Supporting Information

Regioselective Synthesis of Multisubstituted Isoquinolones and Pyridinons via Rh(III)-Catalyzed Annulation Reactions

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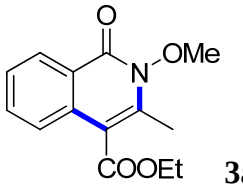
General experimental procedures

All the reactions were carried out under argon atmosphere using standard Schlenk technique. ^{1}H NMR (400 MHz), ^{19}F (376 M Hz), and ^{13}C NMR (100 MHz) were recorded on a NMR spectrometer with $CDCl_3$ as solvent. Chemical shifts of ^{1}H, ^{19}F and ^{13}C NMR spectra are reported in parts per million (ppm). The residual solvent signals were used as references and the chemical shifts converted to the TMS scale ($CDCl_3$: δ H = 7.26 ppm, δ C = 77.26 ppm. All coupling constants (*J* values) were reported in Hertz (Hz). Multiplicities are reported as follows: singlet (s), doublet (d), doublet of doublets (dd), doublet of doublet of doublets (ddd), doublet of triplets (dt), triplet (t), triplet of doublets (td), quartet (q), and multiplet (m). Column chromatography was performed on silica gel 200−300 mesh or alumina 200−300 mesh. Analytical thin-layer chromatography (TLC) was performed on pre-coated, glass-backed silica gel plates. Visualization of the developed chromatogram was performed by UV absorbance (254 nm). IR spectra were recorded as KBr disks on a Nicolet 380 FT-IR spectrometer. High-resolution mass spectrometry (HRMS) was done on a FTICR-mass spectrometer. $[Cp^*RhCl_2]_2$ was prepared from $RhCl_3 \cdot xH_2O$ following a literature procedure.[1] The *N*-methoxybenzamide and *N*-methoxymethacrylamide[2] and diazo compounds[3-6] were prepared following the literature procedures. Unless otherwise noted below, all other compounds have been reported in the literature or are commercially available without any further purification.

General procedure for synthesis of 2-methoxyisoquinolin-1(2*H*)-ones (3) and 1-methoxypyridin-2(1*H*)-ones (5): A 25 mL Schlenk tube was charged with a magnetic stirrer and THF (2.0 mL). *N*-methoxybenzamide or *N*-methoxymethacrylamide (0.2 mmol), diazo compounds (0.24 mmol), $[Cp^*RhCl_2]_2$ (6.2 mg, 0.01 mmol, 5 mol%), and $AgSbF_6$ (13.8 mg, 0.04 mmol, 20 mol%) were added to the tube. The tube was sealed, and the mixture was stirred at 60 °C for 12 h under argon atmosphere. The resulting mixture was cooled to room temperature, the solvent was removed by a rotary evaporator, and the residue was purified by column

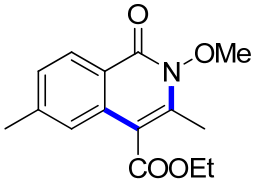
chromatography on silica gel using petroleum ether/ethyl acetate as the eluent to give the desired pure products.

Characterization data of compounds 3, 5 and 6



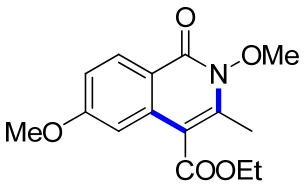
3aa

Ethyl 2-methoxy-3-methyl-1-oxo-1,2-dihydroisoquinoline-4-carboxylate (3aa): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.37. Yield 48 mg (92%). Light yellow oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.41 (d, 1H, *J* = 7.3 Hz), 7.64 (t, 2H, *J* = 6.2 Hz), 7.47 – 7.44 (m, 1H), 4.45 (q, 2H, *J* = 7.0 Hz), 4.07 (s, 3H), 2.53 (s, 3H), 1.42 (t, 3H, *J* = 7.0 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 167.0, 158.4, 140.9, 133.2, 133.1, 128.0, 126.8, 125.5, 124.1, 109.8, 64.1, 61.9, 15.2, 14.5. HRMS (ESI) calcd for $C_{14}H_{16}NO_4$ $[M+H]^+$ 262.1079, found 262.1080. IR (cm-1): ν 2983, 2939, 1610, 1591, 1577, 1555, 1485, 1372, 1311, 1286, 1081, 1069, 989, 904, 875, 782, 745, 692.



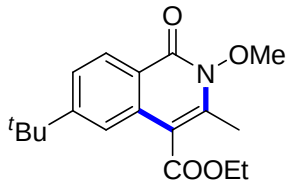
3ba

Ethyl 2-methoxy-3,6-dimethyl-1-oxo-1,2-dihydroisoquinoline-4-carboxylate (3ba): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.42. Yield 52 mg (95%). Light yellow solid, mp 58-60 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.31 (d, 1H, *J* = 8.2 Hz), 7.43 (s, 1H), 7.30 (d, 1H, *J* = 8.3 Hz), 4.48 (q, 2H, *J* = 7.1 Hz), 4.09 (s, 3H), 2.54 (s, 3H), 2.47 (s, 3H), 1.45 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 167.1, 158.4, 143.8, 140.7, 133.3, 128.5, 127.9, 123.7, 123.3, 109.6, 64.1, 61.8, 22.3, 15.2, 14.5. HRMS (ESI) calcd for $C_{15}H_{18}NO_4$ $[M+H]^+$ 276.1236, found 276.1233. IR (cm-1): ν 2974, 2934, 2850, 1713, 1682, 1617, 1557, 1487, 1439, 1413, 1368, 12963, 1269, 1191, 1054, 978, 901, 832, 774, 680,



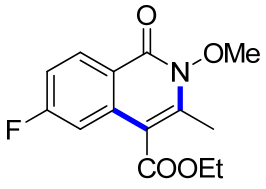
3ca

Ethyl 2,6-dimethoxy-3-methyl-1-oxo-1,2-dihydroisoquinoline-4-carboxylate (3ca): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.29. Yield 56 mg (96%). White solid, mp 69-71 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.31 (d, 1H, *J* = 8.9 Hz), 7.09 – 6.98 (m, 3H), 4.44 (q, 2H, *J* = 7.1 Hz), 4.05 (s, 3H), 3.86 (s, 3H), 2.52 (s, 3H), 1.41 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 167.1, 163.3, 158.1, 141.9, 135.3, 130.0, 119.2, 116.1, 109.1, 105.6, 64.1, 61.8, 55.6, 15.3, 14.5. HRMS (ESI) calcd for $C_{15}H_{18}NO_5$ $[M+H]^+$ 292.1185, found 292.1184. IR (cm-1): ν 2990, 2941, 2830, 1688, 1609, 1594, 1558, 1454, 1386, 1237, 1199, 1172, 1113, 1074, 1046, 977, 899, 841, 766, 683, 565, 445.



3da

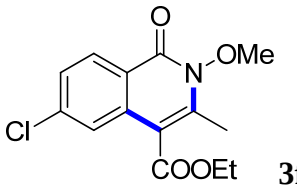
Ethyl 6-tert-butyl-2-methoxy-3-methyl-1-oxo-1,2-dihydroisoquinoline-4-carboxylate (3da): Eluent: petroleum ether/ethyl acetate (v/v, 3:1), R_f= 0.45. Yield 61 mg (96%). Light yellow oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.33 (d, 1H, *J* = 8.5 Hz), 7.62 (s, 1H), 7.52 (dd, 1H, *J* = 8.5, 1.6 Hz), 4.46 (q, 2H, *J* = 7.1 Hz), 4.05 (s, 3H), 2.52 (s, 3H), 1.43 (t, 3H, *J* = 7.1 Hz), 1.34 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 167.2, 158.3, 156.5, 140.8, 133.1, 127.7, 125.0, 123.2, 120.1, 110.0, 64.0, 61.8, 35.6, 31.2, 15.1, 14.5. HRMS (ESI) calcd for $C_{18}H_{24}NO_4$ $[M+H]^+$ 318.1705, found 318.1706. IR (cm-1): ν 2964, 2933, 1717, 1680, 1659, 1598, 1526, 1458, 1368, 1333, 1298, 1264, 1192, 1089, 1054, 1013, 991, 905, 784, 695.



3ea

Ethyl 6-fluoro-2-methoxy-3-methyl-1-oxo-1,2-dihydroisoquinoline-4-carboxylate

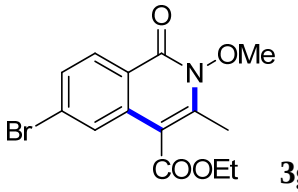
(3ea): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.37. Yield 42 mg (75%).. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.41 (dd, 1H, *J* = 8.9 Hz, *J* = 6.0 Hz), 7.38 (dd, *J* = 10.7 Hz, *J* = 2.2 Hz), 7.15 (td, 1H *J* = 8.5, *J* = 2.2 Hz), 4.44 (q, 2H, *J* = 7.1 Hz), 4.07 (s, 3H), 2.55 (s, 3H), 1.42 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 166.9, 166.5, 161.1 (d, *J* = 204.5 Hz), 143.1, 135.6 (d, *J* = 10.8 Hz), 131.17 (d, *J* = 10.2 Hz), 122.0, 115.6 (d, *J* = 23.6 Hz), 109.8 (d, *J* = 24.4Hz), 108.9 (d, *J* = 3.5 Hz), 64.2, 62.0, 15.4, 14.5. ^{19}F NMR ($CDCl_3$, 376 MHz) δ -104.5. HRMS (ESI) calcd for $C_{14}H_{15}FNO_4$ $[M+H]^+$ 280.0985, found 280.0982. IR (cm-1): ν 3072, 2982, 2994, 1703, 1689, 1610, 1561, 1487, 1417, 1402, 1360, 1229, 1198, 1117, 1079, 1027, 978, 889, 856, 808, 759, 717, 677, 653.



3fa

Ethyl 6-chloro-2-methoxy-3-methyl-1-oxo-1,2-dihydroisoquinoline-4-carboxylate

(3fa): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.37. Yield 49 mg (83%). White solid, mp 122-124 °C ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.31 (d, 1H, *J* = 8.6 Hz), 7.68 (s, 1H), 7.38 (dd, 1H, *J* = 8.6, *J* = 1.8 Hz), 4.44 (q, 2H, *J* = 7.1 Hz), 4.06 (s, 3H), 2.54 (s, 3H), 1.42 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 166.4, 157.8, 142.9, 139.8, 134.5, 129.7, 127.4, 123.8, 123.7, 108.67, 64.1, 62.0, 15.4, 14.4. HRMS (ESI) calcd for $C_{14}H_{15}ClNO_4$ $[M+H]^+$ 296.0690, found 296.0688. IR (cm-1): ν 2977, 2939, 1718, 1696, 1603, 1544, 1475, 1404, 1362, 1299, 1207, 1093, 1049, 987, 860, 834, 783, 695, 667, 537.

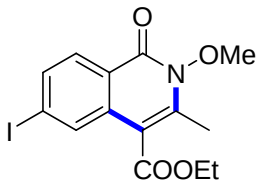


3ga

Ethyl 6-bromo-2-methoxy-3-methyl-1-oxo-1,2-dihydroisoquinoline-4-carboxylate

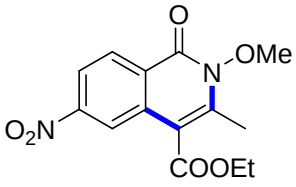
(3ga): Eluent: hexane/ethyl acetate (2:1), R_f= 0.39. Yield 57 mg (84%). White solid, mp 131-133 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.24 (d, 1H, *J* = 8.6 Hz), 7.86 (s, 1H), 7.54 (dd, 1H, *J* = 8.6 Hz, *J* = 1.7 Hz), 4.45 (q, 2H, *J* = 7.1 Hz), 4.07 (s, 3H), 2.54 (s,

3H), 1.42 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 166.4, 157.9, 142.9, 134.7, 130.1, 129.7, 128.5, 126.9, 124.1, 108.6, 64.2, 62.1, 15.4, 14.5. HRMS (ESI) calcd for $C_{14}H_{15}BrNO_4$ $[M+H]^+$ 340.0184, found 340.0178. IR (cm-1): ν 2975, 2937, 1718, 1695, 1600, 1543, 1482, 1473, 1359, 1297, 1185, 1081, 1048, 984, 897, 857, 831, 781, 691, 664, 630,598.



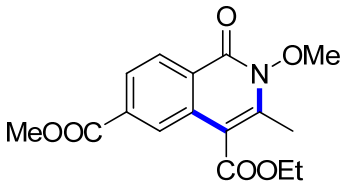
3ha

Ethyl 6-iodo-2-methoxy-3-methyl-1-oxo-1,2-dihydroisoquinoline-4-carboxylate (3ha): Eluent: hexane/ethyl acetate (2:1), R_f= 0.41. Yield 70 mg (91%). White solid, mp 95-97 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.09 – 8.07 (m, 2H), 7.75 (dd, 1H, *J* = 8.5Hz, *J* =1.1 Hz), 4.45 (q, 2H, *J* = 7.1 Hz), 4.06 (s, 3H), 2.54 (s, 3H), 1.43 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 166.4, 158.1, 142.7, 135.8, 134.6, 133.2, 129.4, 124.6, 108.4, 101.3, 64.1, 62.1, 15.4, 14.5. HRMS (ESI) calcd for $C_{14}H_{15}INO_4$ $[M+H]^+$ 388.0046, found 388.0041. IR (cm-1): ν 3099, 2982, 1718, 1688, 1600, 1540, 1468, 1435, 1391, 1361, 1297, 1202, 1080, 1047, 984, 830, 780, 760, 690, 662, 624.



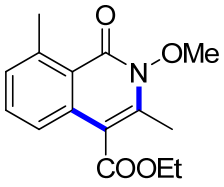
3ia

Ethyl 2-methoxy-3-methyl-6-nitro-1-oxo-1,2-dihydroisoquinoline-4-carboxylate (3ia): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.36. Yield 48 mg (78%). Yellow solid, mp 161-163 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.67 (s, 1H), 8.56 (d, 1H, *J* = 8.8 Hz), 8.19 (d, 1H, *J* = 8.8 Hz), 4.51 (q, 2H, *J* = 7.0 Hz), 4.11 (s, 3H), 2.62 (s, 3H), 1.47 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 165.9, 157.2, 150.6, 144.8, 134.1, 130.1, 128.9, 120.5, 120.3, 109.2, 64.3, 62.4, 15.5, 14.5. HRMS (ESI) calcd for $C_{14}H_{15}N_2O_6$ $[M+H]^+$ 307.0930, found 307.0928. IR (cm-1): ν 3087, 2982, 1698, 1679,1602, 1558, 1534, 1472, 1452, 1304, 1295, 1210, 1172, 1101, 1050, 997, 974, 894, 834, 779, 739, 690.



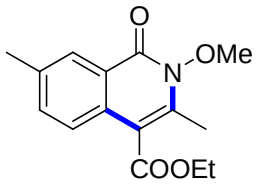
3ja

4-Ethyl 6-methyl 2-methoxy-3-methyl-1-oxo-1,2-dihydroisoquinoline-4,6-dicarboxylate (3ja): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.37. Yield 49 mg (77%). White solid, mp 136-138 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.44 (d, 1H, *J* = 8.4 Hz), 8.39 (s, 3H), 8.02 (d, 1H, *J* = 8.4 Hz), 4.48 (q, 2H, *J* = 7.1 Hz), 4.07 (s, 3H), 3.93 (s, 3H), 2.55 (s, 3H), 1.44 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 166.5, 166.4, 157.8, 142.4, 133.9, 133.1, 128.3, 128.1, 126.7, 126.3, 109.7, 64.1, 62.1, 52.8, 15.2, 14.4. HRMS (ESI) calcd for $C_{16}H_{18}NO_6$ $[M+H]^+$ 320.1134, found 320.1130. IR (cm-1): ν 3001, 2946, 1699, 1678, 1553, 1434, 1392, 1368, 1340, 1299, 1198, 1111, 1055, 1002, 952, 904, 777, 747, 692.



3ka

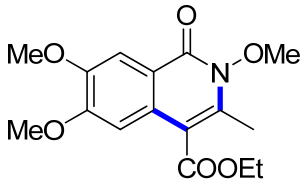
Ethyl 2-methoxy-3,8-dimethyl-1-oxo-1,2-dihydroisoquinoline-4-carboxylate (3ka): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.58. Yield 41 mg (75%). White solid, mp 74-76 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.47 (t, 1H, *J* = 7.7 Hz), 7.39 (d, 1H, *J* = 8.2 Hz), 7.20 (d, 1H, *J* = 7.2 Hz), 4.43 (q, 2H, *J* = 7.1 Hz), 4.05 (s, 3H), 2.91 (s,3H), 2.47 (s,3H), 1.41 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 167.6, 159.1, 142.4, 139.9, 134.8, 132.2, 129.9, 123.9, 121.9, 109.9, 63.9, 61.9, 24.1, 15.1, 14.8. HRMS (ESI) calcd for $C_{15}H_{18}NO_4$ $[M+H]^+$ 276.1236, found 276.1233. IR (cm-1): ν 2979, 2922, 1720, 1695, 1613, 1562, 1475, 1427, 1363, 1272, 1238, 1184, 1129, 1056, 1029, 975, 801, 696.



3la

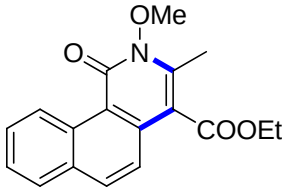
Ethyl 2-methoxy-3,7-dimethyl-1-oxo-1,2-dihydroisoquinoline-4-carboxylate (3la):

Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.55. Yield 54 mg (98%). Light yellow oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.19 (s, 1H), 7.55 (d, 1H, *J* = 8.4 Hz), 7.44 (d, 1H, *J* = 8.4 Hz), 4.42 (q, 2H, *J* = 7.0 Hz), 4.04 (s, 3H), 2.50 (s, 3H), 2.43 (s, 3H), 1.39 (t, 3H, *J* = 7.0 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 167.1, 158.3, 139.9, 136.9, 134.5, 130.9, 127.4, 125.4, 124.0, 109.7, 63.9, 61.8, 21.4, 15.1, 14.4. HRMS (ESI) calcd for $C_{15}H_{18}NO_4$ $[M+H]^+$ 276.1236, found 276.1232. IR (cm-1): ν 2982, 2937, 1716, 1670, 1615, 1547, 1423, 1369, 1327, 1236, 1192, 1122, 1091, 1089, 1049, 1018, 992, 858, 825.



3ma

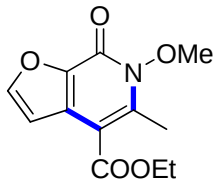
Ethyl 2,6,7-trimethoxy-3-methyl-1-oxo-1,2-dihydroisoquinoline-4-carboxylate (3ma): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.27.. Yield 63 mg (98%). White solid, mp 118-120 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.73 (s, 1H), 7.12 (s, 1H), 4.43 (q, 2H, *J* = 7.0 Hz), 4.05 (s, 3H), 3.97 (s, 3H), 3.93 (s, 3H), 2.52 (s, 3H), 1.41 (t, 3H, *J* = 7.0 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 167.2, 157.8, 153.8, 149.1, 140.0, 128.7, 119.5, 108.8, 107.5, 104.7, 64.0, 61.7, 56.3, 56.1, 15.2, 14.5. HRMS (ESI) calcd for $C_{16}H_{20}NO_6$ $[M+H]^+$ 322.1291, found 322.1292. IR (cm-1): ν 2970, 2925, 2829, 1715, 1674, 1613, 1514, 1455, 1436, 1406, 1380, 1279, 1256, 1208, 1134, 1096, 983, 870, 777, 638.



3na

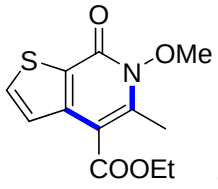
Ethyl 2-methoxy-3-methyl-1-oxo-1,2-dihydrobenzo[*h*]isoquinoline-4-carboxylate (3na): Eluent: hexane/ethyl acetate (2:1), R_f= 0.48. Yield 55 mg (88%). Light yellow solid, mp 76-78 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 10.12 (d, 1H, *J* = 8.7 Hz), 8.01 (d, 1H, *J* = 8.9 Hz), 7.88 (d, 1H, *J* = 8.0 Hz), 7.75 (t, 1H, *J* = 7.8 Hz), 7.61 (t, 2H, *J* = 8.6 Hz), 4.50 (q, 2H, *J* = 7.1 Hz), 4.15 (s, 3H), 2.60 (s, 3H), 1.45 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 167.5, 158.7, 141.2, 134.82, 134.5, 131.9, 128.9, 128.4,

127.3, 126.9, 121.6, 119.1, 110.4, 64.0, 62.1, 15.3, 14.5. HRMS (ESI) calcd for $C_{18}H_{18}NO_4$ $[M+H]^+$ 312.1236, found 312.1230. IR (cm-1): ν 2982, 2936, 1713, 1663, 1596, 1487, 1432, 1414, 1368, 1233, 1179, 1114, 1052, 1012, 825, 755, 499.



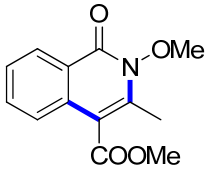
3oa

Ethyl 6-methoxy-5-methyl-7-oxo-6,7-dihydrofuro[2,3-c]pyridine-4-carboxylate (3oa): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.31. Yield 42 mg (84%). Light yellow solid, mp 127-129 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.74 (s, 1H), 7.05 (s, 1H), 4.38 (q, 2H, *J* = 7.1 Hz), 4.09 (s, 3H), 2.83 (s, 3H), 1.42 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 165.4, 150.3, 148.9, 148.1, 141.4, 131.6, 109.6, 102.1, 64.5, 61.4, 14.8, 14.6. HRMS (ESI) calcd for $C_{12}H_{14}NO_5$ $[M+H]^+$ 252.0872, found 252.0871. IR (cm-1): ν 3133, 2983, 2949, 1727, 1540, 1495, 1436, 1375, 1305, 1259, 1237, 1111, 1051, 986, 902, 801, 672, 587.



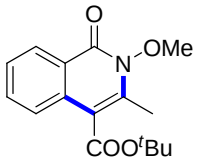
3pa

Ethyl 6-methoxy-5-methyl-7-oxo-6,7-dihydrothieno[2,3-c]pyridine-4-carboxylate (3ap): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.46. Yield 48 mg (90%). Light yellow solid, mp 121-123 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.69 (d, 1H, *J* = 5.3 Hz), 7.57 (d, 1H, *J* = 5.2 Hz), 4.39 (q, 2H, *J* = 7.1 Hz), 4.07 (s, 3H), 2.71 (s, 3H), 1.40 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 166.0, 154.8, 146.4, 142.2, 133.8, 128.7, 125.6, 106.6, 64.3, 61.6, 15.1, 14.5. HRMS (ESI) calcd for $C_{12}H_{14}NO_4S$ $[M+H]^+$ 268.0644, found 268.0642. IR (cm-1): ν 3082, 2976, 2938, 1689, 1557, 1513, 1433, 1397, 1363, 1291, 1267, 1249, 1223, 1165, 1149, 1127, 1087, 1069, 969, 910, 857, 833, 719, 663.



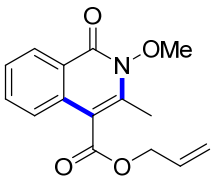
3ab,

Methyl 2-methoxy-3-methyl-1-oxo-1,2-dihydroisoquinoline-4-carboxylate (3ab): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.33. Yield 46 mg (93%). White solid, mp 75-77 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.40 (d, 1H, *J* = 8.1 Hz), 7.64 (d, 2H, *J* = 3.2 Hz), 7.49 – 7.41 (m, 2H), 4.07 (s, 3H), 3.96 (s, 3H), 2.52 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 167.5, 158.4, 141.3, 133.2, 133.1, 127.9, 126.8, 125.4, 124.1, 109.5, 64.1, 52.7, 15.3. HRMS (ESI) calcd for $C_{13}H_{14}NO_4$ $[M+H]^+$ 248.0923, found 248.0922. IR (cm-1): ν 3016, 2951, 1716, 1698, 1533, 1450, 1413, 1363, 1313, 1284, 1277, 1219, 1182, 1118, 1079, 1084, 993, 907, 777, 754, 727, 686.



3ac

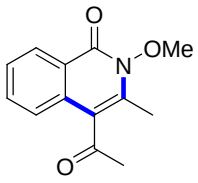
***tert*-Butyl 2-methoxy-3-methyl-1-oxo-1,2-dihydroisoquinoline-4-carboxylate (3ac):** Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.45. Yield 52 mg (90%). Light yellow oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.40 (d, 1H, *J* = 8.1 Hz), 7.67 – 7.60 (m, 2H), 7.44 (dd, 1H, *J* = 9.5 Hz, *J* = 4.3 Hz), 4.06 (s, 3H), 2.51 (s, 3H), 1.63 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 166.1, 158.2, 139.3, 133.2, 132.8, 127.8, 126.5, 125.4, 123.6, 111.3, 82.8, 63.8, 28.4, 14.8. HRMS (ESI) calcd for $C_{16}H_{20}NO_4$ $[M+H]^+$ 290.1392, found 290.1389. IR (cm-1): ν 2978, 2936, 1714, 1672, 1612, 1483, 1286, 1257, 1246, 1129, 1113, 1101, 1079, 1056, 1048, 992, 845, 785, 758, 691.



3ad

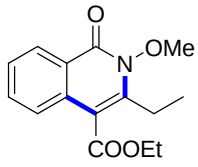
Allyl 2-methoxy-3-methyl-1-oxo-1,2-dihydroisoquinoline-4-carboxylate (3ad): Eluent: hexane/ethyl acetate (3:1), R_f= 0.42. Yield 48 mg (88%). Light yellow oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.41 (d, 1H, *J* = 8.1 Hz), 7.69 – 7.60 (m, 2H), 7.45 (dd, 1H, *J* = 7.7 Hz, *J* = 6.6 Hz), 6.05 (dq, 1H, *J* = 10.7 Hz, *J* = 5.9 Hz), 5.43 (d, 1H, *J* = 17.2 Hz), 5.33 (d, 1H, *J* = 10.4 Hz), 4.87 (d, 2H, *J* = 5.9 Hz), 4.07 (s, 3H), 2.54 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 166.7, 158.4, 141.3, 133.2, 133.1, 131.6, 127.9, 126.8, 125.4, 124.1, 119.9, 109.4 66.5, 64.1, 15.3. HRMS (ESI) calcd for $C_{15}H_{16}NO_4$

$[M+H]^+$ 274.1079, found 274.1077. IR (cm-1): ν 2988, 2940, 1720, 1672, 1610, 1555, 1485, 1436, 1377, 1311, 1284, 1209, 1119, 1079, 1045, 996, 859, 693, 614.



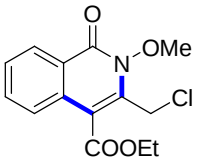
3ae

4-Acetyl-2-methoxy-3-methylisoquinolin-1(2*H*)-one (3ae): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.32. Yield 42 mg (91%). White solid, mp 89-91 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.42 (d, 1H, *J* = 8.1 Hz), 7.69 – 7.57 (m, 1H), 7.46 (t, 1H, *J* = 7.6 Hz), 7.33 (d, 1H, *J* = 8.1 Hz), 4.06 (s, 3H), 2.56 (s, 3H), 2.40 (s, 3H) ^{13}C NMR ($CDCl_3$, 100 MHz) δ 203.1, 158.2, 136.9, 133.0, 132.7, 128.4, 126.9, 125.8, 123.3, 118.2, 64.0, 33.1, 14.6. HRMS (ESI) calcd for $C_{13}H_{14}NO_3$ $[M+H]^+$ 232.0974, found 232.0970. IR (cm-1): ν 2920, 2898, 1665, 1606, 1551, 1479, 1436, 1384, 1357, 1305, 1280, 1241, 1195, 1119, 977, 768, 695, 656.



3af

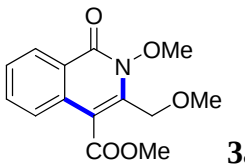
Ethyl 3-ethyl-2-methoxy-1-oxo-1,2-dihydroisoquinoline-4-carboxylate (3af): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.51. Yield 53 mg (96%). Light yellow oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.40 (d, 1H, *J* = 8.0 Hz), 7.67 – 7.60 (m, 2H), 7.45 (ddd, 1H, *J* = 8.1 Hz, *J* = 6.0 Hz, *J* = 2.1 Hz), 4.44 (q, 2H, *J* = 7.1 Hz), 4.09 (s, 3H), 2.80 (q, 2H, *J* = 7.3 Hz), 1.41 (t, 3H, *J* = 7.1 Hz), 1.34 (t, 3H, *J* = 7.4 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 166.9, 158.5, 145.5, 133.3, 132.9, 127.9, 126.8, 125.6, 124.1, 109.5, 64.5, 61.9, 23.4, 14.4, 14.2. HRMS (ESI) calcd for $C_{15}H_{18}NO_4$ $[M+H]^+$ 276.1236, found 276.1235. IR (cm-1): ν 2981, 2939, 1609, 1556, 1485, 1380, 1311, 1286, 1123, 1078, 1019, 991, 782, 695.



3ag

Ethyl 3-(chloromethyl)-2-methoxy-1-oxo-1,2-dihydroisoquinoline-4-carboxylate

(3ag): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.52. Yield 50 mg (84%). White solid, mp 110-112 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.45 (d, 1H, *J* = 8.1 Hz), 7.77 (d, 1H, *J* = 8.2 Hz), 7.70 (t, 1H, *J* = 7.6 Hz), 7.55 (t, 1H, *J* = 7.5 Hz), 4.83 (s, 2H), 4.50 (q, 2H, *J* = 7.1 Hz), 4.26 (s, 3H), 1.46 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 165.8, 158.2, 139.2, 133.3, 132.5, 128.3, 128.1, 126.8, 125.3, 112.3, 65.5, 62.5, 37.2, 14.4. HRMS (ESI) calcd for $C_{14}H_{15}ClNO_4$ $[M+H]^+$ 296.0690, found 296.0685. IR (cm-1): ν 2962, 2941, 2858, 1696, 1606, 1546, 1458, 1376, 1268, 1132, 1085, 1034, 990, 961, 788, 729, 683, 523.

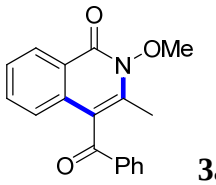


3ah

Methyl

2-methoxy-3-(methoxymethyl)-1-oxo-1,2-dihydroisoquinoline-4-carboxylate

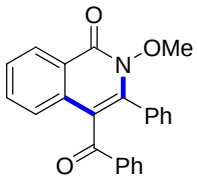
(3ah): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.31. Yield 54 mg (97%). White solid, mp 99-101 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.42 (d, 1H, *J* = 8.1 Hz), 7.70 – 7.57 (m, 2H), 7.50 (t, 1H, *J* = 7.4 Hz), 4.60 (s, 2H), 4.12 (s, 3H), 3.95 (s, 3H), 3.42 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 166.9, 158.3, 139.1, 133.0, 132.6, 127.9, 127.7, 126.4, 124.6, 111.7, 66.8, 64.9, 58.9, 52.8. HRMS (ESI) calcd for $C_{14}H_{16}NO_5$ $[M+H]^+$ 278.1028, found 278.1027. IR (cm-1): ν 2996, 2937, 2814, 1707, 1689, 1488, 1457, 1427, 1393, 1375, 1311, 1292, 1216, 1157, 1105, 1025, 989, 757, 686, 619.



3ai

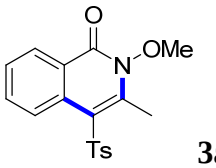
4-Benzoyl-2-methoxy-3-methylisoquinolin-1(2*H*)-one (3ai): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.33. Yield 54 mg (92%). Light yellow solid, mp 177-178 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.46 (d, 1H, *J* = 8.0 Hz), 7.89 (d, 2H, *J* = 7.7 Hz), 7.61 (t, 1H, *J* = 7.3 Hz), 7.53 – 7.42 (m, 4H), 7.17 (d, 1H, *J* = 8.0 Hz), 4.11 (s, 3H), 2.30 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 195.9, 158.5, 138.1, 137.7, 134.5, 134.1, 132.9, 130.0, 129.3, 128.2, 126.8, 125.7, 124.3, 115.1, 64.1, 15.2. HRMS (ESI)

calcd for $C_{18}H_{16}NO_3$ $[M+H]^+$ 294.1130, found 294.1129. IR (cm-1): ν 3052, 2937, 1655, 1612, 1499, 1477, 1432, 1402, 1362, 1317, 1282, 1031, 899, 723, 694, 641, 612.



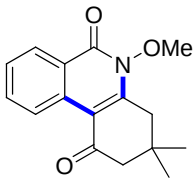
3aj

4-Benzoyl-2-methoxy-3-phenylisoquinolin-1(2*H*)-one (3aj): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f = 0.47. Yield 61 mg (86%). Light yellow solid, mp 157-159 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.58 (d, 1H, *J* = 7.9 Hz), 7.64 – 7.60 (m, 3H), 7.55 (t, 1H, *J* = 7.5 Hz), 7.46 – 7.35 (m, 4H), 7.26 – 7.21 (m, 5H), 3.70 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 195.3, 158.3, 140.7, 137.9, 133.9, 133.6, 133.2, 130.6, 130.0, 129.7, 129.5, 128.5, 128.3 128.1, 127.6, 126.4, 124.8, 117.1, 63.8. HRMS (ESI) calcd for $C_{23}H_{18}NO_3$ $[M+H]^+$ 356.1287, found 356.1285. IR (cm-1): ν 3060, 2930, 1754, 1739, 1508, 1486, 1457, 1363, 1318, 1282, 1232, 1172, 992, 928, 769, 727, 691, 646.



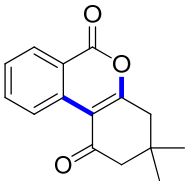
3ak

2-Methoxy-3-methyl-4-tosylisoquinolin-1(2*H*)-one (3ak): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f = 0.29. Yield 56 mg (82%). Light yellow solid, mp 139-141 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.57 (d, 1H, *J* = 8.6 Hz), 8.43 (d, 1H, *J* = 8.0 Hz), 7.78 (d, 2H, *J* = 7.3 Hz), 7.65 (t, 1H, *J* = 7.9 Hz), 7.47 (t, 1H, *J* = 7.6 Hz), 7.29 (d, 2H, *J* = 8.3 Hz), 4.09 (s, 3H), 3.03 (s, 3H), 2.39 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 158.2, 148.4, 144.3, 140.3, 133.4, 132.1, 130.1, 128.2, 127.3, 126.2, 125.1, 124.9, 114.3, 64.3, 21.8, 15.2. HRMS (ESI) calcd for $C_{18}H_{18}NO_4S$ $[M+H]^+$ 344.0957, found 344.0955. IR (cm-1): ν 3036, 2939, 1601, 1572, 1542, 1474, 1396, 1256, 1189, 1152, 1037, 980, 915, 813, 733, 695, 613.



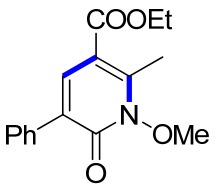
3al

5-Methoxy-4,4-dimethyl-3,4-dihydrophenanthridine-1,6(2*H*,5*H*)-dione (3al): Eluent: petroleum ether/ethyl acetate (v/v, 5:1), R_f = 0.31. Yield 19 mg (34%). Light yellow solid, mp 125-127 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 9.27 (d, 1H, *J* = 8.5 Hz), 8.42 (d, 1H, *J* = 8.0 Hz), 7.74 (t, 1H, *J* = 7.8 Hz), 7.51 (t, 1H, *J* = 7.6 Hz), 4.10 (s, 3H), 3.03 (s, 2H), 2.52 (s, 2H), 1.19 (s, 6H).. ^{13}C NMR ($CDCl_3$, 100 MHz) δ 196.6, 158.9, 151.7, 134.0, 133.4, 127.6, 127.3, 126.4, 125.2, 109.1, 64.4, 52.7, 38.6, 32.1, 28.5. HRMS (ESI) calcd for $C_{16}H_{18}NO_3$ $[M+H]^+$ 272.1287, found 272.1283. IR (cm-1): ν 2952, 2874, 1691, 1679, 1604, 1574, 1540, 1476, 1384, 1316, 1203, 1167, 1051, 990, 767, 694, 540.



3al'

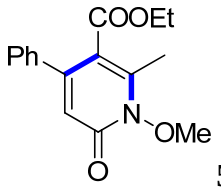
4,4-dimethyl-3,4-dihydro-1*H*-benzo[c]chromene-1,6(2*H*)-dione (3al'):[7] Eluent: hexane/ethyl acetate (5:1), R_f = 0.35. Yield 29 mg (60%). Light yellow solid, mp 138-140 °C (lit.[7] 143-145 °C). ^{1}H NMR ($CDCl_3$, 400 MHz) δ 9.02 (d, 1H, *J* = 8.3 Hz), 8.26 (d, 1H, *J* = 7.9 Hz), 7.77 (t, 1H, *J* = 7.8 Hz), 7.51 (t, 1H, *J* = 7.6 Hz), 2.78 (s, 2H), 2.51 (s, 2H), 1.16 (s, 6H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 197.2, 168.2, 160.9, 135.9, 134.0, 129.8, 128.6, 125.9, 119.9, 110.7, 53.0, 42.7, 32.2, 28.3. HRMS (ESI) calcd for $C_{15}H_{16}NO_2$ $[M+H]^+$ 243.1019, found 243.1021. IR (cm-1): ν 3012, 2929, 2866, 1701, 1697, 1635, 1595, 1562, 1483, 1396, 1244, 1195, 1154, 1060, 1033, 692, 643, 533.



