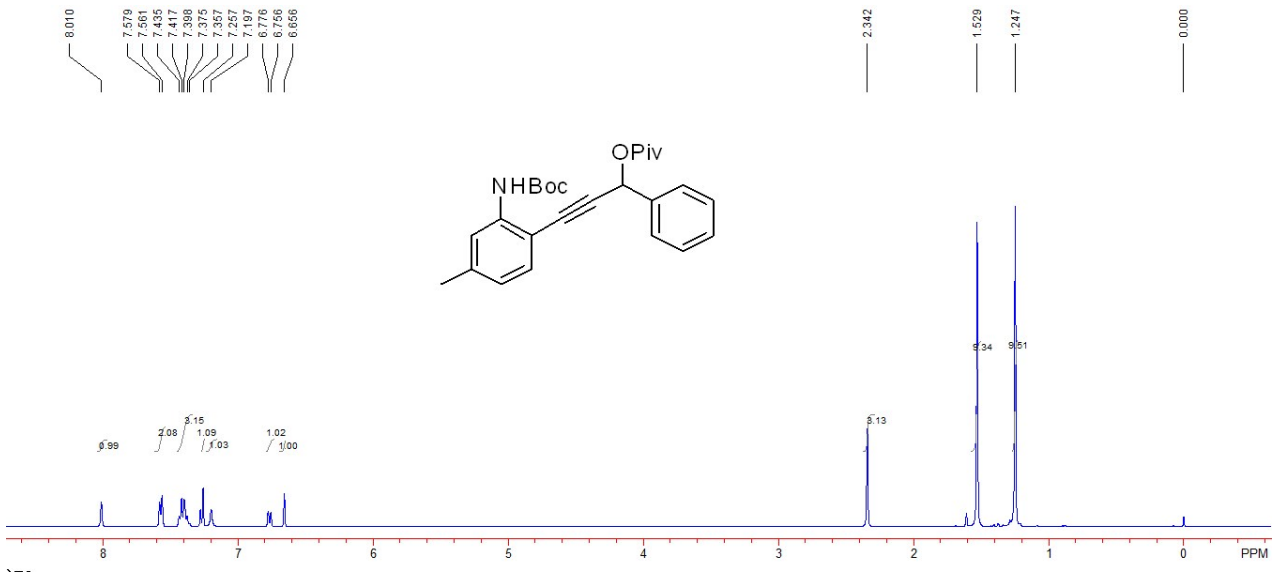


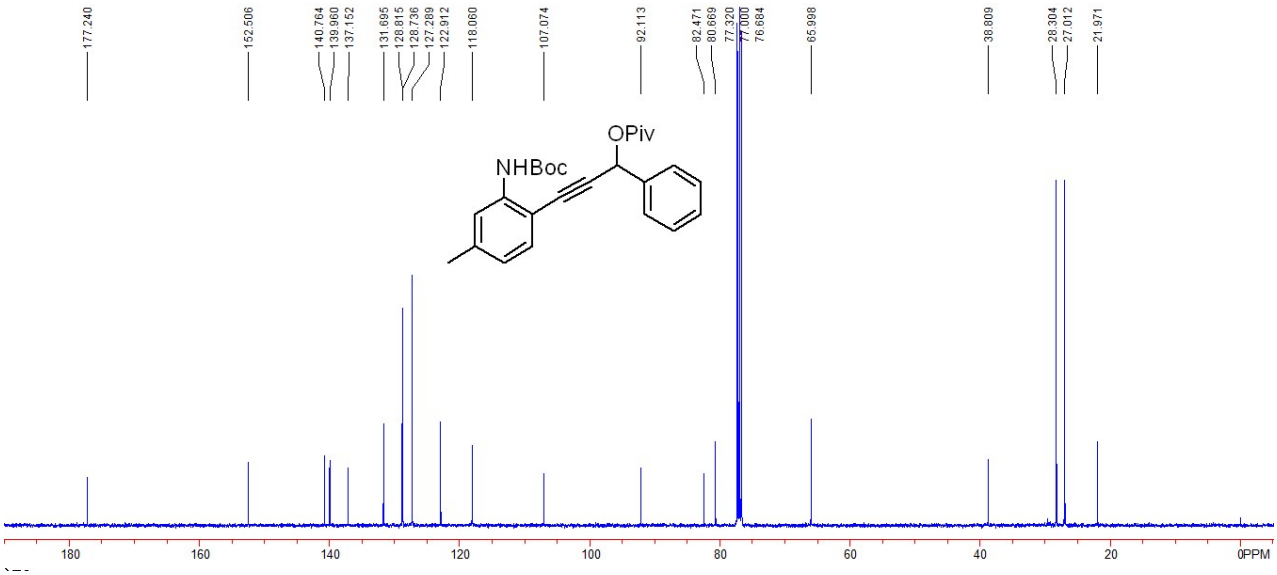


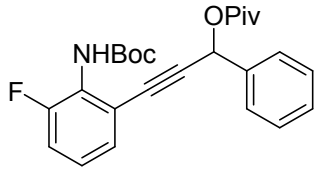




3-(2-((*tert*-Butoxycarbonyl)amino)-4-methylphenyl)-1-phenylprop-2-yn-1-yl pivalate (1v): A yellow oil, 741 mg, 88% yiled. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.01 (s, 1H), 7.57 (*J* = 7.2 Hz, 2H), 7.44-7.40 (m, 3H), 7.28 (s, 1H), 7.20 (br, 1H), 6.77 (d, *J* = 8.0 Hz, 1H), 6.67 (s, 1H), 2.34 (s, 3H), 1.53 (s, 9H), 1.25 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.2, 152.5, 140.8, 140.0, 137.2, 131.7, 128.8, 128.7, 127.2, 122.9, 118.1, 107.1, 92.1, 82.5, 80.7, 66.0, 38.8, 28.3, 27.0, 22.0. IR (EtOH) ν 3406, 2976, 2928, 2871, 1731, 1571, 1524, 1478, 1456, 1367, 1241, 1134, 1008, 938, 760, 696 cm^{-1}. HRMS (ESI) Calcd. for $C_{26}H_{31}NNaO_4^{+1}$ $(M+Na)^+$ requires 444.2145, Found: 444.2148.

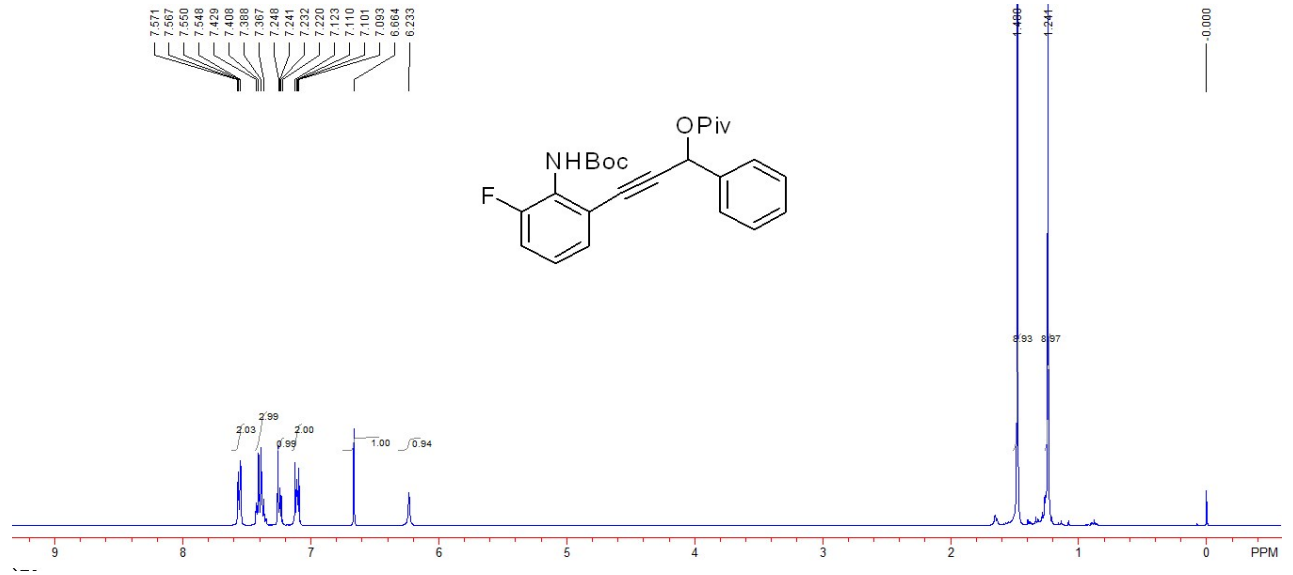


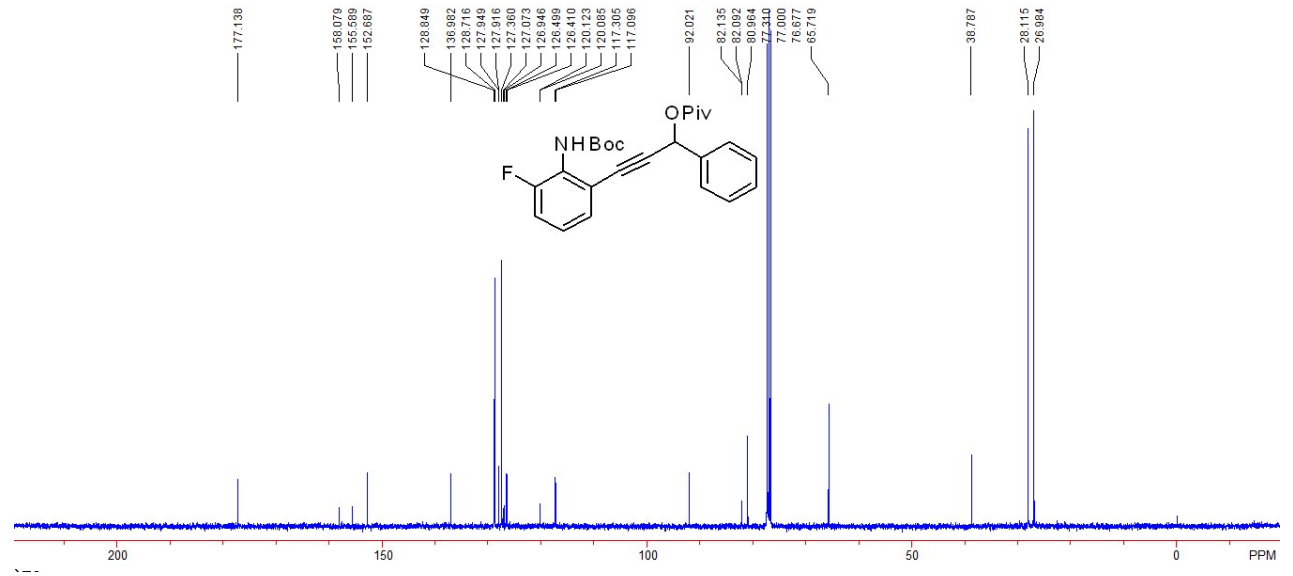


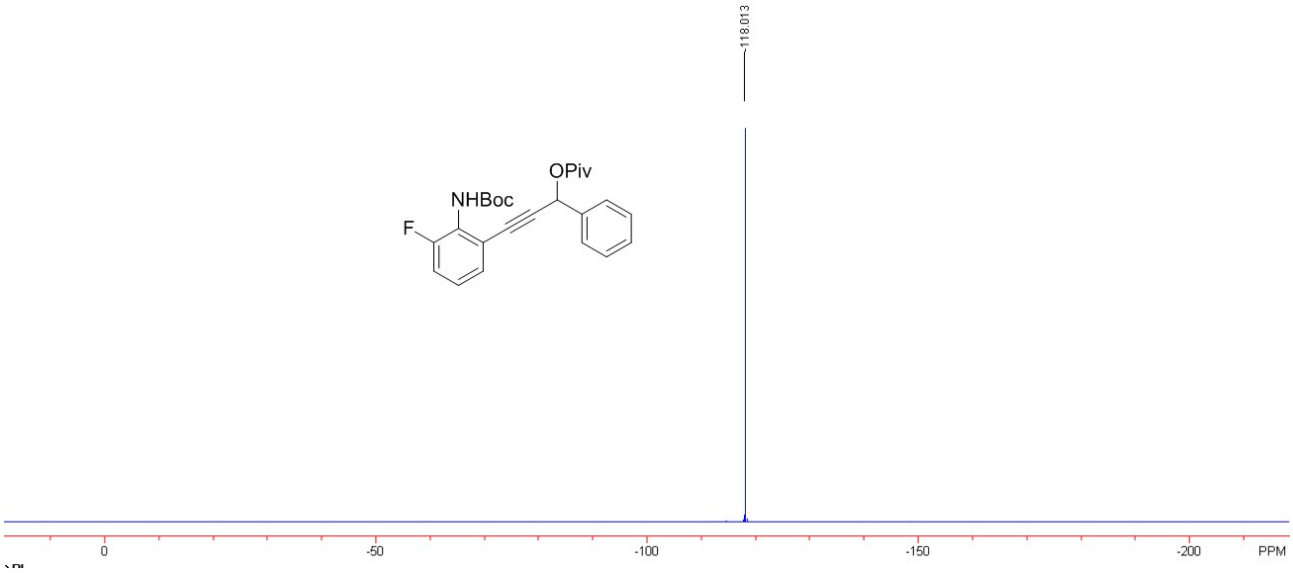


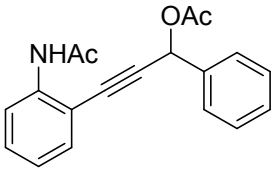
3-(2-((*tert*-Butoxycarbonyl)amino)-3-fluorophenyl)-1-phenylprop-2-yn-1-yl pivalate (1w): A yellow oil, 561 mg, 66% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.57-7.55 (m, 2H), 7.43-7.37 (m, 3H), 7.25-7.22 (m, 1H), 7.12-7.09 (m, 2H), 6.66 (s, 1H), 6.23 (br, 1H), 1.48 (s, 9H), 1.24 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.1, 156.8 (d, J = 249.0 Hz), 152.7, 137.0, 128.8, 128.7, 127.9 (d, J = 3.3 Hz), 127.4, 127.0 (d, J = 12.7 Hz), 126.4 (d, J = 8.9 Hz), 120.1 (d, J = 3.8 Hz), 117.2 (d, J = 20.9 Hz), 92.0, 82.1 (d, J = 4.3 Hz), 81.0, 65.7, 38.8, 28.1, 27.0. ^{19}F NMR (376 MHz, $CDCl_3$, $CFCl_3$) δ -118.0. IR (EtOH) ν 3426, 2976, 2925, 2863, 1725, 1696, 1497, 1473, 1457, 1367,

1246, 1137, 1067, 1023, 931, 795, 762, 696 cm^{-1}. HRMS (ESI) Calcd. For $C_{25}H_{28}FNNaO_4^{+1}$ $(M+Na)^+$ requires 448.1896, Found: 448.1895.

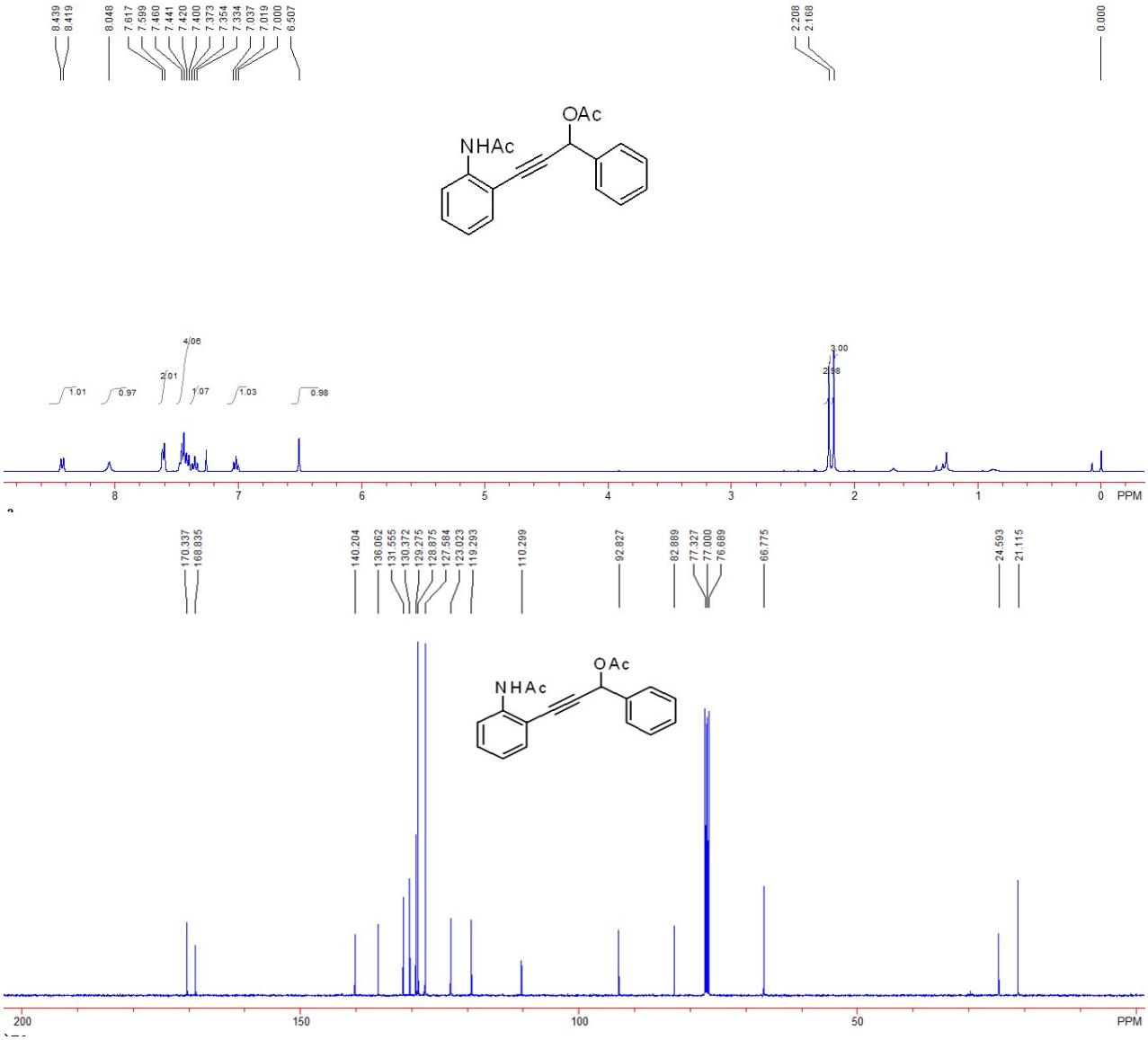


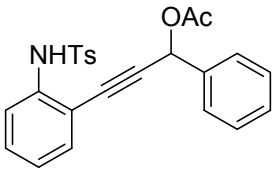




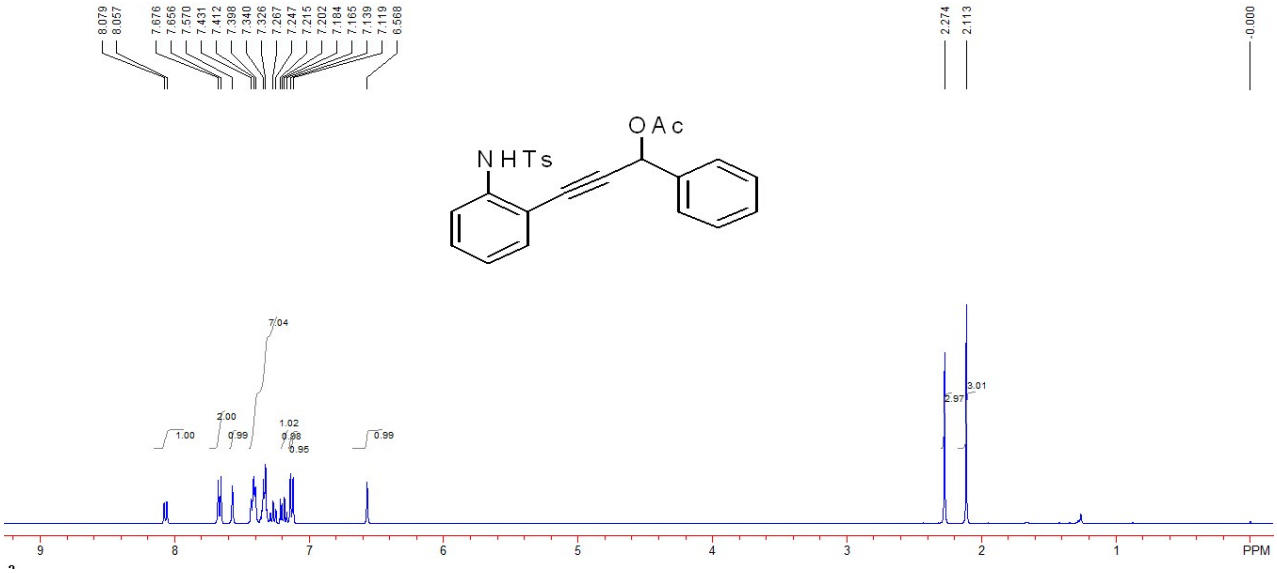


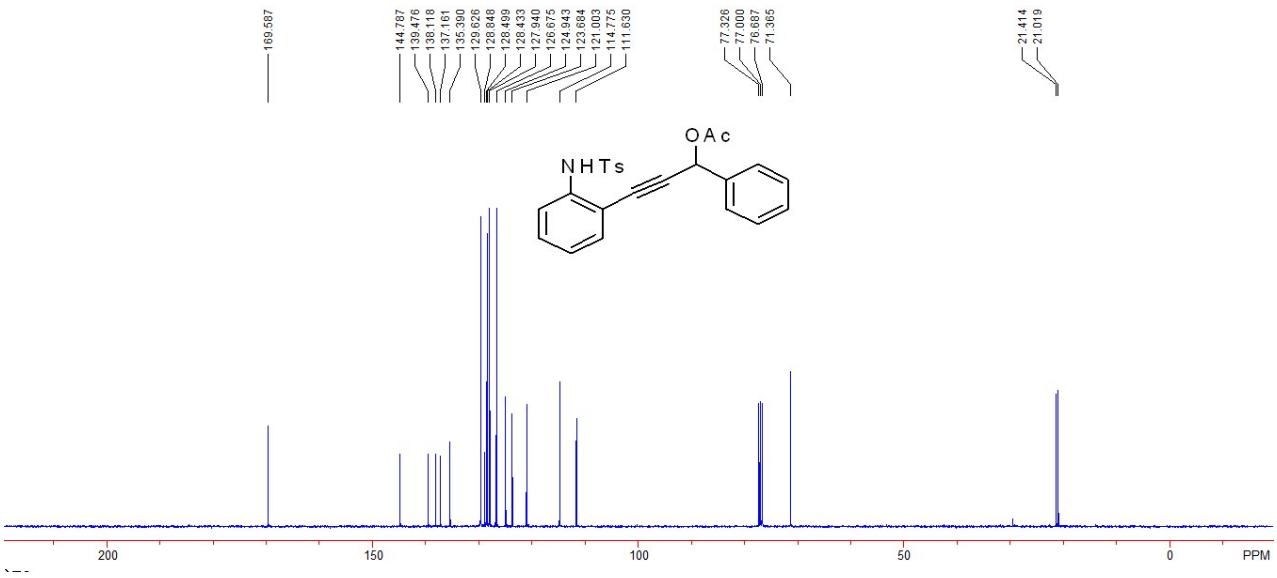
3-(2-Acetamidophenyl)-1-phenylprop-2-yn-1-yl acetate (1x): A yellow oil, 571 mg, 93% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.43 (d, *J* = 8.0 Hz, 1H), 8.05 (s, 1H), 7.61 (d, *J* = 7.6 Hz, 2H), 7.48-7.40 (m, 4H), 7.35 (t, *J* = 8.0 Hz, 1H), 7.02 (t, *J* = 7.6 Hz, 1H), 6.51 (s, 1H), 2.21 (s, 3H), 2.17 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 170.3, 168.8, 140.2, 136.1, 131.6, 130.4, 129.3, 128.9, 127.6, 123.0, 119.3, 110.3, 92.8, 82.9, 66.8, 24.6, 21.1. IR (EtOH) ν 3364, 3058, 3033, 2929, 2227, 1733, 1578, 1516, 1447, 1368, 1300, 1219, 1160, 1043, 1013, 955, 755, 696 cm^{-1}. HRMS (ESI) Calcd. for $C_{19}H_{17}NNaO_3^{+1}$ $(M+Na)^+$ requires 330.1101, Found: 330.1103.





3-(2-((4-Methylphenyl)sulfonamido)phenyl)-1-phenylprop-2-yn-1-yl acetate (1y): A yellow solid, 746 mg, 89% yield, m. p. 210-213 ^{o}C. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.07 (d, *J* = 8.8 Hz, 1H), 7.67 (*J* = 8.0 Hz, 2H), 7.57 (s, 1H), 7.43-7.22 (m, 7H), 7.20-7.17 (m, 1H), 7.14 (s, 1H), 7.12 (s, 1H), 6.57 (s, 1H), 2.27 (s, 3H), 2.11 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.6, 144.8, 139.5, 138.1, 137.2, 135.4, 129.6, 128.8, 128.5, 128.4, 127.9, 126.7, 124.9, 123.7, 121.0, 114.8, 111.6, 71.4, 21.4, 21.0. IR (EtOH) ν 3032, 2922, 2847, 2163, 1740, 1597, 1561, 1495, 1450, 1371, 1308, 1217, 1174, 1134, 1020, 937, 906, 810, 775, 745, 701, 663 cm^{-1}. HRMS (ESI) Calcd. for $C_{24}H_{21}NNaO_4S^{+1}$ $(M+Na)^+$ requires 442.1083, Found: 442.1085.





