Regioselective three-component synthesis of 2,3-disubstituted quinolines via enaminone modified Povarov reaction

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Contents

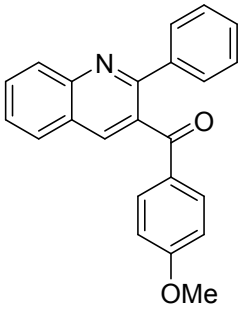
General experimental information

Enaminones **1** used in the experiments were synthesized in the lab following literature process,[1] all other chemicals were purchased from commercial sources and used directly as received. The ^{1}H and ^{13}C NMR spectra were recorded on a 400 MHz apparatus in $CDCl_3$. The frequencies for ^{1}H NMR and ^{13}C NMR test are 400 MHz and 100 MHz, respectively. The chemical shifts were reported in ppm with TMS as internal standard. An X-4A instrument was employed for measuring melting points of all solid products without correcting temperature. HRMS were acquired under ESI model in an apparatus equipped with TOF analyzer.

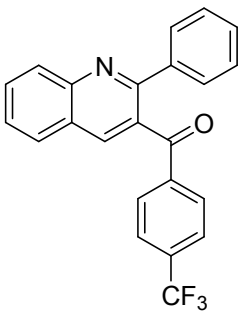
General procedure for the synthesis of quinolines 4 and **6**

A mixture of enaminone **1** (0.3 mmol), amine **2** (0.3 mmol), aldehyde **3** or1,3,5-trioxane **5** (0.3 mmol), CuI (0.06 mmol) and TfOH (0.6 mmol) in DMF (2 mL) was stirred at 90 °C for 8 h (TLC). After being cooled down to room temperature, water (5 mL) was added and the resulting suspension was extracted with ethyl acetate (3 × 5 mL). The organic layer was collected and dried over anhydrous Na_2SO_4. After removing the solvent under reduced pressure, the residue was purified by silica gel column chromatography by using mixed ethyl acetate and petroleum ether (v / v = 1:15) to give quinoline products.

Characterization data of all products

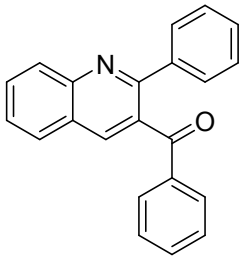


(4-Methoxyphenyl)(2-phenylquinolin-3-yl)methanone (**4a**). Yield 72%, 73 mg; white solid; mp 139-143 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.29 (s, 1 H), 8.24 (d, *J* = 8.8 Hz, 1 H), 7.88 (d, *J* = 8.0 Hz, 1 H), 7.86 - 7.77 (m, 1 H), 7.72 (d, *J* = 8.8 Hz, 2 H), 7.67 - 7.64 (m, 2 H), 7.60 (t, *J* = 7.6 Hz, 1 H), 7.33 - 7.27 (m, 3 H), 6.81 (d, *J* = 8.8 Hz, 2 H), 3.81 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.4, 163.8, 157.3, 148.2, 139.7, 137.1, 133.2, 132.4, 130.9, 130.0, 129.7, 129.2, 128.8, 128.4, 128.0, 127.2, 125.8, 113.7, 55.48; ESI-HRMS Calcd for $C_{23}H_{18}NO_2$ $[M + H]^+$ 340.1332, found 340.1323.

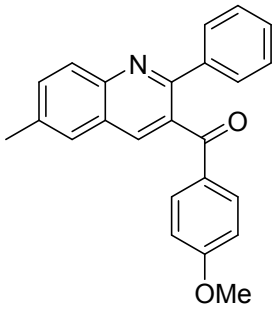


(2-Phenylquinolin-3-yl)(4-(trifluoromethyl)phenyl)methanone (**4b**). Yield 64%, 72 mg; white solid; mp 172 -175 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.40 (s, 1 H), 8.27 (d, *J* = 8.4 Hz, 1 H), 7.93 (d, *J* = 8.4 Hz, 1 H), 7.87 (t, *J* = 8.8 Hz, 1 H), 7.77 (d, *J* = 8.4 Hz, 2 H), 7.64 (d, *J* = 7.6 Hz, 1 H), 7.62-7.52 (m, 4 H), 7.31-7.24 (m, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.0, 157.2, 148.5, 139.8, 139.5, 138.1, 134.4, 134.1, 132.1, 131.6,

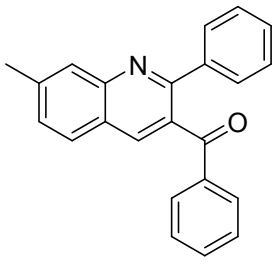
130.0, 129.7, 129.3, 129.1, 128.6, 128.2, 127.5, 125.8, 125.4 (d, J = 3.8 Hz), 125.3, 124.8, 122.1; ESI-HRMS Calcd for $C_{23}H_{15}F_3NO$ $[M + H]^+$ 378.1100, found 378.1089.



Phenyl(2-phenylquinolin-3-yl)methanone (**4c**).[2] Yield 70%, 65 mg; white solid; mp 121-124 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.34 (s, 0H), 8.25 (d, J = 8.4 Hz, 1H), 7.91 (d, J = 8.0 Hz, 1H), 7.86 - 7.81 (m, 1H), 7.71 (d, J = 6.8 Hz, 2H), 7.65 - 7.59 (m, 3H), 7.47 (t, J = 7.6 Hz, 1H), 7.38 - 7.24 (m, 5H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.9, 157.5, 148.4, 139.7, 137.6, 137.1, 133.3, 132.9, 131.2, 129.97, 129.7, 129.3, 128.8, 128.4, 128.1, 127.3, 125.8.

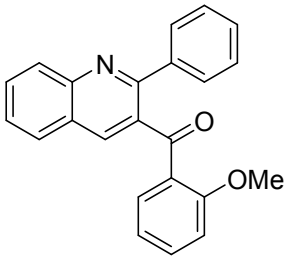


(4-Methoxyphenyl)(6-methyl-2-phenylquinolin-3-yl)methanone (**4d**). Yield 68%, 72 mg; white solid; mp 1389-142 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.19 (s, 1H), 8.13 (d, J = 9.2 Hz, 1 H), 7.71 (d, J = 8.8 Hz, 2 H), 7.66-7.59 (m, 4 H), 7.32-7.24 (m, 3 H), 6.80 (d, J = 9.2 Hz, 2 H), 3.80 (s, 3 H), 2.56 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.6, 163.73, 156.4, 146.9, 139.9, 137.3, 136.5, 133.3, 133.2, 132.4, 130.2, 129.3, 129.2, 128.7, 128.3, 126.8, 125.9, 113.7, 55.5, 21.6; ESI-HRMS Calcd for $C_{24}H_{20}NO_2$ $[M + H]^+$ 354.1489, found 354.1479.

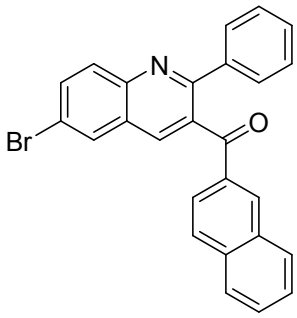


(7-Methyl-2-phenylquinolin-3-yl)(phenyl)methanone (**4e**). Yield 68%, 66 mg; white solid; mp 118-120 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.30 (s, 1 H), 8.04 (s, 1 H), 7.79 (d, J = 8.0 Hz, 1 H), 7.70 (d, J = 7.2 Hz, 2 H), 7.61 (dd, J = 7.6, 1.6 Hz, 2 H), 7.48 - 7.42 (m, 2 H), 7.35 - 7.21 (m, 5 H), 2.61 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 197.1, 157.5, 148.6, 141.9, 139.8, 137.5, 137.2, 133.2, 132.1, 129.9, 129.6, 129.3, 128.8, 128.7, 128.4, 127.8, 123.9, 22.1; ESI-HRMS Calcd

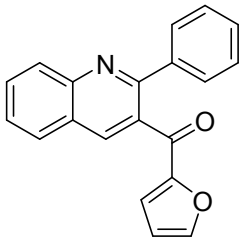
for $C_{25}H_{22}NO$ $[M + H]^+$ 352.1696, found 352.1687; ESI-HRMS Calcd for $C_{23}H_{18}NO$ $[M + H]^+$ 324.1383, found 324.1375.



(2-Methoxyphenyl)(2-phenylquinolin-3-yl)methanone (**4f**). Yield 65%, 66 mg; white solid; mp 145-148 ^oC; ^1H NMR (400 MHz, $CDCl_3$): δ 8.33 (s, 1 H), 8.25 (d, *J* = 8.8 Hz, 1 H), 7.89 (d, *J* = 8.0 Hz, 1 H), 7.83 (t, *J* = 8.4 Hz, 1 H), 7.65-7.58 (m, 3 H), 7.36 - 7.19 (m, 6 H), 7.03 (d, *J* = 7.2 Hz, 1 H), 3.77 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.7, 159.6, 157.5, 148.3, 139.7, 138.3, 137.6, 132.8, 131.3, 129.7, 129.4, 129.3, 128.9, 128.5, 128.2, 127.4, 125.7, 123.2, 120.2, 113.7, 55.5; ESI-HRMS Calcd for $C_{23}H_{18}NO_2$ $[M + H]^+$ 340.1332, found 340.1323.

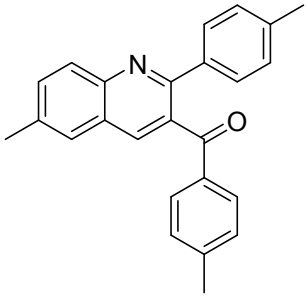


(6-Bromo-2-phenylquinolin-3-yl)(naphthalen-2-yl)methanone (**4g**). Yield 65%，85 mg; white solid; mp 186-189 ^oC; ^1H NMR (400 MHz, $CDCl_3$): δ 8.26 (s, 1 H), 8.17 - 8.10 (m, 2 H), 8.04 (d, *J* = 2.0 Hz, 1 H), 7.89 (d, *J* = 9.2 Hz, 2 H), 7.83-7.76 (m, 3 H), 7.65 (d, *J* = 8.0 Hz, 2 H), 7.57 (t, *J* = 7.6 Hz, 1 H), 7.48 (t, *J* = 7.6 Hz, 1 H), 7.23 (d, *J* = 7.5 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.3, 157.8, 146.9, 139.3, 136.4, 135.7, 134.6, 134.2, 133.8, 132.7, 132.2 131.3, 130.0, 129.6, 129.1, 129.1, 128.9, 128.6, 128.5, 127.8, 126.9, 124.7, 121.2; ESI-HRMS Calcd for $C_{26}H_{17}BrNO$ $[M + H]^+$ 438.0488, found 438.0479.

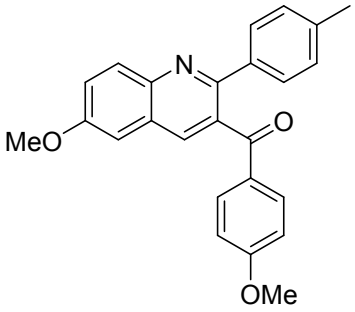


Furan-2-yl(2-phenylquinolin-3-yl)methanone (**4h**). Yield 67%, 60 mg; white solid; mp 122-125 ^oC; ^1H NMR (400 MHz, $CDCl_3$): δ 8.43 (s, 1 H), 8.24 (d, *J* = 8.4 Hz, 1 H), 7.92 (d, *J* = 9.2 Hz, 1 H), 7.84 (t, *J* = 8.4 Hz, 1 H), 7.68 (d, *J* = 6.0 Hz, 2 H), 7.62 (t, *J* = 7.6 Hz, 1 H), 7.48 (s, 1 H), 7.35 (q, *J* = 8.8, 7.6 Hz, 3 H), 6.95 (d, *J* = 3.2 Hz, 1 H),

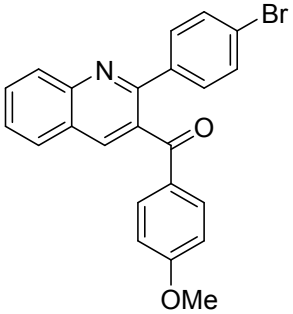
6.41 (d, J = 1.6 Hz, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 183.9, 157.2, 152.4, 148.4, 147.5, 139.7, 137.9, 131.9, 131.4, 129.6, 129.1, 128.9, 128.5, 128.2, 127.4, 125.8, 120.7, 112.5; ESI-HRMS Calcd for $C_{20}H_{14}NO_2$ $[M + H]^+$ 300.1019, found 300.1009.



(6-Methyl-2-(*p*-tolyl)quinolin-3-yl)(*p*-tolyl)methanone (**4i**). Yield 60%, 63 mg; white solid; mp 208-211 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.14 (s, 1 H), 8.11 (d, J = 8.8 Hz, 1 H), 7.67-7.58 (m, 4 H), 7.53 (d, J = 8.0 Hz, 2 H), 7.13 (d, J = 8.0 Hz, 2 H), 7.08 (d, J = 8.0 Hz, 2 H), 2.53 (s, 3 H), 2.34 (s, 3 H), 2.27 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.8, 156.5, 146.9, 144.3, 138.6, 137.1, 137.0, 136.5, 134.6, 133.3, 132.9, 130.3, 129.2, 129.2, 129.1, 129.1, 126.8, 125.7, 21.7, 21.6, 21.3; ESI-HRMS Calcd for $C_{25}H_{22}NO$ $[M + H]^+$ 352.1696, found 352.1687.

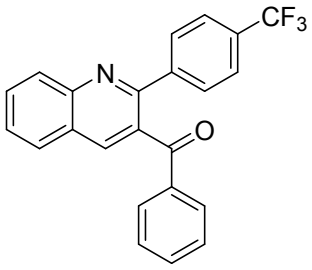


(6-Methoxy-2-(p-tolyl)quinolin-3-yl)(4-methoxyphenyl)methanone (**4j**). Yield 71%, 82 mg; white solid; mp 169-171 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.14-8.10 (m, 2H), 7.73 (d, J = 8.8 Hz, 2H), 7.52 (d, J = 8.4 Hz, 2H), 7.45 (dd, J = 9.2, 2.8 Hz, 1H), 7.12-7.06 (m, 3H), 6.82 (d, J = 8.8 Hz, 2H), 3.93 (s, 3H), 3.82 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.8, 163.7, 158.2, 154.8, 144.4, 138.4, 137.0, 135.7, 133.3, 132.5, 131.0, 130.2, 129.1, 129.0, 126.8, 123.7, 113.7, 105.2, 55.6, 55.5, 21.2; ESI-HRMS Calcd for $C_{25}H_{22}NO_3$ $[M + H]^+$ 384.1594, found 384.1583.

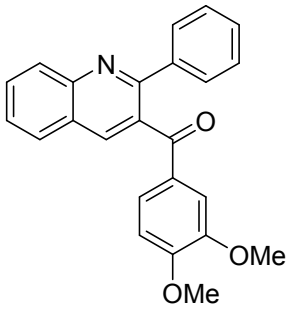


(2-(4-Bromophenyl)quinolin-3-yl)(4-methoxyphenyl)methanone (**4k**). Yield 74%, 93 mg; white solid; mp 171-173 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.27 (s, 1 H), 8.22 (d, J = 8.4 Hz, 1 H), 7.87 (d, J = 8.4 Hz, 1 H),

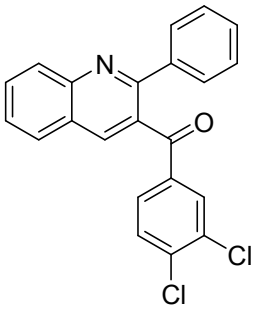
7.83 (d, J = 8.8 Hz, 1 H), 7.73 (d, J = 8.8 Hz, 2 H), 7.61 (t, J = 7.6 Hz, 1 H), 7.54 (d, J = 8.8 Hz, 2 H), 7.44 (d, J = 8.4 Hz, 2 H), 6.85 (d, J = 8.8 Hz, 2 H), 3.83 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.2, 164.0, 156.0, 148.2, 138.7, 137.3, 132.8, 132.5, 131.6, 131.2, 130.8, 129.8, 129.6, 128.0, 127.5, 125.9, 123.5, 113.9, 55.6; ESI-HRMS Calcd for $C_{23}H_{17}BrNO_2$ $[M + H]^+$ 418.0437, found 418.0428.



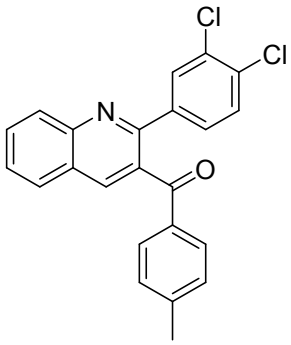
Phenyl(2-(4-(trifluoromethyl)phenyl)quinolin-3-yl)methanone (**4l**). Yield 72%, 81 mg; white solid; mp 139-141 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.37 (s, 1 H), 8.25 (d, J = 8.8 Hz, 1 H), 7.92 (d, J = 8.4 Hz, 1 H), 7.87 (t, J = 8.0 Hz, 1 H), 7.75 (t, J = 7.6 Hz, 4 H), 7.66 (t, J = 7.6 Hz, 1 H), 7.57 (d, J = 8.0 Hz, 2 H), 7.53 (d, J = 7.6 Hz, 1 H), 7.39 (t, J = 8.0 Hz, 2 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.4, 156.1, 148.2, 143.1, 137.9, 136.9, 133.7, 132.5, 131.6, 130.8, 130.5, 130.1, 129.7, 129.6, 128.7, 128.2, 127.9, 125.9, 125.4 (d, J = 3.8 Hz), 122.6; ESI-HRMS Calcd for $C_{23}H_{15}F_3NO$ $[M + H]^+$ 378.1100, found 378.1089.



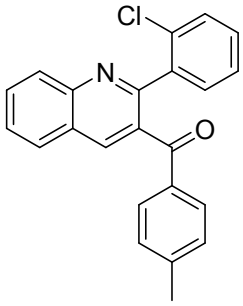
(3,4-Dimethoxyphenyl)(2-phenylquinolin-3-yl)methanone (**4m**). Yield 68%, 73 mg; white solid; mp 186-188 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.31 (s, 1 H), 8.25 (d, J = 8.4 Hz, 1 H), 7.90 (d, J = 8.0 Hz, 1 H), 7.84 (t, J = 7.6 Hz, 1 H), 7.68-7.60 (m, 3 H), 7.45 (s, 1 H), 7.34-7.30 (m, 3 H), 7.27 - 7.23 (m, 1 H), 6.74 (d, J = 8.4 Hz, 1 H), 3.90 (s, 3 H), 3.88 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.5, 157.4, 153.6, 149.0, 148.2, 139.7, 137.3, 133.0, 131.1, 130.1, 129.6, 129.2, 128.9, 128.5, 128.0, 127.3, 126.0, 125.8, 111.2, 109.8, 56.1, 56.0; ESI-HRMS Calcd for $C_{24}H_{20}NO_3$ $[M + H]^+$ 370.1438, found 370.1428.



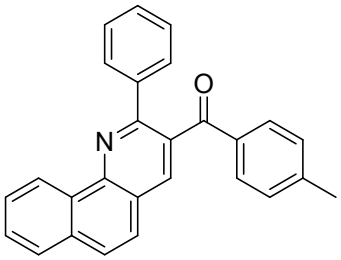
(3,4-Dichlorophenyl)(2-phenylquinolin-3-yl)methanone (**4n**). Yield 64%, 72 mg; white solid; mp 171-172 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.39 (s, 1 H), 8.26 (d, *J* = 8.4 Hz, 1 H), 7.94 (d, *J* = 8.0 Hz, 1 H), 7.87 (t, *J* = 7.6 Hz, 1 H), 7.74 (d, *J* = 1.6 Hz, 1 H), 7.65 (t, *J* = 7.2 Hz, 1 H), 7.61 - 7.56 (m, 2 H), 7.47 (dd, *J* = 8.4, 1.6 Hz, 1 H), 7.38 - 7.28 (m, 4 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.8, 157.1, 148.7, 139.5, 138.1, 137.8, 136.6, 133.0, 131.8, 131.7, 131.5, 130.5, 129.7, 129.3, 129.2, 128.8, 128.7, 128.3, 127.6, 125.8.; ESI-HRMS Calcd for $C_{22}H_{14}Cl_2NO$ $[M + H]^+$ 378.0447, found 378.0438.