5aa

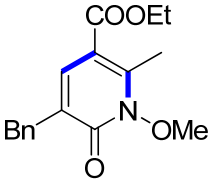
Ethyl 1-methoxy-2-methyl-6-oxo-5-phenyl-1,6-dihydropyridine-3-carboxylate (5aa): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f = 0.48. Yield 54 mg (94%).

Light yellow solid, mp 75-77 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.04 (s, 1H), 7.69 (d, 2H, *J* = 7.6 Hz), 7.41 (t, 2H, *J* = 7.4 Hz), 7.34 (t, 1H, *J* = 7.2 Hz), 4.32 (q, 2H, *J* = 7.0 Hz), 4.08 (s, 3H), 2.86 (s, 3H), 1.36 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 165.1, 158.1, 151.9, 137.0, 135.8, 128.9, 128.6, 128.5, 128.2, 107.8, 63.9, 61.3, 14.7, 14.5. HRMS (ESI) calcd for $C_{16}H_{18}NO_4$ $[M+H]^+$ 288.1236, found 288.1239. IR (cm-1): ν 2989, 2938, 1704, 1662, 1596, 1544, 1448, 1392, 1293, 1238, 1107, 1038, 965, 778, 695, 613, 516.



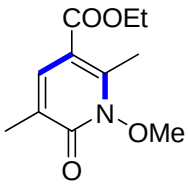
5ba

Ethyl 1-methoxy-2-methyl-6-oxo-4-phenyl-1,6-dihydropyridine-3-carboxylate (5ba): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f = 0.32. Yield 48 mg (83%). Light yellow solid, mp 49-51 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.39 – 7.38 (m, 3 H), 7.31 – 7.24 (m, 2H), 6.52 (s, 1H), 4.11 (s, 3H), 3.93 (q, 2H, *J* = 7.0 Hz), 2.57 (s, 3H), 0.82 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 166.9, 158.2, 151.2, 147.0, 138.8, 129.0, 128.8, 128.7, 127.1, 119.1, 112.5, 64.0, 61.7, 14.8, 13.5. $C_{16}H_{18}NO_4$ $[M+H]^+$ 288.1236, found 288.1228. IR (cm-1): ν 2983, 2938, 1694, 1653, 1592, 1520, 1407, 1362, 1120, 1053, 964, 858, 769, 727, 660.



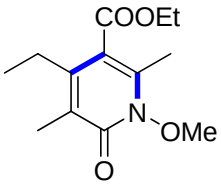
5ca

Ethyl 5-benzyl-1-methoxy-2-methyl-6-oxo-1,6-dihydropyridine-3-carboxylate (5ca): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f = 0.48. Yield 57 mg (95%). Light yellow solid, mp 79-80 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.61 (s, 1H), 7.32 – 7.21 (m, 5H), 4.25 (q, 2H, *J* = 7.1 Hz), 4.04 (s, 3H), 3.86 (s, 2H), 2.78 (s, 3H), 1.31 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 165.2, 159.0, 150.6, 139.1, 136.5, 130.3, 129.2, 128.6, 126.5, 107.4, 63.8, 61.1, 36.4, 14.4, 14.3. HRMS (ESI) calcd for $C_{17}H_{20}NO_4$ $[M+H]^+$ 302.1392, found 302.1390. IR (cm-1): ν 3051, 2983, 2939, 1710, 1662, 1588, 1467, 1452, 1417, 1363, 1307, 1257, 1180, 1050, 978, 774, 968, 580.



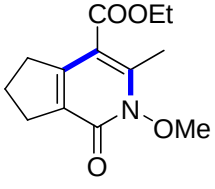
5da

Ethyl 1-methoxy-2,5-dimethyl-6-oxo-1,6-dihydropyridine-3-carboxylate (5da): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.43. Yield 39 mg (87%). Light yellow solid, mp 86-88 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.67 (s. 1H), 4.25 (q, 2H, *J* = 7.1 Hz), 4.00 (s, 3H), 2.74 (s, 3H), 2.11 (s, 3H), 1.32 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 165.3, 159.5, 150.2, 136.3, 127.0, 107.3, 63.8, 61.1, 16.8, 14.4, 14.3. HRMS (ESI) calcd for $C_{11}H_{16}NO_4$ $[M+H]^+$ 226.1079, found 226.1080. IR (cm-1): ν 2979, 2946, 1697, 1678, 1566, 1463, 1376, 1325, 1282, 1202, 1079, 1054, 1013, 975, 916, 775, 750, 490.



5ea

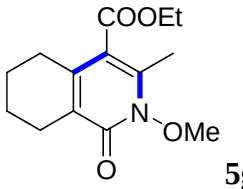
Ethyl 4-ethyl-1-methoxy-2,5-dimethyl-6-oxo-1,6-dihydropyridine-3-carboxylate (5fa): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.31. Yield 29 mg (57%). Light yellow oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 4.34 (q, 2H, *J* = 7.2 Hz), 4.02 (s, 3H), 2.47 (q, 2H, *J* = 7.5 Hz), 2.37 (s, 3H), 2.13 (s, 3H), 1.36 (t, 3H, *J* = 7.1 Hz), 1.11 (t, 3H, *J* = 7.6 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 166.9, 158.2, 151.2, 147.0, 138.8, 129.0, 128.8, 128.7, 127.1, 119.1, 112.5, 64.0, 61.7, 14.8, 13.5. $C_{13}H_{20}NO_4$ $[M+H]^+$ 254.1392, found 254.1391. IR (cm-1): ν 2976, 2938, 2876, 1650, 1540, 1483, 1372, 1257, 1091, 1062, 1048, 972, 937.



5fa

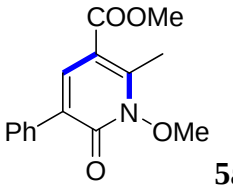
Ethyl 2-methoxy-3-methyl-1-oxo-2,5,6,7-tetrahydro-1*H*-cyclopenta[c]pyridine-4-carboxylate (5ga): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f= 0.35. Yield 41 mg (82%). White solid, mp 85-87 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 4.28 (q, 2H, *J*

= 7.1 Hz), 4.01 (s, 3H), 3.01 (t, 2H, *J* = 7.6 Hz), 2.82 (t, 2H, *J* = 7.5 Hz), 2.62 (s, 3H), 2.03 – 1.97 (m, 2H), 1.34 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 166.3, 156.9, 152.8, 148.6, 131.4, 108.3, 63.9, 61.2, 35.9, 30.3, 23.3, 14.9, 14.4. $C_{13}H_{18}NO_4$ $[M+H]^+$ 252.1236, found 252.1235. IR (cm-1): ν 2938, 2853, 1703, 1685, 1582, 1451, 1431, 1376, 1307, 1260, 1182, 1108, 1054, 962, 776, 600.



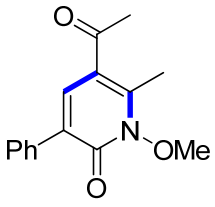
5ga

Ethyl 2-methoxy-3-methyl-1-oxo-1,2,5,6,7,8-hexahydroisoquinoline-4-carboxylate (5ha): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f = 0.38. Yield 45 mg (85%). Light yellow oil. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 4.30 (q, 2H, *J* = 7.1 Hz), 3.99 (s, 3H), 2.56 – 2.44 (m, 4H), 2.37 (s, 3H), 1.69 – 1.68 (m, 4H), 1.33 (t, 3H, *J* = 7.1 Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 167.2, 158.5, 143.3, 141.0, 127.3, 113.1, 63.8, 61.7, 27.5, 24.0, 22.0, 21.6, 14.6, 14.4. $C_{15}H_{20}NO_4$ $[M+H]^+$ 266.1392, found 266.1392. IR (cm-1): ν 2937, 2863, 1720, 1652, 1544, 1473, 1420, 1292, 1235, 1075, 1022, 1075, 1049, 1016, 988, 784.



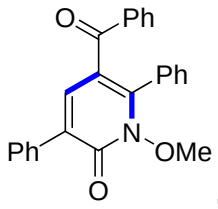
5ab

Methyl 1-methoxy-2-methyl-6-oxo-5-phenyl-1,6-dihydropyridine-3-carboxylate (5ab): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f = 0.45. Yield 52 mg (95%). White solid, mp 80-82 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.04 (s, 1H), 7.70 – 7.68 (m, 2H), 7.41 (t, 2H, *J* = 7.4 Hz), 7.34 (dd, 1H, *J* = 8.4 Hz, *J* = 6.3 Hz), 4.08 (s, 3H), 3.85 (s, 3H), 2.86 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 165.6, 158.1, 152.1, 137.0, 135.7, 128.9, 128.6, 128.5, 128.3, 107.5, 63.9, 52.3, 14.7. HRMS (ESI) calcd for $C_{15}H_{16}NO_4$ $[M+H]^+$ 274.1079, found 274.1077. IR (cm-1): ν 3025, 2951, 1712, 1661, 1589, 1515, 1494, 1394, 1293, 1241, 1107, 1037, 959, 798, 689, 614.



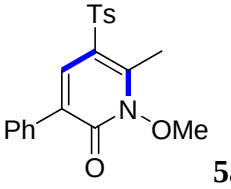
5ae

5-Acetyl-1-methoxy-6-methyl-3-phenylpyridin-2(1*H*)-one (5ae): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f = 0.39. Yield 49 mg (95%). Light yellow solid, mp 94-96 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.77 (s, 1H), 7.60 (d, 2H, *J* = 7.7 Hz), 7.32 (dt, 3H, *J* = 14.2 Hz, *J* = 7.5 Hz), 4.01 (s, 3H), 2.74 (s, 3H), 2.45 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 196.5, 157.7, 151.3), 136.7, 135.7, 128.8, 128.6, 128.4, 115.6, 63.9, 29.6, 14.9. HRMS (ESI) calcd for $C_{15}H_{16}NO_3$ $[M+H]^+$ 258.1130, found 258.1129. IR (cm-1): ν 2936, 2872, 1697, 1675, 1577, 1526, 1481, 1370, 1289, 1241, 1155, 1102, 1031, 963, 853, 765, 620,576.



5aj

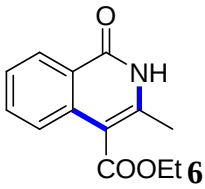
5-Benzoyl-1-methoxy-3,6-diphenylpyridin-2(1*H*)-one (5aj): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f = 0.46. Yield 58 mg (76%). Light yellow solid, mp 96-98 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.82 – 7.79 (m, 2H), 7.77 (s, 1H), 7.64 – 7.60 (m, 2H), 7.47 – 7.38 (m, 7H), 7.30 – 7.27 (m, 4H), 3.73 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 194.3, 157.8, 148.5, 137.5, 136.6, 135.5, 133.0, 131.5, 130.3, 130.1, 129.7, 128.7, 128.6, 128.5, 128.4, 128.3, 128.1, 118.1, 63.8. ESI-MS: $C_{25}H_{20}NO_3$ $[M+H]^+$ 382.1443, found 382.1444. IR (cm-1): ν 3056, 2978, 2939, 1712, 1672, 1601, 1549, 1447, 1424, 1366, 1394, 1295, 1235, 1107, 958, 762, 690.



5ak

1-Methoxy-6-methyl-3-phenyl-5-tosylpyridin-2(1*H*)-one (5ak): Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f = 0.27. Yield 68 mg (92%). Light yellow solid, mp

168-170 °C. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.17 (s, 1H), 7.77 – 7.70 (m, 4H), 7.47 – 7.28 (m, 5H), 4.04 (s, 3H), 2.68 (s, 3H), 2.41 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 157.6, 149.5, 144.7, 138.8, 134.9, 134.8, 130.2, 129.7, 128.7, 128.6, 128.5, 127.2, 118.0, 64.1, 21.8, 14.5. $C_{20}H_{20}NO_4S$ $[M+H]^+$ 370.1113, found 370.1109. IR (cm-1): ν 3056, 2944, 1669, 1591 1536, 1491, 1447, 1392, 1301, 1245, 1162, 1129, 1098, 912, 779, 697, 624.



6

Ethyl 3-methyl-1-oxo-1,2-dihydroisoquinoline-4-carboxylate (6):[8] Eluent: petroleum ether/ethyl acetate (v/v, 2:1), R_f = 0.26. Yield 26 mg (55%). White solid, mp 182-184 °C (lit.[8] 188-189 °C). ^{1}H NMR ($CDCl_3$, 400 MHz) δ 11.49 (br, 1H), 8.41 (d, 1H, *J* = 8.3 Hz), 7.94 (d, 1H, *J* = 8.3 Hz), 7.70 (t, 1H, *J* = 7.6 Hz), 7.48 (t, 1H, *J* = 7.5 Hz), 4.46 (q, 2H, *J* = 7.1 Hz), 2.58 (s, 3H), 1.44 (t, 3H, *J* = 7.1 Hz).. ^{13}C NMR ($CDCl_3$, 100 MHz) δ 167.3, 164.2, 141.2, 136.0, 133.5, 127.6, 126.6, 124.7, 124.2, 109.7, 61.5, 19.2, 14.6. $C_{13}H_{14}NO_3$ $[M+H]^+$ 232.0974, found 232.0975. IR (cm-1): ν 3176, 3027, 2924, 1613, 1556, 1473, 1344, 1289, 1201, 1161, 1130, 1071, 871, 781, 655.

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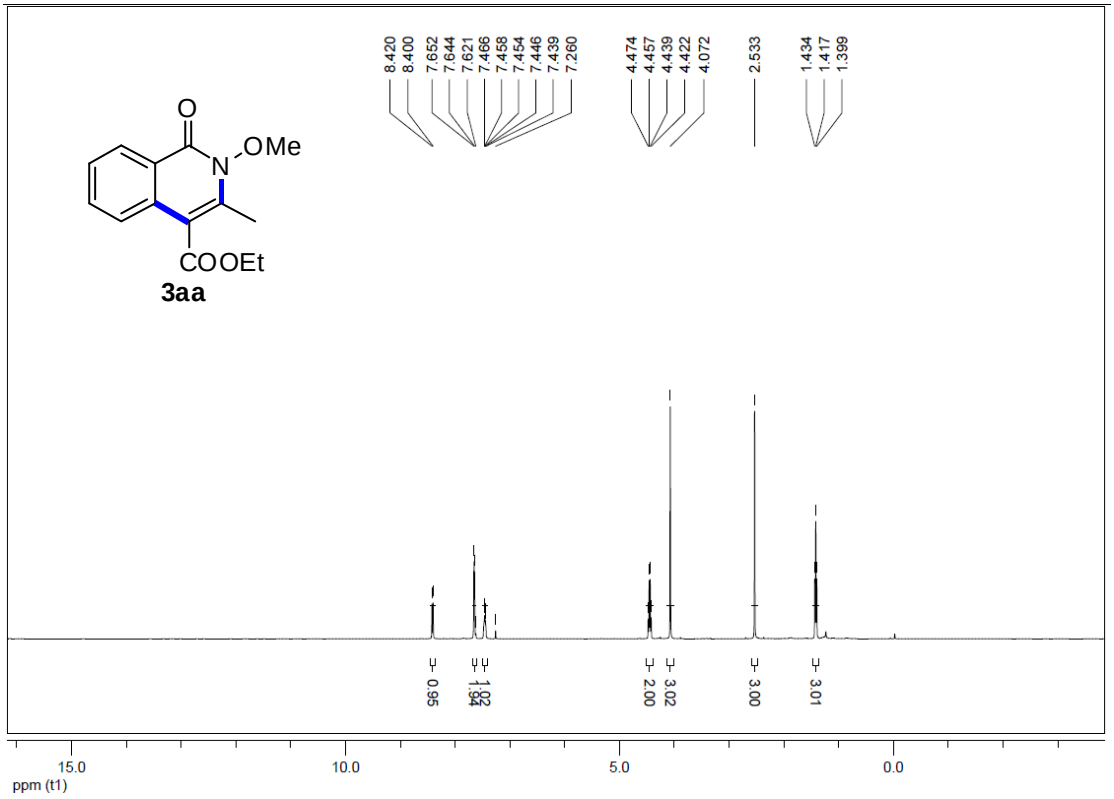
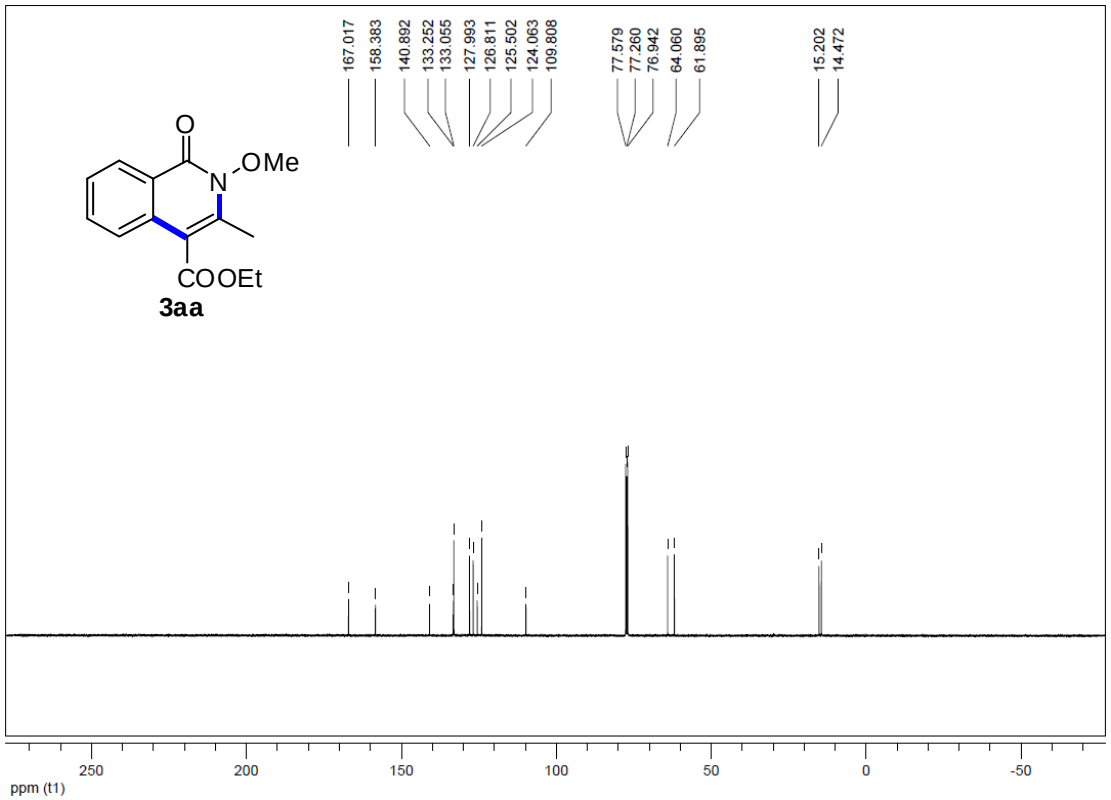
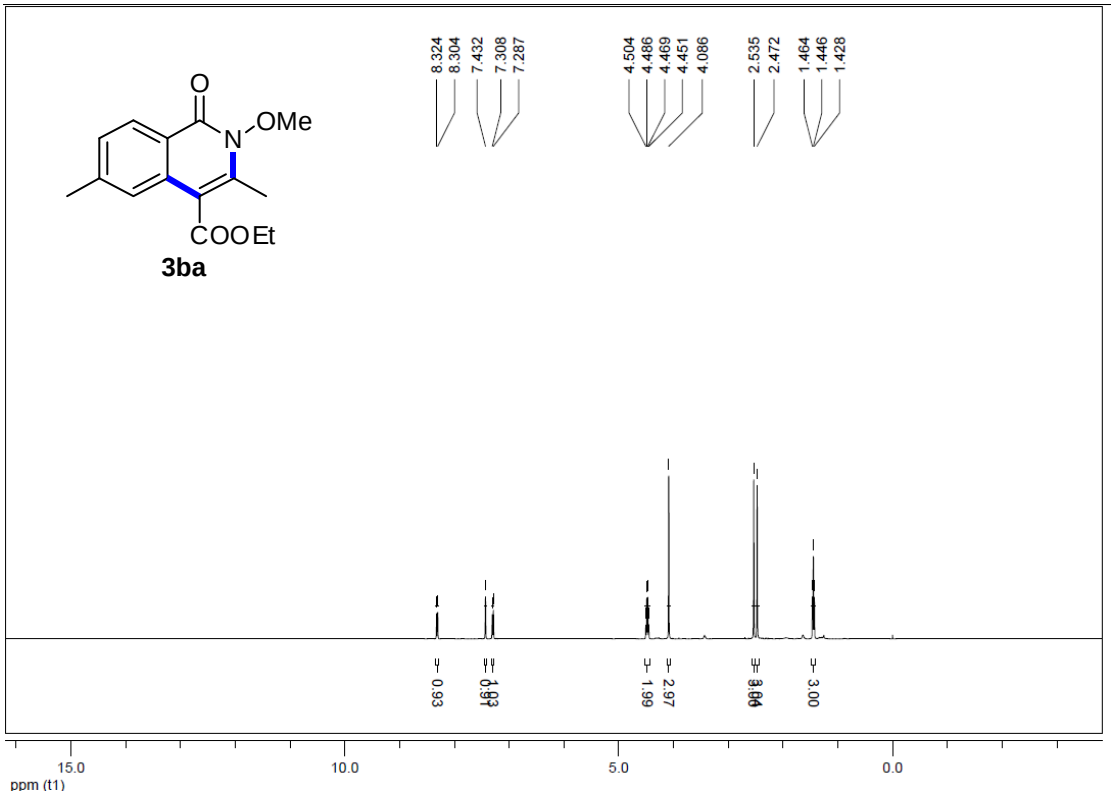
The ^{1}H and ^{13}C NMR spectra of compounds 3, 5 and 6