4. General Procedure for Gold (I)-catalyzed the Cyclization Reaction:

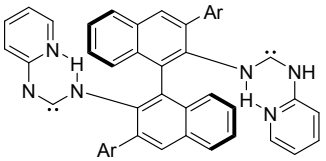
Gold catalyzed tandem reaction of propargylic esters 1 with nonchiral monodentate phosphine ligands:

Method A: To a mixture of propargylic ester (0.1 mmol) in dry DCM (2 mL) was added gold (I) complex (5 mol%). The mixture was stirred at room temperature until the consumption of the starting material. Then the solvent was removed under reduced pressure and the crude product was purified by a flash chromatography (petroleum ether / ethyl acetate = 50:1). **Method B:** To a flame-dried Schlenk tube was added silver salt (5 mol%) and gold (I) complex (5 mol%) followed by the addition of dry DCM (1.0 mL) under argon atmosphere. The reaction mixture was stirred at room temperature for 15 minutes, and then a solution of propargylic ester (0.1 mmol) in DCM (1.0 mL) was added via a syringe. The mixture was stirred at room temperature until the consumption of the starting material. Then the solvent was removed under reduced pressure and the crude product was purified by a flash chromatography (petroleum ether / ethyl acetate = 50:1).

Enantioselective Transformation of Propargylic Esters:

To a flame-dried Schlenk pressure tube was added 4Å molecular sieves (50 mg), silver salt (10 mmol%) and chiral digold (I) complex (5 mol%) followed by the addition of solvent (1.0 mL) under argon atmosphere. The heterogeneous mixture was stirred at room temperature for 15mins, after being sonicated for 30 seconds in a commercial ultrasonic cleaner. Then a solution of propargylic ester (0.1 mmol) in solvent (1 mL) was added to the reaction mixture at the desired temperature under argon atmosphere for 24 hours. The mixture was quenched with one drop of Et_3N and then

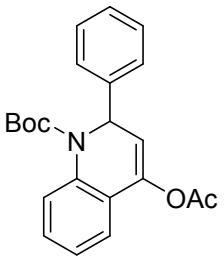
filtered through Celite. The filter cake was washed with ethyl acetate and concentrated. Then the solvent was removed under reduced pressure and the crude product was purified by a flash chromatography (petroleum ether / ethyl acetate = 50:1).



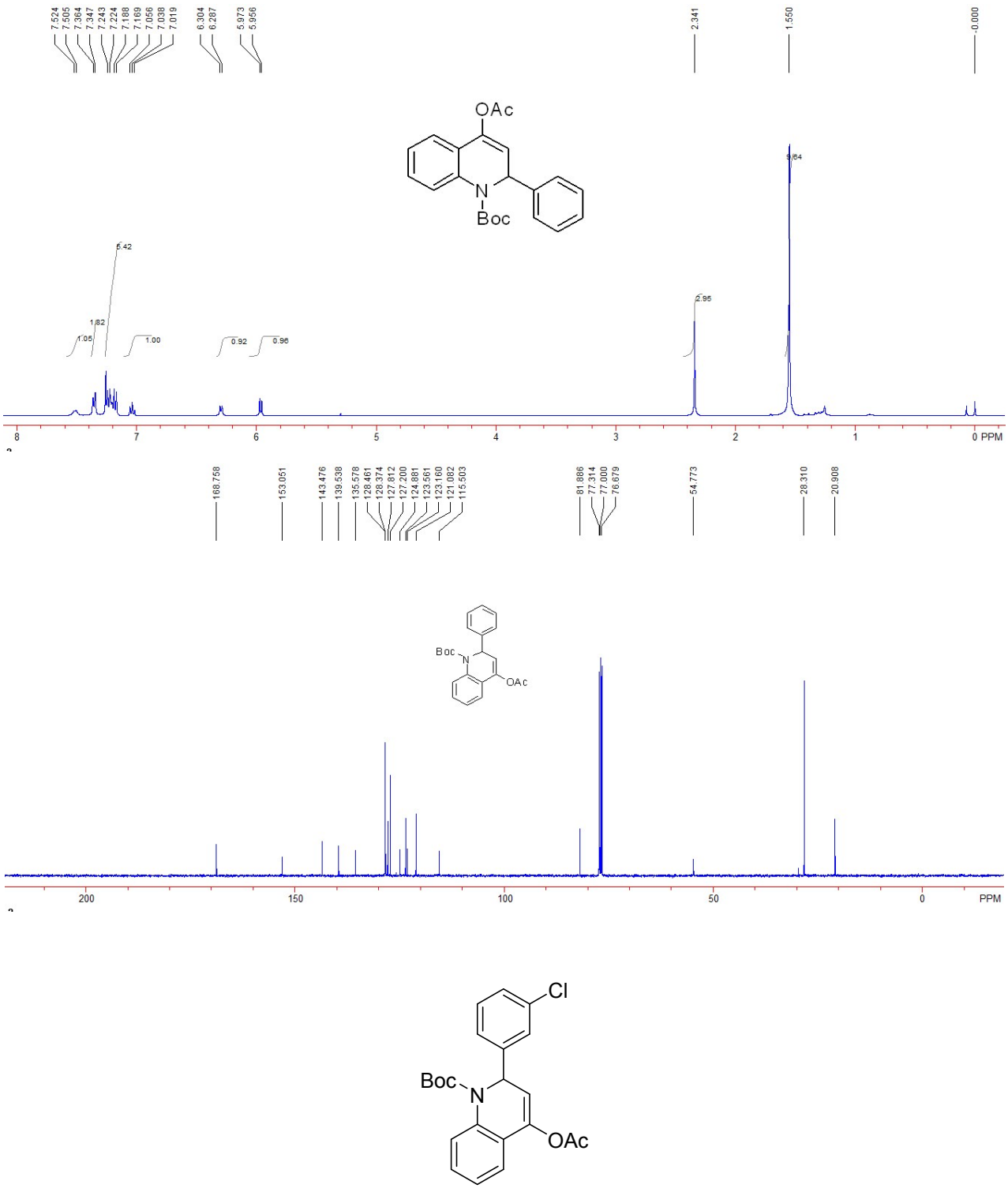
L4, Ar = 4-CF_3-C_6H_4

Especially, **L4**$(AuCl)_2$ was synthesized according to the previous reported work.[3]

5. The characterization data of products

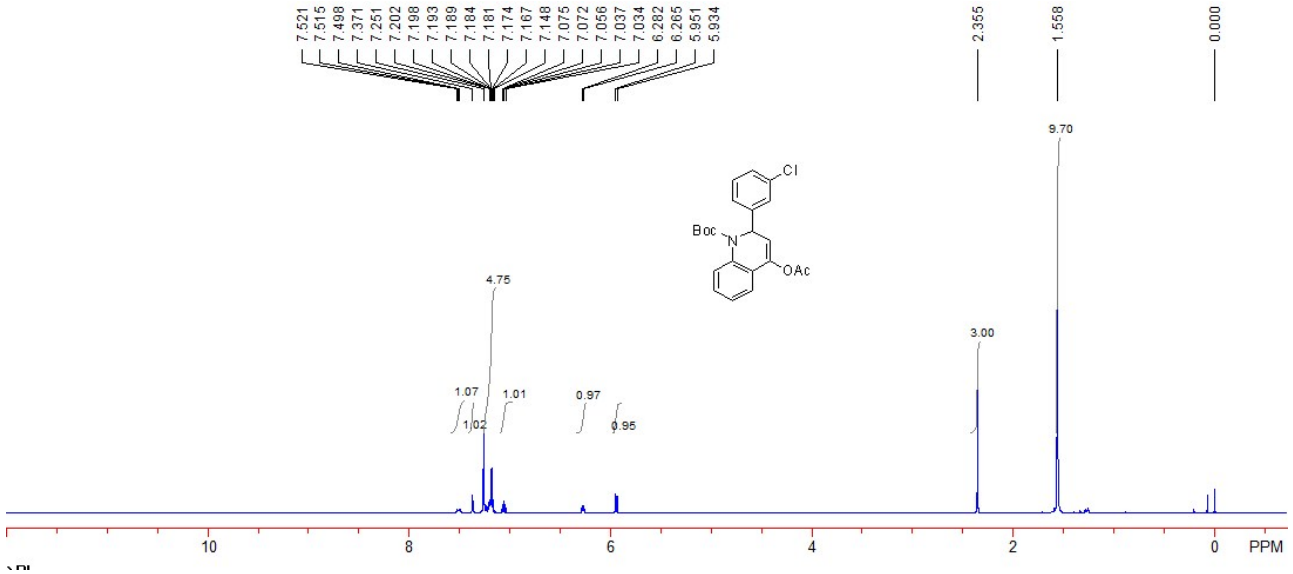


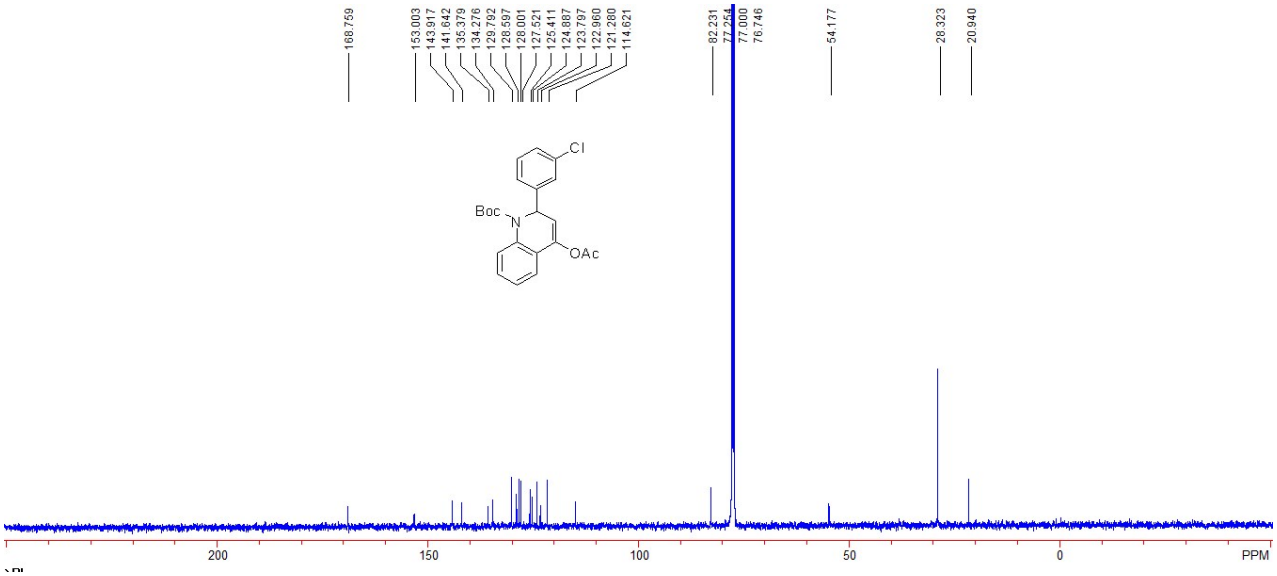
***tert*-Butyl 4-acetoxy-2-phenylquinoline-1(2H)-carboxylate (2a)**: A yellow oil, 35 mg, 96% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.51 (d, *J* = 7.2 Hz, 1H), 7.36 (d, *J* = 6.8 Hz, 2H), 7.26-7.17 (m, 5H), 7.04 (t, *J* = 7.2 Hz, 1H), 6.29 (d, *J* = 6.4 Hz, 1H), 5.96 (d, *J* = 6.4 Hz, 1H), 2.34 (s, 3H), 1.55 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.8, 153.1, 143.5, 139.5, 135.6, 128.5, 128.4, 127.8, 127.2, 124.9, 123.6, 123.2, 121.1, 115.5, 81.9, 54.8, 28.3, 20.9. IR (CH_2Cl_2) ν 2976, 2929, 1767, 1696, 1489, 1455, 1369, 1331, 1308, 1254, 1200, 1162, 1146, 1128, 1044, 1031, 902, 873, 763, 698 cm^{-1}. HRMS (ESI) Calcd. For $C_{22}H_{27}N_2O_4^{+1}$ $(M+NH_4)^+$ requires: 383.1965, Found: 383.1964.

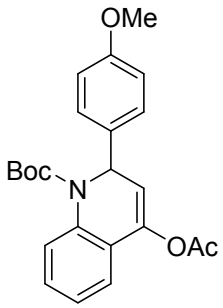


***tert*-Butyl 4-acetoxy-2-(3-chlorophenyl)quinoline-1(2H)-carboxylate (2b)**: A colorless oil, 34 mg, 85% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.52-7.50 (m, 1H), 7.37 (s, 1H), 7.25-7.15 (m, 5H), 7.06 (td, J_1 = 1.2 Hz, J_2 = 7.2 Hz, 1H), 6.28 (d, J = 6.8 Hz, 1H), 5.94 (d, J = 6.8 Hz, 1H), 2.36 (s, 3H), 1.56 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.8, 153.0, 143.9, 141.6, 135.4, 134.3, 129.8, 128.6, 128.0, 127.5, 125.4, 124.9, 123.8, 123.0, 121.3, 114.6, 82.2, 54.2, 28.3, 20.9. IR (CH_2Cl_2) ν 2978, 2928, 2846, 1768, 1697, 1595, 1572, 1489, 1475, 1456, 1370, 1332, 1309, 1253, 1199, 1161,

1147, 1129, 1084, 1044, 1031, 765, 705 cm^{-1}. HRMS (ESI) Calcd. For $C_{22}H_{26}ClN_2O_4^{+1}$ $(M+NH_4)^+$ requires: 417.1576, Found: 417.1574.

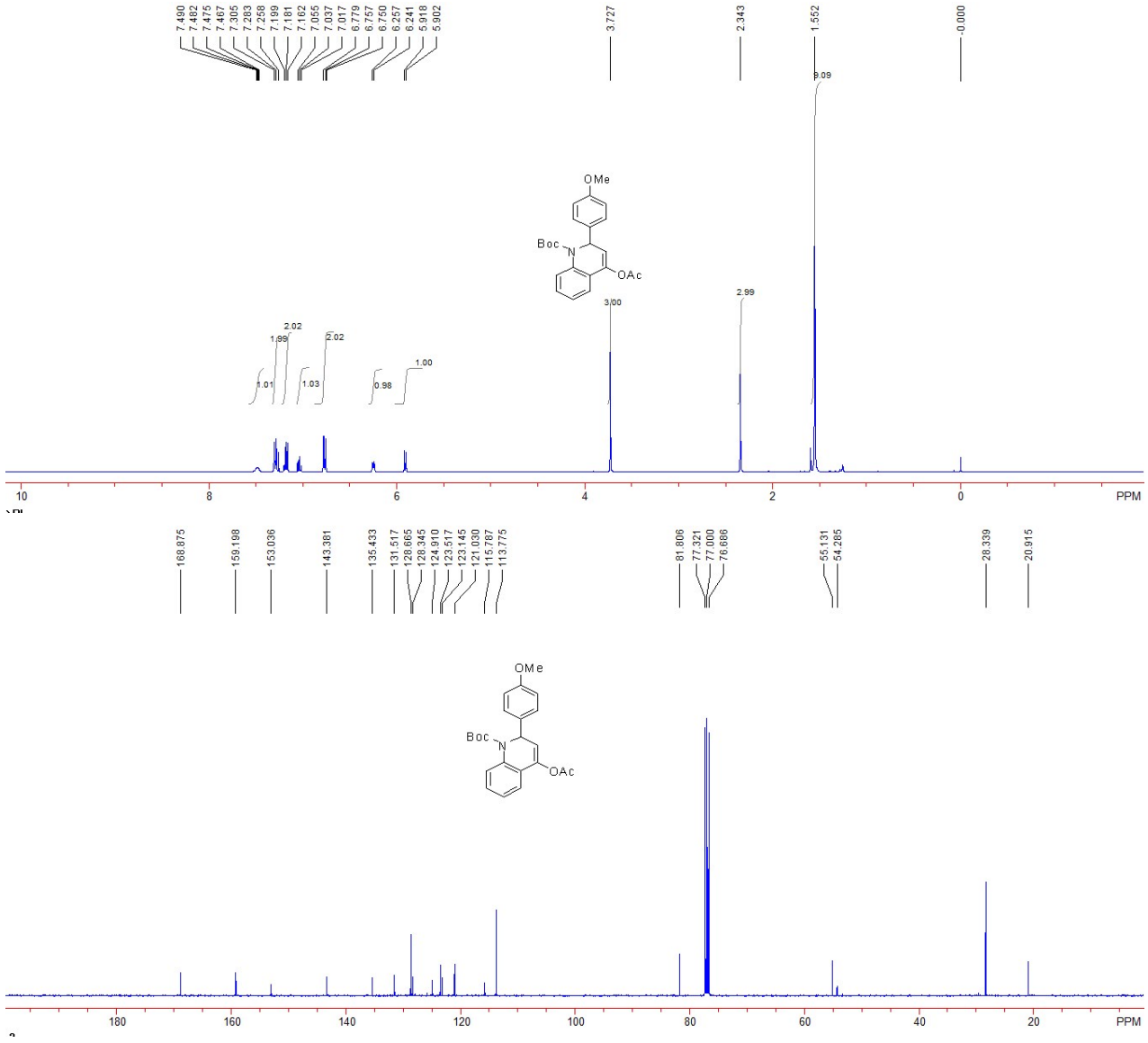


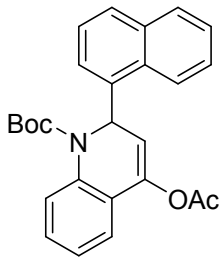




***tert*-Butyl 4-acetoxy-2-(4-methoxyphenyl)quinoline-1(2H)-carboxylate (2c)**: A colorless oil, 39 mg, 99% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.48 (dd, J_1 = 3.2 Hz, J_2 = 6.8 Hz, 1H), 7.31-7.26 (m, 2H), 7.20-7.16 (m, 2H), 7.04 (t, J = 7.6 Hz, 1H), 6.78-6.75 (m, 2H), 6.25 (d, J = 6.4 Hz,

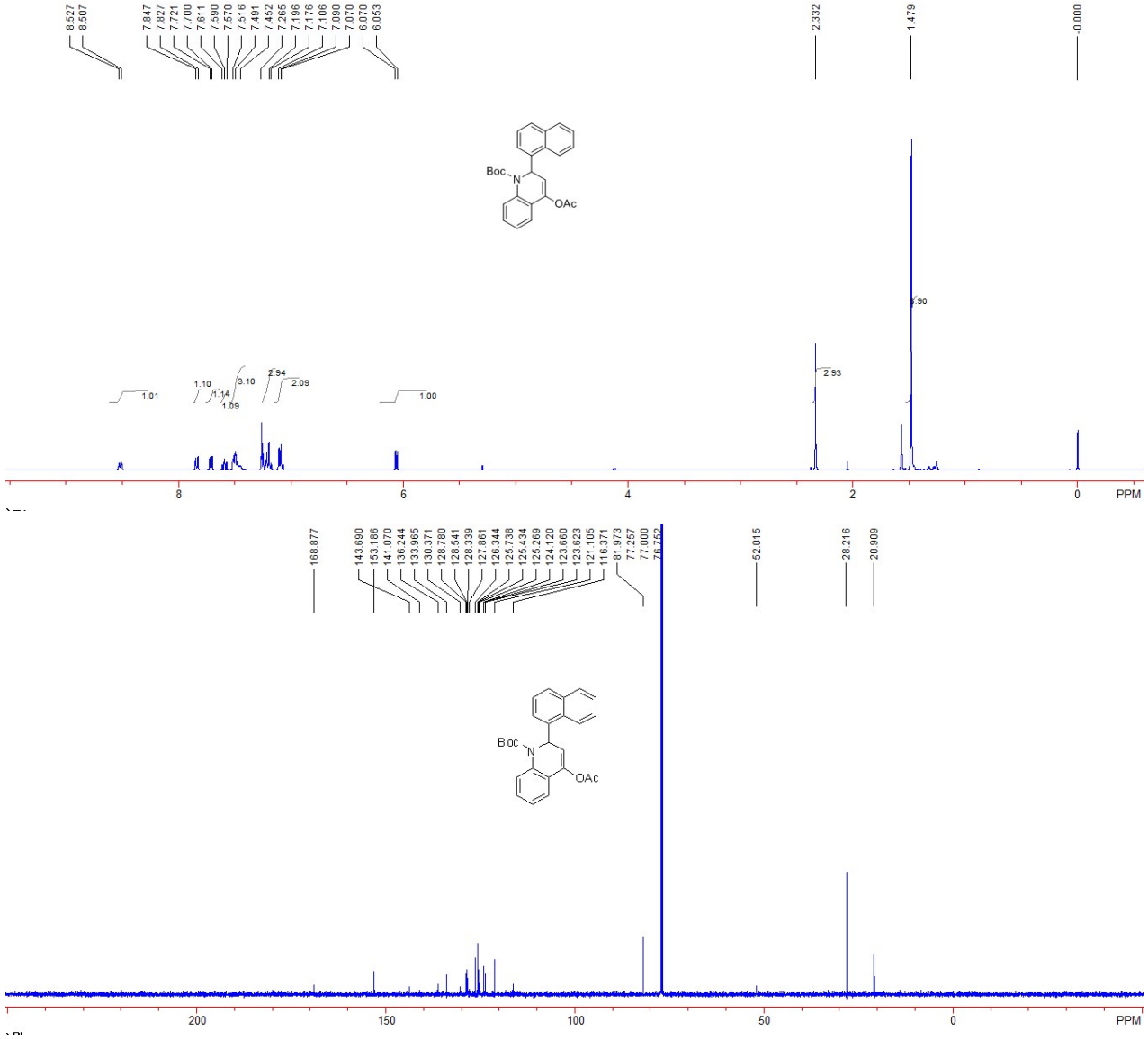
1H), 5.91 (d, J = 6.4 Hz, 1H), 3.73 (s, 3H), 2.34 (s, 3H), 1.55 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.9, 159.2, 153.0, 143.4, 135.4, 131.5, 128.7, 128.3, 124.9, 123.5, 123.1, 121.0, 115.8, 113.8, 81.8, 55.1, 54.3, 28.3, 20.9. IR (CH_2Cl_2) ν 2964, 2930, 1764, 1694, 1608, 1510, 1488, 1455, 1368, 1331, 1259, 1198, 1174, 1161, 1143, 1030, 987, 903, 796, 761, 736, 702 cm^{-1}. HRMS (ESI) Calcd. for $C_{23}H_{29}N_2O_5^{+1}$ $(M+NH_4)^+$ requires: 413.2071, Found: 413.2070.

