Supporting information

Phase-transfer catalyzed Michael/ammonolysis cascade reaction of enaminones and olefinic azlactones: new approach to structurally diverse quinoline-2,5-diones

Lin Chen*[a,1] and Wei Liang[a,1]

[a] School of Chemistry and Materials Science, Guizhou Normal University, Guiyang 550001, P. R. of China

* Corresponding author, Email: chenlin8310@aliyun.com

[1] Lin Chen and Wei Liang contributed equally to this work.

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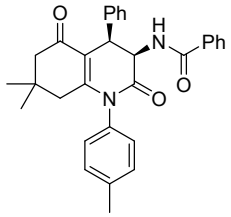
1. General procedure for the syntheses of products 3 and 4

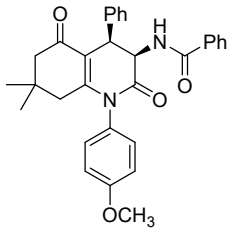
Enaminone **1** (0.1 mmol), olefinic azlactone **2** (0.1 mmol, 1.0 equiv.), TBAB (3.2 mg, 10 mol%), KOH (16.8 mg, 0.3 mmol, 3.0 equiv.) and dichloromethane (2.0 mL) were sequentially added into a glass tube. After been stirred at 25 ℃ for 10-20 min, the reaction mixture was diluted with dichloromethane and filtered through a thin pad of silica gel. The resulting filtrate was concentrated under reduced pressure and the residue was purified to afford the desire products **3** and **4**.

N-(7,7-dimethyl-2,5-dioxo-4-phenyl-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3a)



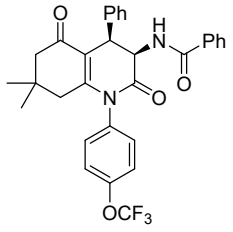
Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 44.5 mg, 93% yield; >99:1 dr; M.P.: 267.3-268.1 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68-7.61 (m, 2H), 7.48-7.41 (m, 1H), 7.39-7.33 (m, 2H), 7.33-7.29 (m, 2H), 7.29-7.25 (m, 2H), 7.23 (dd, J = 7.0, 4.2 Hz, 3H), 7.11 (d, J = 8.2 Hz, 2H), 6.55 (d, J = 6.7 Hz, 1H), 5.41-5.34 (m, 1H), 4.90 (d, J = 7.6 Hz, 1H), 2.42 (s, 3H), 2.30 (t, J = 9.5 Hz, 2H), 2.26-2.19 (m, 1H), 2.11 (d, J = 17.6 Hz, 1H), 1.07 (s, 3H), 1.00 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$): δ 195.1, 169.5, 167.3, 152.3, 139.4, 136.4, 134.0, 134.0, 131.8, 130.6, 130.5, 129.0, 128.9, 128.6, 128.2, 127.8, 127.5, 127.1, 117.5, 54.0, 50.2, 41.6, 38.6, 33.1, 28.7, 27.9, 21.3; FTIR (cm^{-1}): 3439, 2968, 2917, 1714, 1665, 1650, 1612, 1514, 1487, 1369, 1310, 1274, 1237, 695; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{31}H_{31}N_2O_3$ 479.2329, found 479.2338.

N-(1-(4-methoxyphenyl)-7,7-dimethyl-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3b)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 41.0 mg, 83% yield; >99:1 dr; M.P.: 221.7-224.3 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70-7.60 (m, 2H), 7.47 (m, 1H), 7.38 (t, J = 7.5 Hz, 2H), 7.34-7.27 (m, 2H), 7.25 (dd, J = 7.4, 1.9 Hz, 2H), 7.23-7.21 (m, 1H), 7.15 (dd, J = 7.5, 1.6 Hz, 2H), 7.03 (t, J = 8.4 Hz, 2H), 6.53 (d, J = 6.8 Hz, 1H), 5.40-5.34 (m, 1H), 4.89 (d, J = 7.6 Hz, 1H), 3.85 (d, J = 16.1 Hz, 3H), 2.31 (t, J = 9.8 Hz, 2H), 2.23 (d, J = 17.7 Hz, 1H), 2.12 (d, J = 17.5 Hz, 1H), 1.09 (s, 3H), 1.01 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.7, 167.3, 159.9, 152.5, 136.4, 134.0, 131.8, 130.3, 129.1, 128.9, 128.8, 128.6, 128.2, 127.8, 127.1, 117.4, 115.2, 115.0, 55.6, 54.0, 50.2, 41.7, 38.6, 33.0, 28.7, 27.9; FTIR (cm^{-1}): 3437, 2960, 2926, 1708, 1669, 1654, 1512, 1487, 1370, 1254, 1189, 1151, 726; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{31}H_{31}N_2O_4$ 495.2278, found 495.2286.