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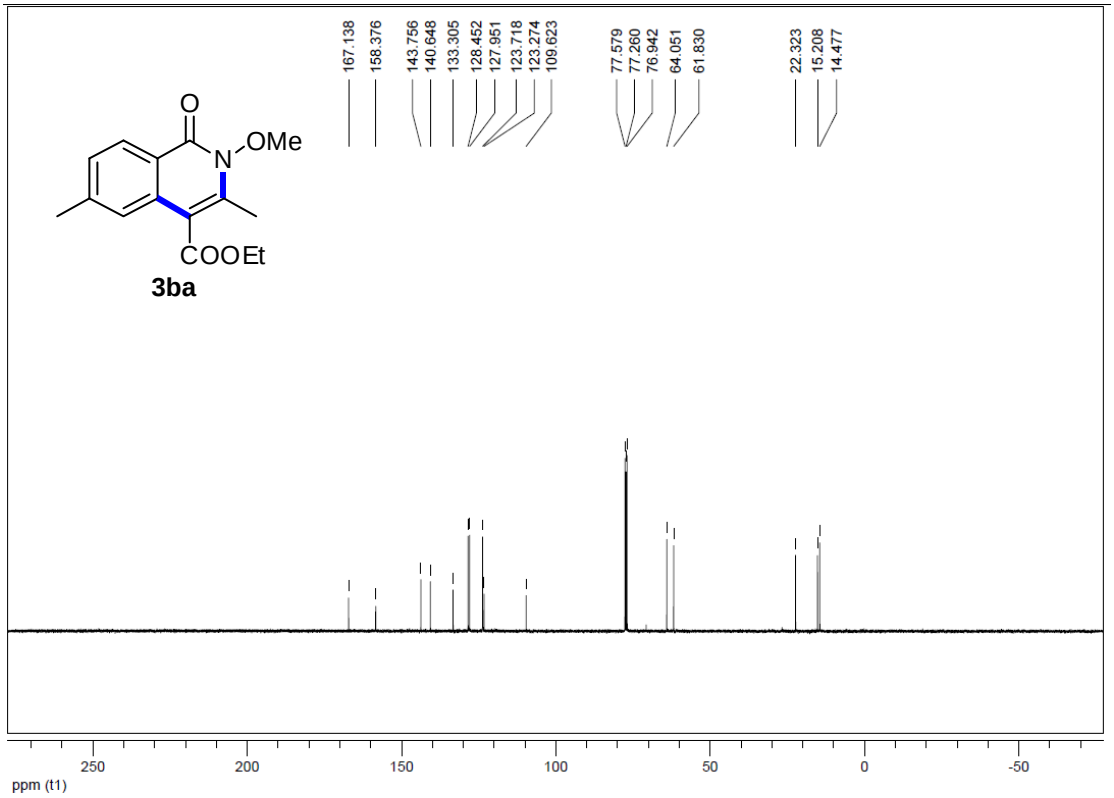
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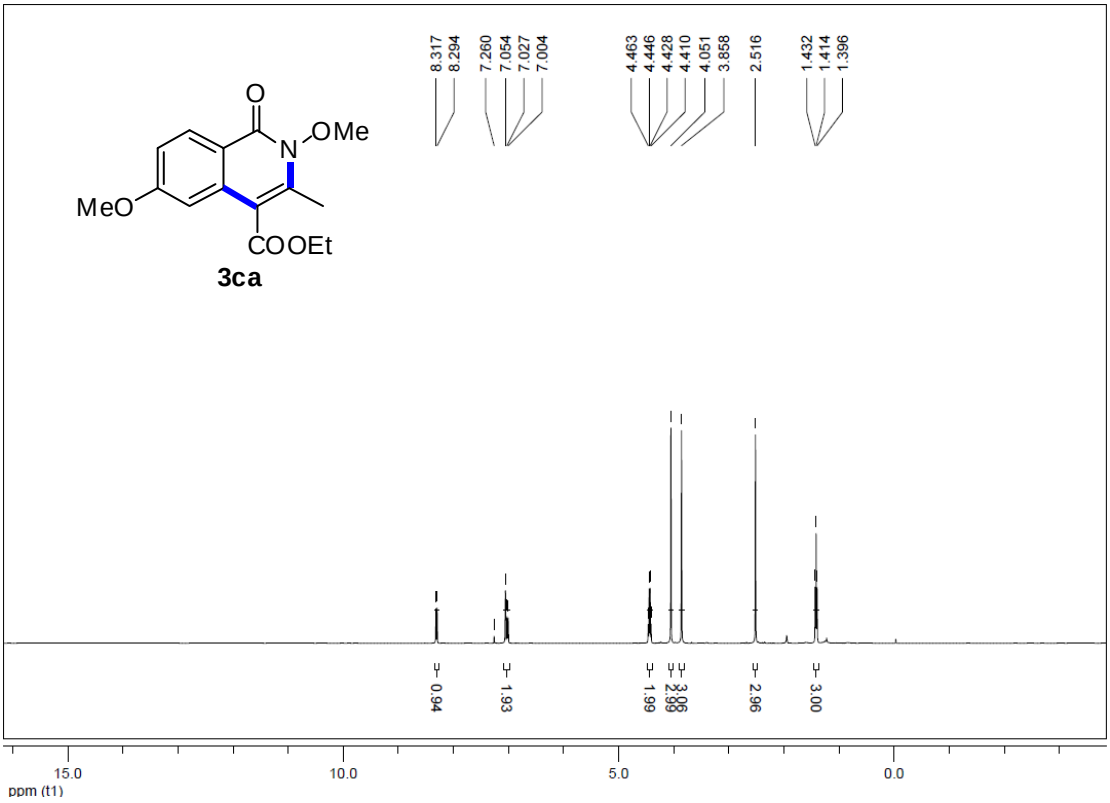
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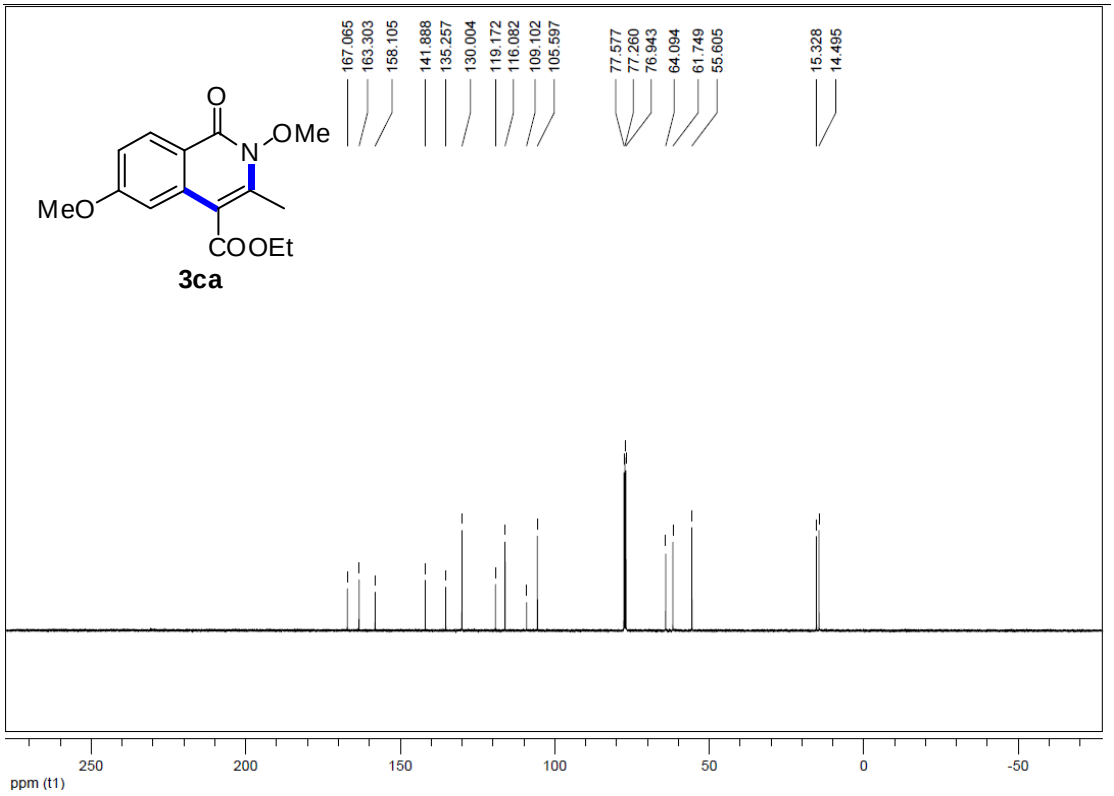
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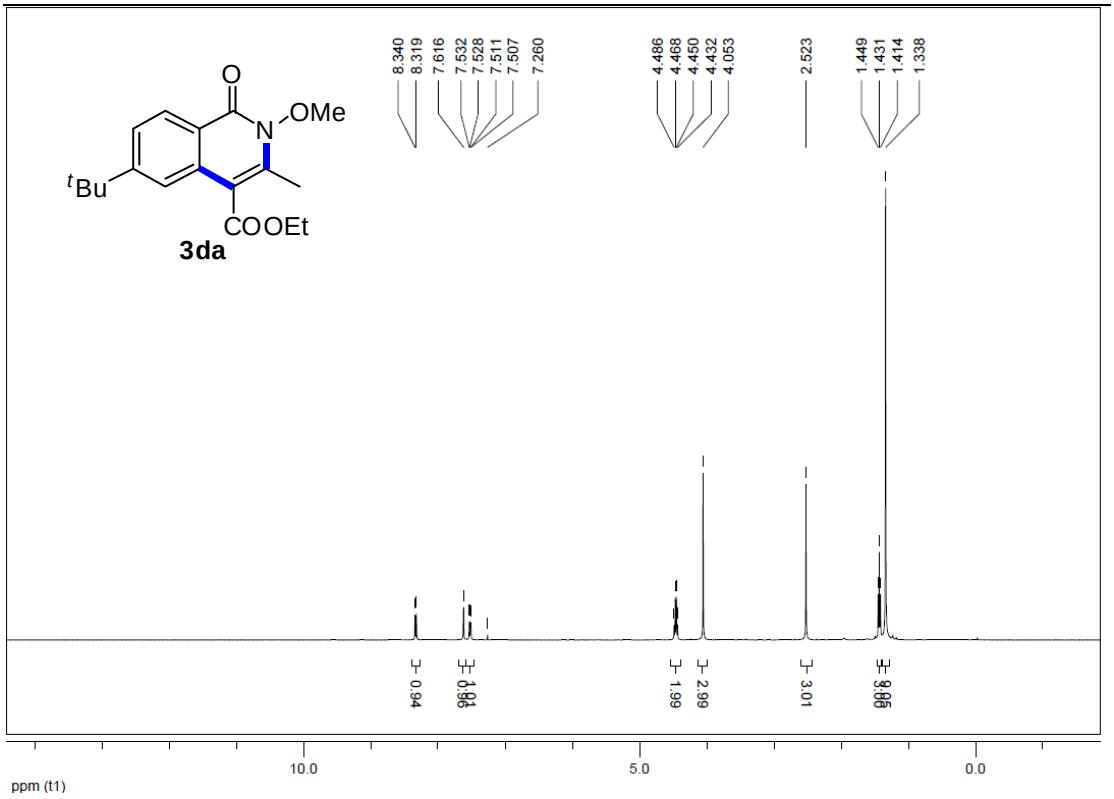
ppm (t1)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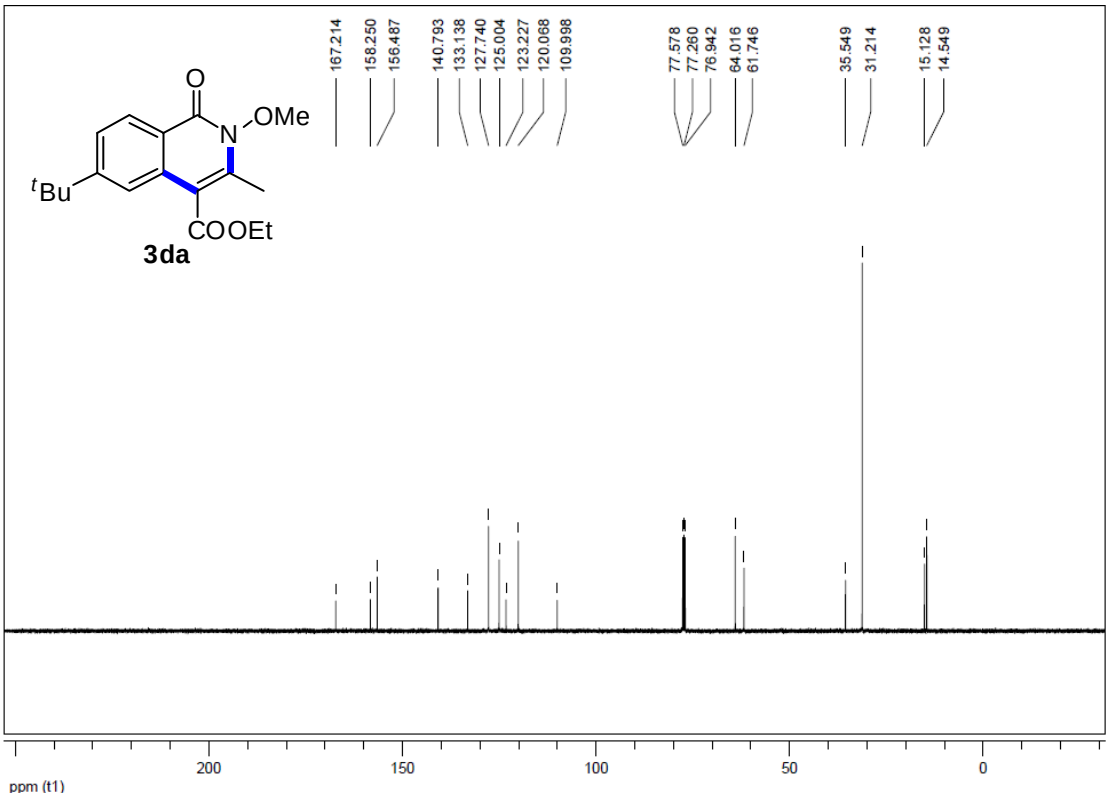
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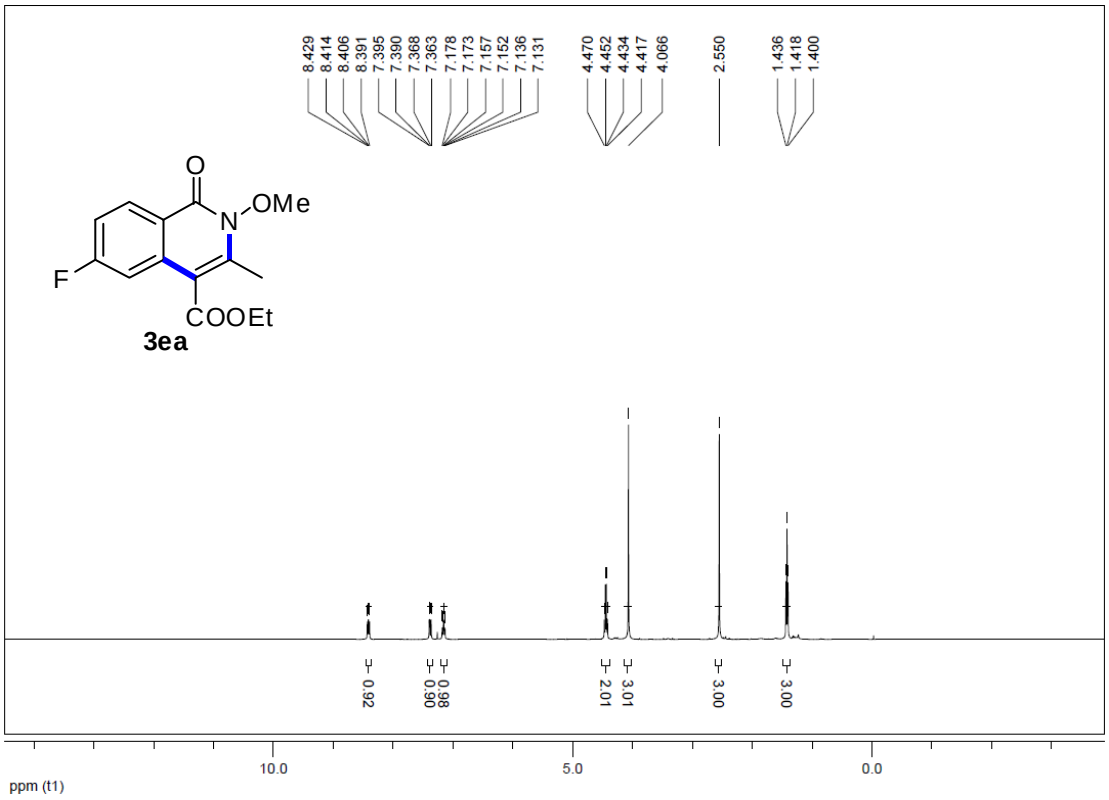
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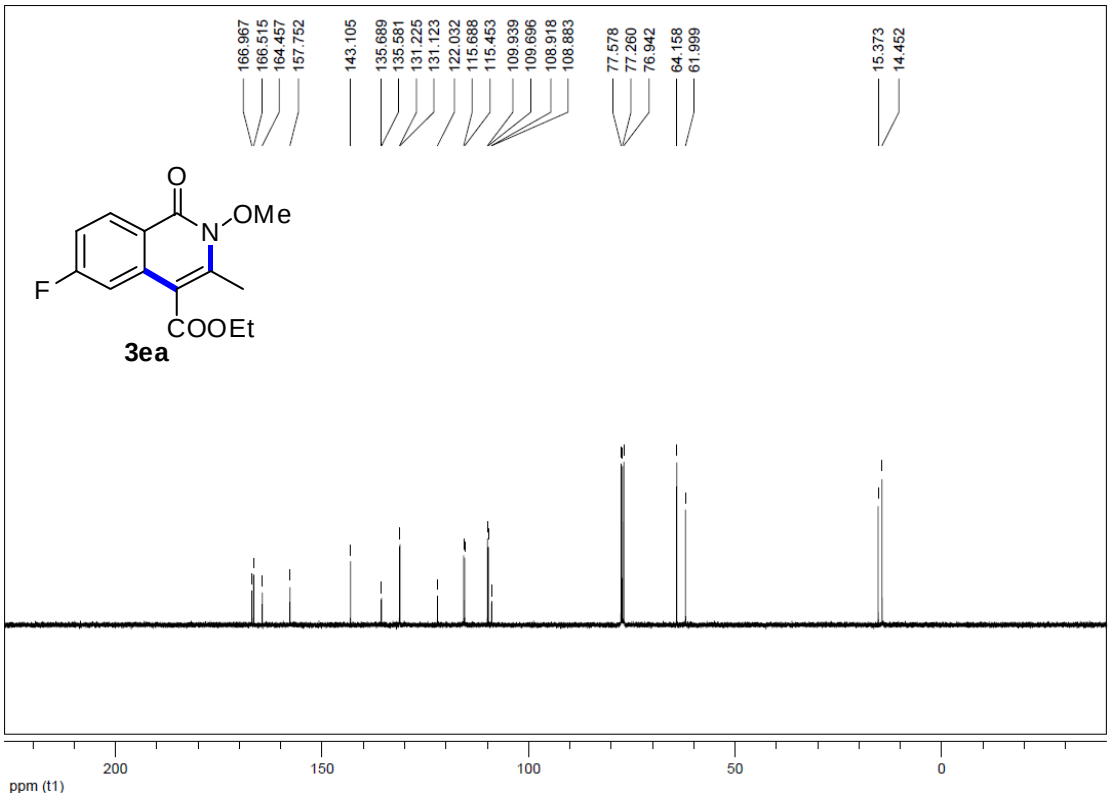
3.00
10.0
5.0
0.0
ppm (t1)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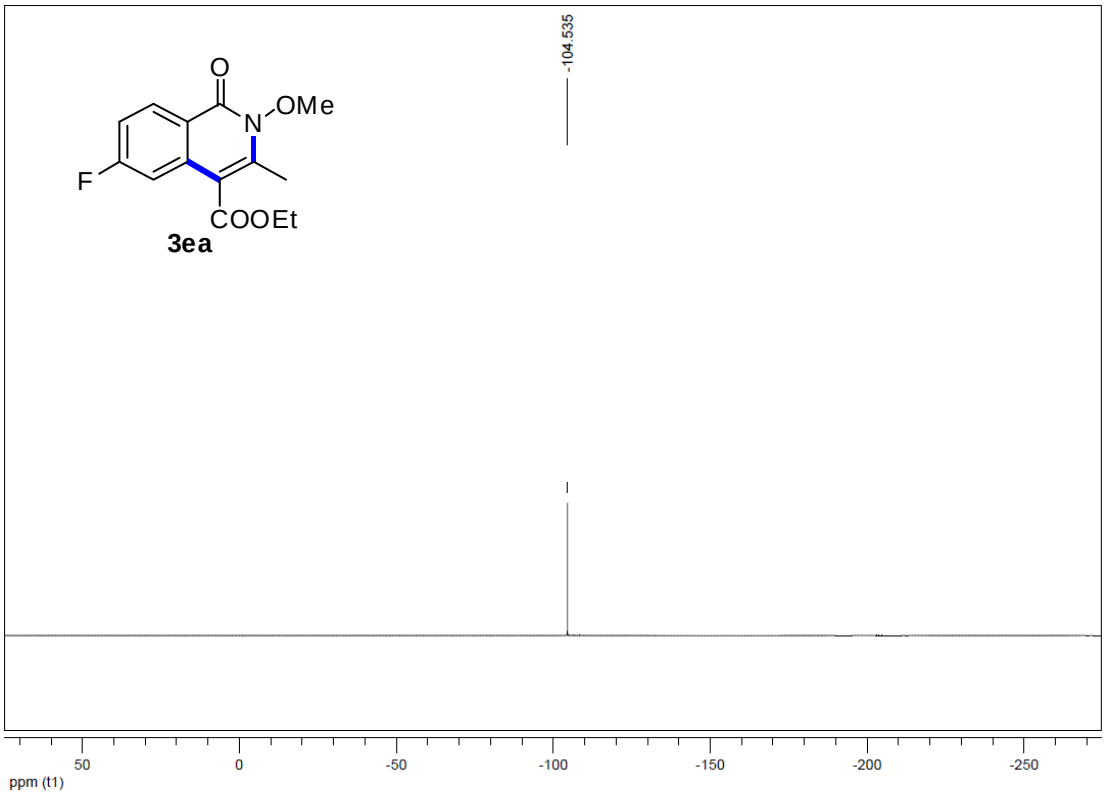
166.515
164.457
157.752
143.105
135.689
135.581
131.225
131.123
122.032
115.688
115.453
109.939
109.696
108.918
108.883
77.578
77.260
76.942
64.158
61.999
15.373
14.452
O
N
OMe
F
COOEt
3ea
200
150
100
50
0
ppm (t1)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N
OMe
F
COOEt
3ea
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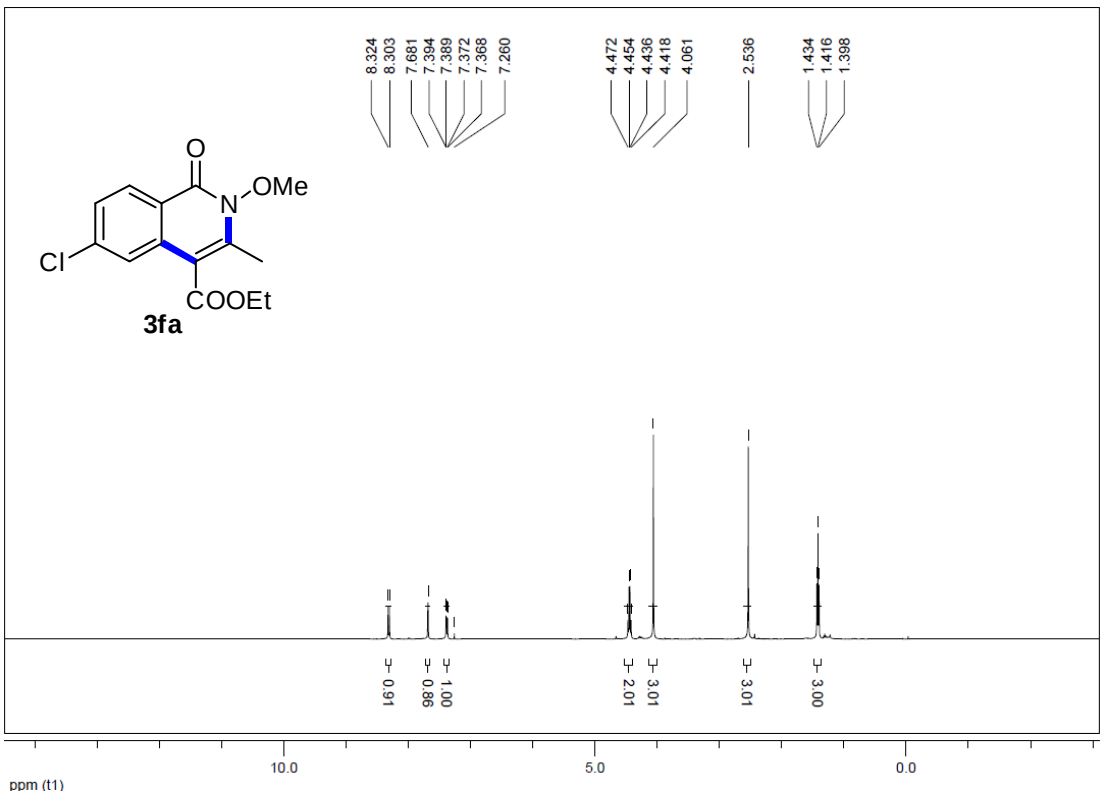
0
-50
-100
-150
-200
-250
ppm (t1)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7.681
7.394
7.389
7.372
7.368
7.260
4.472
4.454
4.436
4.418
4.061
2.536
1.434
1.416
1.398
O
N
OMe
Cl
COOEt
3fa
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1.00
2.01
3.01
3.01
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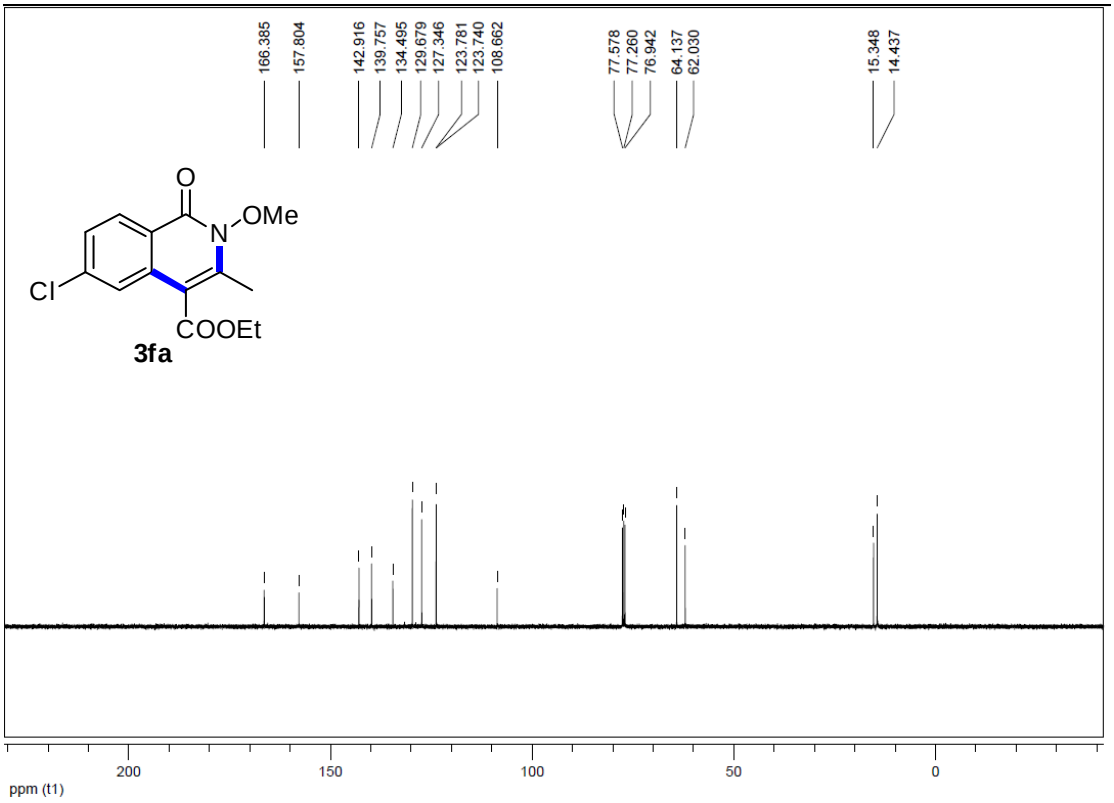
0.0
ppm (t1)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134.495
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127.346
123.781
123.740
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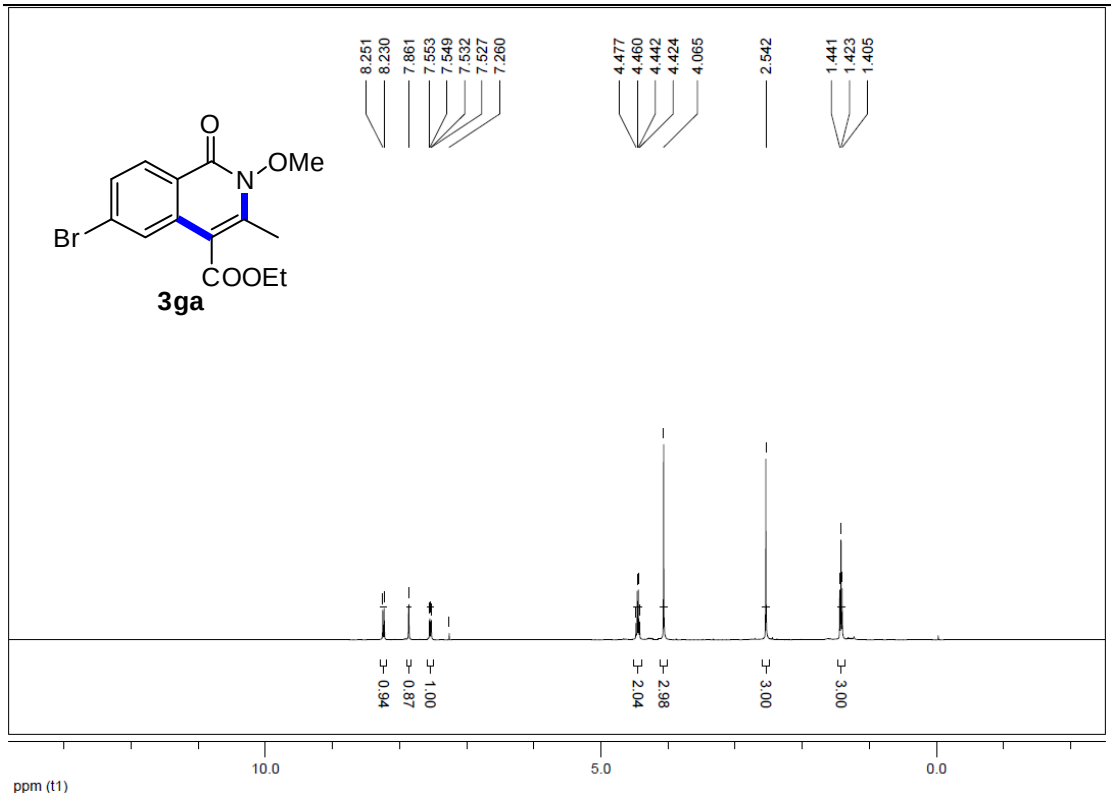
77.578
77.260
76.942
64.137
62.030
15.348
14.437
O
N
OMe
Cl
COOEt
3fa
200
150
100
50
0
ppm (t1)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8.230
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7.553
7.549
7.532
7.527
7.260
4.477
4.460
4.442
4.424
4.065
2.542
1.441
1.423
1.405
O
N
OMe
Br
COOEt
3ga
0.94
0.87
1.00
2.04
2.98
3.00
3.00
10.0
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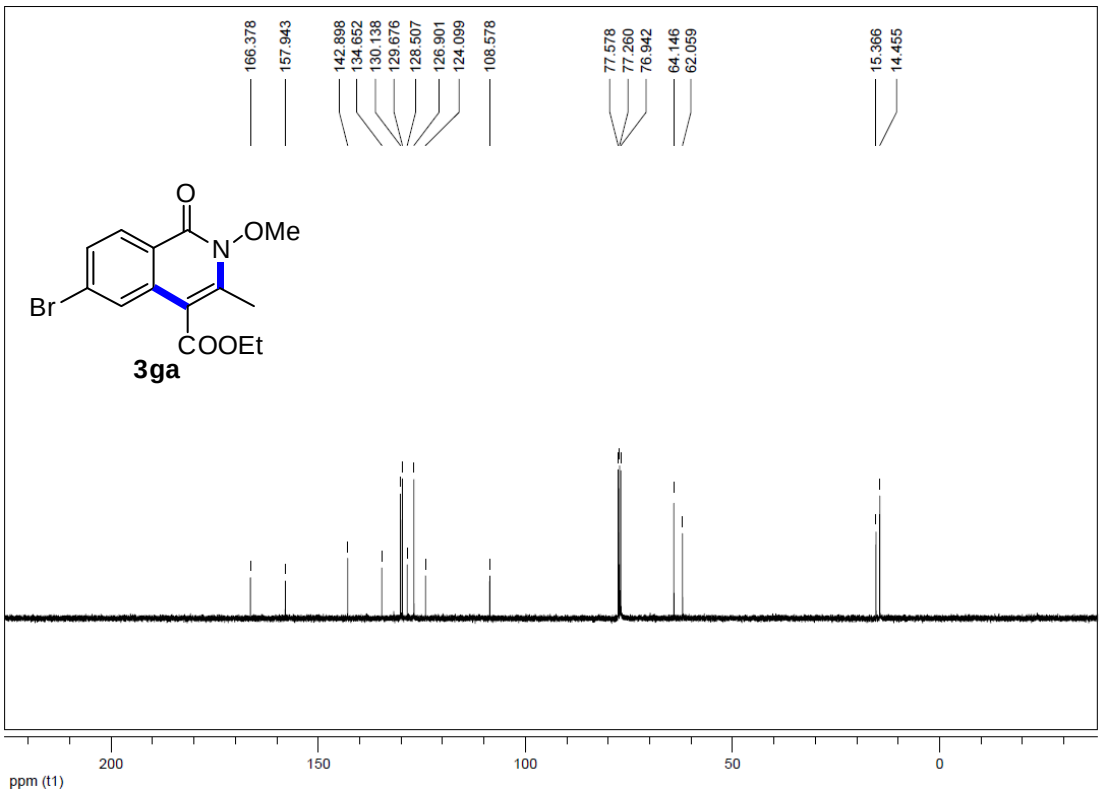
0.0
ppm (t1)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142.898
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128.507
126.901
124.099
108.578
77.578
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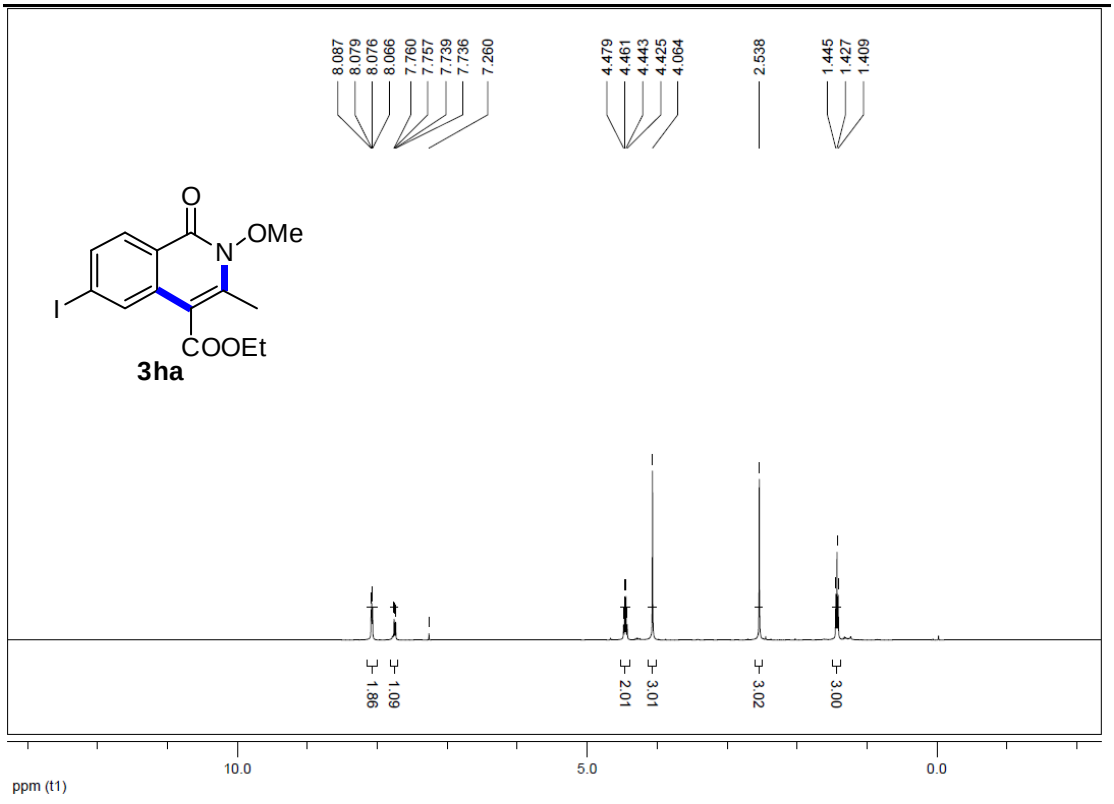
76.942
64.146
62.059
15.366
14.455
O
N
OMe
Br
COOEt
3ga
200
150
100
50
0
ppm (t1)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8.079
8.076
8.066
7.760
7.757
7.739
7.736
7.260
4.479
4.461
4.443
4.425
4.064
2.538
1.445
1.427
1.409
1.86
1.09
2.01
3.01
3.02
3.00
O
N
OMe
I
COOEt
3ha
10.0
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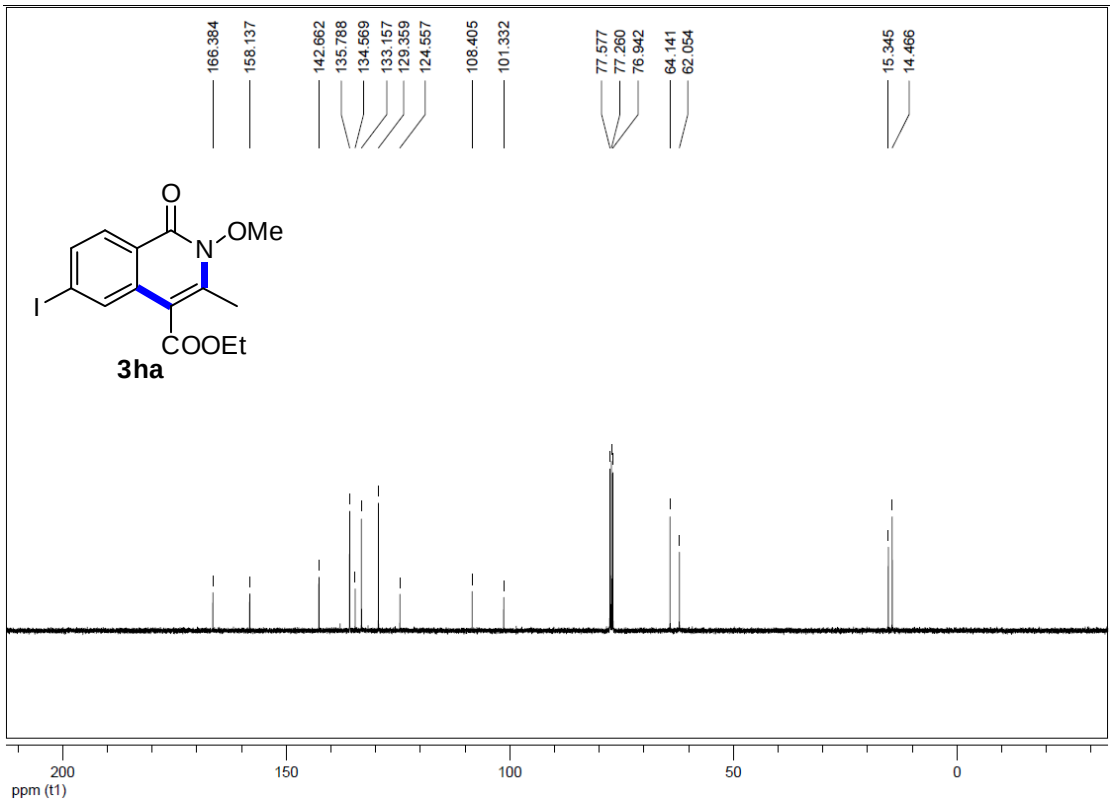
0.0
ppm (t1)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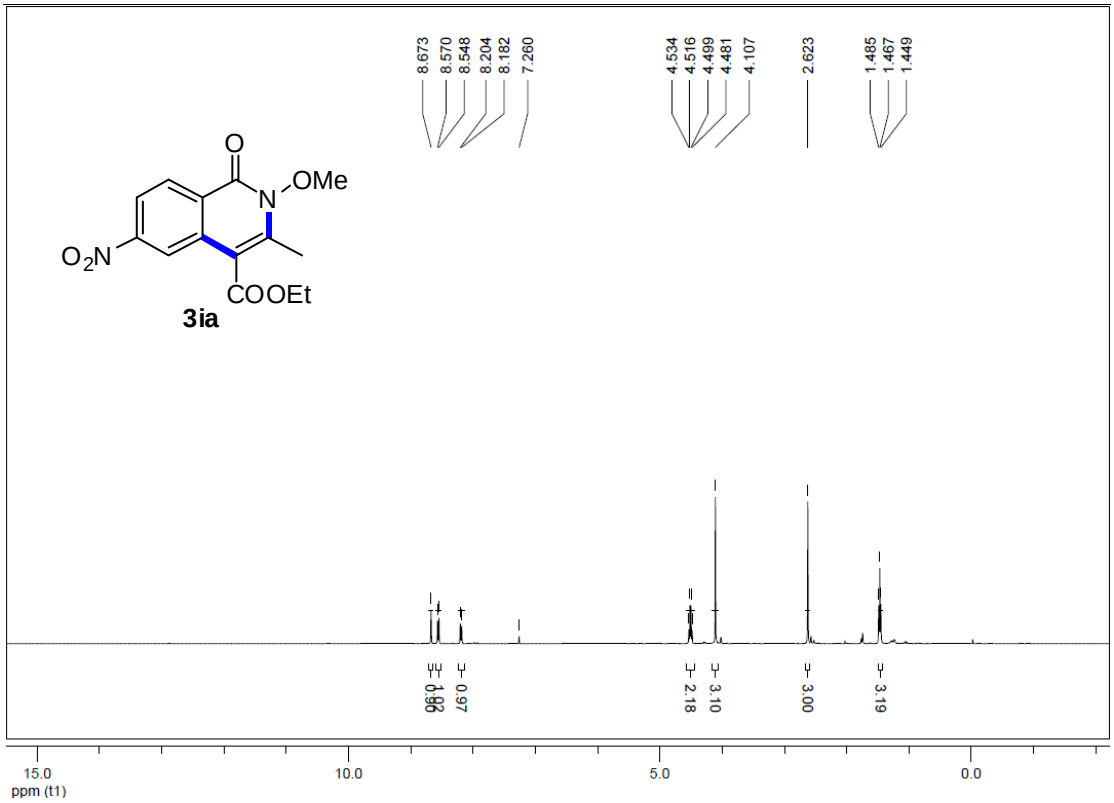
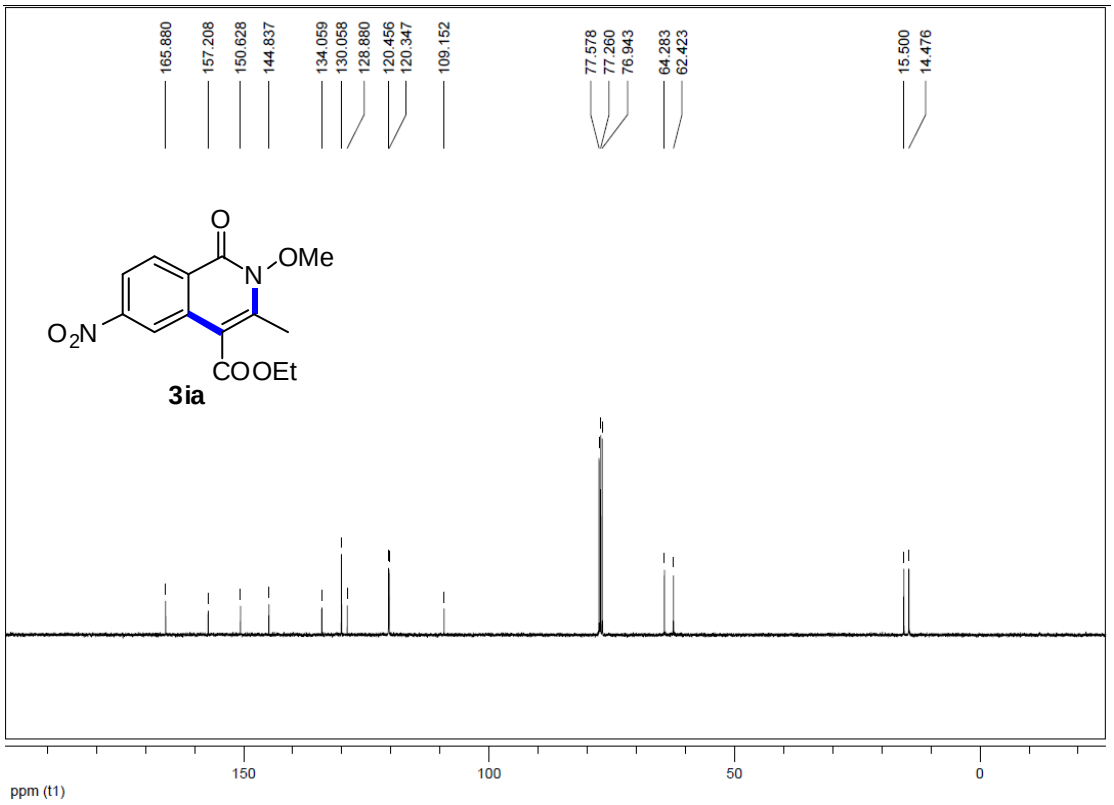
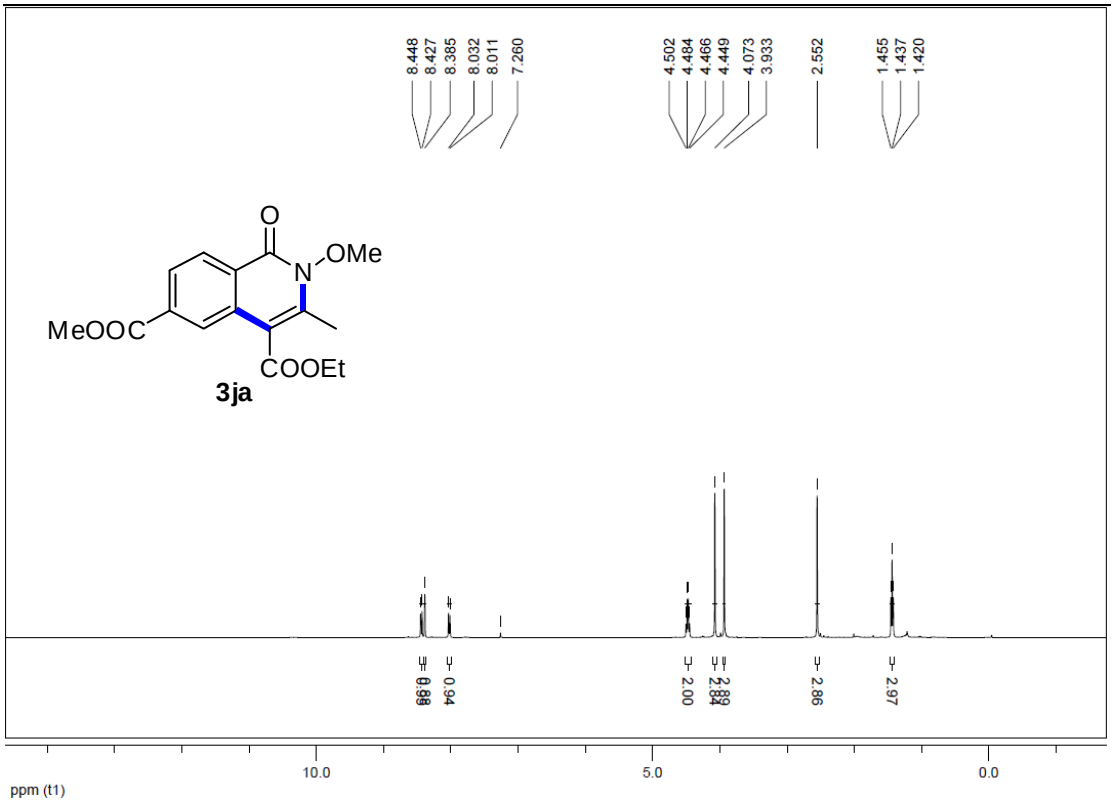
135.788
134.569
133.157
129.359
124.557
108.405
101.332
77.577
77.260
76.942
64.141
62.054
15.345
14.466
O
N
OMe
I
COOEt
3ha
200
150
100
50
0
ppm (t1)


8.673
8.570
8.548
8.204
8.182
7.260
4.534
4.516
4.499
4.481
4.107
2.623
1.485
1.467
1.449
O
N
OMe
O2N
COOEt
3ia
1.00
0.96
0.97
2.18
3.10
3.00
3.19
15.0
10.0
5.0
0.0
ppm (t1)


165.880
157.208
150.628
144.837
134.059
130.058
128.880
120.456
120.347
109.152
77.578
77.260
76.943
64.283
62.423
15.500
14.476
O
N
OMe
O2N
COOEt
3ia
150
100
50
0
ppm (t1)