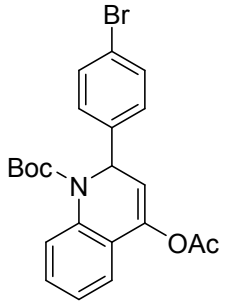




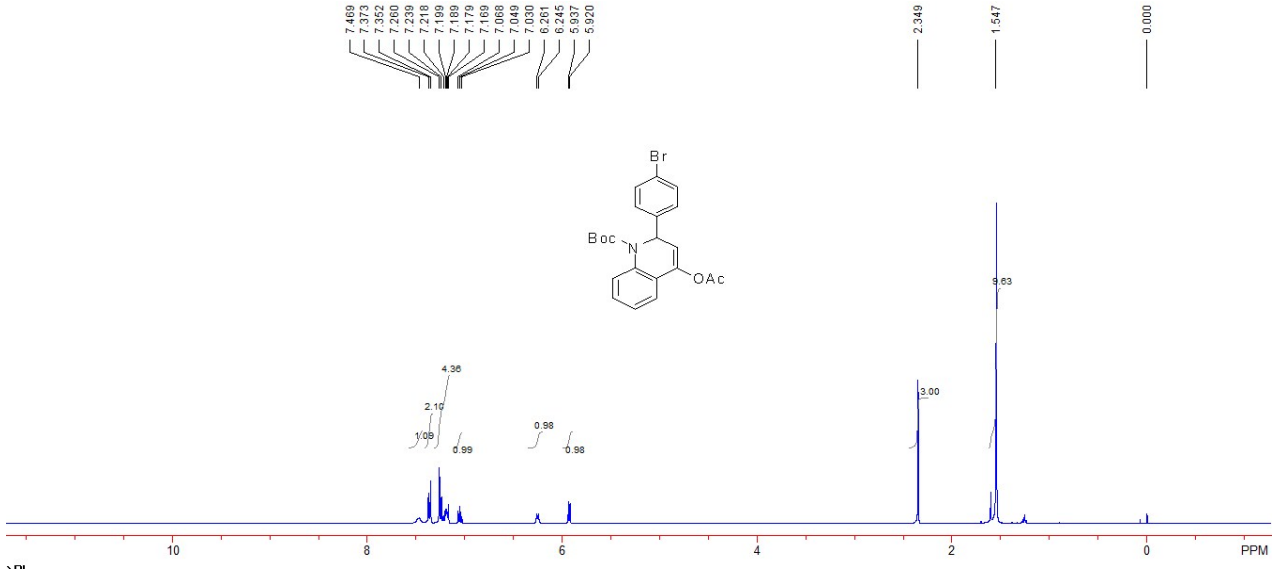
***tert*-Butyl 4-acetoxy-2-(naphthalen-1-yl)quinoline-1(2H)-carboxylate (2d)**: A yellow oil, 38 mg,

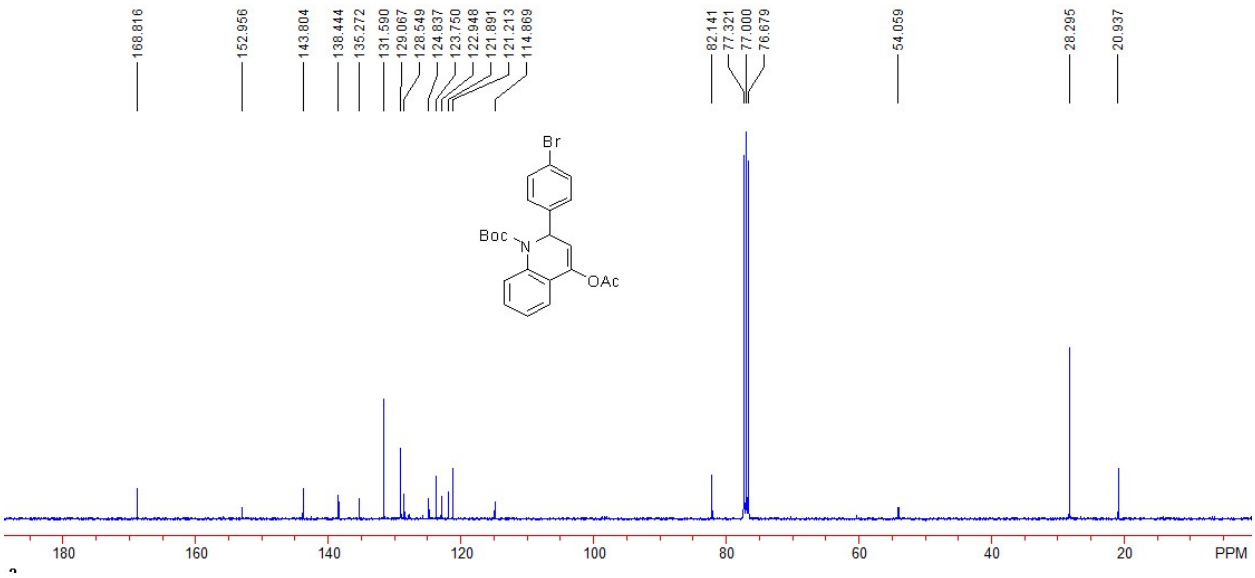
92% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.52 (d, *J* = 8.0 Hz, 1H), 7.84 (d, *J* = 8.0 Hz, 1H), 7.71 (d, *J* = 8.4 Hz, 1H), 7.59 (t, *J* = 8.0 Hz, 1H), 7.52-7.45 (m, 3H), 7.27-7.18 (m, 3H), 7.11-7.07 (m, 2H), 6.06 (d, *J* = 6.8 Hz, 1H), 2.33 (s, 3H), 1.48 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.9, 153.2, 143.7, 141.1, 136.2, 134.0, 130.4, 128.8, 128.5, 128.3, 127.9, 126.3, 125.7, 125.4, 125.3, 124.1, 123.7, 123.6, 121.1, 116.3, 82.0, 52.0, 28.2, 20.9. IR (CH_2Cl_2) ν 2973, 2928, 1769, 1701, 1483, 1455, 1369, 1342, 1320, 1306, 1258, 1195, 1165, 1149, 1093, 1043, 1031, 902, 815, 763, 740, 699 cm^{-1}. HRMS (ESI) Calcd. for $C_{26}H_{29}N_2O_4^{+1}$ $(M+NH_4)^+$ requires: 433.2122, Found: 433.2121.

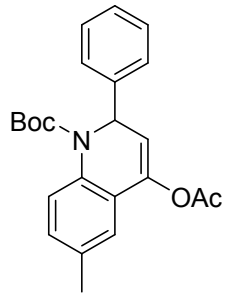




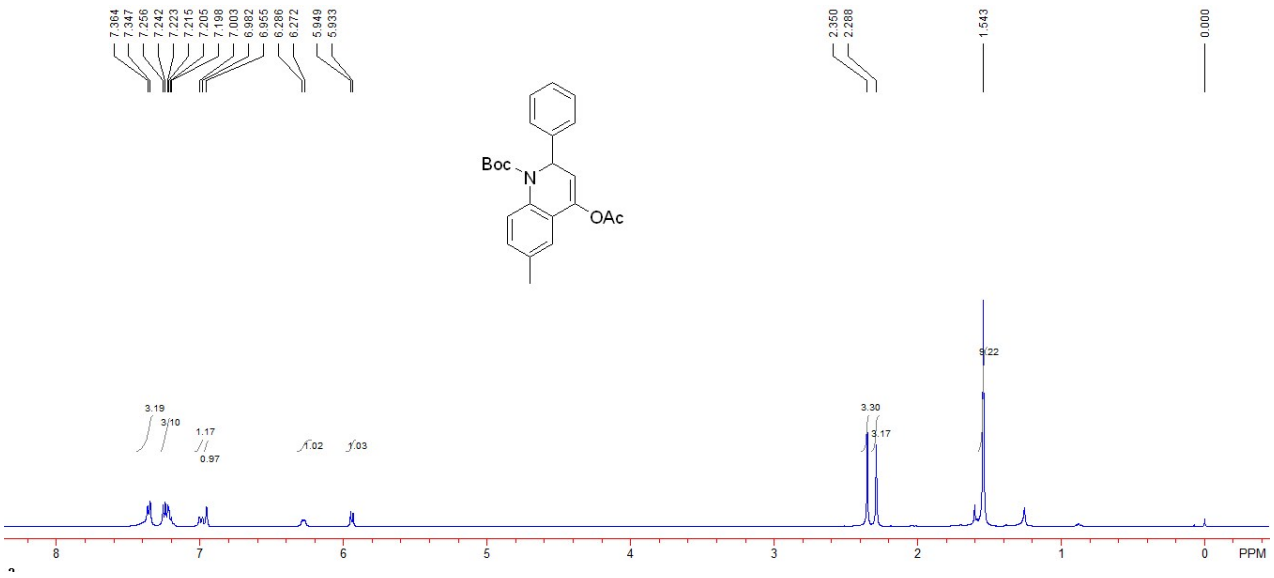
***tert*-Butyl 4-acetoxy-2-(4-bromophenyl)quinoline-1(2H)-carboxylate (2e)**: A colorless oil, 41 mg, 91% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.47 (br, 1H), 7.36 (d, *J* = 8.8 Hz, 2H), 7.26-7.17 (m, 4H), 7.05 (t, *J* = 7.6 Hz, 1H), 6.25 (d, *J* = 6.4 Hz, 1H), 5.93 (d, *J* = 6.8 Hz, 1H), 2.35 (s, 3H), 1.55 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.8, 153.0, 143.8, 138.4, 135.3, 131.6, 129.1, 128.5, 124.8, 123.8, 122.9, 121.9, 121.2, 114.9, 82.1, 54.1, 28.3, 20.9. IR (CH_2Cl_2) ν 2978, 2931, 1766, 1735, 1698, 1579, 1518, 1488, 1449, 1393, 1369, 1332, 1306, 1238, 1222, 1201, 1157, 1044, 1012, 953, 756, 667 cm^{-1}. HRMS (ESI) Calcd. for $C_{22}H_{26}BrN_2O_4^{+1}$ $(M+NH_4)^+$ requires: 461.1070, Found: 461.1066.

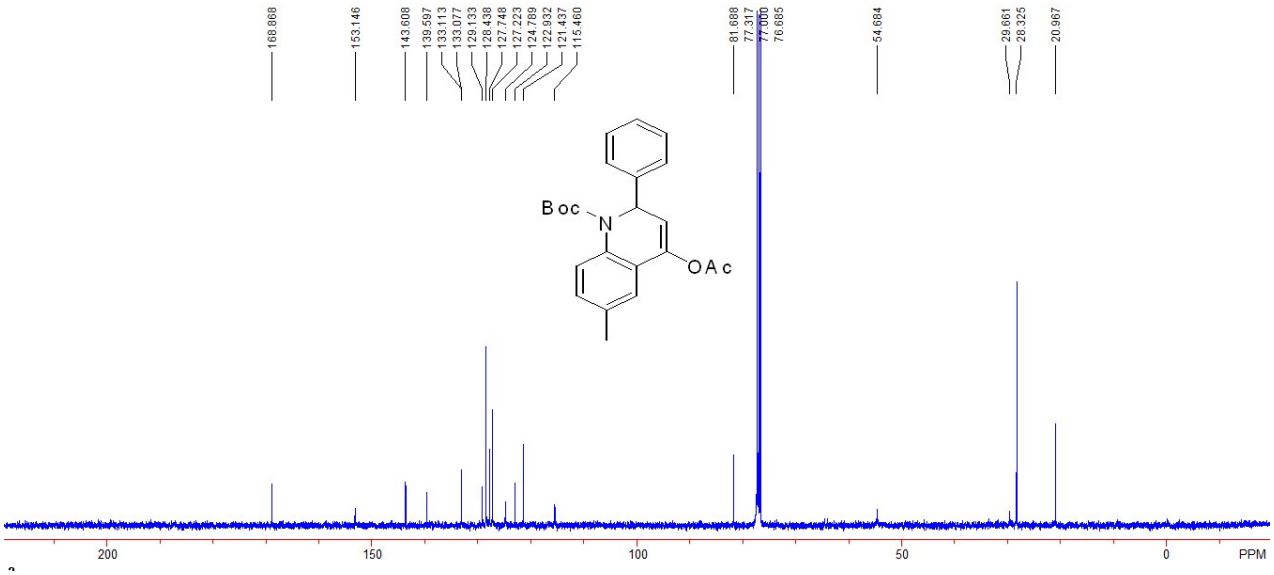


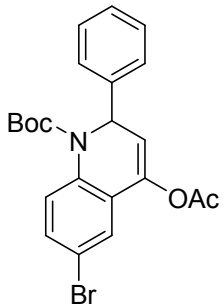




***tert*-Butyl 4-acetoxy-6-methyl-2-phenylquinoline-1(2H)-carboxylate (2f)**: A colorless oil, 37 mg, 98% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.36-7.35 (m, 3H), 7.26-7.20 (m, 3H), 6.99 (d, *J* = 8.4 Hz, 1H), 6.96 (s, 1H), 6.28 (d, *J* = 5.6 Hz, 1H), 5.94 (d, *J* = 6.4 Hz, 1H), 2.35 (s, 3H), 2.29 (s, 3H), 1.54 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.9, 153.1, 143.6, 139.6, 133.11, 133.07, 129.1, 128.4, 127.7, 127.2, 124.8, 122.9, 121.4, 115.5, 81.7, 54.7, 29.7, 28.3, 21.0. IR (CH_2Cl_2) ν 2974, 2928, 1766, 1694, 1494, 1455, 1368, 1327, 1308, 1257, 1207, 1189, 1162, 1150, 1083, 1042, 1031, 1008, 913, 873, 800, 763, 739, 698 cm^{-1}. HRMS (ESI) Calcd. for $C_{23}H_{29}N_2O_4^{+1}$ $(M+NH_4)^+$ requires: 397.2122, Found: 397.2121.

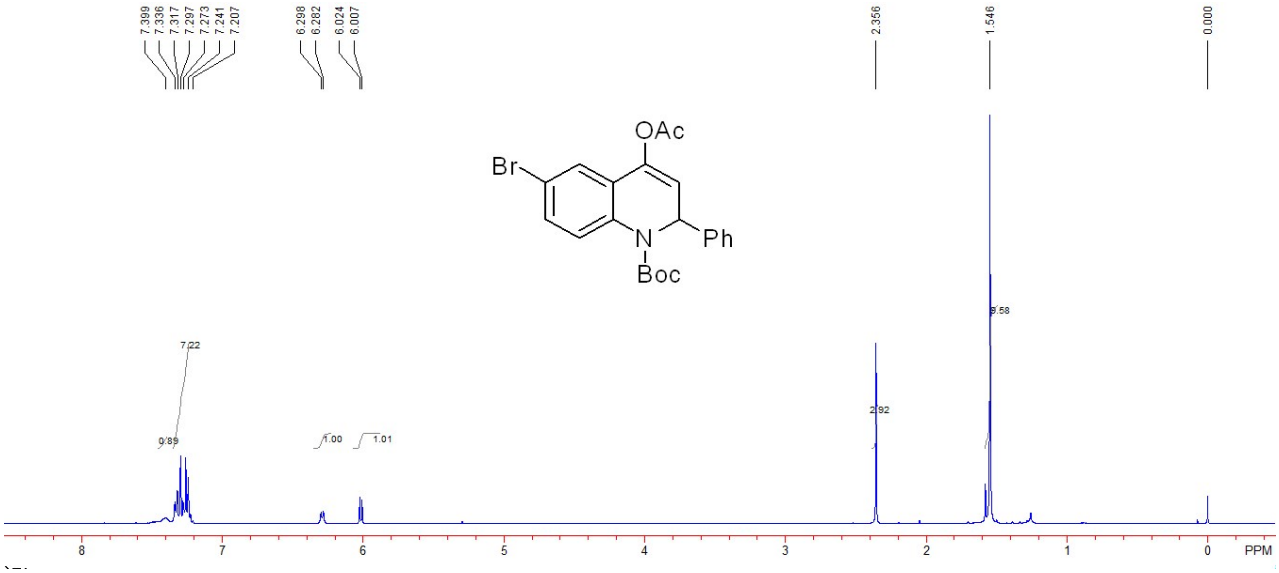


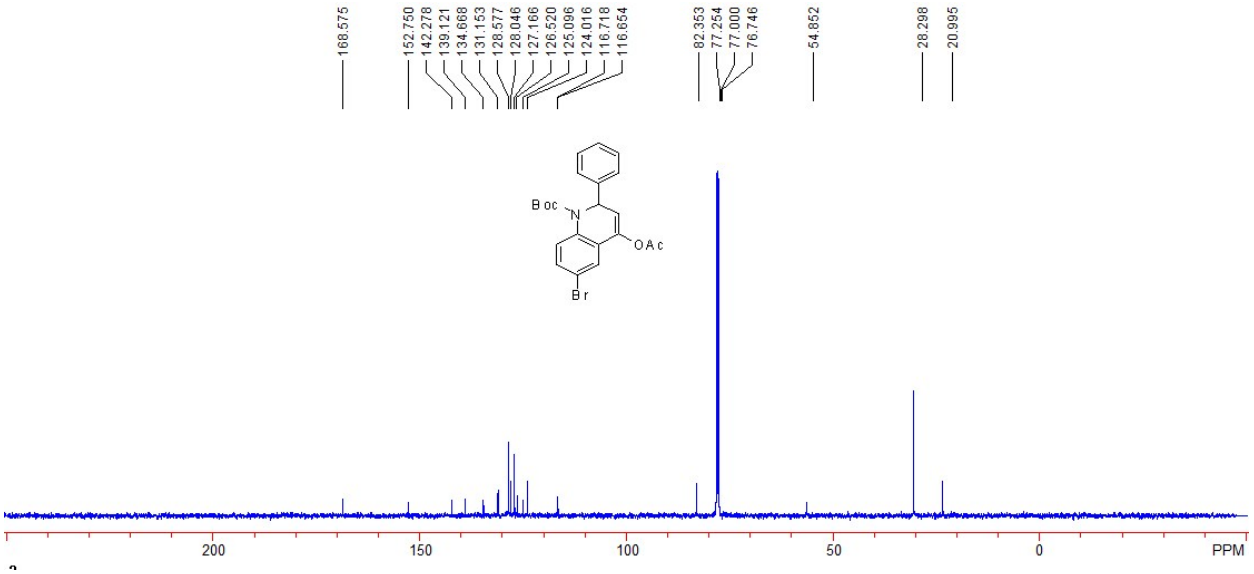


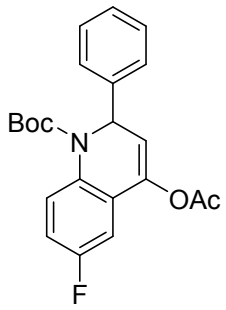


***tert*-Butyl 4-acetoxy-6-bromo-2-phenylquinoline-1(2H)-carboxylate (2g)**: A colorless oil, 41 mg, 92% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.40 (br, 1H), 7.34-7.21 (m, 7H), 6.29 (d, J = 6.4 Hz), 6.01 (d, J = 6.8 Hz, 1H), 2.36 (s, 3H), 1.55 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.6, 152.8, 142.3, 139.1, 134.7, 131.2, 128.6, 128.0, 127.2, 126.5, 125.1, 124.0, 116.71, 116.65, 82.4, 54.9, 28.3, 21.0. IR (CH_2Cl_2) ν 2964, 2928, 1766, 1694, 1599, 1511, 1488, 1455, 1393, 1368, 1327,

1259, 1198, 1159, 1141, 1088, 1044, 1031, 1017, 797, 775, 676 cm^{-1}. HRMS (ESI) Calcd. for $C_{22}H_{26}BrN_2O_4^+$ $(M+NH_4)^+$ requires: 461.1070, Found: 461.1069.

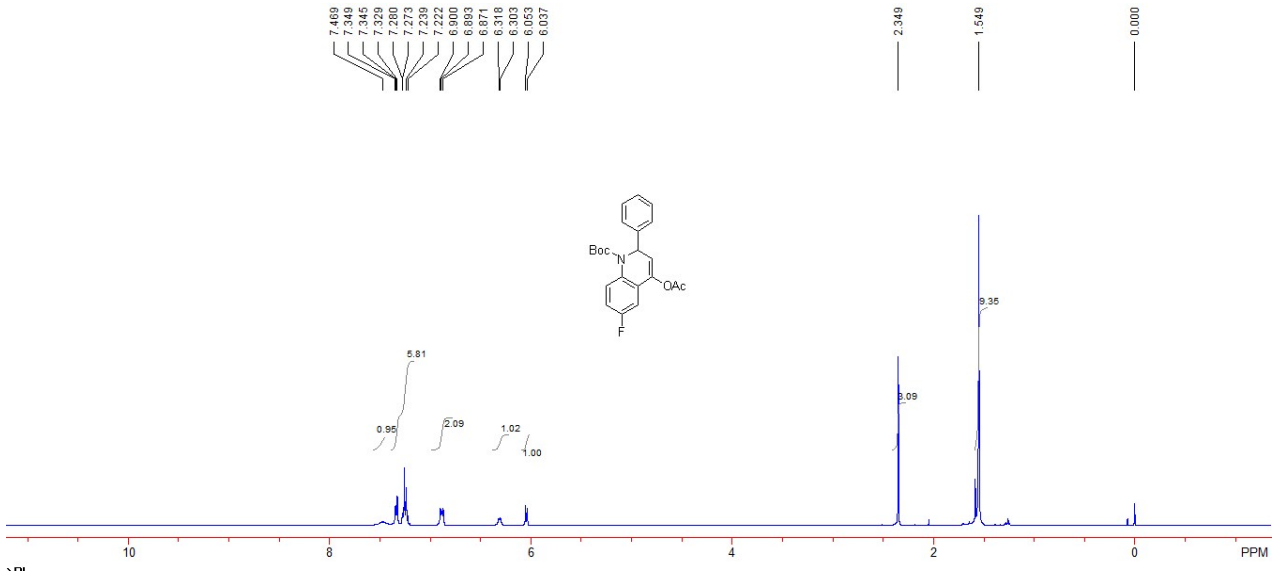


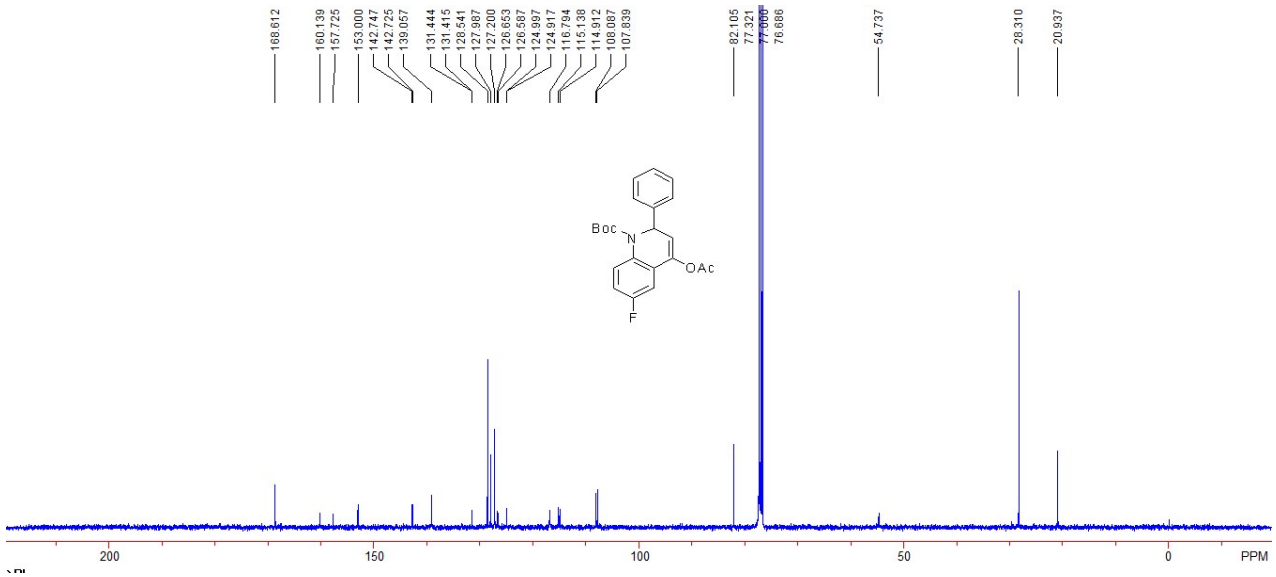


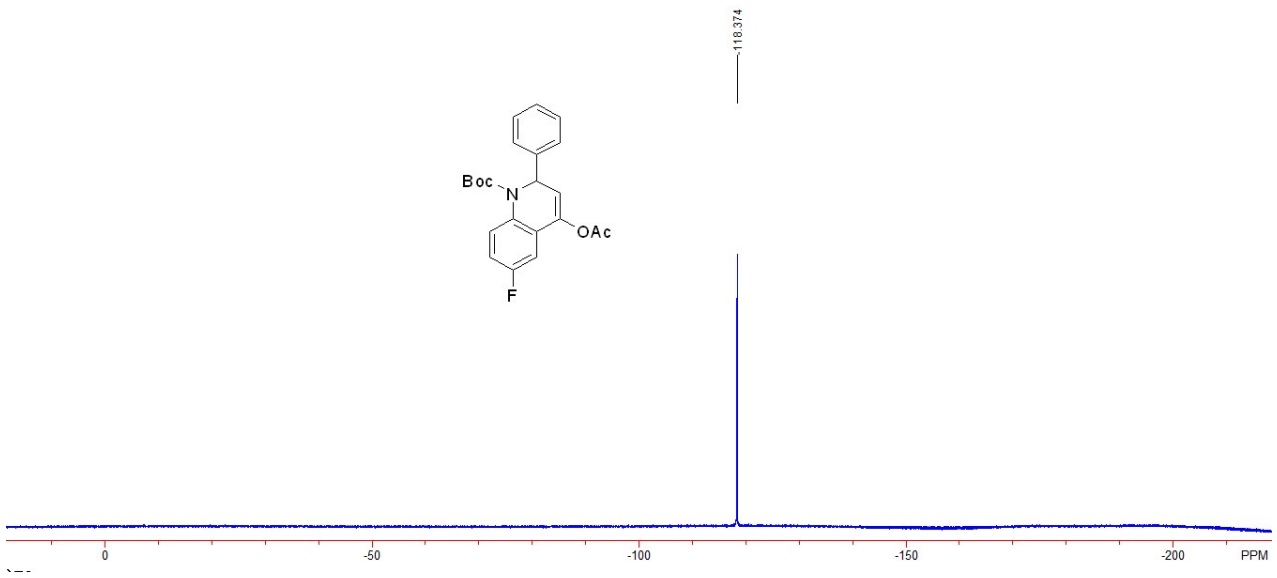


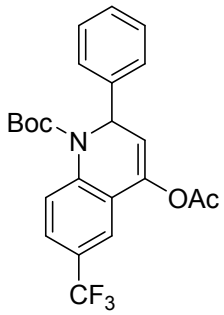
***tert*-Butyl 4-acetoxy-6-fluoro-2-phenylquinoline-1(2H)-carboxylate (2h)**: A yellow oil, 33mg, 86% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.47 (br s, 1H), 7.35-7.22 (m, 5H), 6.90-6.87 (m, 2H), 6.31 (d, *J* = 6.0 Hz, 1H), 6.05 (d, *J* = 6.4 Hz, 1H), 2.35 (s, 3H), 1.55 (s, 9H). ^{13}C NMR (100 MHz,

$CDCl_3$) δ 168.6, 158.9 (d, *J* = 241.4 Hz), 153.0, 142.7 (d, *J* = 2.2 Hz), 139.1, 131.4 (d, *J* = 2.9 Hz), 128.5, 128.0, 127.2, 126.6 (d, *J* = 6.6 Hz), 125.0 (d, *J* = 8.0 Hz), 116.8, 115.0 (d, *J* = 22.6 Hz), 108.0 (d, *J* = 24.8 Hz), 82.1, 54.7, 28.3, 20.9. ^{19}F NMR (376 MHz, $CDCl_3$, $CFCl_3$) δ -118.4. IR (CH_2Cl_2) ν 2976, 1768, 1697, 1614, 1586, 1490, 1455, 1437, 1368, 1322, 1307, 1290, 1251, 1225, 1196, 1079, 1030, 1008, 932, 900, 868, 805, 763, 738, 698 cm^{-1}. HRMS (ESI) Calcd. for $C_{22}H_{26}FN_2O_4^{+1}$ $(M+NH_4)^+$ requires: 401.1871, Found: 401.1870.