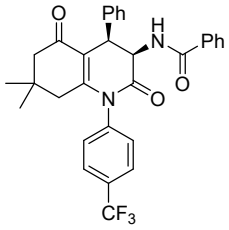
N-(7,7-dimethyl-2,5-dioxo-4-phenyl-1-(4-(trifluoromethoxy)phenyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3c)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 46.0 mg, 84% yield; >99:1 dr; M.P.: 132.0-132.9 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69-7.62 (m, 2H), 7.51-7.44 (m, 1H), 7.37 (dd, J = 10.4, 4.7 Hz, 4H), 7.34-7.31 (m, 1H), 7.31-7.25 (m, 4H), 7.23 (dd, J = 7.8, 6.2 Hz, 2H), 6.50 (d, J = 7.0 Hz, 1H), 5.41 (t, J = 7.3 Hz, 1H), 4.89 (d, J = 7.6 Hz, 1H), 2.32 (t, J = 9.3 Hz, 2H), 2.27-2.16 (m, 1H), 2.08 (d, J = 17.6 Hz, 1H), 1.10 (s, 3H), 1.03 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.9, 169.5, 167.3, 151.4, 149.4 (d, J = 2.0 Hz), 136.1, 134.9,

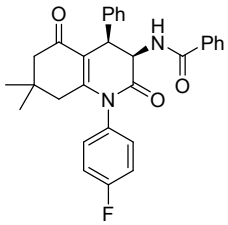
133.9, 131.9, 131.1, 129.4, 129.0, 128.6, 128.1, 128.0, 127.1, 122.2, 122.1,120.4 (q, J = 259.6 Hz), 118.1, 53.9, 50.1, 41.7, 38.6, 33.2, 28.8, 27.8; ^{19}F NMR (377 MHz, $CDCl_3$) δ -57.77; FTIR (cm^{-1}): 3443, 2963, 2921, 1717, 1666, 1646, 1541, 1515, 1373, 1268, 1183, 1146, 700; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{31}H_{28}F_3N_2O_4$ 549.1996, found 549.2007.

N-(7,7-dimethyl-2,5-dioxo-4-phenyl-1-(4-(trifluoromethyl)phenyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3d)



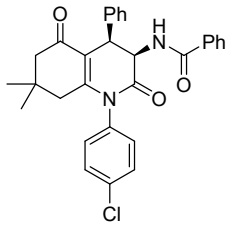
Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 47.9 mg, 90% yield; >99:1 dr; M.P.: 155.2-156.2 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, J = 8.0 Hz, 2H), 7.69-7.61 (m, 2H), 7.51-7.45 (m, 1H), 7.38 (t, J = 7.5 Hz, 4H), 7.34-7.30 (m, 1H), 7.30-7.25 (m, 2H), 7.25-7.19 (m, 2H), 6.48 (d, J = 7.0 Hz, 1H), 5.43 (t, J = 7.3 Hz, 1H), 4.90 (d, J = 7.6 Hz, 1H), 2.33 (t, J = 9.0 Hz, 2H), 2.28-2.17 (m, 1H), 2.06 (d, J = 17.7 Hz, 1H), 1.10 (s, 3H), 1.03 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.9, 169.4, 167.4, 151.0, 139.9 (d, J = 1.0 Hz), 136.0, 133.8, 131.9, 131.6, 131.2, 130.2, 130.1, 129.1, 128.4, 128.3, 128.1, 128.0, 127.1,126.4 (q, J = 292.6 Hz), 118.4, 53.9, 50.1, 41.8, 38.7, 33.3, 28.9, 27.7; ^{19}F NMR (377 MHz, $CDCl_3$) δ -62.65; FTIR (cm^{-1}): 3426, 2960, 2925, 1714, 1669, 1640, 1544, 1495, 1379, 1334, 1243, 1189, 700; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{31}H_{28}F_3N_2O_3$ 533.2047, found 533.2055.