8.448
8.427
8.385
8.032
8.011
7.260
4.502
4.484
4.466
4.449
4.073
3.933
2.552
1.455
1.437
1.420
O
N
OMe
MeOOC
COOEt
3ja
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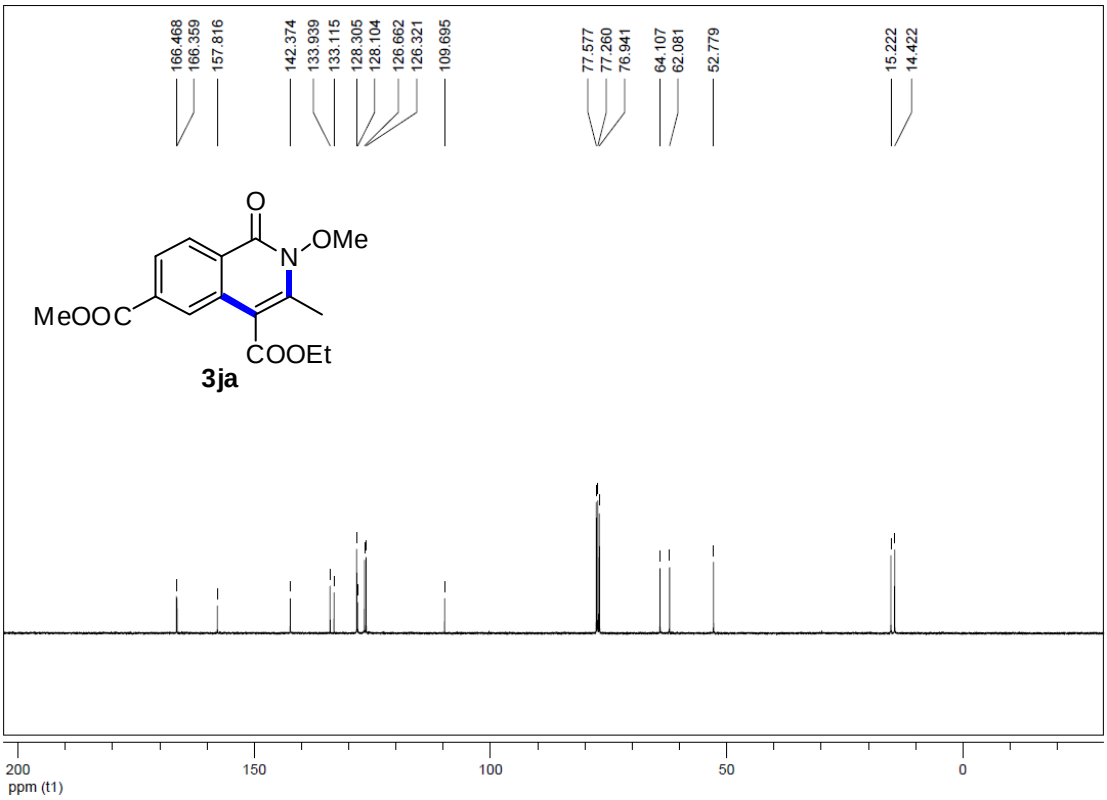
0.64
2.00
2.88
2.96
2.97
10.0
5.0
0.0
ppm (t1)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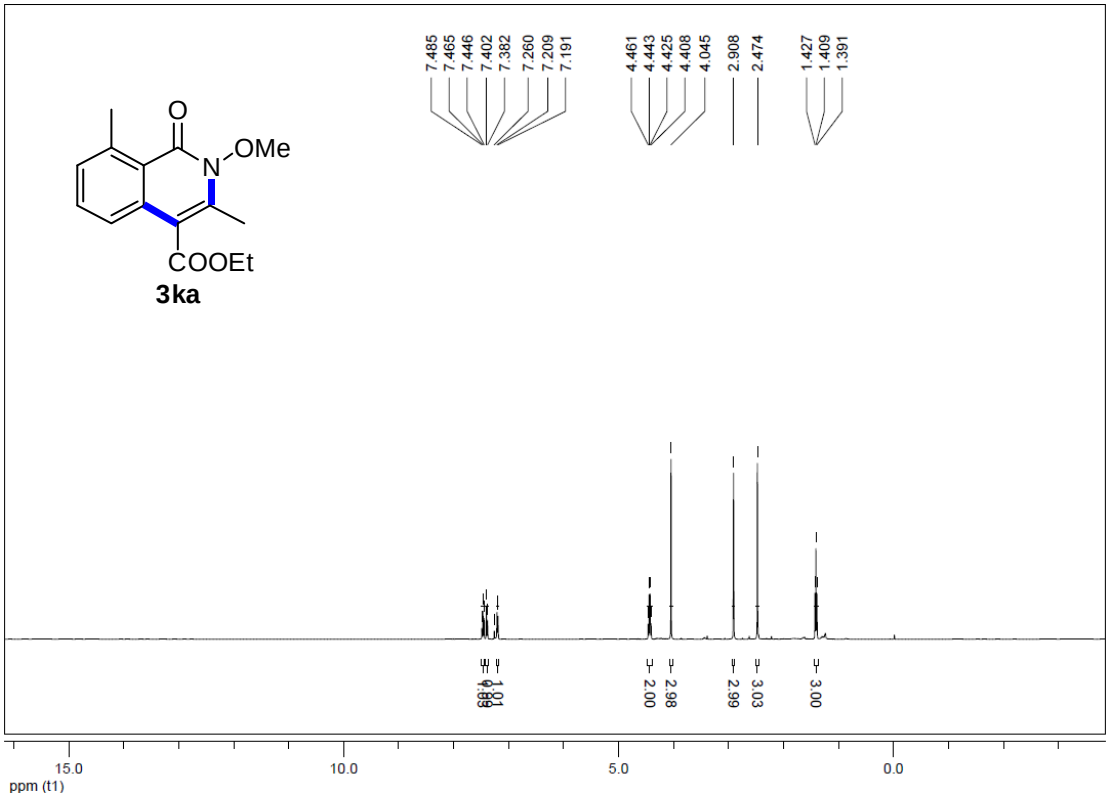
166.359
157.816
142.374
133.939
133.115
128.305
128.104
126.662
126.321
109.695
77.577
77.260
76.941
64.107
62.081
52.779
15.222
14.422
O
N
OMe
MeOOC
COOEt
3ja
200
150
100
50
0
ppm (t1)


7.485
7.465
7.446
7.402
7.382
7.260
7.209
7.191
4.461
4.443
4.425
4.408
4.045
2.908
2.474
1.427
1.409
1.391
O
N
OMe
COOEt
3ka
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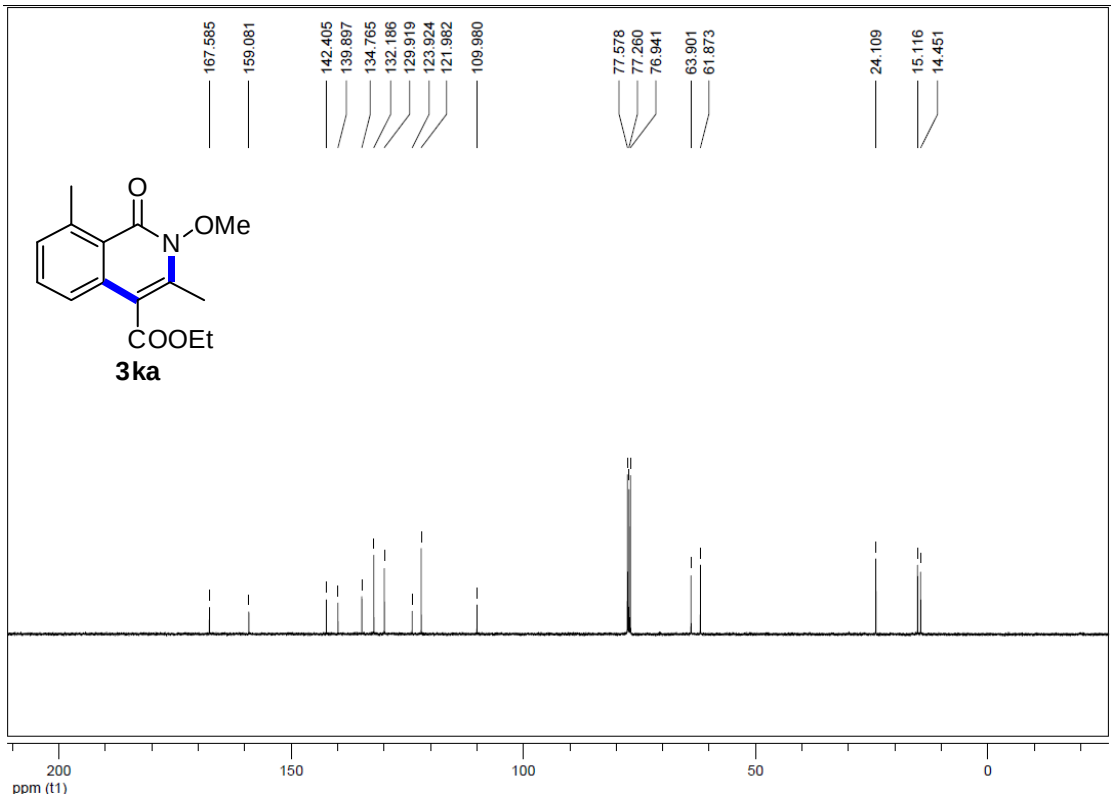
2.98
2.99
3.03
3.00
15.0
10.0
5.0
0.0
ppm (t1)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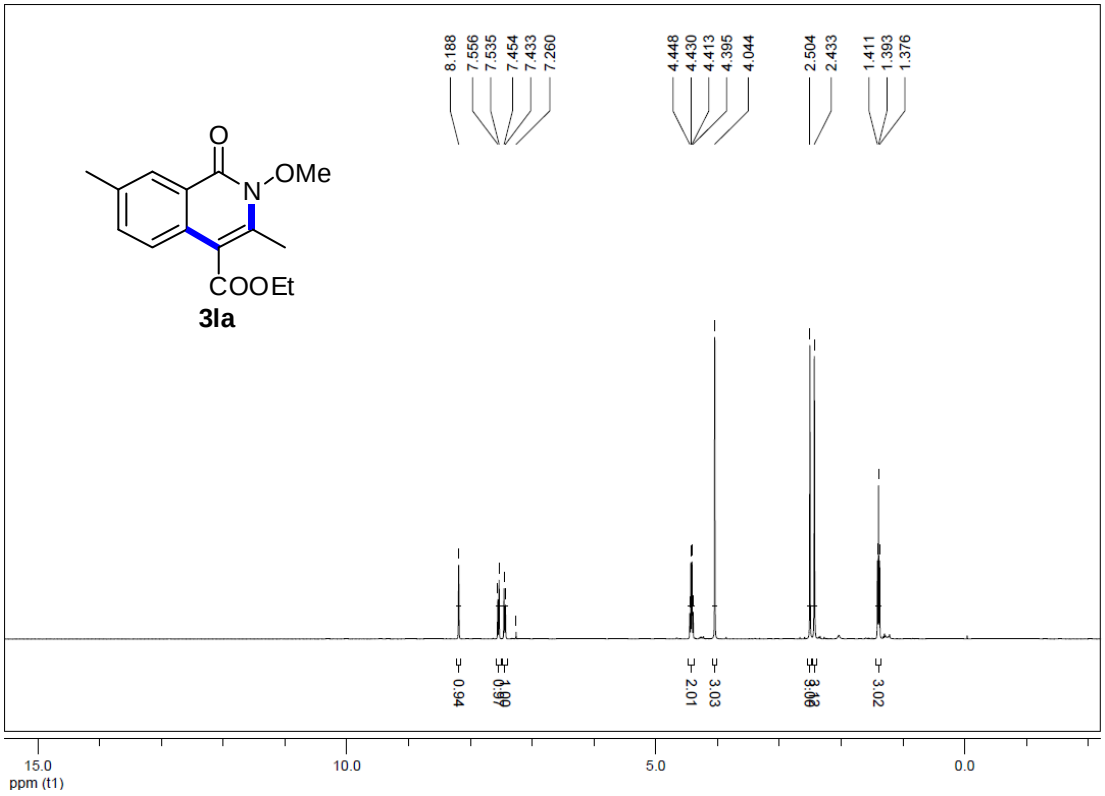
159.081
142.405
139.897
134.765
132.186
129.919
123.924
121.982
109.980
77.578
77.260
76.941
63.901
61.873
24.109
15.116
14.451
O
N
OMe
COOEt
3ka
200
150
100
50
0
ppm (t1)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7.535
7.454
7.433
7.260
4.448
4.430
4.413
4.395
4.044
2.504
2.433
1.411
1.393
1.376
O
N
OMe
COOEt
3la
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1.99
2.01
3.03
3.02
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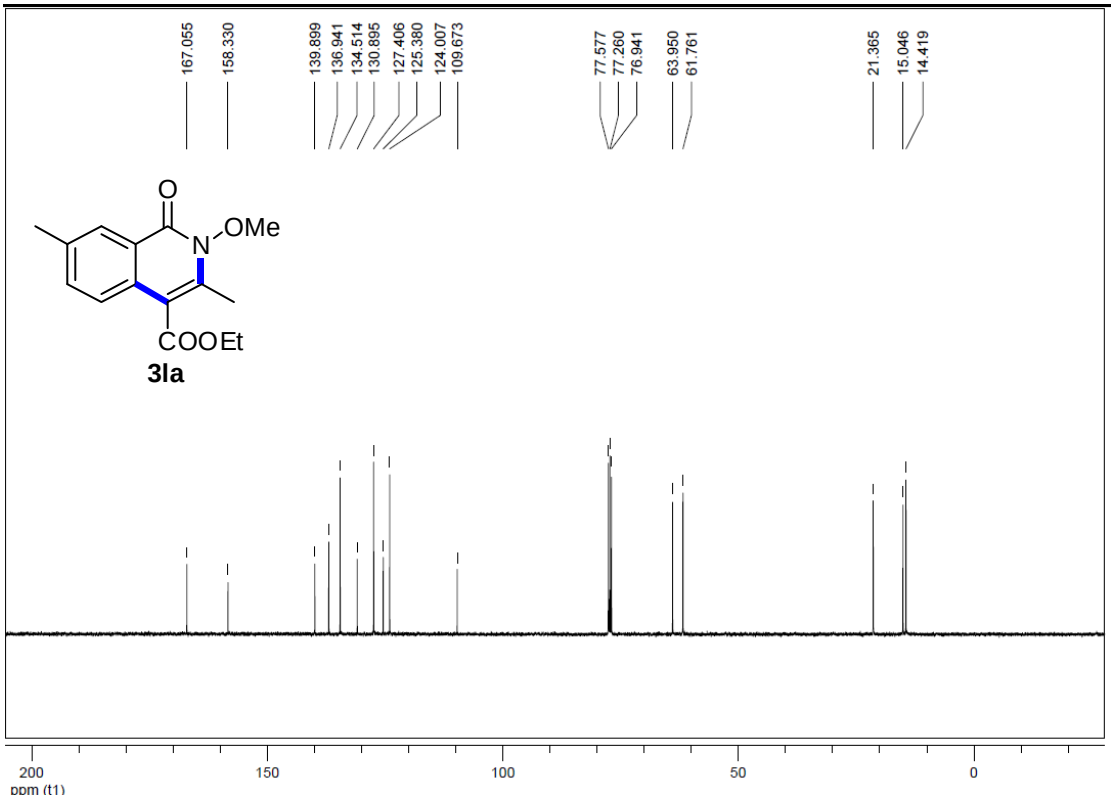
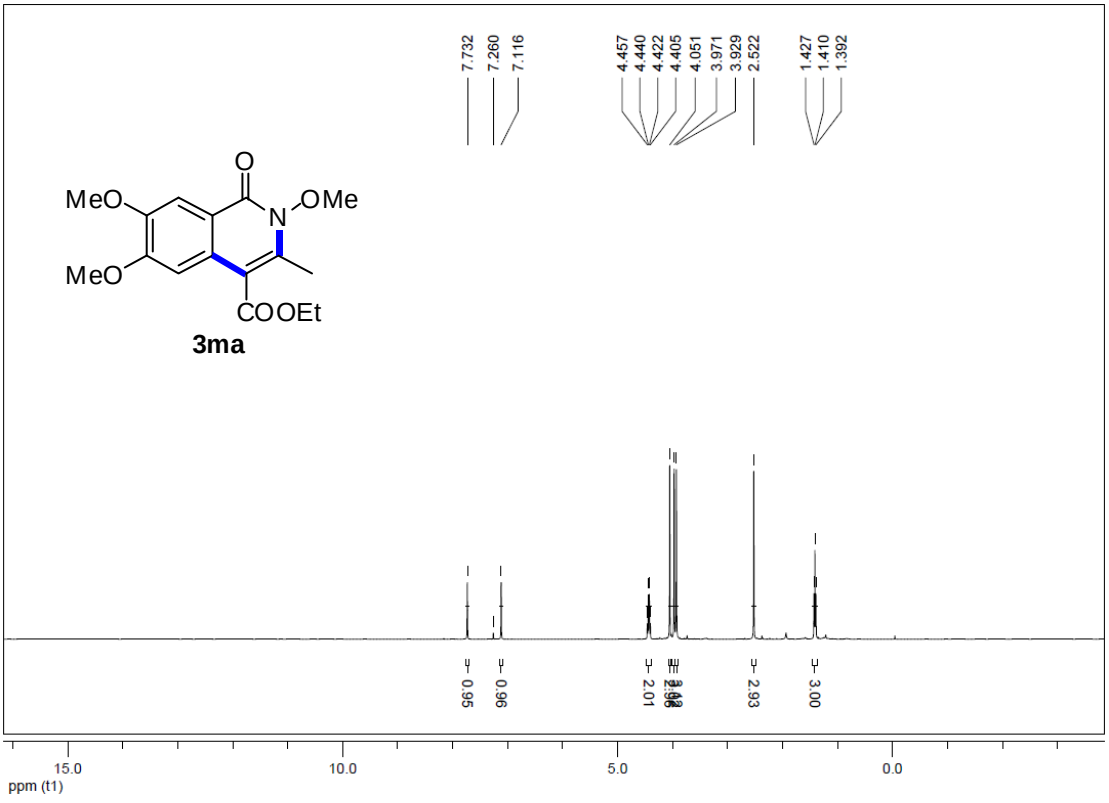
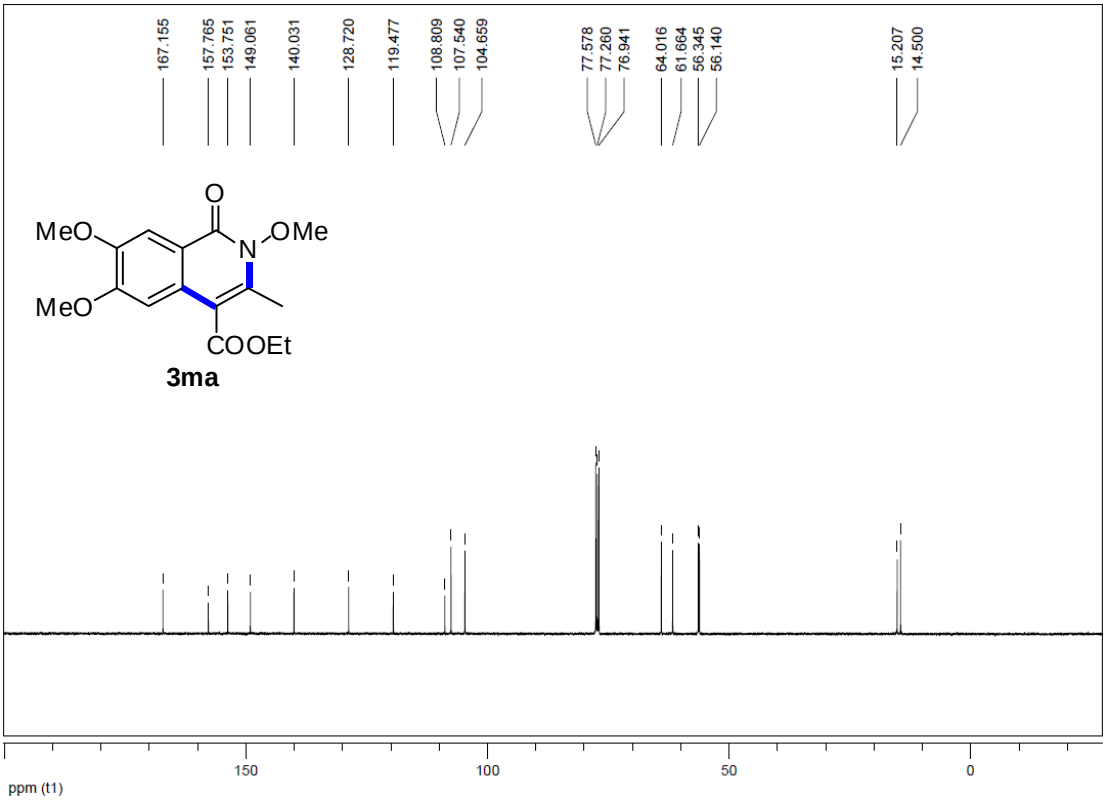
10.0
5.0
0.0
ppm (t1)


167.055
158.330
139.899
136.941
134.514
130.895
127.406
125.380
124.007
109.673
77.577
77.260
76.941
63.950
61.761
21.365
15.046
14.419
O
N
OMe
COOEt
200
150
100
50
0
ppm (t1)

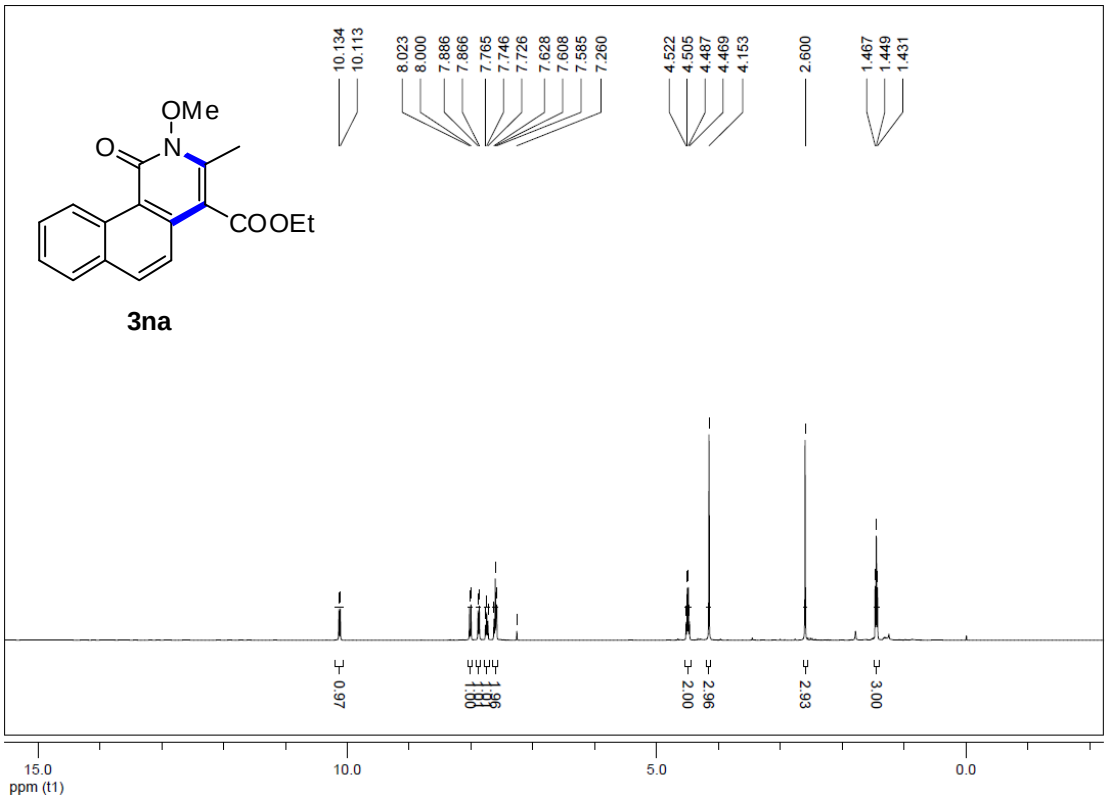
3la


7.732
7.260
7.116
4.457
4.440
4.422
4.405
4.051
3.971
3.929
2.522
1.427
1.410
1.392
MeO
MeO
O
N
OMe
COOEt
0.95
0.96
2.01
2.93
3.00
15.0
10.0
5.0
0.0
ppm (t1)

3ma


167.155
157.765
153.751
149.061
140.031
128.720
119.477
108.809
107.540
104.659
77.578
77.260
76.941
64.016
61.664
56.345
56.140
15.207
14.500
MeO
MeO
OMe
N
O
COOEt
150
100
50
0
ppm (t1)

3ma


10.134
10.113
8.023
8.000
7.886
7.866
7.765
7.746
7.726
7.628
7.608
7.585
7.260
4.522
4.505
4.487
4.469
4.153
2.600
1.467
1.449
1.431
OMe
O
N
COOEt
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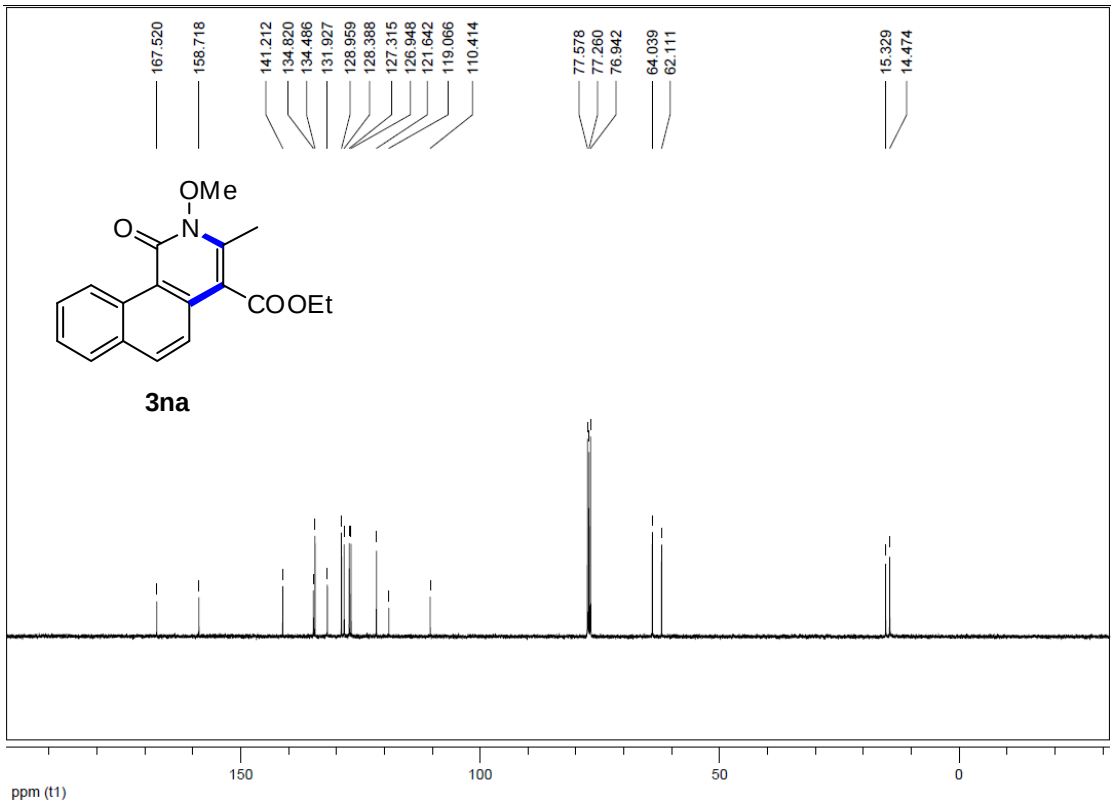
2.96
2.93
3.00
15.0
10.0
5.0
0.0
ppm (t1)

3na


167.520
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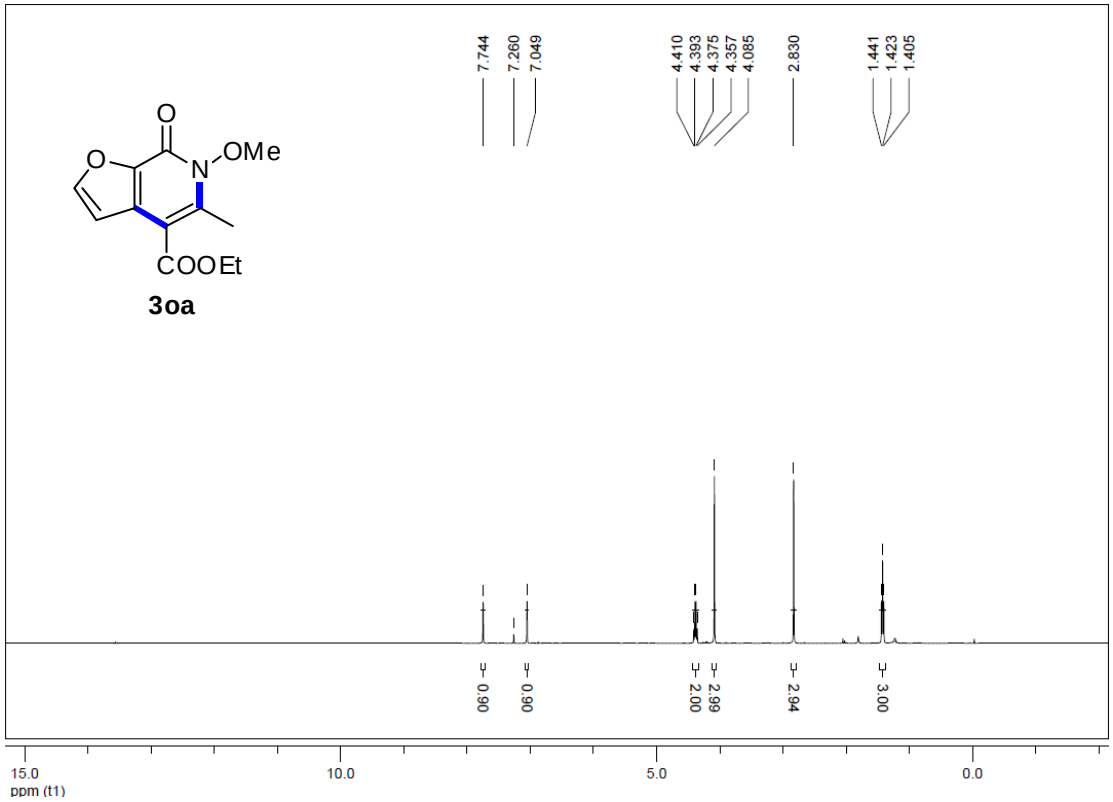
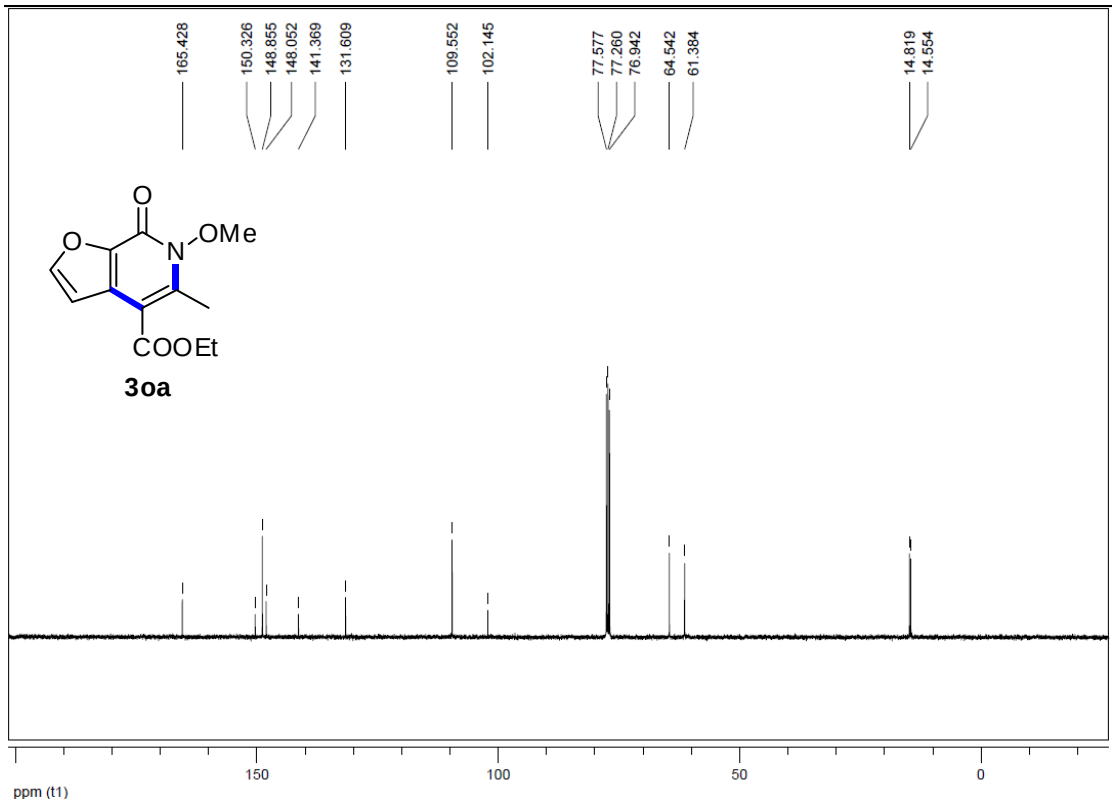
141.212
134.820
134.486
131.927
128.959
128.388
127.315
126.948
121.642
119.066
110.414
77.578
77.260
76.942
64.039
62.111
15.329
14.474
OMe
O
N
COOEt
150
100
50
0
ppm (t1)

3na


7.744
7.260
7.049
4.410
4.393
4.375
4.357
4.085
2.830
1.441
1.423
1.405
O
O
N
OMe
COOEt
0.90
0.90
2.00
2.99
2.94
3.00
15.0
10.0
5.0
0.0
ppm (t1)

3oa


165.428
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148.855
148.052
141.369
131.609
109.552
102.145
77.577
77.260
76.942
64.542
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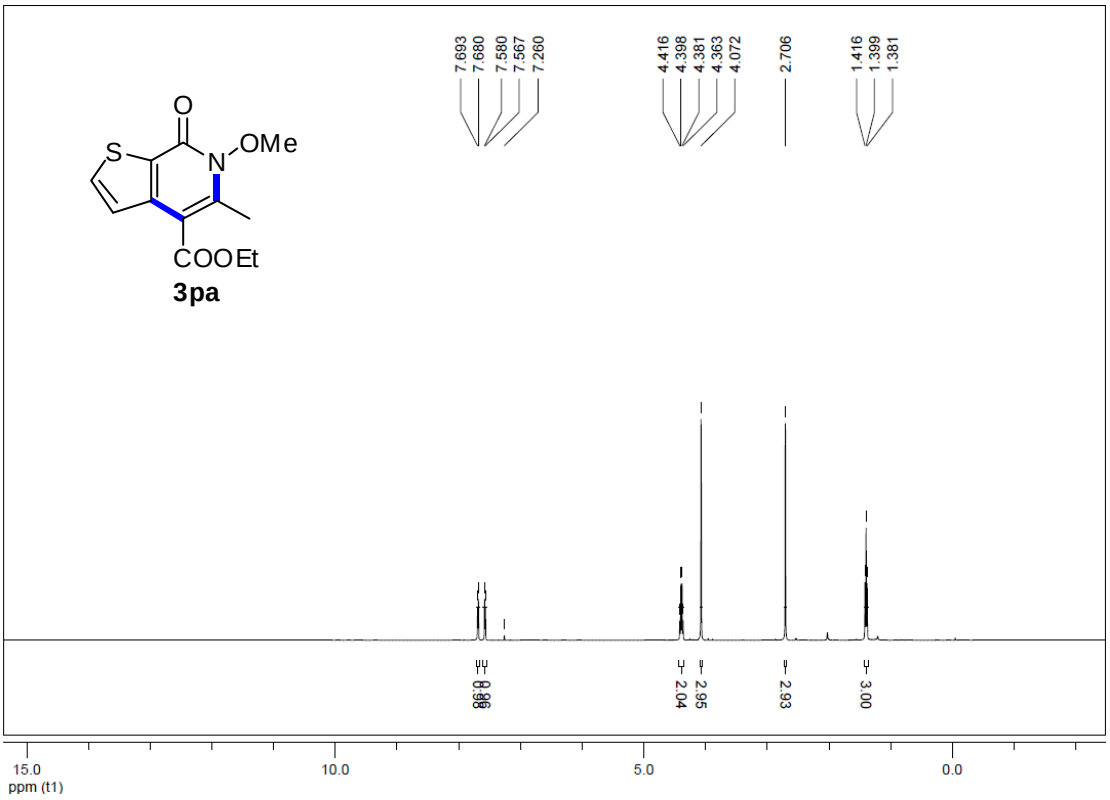
14.819
14.554
O
O
N
OMe
COOEt
3oa
150
100
50
0
ppm (t1)