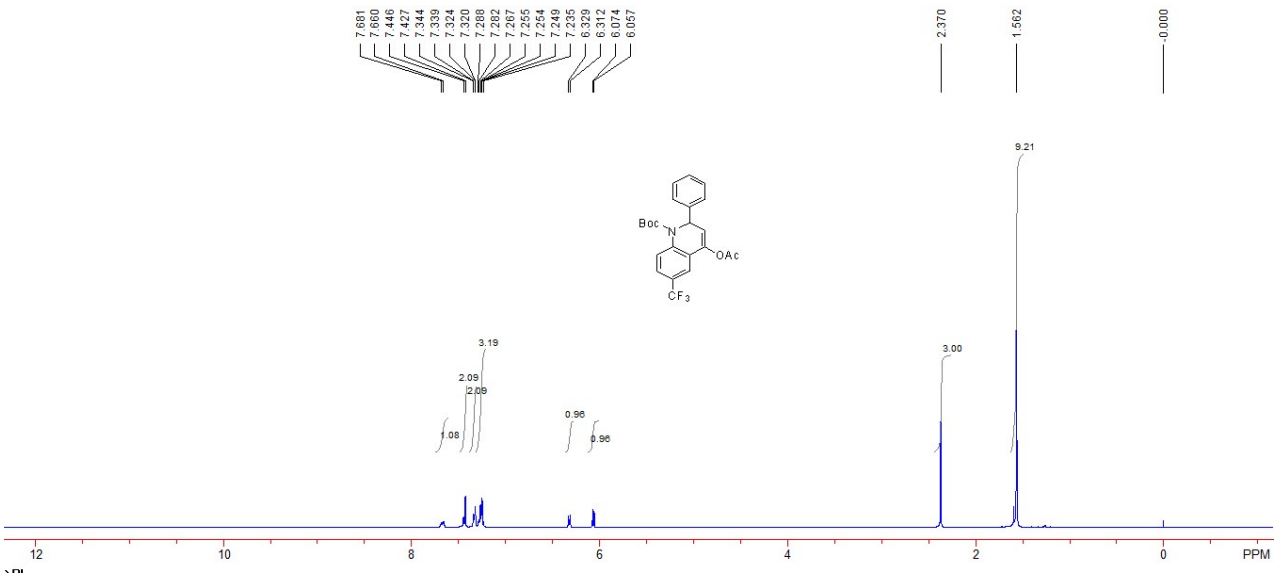








***tert*-Butyl 4-acetoxy-2-phenyl-6-(trifluoromethyl)quinoline-1(2H)-carboxylate (2i)**: A colorless oil, 37 mg, 85% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.67 (d, *J* = 8.4 Hz, 1H), 7.45-7.43 (m, 2H), 7.34-7.32 (m, 2H), 7.29-7.24 (m, 3H), 6.32 (d, *J* = 6.8 Hz, 1H), 6.07 (d, *J* = 6.8 Hz, 1H), 2.37 (s, 3H), 1.56 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.6, 152.6, 142.3, 139.1, 138.6, 128.6, 128.2, 127.1, 125.3 (q, *J* = 4.1 Hz), 125.2 (q, *J* = 3.6 Hz), 124.9, 124.1 (q, *J* = 287 Hz), 123.4, 118.3 (q, *J* = 3.5 Hz), 116.8, 82.8, 55.1, 28.3, 21.0. ^{19}F NMR (376 MHz, $CDCl_3$, $CFCl_3$) δ -62.2. IR (CH_2Cl_2) ν 2978, 2935, 1771, 1709, 1499, 1456, 1441, 1370, 1358, 1315, 1274, 1251, 1195, 1162, 1124, 1088, 1044, 897, 827, 764, 735, 698 cm^{-1}. HRMS (ESI) Calcd. for $C_{23}H_{26}F_3N_2O_4^{+1}$ $(M+NH_4)^+$ requires: 451.1839, Found: 451.1838.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7.254
7.249
7.235
6.329
6.312
6.074
6.057
2.370
1.562
0.000
Boc
N
OAc
CF3
9.21
3.19
2.09
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1.08
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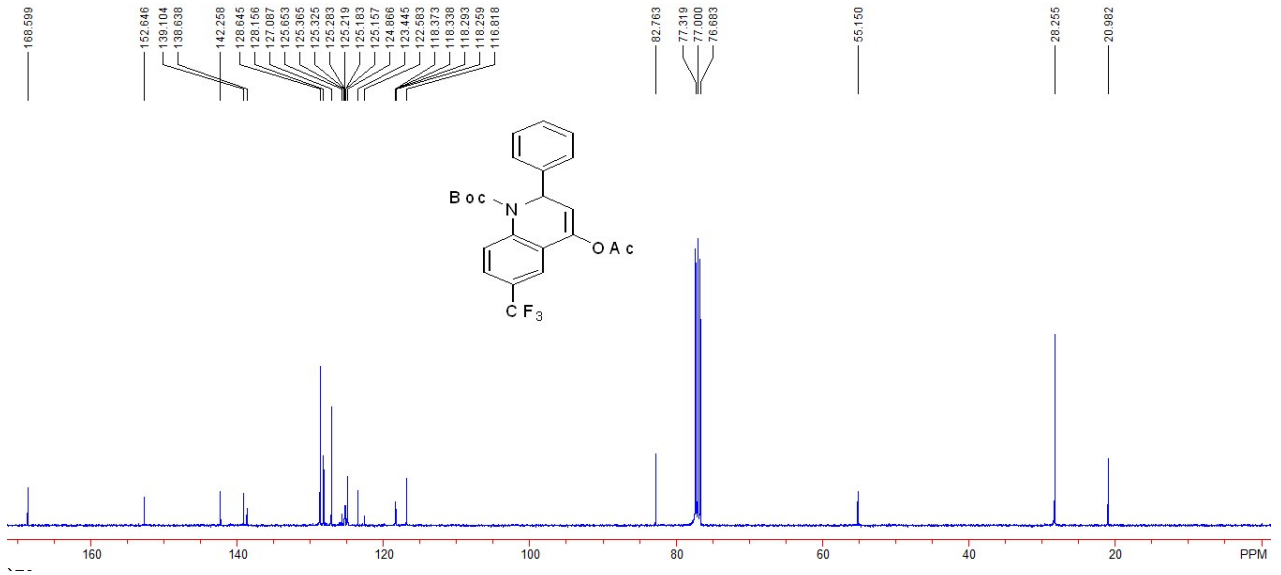
0.96
3.00
12
10
8
6
4
2
0
PPM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118.293
118.259
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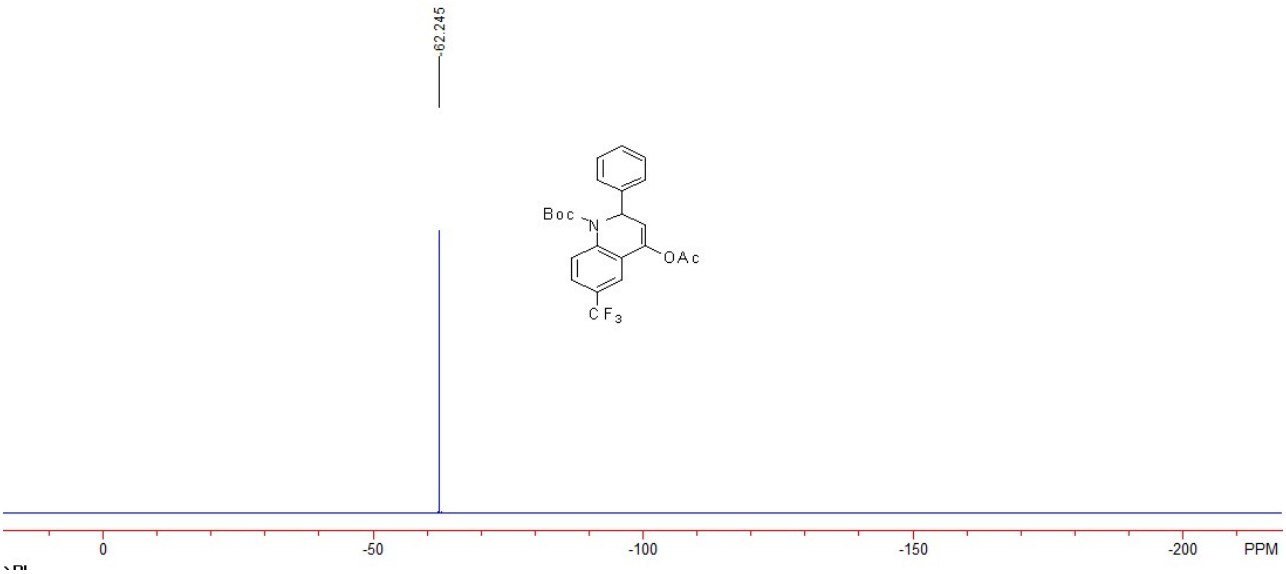
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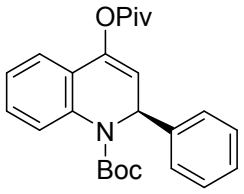
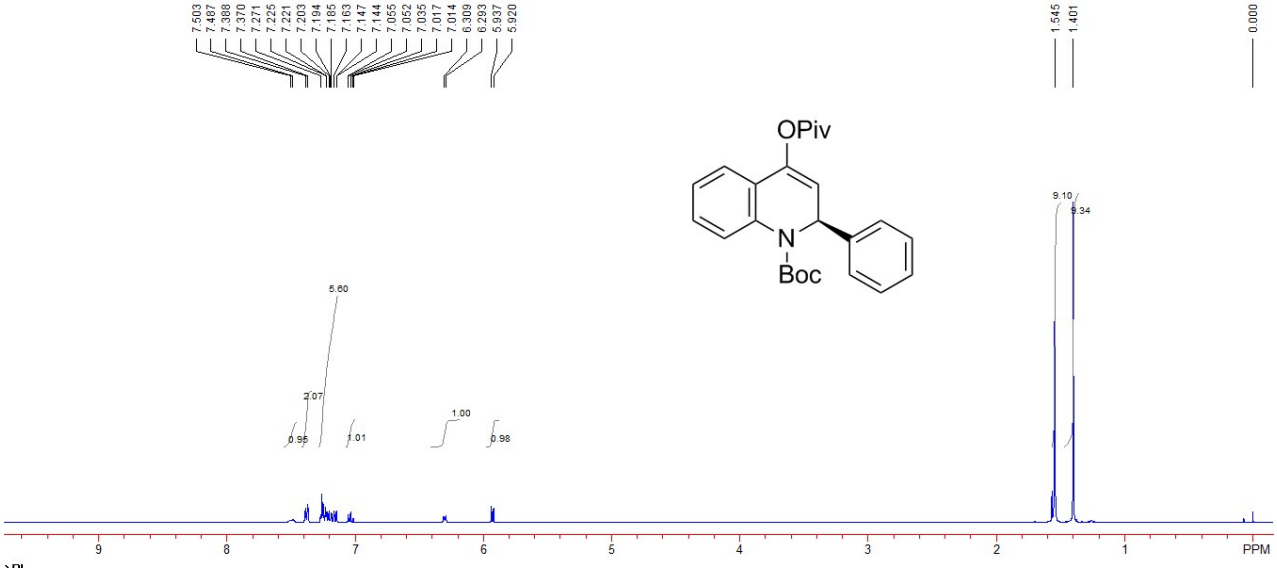
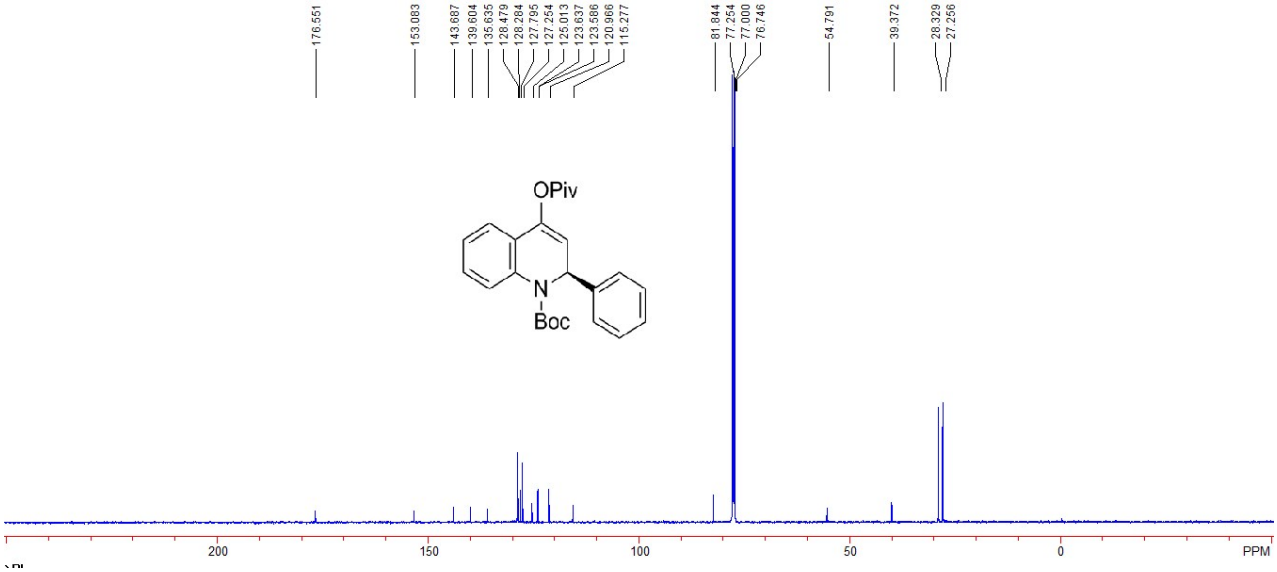
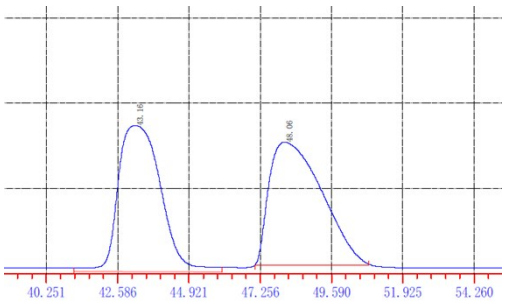


***tert*-Butyl (S)-2-phenyl-4-(pivaloyloxy)quinoline-1(2H)-carboxylate (2j)**: A yellow oil, 1.92 g, 96% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.50 (d, J = 6.4 Hz, 1H), 7.38 (d, J = 7.2 Hz, 2H), 7.27-7.14 (m, 5H), 7.04 (td, J_1 = 1.2 Hz, J_2 = 8.0 Hz, 1H), 6.30 (d, J = 6.4 Hz, 1H), 5.93 (d, J = 6.8 Hz, 1H), 1.55 (s, 9H), 1.40 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.6, 153.1, 143.7, 139.6, 135.6, 128.5, 128.3, 127.8, 127.3, 125.0, 123.64, 123.59, 121.0, 115.3, 81.8, 54.8, 39.4, 28.3, 27.3. IR (CH_2Cl_2) ν 2975, 2931, 1755, 1698, 1602, 1490, 1455, 1369, 1330, 1307, 1273, 1255, 1211, 1163, 1146, 1114, 1043, 1029, 987, 899, 753, 698 cm^{-1}. HRMS (ESI) Calcd. for $C_{25}H_{33}N_2O_4^{+1}$ $(M+NH_4)^+$ requires: 425.2435, Found: 425.2434.

Compound **2j**: A yelllow oil, 36 mg, 88% yield. Enantiomeric excess was determined by HPLC with a Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.3 mL/min; t_{minor} = 49.49 min, t_{major} = 43.50 min; ee% = 96%; $[\alpha]^{20}_D$ = -43.5 (c 1.00, CH_2Cl_2)].







ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
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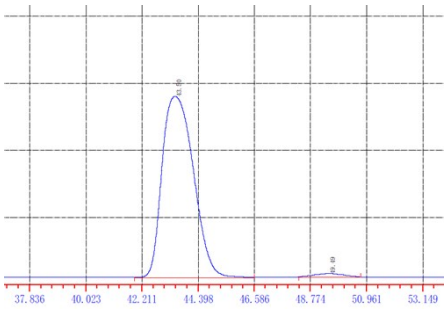
2		48.058	583998	70076245.8	50.8452	1.92	3197
Σ:			1277962	137822641.1	100.0000		



ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
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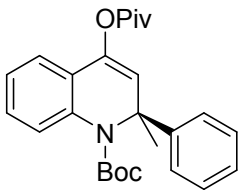
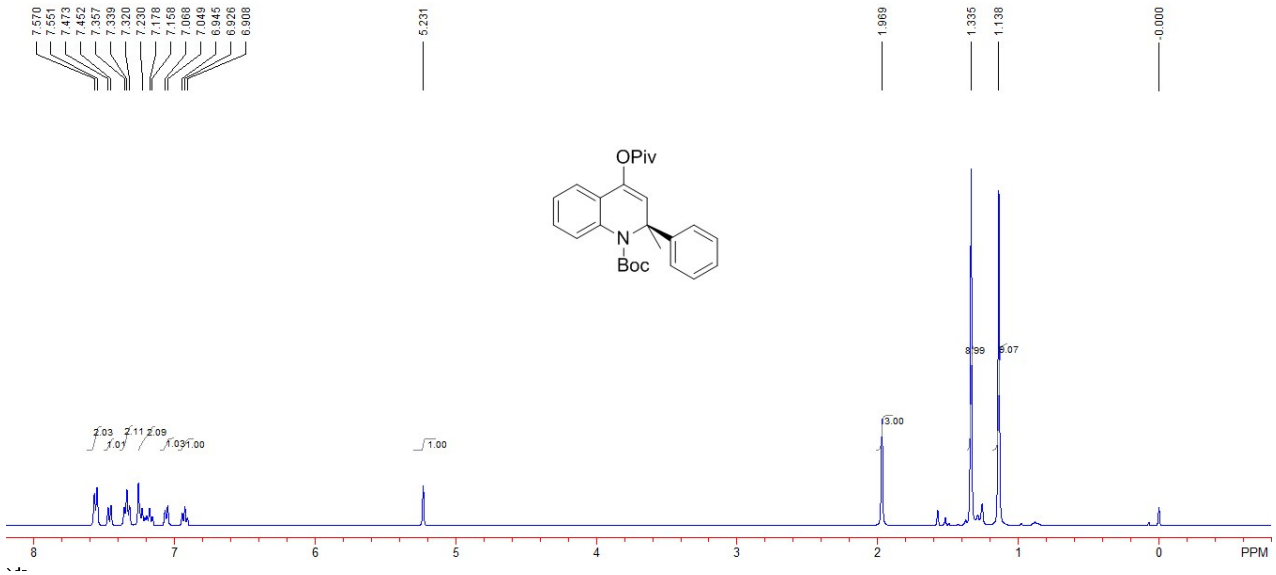
2		49.488	10903	918052.9	1.7370	1.00	6885
Σ:			618476	52853085.3	100.0000		

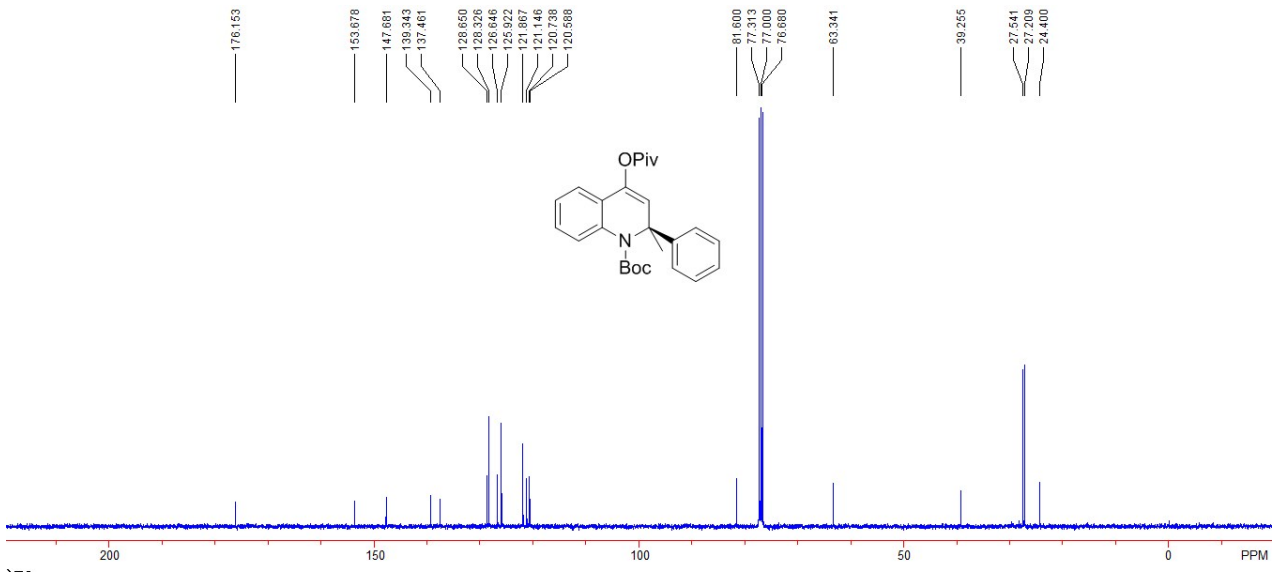
Translation: Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.3 mL/min; t_{minor} = 49.49 min, t_{major} = 43.50 min; ee% = 96%].

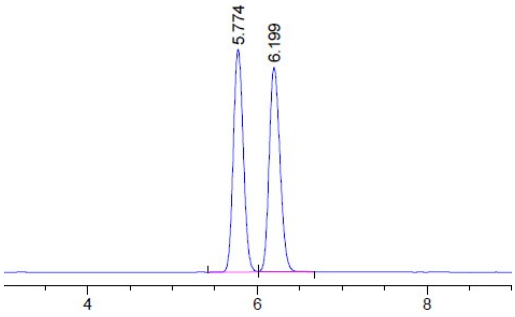


***tert*-Butyl (S)-2-methyl-2-phenyl-4-(pivaloyloxy)quinoline-1(2H)-carboxylate (2k)**: A white solid, 34 mg, 80% yield, m. p. 153-155 ^{o}C. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.56 (d, *J* = 7.6 Hz, 2H), 7.46 (d, *J* = 8.4 Hz, 1H), 7.34 (t, *J* = 7.6 Hz, 2H), 7.23-7.16 (m, 2H), 7.06 (d, *J* = 7.6 Hz, 1H), 6.93 (t, *J* = 7.6 Hz, 1H), 5.23 (s, 1H), 1.97 (s, 3H), 1.34 (s, 9H), 1.14 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.2, 153.7, 147.7, 139.3, 137.5, 128.7, 128.3, 126.6, 125.9, 121.9, 121.1, 120.7, 120.6, 81.6, 63.3, 39.3, 27.5, 27.2, 24.4. IR (EtOH) ν 2976, 2921, 2869, 1752, 1701, 1494, 1323, 1164, 1108, 750, 699 cm^{-1}. HRMS (ESI) Calcd. for $C_{26}H_{35}N_2O_4^{+1}$ $(M+NH_4)^+$ requires 439.2591, Found: 439.2593.

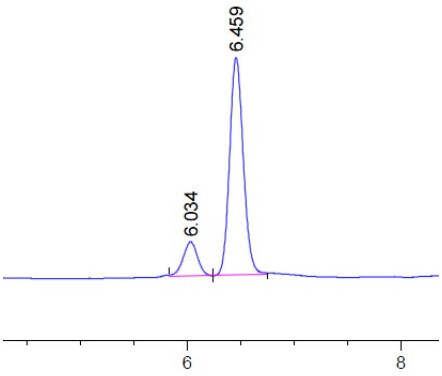
Compound **2k** : A white solid, 29 mg, 69% yield, m. p. 120-122 ^{o}C. Enantiomeric excess was determined by HPLC with a Chiralcel OD-H column [λ = 214 nm; eluent: Hexane/Isopropanol = 95/5; Flow rate: 0.5 mL/min; t_{minor} = 6.03 min, t_{major} = 6.46 min; ee% = 72%; $[\alpha]^{20}_D$ = -38.1 (c 1.00, CH_2Cl_2)].