N-(1-(4-fluorophenyl)-7,7-dimethyl-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3e)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 42.9 mg, 89% yield; >99:1 dr; M.P.: 242.5-242.7 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69-7.62 (m, 2H), 7.48 (m, 1H), 7.38 (dd, J = 10.5, 4.6 Hz, 2H), 7.34-7.30 (m, 1H), 7.29-7.25 (m, 2H), 7.23 (d, J = 3.2 Hz, 3H), 7.21 (d, J = 4.0 Hz, 3H), 6.49 (d, J = 6.9 Hz, 1H), 5.40 (t, J = 7.3 Hz, 1H), 4.89 (d, J = 7.6 Hz, 1H), 2.32 (t, J = 9.9 Hz, 2H), 2.28-2.16 (m, 1H), 2.09 (d, J = 17.6 Hz, 1H), 1.10 (s, 3H), 1.02 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.0, 169.6, 167.3, 162.6 (d, J = 251.5 Hz), 151.7, 136.2, 133.9, 132.5, 131.8, 131.2, 129.5, 129.0, 128.6, 128.1, 127.9, 127.1, 117.8, 117.2 (d, J = 14.1 Hz), 116.9 (d, J = 14.1 Hz), 53.9, 50.1, 41.7, 38.6, 33.1, 28.8, 27.9; ^{19}F NMR (377 MHz, $CDCl_3$) δ -111.09; FTIR (cm^{-1}): 3446, 2975, 2921, 1717, 1671, 1646, 1549, 1510, 1376, 1243, 1143, 1070, 695; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{30}H_{28}FN_2O_3$ 483.2078, found 483.2081.

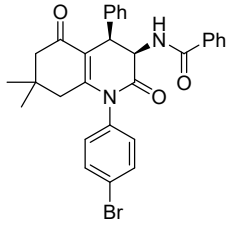
N-(1-(4-chlorophenyl)-7,7-dimethyl-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3f)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 46.3 mg, 93% yield; >99:1 dr; M.P.: 267.7-268.4 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68-7.63 (m, 2H), 7.55-7.49 (m, 2H), 7.47 (td, J = 2.4, 1.6 Hz, 1H), 7.38 (dd, J = 10.5, 4.6 Hz, 2H), 7.33-7.29 (m, 1H), 7.27 (dd, J = 4.4, 2.7 Hz, 1H), 7.26-7.21 (m, 2H), 7.19 (dd, J = 7.1, 6.2 Hz, 3H), 6.49 (d, J = 6.9 Hz, 1H), 5.40 (t, J = 7.3 Hz, 1H), 4.88 (d, J = 7.6 Hz, 1H), 2.32 (t, J = 9.6 Hz, 2H), 2.27-2.17 (m, 1H), 2.08 (d, J = 17.6 Hz, 1H), 1.09 (s, 3H), 1.02 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ

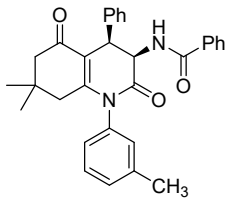
194.9, 169.4, 167.3, 151.5, 136.1, 135.3, 135.1, 133.9, 131.9, 130.8, 130.3, 130.2, 129.1, 129.0, 128.6, 128.1, 127.9, 127.1, 118.0, 53.9, 50.1, 41.7, 38.6, 33.2, 28.8, 27.8; FTIR (cm^{-1}): 3443, 2960, 2923, 1720, 1669, 1657, 1544, 1515, 1487, 1376, 1248, 1183, 1143, 703; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{30}H_{28}ClN_2O_3$ 499.1783, found 499.1789.