7.693
7.680
7.580
7.567
7.260
4.416
4.398
4.381
4.363
4.072
2.706
1.416
1.399
1.381
S
O
N
OMe
COOEt
3pa
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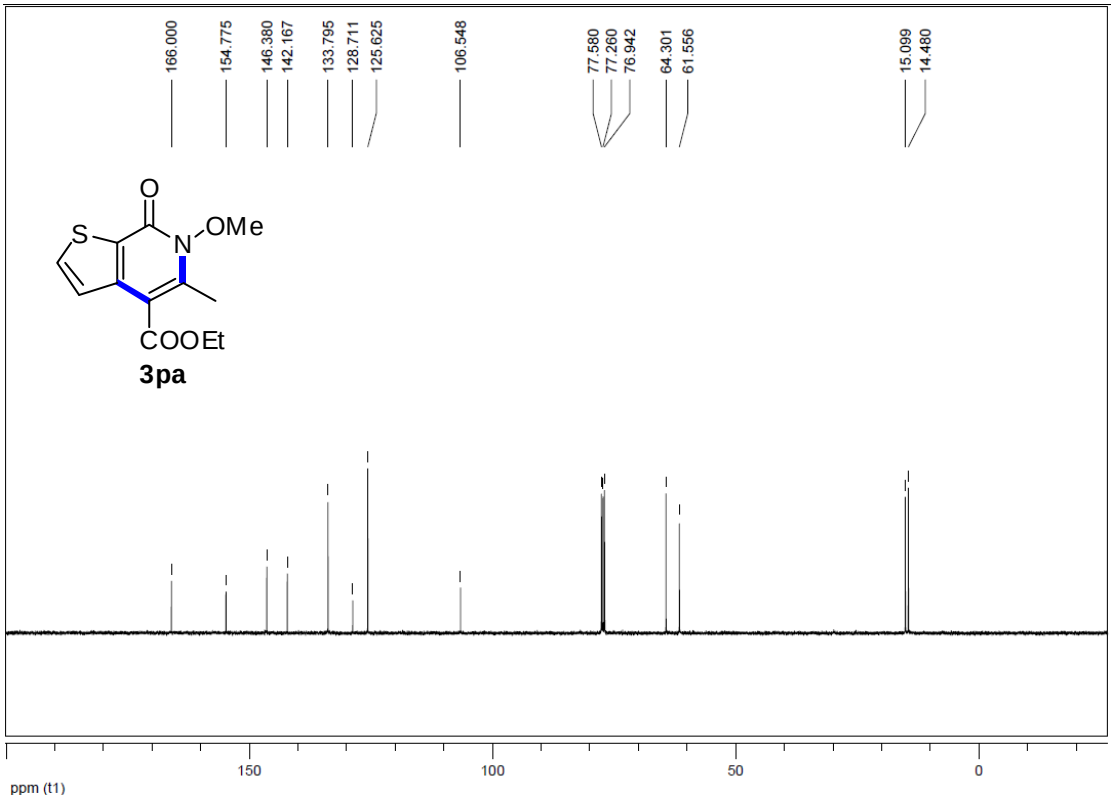
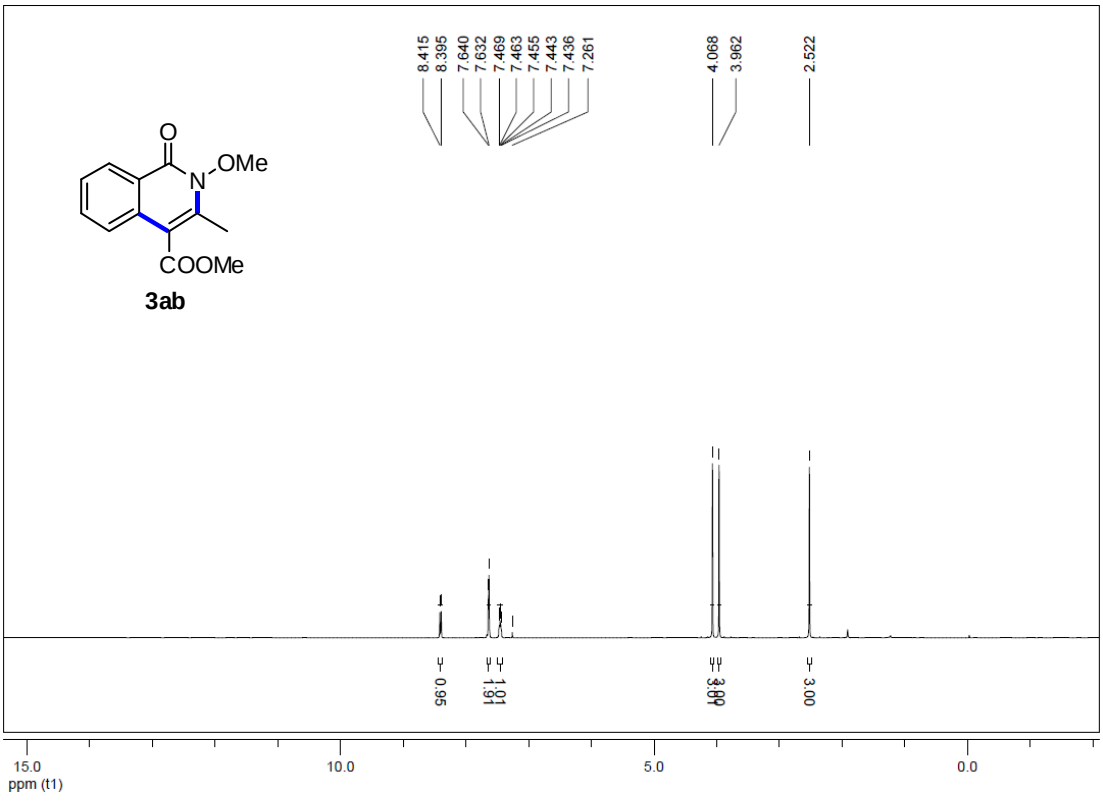
0.96
2.04
2.95
2.93
3.00
15.0
10.0
5.0
0.0
ppm (t1)


166.000
154.775
146.380
142.167
133.795
128.711
125.625
106.548
77.580
77.260
76.942
64.301
61.556
15.099
14.480
O
S
N
OMe
COOEt
3pa
150
100
50
0
ppm (t1)


8.415
8.395
7.640
7.632
7.469
7.463
7.455
7.443
7.436
7.261
4.068
3.962
2.522
O
N
OMe
COOMe
3ab
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1.91
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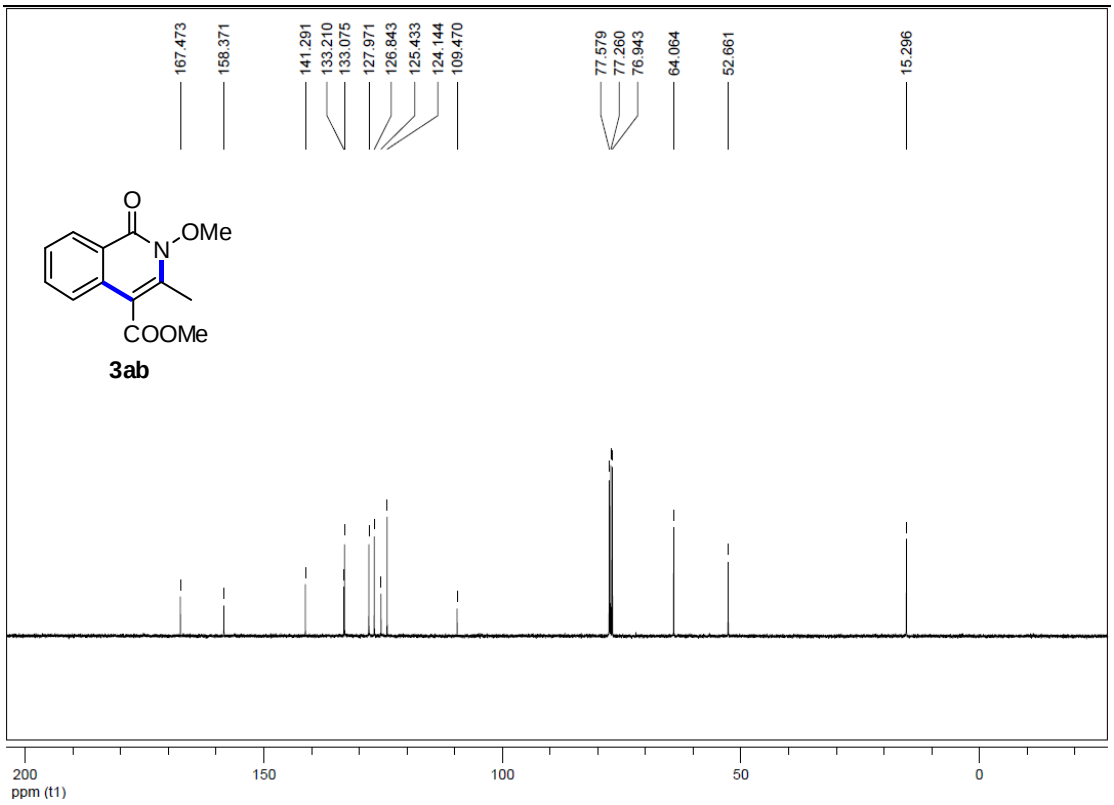
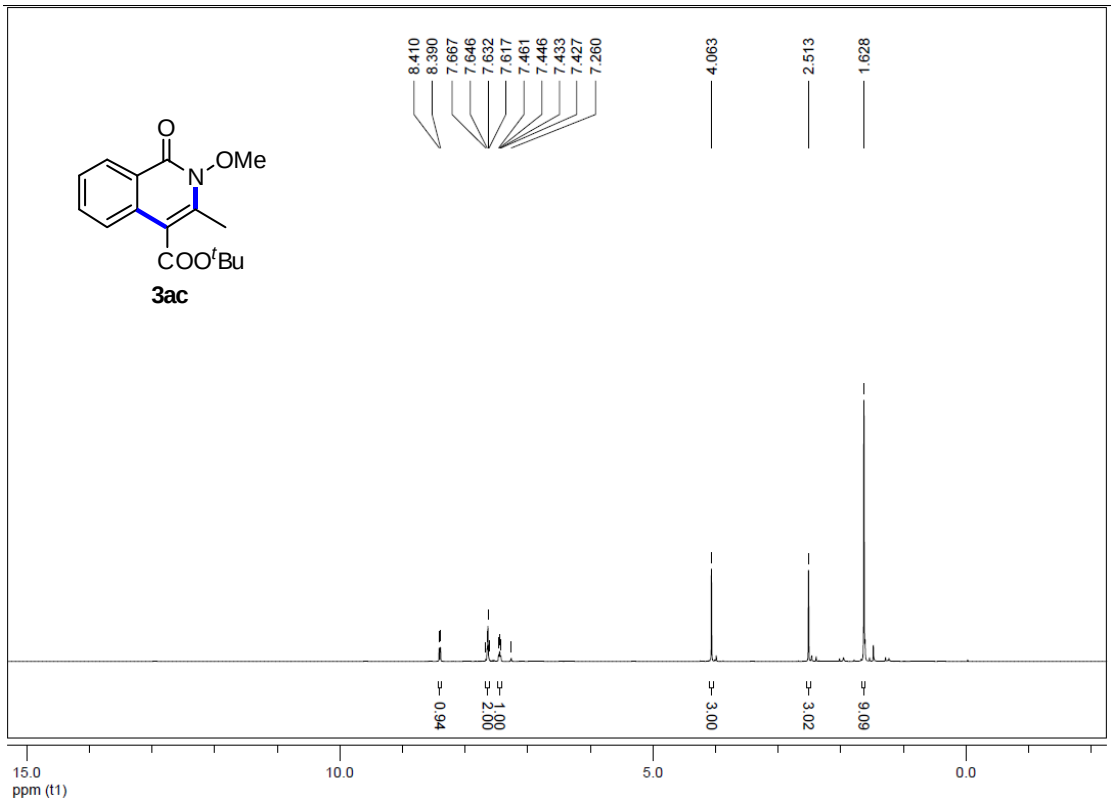
5.0
0.0
ppm (t1)


167.473
158.371
141.291
133.210
133.075
127.971
126.843
125.433
124.144
109.470
77.579
77.260
76.943
64.064
52.661
15.296
O
N
OMe
COOMe
200
150
100
50
0
ppm (t1)

3ab


8.410
8.390
7.667
7.646
7.632
7.617
7.461
7.446
7.433
7.427
7.260
4.063
2.513
1.628
O
N
OMe
COO^{t}Bu
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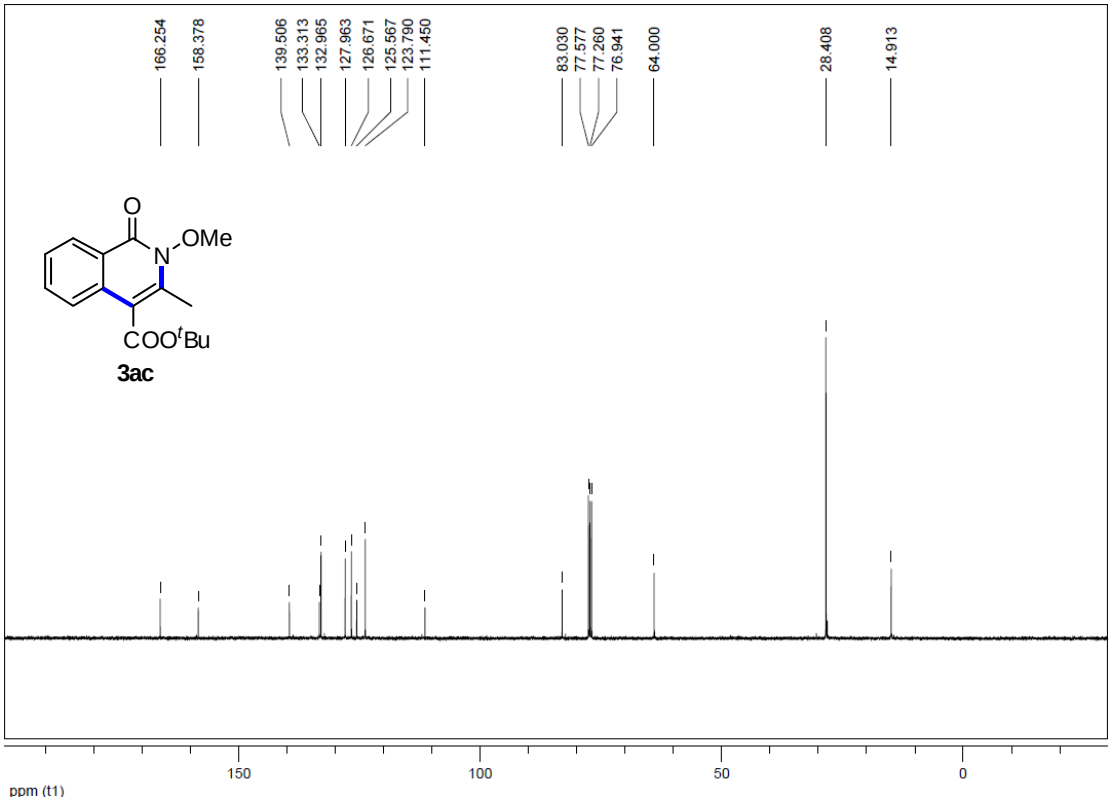
2.00
1.00
3.00
3.02
9.09
15.0
10.0
5.0
0.0
ppm (t1)

3ac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158.378
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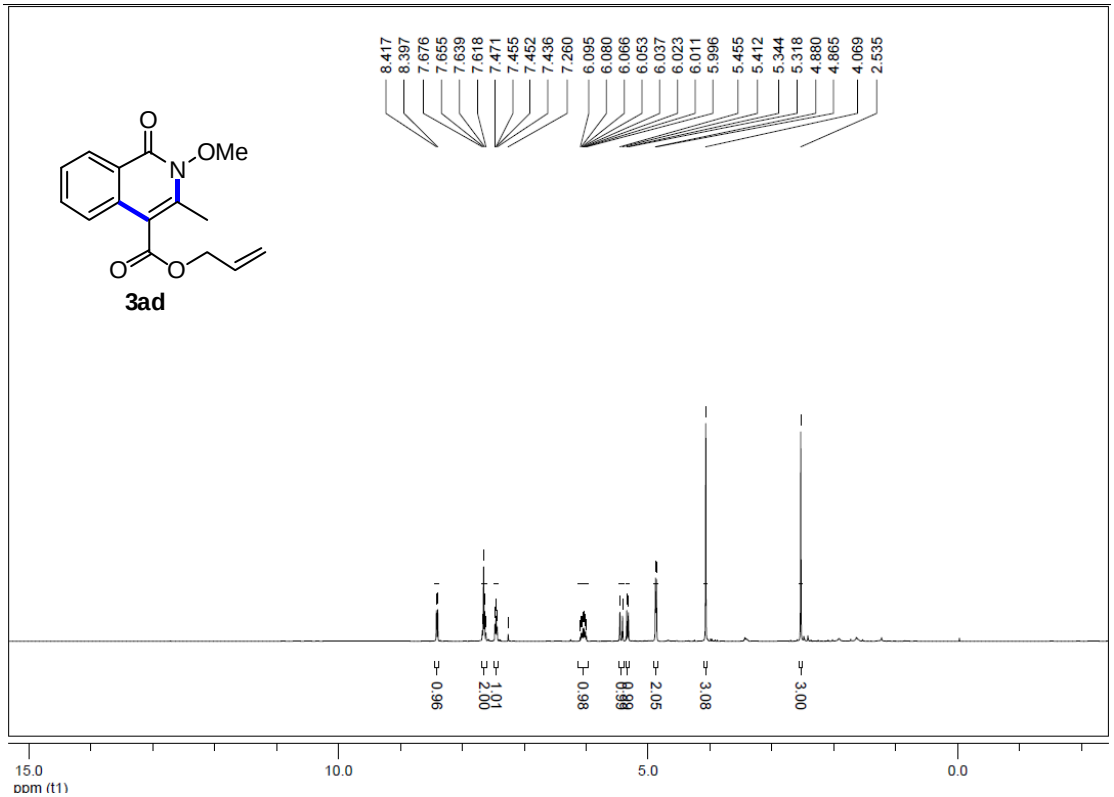
133.313
132.965
127.963
126.671
125.567
123.790
111.450
83.030
77.577
77.260
76.941
64.000
28.408
14.913
O
N
OMe
COO^{t}Bu
3ac
150
100
50
0
ppm (t1)


8.417
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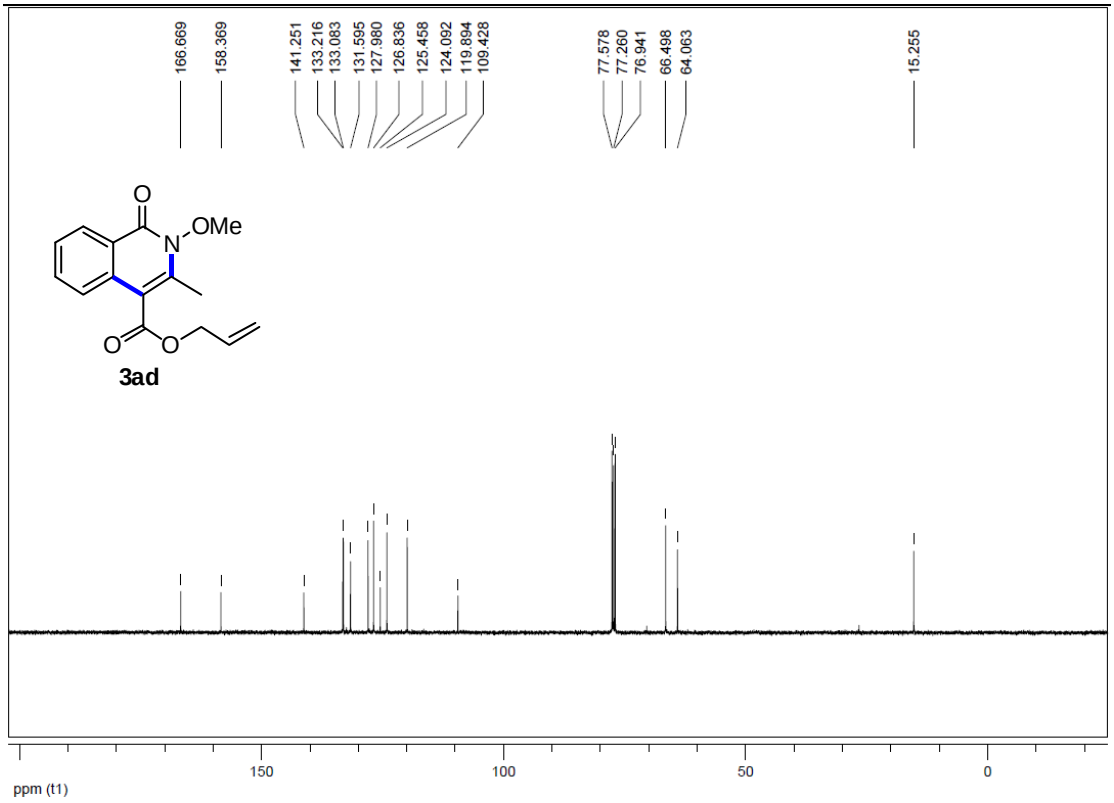
7.639
7.618
7.471
7.455
7.452
7.436
7.260
6.095
6.080
6.066
6.053
6.037
6.023
6.011
5.996
5.455
5.412
5.344
5.318
4.880
4.865
4.069
2.535
O
N
OMe
O
O
3ad
0.96
2.00
1.01
0.98
2.05
3.08
3.00
15.0
10.0
5.0
0.0
ppm (t1)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133.216
133.083
131.595
127.980
126.836
125.458
124.092
119.894
109.428
77.578
77.260
76.941
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64.063
15.255
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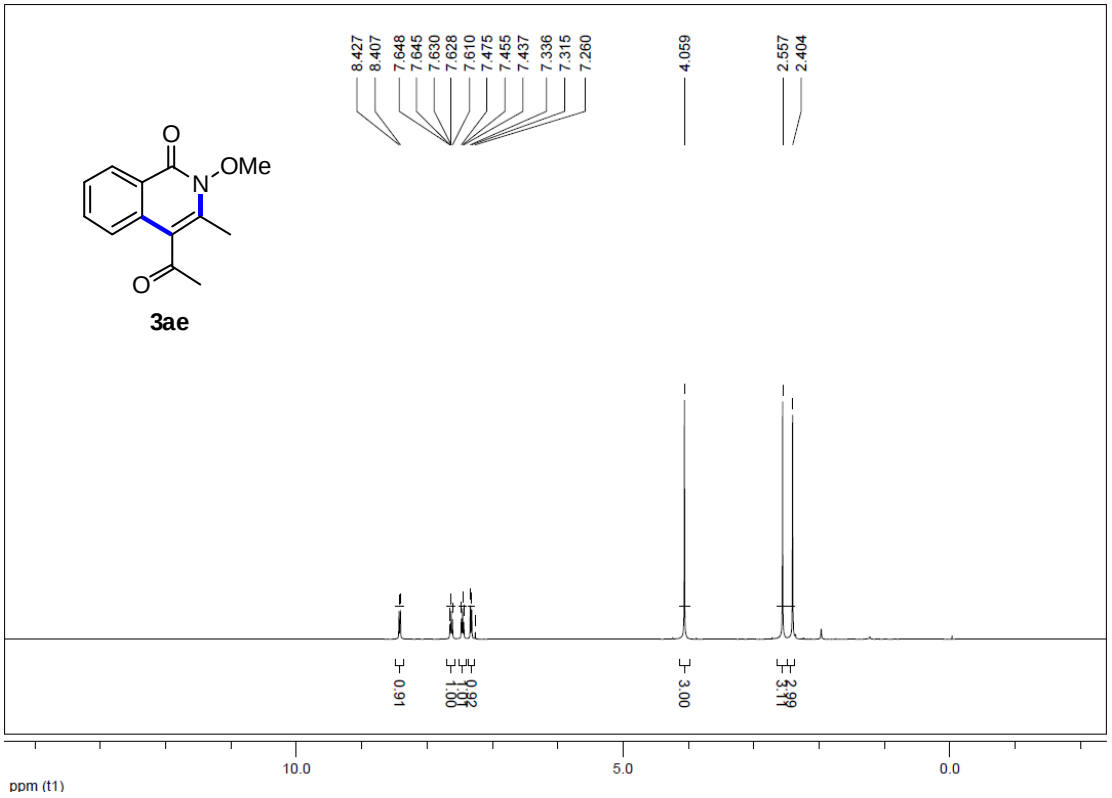
N
OMe
O
O
150
100
50
0
ppm (t1)

3ad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8.407
7.648
7.645
7.630
7.628
7.610
7.475
7.455
7.437
7.336
7.315
7.260
4.059
2.557
2.404
O
N
OMe
O
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1.00
0.82
3.00
2.99
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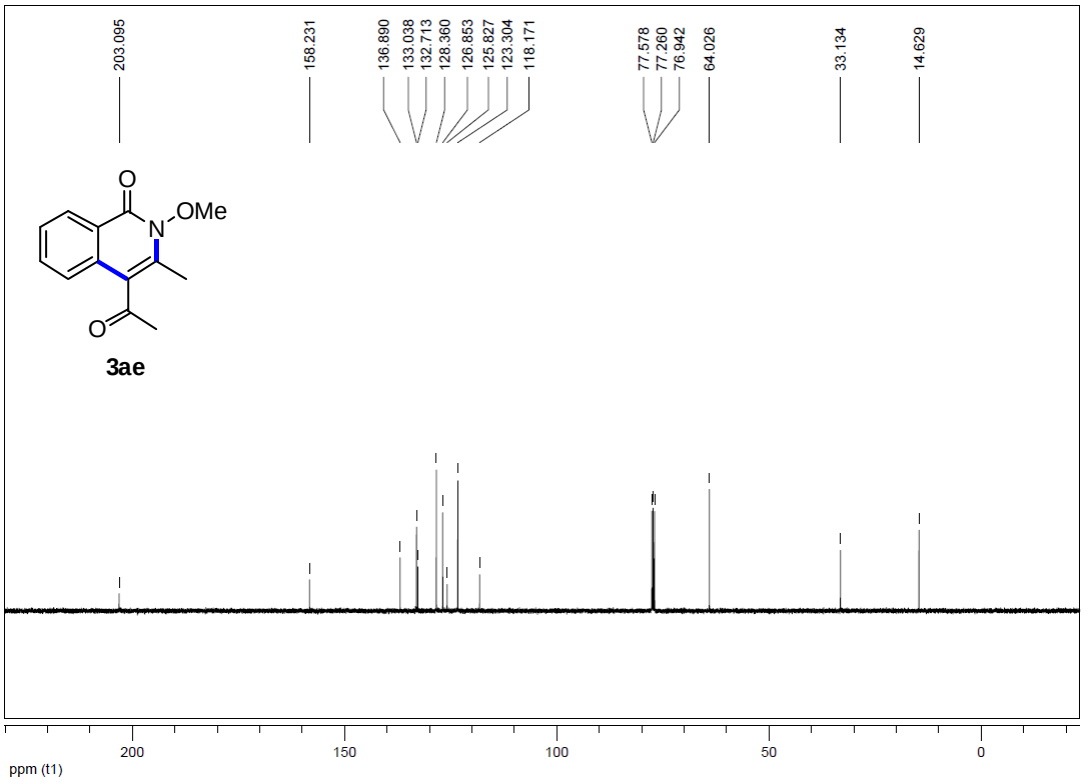
ppm (t1)

3ae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158.231
136.890
133.038
132.713
128.360
126.853
125.827
123.304
118.171
77.578
77.260
76.942
64.026
33.134
14.629
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N
OMe
O
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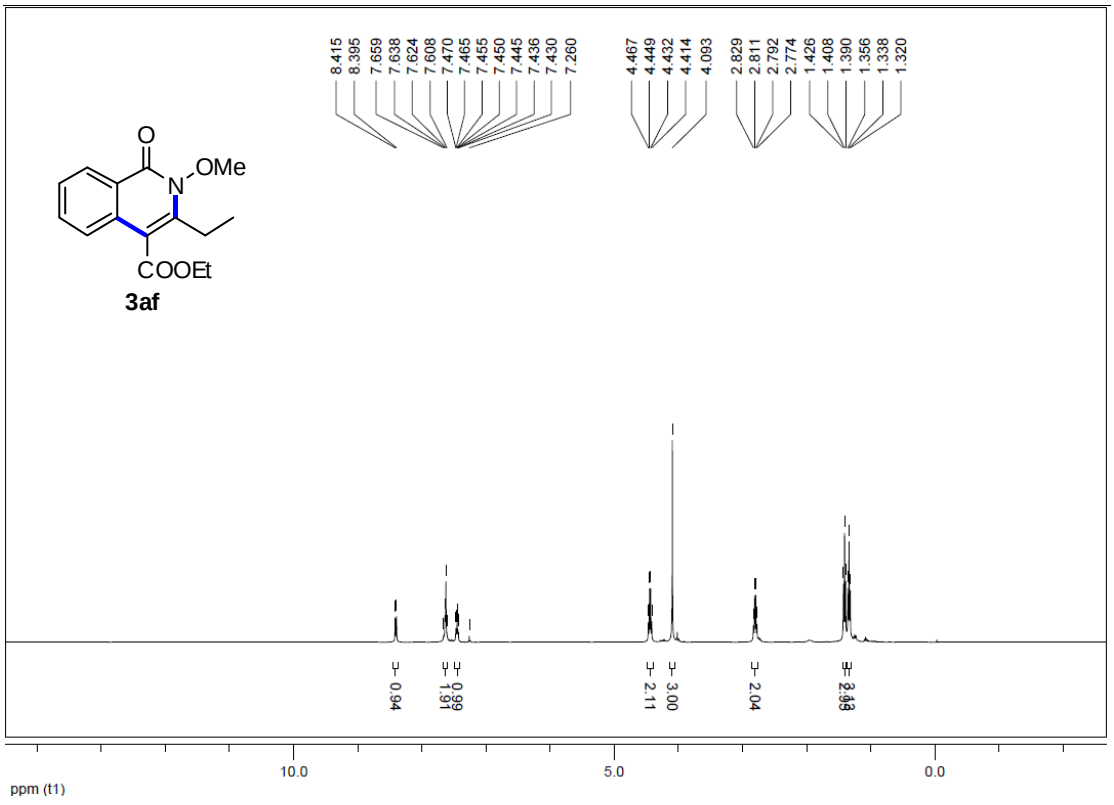
200
150
100
50
0
ppm (t1)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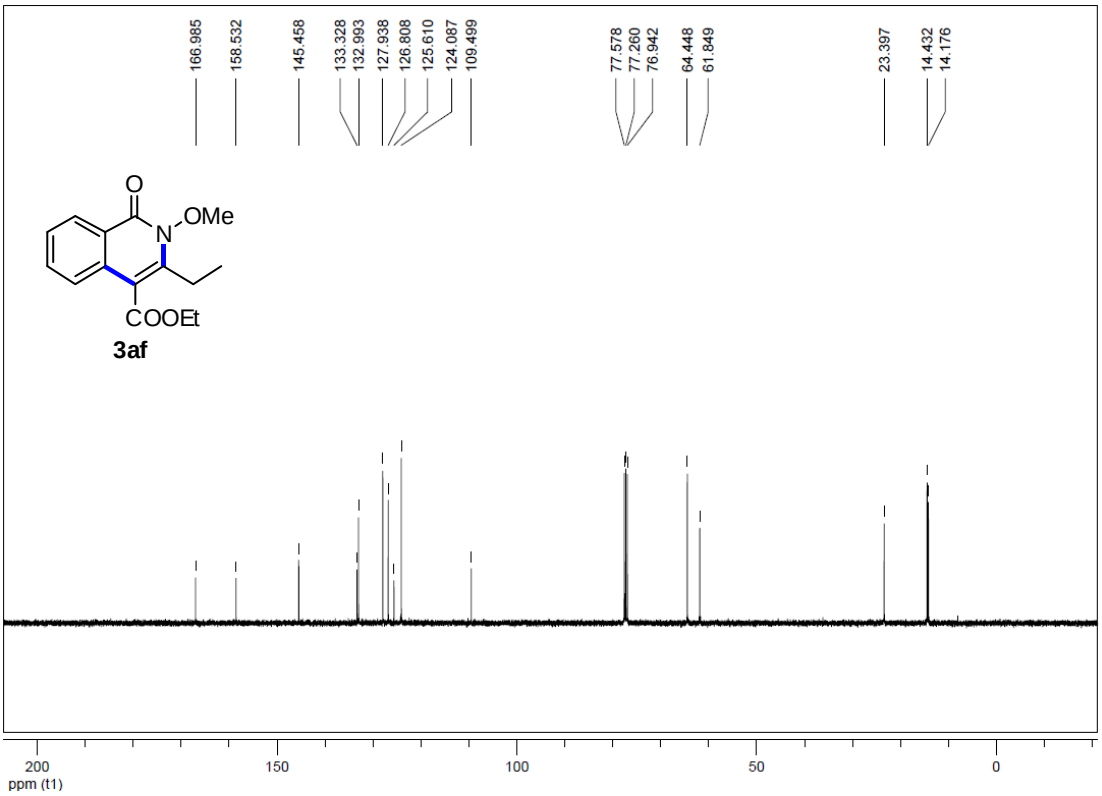
8.395
7.659
7.638
7.624
7.608
7.470
7.465
7.455
7.450
7.445
7.436
7.430
7.260
4.467
4.449
4.432
4.414
4.093
2.829
2.811
2.792
2.774
1.426
1.408
1.390
1.356
1.338
1.320
O
N
OMe
COOEt
3af
0.94
1.91
0.99
2.11
3.00
2.04
2.13
10.0
5.0
0.0
ppm (t1)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158.532
145.458
133.328
132.993
127.938
126.808
125.610
124.087
109.499
77.578
77.260
76.942
64.448
61.849
23.397
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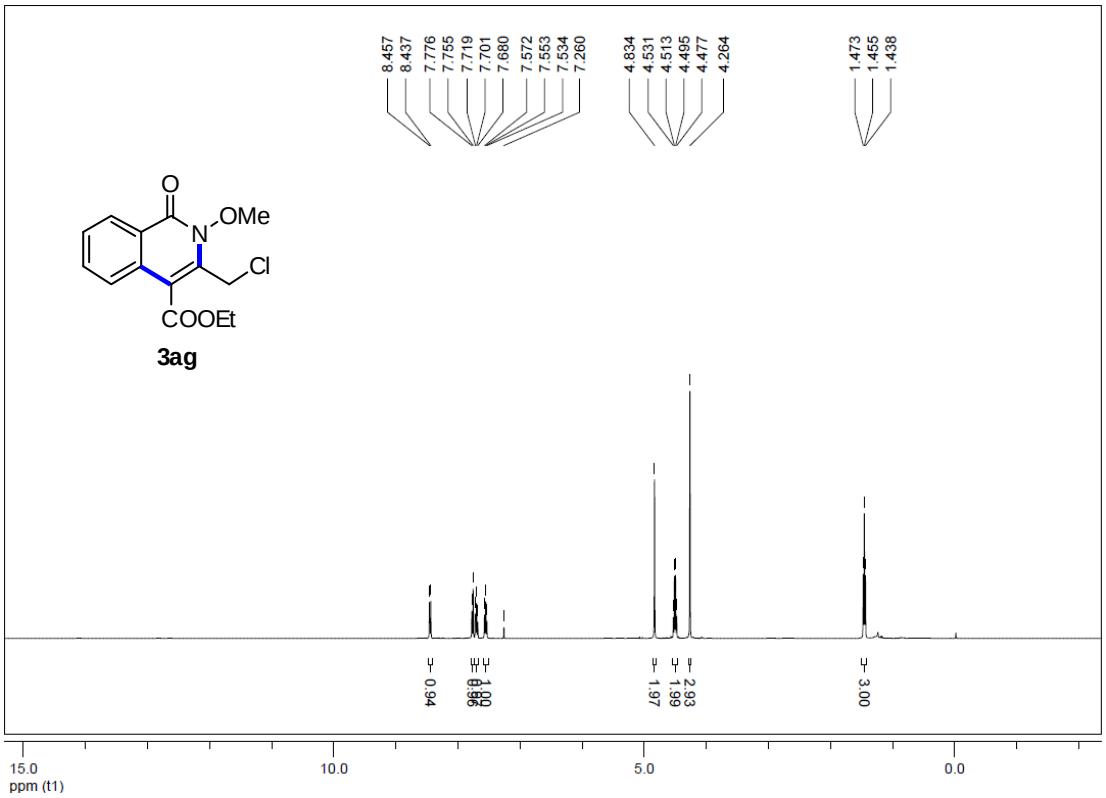
14.176
O
N
OMe
COOEt
3af
200
150
100
50
0
ppm (t1)


8.457
8.437
7.776
7.755
7.719
7.701
7.680
7.572
7.553
7.534
7.260
4.834
4.531
4.513
4.495
4.477
4.264
1.473
1.455
1.438
O
N
OMe
Cl
COOEt
3ag
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1.00
1.97
2.93
3.00
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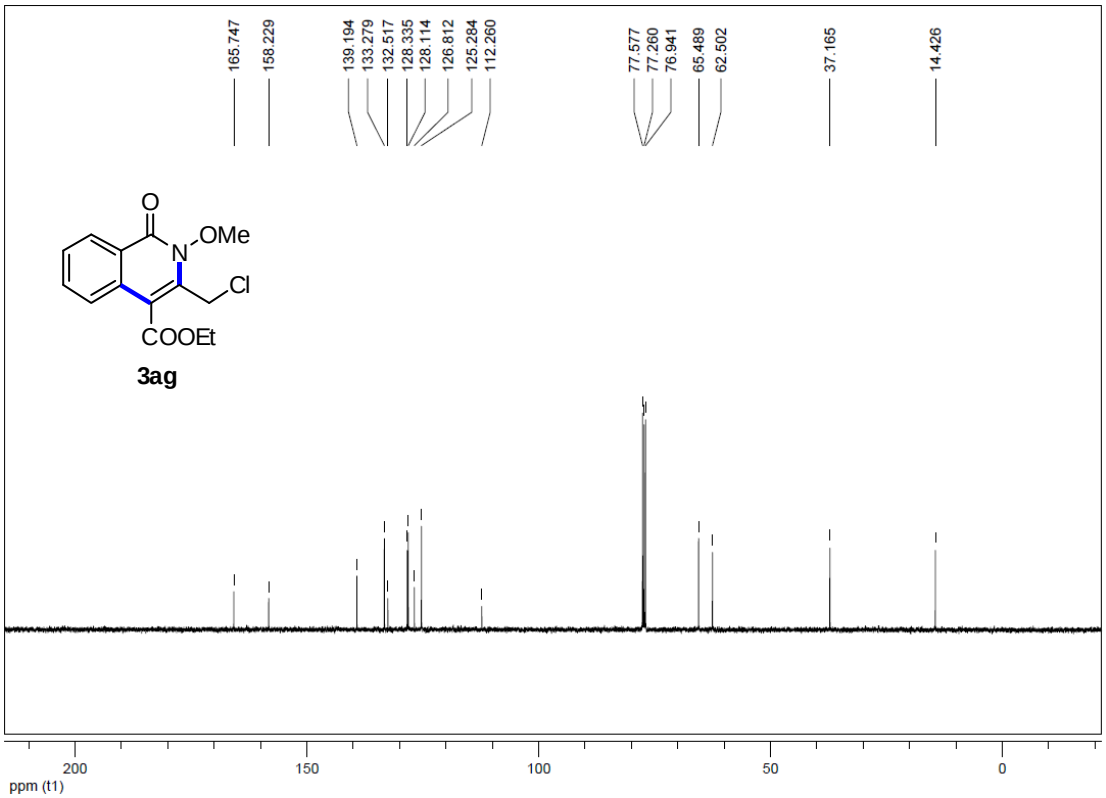
10.0
5.0
0.0
ppm (t1)