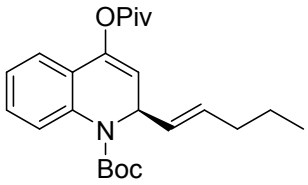
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	5.774	BV	0.1282	1.24131e4	1521.81287	49.9801
2	6.199	VB	0.1395	1.24230e4	1399.79712	50.0199



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	6.034	MF R	0.1379	461.48035	49.65342	14.0087
2	6.459	FM R	0.1430	2832.75122	318.57309	85.9913

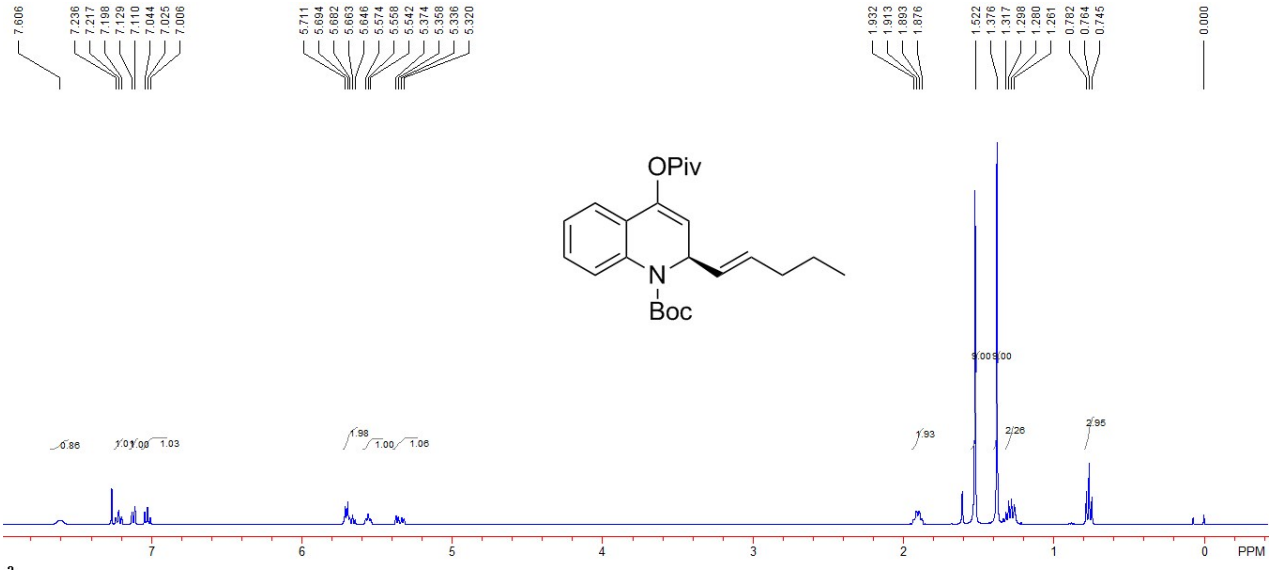
Translation: Chiralcel OD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 95/5; Flow rate:

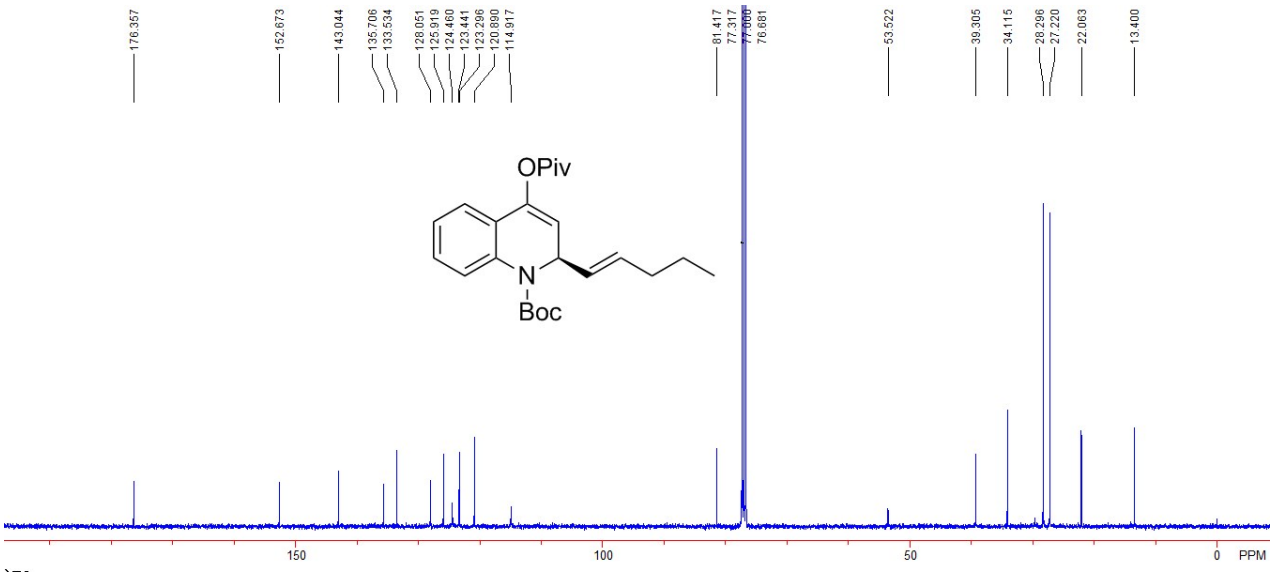
0.5 mL/min; t_{minor} = 6.03 min, t_{major} = 6.46 min; ee% = 72%].

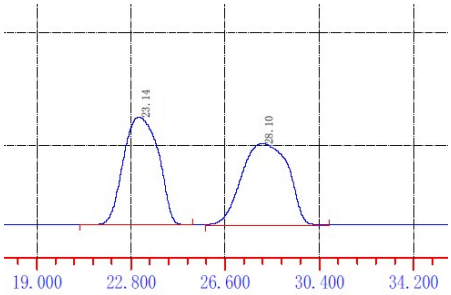


***tert*-Butyl (R,E)-2-(pent-1-en-1-yl)-4-(pivaloyloxy)quinoline-1(2H)-carboxylate (2m)**: A yellow oil, 38 mg, 95% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.61 (br, 1H), 7.22 (t, *J* = 7.6 Hz, 1H), 7.12 (d, *J* = 7.6 Hz, 1H), 7.03 (t, *J* = 7.6 Hz, 1H), 5.71-5.65 (m, 2H), 5.56 (t, *J* = 6.4 Hz, 1H), 5.35 (dd, J_1 = 6.4 Hz, J_2 = 15.2 Hz, 1H), 1.90 (q, *J* = 7.6 Hz, 2H), 1.52 (s, 9H), 1.38 (s, 9H), 1.29 (q, *J* = 7.6 Hz, 2H), 0.76 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.4, 152.7, 143.0, 135.7, 133.5, 128.1, 125.9, 124.5, 123.4, 123.3, 120.9, 114.9, 81.4, 53.5, 39.3, 34.1, 28.3, 27.2, 22.1, 13.4. IR (EtOH) ν 2971, 2931, 2873, 1755, 1698, 1488, 1368, 1330, 1144, 1163, 1112, 1043, 963, 753 cm^{-1}. HRMS (ESI) Calcd. for $C_{24}H_{37}N_2O_4^{+1}$ $(M+NH_4)^+$ requires 417.2748, Found: 417.2750.

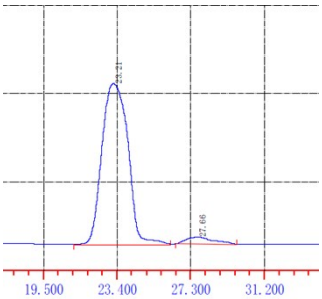
Compound **2m** : A yellow oil, 33 mg, 83% yield. Enantiomeric excess was determined by HPLC with a Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.3 mL/min; t_{minor} = 27.66 min, t_{major} = 23.21 min; ee% = 91%; $[\alpha]^{20}_D$ = -118.3 (c 1.00, CH_2Cl_2)].





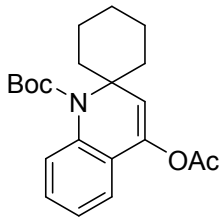


ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		23.137	77082	7561211.2	49.3544	1.05	1109
2		28.103	58669	7759021.3	50.6456	1.01	900
	Σ:		135751	15320232.5	100.0000		

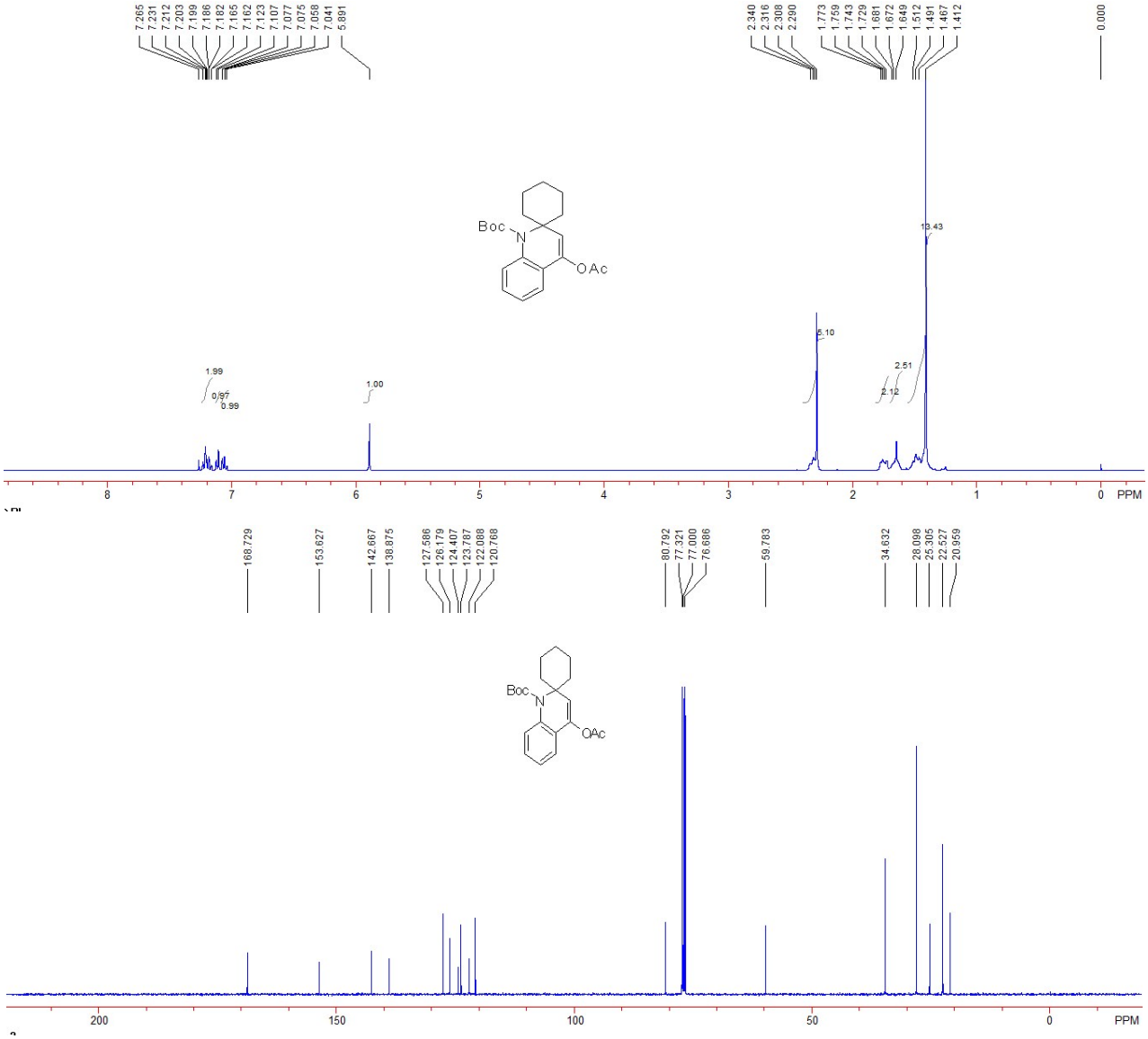


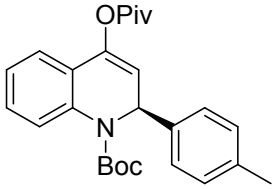
ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		23.213	147427	14203527.8	95.6434	1.07	1157
2		27.655	6457	646976.7	4.3566	1.33	1518
	Σ:		153884	14850504.6	100.0000		

Translation: Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.3 mL/min; t_{minor} = 27.66 min, t_{major} = 23.21 min; ee% = 91%].



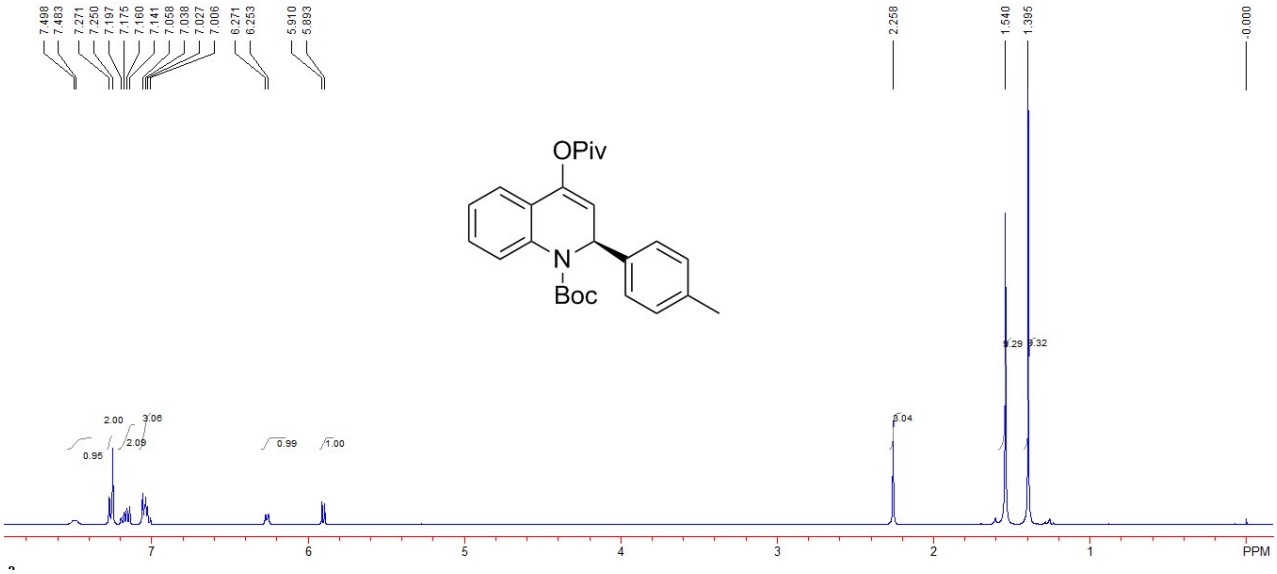
***tert*-Butyl 4'-acetoxy-1'H-spiro[cyclohexane-1,2'-quinoline]-1'-carboxylate (2n)**: A yellow oil, 30 mg, 90% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.23-7.16 (m, 2H), 7.12-7.11 (m, 1H), 7.08-7.04 (m, 1H), 5.89 (s, 1H), 2.34-2.29 (m, 5H), 1.77-1.73 (m, 2H), 1.68-1.65 (m, 2H), 1.51-1.41 (m, 13H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.7, 153.6, 142.7, 138.9, 127.6, 126.2, 124.4, 123.8, 122.1, 120.8, 80.8, 59.8, 34.6, 28.1, 25.3, 22.5, 21.0. IR (CH_2Cl_2) ν 2935, 2860, 1725, 1580, 1518, 1447, 1392, 1366, 1304, 1282, 1229, 1153, 1041, 1022, 977, 958, 913, 840, 803, 753, 703 cm^{-1}. HRMS (ESI) Calcd. for $C_{21}H_{31}N_2O_4^{+1}$ $(M+NH_4)^+$ requires: 375.2278, Found: 375.2278.

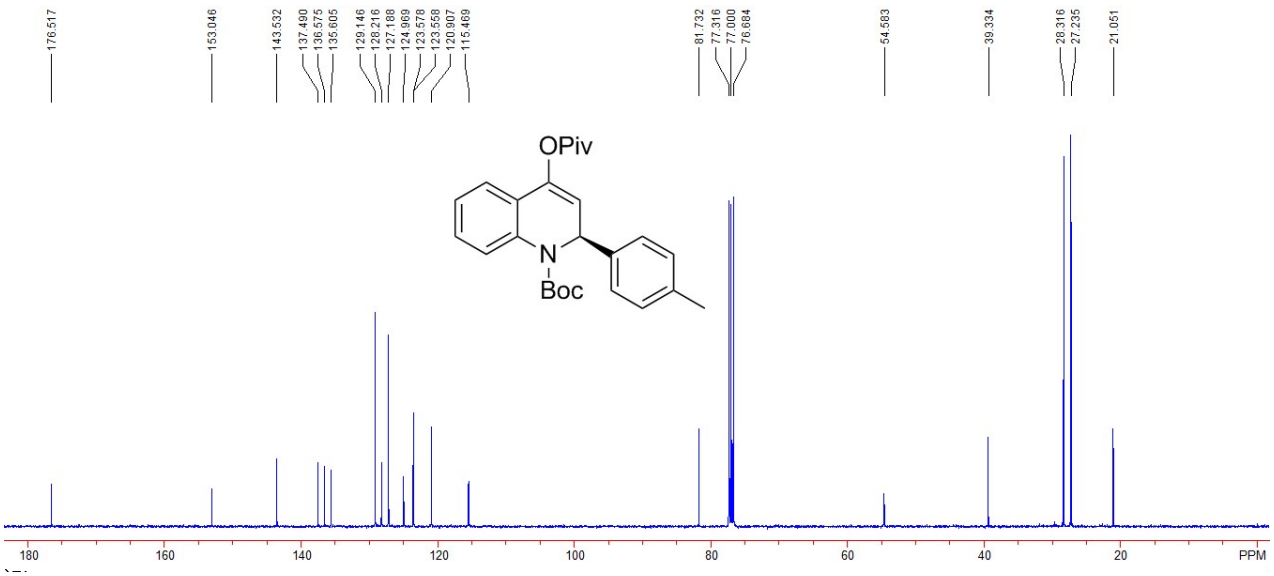


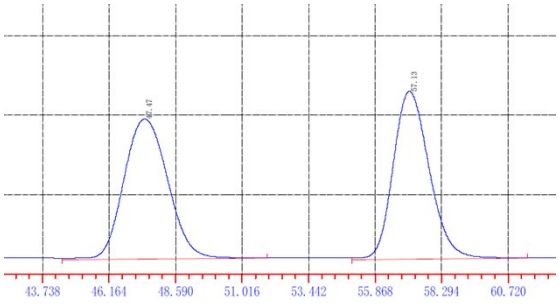


***tert*-Butyl (S)-4-(pivaloyloxy)-2-(p-tolyl)quinoline-1(2H)-carboxylate (2o)**: A yellow oil, 36 mg, 86% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.49 (d, *J* = 6.0 Hz, 1H), 7.27-7.25 (m, 2H), 7.20-7.14 (m, 2H), 7.06-7.01 (m, 3H), 6.26 (d, *J* = 7.2 Hz, 1H), 5.90 (d, *J* = 6.8 Hz, 1H), 2.26 (s, 3H), 1.54 (s, 9H), 1.40 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.5, 153.0, 143.5, 137.5, 136.6, 135.6, 129.1, 128.2, 127.2, 125.0, 123.57, 123.55, 120.9, 115.5, 81.7, 54.6, 39.3, 28.3, 27.2, 21.1. IR (EtOH) ν 2975, 2931, 2866, 1754, 1696, 1512, 1488, 1368, 1328, 1272, 1161, 1110, 1042, 1030, 899, 754, 731 cm^{-1}. HRMS (ESI) Calcd. for $C_{26}H_{35}N_2O_4^{+1}$ $(M+NH_4)^+$ requires 439.2591, Found: 439.2593.

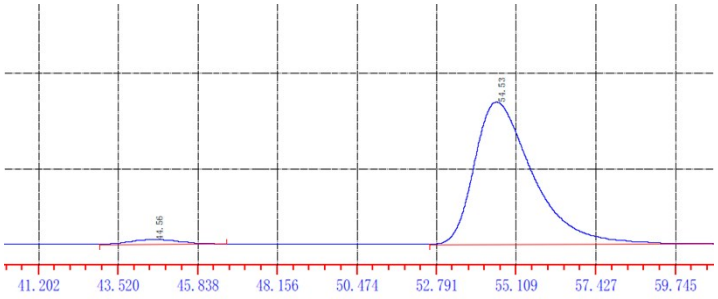
Compound **2o** : A yellow oil, 32 mg, 76% yield. Enantiomeric excess was determined by HPLC with a Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.3 mL/min; t_{minor} = 44.56 min, t_{major} = 54.53 min; ee% = 94%; $[\alpha]^{20}_D$ = -64.1 (c 1.00, CH_2Cl_2)].





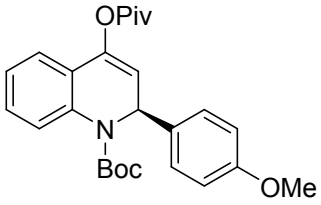


ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		47.467	99683	11137223.5	50.3487	1.12	3597
2		57.132	119438	10982959.5	49.6513	1.20	7694
	Σ:		219121	22120183.1	100.0000		



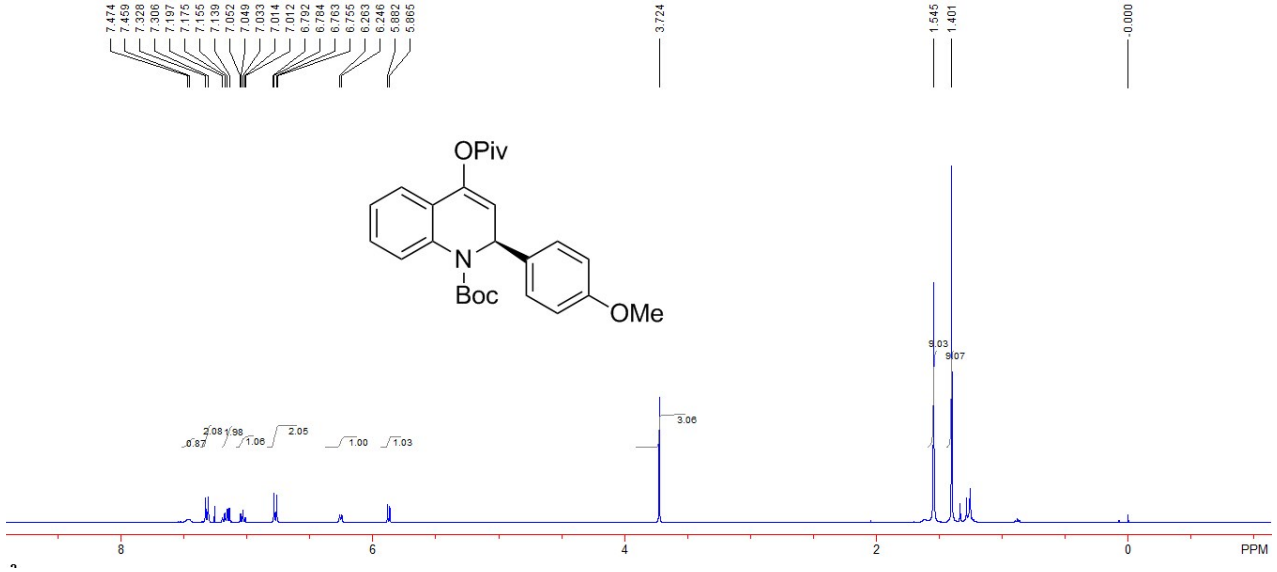
ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		44.563	3332	365255.8	3.1327	1.16	3294
2		54.533	94679	11294123.6	96.8673	1.63	4165
	Σ:		98011	11659379.4	100.0000		

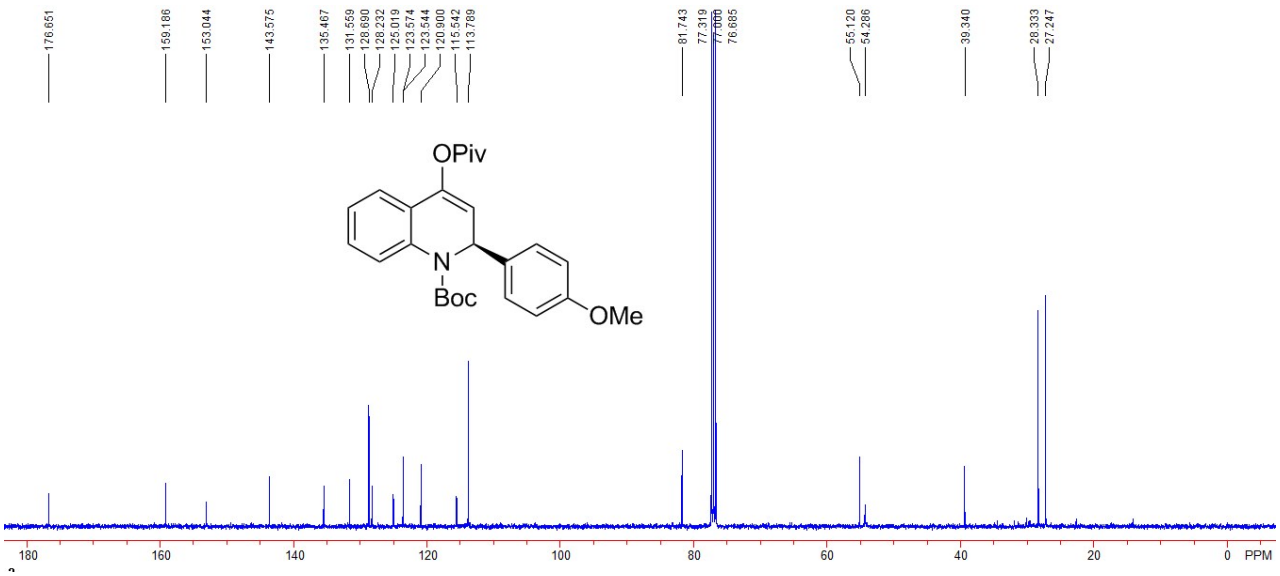
Translation: Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.3 mL/min; t_{minor} = 44.56 min, t_{major} = 54.53 min; ee% = 94%].