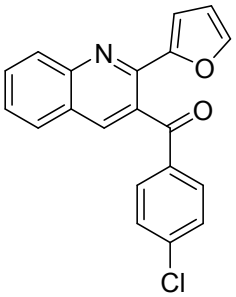
(2-(3,4-Dichlorophenyl)quinolin-3-yl)(p-tolyl)methanone (**4o**). Yield 73%, 86 mg; white solid; mp 176-178 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.31 (s, 1 H), 8.22 (d, *J* = 8.4 Hz, 1 H), 7.91 - 7.82 (m, 3 H), 7.69 - 7.61 (m, 3 H), 7.42 - 7.31 (m, 2 H), 7.20 (d, *J* = 7.6 Hz, 2 H), 2.40 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.1, 154.8, 148.2, 145.0, 139.6, 137.8, 134.3, 133.2, 132.8, 132.5, 131.5, 131.2, 130.2, 129.6, 129.5, 128.4, 128.2, 127.8, 125.9, 21.8; ESI-HRMS Calcd for $C_{23}H_{16}Cl_2NO$ $[M + H]^+$ 392.0603, found 392.0594.



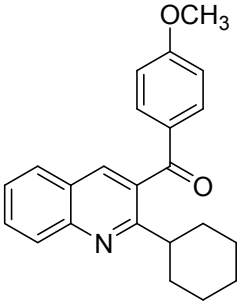
(2-(2-Chlorophenyl)quinolin-3-yl)(p-tolyl)methanone (**4p**). Yield 68%, 66 mg; white solid; mp 173-175 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.35 (s, 1 H), 8.25 (d, *J* = 8.4 Hz, 1 H), 7.90 (d, *J* = 8.4 Hz, 1 H), 7.85 (d, *J* = 8.0 Hz, 1 H), 7.73 (d, *J* = 8.0 Hz, 2 H), 7.64 (t, *J* = 7.6 Hz, 1 H), 7.55 (d, *J* = 7.2 Hz, 1 H), 7.36-7.26 (m, 3 H), 7.22 (d, *J* = 7.8 Hz, 2 H), 2.40 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.8, 156.6, 148.0, 144.1, 138.9, 137.9, 134.2, 132.7, 132.2, 131.6, 131.5, 130.5, 129.7, 129.6, 129.4, 129.1, 128.3, 127.7, 126.9, 125.9, 21.75; ESI-HRMS Calcd for $C_{23}H_{17}ClNO$ $[M + H]^+$ 358.0993, found 358.0996.



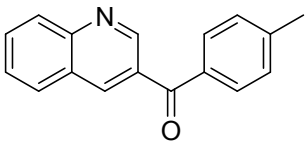
(2-Phenylbenzo[h]quinolin-3-yl)(p-tolyl)methanone (**4q**). Yield 62%, 69 mg; white solid; mp 210-213 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.46 (d, *J* = 9.2 Hz, 1 H), 8.31 (s, 1 H), 7.98 - 7.91 (m, 1 H), 7.87 (d, *J* = 8.8 Hz, 1 H), 7.83-7.72 (m, 5 H), 7.66 (d, *J* = 8.0 Hz, 2 H), 7.35-7.29 (m, 3 H), 7.13 (d, *J* = 8.0 Hz, 2 H), 2.35 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 197.1, 155.6, 146.7, 144.3, 139.9, 137.0, 134.6, 134.3, 133.2, 131.3, 130.2, 129.7, 129.2, 128.9, 128.8, 128.5, 128.3, 127.9, 127.3, 125.1, 124.9, 123.9, 21.7; ESI-HRMS Calcd for $C_{27}H_{20}NO$ $[M + H]^+$ 374.1539, found 374.1528.



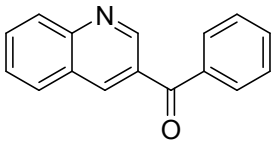
(4-Chlorophenyl)(2-(furan-2-yl)quinolin-3-yl)methanone (**4r**). Yield 65%, 65 mg; white solid; mp 130-132 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.20 (s, 2 H), 7.88 - 7.79 (m, 2 H), 7.74 (d, *J* = 8.8 Hz, 2 H), 7.58 (t, *J* = 7.6 Hz, 1 H), 7.36 (d, *J* = 8.0 Hz, 2 H), 7.32 (s, 1 H), 7.13 (s, 1 H), 6.42 (s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.9, 152.2, 148.1, 145.9, 144.3, 139.9, 136.8, 135.6 131.3, 130.9, 130.6 129.3, 128.9, 128.0, 127.3, 125.8, 112.4, 112.2; ESI-HRMS Calcd for $C_{20}H_{13}ClNO_2$ $[M + H]^+$ 334.0629, found 334.0620.



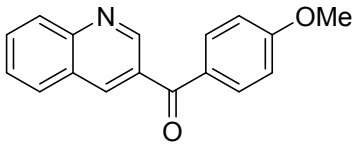
(2-Cyclohexylquinolin-3-yl)(4-methoxyphenyl)methanone (**4s**). Yield 60%, 62 mg; bronzing liquid; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.11 (d, 1 H, *J* = 8.4 Hz), 7.99 (s, 1 H), 7.83, (d, 2 H, *J* = 8.8 Hz), 7.74 (t, 2 H, *J* = 8.8 Hz), 7.51 (t, 1 H, *J* = 8.0 Hz), 6.95 (d, 1 H, *J* = 8.4 Hz), 3.89 (s, 3 H), 3.02-2.94 (m, 1 H), 1.90-1.77 (m, 6 H), 1.34-1.21 (m, 4 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.9, 164.1, 163.9, 148.2, 135.4, 132.7, 132.6, 130.6, 130.2, 129.2, 127.8, 126.4, 125.2, 113.9, 55.6, 43.8, 32.5, 26.4, 26.0; ESI-HRMS Calcd for $C_{23}H_{24}NO_2$ $[M + H]^+$ 346.1802, found 346.1809.



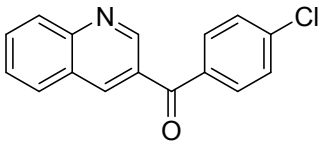
Quinolin-3-yl(p-tolyl)methanone (6a).[3] Yield 58%, 44 mg; yellow solid; mp 89-90 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.31 (s, 1H), 8.55 (s, 1H), 8.20 (d, *J* = 8.4 Hz, 1H), 7.92 (d, *J* = 8.0 Hz, 1H), 7.86 (t, *J* = 7.6 Hz, 1H), 7.79 (d, *J* = 7.6 Hz, 2H), 7.64 (t, *J* = 7.6 Hz, 1H), 7.35 (d, *J* = 7.6 Hz, 2H), 2.48 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.51, 150.29, 149.31, 144.10, 138.66, 134.37, 131.75, 130.29, 129.43, 129.37, 129.13, 127.58, 77.37, 77.05, 76.73, 21.75.



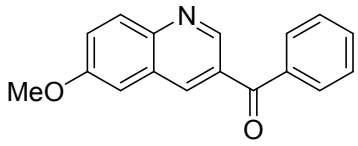
Phenyl(quinolin-3-yl)methanone (**6b**).[4] Yield 55%, 38 mg; white solid; mp 66-68 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.34 (d, *J* = 2.0 Hz, 1 H), 8.59 (s, 1 H), 8.23 (d, *J* = 8.4 Hz, 1 H), 7.95 (d, *J* = 8.4 Hz, 1 H), 7.91 - 7.85 (m, 3 H), 7.70 - 7.64 (m, 2 H), 7.56 (t, *J* = 7.6 Hz, 2 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.7, 150.2, 149.2, 139.1, 137.0, 133.1, 132.0, 130.1, 130.0, 129.3, 129.2, 128.7, 127.7, 126.7.



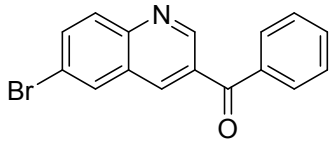
(4-Methoxyphenyl)(quinolin-3-yl)methanone (**6c**).[5] Yield 58%, 46 mg; yellow solid; mp 143-145 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.28 (s, 1 H), 8.53 (s, 1 H), 8.20 (d, *J* = 8.8 Hz, 1 H), 7.95 - 7.82 (m, 4 H), 7.64 (t, *J* = 7.6 Hz, 1 H), 7.02 (d, *J* = 8.8 Hz, 2 H), 3.91 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 193.5, 163.7, 150.3, 149.3, 138.2, 132.6, 131.6, 130.8, 129.7, 129.5, 129.0, 127.5, 126.7, 113.9, 55.6.



(4-Chlorophenyl)(quinolin-3-yl)methanone (**6d**).[3] Yield 51%, 41 mg; white solid; mp 116-118 °C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.30 (d, *J* = 2.4 Hz, 1 H), 8.54 (d, *J* = 2.4 Hz, 1 H), 8.20 (d, *J* = 8.4 Hz, 1 H), 7.93 (d, *J* = 9.6 Hz, 1 H), 7.87 (t, *J* = 8.4 Hz, 1 H), 7.83 (d, *J* = 8.8 Hz, 2 H), 7.66 (d, *J* = 8.0 Hz, 1 H), 7.53 (d, *J* = 8.4 Hz, 2 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 193.6, 150.1, 149.5, 139.6, 138.7, 135.3, 132.0, 131.4, 129.8, 129.5, 129.2, 129.0, 127.7, 126.6.



(6-Methoxyquinolin-3-yl)(phenyl)methanone (**6e**).[3] Yield 56%, 44 mg; yellow solid; mp 110-112 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.16 (s, 1 H), 8.48 (s, 1 H), 8.11 (d, *J* = 9.2 Hz, 1 H), 7.87 (d, *J* = 8.0 Hz, 2 H), 7.67 (t, *J* = 7.2 Hz, 1 H), 7.58 - 7.48 (m, 3 H), 7.17 (s, 1 H), 3.95 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.0, 158.5, 147.8, 145.5, 137.6, 137.1, 133.0, 130.7, 130.4, 130.1, 128.6, 127.9, 124.9, 106.1, 55.7.



(6-Bromoquinolin-3-yl)(phenyl)methanone (**6f**).[3] Yield 55%, 51 mg; yellow solid; mp 159-160 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.30 (d, *J* = 2.0 Hz, 1 H), 8.44 (s, 1 H), 8.06 (d, *J* = 10.4 Hz, 2 H), 7.90 (d, *J* = 8.8 Hz, 1 H), 7.85 (d, *J* = 7.6 Hz, 2 H), 7.67 (t, *J* = 7.2 Hz, 1 H), 7.54 (t, *J* = 7.6 Hz, 2 H); ^{13}C NMR (101 MHz, $CDCl_3$): δ 194.4, 150.6, 148.0, 137.6, 136.7, 135.2, 133.3, 131.2, 131.0, 130.8, 130.0, 128.7, 127.8, 121.6.

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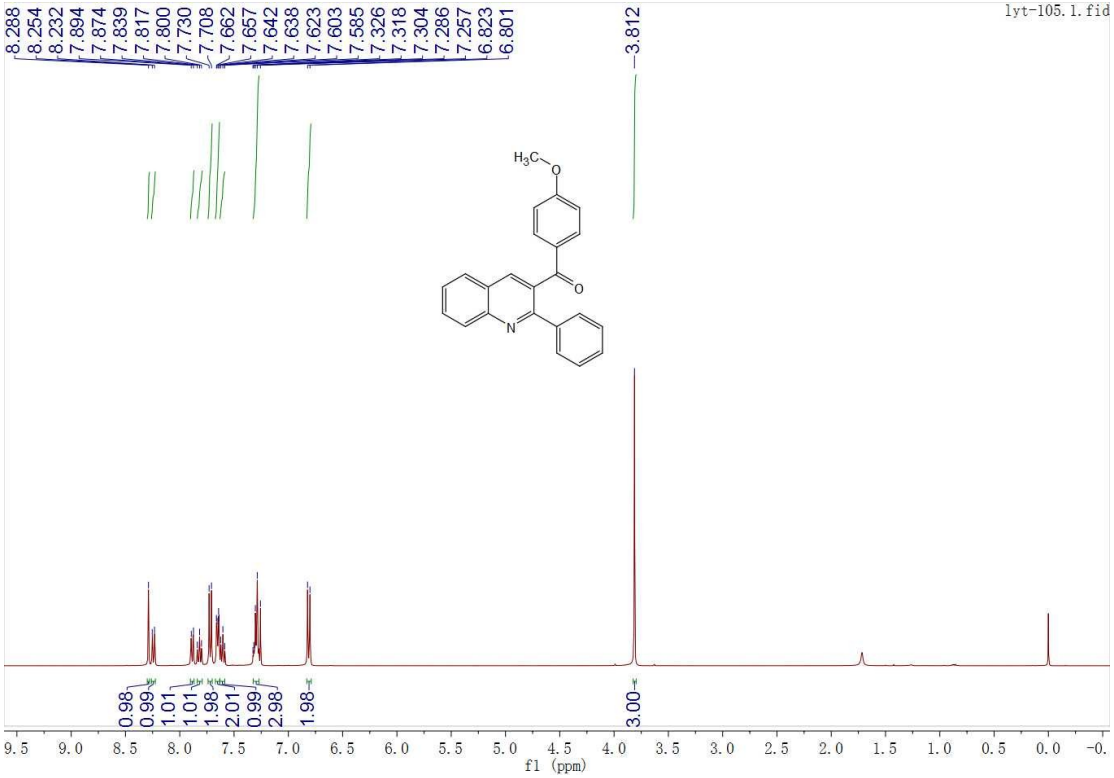
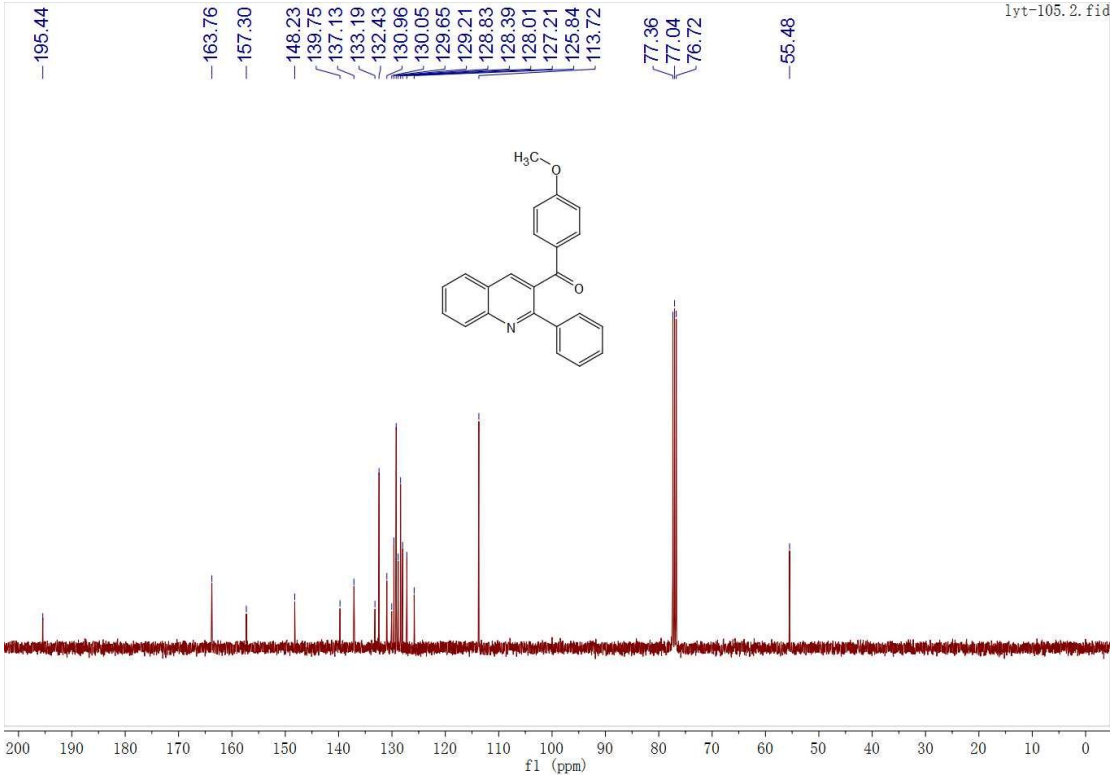
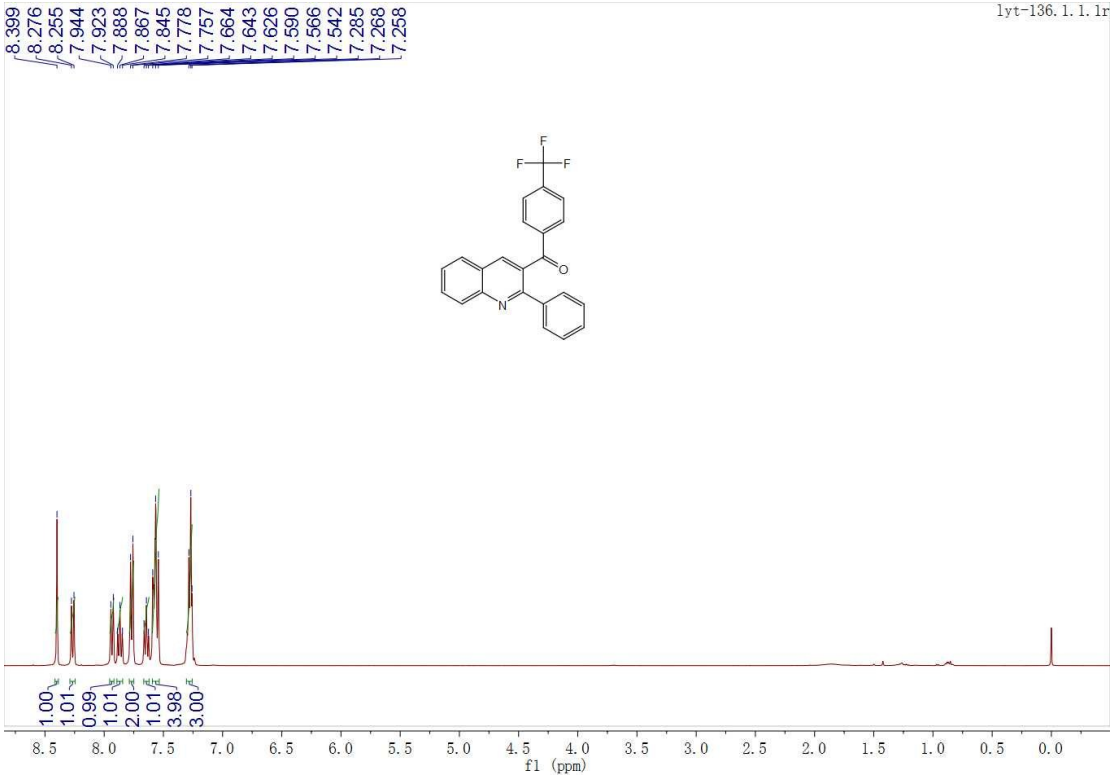
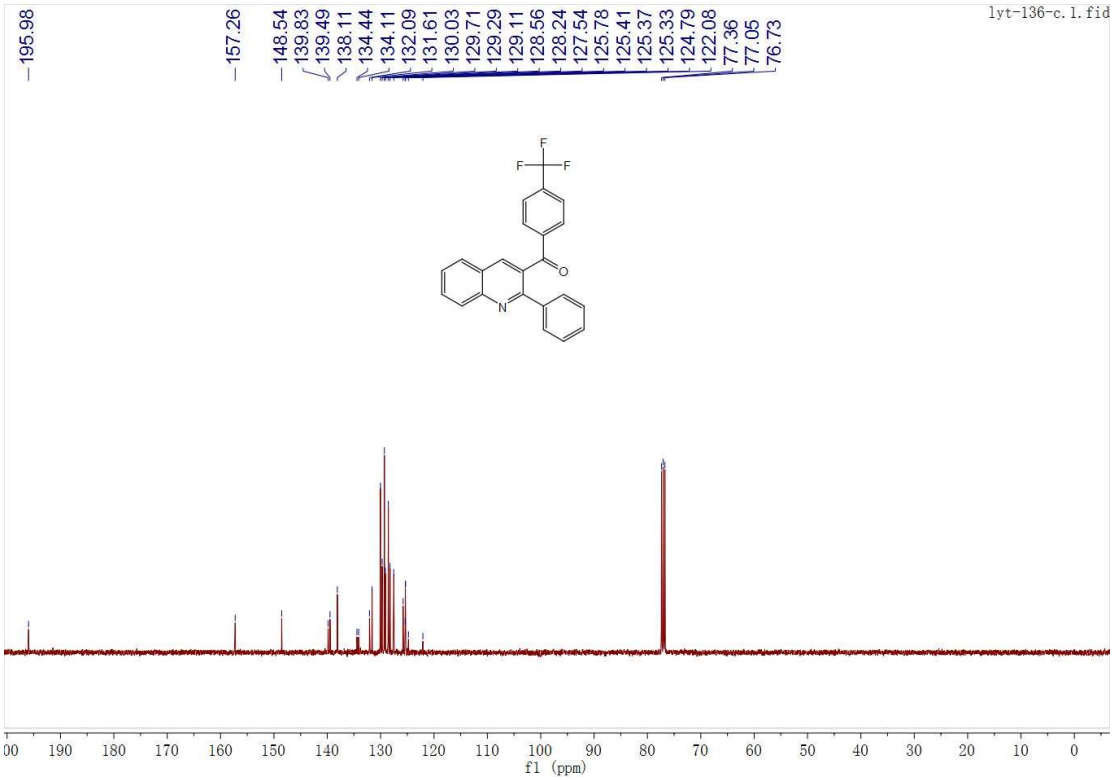
^{1}H and ^{13}C NMR of **4a**