N-(1-(4-bromophenyl)-7,7-dimethyl-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3g)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 48.9 mg, 90% yield; >99:1 dr; M.P.: 259.7-261.3 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 7.71-7.60 (m, 4H), 7.48 (t, J = 7.4 Hz, 1H), 7.38 (t, J = 7.5 Hz, 2H), 7.33-7.29 (m, 1H), 7.27 (dd, J = 4.1, 2.4 Hz, 1H), 7.26-7.17 (m, 3H), 7.12 (t, J = 7.9 Hz, 2H), 6.48 (d, J = 6.9 Hz, 1H), 5.40 (t, J = 7.3 Hz, 1H), 4.88 (d, J = 7.6 Hz, 1H), 2.32 (t, J = 9.6 Hz, 2H), 2.27-2.17 (m, 1H), 2.08 (d, J = 17.6 Hz, 1H), 1.09 (s, 3H), 1.02 (s, 3H); ^{13}C NMR{^1H} (101 MHz, $CDCl_3$) δ 194.9, 169.4, 167.3, 151.4, 136.1, 135.6, 133.9, 133.3, 133.2, 131.9, 131.1, 129.4, 129.0, 128.6, 128.1, 127.9, 127.1, 123.3, 118.0, 53.9, 50.1, 41.7, 38.6, 33.2, 28.8, 27.8; FTIR (cm^{-1}): 3435, 3972, 3923, 1710, 1669, 1654, 1512, 1487, 1370, 1264, 1179, 1155, 700; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{30}H_{28}BrN_2O_3$ 543.1278, found 543.1270.

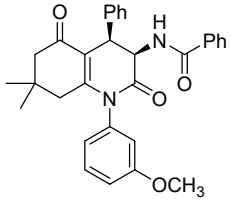
N-(7,7-dimethyl-2,5-dioxo-4-phenyl-1-(m-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3h)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white

solid; 40.6 mg, 85% yield; >99:1 dr; M.P.: 201.8-202.3 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.65 (d, J = 7.9 Hz, 2H), 7.45 (m, 2H), 7.37 (t, J = 7.5 Hz, 2H), 7.34-7.27 (m, 3H), 7.27-7.20 (m, 3H), 7.04 (d, J = 11.5 Hz, 2H), 6.54 (d, J = 5.9 Hz, 1H), 5.38 (t, J = 7.2 Hz, 1H), 4.95-4.85 (m, 1H), 2.44 (d, J = 13.5 Hz, 3H), 2.31 (t, J = 9.1 Hz, 2H), 2.27-2.18 (m, 1H), 2.11 (d, J = 17.6 Hz, 1H), 1.09 (s, 3H), 1.01 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.4, 167.3, 152.2, 140.2, 136.6, 136.3, 134.0, 131.8, 130.1, 129.8, 128.9, 128.6, 128.2, 127.8, 127.1, 126.3, 124.7, 117.5, 54.0, 50.2, 41.6, 38.6, 33.1, 28.7, 27.9, 21.4; FTIR (cm^{-1}): 3417, 2958, 2921, 1703, 1657, 1629, 1518, 1490, 1373, 1251, 1180, 1143, 814, 737, 703; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{31}H_{31}N_2O_3$ 479.2329, found 479.2331.

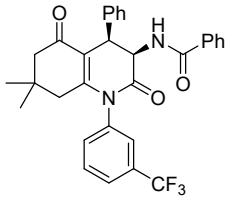
N-(1-(3-methoxyphenyl)-7,7-dimethyl-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3i)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 43.5 mg, 88% yield; >99:1 dr; M.P.: 222.3-223.4 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70-7.60 (m, 2H), 7.50-7.41 (m, 2H), 7.37 (dd, J = 10.5, 4.6 Hz, 2H), 7.34-7.27 (m, 2H), 7.25 (dd, J = 9.5, 4.5 Hz, 3H), 7.02 (d, J = 8.3 Hz, 1H), 6.82 (dd, J = 18.2, 10.4 Hz, 2H), 6.52 (d, J = 5.9 Hz, 1H), 5.44-5.32 (m, 1H), 4.89 (d, J = 7.3 Hz, 1H), 3.85 (d, J = 11.0 Hz, 3H), 2.31 (t, J = 9.6 Hz, 2H), 2.25 (d, J = 3.5 Hz, 1H), 2.14 (d, J = 17.5 Hz, 1H), 1.09 (s, 3H), 1.01 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.3, 167.3, 160.7, 152.1, 137.7, 136.3, 134.0, 131.8, 130.6, 129.0, 128.6, 127.8, 127.1, 121.5, 119.9, 117.6, 115.0, 113.9, 55.6, 54.0, 50.2, 41.4, 38.7, 33.1, 28.6, 28.0; FTIR (cm^{-1}): 3415, 2960, 2923, 1715, 1661, 1649, 1521, 1487, 1376, 1248, 1180, 1141, 754, 717, 698; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{31}H_{31}N_2O_4$ 495.2278, found 495.2285.