165.747
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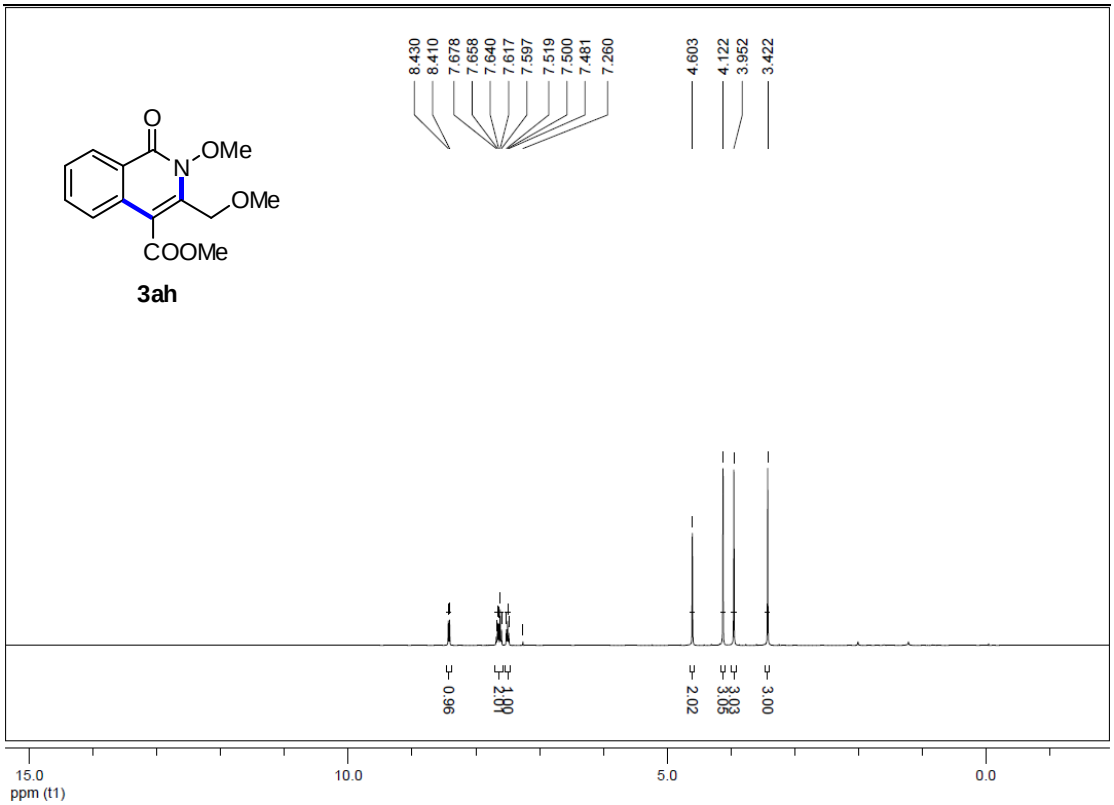
133.279
132.517
128.335
128.114
126.812
125.284
112.260
77.577
77.260
76.941
65.489
62.502
37.165
14.426
O
N
OMe
Cl
COOEt
3ag
200
150
100
50
0
ppm (t1)


8.430
8.410
7.678
7.658
7.640
7.617
7.597
7.519
7.500
7.481
7.260
4.603
4.122
3.952
3.422
O
N
OMe
OMe
COOMe
3ah
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1.80
2.02
3.03
3.00
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10.0
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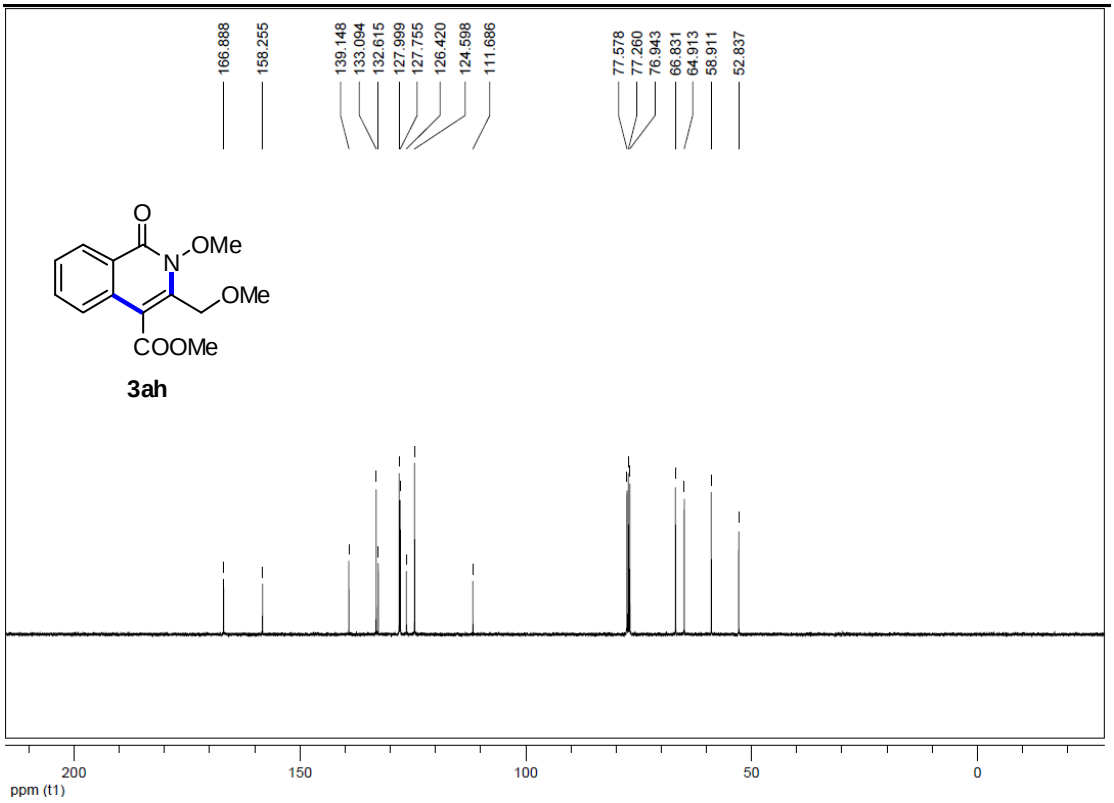
0.0
ppm (t1)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158.255
139.148
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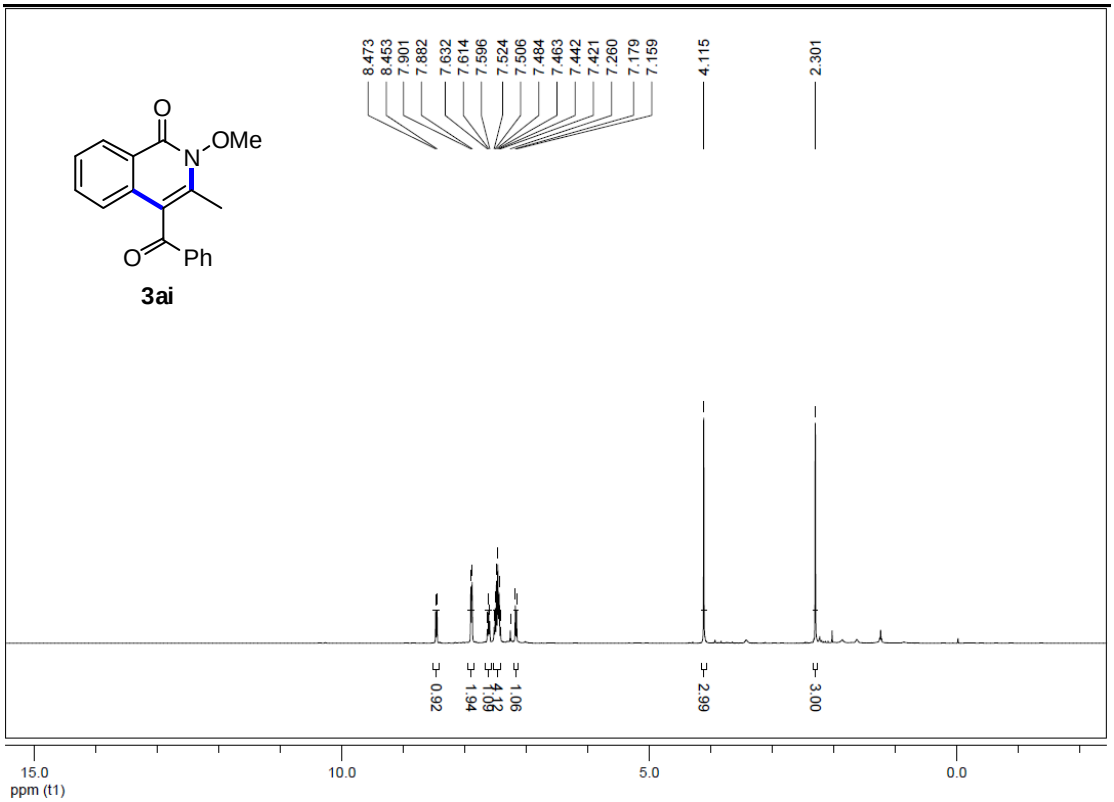
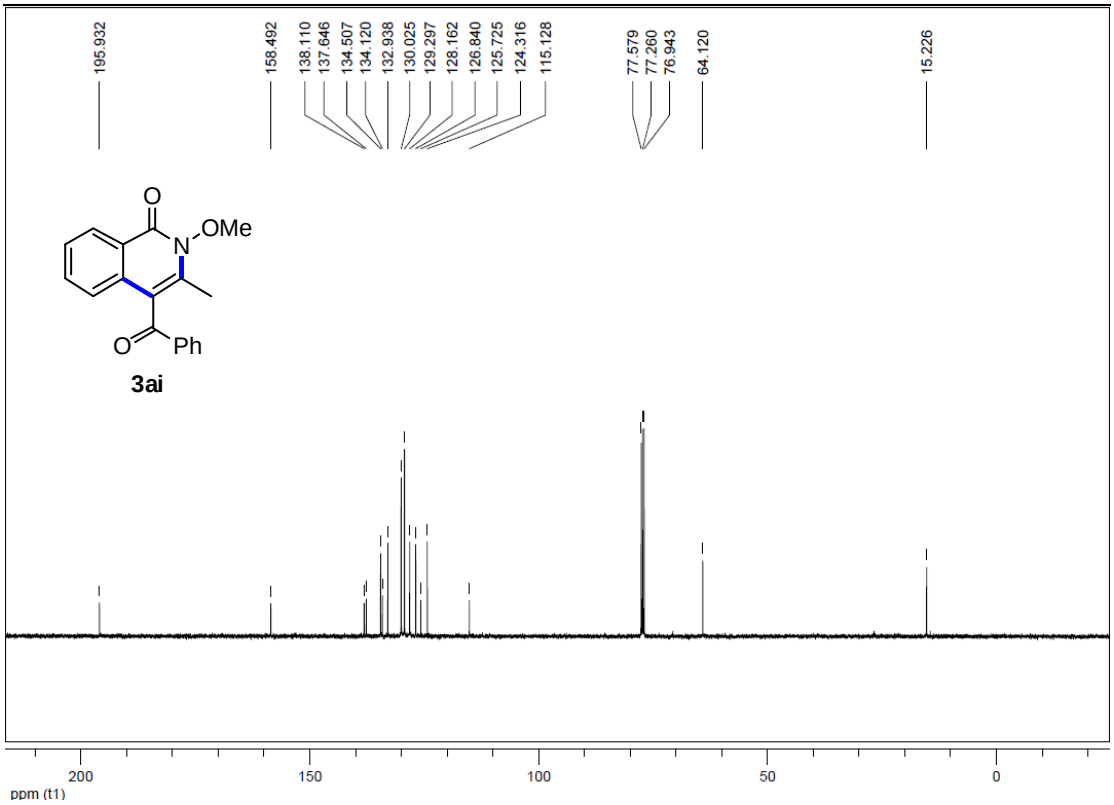
132.615
127.999
127.755
126.420
124.598
111.686
77.578
77.260
76.943
66.831
64.913
58.911
52.837
O
N
OMe
OMe
COOMe
3ah
200
150
100
50
0
ppm (t1)


8.473
8.453
7.901
7.882
7.632
7.614
7.596
7.524
7.506
7.484
7.463
7.442
7.421
7.260
7.179
7.159
4.115
2.301
O
N
OMe
O
Ph
3ai
0.92
1.94
4.09
1.06
2.99
3.00
15.0
10.0
5.0
0.0
ppm (t1)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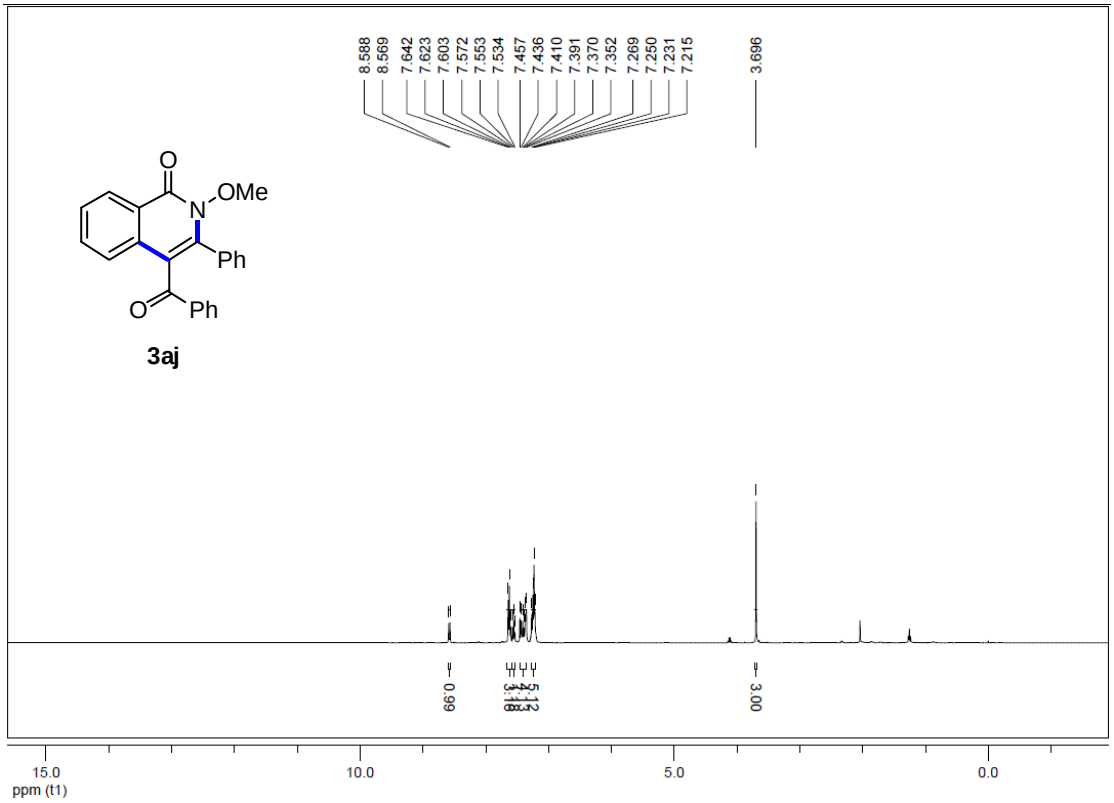
138.110
137.646
134.507
134.120
132.938
130.025
129.297
128.162
126.840
125.725
124.316
115.128
77.579
77.260
76.943
64.120
15.226
O
N
OMe
O
Ph
200
150
100
50
0
ppm (t1)

3ai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8.569
7.642
7.623
7.603
7.572
7.553
7.534
7.457
7.436
7.410
7.391
7.370
7.352
7.269
7.250
7.231
7.215
3.696
O
N
OMe
Ph
O
Ph
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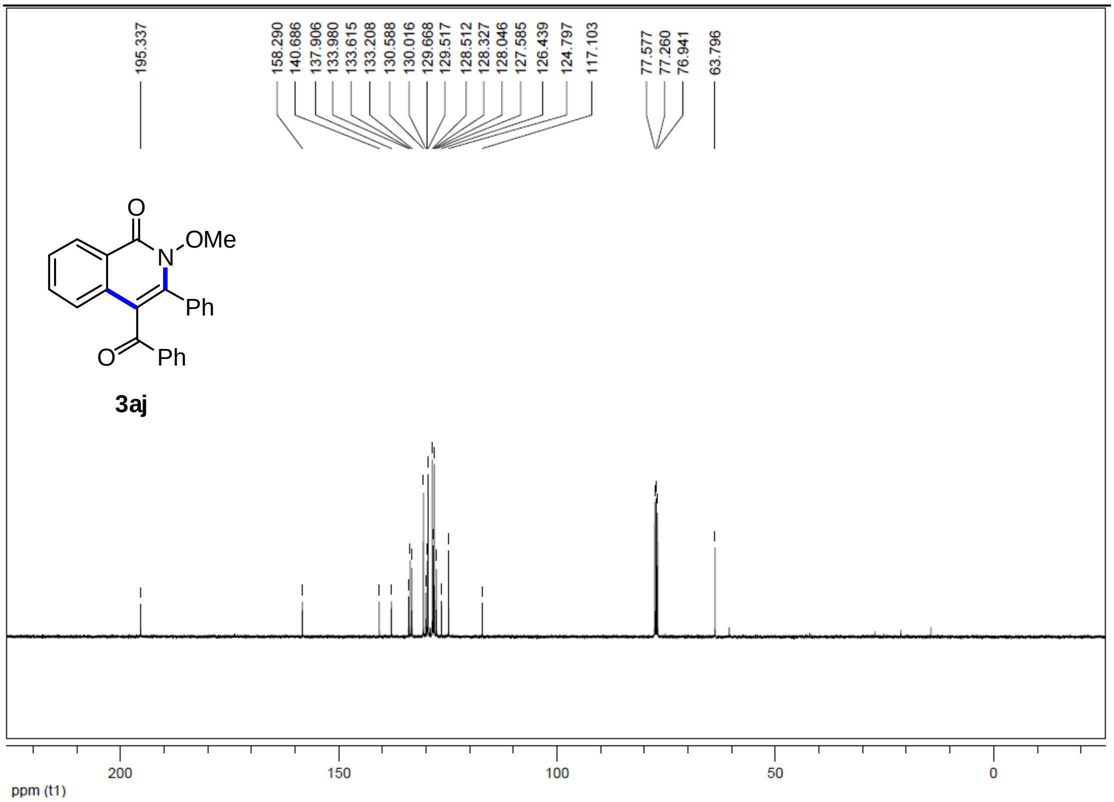
5.12
3.18
3.00
15.0
10.0
5.0
0.0
ppm (t1)

3aj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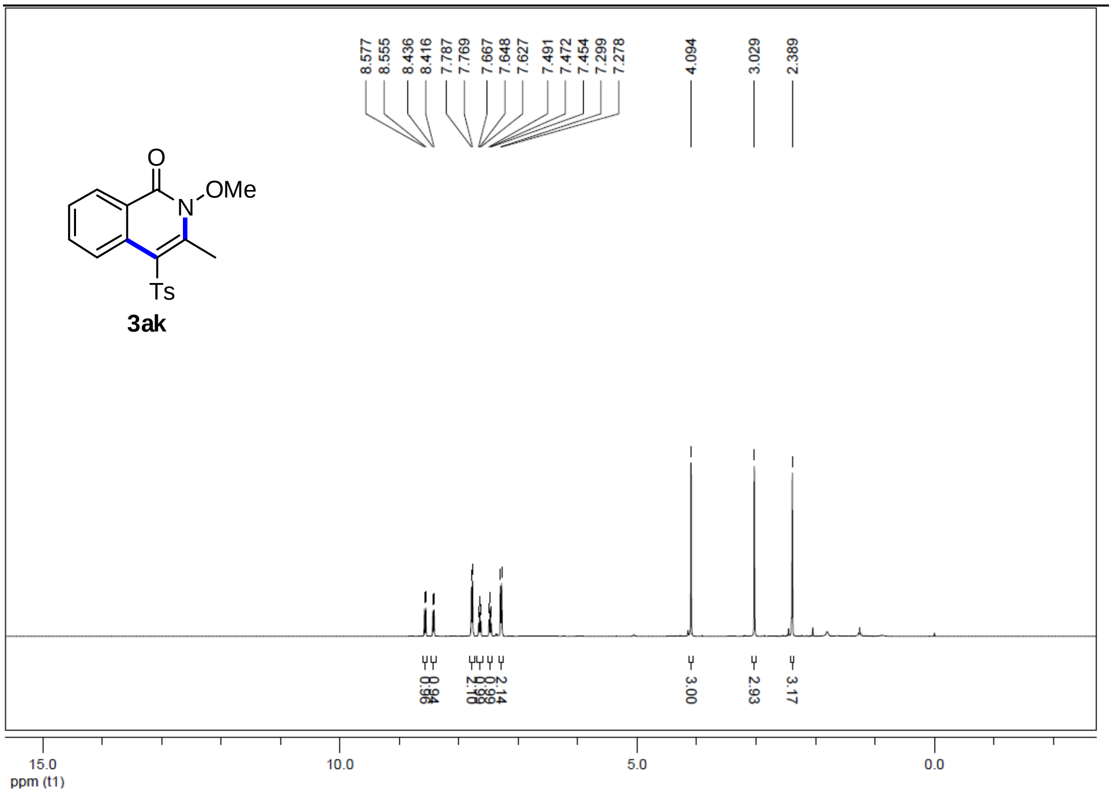
133.980
133.615
133.208
130.588
130.016
129.668
129.517
128.512
128.327
128.046
127.585
126.439
124.797
117.103
77.577
77.260
76.941
63.796
O
N
OMe
Ph
O
Ph
3aj
200
150
100
50
0
ppm (t1)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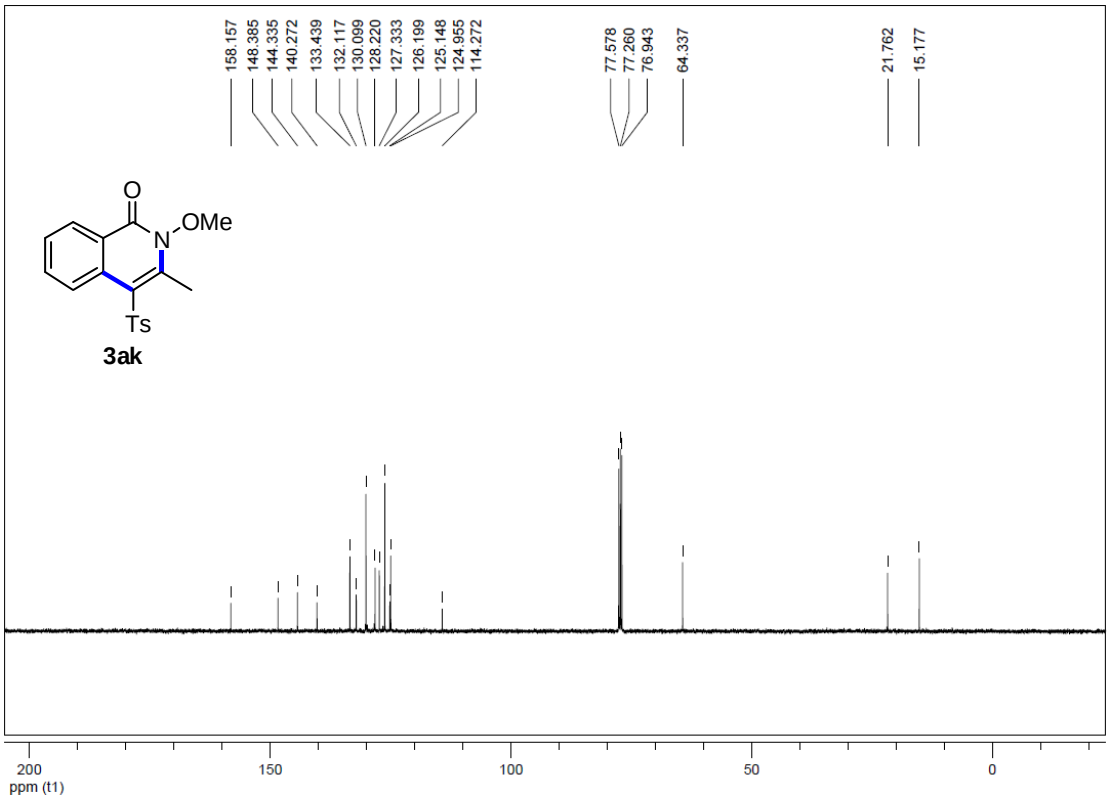
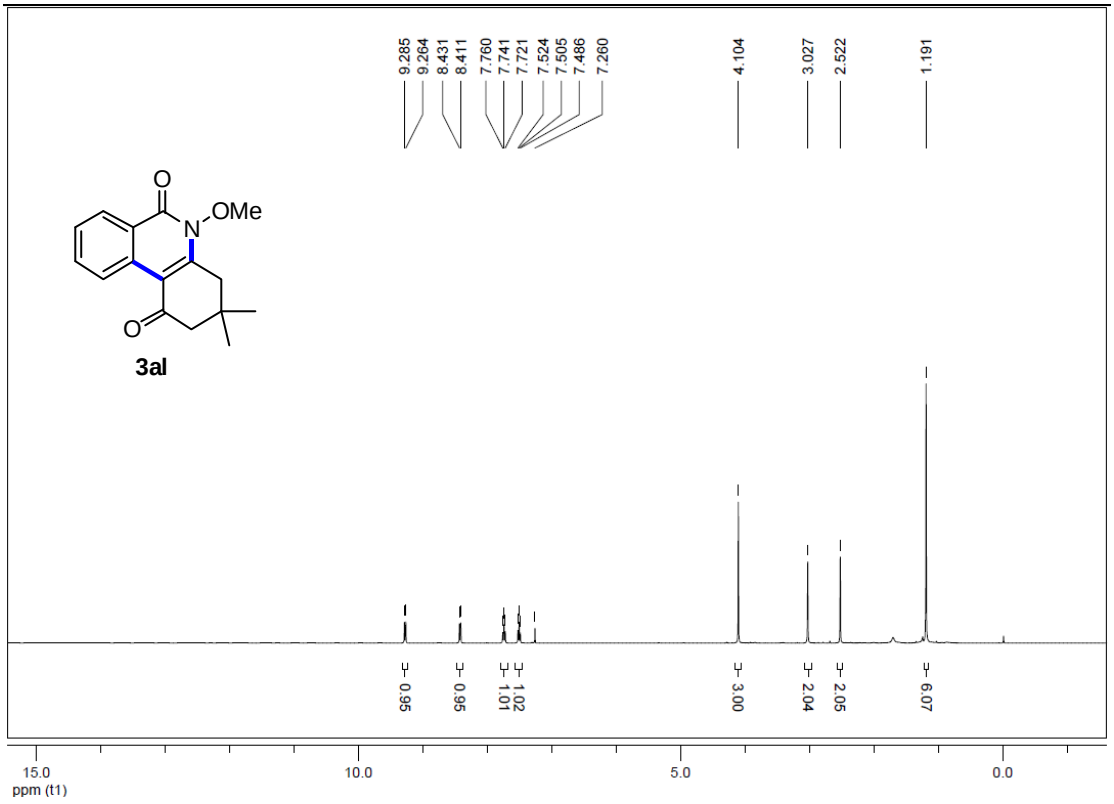
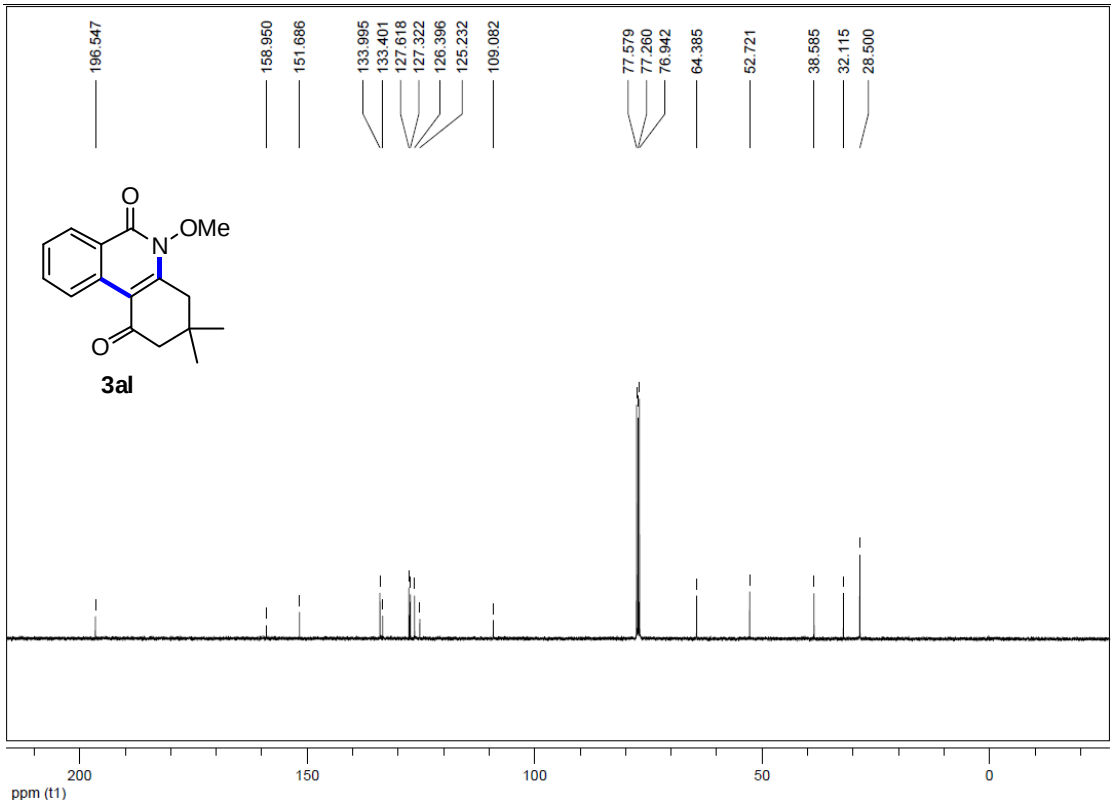
8.555
8.436
8.416
7.787
7.769
7.667
7.648
7.627
7.491
7.472
7.454
7.299
7.278
4.094
3.029
2.389
O
N
OMe
Ts
3ak
2.14
3.00
2.93
3.17
15.0
10.0
5.0
0.0
ppm (t1)


158.157
148.385
144.335
140.272
133.439
132.117
130.099
128.220
127.333
126.199
125.148
124.955
114.272
77.578
77.260
76.943
64.337
21.762
15.177
O
N
OMe
Ts
3ak
200
150
100
50
0
ppm (t1)


9.285
9.264
8.431
8.411
7.760
7.741
7.721
7.524
7.505
7.486
7.260
4.104
3.027
2.522
1.191
O
N
OMe
O
3al
0.98
0.98
1.01
1.02
3.00
2.04
2.05
6.07
15.0
10.0
5.0
0.0
ppm (t1)


196.547
158.950
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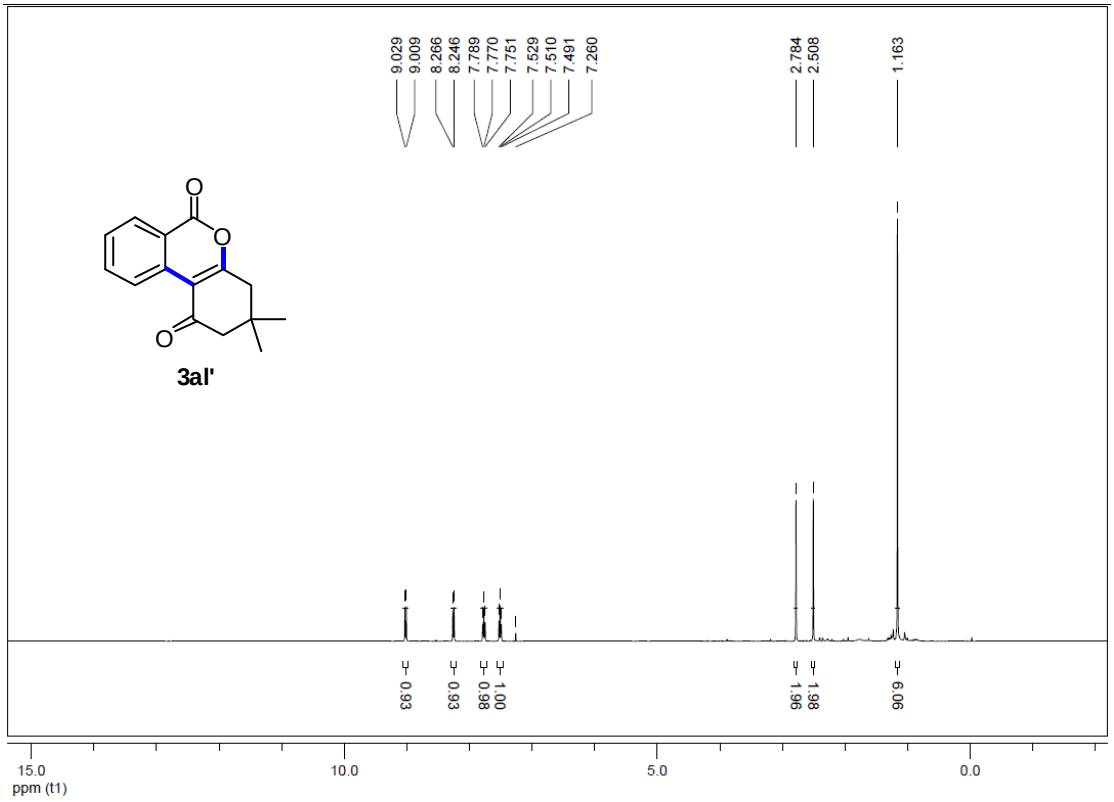
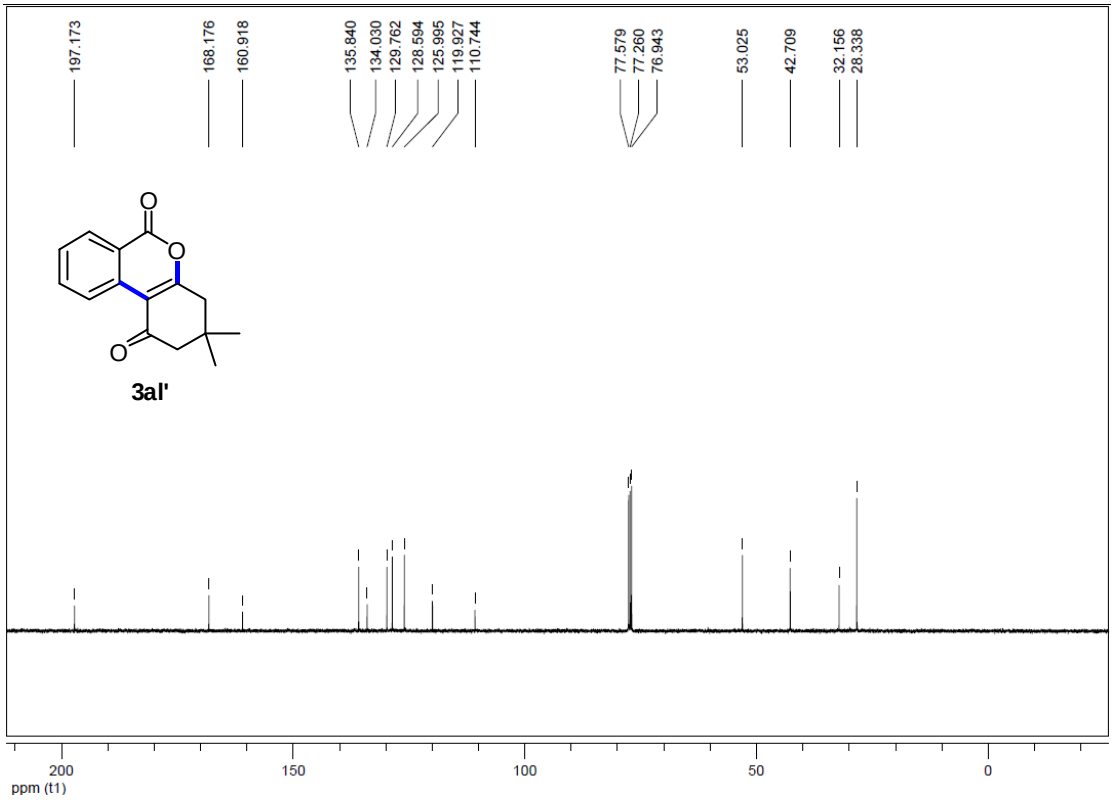
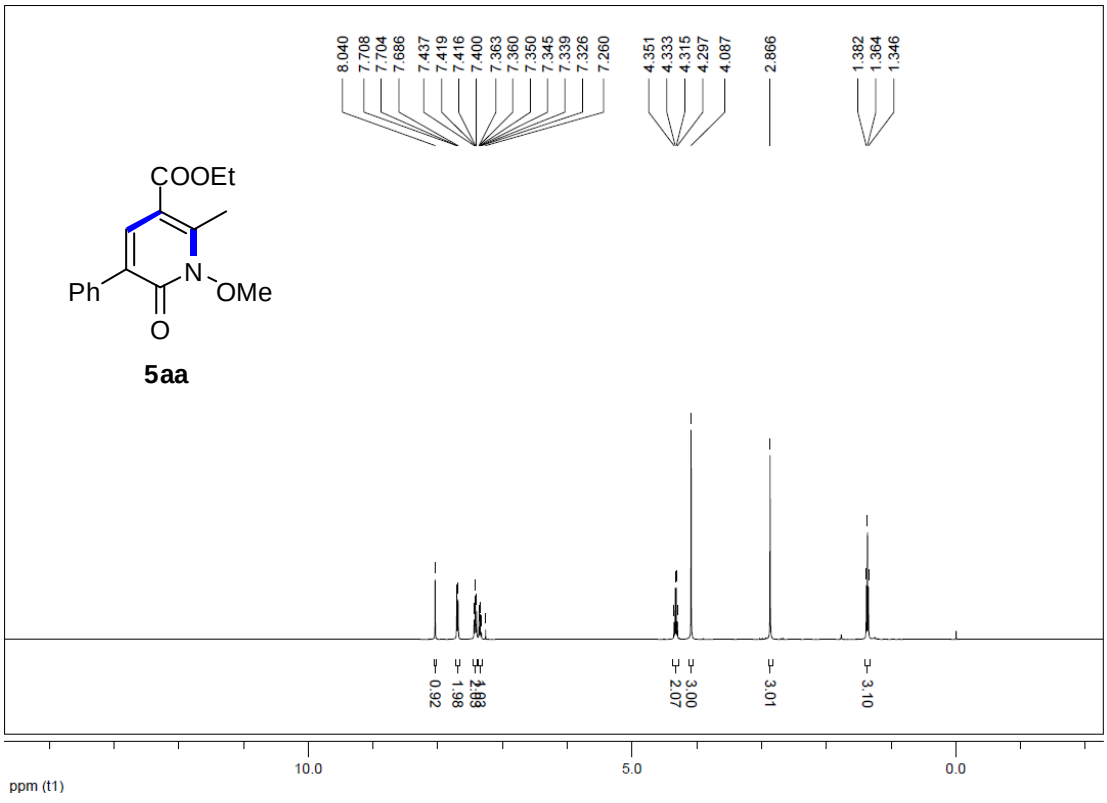
133.401
127.618
127.322
126.396
125.232
109.082
77.579
77.260
76.942
64.385
52.721
38.585
32.115
28.500
O
N
OMe
O
3al
200
150
100
50
0
ppm (t1)