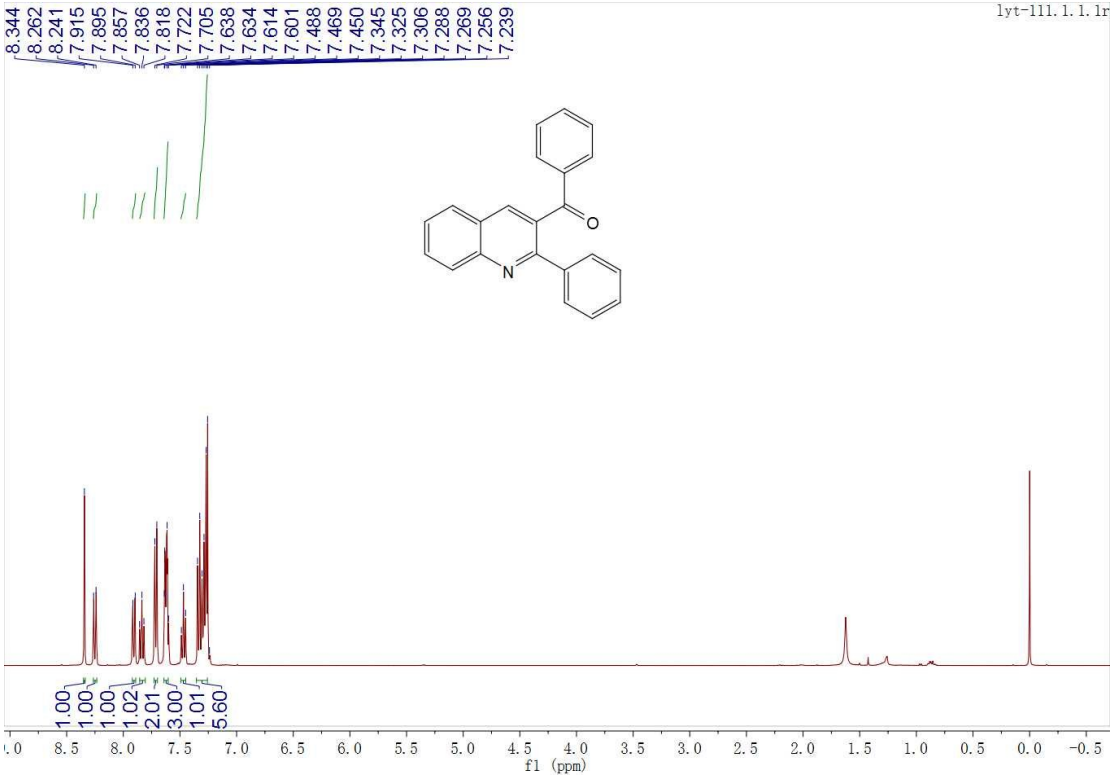


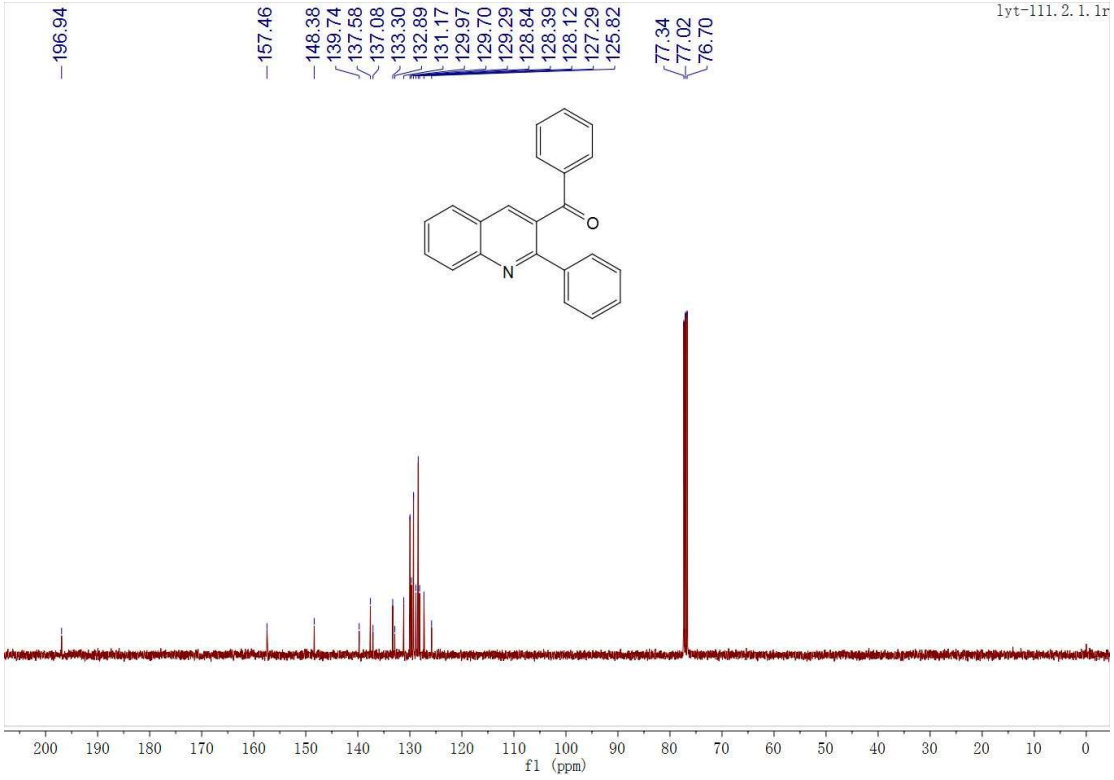
^{1}H and ^{13}C NMR of **4b**



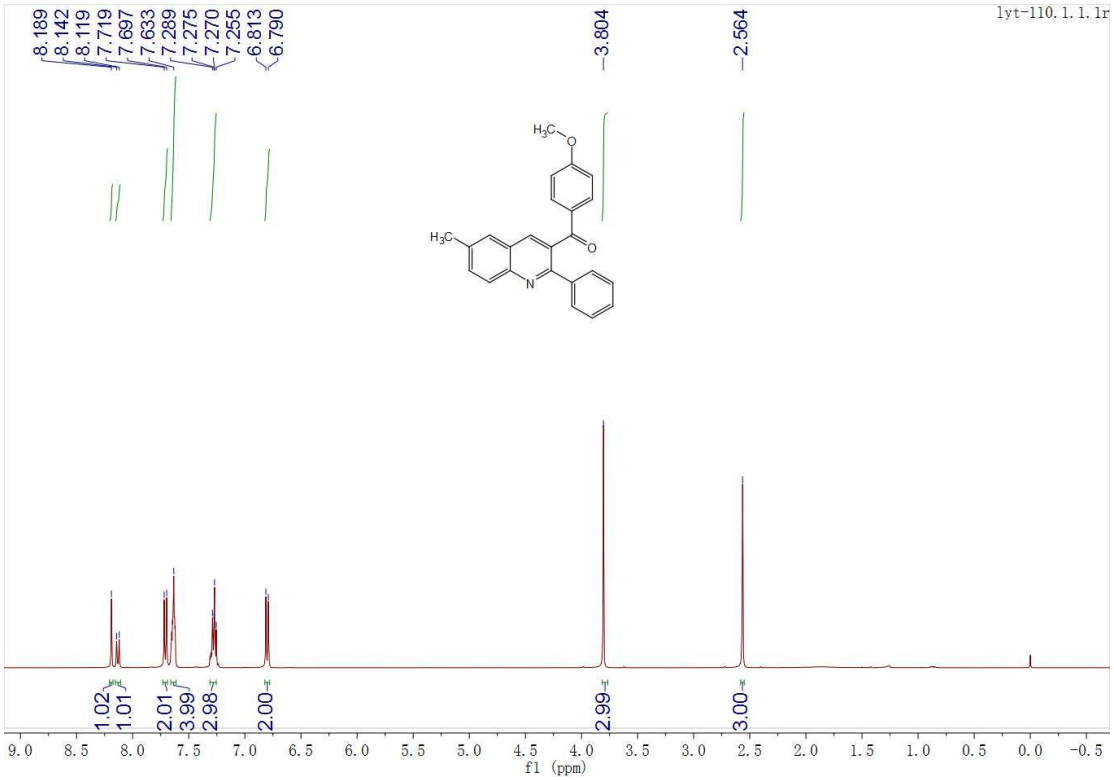


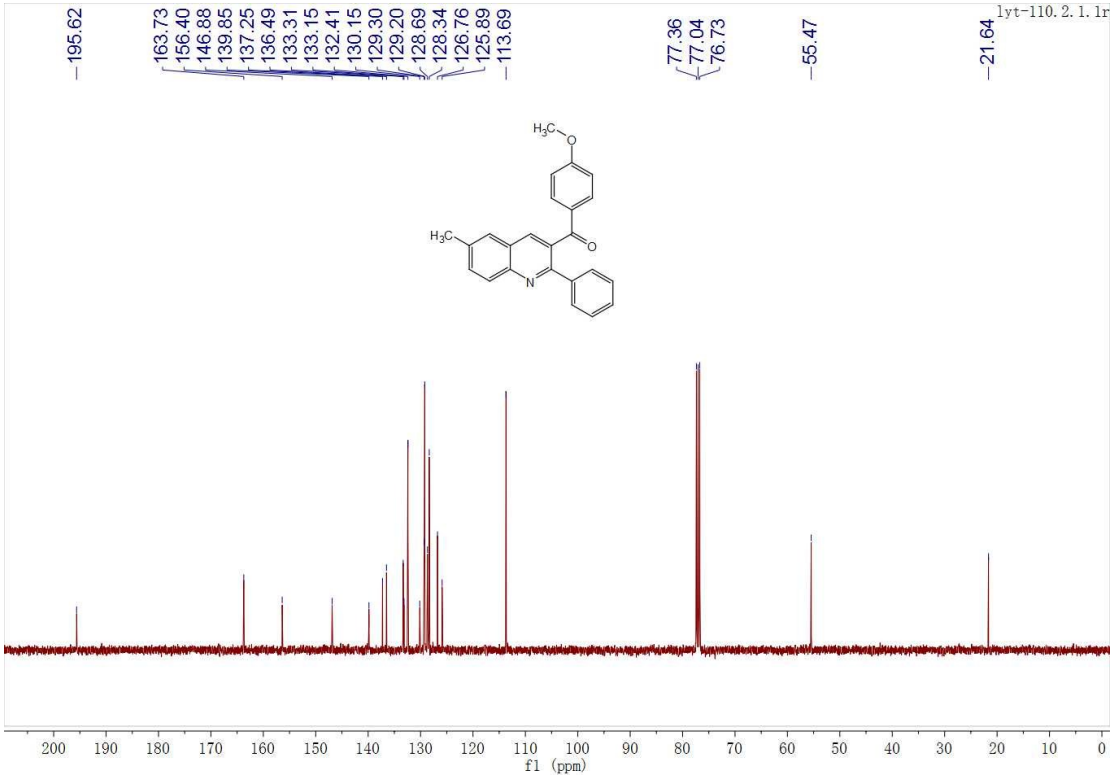
^{1}H and ^{13}C NMR of **4c**





^{1}H and ^{13}C NMR of **4d**





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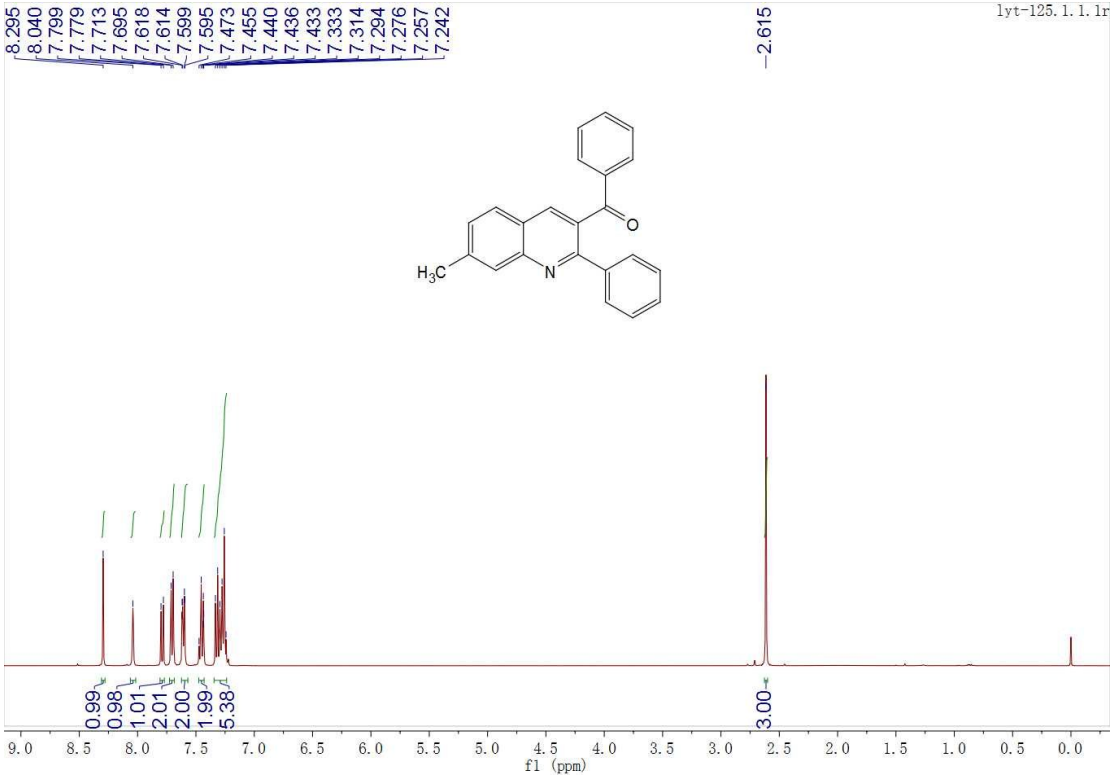
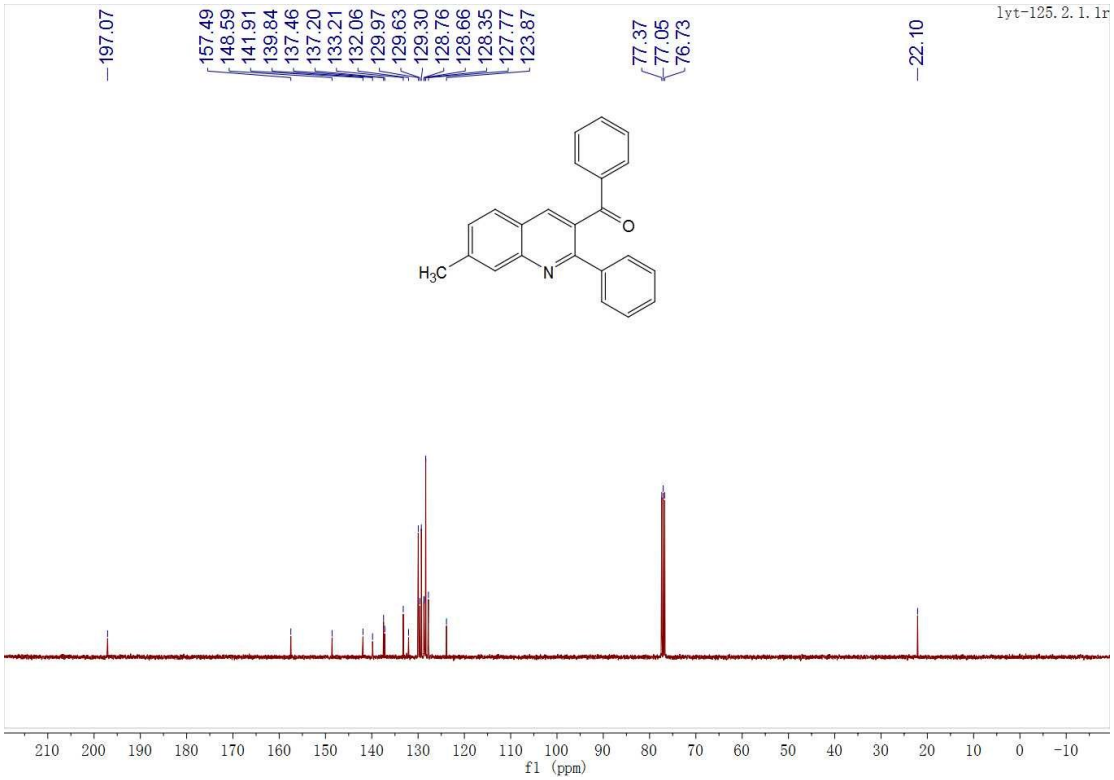
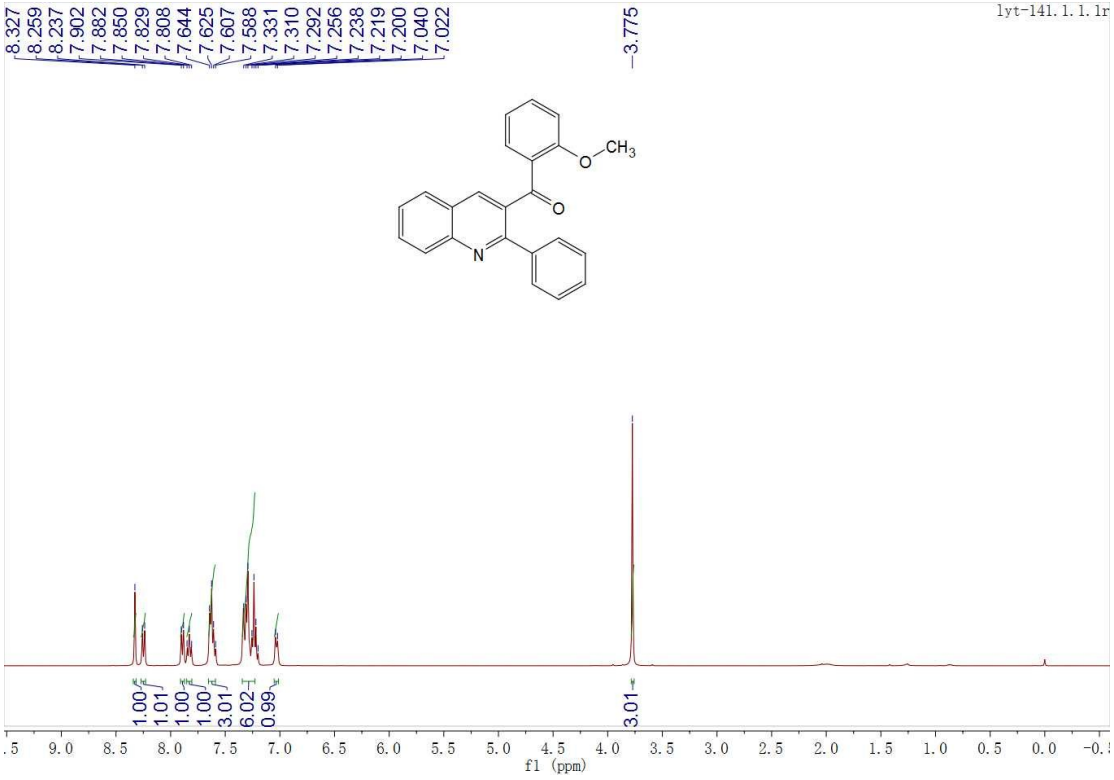
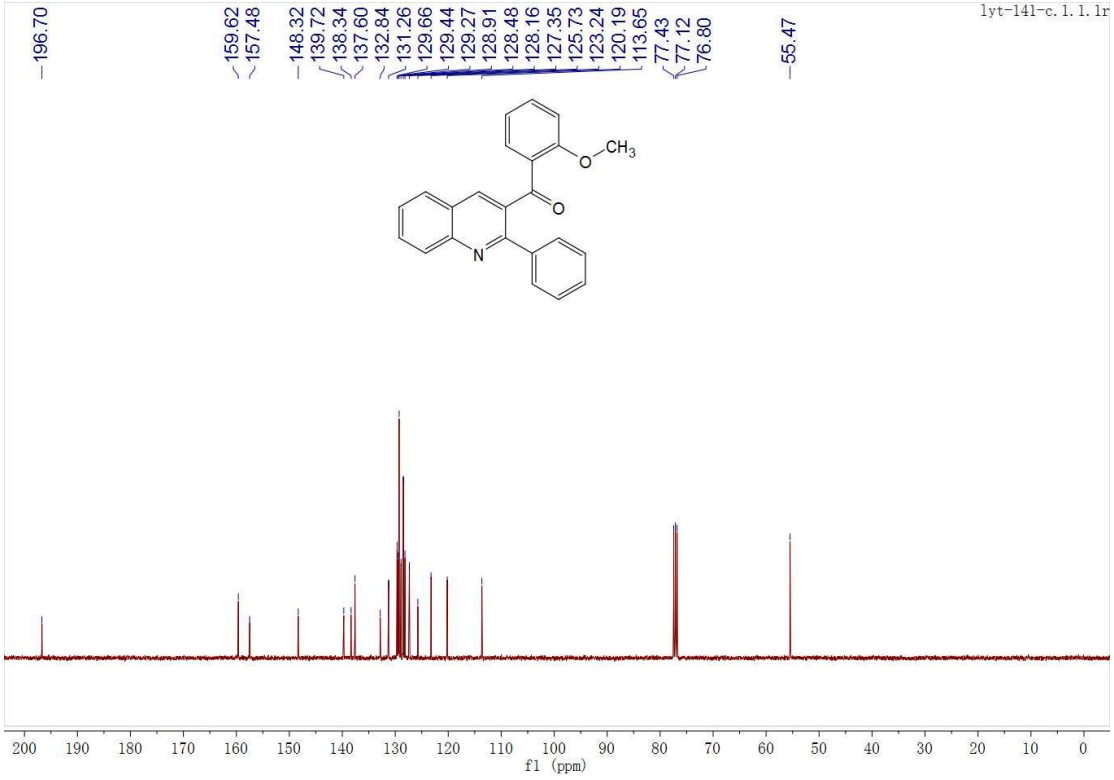