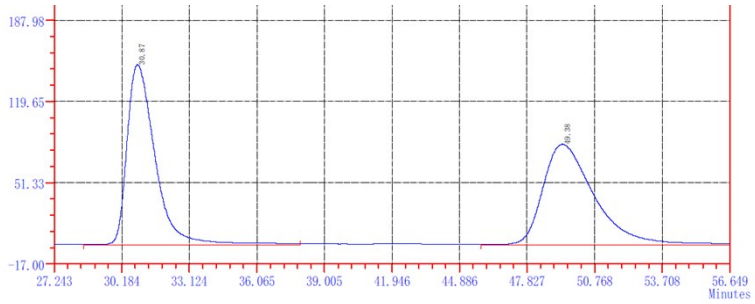


***tert*-Butyl (S)-2-(4-methoxyphenyl)-4-(pivaloyloxy)quinoline-1(2H)-carboxylate (2p)**: A brown oil, 43 mg, 98% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.47 (d, J = 6.0 Hz, 1H), 7.32 (d, J = 8.8 Hz, 2H), 7.20-7.14 (m, 2H), 7.03 (td, J_1 = 0.8 Hz, J_2 = 7.6 Hz, 1H), 6.79-6.76 (m, 2H), 6.26 (d, J = 6.8 Hz, 1H), 5.87 (J = 6.8 Hz, 1H), 3.72 (s, 3H), 1.55 (s, 9H), 1.40 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.7, 159.2, 153.0, 143.6, 135.5, 131.6, 128.7, 128.2, 125.0, 123.6, 123.5, 120.9, 115.5, 113.8, 81.7, 55.1, 54.3, 39.3, 28.3, 27.2. IR (EtOH) ν 3072, 2975, 2932, 2876, 2833, 1753, 1695, 1510, 1368, 1330, 1247, 1161, 1110, 1030, 834, 756, 735 cm^{-1}. HRMS (ESI) Calcd. for $C_{26}H_{35}N_2O_5^{+1}$ $(M+NH_4)^+$ requires 455.2540, Found: 455.2541.

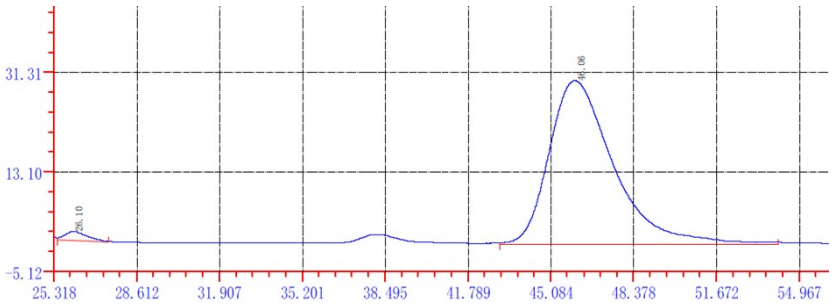
Compound **2p** : A yellow oil, 35 mg, 80% yield. Enantiomeric excess was determined by HPLC with a Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.3 mL/min; t_{minor} = 26.10 min, t_{major} = 46.06 min; ee% = 96%; $[\alpha]^{20}_D$ = -56.5 (c 1.00, CH_2Cl_2)].





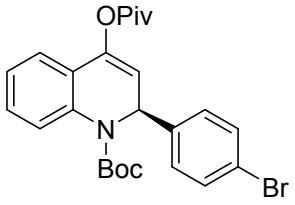


ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		30.873	151752	13067277.6	49.5041	1.61	2562
2		49.382	84712	13329071.1	50.4959	1.58	1963
	Σ:		236464	26396348.7	100.0000		



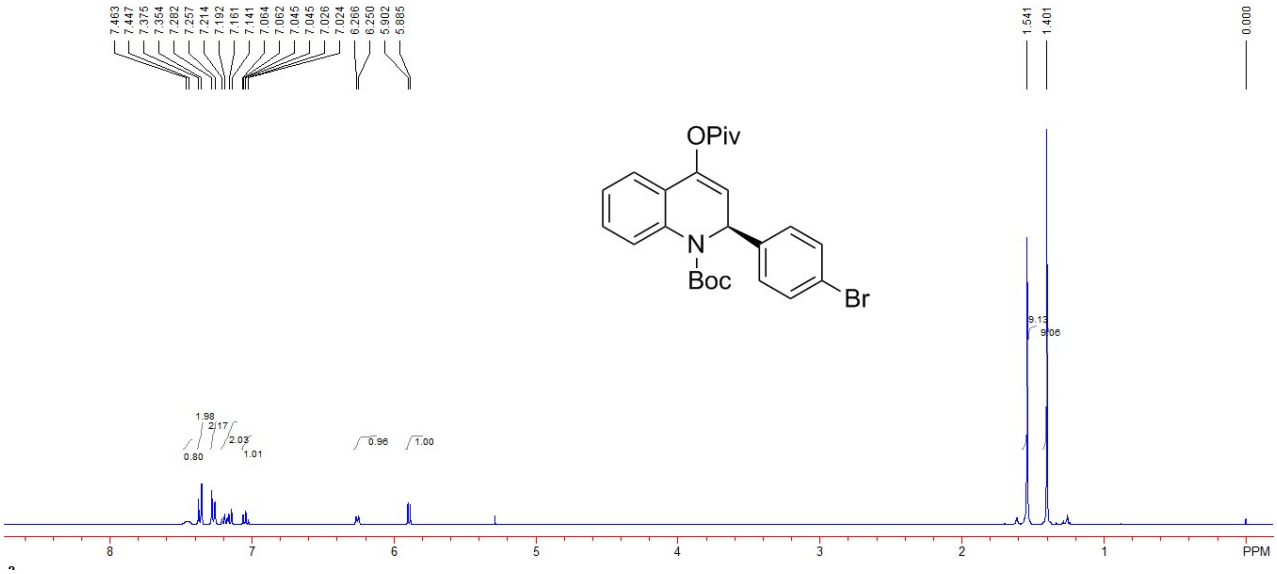
ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		26.100	1624	99895.0	1.8235	1.28	3588
2		46.063	29986	5378320.3	98.1765	1.54	1315
	Σ:		31610	5478215.3	100.0000		

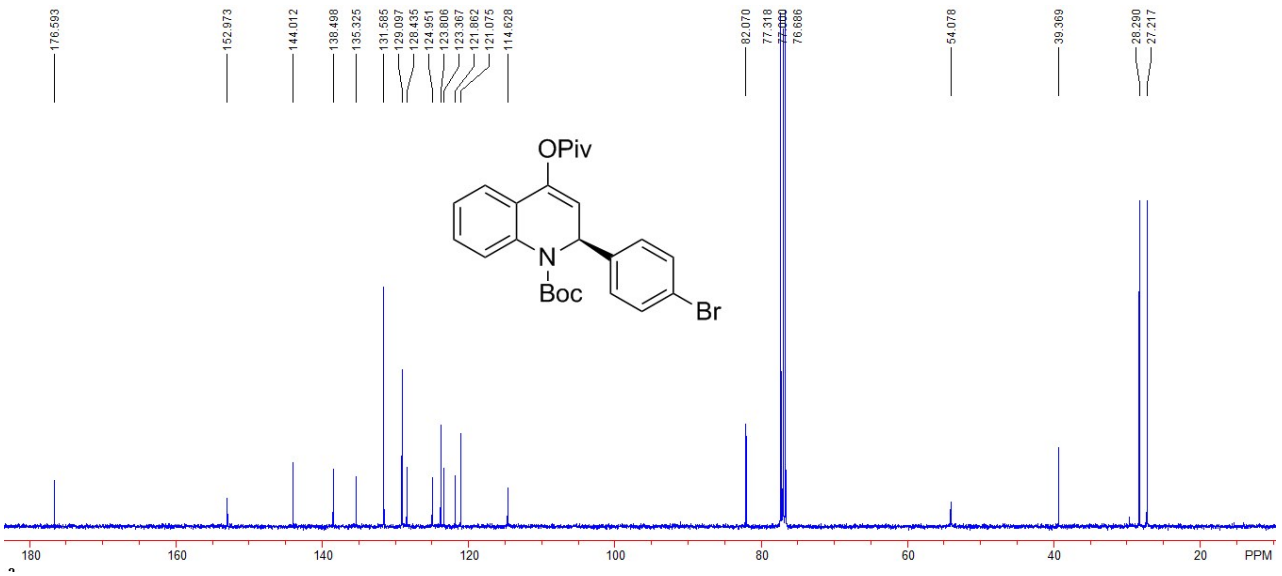
Translation: Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.3 mL/min; t_{minor} = 26.10 min, t_{major} = 46.06 min; ee% = 96%].

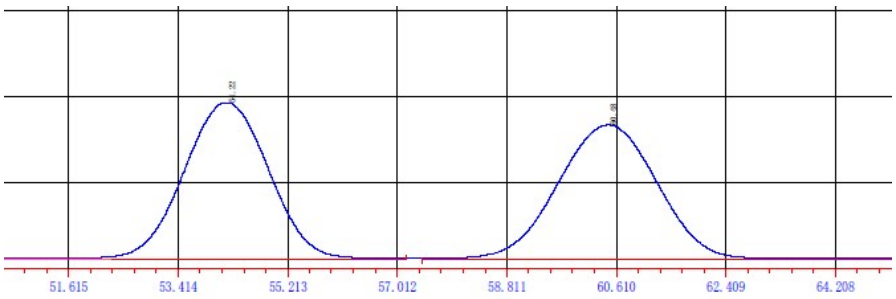


***tert*-Butyl (S)-2-(4-bromophenyl)-4-(pivaloyloxy)quinoline-1(2H)-carboxylate (2q)**: A white solid, 44 mg, 91% yield, m. p. 126-130 °C. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.46 (d, J = 6.4 Hz, 1H), 7.36 (d, J = 8.8 Hz, 2H), 7.28-7.26 (m, 2H), 7.21-7.14 (m, 2H), 7.05 (td, J_1 = 0.8 Hz, J_2 = 7.6 Hz), 6.26 (d, J = 6.4 Hz, 1H), 5.89 (d, J = 6.8 Hz, 1H), 1.54 (s, 9H), 1.40 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.6, 153.0, 144.0, 138.5, 135.3, 131.6, 129.1, 128.4, 125.0, 123.8, 123.4, 121.9, 121.1, 114.6, 82.1, 54.1, 39.4, 28.3, 27.2. IR (EtOH) ν 3638, 3547, 3391, 3189, 2976, 2920, 2849, 1740, 1699, 1491, 1367, 1334, 1274, 1161, 1136, 1118, 1030, 866, 831, 753 cm^{-1}. HRMS (ESI) Calcd. for $C_{25}H_{32}N_2O_4^{+1}$ $(M+NH_4)^+$ requires 503.1540, Found: 503.1539.

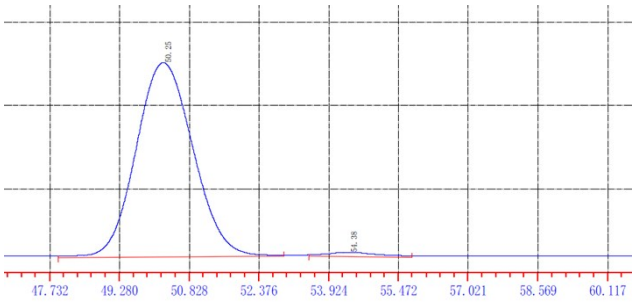
Compound **2q**: A white solid, 42 mg, 86% yield, m. p. 100-102 °C. Enantiomeric excess was determined by HPLC with a Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.3 mL/min; t_{minor} = 54.38 min, t_{major} = 50.25 min; ee% = 96%; $[\alpha]^{20}_D$ = -111.1 (c 1.00, CH_2Cl_2)].





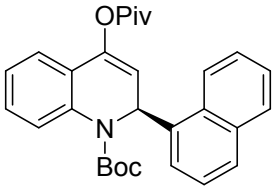


ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		54.215	188595	18378310.5	50.1329	1.00	6169
2		60.483	161118	18280844.5	49.8671	0.98	5664
	Σ:		349713	36659155.0	100.0000		



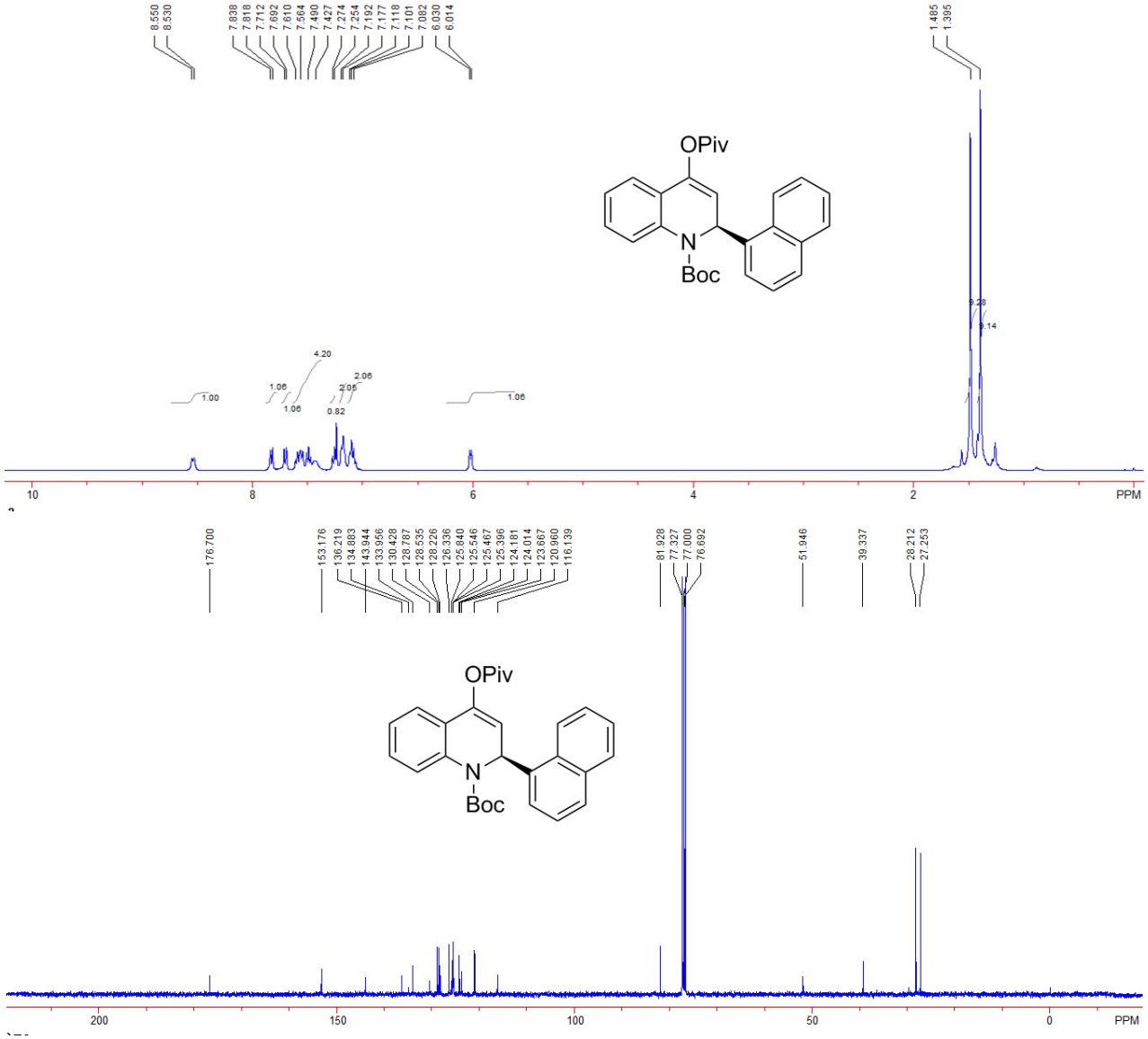
ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		50.253	145955	12898733.9	98.0561	1.09	6445
2		54.378	3048	255708.7	1.9439	1.28	8374
	Σ:		149003	13154442.7	100.0000		

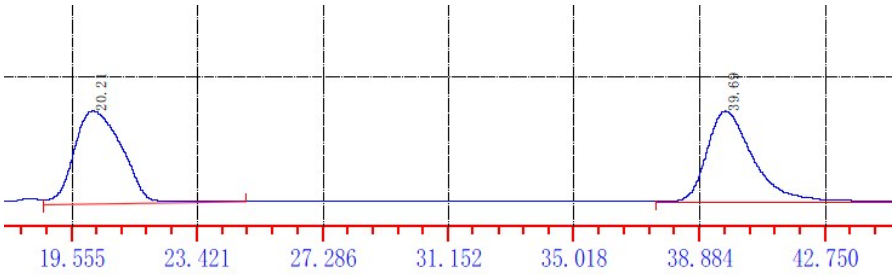
Translation: Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.3 mL/min; t_{minor} = 54.38 min, t_{major} = 50.25 min; ee% = 96%].



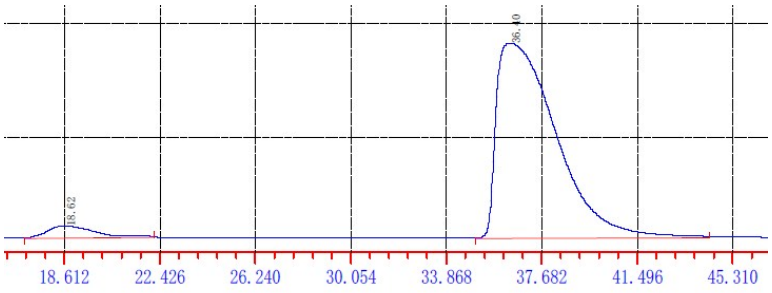
***tert*-Butyl (S)-2-(naphthalen-1-yl)-4-(pivaloyloxy)quinoline-1(2H)-carboxylate (2r)**: A yellow solid, 42 mg, 92% yield, m. p. 184-188 ^{o}C. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 8.54 (d, *J* = 8.0 Hz, 1H), 7.83 (d, *J* = 8.0 Hz, 1H), 7.70 (d, *J* = 8.0 Hz, 1H), 7.61-7.43 (m, 4H), 7.27-7.25 (m, 1H), 7.19-7.18 (m, 2H), 7.12-7.08 (m, 2H), 6.02 (d, *J* = 6.4 Hz, 1H), 1.49 (s, 9H), 1.40 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.7, 153.2, 143.9, 136.2, 134.8, 134.0, 130.4, 128.8, 128.5, 128.2, 126.3, 125.8, 125.54, 125.46, 125.4, 124.2, 124.0, 123.7, 121.0, 116.1, 81.9, 51.9, 39.3, 28.2, 27.3. IR (EtOH) ν 3394, 2966, 2921, 2849, 1753, 1699, 1488, 1327, 1111, 1025, 937, 766, 659 cm^{-1}. HRMS (ESI) Calcd. for $C_{29}H_{35}N_2O_4^{+1}$ $(M+NH_4)^+$ requires 475.2591, Found: 475.2592.

Compound **2r** : A yellow oil, 38 mg, 83% yield. Enantiomeric excess was determined by HPLC with a Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.30 mL/min; t_{minor} = 18.62 min, t_{major} = 36.40 min; ee% = 90%; $[\alpha]^{20}_D$ = -81.3 (c 1.00, CH_2Cl_2)].



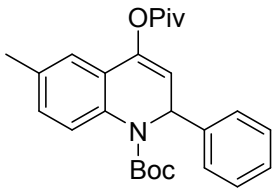


ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		20.208	74300	7650118.5	50.4951	1.14	768
2		39.687	72959	7500088.1	49.5049	1.52	2971
	Σ:		147259	15150206.6	100.0000		

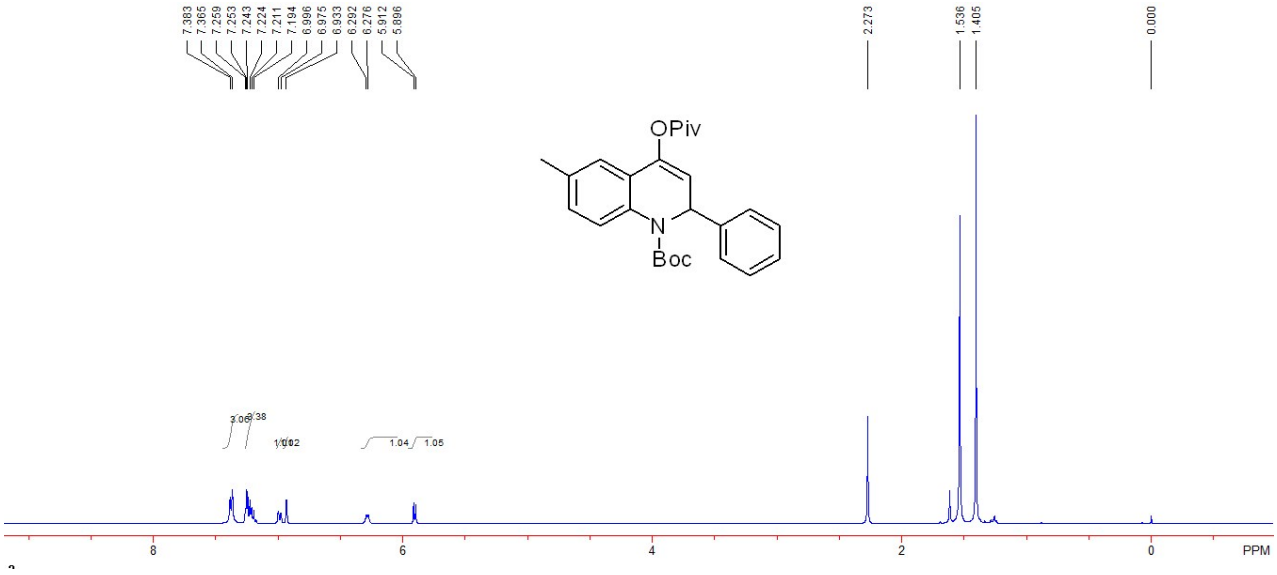


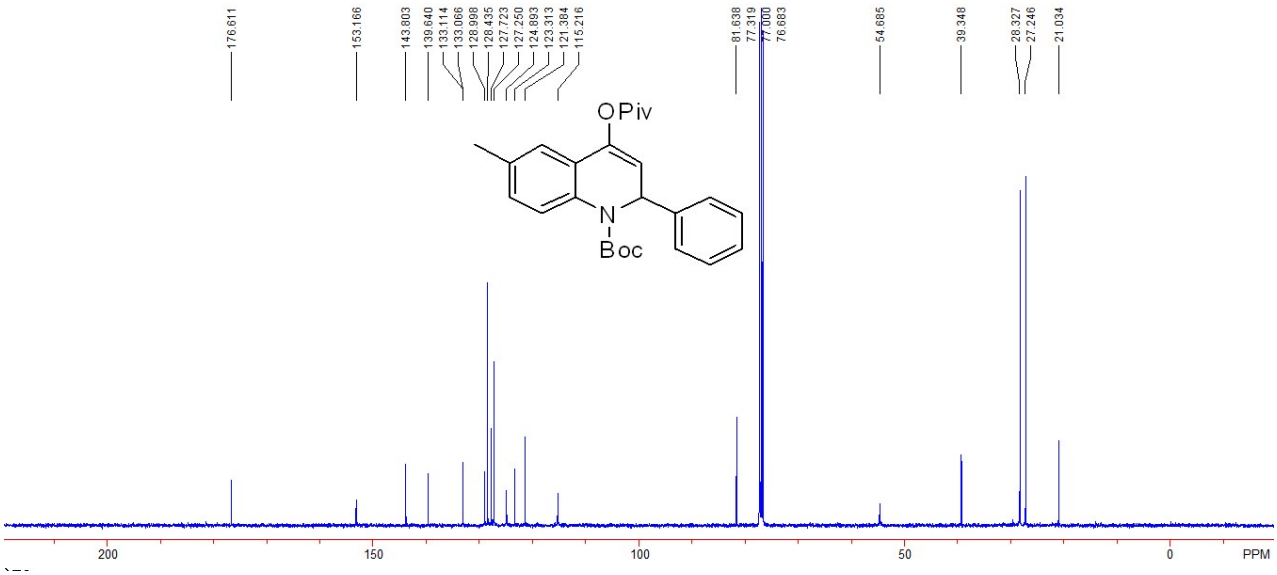
ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		18.620	43766	5911018.3	4.8319	1.61	379
2		36.403	701735	116422147.8	95.1681	3.08	960
	Σ:		745501	122333166.1	100.0000		

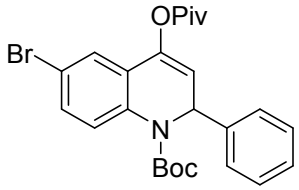
Translation: Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.30 mL/min; t_{minor} = 18.62 min, t_{major} = 36.40 min; ee% = 90%].