9.029
9.009
8.266
8.246
7.789
7.770
7.751
7.529
7.510
7.491
7.260
2.784
2.508
1.163
O
O
O
3al'
0.93
0.93
0.98
1.00
1.96
1.98
6.06
15.0
10.0
5.0
0.0
ppm (t1)


197.173
168.176
160.918
135.840
134.030
129.762
128.594
125.995
119.927
110.744
77.579
77.260
76.943
53.025
42.709
32.156
28.338
O
O
O
3al'
200
150
100
50
0
ppm (t1)


8.040
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7.704
7.686
7.437
7.419
7.416
7.400
7.363
7.360
7.350
7.345
7.339
7.326
7.260
4.351
4.333
4.315
4.297
4.087
2.866
1.382
1.364
1.346
COOEt
Ph
N
OMe
O
5aa
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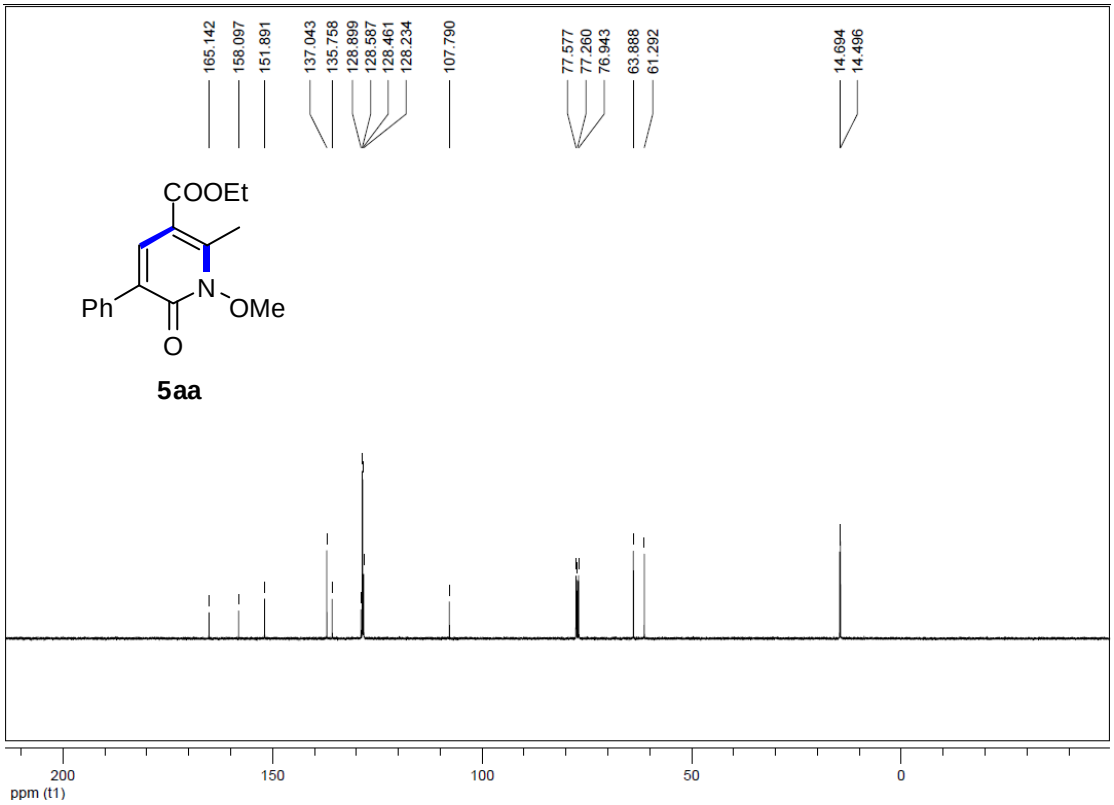
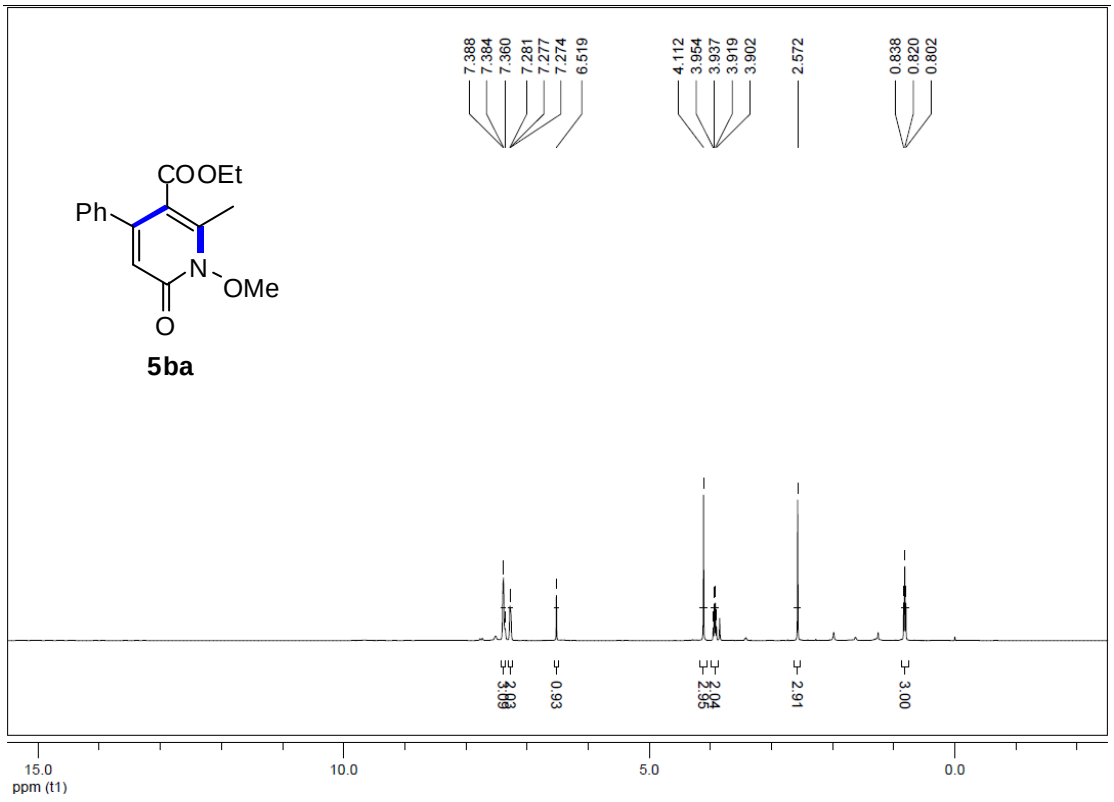
1.98
2.07
3.00
3.01
3.10
10.0
5.0
0.0
ppm (t1)


165.142
158.097
151.891
137.043
135.758
128.899
128.587
128.461
128.234
107.790
77.577
77.260
76.943
63.888
61.292
14.694
14.496
COOEt
Ph
N
OMe
O
200
150
100
50
0
ppm (t1)

5aa


7.388
7.384
7.360
7.281
7.277
7.274
6.519
4.112
3.954
3.937
3.919
3.902
2.572
0.838
0.820
0.802
COOEt
Ph
N
OMe
O
2.00
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2.04
2.91
3.00
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10.0
5.0
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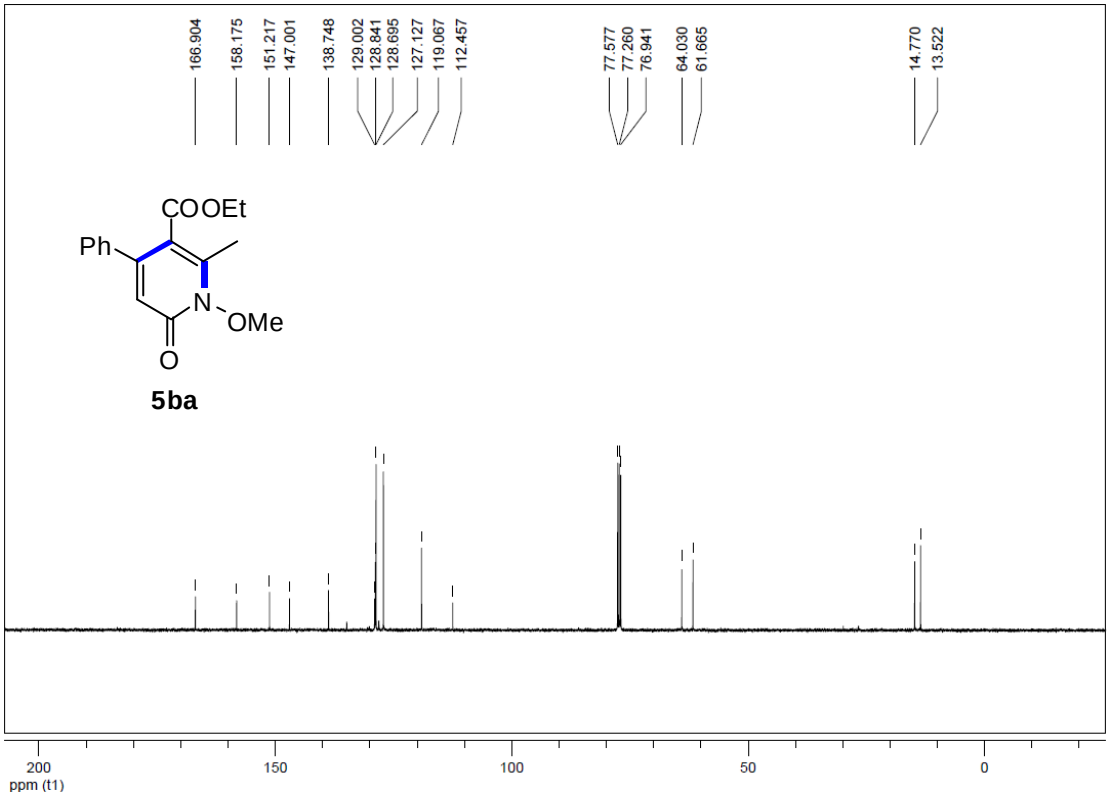
ppm (t1)

5ba


166.904
158.175
151.217
147.001
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129.002
128.841
128.695
127.127
119.067
112.457
77.577
77.260
76.941
64.030
61.665
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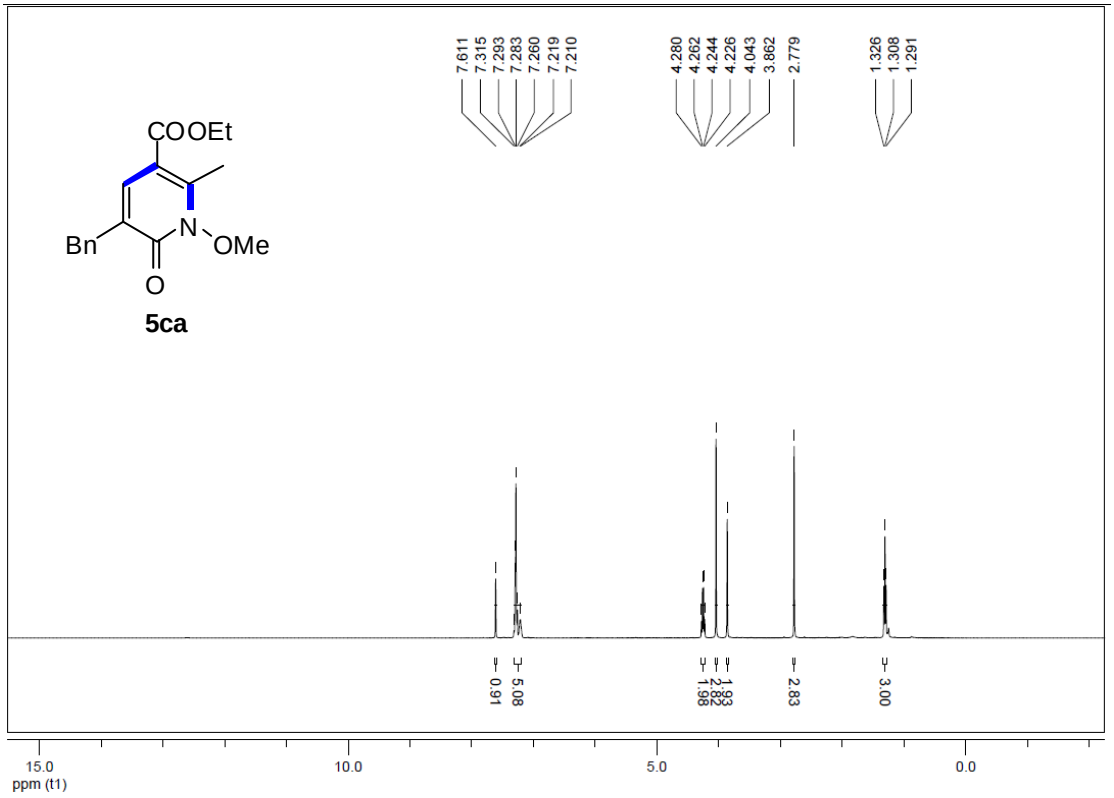
13.522
COOEt
Ph
N
OMe
O
200
150
100
50
0
ppm (t1)

5ba


7.611
7.315
7.293
7.283
7.260
7.219
7.210
4.280
4.262
4.244
4.226
4.043
3.862
2.779
1.326
1.308
1.291
COOEt
Bn
N
OMe
O
0.91
5.08
1.93
2.83
3.00
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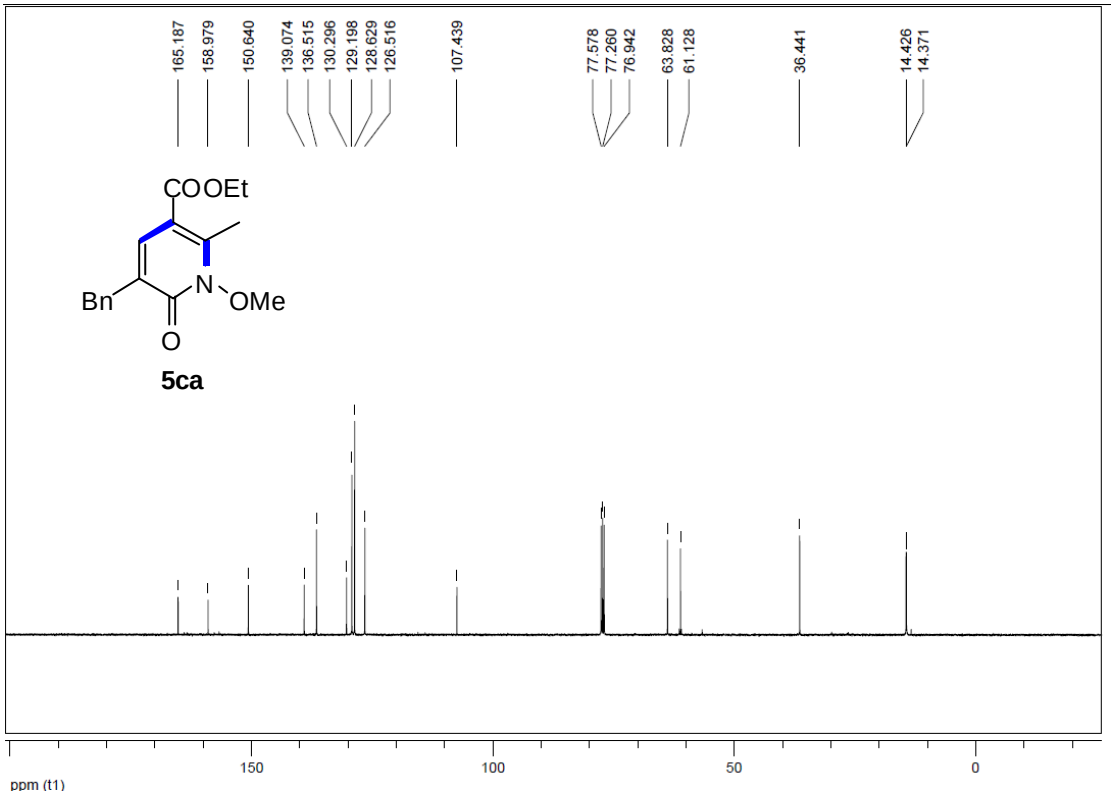
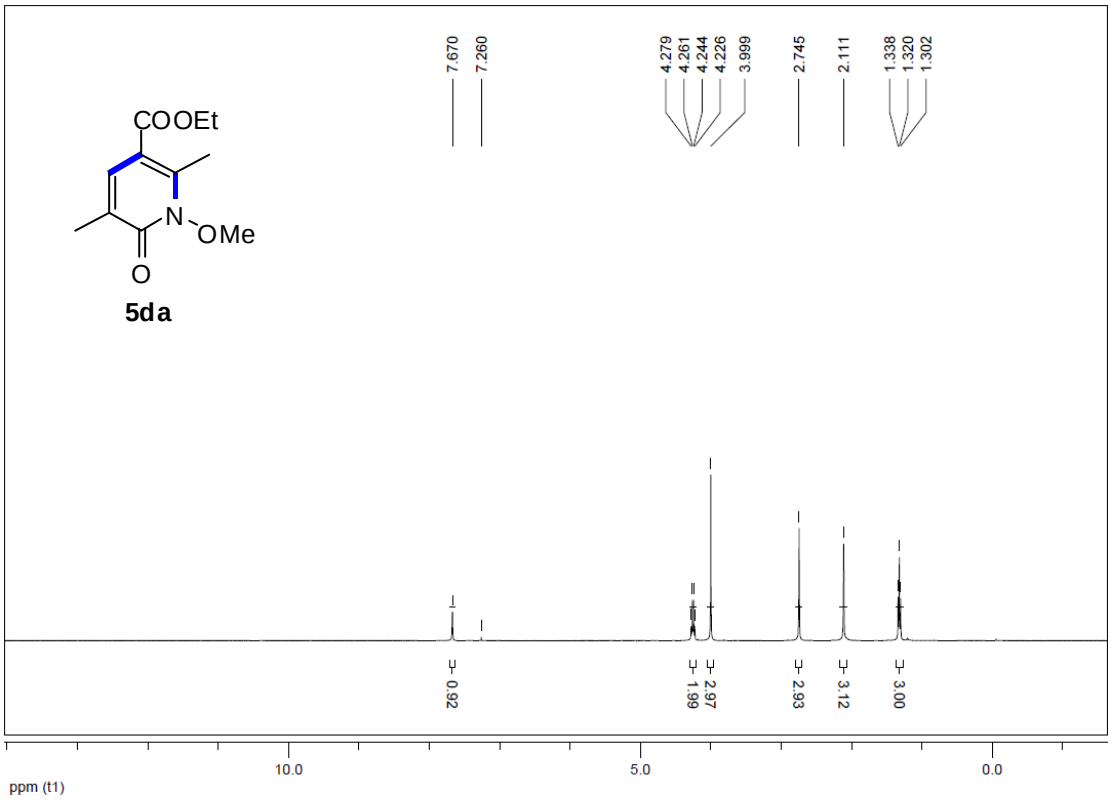
10.0
5.0
0.0
ppm (t1)

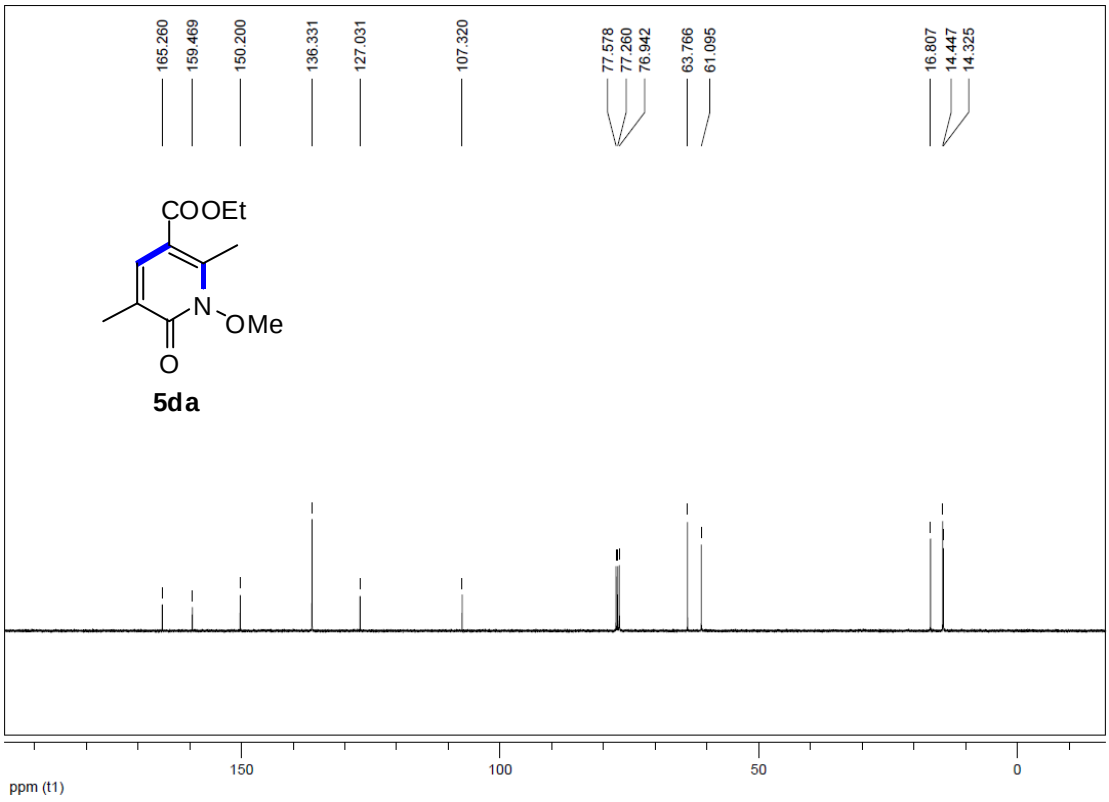
5ca


165.187
158.979
150.640
139.074
136.515
130.296
129.198
128.629
126.516
107.439
77.578
77.260
76.942
63.828
61.128
36.441
14.426
14.371
COOEt
Bn
N
OMe
O
150
100
50
0
ppm (t1)

5ca


7.670
7.260
4.279
4.261
4.244
4.226
3.999
2.745
2.111
1.338
1.320
1.302
COOEt
N
OMe
O
0.92
1.99
2.97
2.93
3.12
3.00
10.0
5.0
0.0
ppm (t1)

5da


165.260
159.469
150.200
136.331
127.031
107.320
77.578
77.260
76.942
63.766
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14.447
14.325
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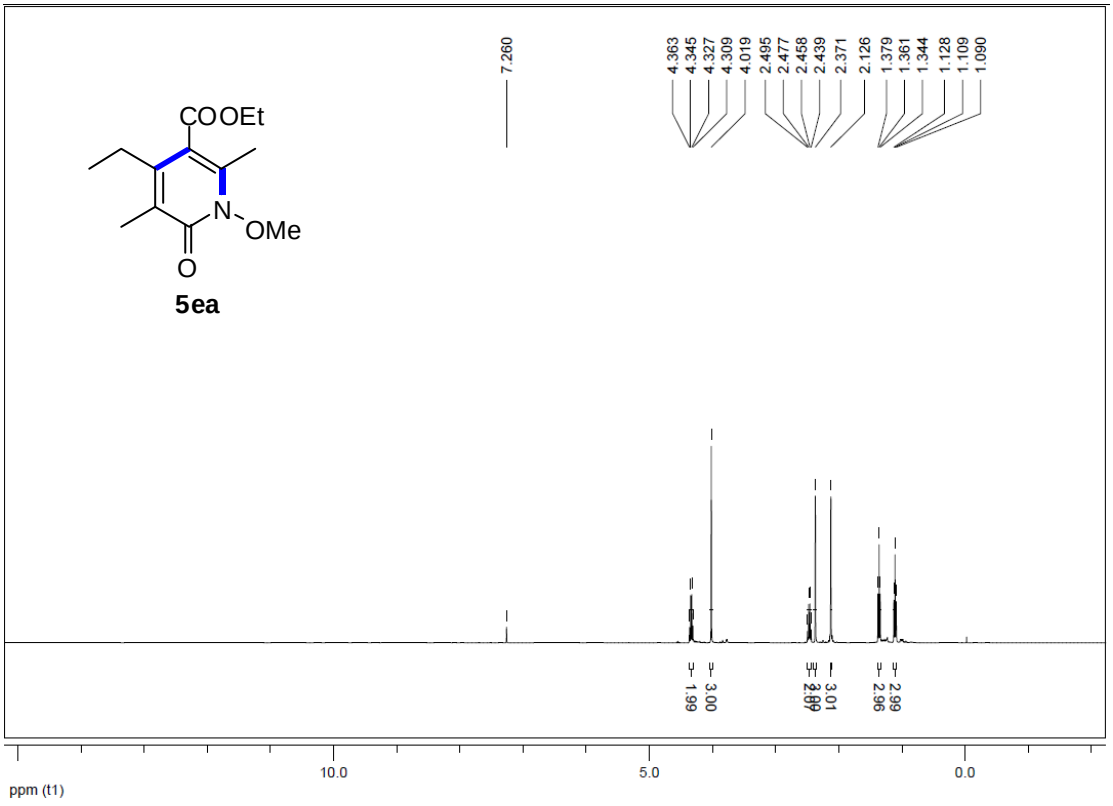
OMe
O
150
100
50
0
ppm (t1)

5da


7.260
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4.345
4.327
4.309
4.019
2.495
2.477
2.458
2.439
2.371
2.126
1.379
1.361
1.344
1.128
1.109
1.090
COOEt
N
OMe
O
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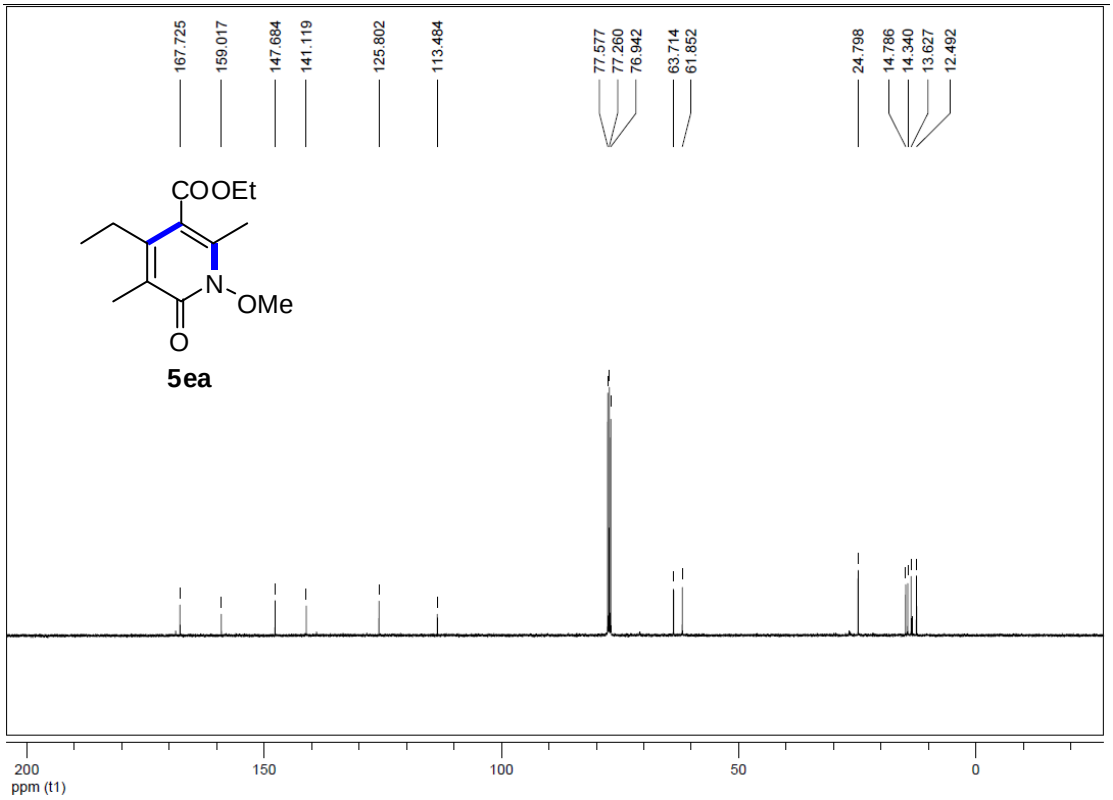
2.98
3.01
2.96
2.99
10.0
5.0
0.0
ppm (t1)

5ea


167.725
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147.684
141.119
125.802
113.484
77.577
77.260
76.942
63.714
61.852
24.798
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12.492
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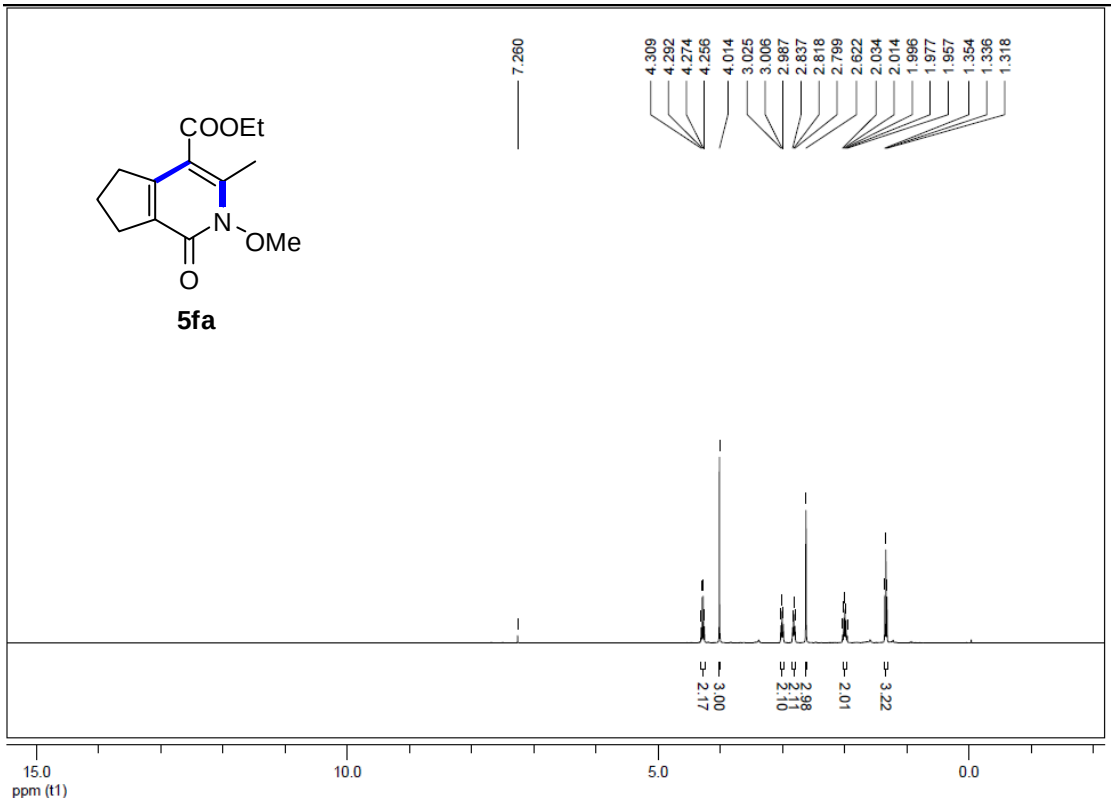
OMe
O
200
150
100
50
0
ppm (t1)

5ea


7.260
4.309
4.292
4.274
4.256
4.014
3.025
3.006
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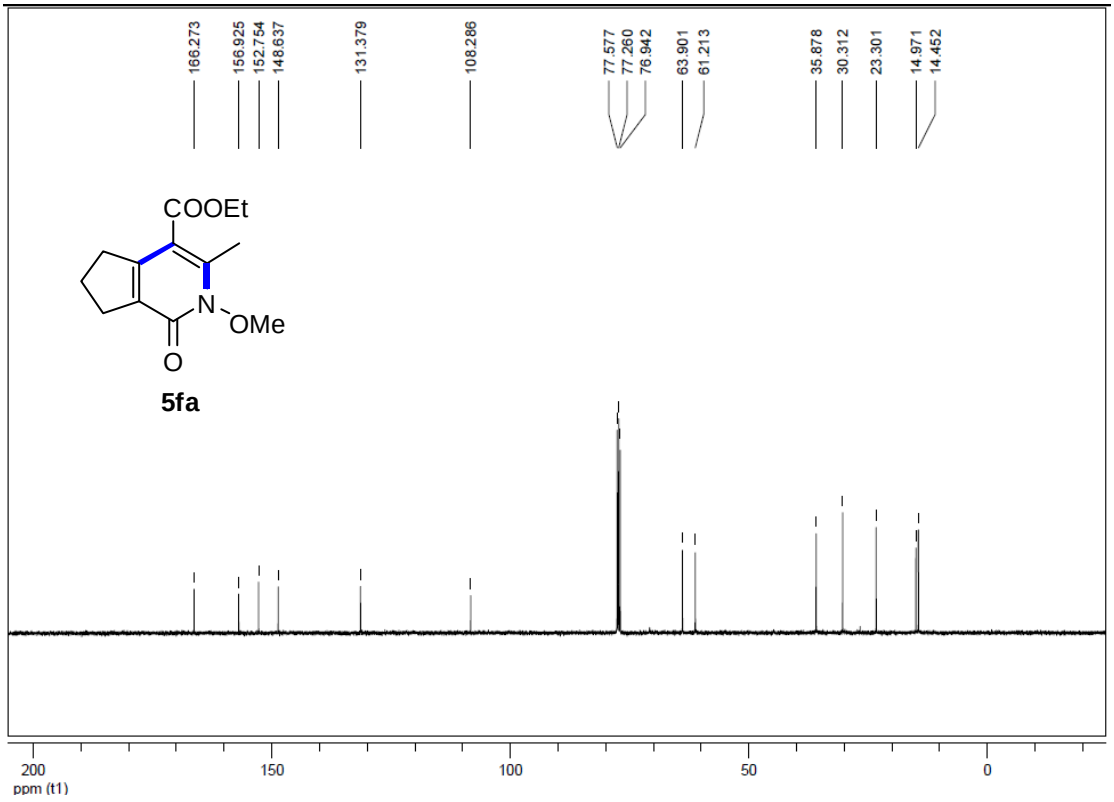
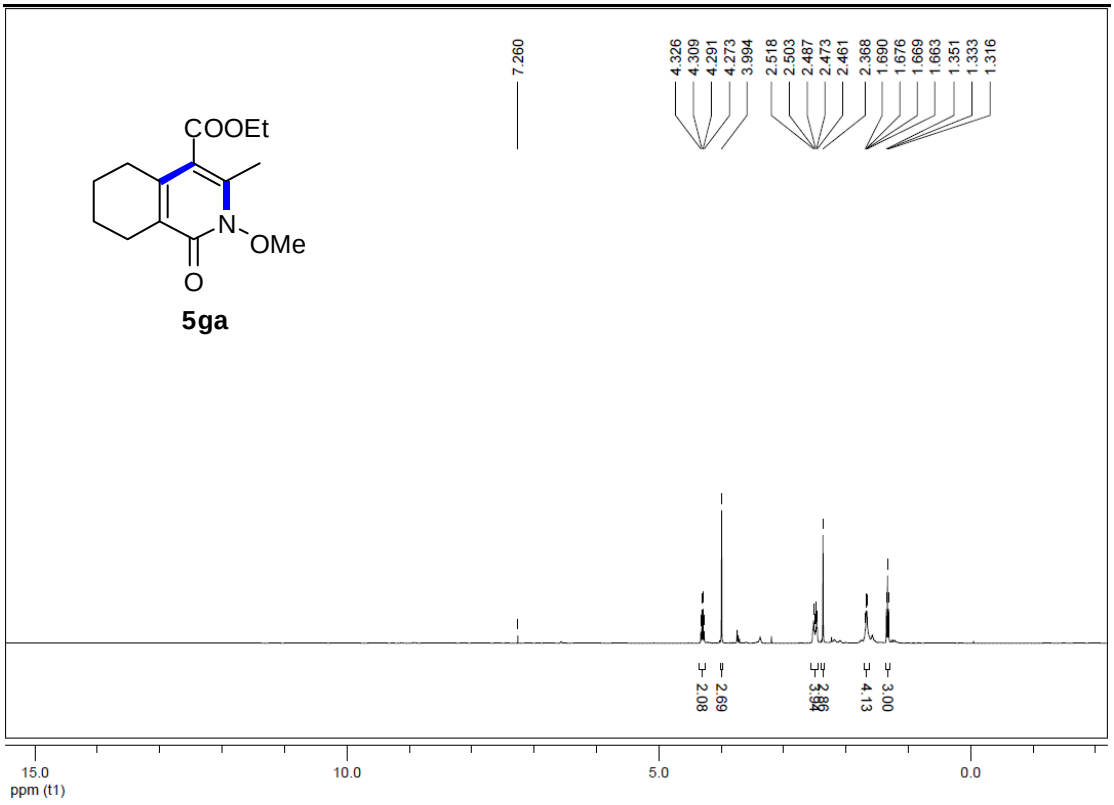
2.837
2.818
2.799
2.622
2.034
2.014
1.996
1.977
1.957
1.354
1.336
1.318
2.17
3.00
2.11
2.10
2.98
2.01
3.22
COOEt
N
OMe
O
15.0
10.0
5.0
0.0
ppm (t1)