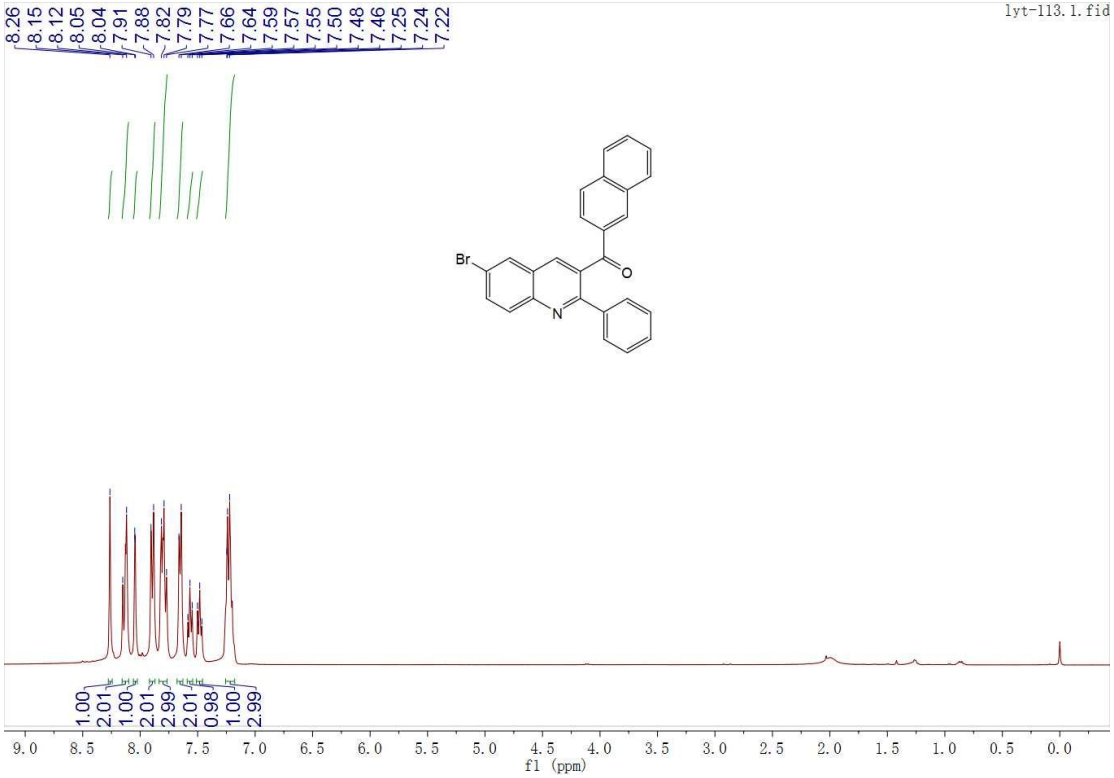


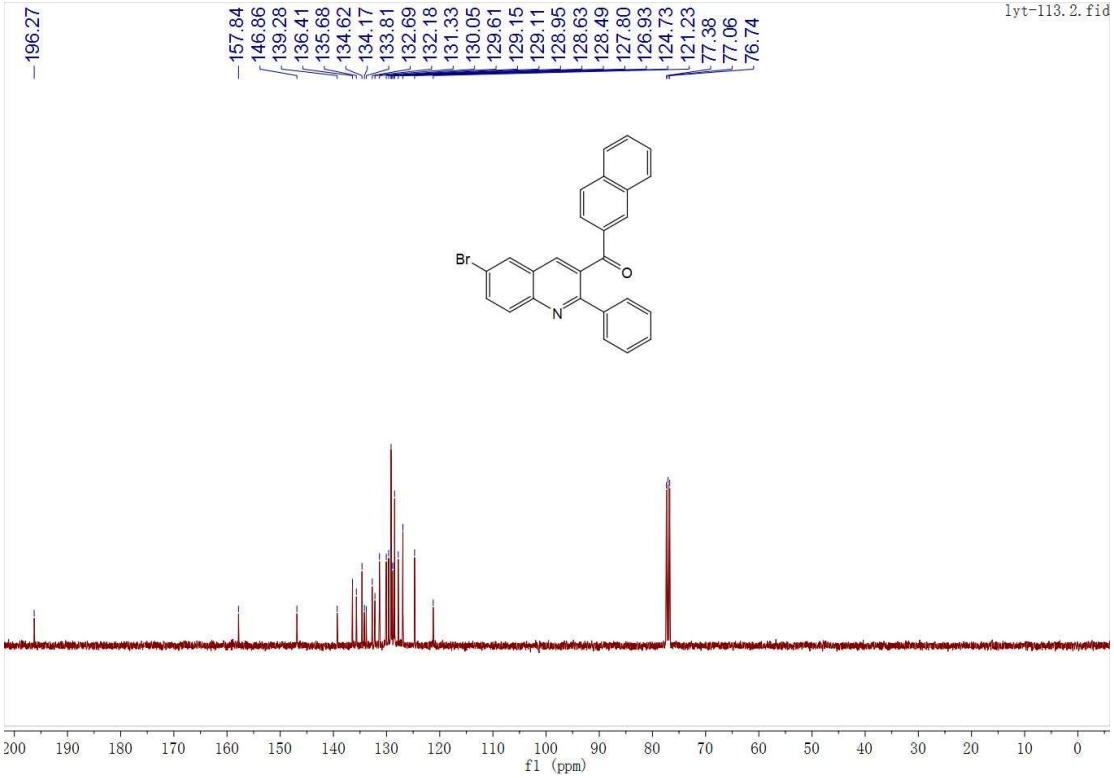
^{1}H and ^{13}C NMR of **4f**



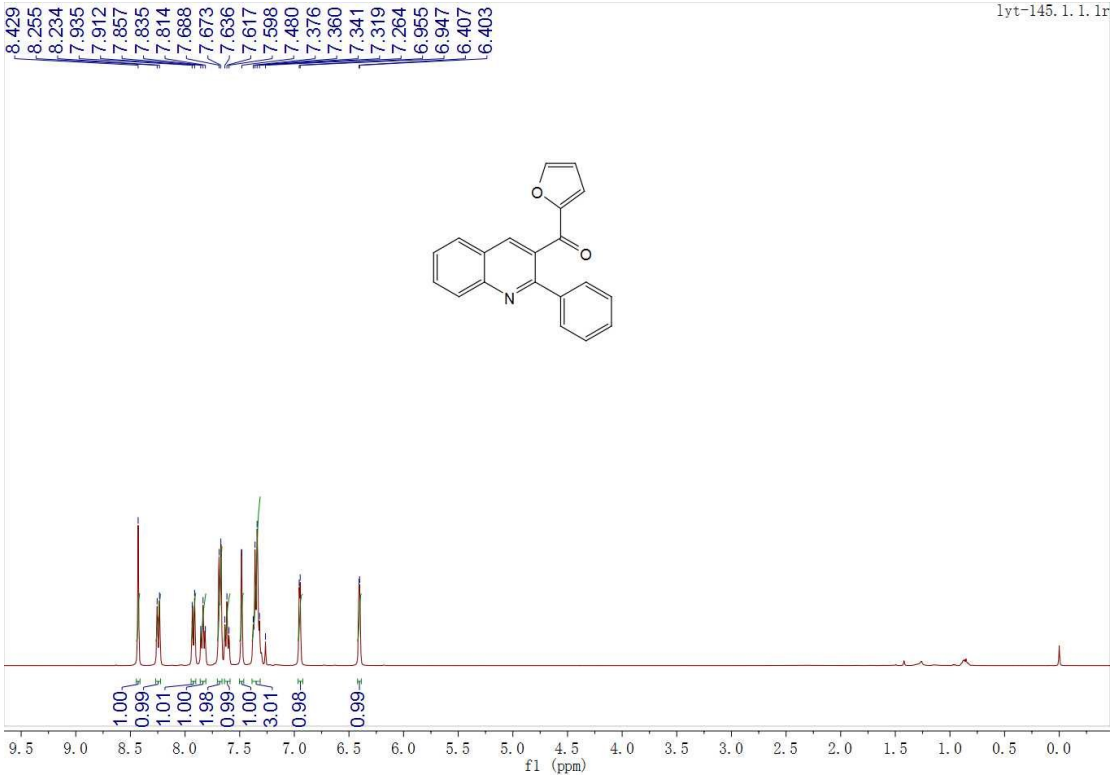


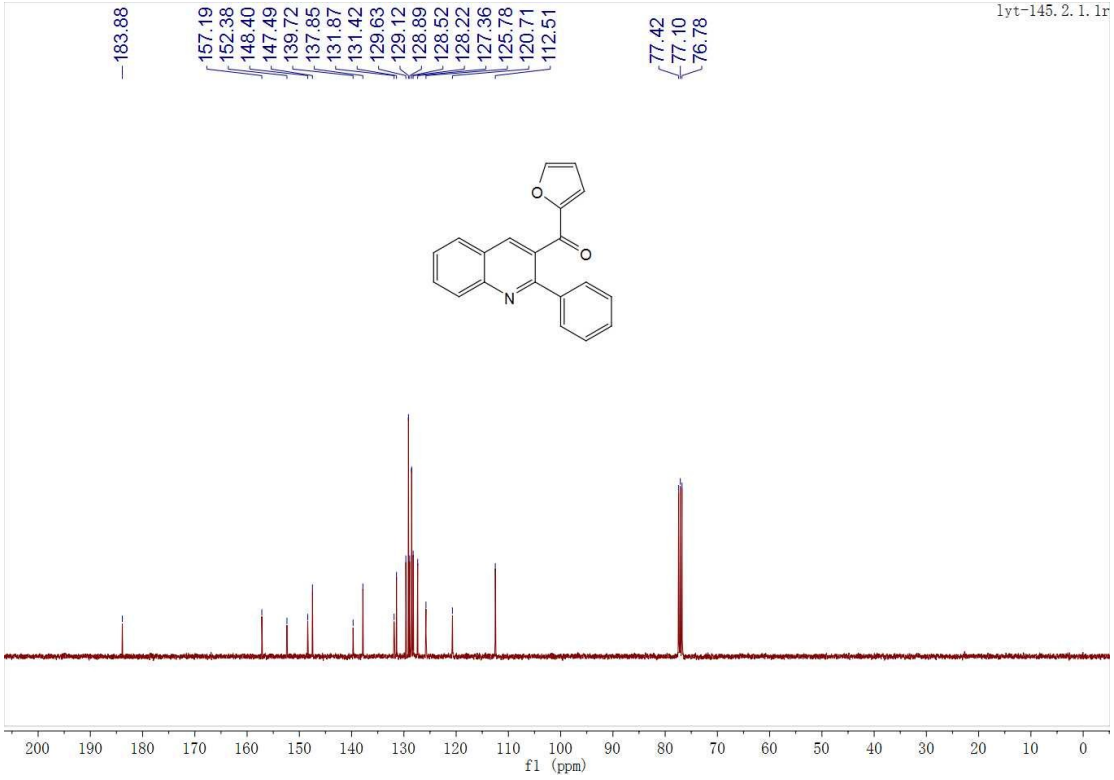
^{1}H and ^{13}C NMR of **4g**



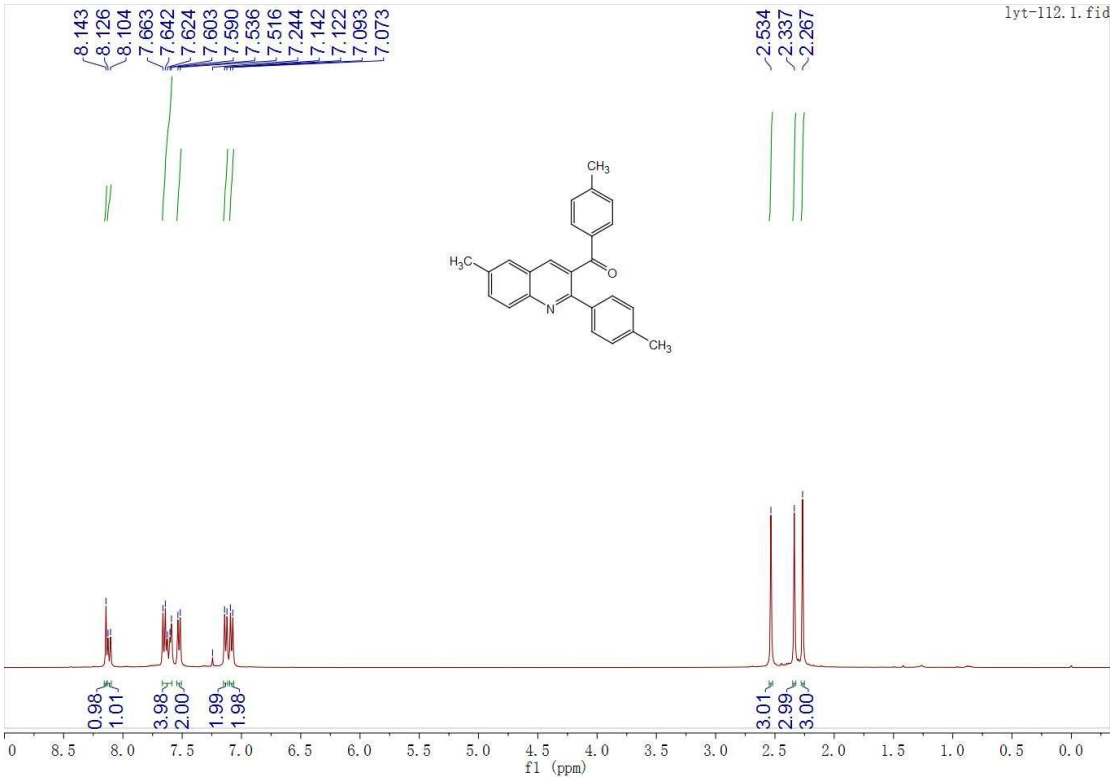


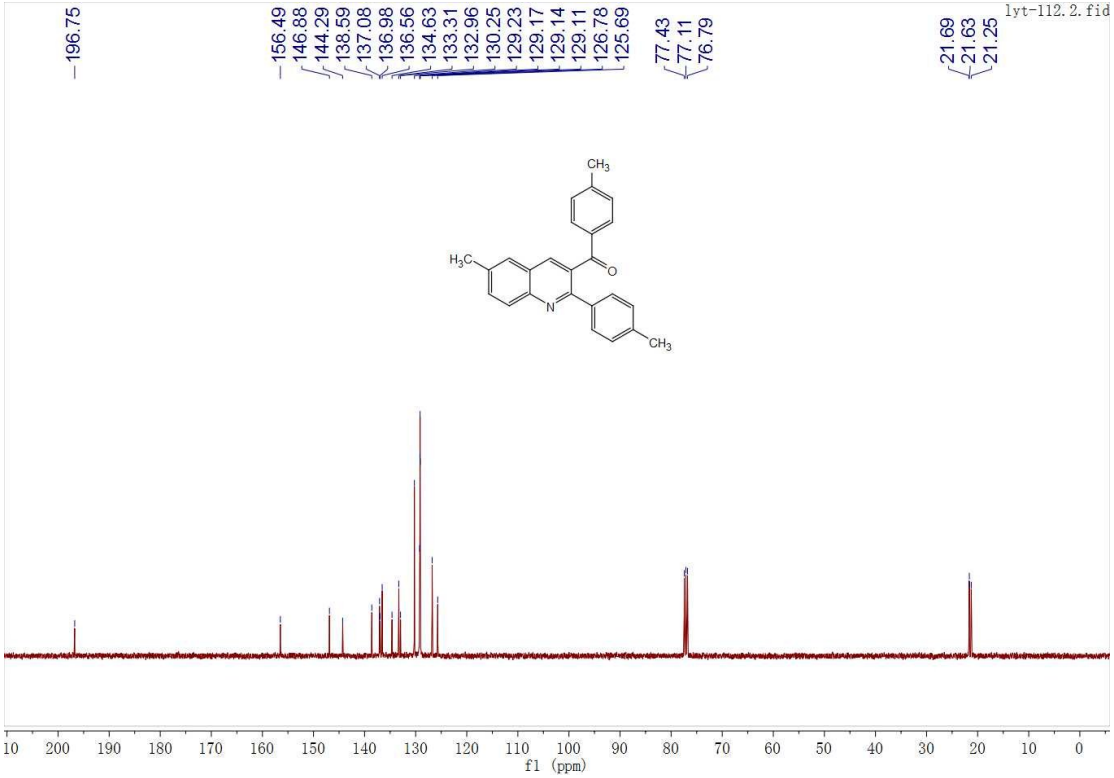
^{1}H and ^{13}C NMR of **4h**



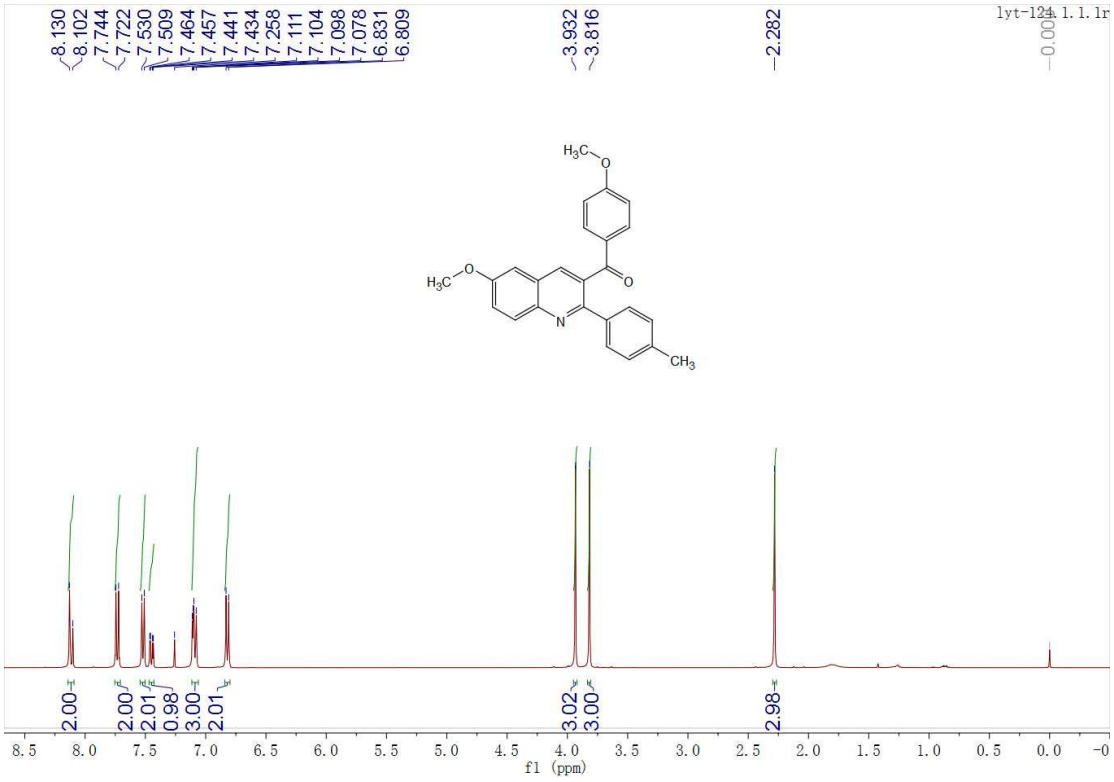


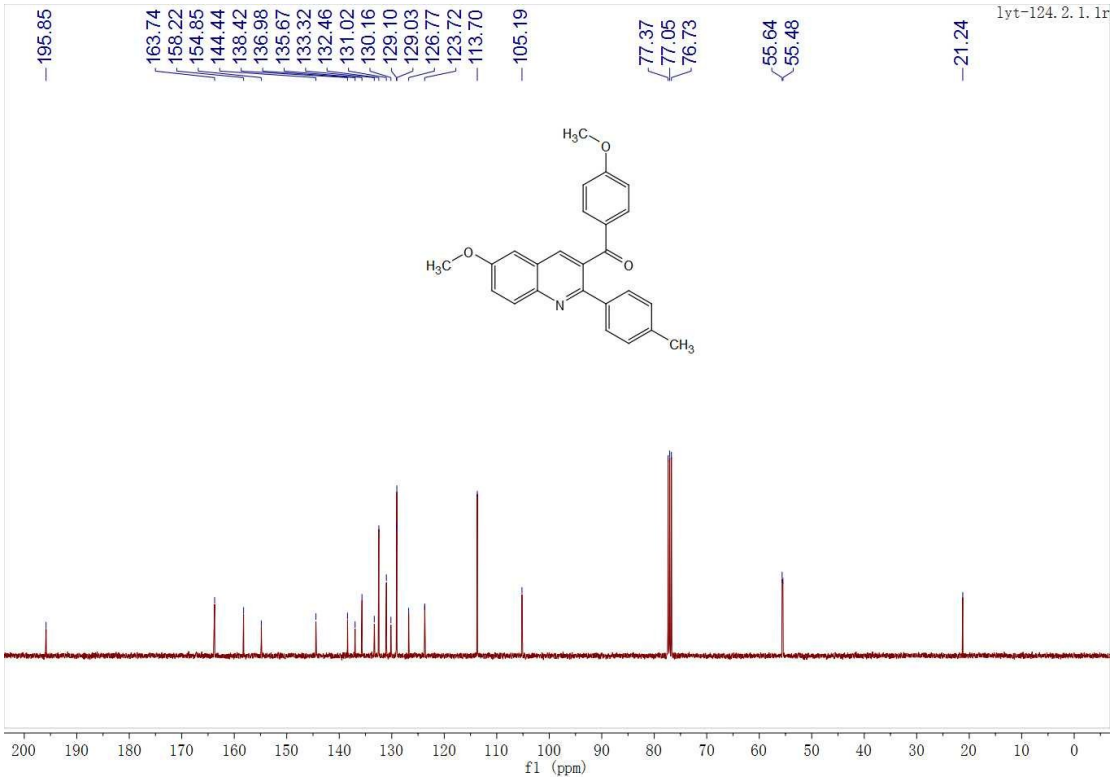
^{1}H and ^{13}C NMR of **4i**