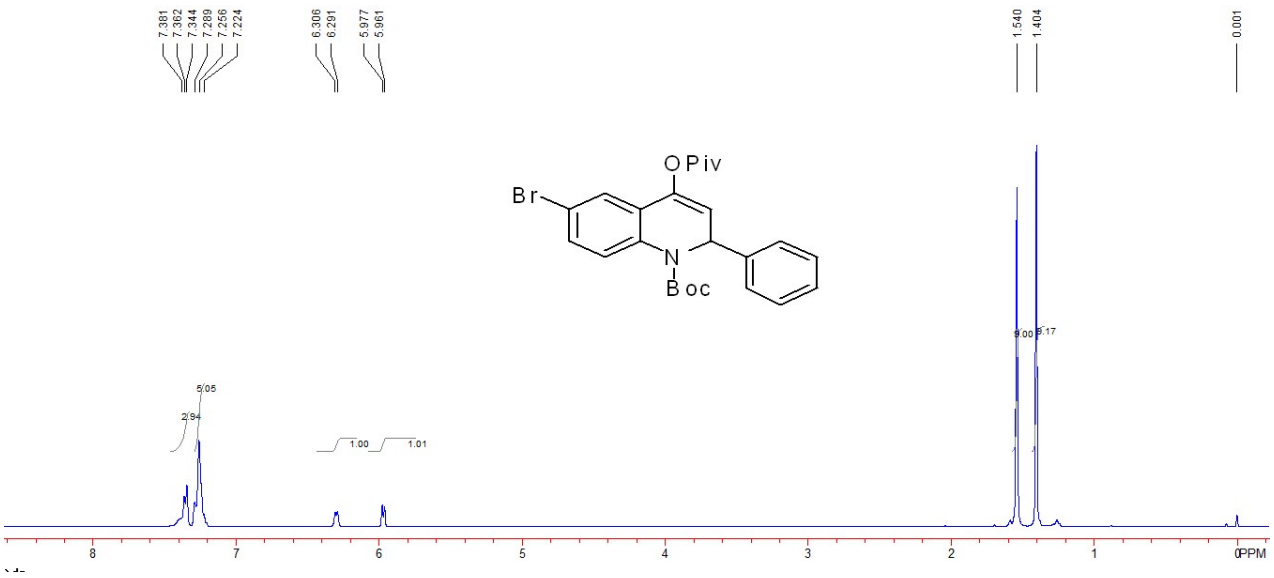
***tert*-Butyl 6-methyl-2-phenyl-4-(pivaloyloxy)quinoline-1(2H)-carboxylate (2s)**: A brown oil, 41 mg, 97% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.38-7.37 (m, 3H), 7.26-7.19 (m, 3H), 6.99 (d, *J* = 8.4 Hz, 1H), 6.93 (s, 1H), 6.28 (d, *J* = 6.4 Hz, 1H), 5.90 (d, *J* = 6.4 Hz, 1H), 2.27 (s, 3H), 1.54 (s, 9H), 1.41 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.6, 153.2, 143.8, 139.6, 133.11, 133.06, 129.0, 128.4, 127.7, 127.3, 124.9, 123.3, 121.4, 115.2, 81.6, 54.7, 39.3, 28.3, 27.2, 21.0. IR (EtOH) ν 3065, 2971, 2923, 2866, 1751, 1693, 1493, 1367, 1325, 1250, 1150, 1117, 1042, 914, 877, 807, 743, 703 cm^{-1}. HRMS (ESI) Calcd. for $C_{26}H_{35}N_2O_4^{+1}$ $(M+NH_4)^+$ requires 439.2591, Found: 439.2593.

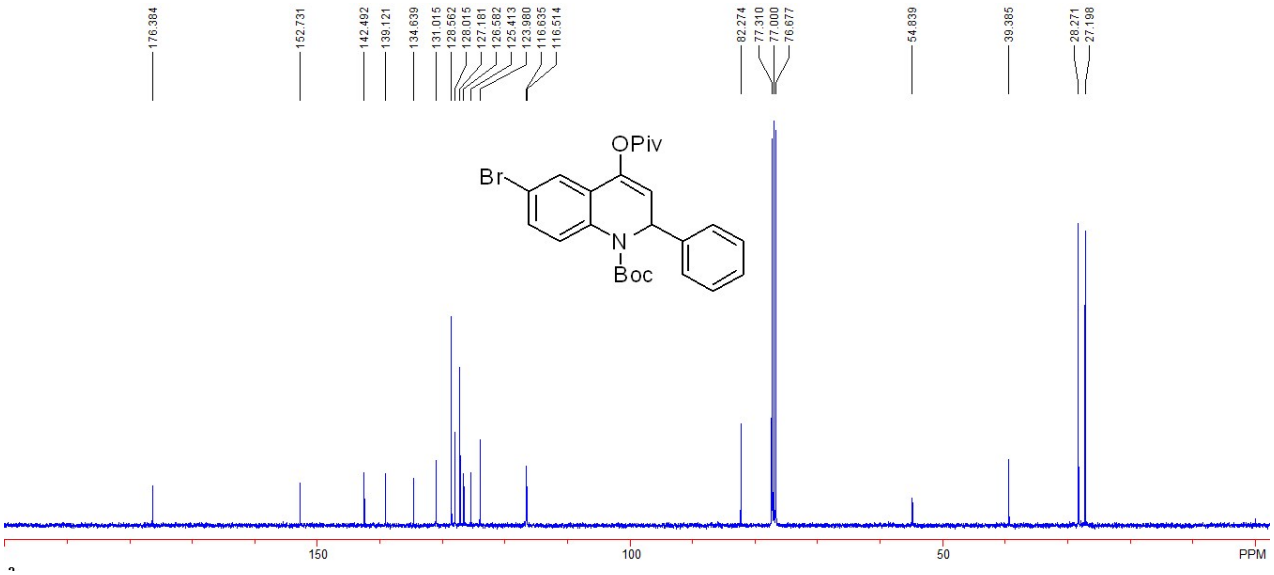


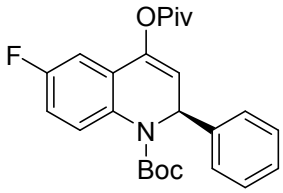




***tert*-Butyl 6-bromo-2-phenyl-4-(pivaloyloxy)quinoline-1(2H)-carboxylate (2t)**: A yellow oil, 45 mg, 93% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.38-7.34 (m, 3H), 7.29-7.22 (m, 5H), 6.30 (d, J = 6.0 Hz, 1H), 5.97 (d, J = 6.4 Hz, 1H), 1.54 (s, 9H), 1.40 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.4, 152.7, 142.5, 139.1, 134.6, 131.0, 128.6, 128.0, 127.2, 126.6, 125.4, 124.0, 116.6, 116.5, 82.3, 54.8, 39.4, 28.3, 27.2. IR (EtOH) ν 2975, 2934, 2865, 2250, 1752, 1696, 1481, 1320, 1147, 1113, 1028, 910, 731, 697 cm^{-1}. HRMS (ESI) Calcd. for $C_{25}H_{32}N_2O_4^{+1}$ $(M+NH_4)^+$ requires 503.1540, Found: 503.1540.



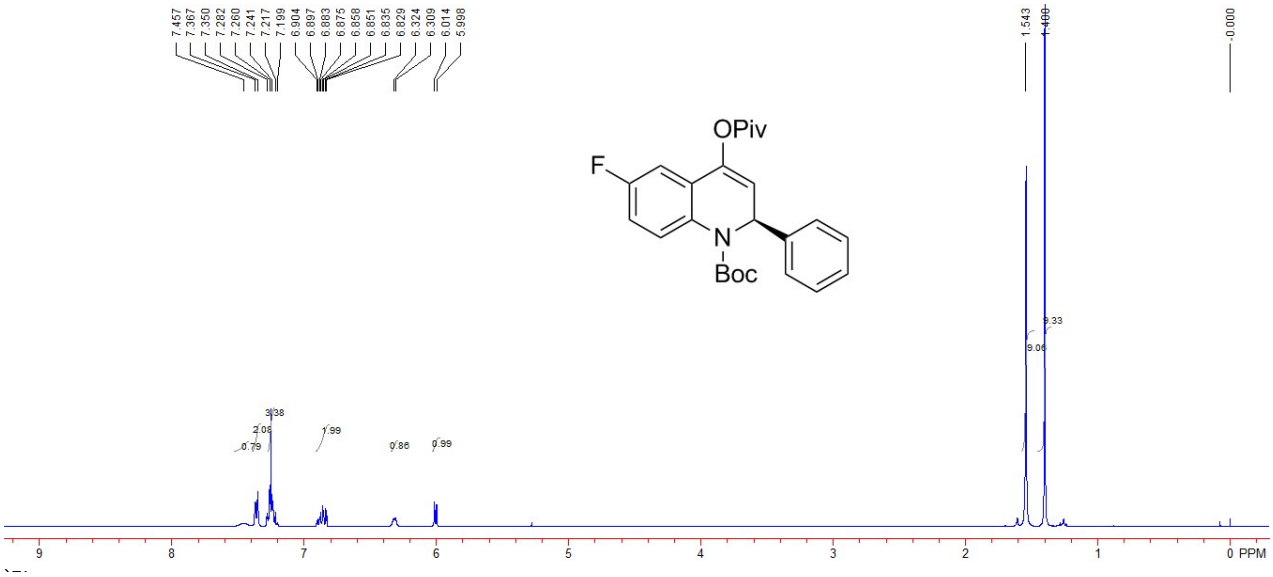


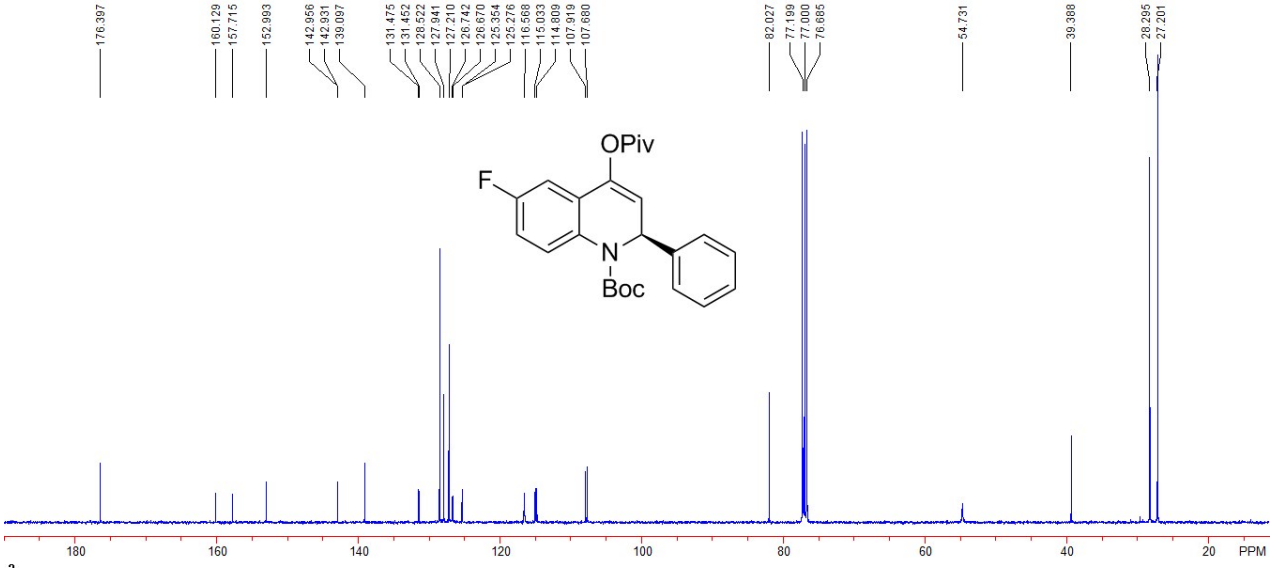


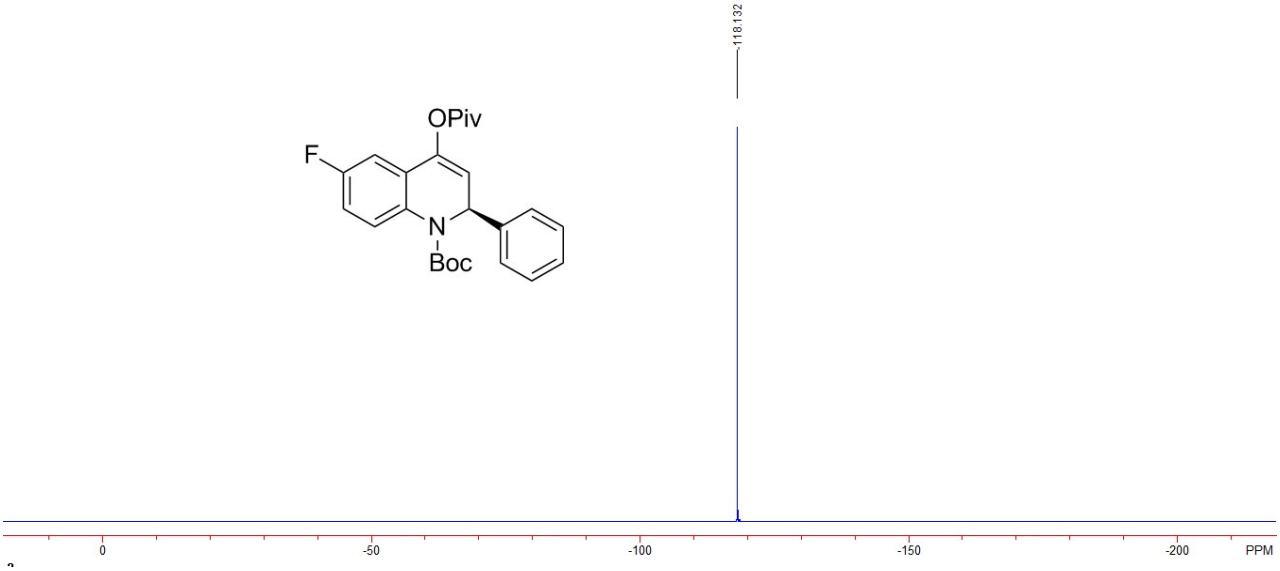
***tert*-Butyl (S)-6-fluoro-2-phenyl-4-(pivaloyloxy)quinoline-1(2H)-carboxylate (2u)**: A yellow oil, 41 mg, 96% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.46 (br, 1H), 7.36 (d, *J* = 6.8 Hz, 2H), 7.28-7.20 (m, 3H), 6.90-6.83 (m, 2H), 6.32 (d, *J* = 6.0 Hz, 1H), 6.01 (d, *J* = 6.4 Hz, 1H), 1.54 (s, 9H), 1.40 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.4, 158.9 (d, *J* = 241.4 Hz), 153.0, 142.9 (d, *J* = 2.5 Hz), 139.1, 131.5 (d, *J* = 2.3 Hz), 128.5, 127.9, 127.2, 126.7 (d, *J* = 6.8 Hz), 125.3 (d, *J* = 7.8 Hz), 116.6, 114.9 (d, *J* = 22.4 Hz), 107.8 (d, *J* = 23.9 Hz), 82.0, 54.7, 39.4, 28.3, 27.0. ^{19}F NMR (376 MHz, $CDCl_3$, $CFCl_3$) δ -118.1. IR (EtOH) ν 2977, 2935, 2869, 2257, 1753, 1695, 1488, 1368,

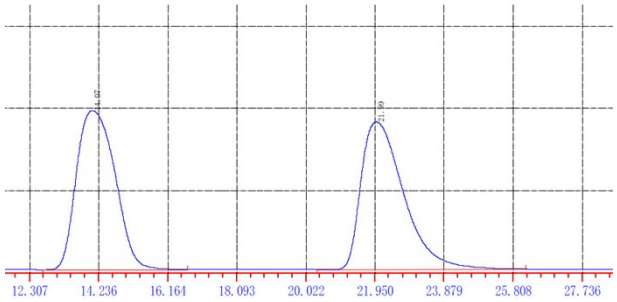
1322, 1250, 1157, 1113, 1029, 911, 867, 733, 698 cm^{-1}. HRMS (ESI) Calcd. for $C_{25}H_{32}N_2O_4^{+1}$ $(M+NH_4)^+$ requires 443.2341, Found: 443.2344.

Compound **2u** : A yellow oil , 30 mg, 70% yield. Enantiomeric excess was determined by HPLC with a Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.3 mL/min; t_{minor} = 14.10 min, t_{major} = 22.08 min; ee% = 91%; $[\alpha]^{20}_D$ = -68.0 (c 1.00, CH_2Cl_2)].

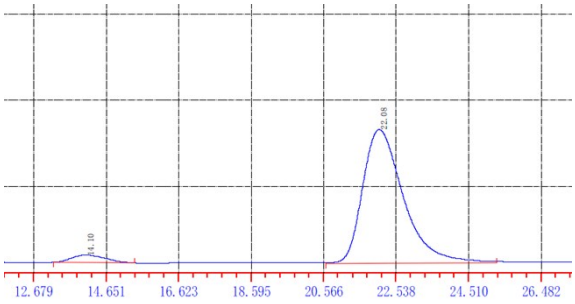






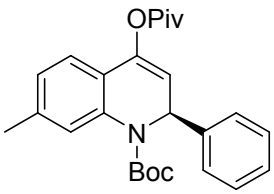


ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		14.068	563400	42463708.9	49.4146	1.24	694
2		21.988	522308	43469892.7	50.5854	1.74	1391
	Σ:		1085708	85933601.6	100.0000		



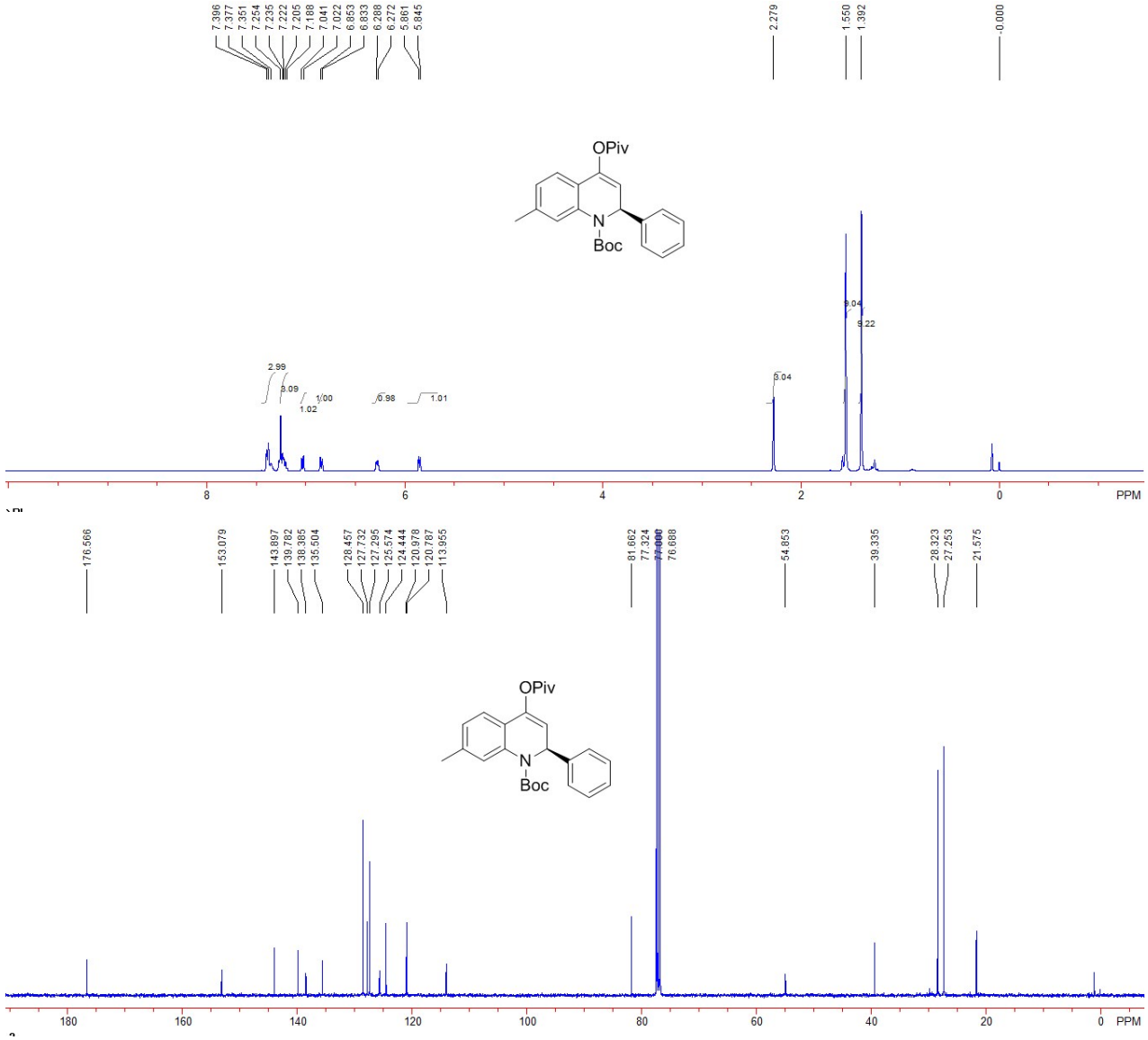
ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		14.097	8391	542326.4	4.3973	1.13	948
2		22.080	152649	11790858.3	95.6027	1.51	1629
	Σ:		161040	12333184.7	100.0000		

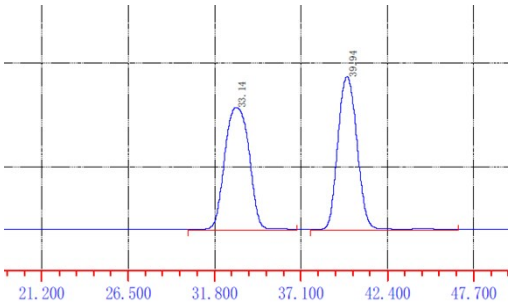
Translation: Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.3 mL/min; t_{minor} = 14.10 min, t_{major} = 22.08 min; ee% = 91%].



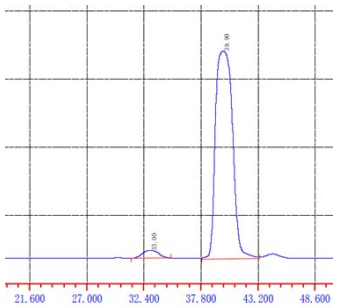
***tert*-Butyl (S)-7-methyl-2-phenyl-4-(pivaloyloxy)quinoline-1(2H)-carboxylate (2v)**: A white solid. 39 mg, 93% yield, m. p. 103-105 ^{o}C. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ. 7.40-7.35 (m, 3H), 7.25-7.19 (m, 3H), 7.03 (d, *J* = 7.6 Hz, 1H), 6.84 (d, *J* = 8.0 Hz, 1H), 6.28 (d, *J* = 6.4 Hz, 1H), 5.85 (d, *J* = 6.4 Hz, 1H), 2.28 (s, 3H), 1.55 (s, 9H), 1.39 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.6, 153.1, 143.9, 139.8, 138.4, 135.5, 128.5, 127.7, 127.3, 125.6, 124.4, 121.0, 120.8, 114.0, 81.7, 54.9, 39.3, 28.3, 27.3, 21.6. IR (EtOH) ν 2977, 2925, 2867, 2257, 1744, 1702, 1504, 1376, 1306, 1140, 1119, 1029, 896, 816, 747, 702 cm^{-1}. HRMS (ESI) Calcd. for $C_{26}H_{35}N_2O_4^{+1}$ $(M+NH_4)^+$ requires 439.2591, Found: 439.2594.

Compound **2v**: A yellow oil, 28 mg, 67% yield. Enantiomeric excess was determined by HPLC with a Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.3 mL/min; t_{minor} = 33.00 min, t_{major} = 39.90 min; ee% = 93%; $[\alpha]^{20}_D$ = -79.1 (c 1.00, CH_2Cl_2)].



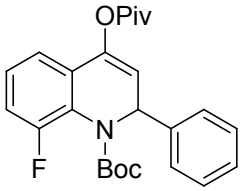


ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		33.140	267209	28344325.1	50.1480	1.04	1945
2		39.937	333321	28177075.7	49.8520	1.09	4448
Σ:			600530	56521400.8	100.0000		



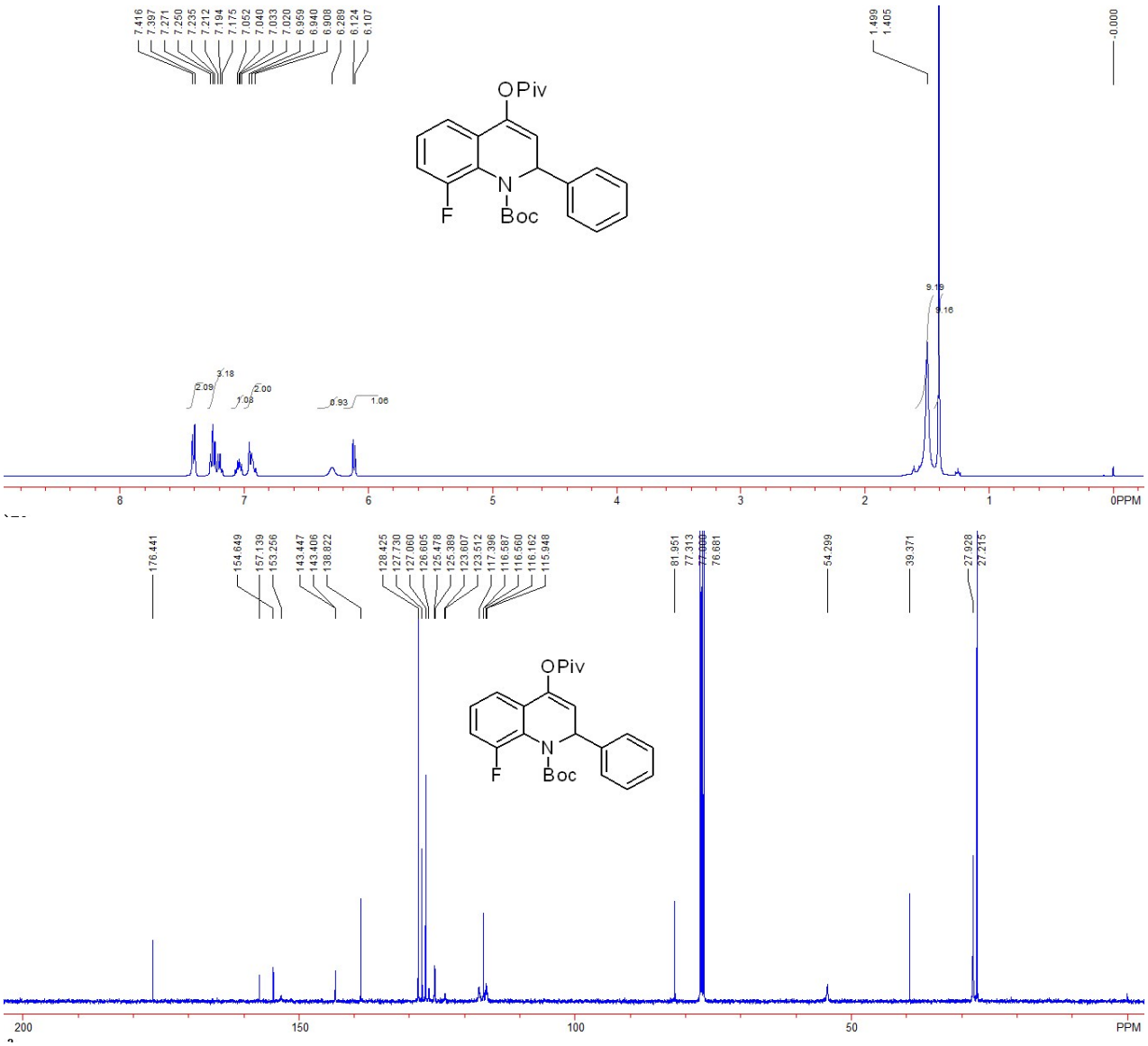
ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		33.003	26067	2789156.5	3.3455	1.09	1896
2		39.897	716604	80581822.0	96.6545	1.20	2509
Σ:			742671	83370978.5	100.0000		

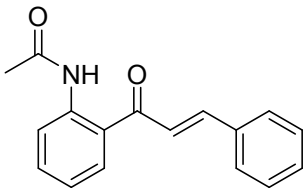
Translation: Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 99/1; Flow rate: 0.3 mL/min; t_{minor} = 33.00 min, t_{major} = 39.90 min; ee% = 93%].