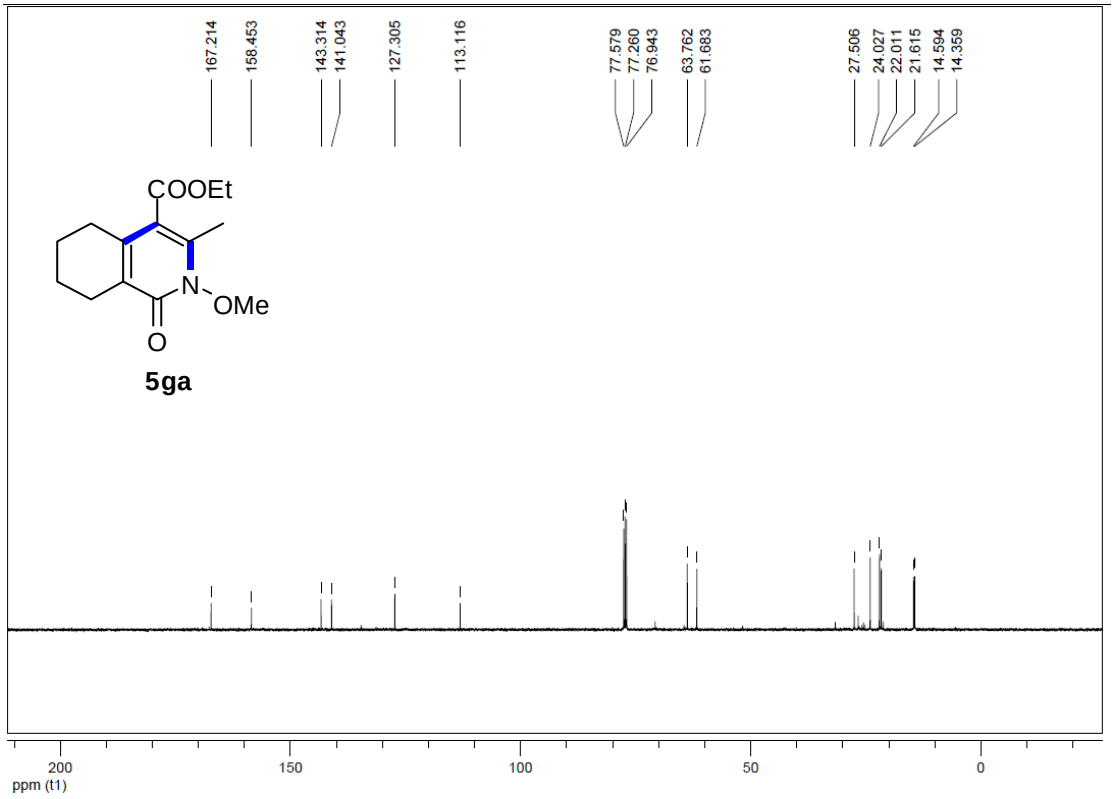
5fa


166.273
156.925
152.754
148.637
131.379
108.286
77.577
77.260
76.942
63.901
61.213
35.878
30.312
23.301
14.971
14.452
COOEt
N
OMe
O
200
150
100
50
0
ppm (t1)

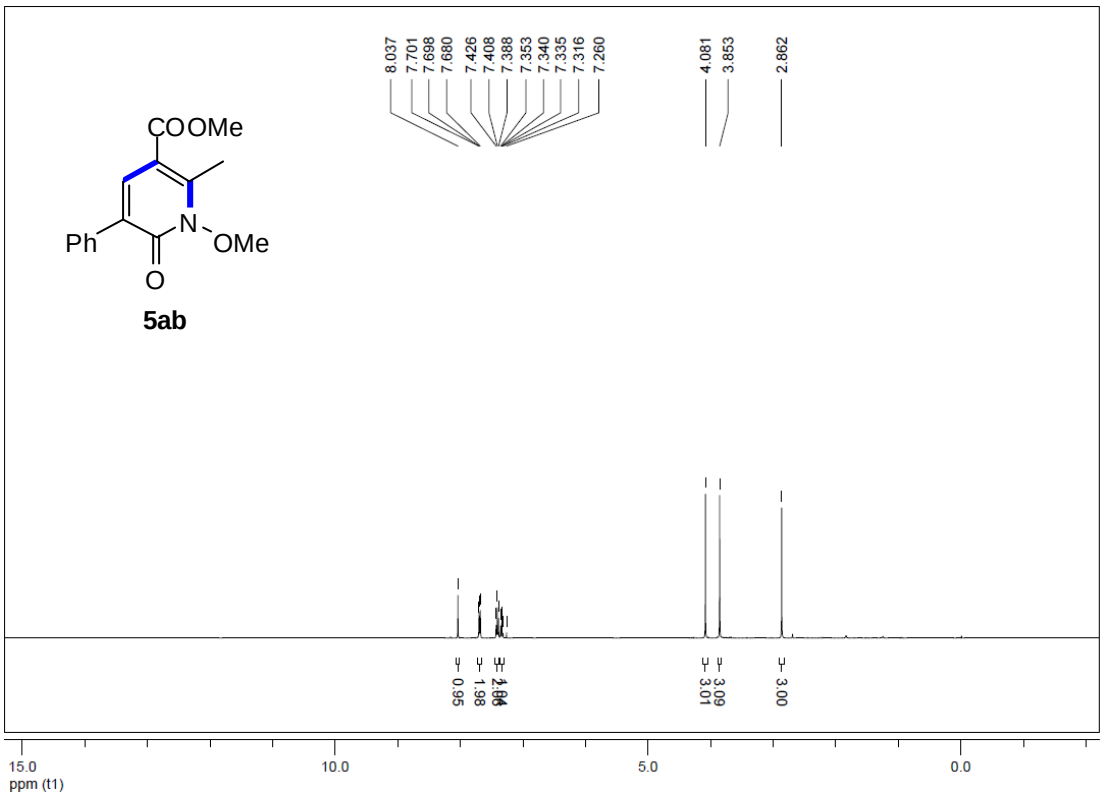
5fa


7.260
4.326
4.309
4.291
4.273
3.994
2.518
2.503
2.487
2.473
2.461
2.368
1.690
1.676
1.669
1.663
1.351
1.333
1.316
COOEt
N
OMe
O
2.08
2.69
4.13
3.00
15.0
10.0
5.0
0.0
ppm (t1)

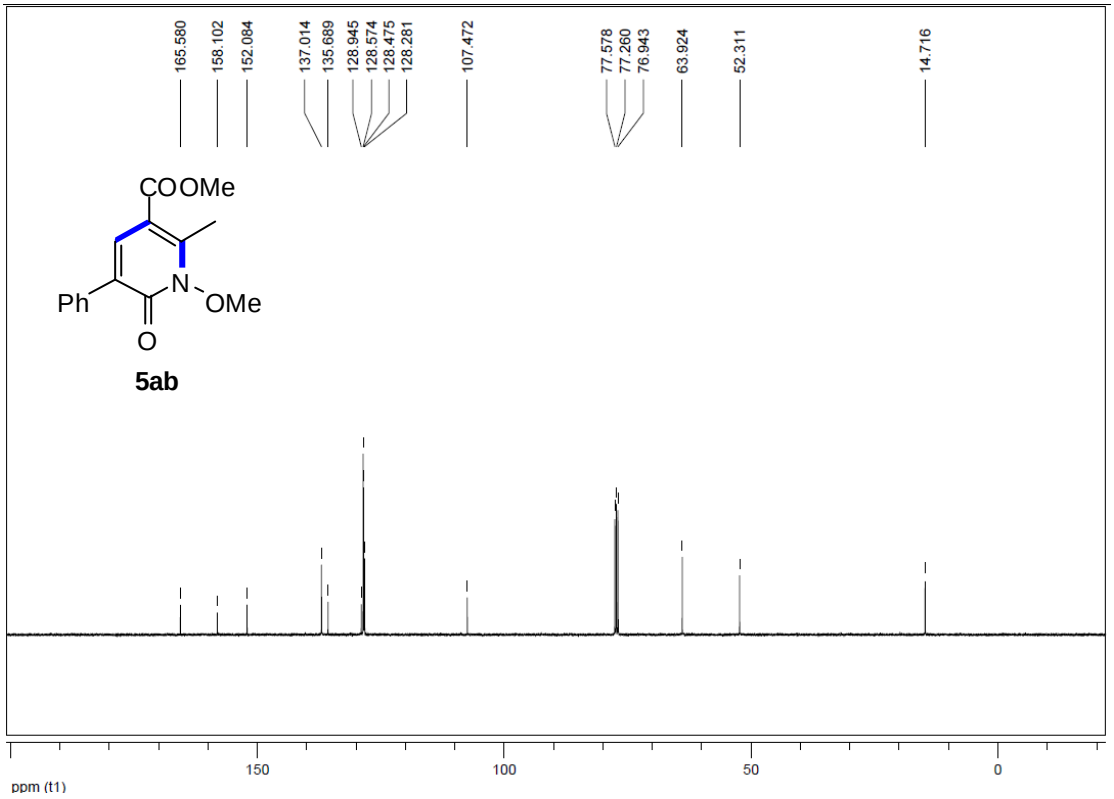
5ga


167.214
158.453
143.314
141.043
127.305
113.116
77.579
77.260
76.943
63.762
61.683
27.506
24.027
22.011
21.615
14.594
14.359
COOEt
N
OMe
O
200
150
100
50
0
ppm (t1)

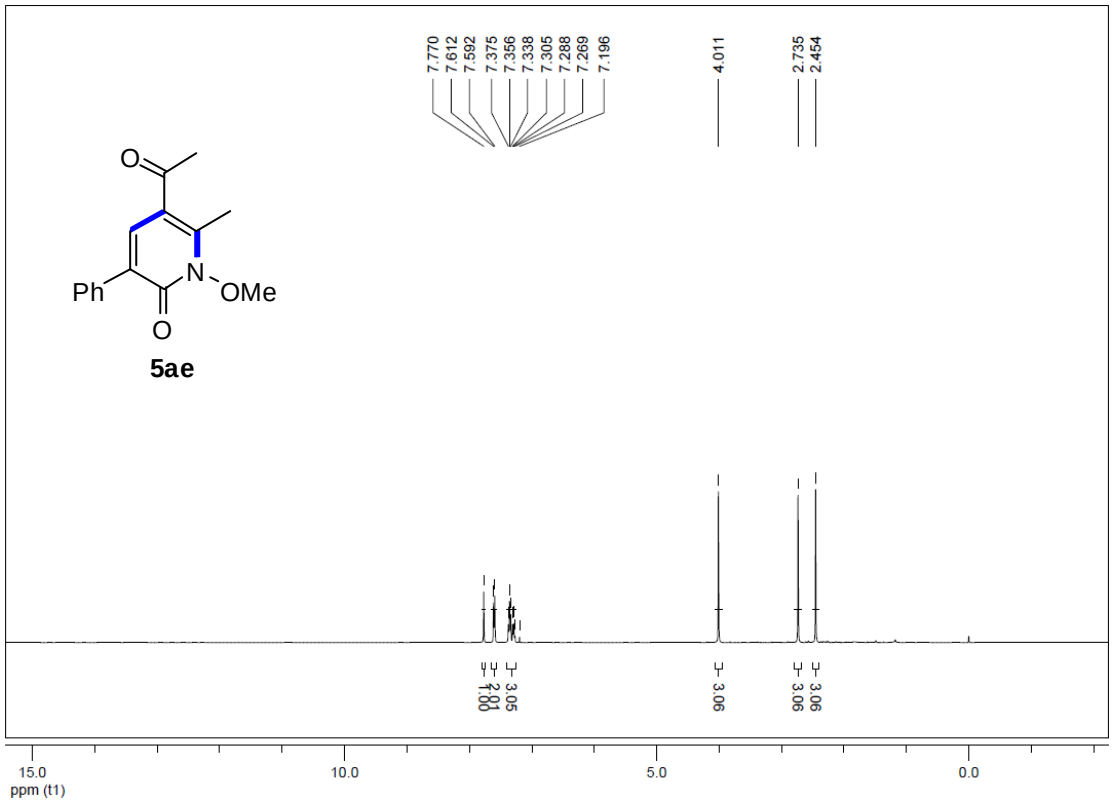
5ga


8.037
7.701
7.698
7.680
7.426
7.408
7.388
7.353
7.340
7.335
7.316
7.260
4.081
3.853
2.862
COOMe
Ph
N
OMe
O
0.95
1.98
3.01
3.09
3.00
15.0
10.0
5.0
0.0
ppm (t1)

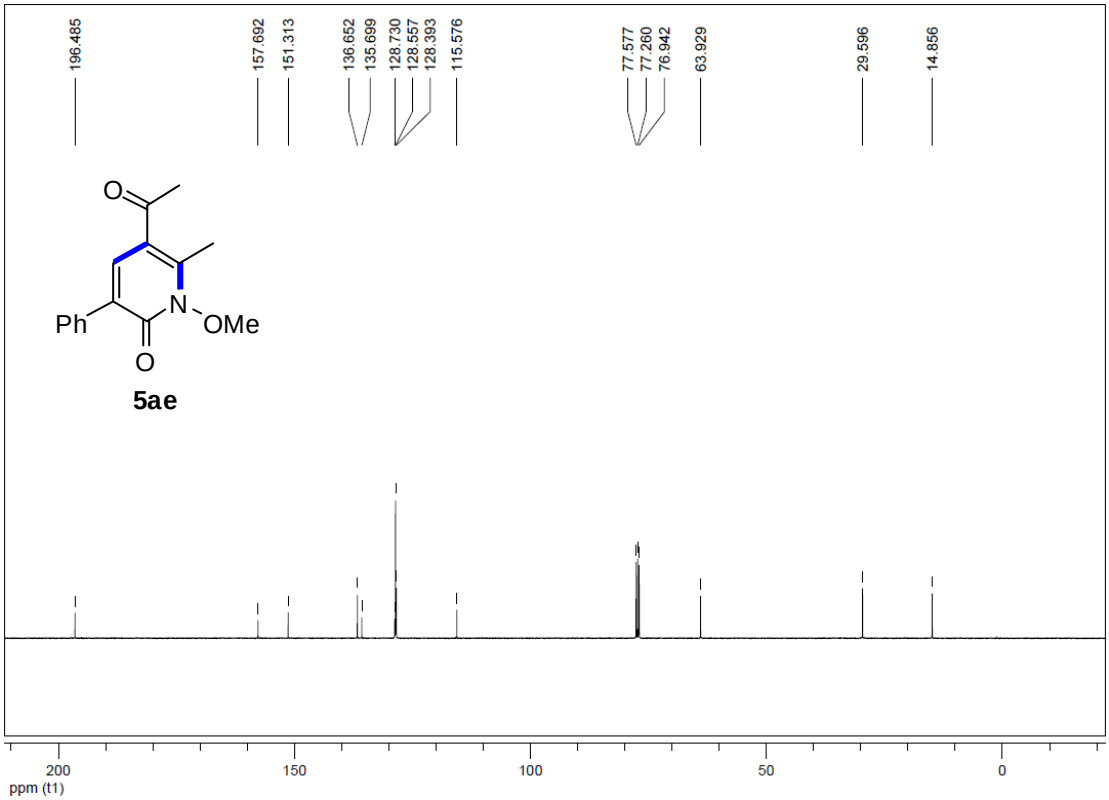
5ab

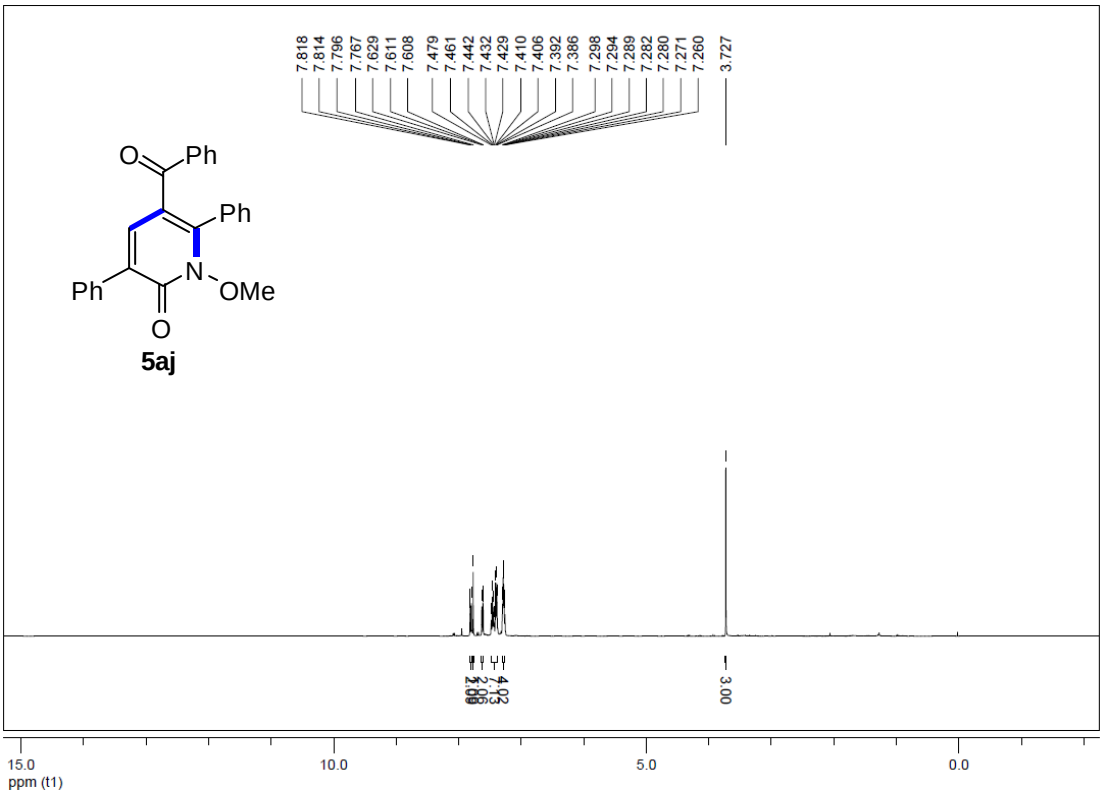

165.580
158.102
152.084
137.014
135.689
128.945
128.574
128.475
128.281
107.472
77.578
77.260
76.943
63.924
52.311
14.716
COOMe
N
OMe
Ph
O
150
100
50
0
ppm (t1)

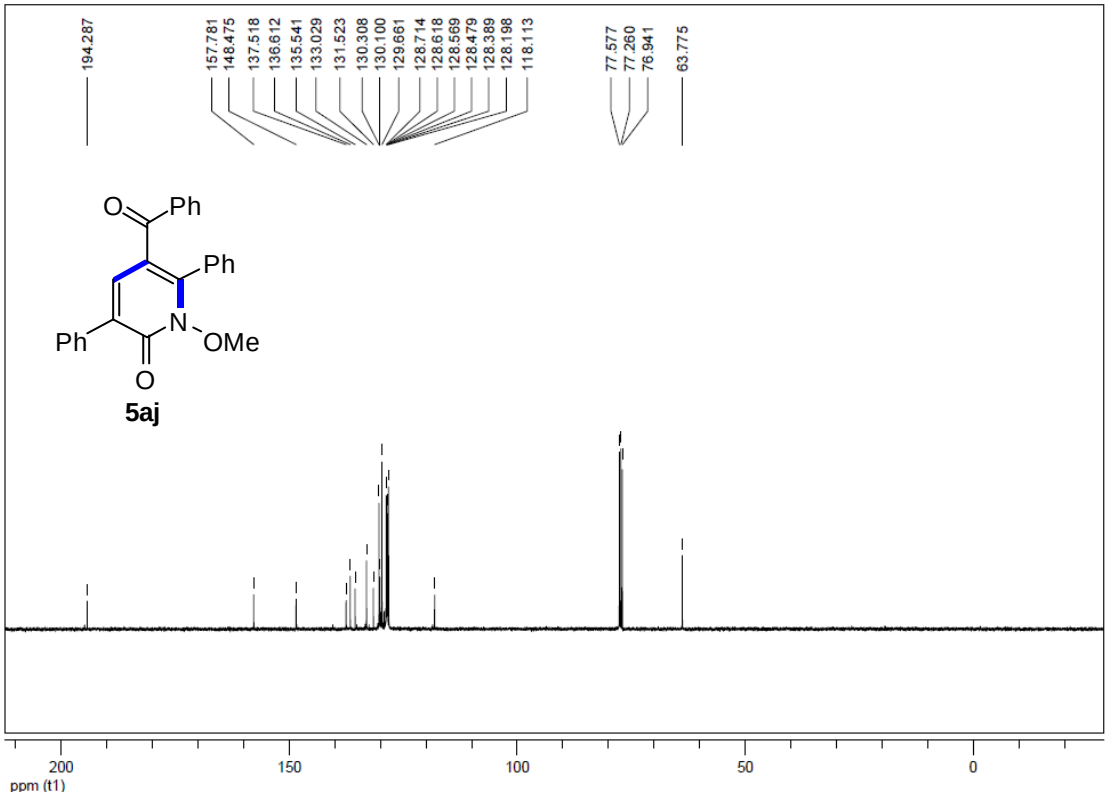
5ab

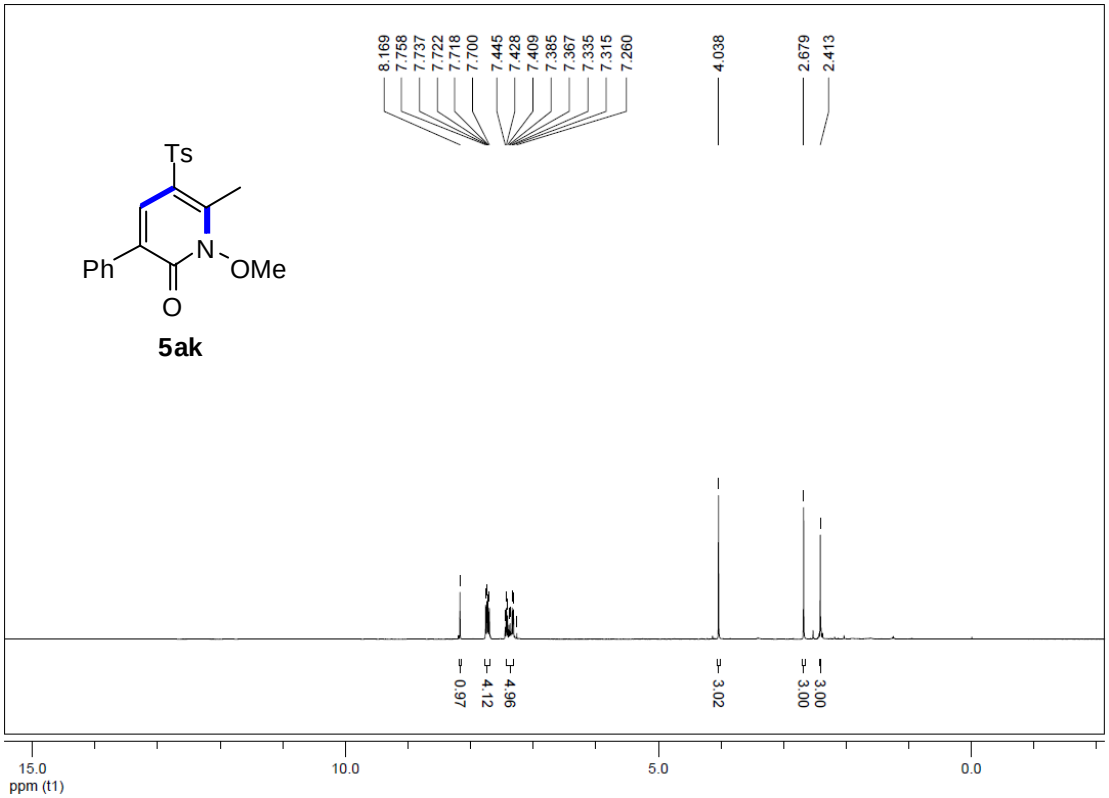

7.770
7.612
7.592
7.375
7.356
7.338
7.305
7.288
7.269
7.196
4.011
2.735
2.454
O
N
OMe
Ph
O
15.0
10.0
5.0
0.0
ppm (t1)

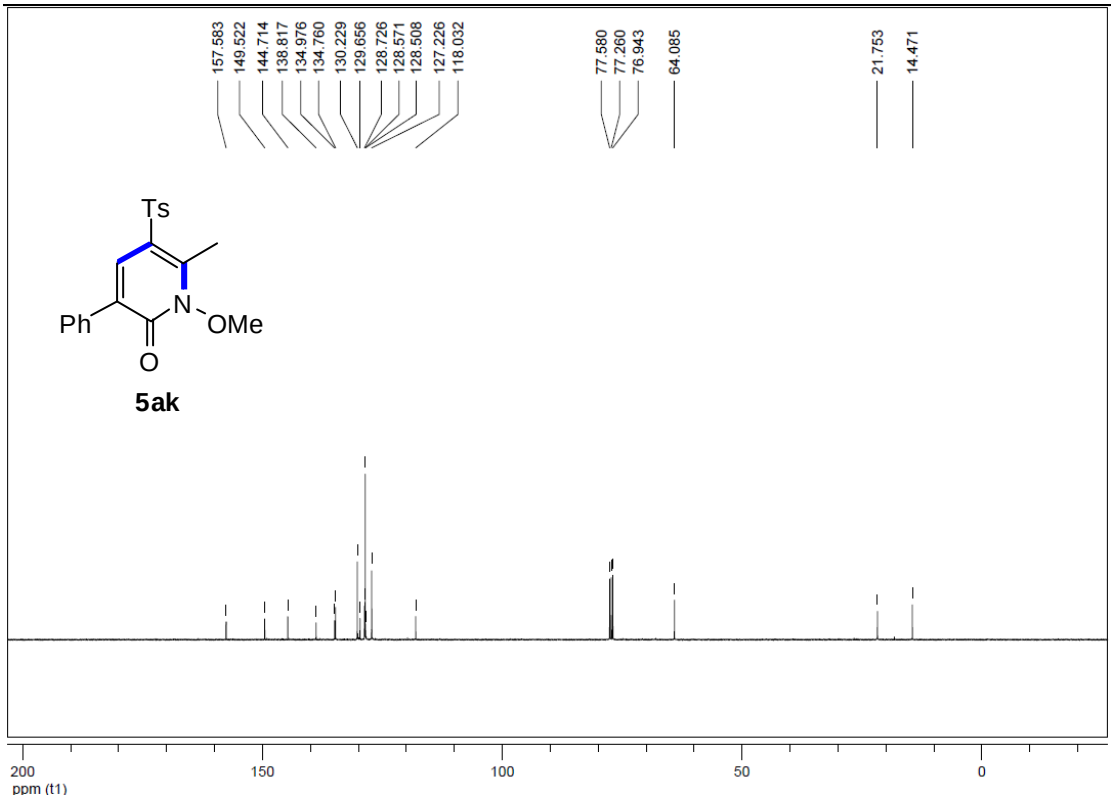
5ae


196.485
157.692
151.313
136.652
135.699
128.730
128.557
128.393
115.576
77.577
77.260
76.942
63.929
29.596
14.856
O
N
OMe
Ph
O
5ae
200
150
100
50
0
ppm (t1)

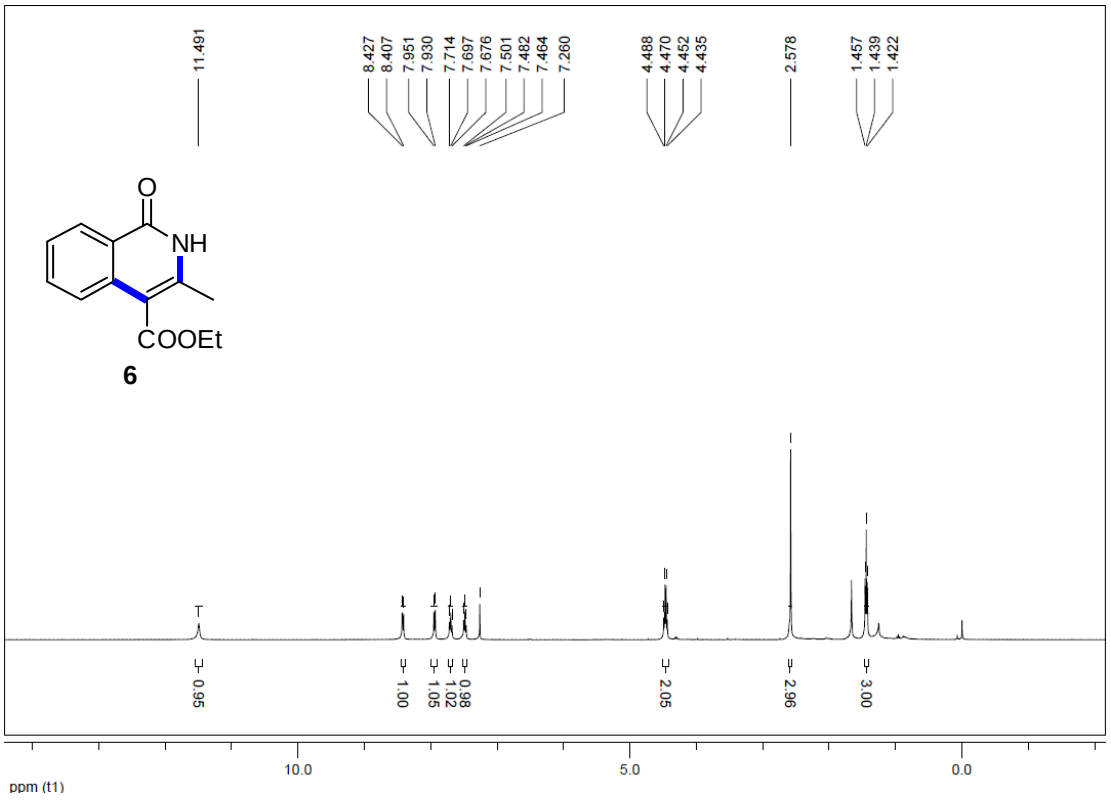

7.818
7.814
7.796
7.767
7.629
7.611
7.608
7.479
7.461
7.442
7.432
7.429
7.410
7.406
7.392
7.386
7.298
7.294
7.289
7.282
7.280
7.271
7.260
3.727
O
Ph
Ph
N
OMe
Ph
O
5aj
4.03
3.00
15.0
10.0
5.0
0.0
ppm (t1)


194.287
157.781
148.475
137.518
136.612
135.541
133.029
131.523
130.308
130.100
129.661
128.714
128.618
128.569
128.479
128.389
128.198
118.113
77.577
77.260
76.941
63.775
O
Ph
Ph
N
OMe
Ph
O
5aj
200
150
100
50
0
ppm (t1)

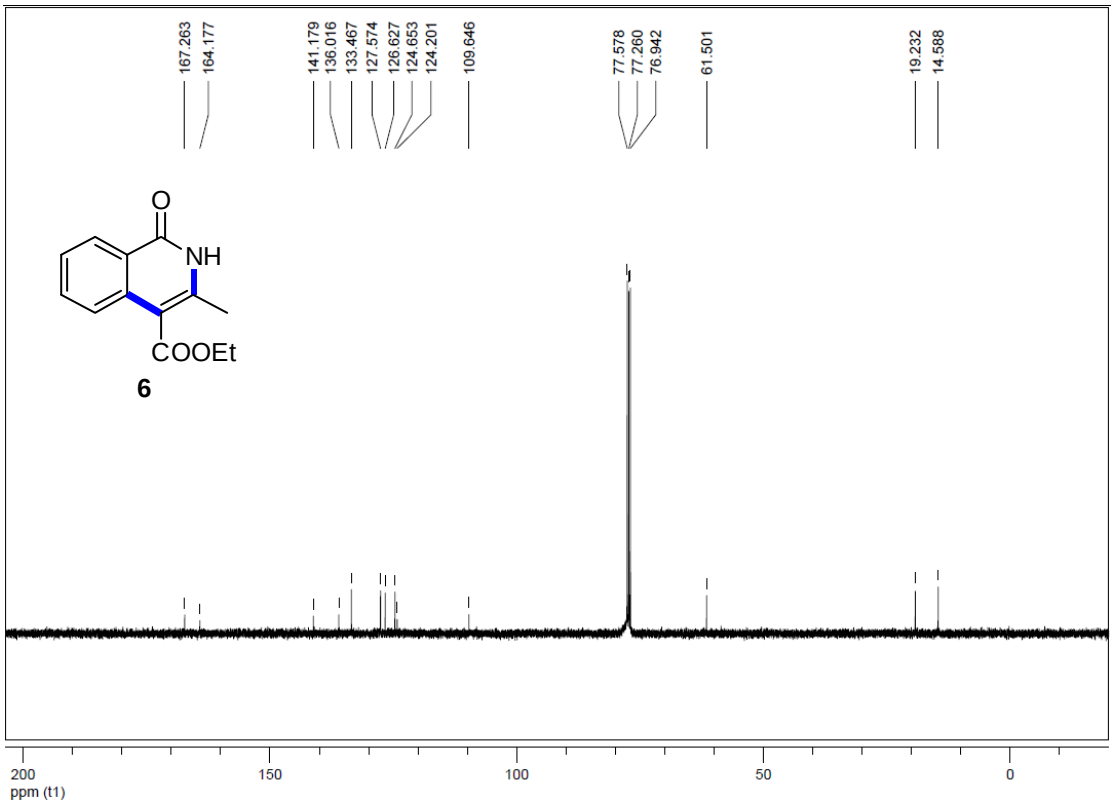

8.169
7.758
7.737
7.722
7.718
7.700
7.445
7.428
7.409
7.385
7.367
7.335
7.315
7.260
4.038
2.679
2.413
Ts
N
OMe
Ph
O
5ak
0.97
4.12
4.96
2.02
3.00
3.00
15.0
10.0
5.0
0.0
ppm (t1)


157.583
149.522
144.714
138.817
134.976
134.760
130.229
129.656
128.726
128.571
128.508
127.226
118.032
77.580
77.260
76.943
64.085
21.753
14.471
Ts
N
OMe
Ph
O
200
150
100
50
0
ppm (t1)

5ak


11.491
8.427
8.407
7.951
7.930
7.714
7.697
7.676
7.501
7.482
7.464
7.260
4.488
4.470
4.452
4.435
2.578
1.457
1.439
1.422
O
NH
COOEt
0.95
1.00
1.05
1.02
0.98
2.05
2.96
3.00
10.0
5.0
0.0
ppm (t1)

6


167.263
164.177
141.179
136.016
133.467
127.574
126.627
124.653
124.201
109.646
77.578
77.260
76.942
61.501
19.232
14.588
O
NH
COOEt
6
200
150
100
50
0
ppm (t1)