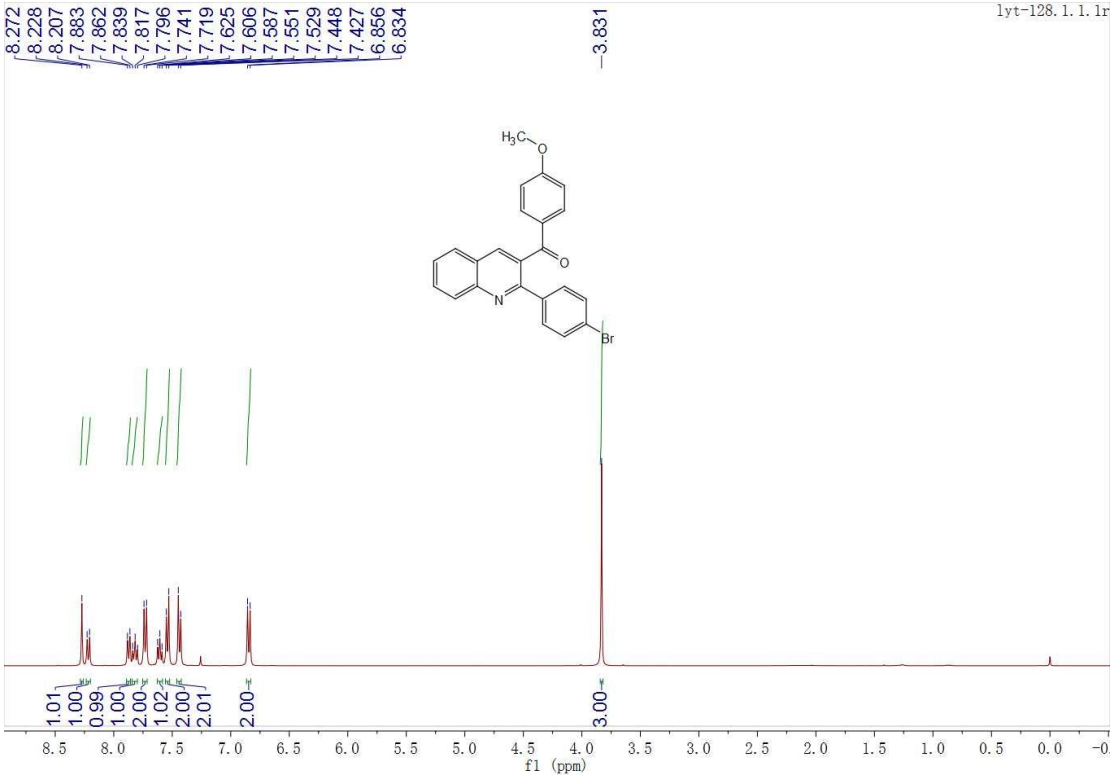


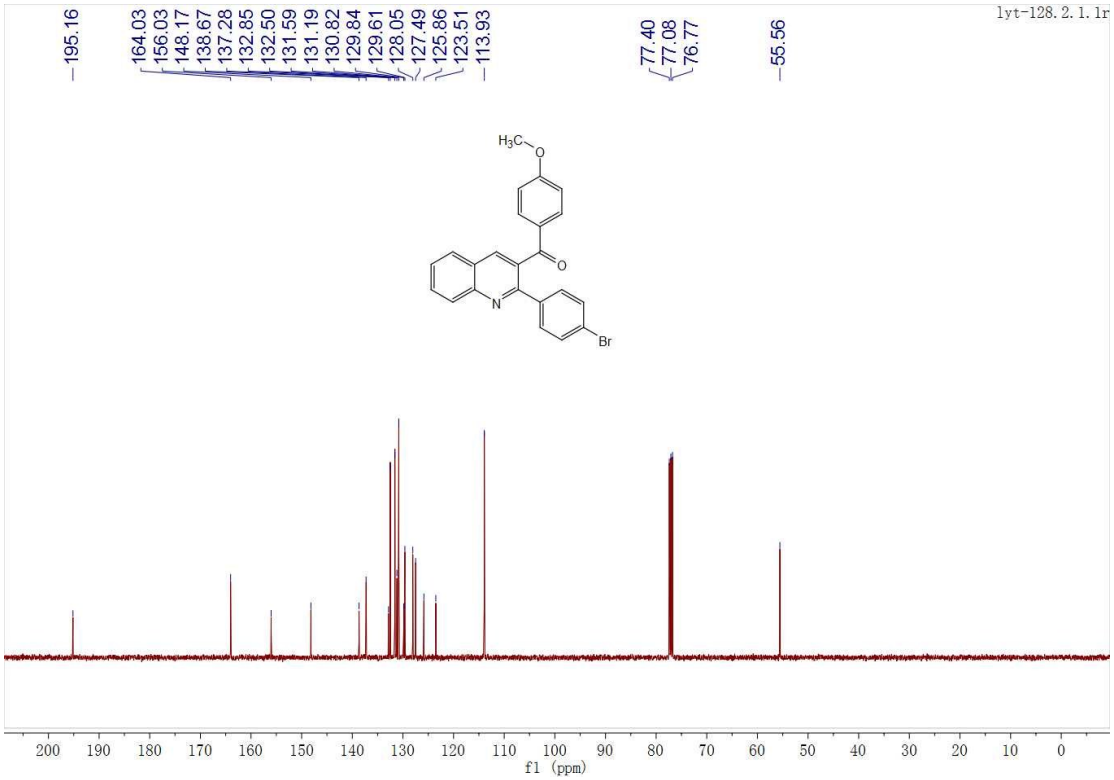
^{1}H and ^{13}C NMR of **4j**



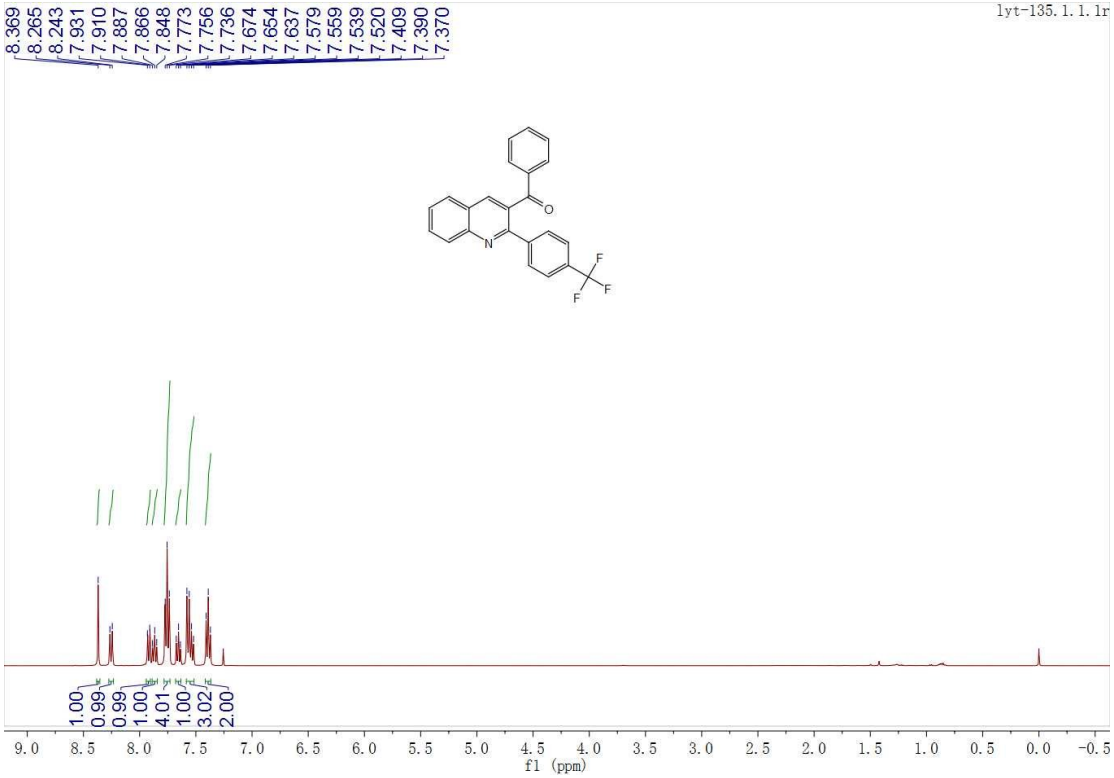


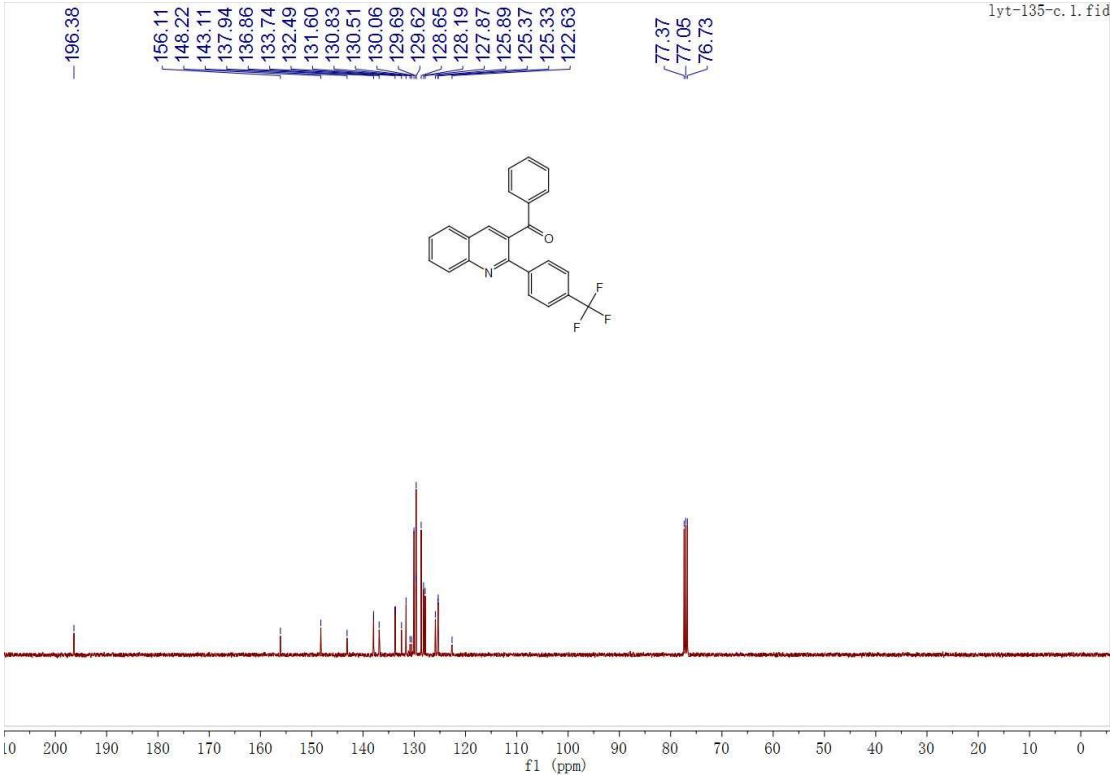
^{1}H and ^{13}C NMR of **4k**





^{1}H and ^{13}C NMR of **4l**





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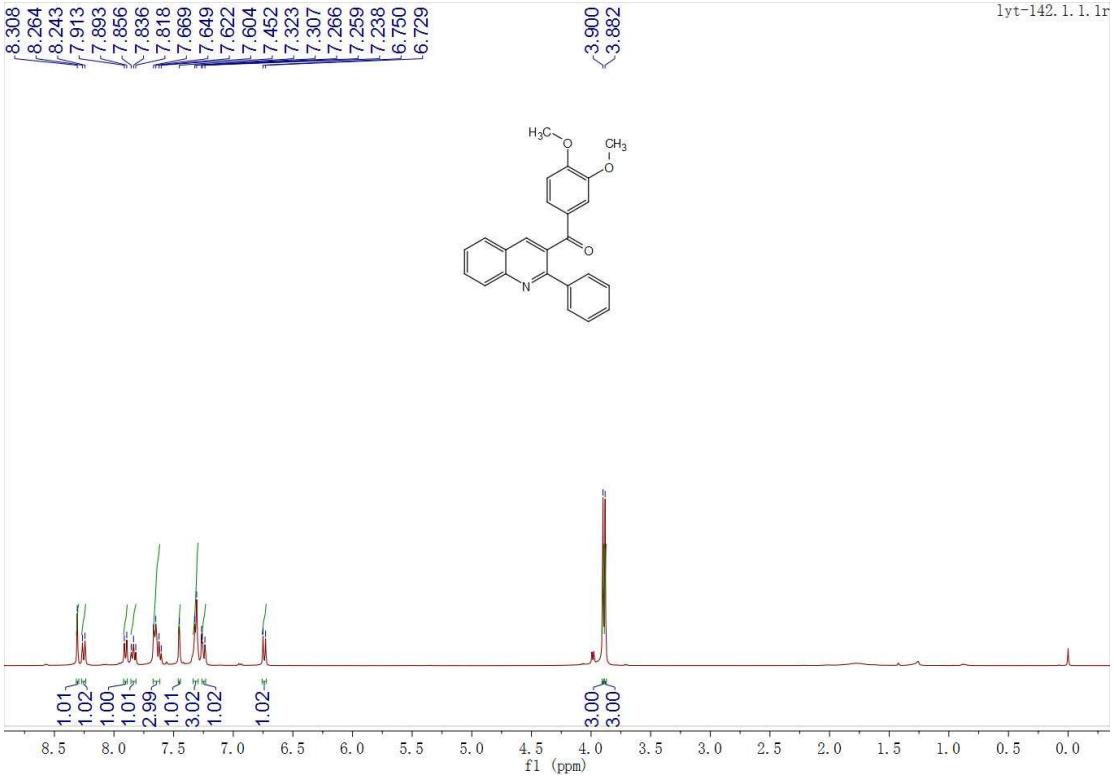
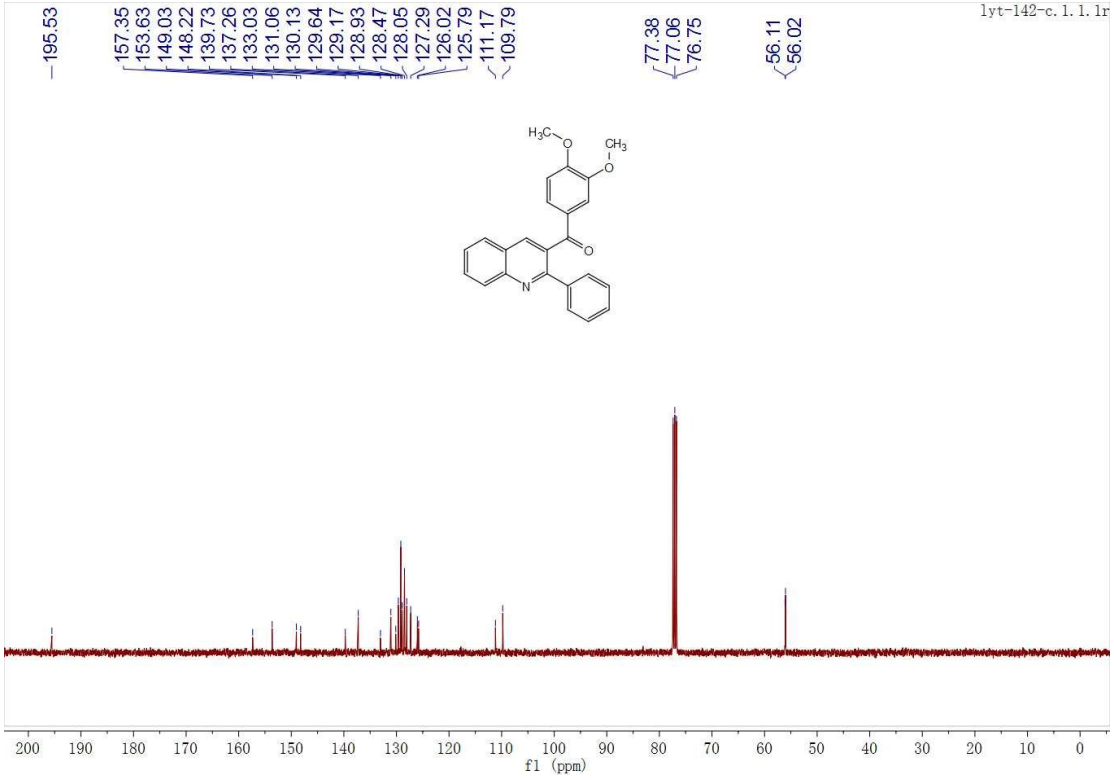
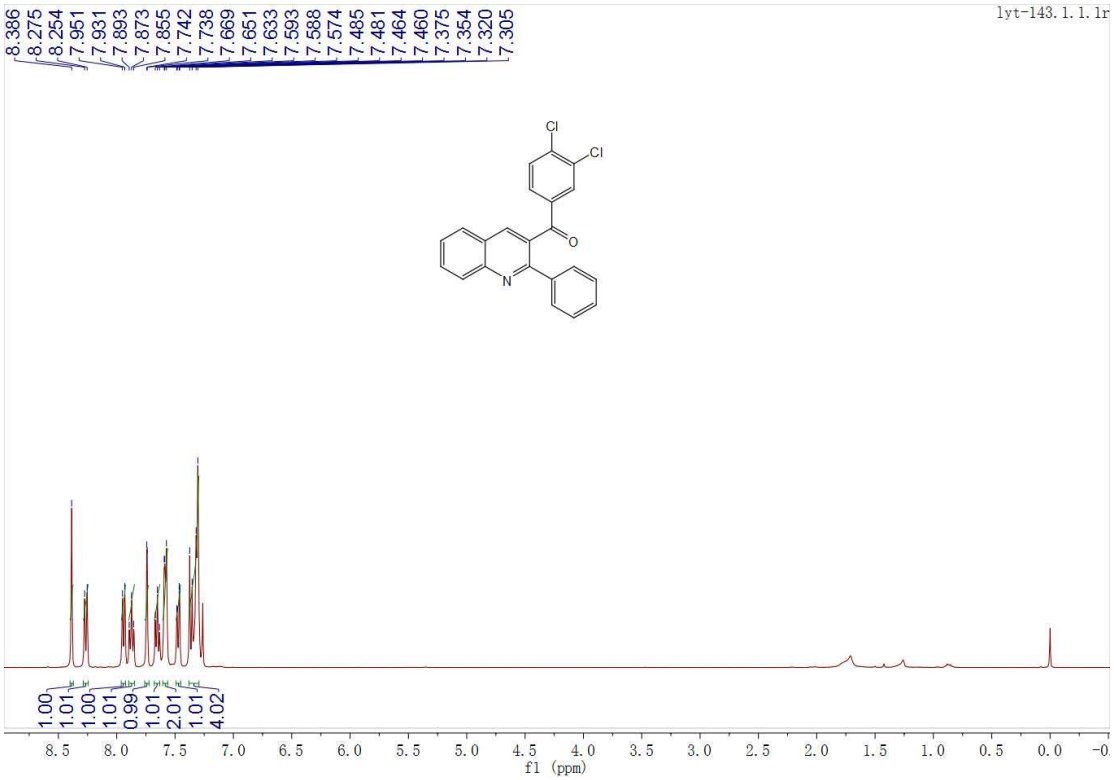
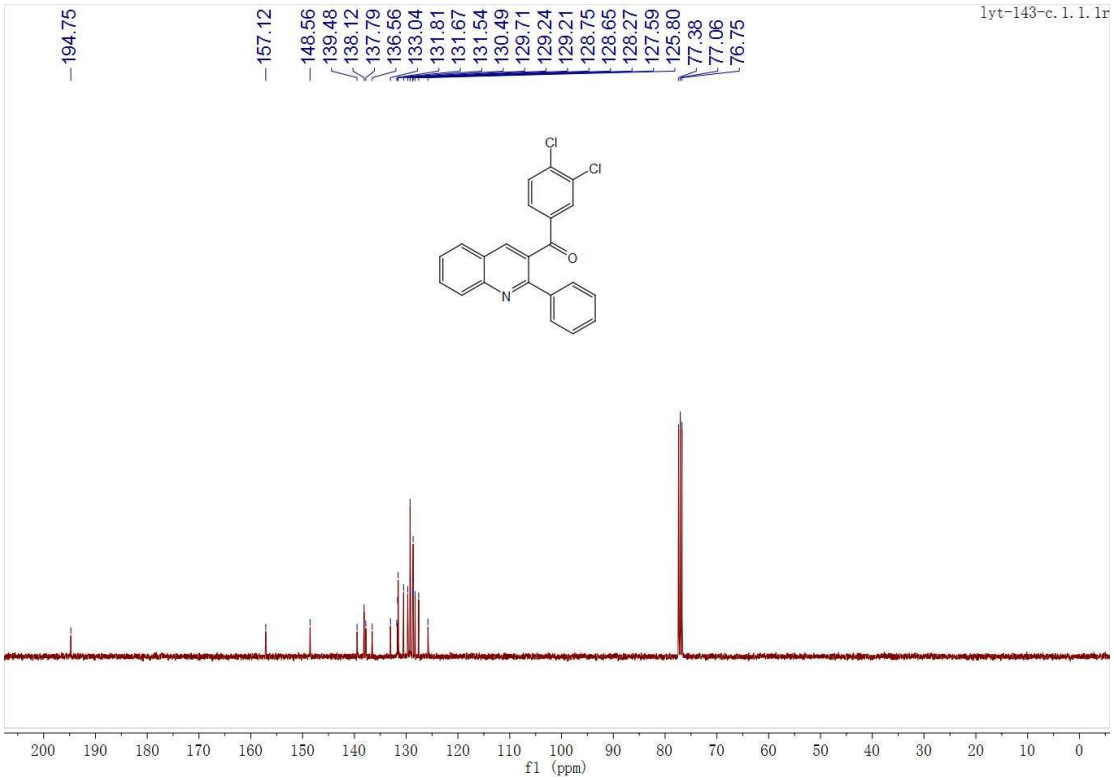