***tert*-Butyl 8-fluoro-2-phenyl-4-(pivaloyloxy)quinoline-1(2H)-carboxylate (2w)**: A yellow oil, 36 mg, 85% yield. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ. 7.41 (d, *J* = 7.6 Hz, 2H), 7.27-7.18 (m, 3H), 7.05-7.02 (m, 1H), 6.96-6.91 (m, 2H), 6.29 (br, 1H), 6.11 (d, *J* = 6.8 Hz, 1H), 1.50 (s, 9H), 1.41 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.4, 155.9 (*J* = 249.3 Hz), 153.3, 143.4 (*J* = 3.1 Hz), 138.8, 128.4, 127.7, 127.1, 126.6, 125.4 (*J* = 8.9 Hz), 123.6 (*J* = 9.5 Hz), 117.4, 116.6 (*J* = 2.7 Hz), 116.1 (*J* = 21.4 Hz), 82.0, 54.3, 39.4, 27.9, 27.2. ^{19}F NMR (376 MHz, $CDCl_3$, $CFCl_3$) δ -116.9. IR (EtOH) ν 3072, 2980, 2930, 2865, 1759, 1701, 1477, 1377, 1296, 1249, 1147, 1122, 1102, 1024, 911, 898, 777, 740, 704 cm^{-1}. HRMS (ESI) Calcd. for $C_{25}H_{32}FN_2O_4^{+1}$ $(M+NH_4)^+$ requires 443.2341, Found:

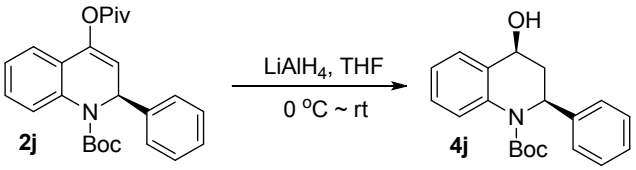
443.2343.



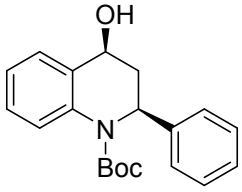


N-(2-cinnamoylphenyl)acetamide (3x): It is a known product, 24.9 mg, 94% yield.[4]

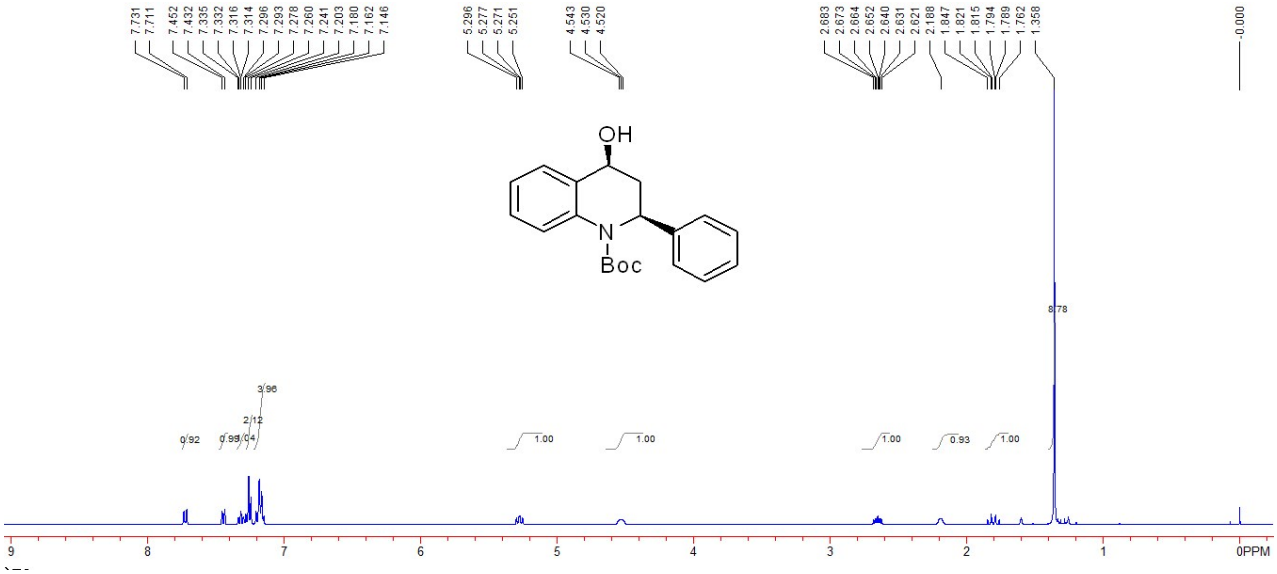
6. Reduction of product 2j

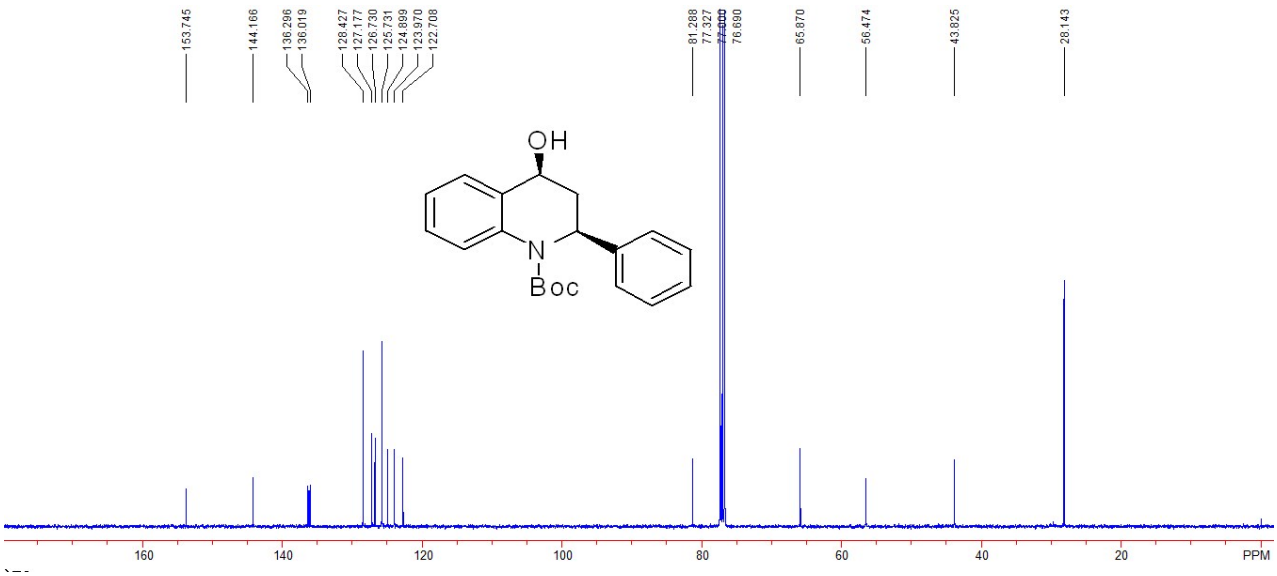


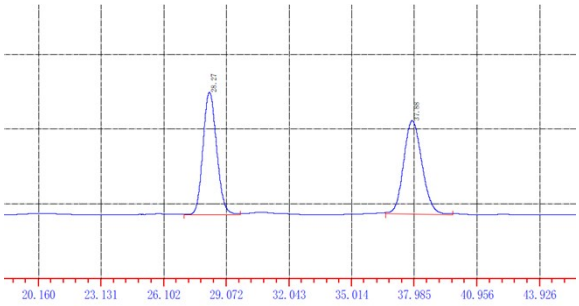
A solution of **2j** (0.1 mmol) in THF was cold down to -0 °C, and then $LiAlH_4$ (0.4 mmol) was added into the solution for three times. The resulting mixture was stirred at room temperature for 2 h. After the reaction completed, the mixture was purified by a silica gel column chromatography (elution with PE/EtOAc = 4/1) to obtain the desired product **4j** (26 mg, 80% yield). X-ray crystallographic analysis was used to determine the relative and absolute configuration of **4j**.



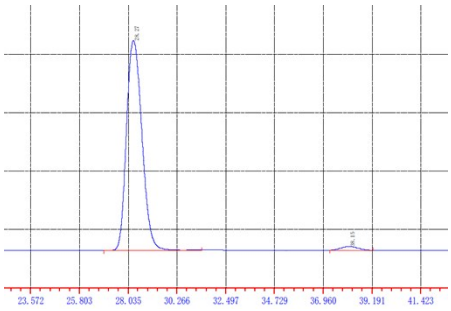
***tert*-Butyl (2S,4S)-4-hydroxy-2-phenyl-3,4-dihydroquinoline-1(2H)-carboxylate (4j)**: A white solid, 26 mg, 80% yield, m. p. 200-204 °C. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.72 (d, J = 8.0 Hz, 1H), 7.44 (d, J = 8.0 Hz, 1H), 7.32 (td, J_1 = 1.2 Hz, J_2 = 7.6 Hz, 1H), 7.28-7.24 (m, 2H), 7.20-7.15 (m, 4H), 5.27 (dd, J_1 = 7.6 Hz, J_2 = 10.0 Hz, 1H), 4.54-4.52 (m, 1H), 2.68-2.62 (m, 1H), 2.19 (br, 1H), 1.85-1.76 (m, 1H), 1.36 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.7, 144.2, 136.3, 136.0, 128.4, 127.2, 126.7, 125.7, 124.9, 124.0, 122.7, 81.3, 65.9, 56.5, 43.8, 28.1. IR (EtOH) ν 3475, 2965, 2922, 2851, 2257, 1674, 1488, 1454, 1392, 1257, 1158, 1127, 1063, 1024, 967, 858, 758, 745 cm^{-1}. HRMS (ESI) Calcd. for $C_{20}H_{23}NNaO_3^{+1}$ $(M+Na)^+$ requires 348.1570, Found: 348.1570. Enantiomeric excess was determined by HPLC with a Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 85/15; Flow rate: 0.5 mL/min; t_{minor} = 38.15 min, t_{major} =28.27 min; ee% = 96%; $[\alpha]^{20}_D$ = +34.2 (c 1.00, CH_2Cl_2)].







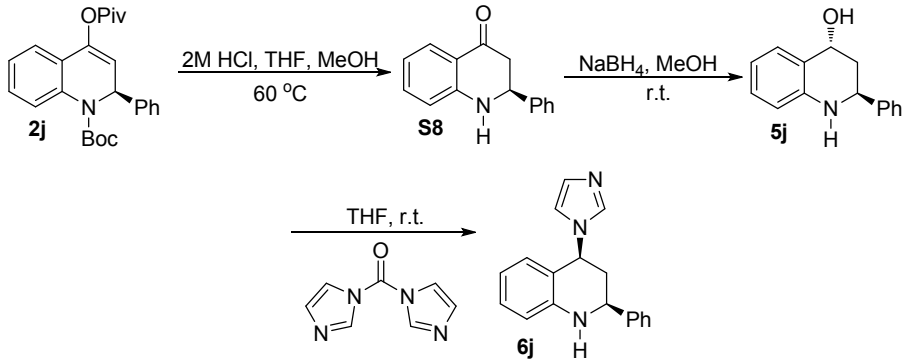
ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		28.267	122380	5537754.7	49.4797	1.13	7777
2		37.883	93251	5654227.0	50.5203	1.11	7780
Σ:			215631	11191981.7	100.0000		



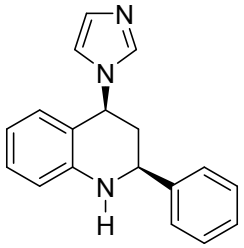
ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		28.273	271068	13390191.8	97.8833	1.20	6529
2		38.150	5061	289558.8	2.1167	1.06	8862
Σ:			276129	13679750.6	100.0000		

Translation: Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 85/15; Flow rate: 0.5 mL/min; t_{minor} = 38.15 min, t_{major} =28.27 min; ee% = 96%].

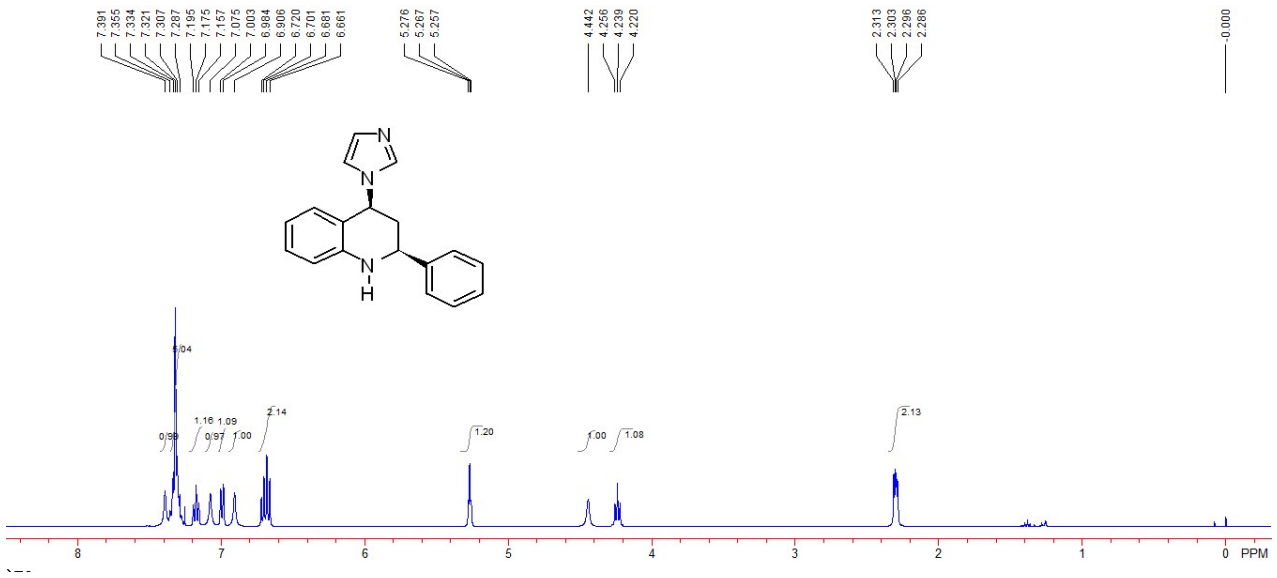
7. Synthesis of aromatase inhibitor 6j

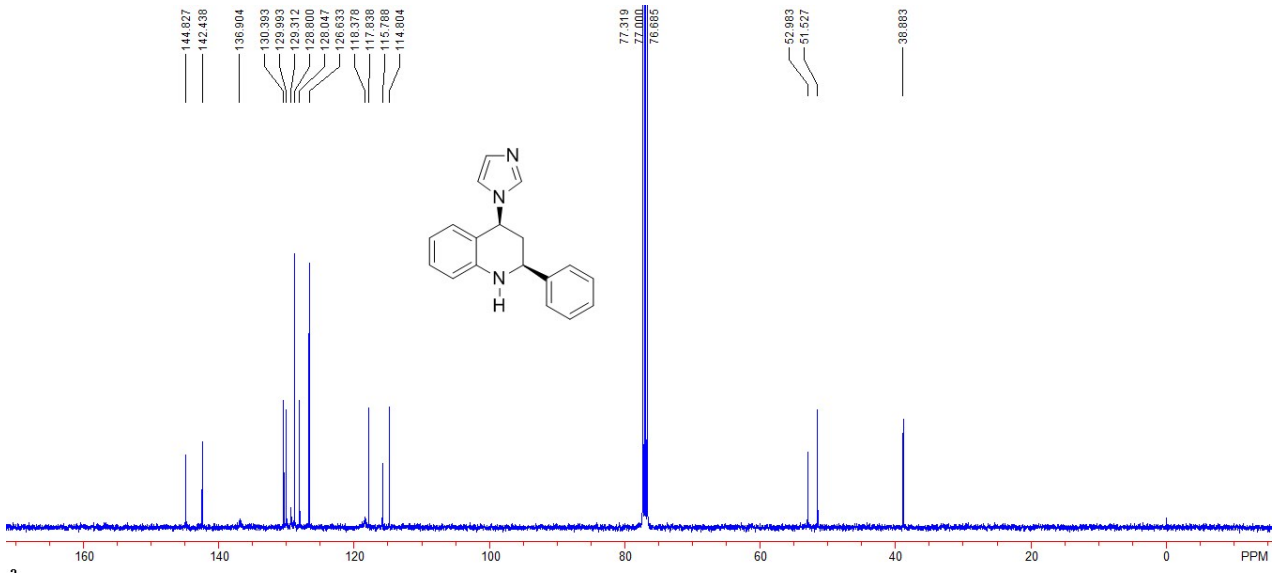


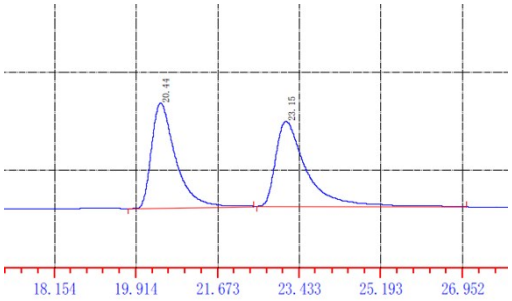
Compound **2j** (0.5 mmol, 204mg) was dissolved in a mixture of THF (5 mL), MeOH (5 mL) and 2M HCl (5 mL). The solution was stirred at 60 °C for 2 h. The reaction solution was concentrated under reduced pressure and was added saturated Na_2CO_3 aqueous solution to adjust pH to 7, extracted with EtOAc and dried over anhydrous Na_2SO_4. The mixture was purified by a silica gel column chromatography (elution with PE/EtOAc = 4/1) to obtain the desired product **S8** (67 mg, 60% yield). Reduction of **S8** to afford crude product **5j** and the relative configuration of **5j** has been reported.[5] Then, aromatase inhibitor **6j** (46 mg, 84% yield for two steps) was prepared according to another previously reported work[6] and the relative configuration of **6j** was confirmed by Nuclear Overhauser Effect Spectroscopy (NOESY).



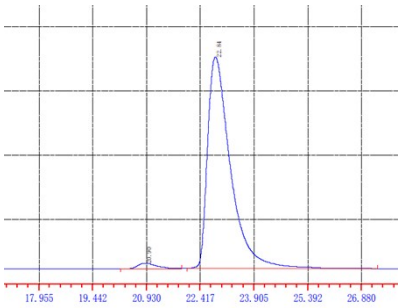
(*2S,4S*)-4-(1H-imidazol-1-yl)-2-phenyl-1,2,3,4-tetrahydroquinoline (6j): A white solid, 46 mg, 50% yield for three steps, m. p. 192-193 °C. ^{1}H NMR (400 MHz, $CDCl_3$, TMS) δ 7.39 (s, 1H), 7.36-7.29 (m, 5H), 7.18 (t, *J* = 8.0 Hz, 1H), 7.08 (s, 1H), 6.99 (d, *J* = 7.6 Hz, 1H), 6.72-6.67 (m, 2H), 5.27 (t, *J* = 4.0 Hz, 1H), 4.44 (br, 1H), 4.24 (t, *J* = 7.6 Hz, 1H), 2.31-2.29 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 144.8, 142.4, 136.9, 130.4, 130.0, 129.3, 128.8, 128.0, 126.6, 118.3, 117.8, 115.8, 114.8, 53.0, 51.5, 38.9. IR (EtOH) ν 3241, 3106, 3024, 2989, 2850, 1610, 1497, 1445, 1323, 1293, 1256, 1076, 921, 834, 748, 700, 662 cm^{-1}. HRMS (ESI) Calcd. for $C_{18}H_{18}N_3^{+1}$ $(M+H)^+$ requires 276.1495, Found: 276.1496. Enantiomeric excess was determined by HPLC with a Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 80/20; Flow rate: 0.5 mL/min; t_{minor} = 20.90 min, t_{major} = 22.84 min; ee% = 96%; $[\alpha]^{20}_D$ = +37.3 (c 1.00, CH_2Cl_2)].





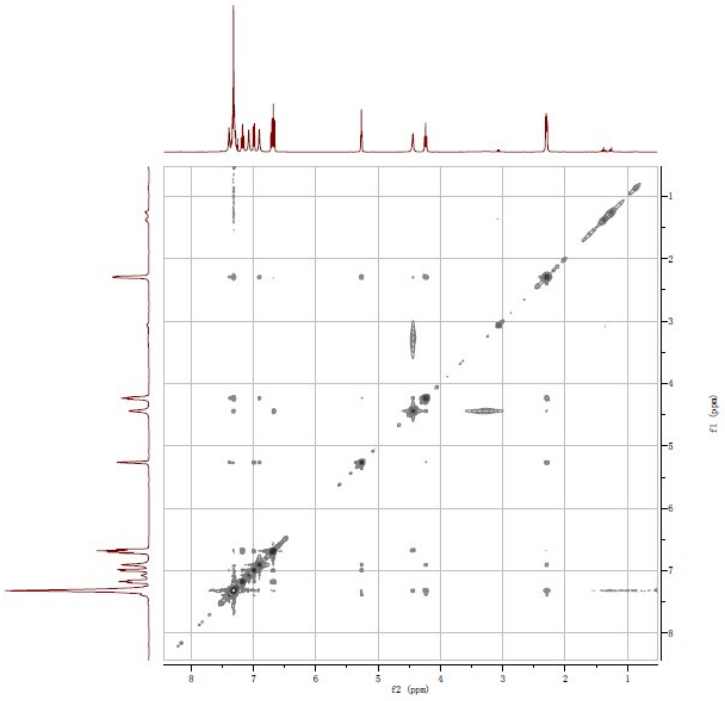


ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		20.443	80690	2971812.4	49.6042	1.80	6141
2		23.147	65323	3019242.2	50.3958	2.11	4998
	Σ:		146013	5991054.6	100.0000		



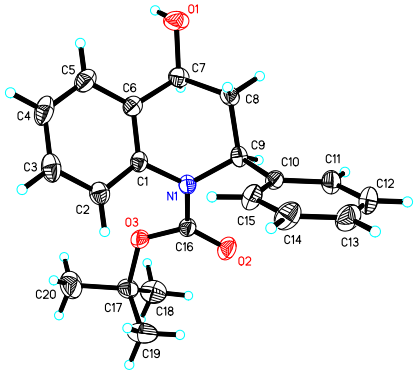
ID	组分名	保留时间	峰高	峰面积	浓度	拖尾因子	理论塔板
1		20.895	7325	270266.8	2.1778	1.72	6392
2		22.840	274623	12139725.3	97.8222	1.93	5321
	Σ:		281948	12409992.1	100.0000		

Translation: Chiralcel AD-H column [λ = 230 nm; eluent: Hexane/Isopropanol = 80/20; Flow rate: 0.5 mL/min; t_{minor} = 20.90 min, t_{major} = 22.84 min; ee% = 96%].



Nuclear Overhauser Effect Spectroscopy (NOESY)

8. X-ray Data of 4j



The crystal data of **4j** have been deposited in CCDC with number 1435436. Empirical Formula: $C_{20}H_{23}NO_3$; Formula Weight: 325.39; Crystal Color, Habit: colorless, Crystal Dimensions: 0.220 x 0.160 x 0.110 mm^3; Crystal System: Orthorhombic; Lattice Parameters: a = 8.6053(10)Å, b = 11.6403(14)Å, c = 17.468(2)Å, $\alpha = 90^o$, $\beta = 90^o$, $\gamma = 90^o$, V = 1749.7(4)$Å^3$; Space group: P 21 21 21; Z = 4; D_{calc}= 1.235 g/cm^3; F_{000} = 696; Final R indices [I>2sigma(I)] R1 = 0.0385, wR2 = 0.1014.

9. References

1. W.-I. Lee, J.-W. Jung, J. Sim, H. An and Y.-G. Suh, *Tetrahedron*, 2013, **69**, 7211-7219.
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3. Y. M. Wang, C. N. Kuzniewski, V. Rauniyar, C. Hoong and F. D. Toste, *J. Am. Chem. Soc.*, **2011**, 133, 12972-12975.
4. E. Tang, B. Chen, L. Zhang, W. Li and J. Lin, *Synlett*, **2011**, 707-711.
5. K. Saito, Y. Moriya and T. Akiyama, *Org. Lett.*, **2015**, 17, 3202-3205.
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