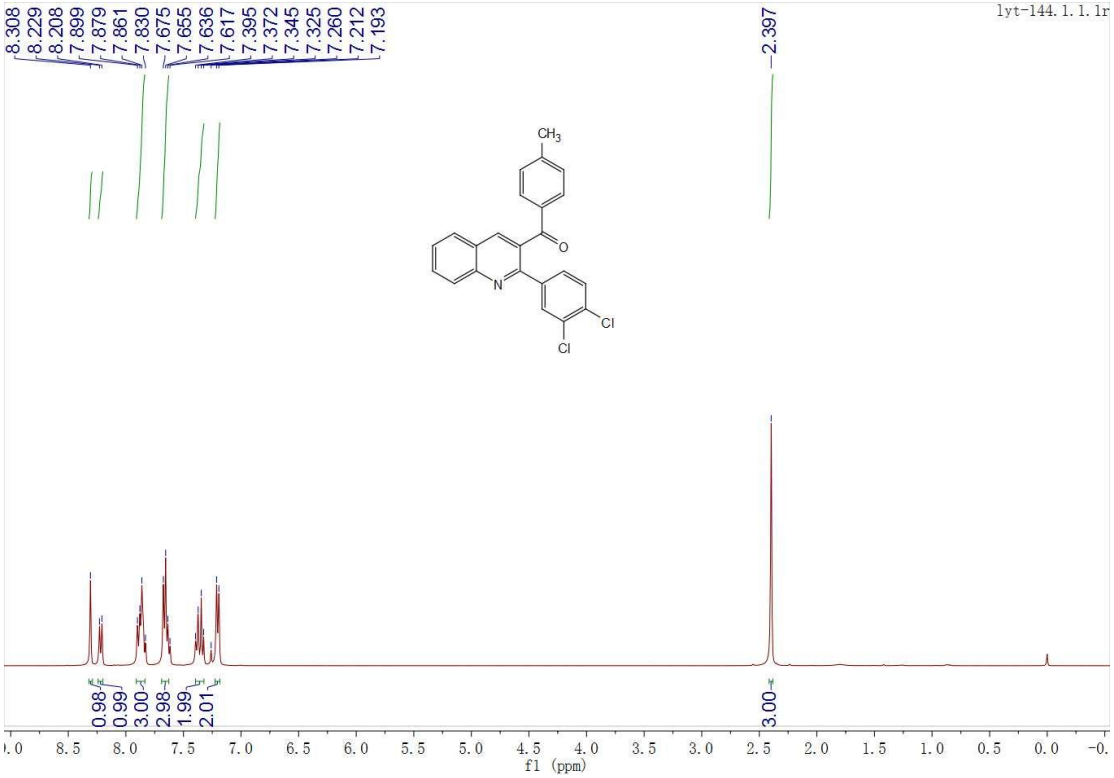


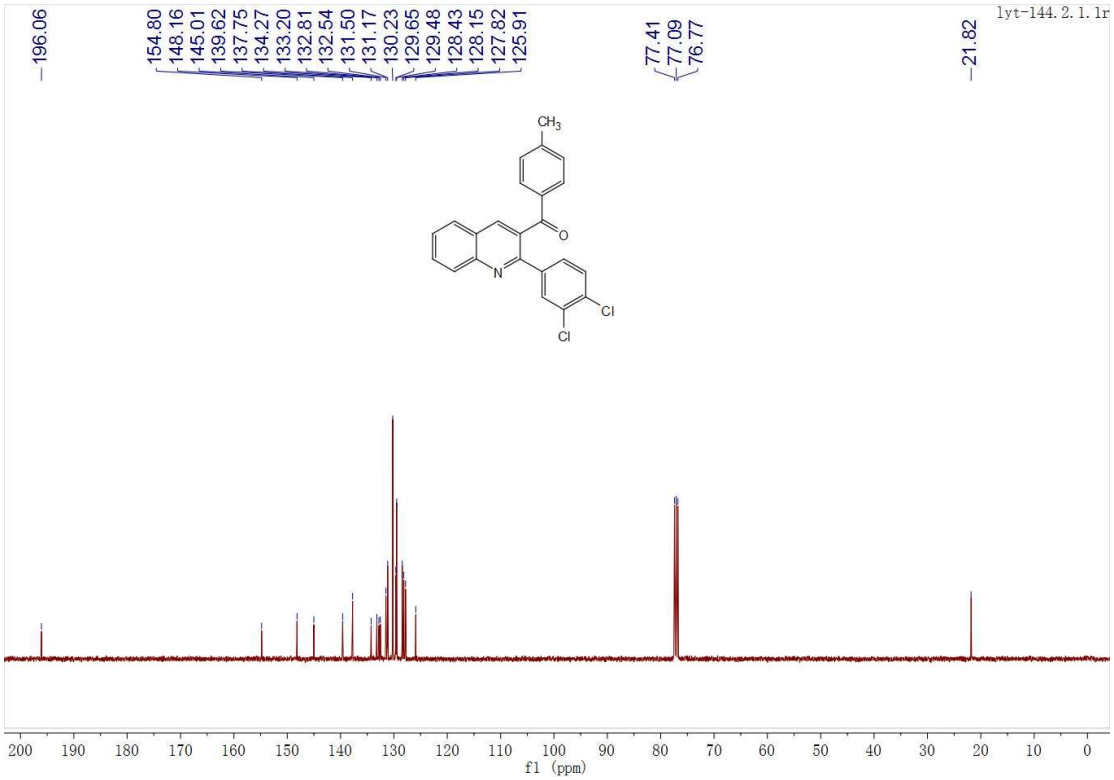
^{1}H and ^{13}C NMR of **4n**



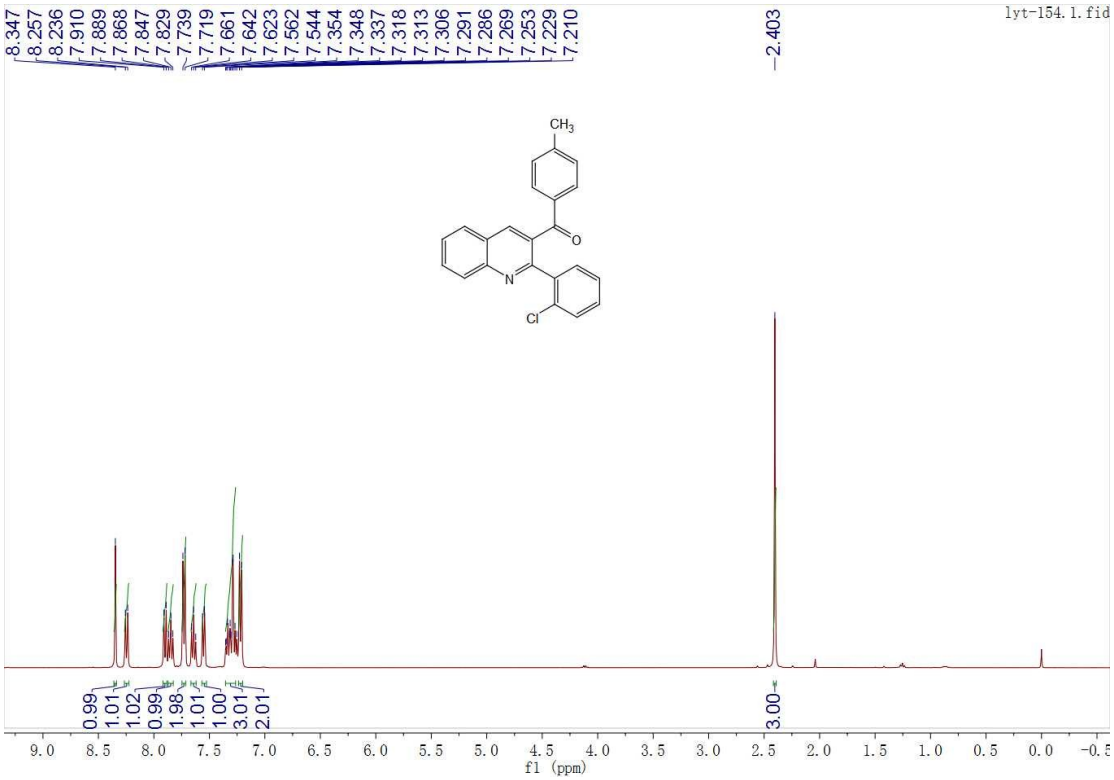


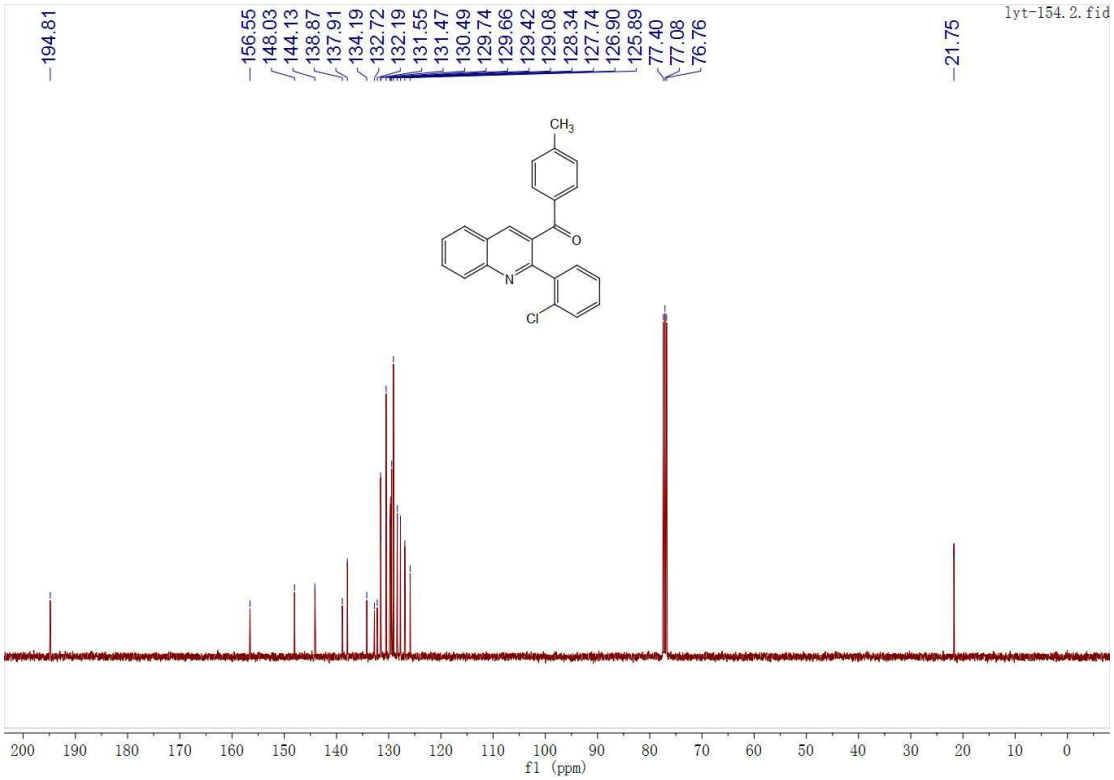
^{1}H and ^{13}C NMR of **4o**



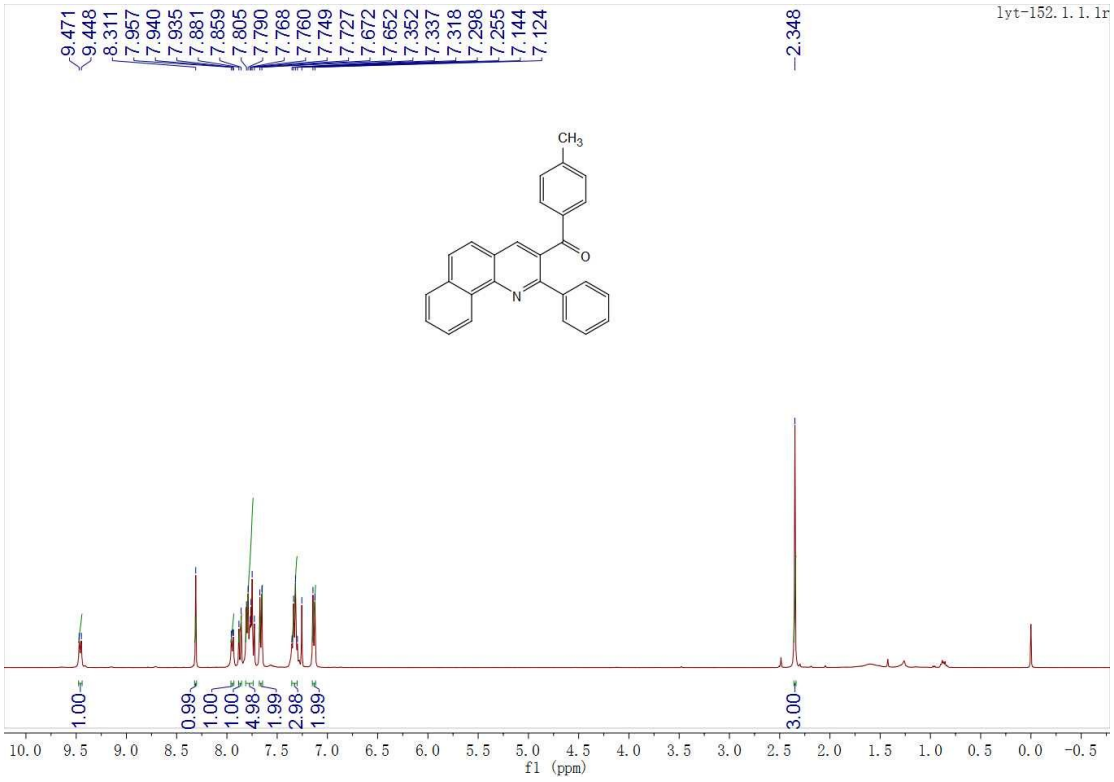


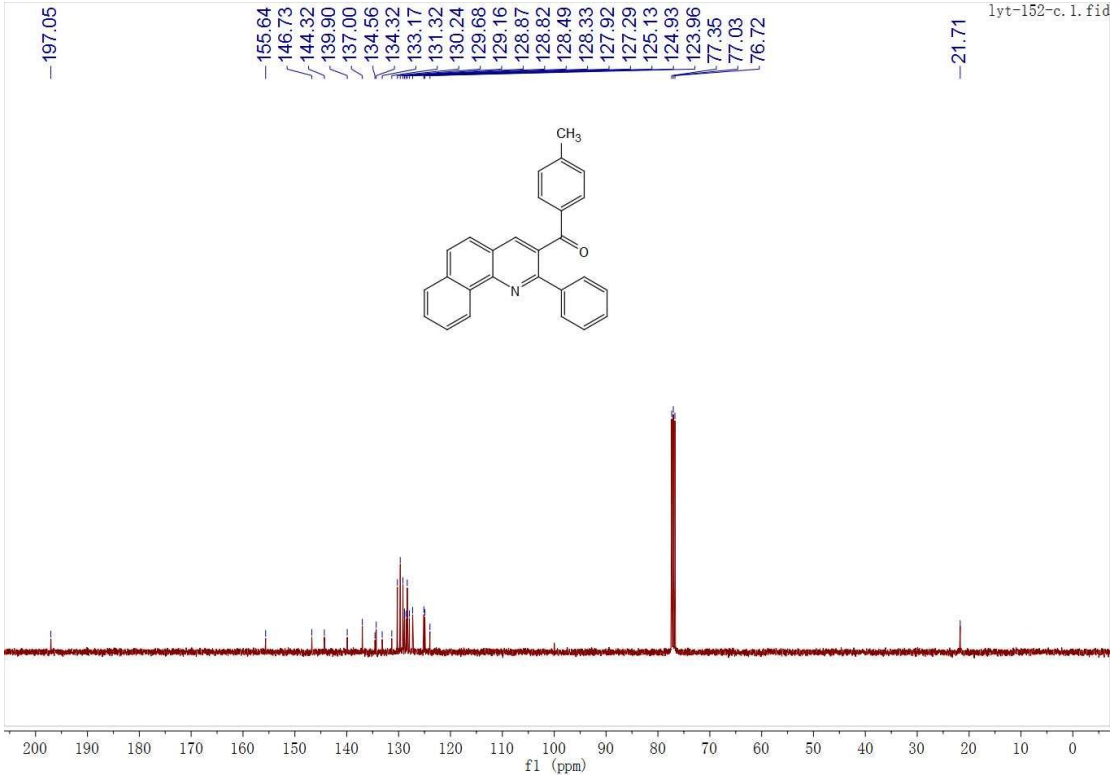
^{1}H and ^{13}C NMR of **4p**



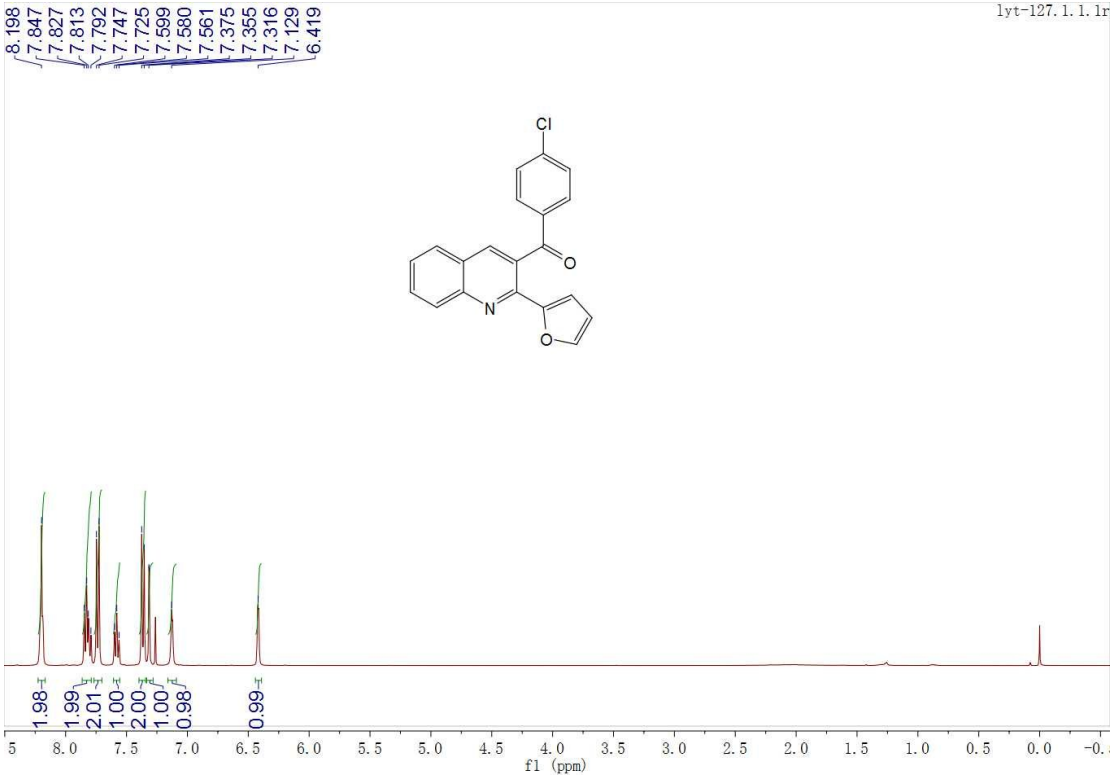


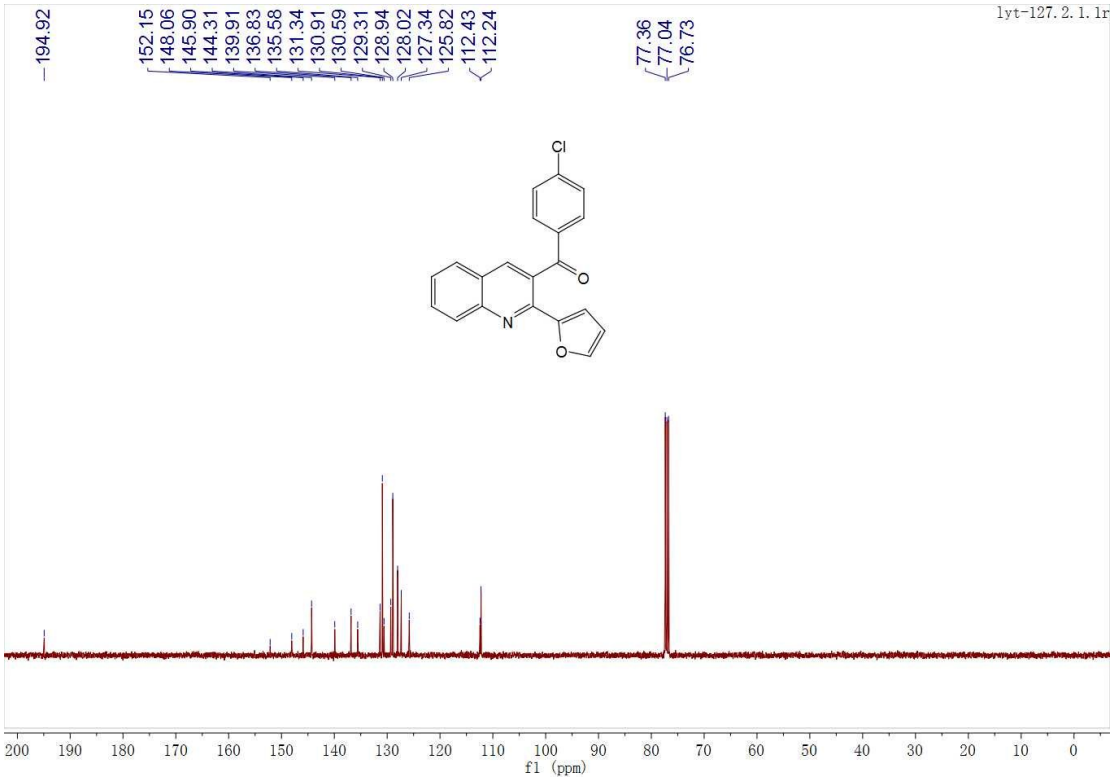
^{1}H and ^{13}C NMR of **4q**



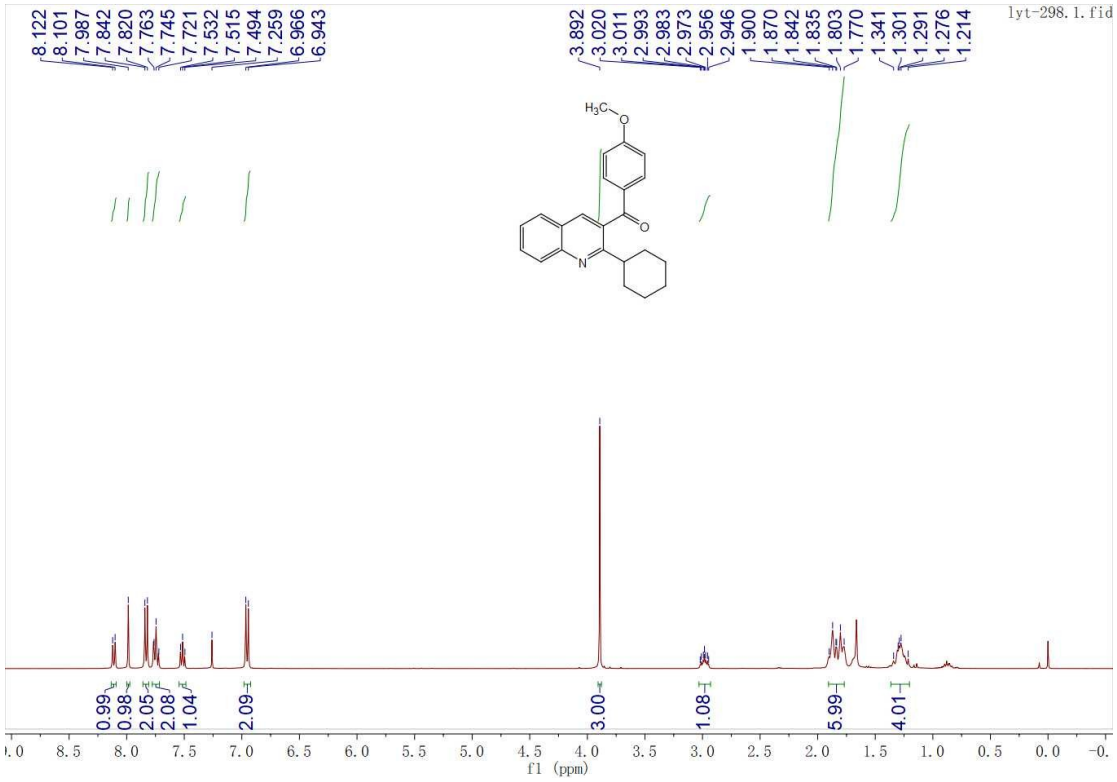


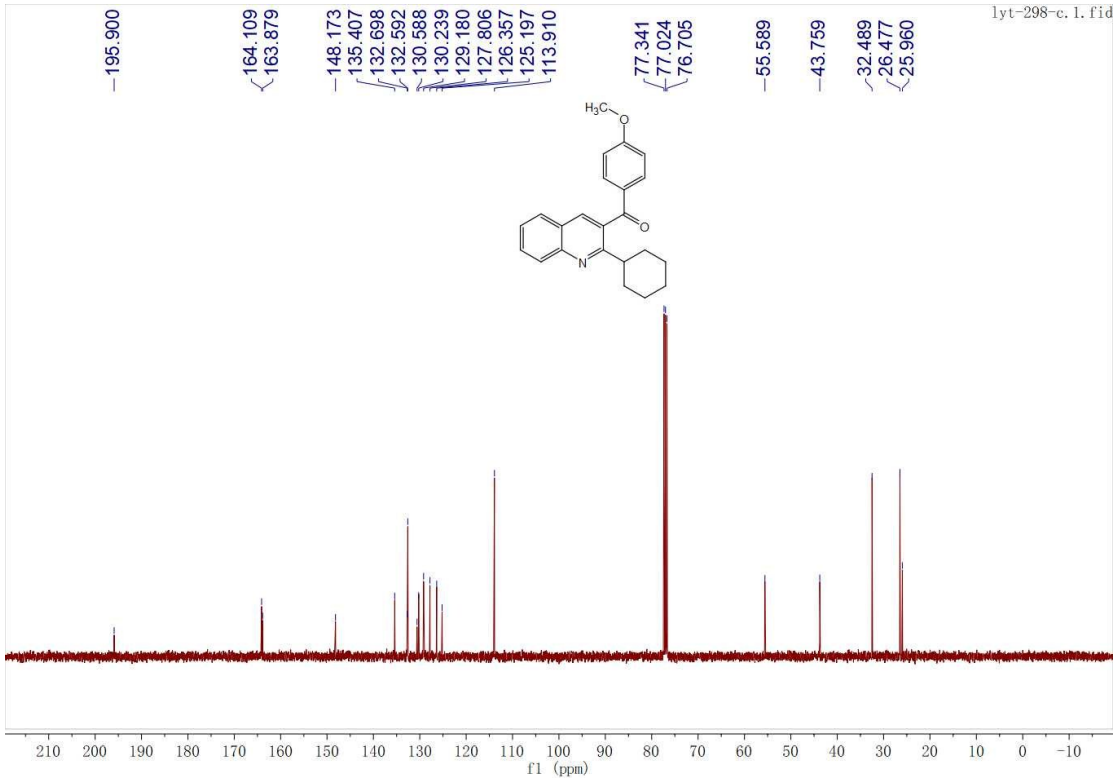
^{1}H and ^{13}C NMR of **4r**



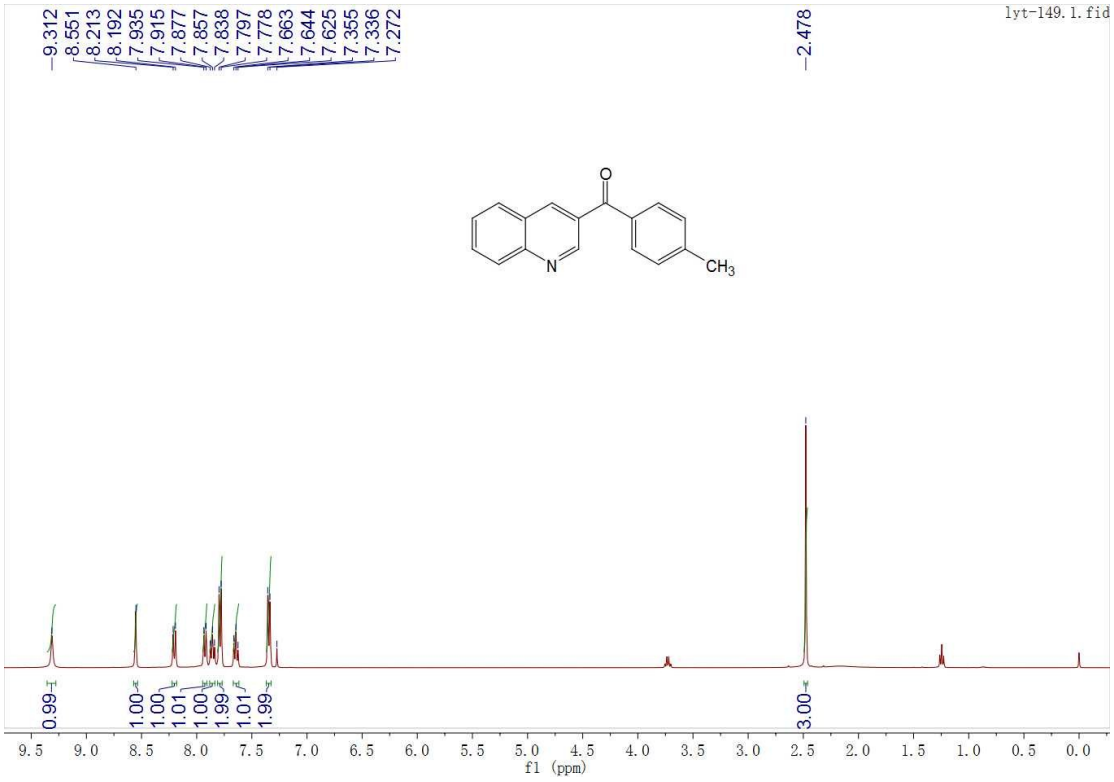


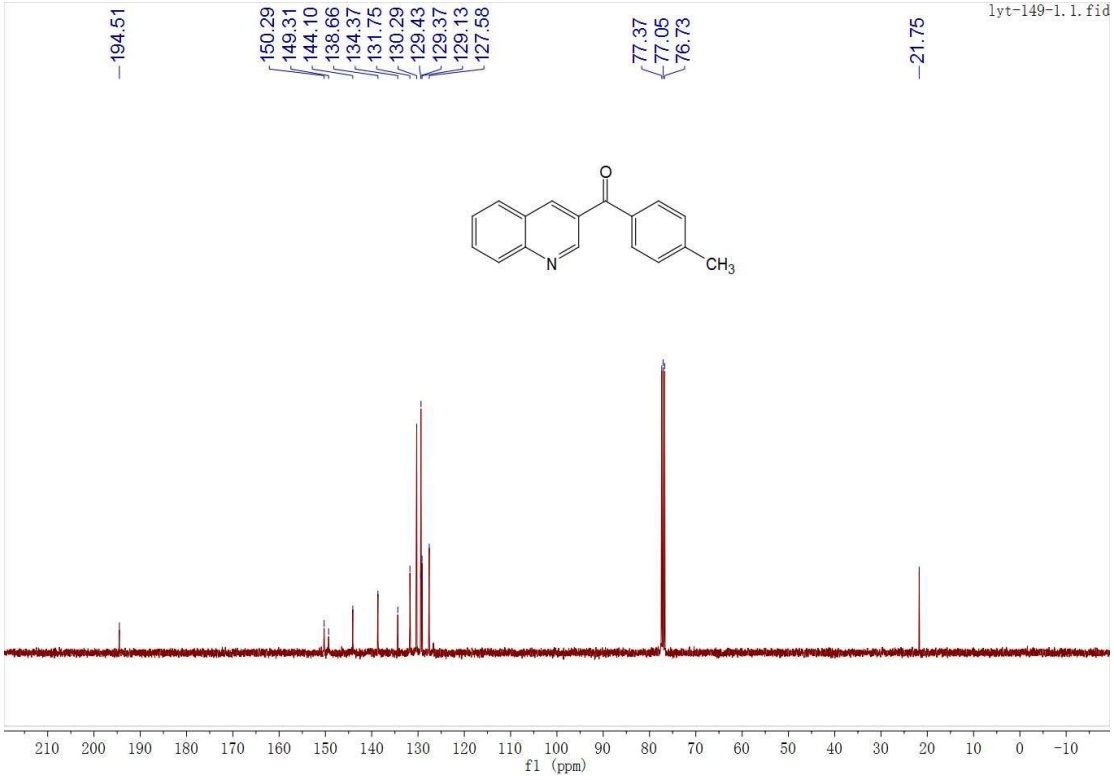
^{1}H and ^{13}C NMR of **4r**



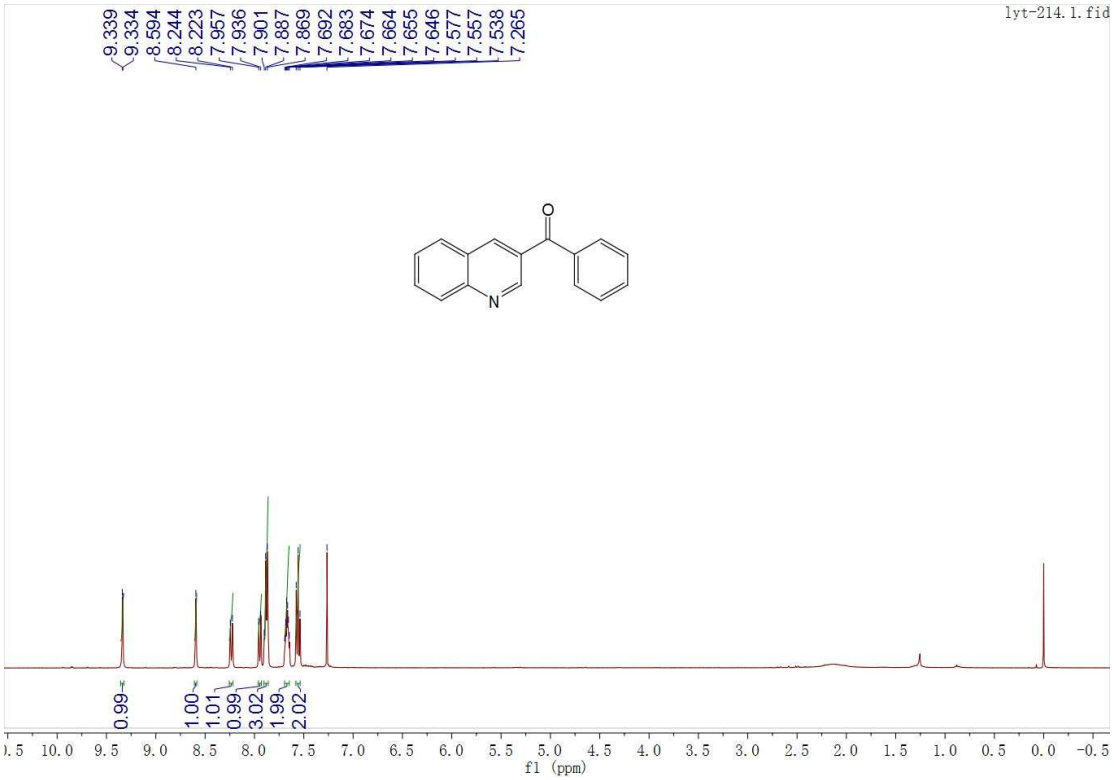


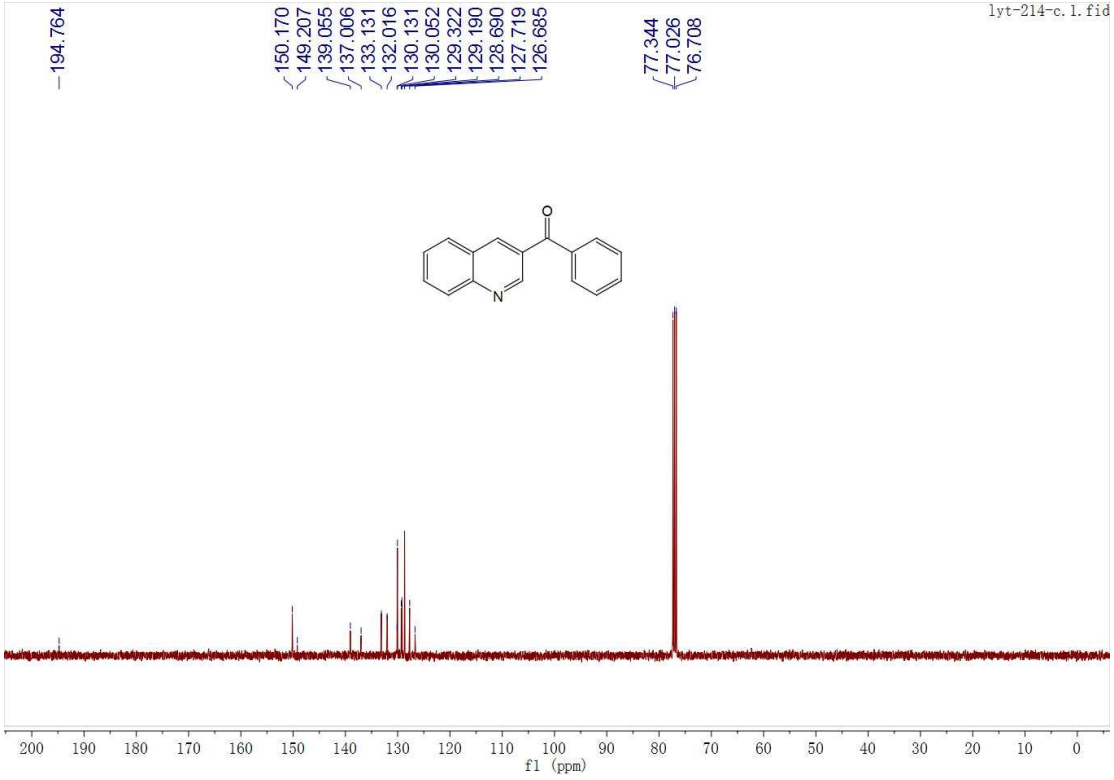
^{1}H and ^{13}C NMR of **6a**



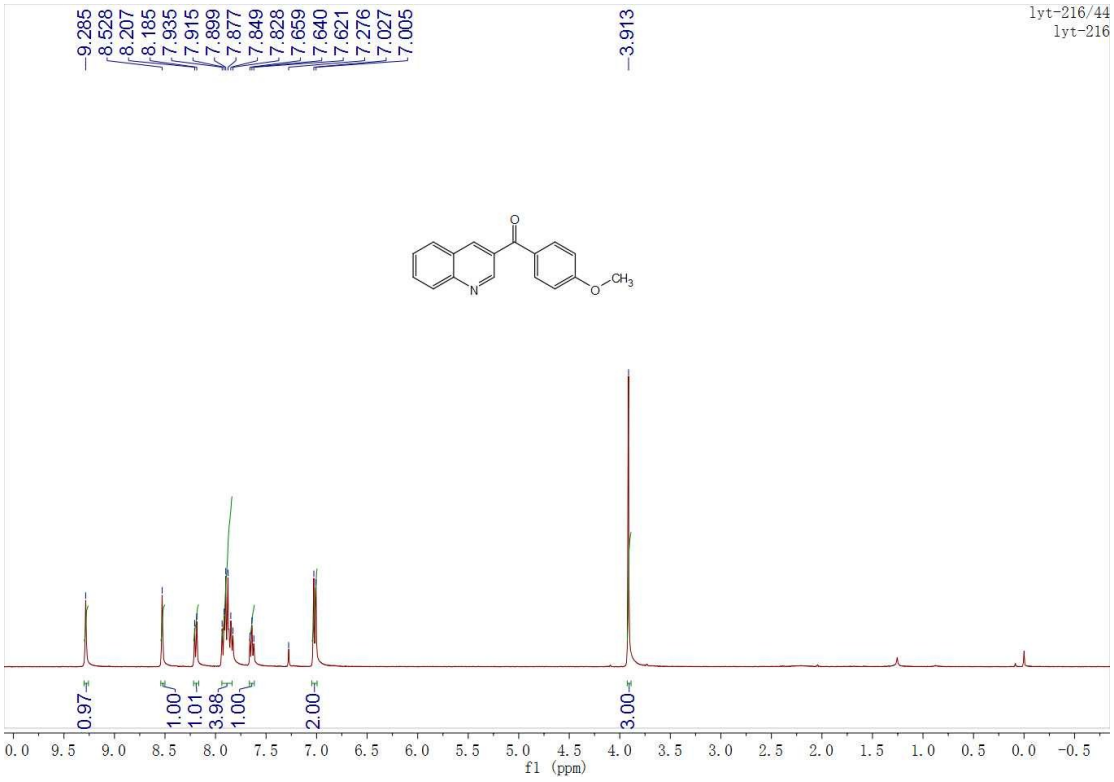


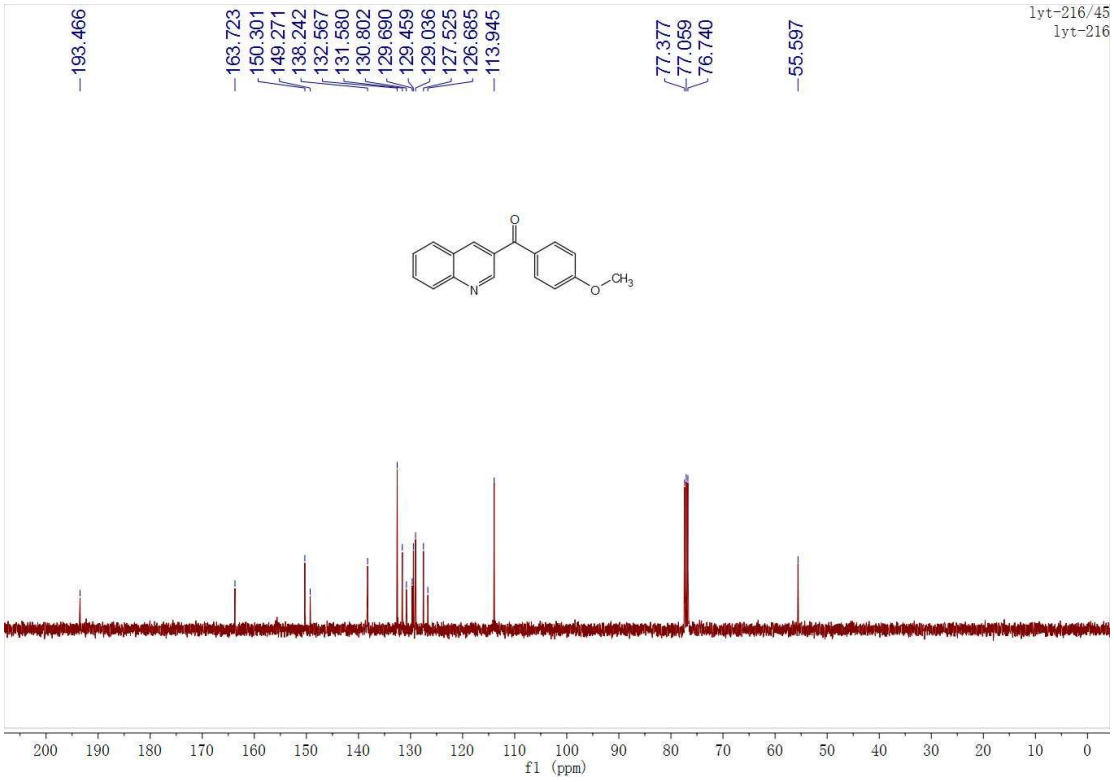
^{1}H and ^{13}C NMR of **6b**



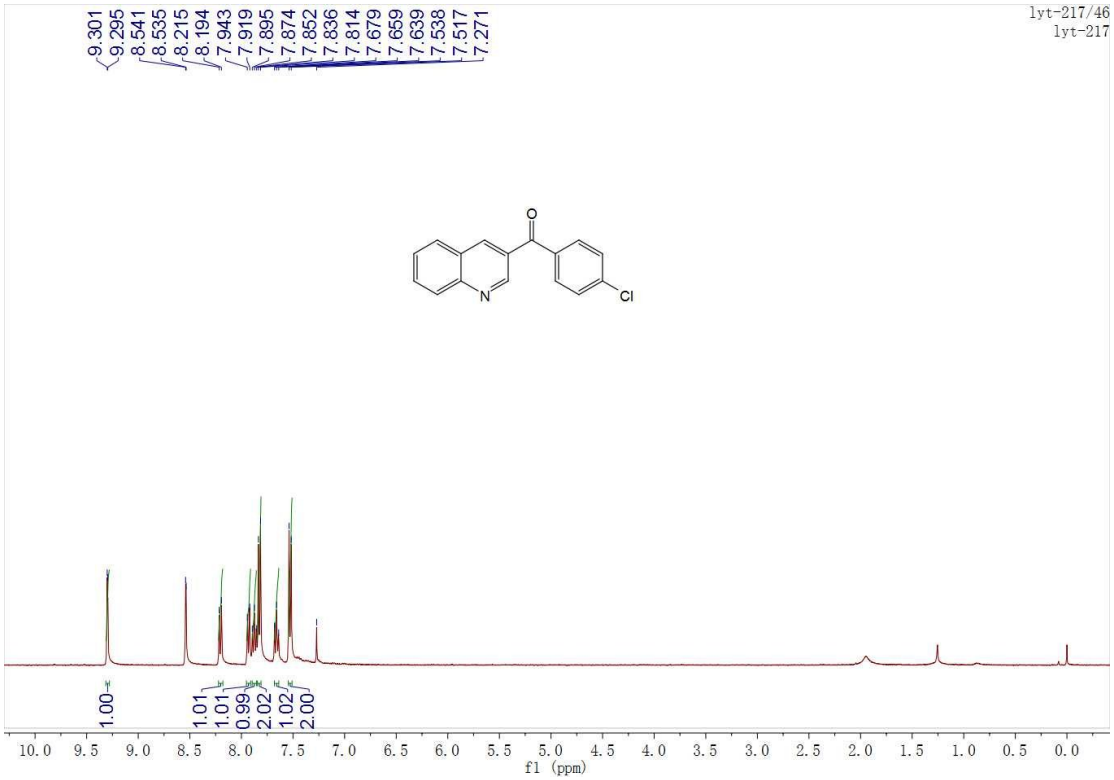


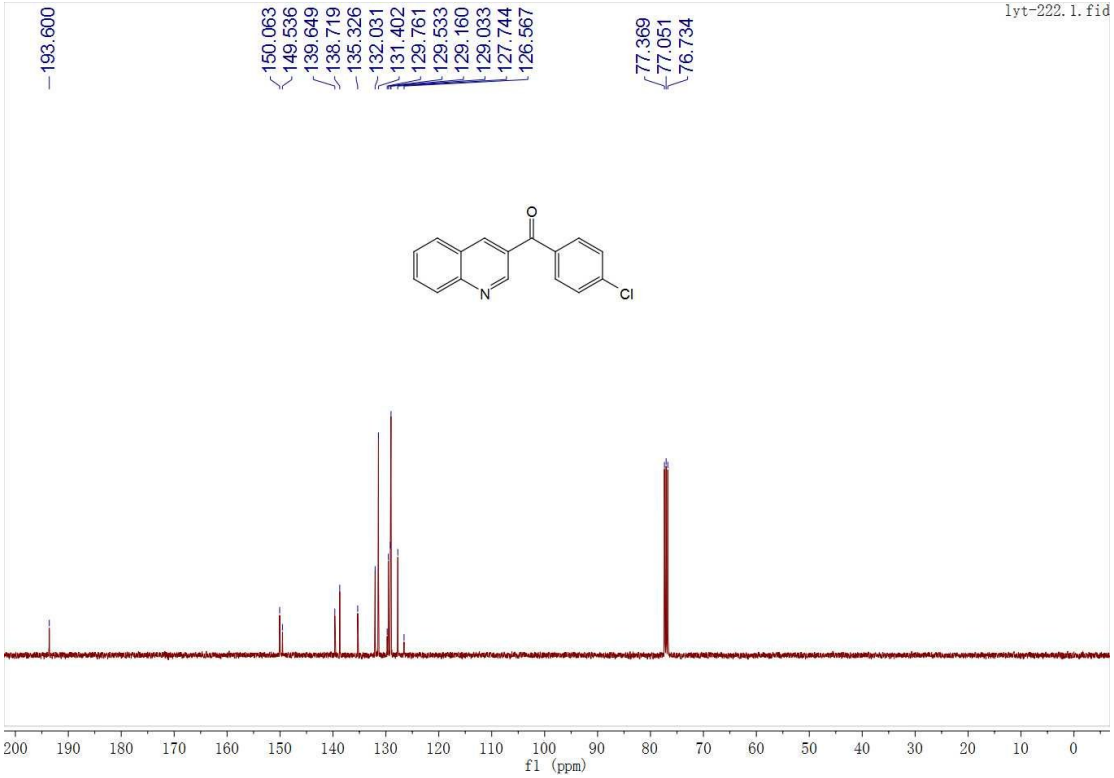
^{1}H and ^{13}C NMR of **6c**



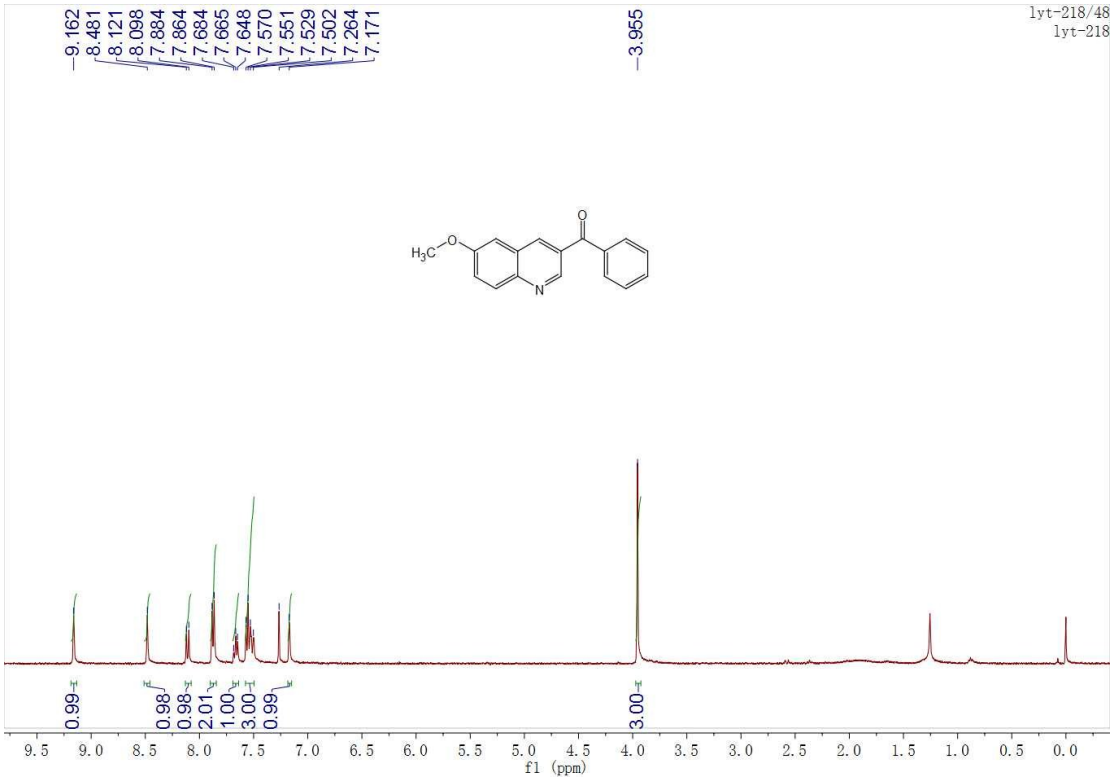


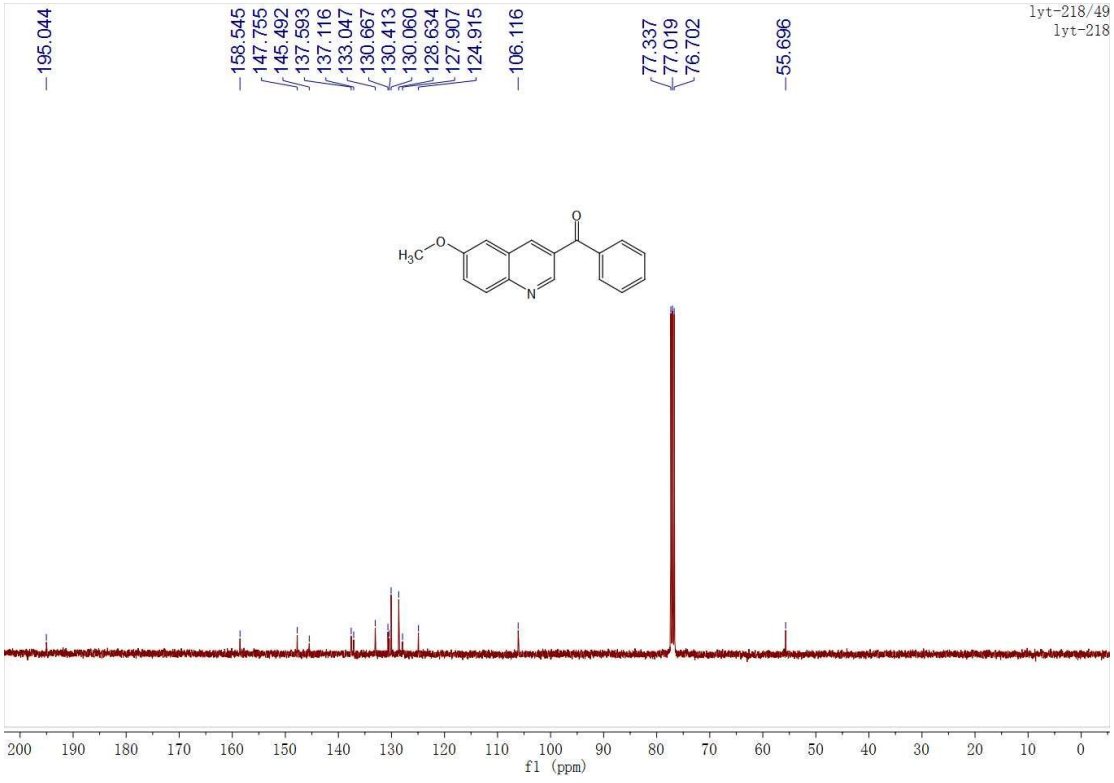
^{1}H and ^{13}C NMR of **6d**





^{1}H and ^{13}C NMR of **6e**





^{1}H and ^{13}C NMR of **6e**