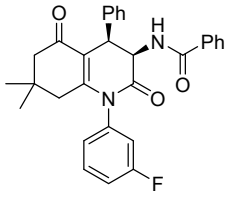
N-(7,7-dimethyl-2,5-dioxo-4-phenyl-1-(3-(trifluoromethyl)phenyl)-1,2,3,4,5,6,7,8-

octahydroquinolin-3-yl)benzamide (3j)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 48.9 mg, 92% yield; >99:1 dr; M.P.: 139.9-140.4 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (t, J = 7.7 Hz, 1H), 7.67 (dd, J = 14.7, 7.5 Hz, 3H), 7.60-7.42 (m, 3H), 7.38 (t, J = 7.6 Hz, 2H), 7.35-7.25 (m, 3H), 7.25-7.16 (m, 2H), 6.48 (d, J = 5.6 Hz, 1H), 5.44 (t, J = 7.2 Hz, 1H), 4.96-4.85 (m, 1H), 2.35 (d, J = 15.8 Hz, 2H), 2.20 (d, J = 17.7 Hz, 1H), 2.07 (d, J = 17.6 Hz, 1H), 1.10 (s, 3H), 1.03 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.9, 169.5, 167.3, 151.1, 137.2, 136.0 (d, J = 13.1 Hz), 133.8, 133.1, 131.9, 131.2, 130.6, 129.1, 128.6, 128.0, 127.1, 126.6, 126.2 (q, J = 10.1 Hz), 124.7, 122.0, 118.4, 53.8, 50.1, 41.8, 38.7, 33.3, 28.8, 27.8; ^{19}F NMR (377 MHz, $CDCl_3$) δ -62.62; FTIR (cm^{-1}): 3423, 2960, 2935, 1714, 1660, 1629, 1512, 1486, 1379, 1328, 1186, 1129, 805, 740, 700; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{31}H_{28}F_3N_2O_3$ 533.2047, found 533.2055.

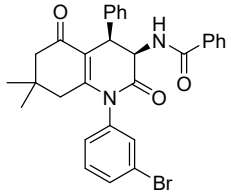
N-(1-(3-fluorophenyl)-7,7-dimethyl-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3k)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 43.4 mg, 90% yield; >99:1 dr; M.P.: 226.1-228.4 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69-7.61 (m, 2H), 7.57-7.44 (m, 2H), 7.38 (dd, J = 10.5, 4.6 Hz, 2H), 7.34-7.25 (m, 3H), 7.21 (td, J = 10.8, 3.7 Hz, 3H), 7.10-6.93 (m, 2H), 6.49 (d, J = 6.9 Hz, 1H), 5.40 (t, J = 7.3 Hz, 1H), 4.89 (d, J = 7.6 Hz, 1H), 2.32 (t, J = 9.3 Hz, 2H), 2.24 (d, J = 17.8 Hz, 1H), 2.11 (d, J = 17.6 Hz, 1H), 1.10 (s, 3H), 1.03 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.0, 169.3, 167.3, 163.1 (d, J = 250.5 Hz), 151.5,

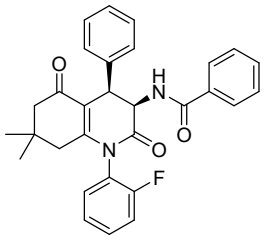
138.0, 136.1, 133.9, 131.9, 131.1, 129.0, 128.6, 128.1, 127.1, 125.4, 123.7, 117.9, 117.2 (d, J = 23.2 Hz), 116.6 (d, J = 20.2 Hz), 53.9, 50.1, 41.6, 38.7, 33.2, 28.8, 27.8; ^{19}F NMR (377 MHz, $CDCl_3$) δ -109.69; FTIR (cm^{-1}): 3415, 2958, 2929, 1714, 1663, 1643, 1518, 1484, 1376, 1246, 1183, 1138, 780, 757, 703; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{30}H_{28}FN_2O_3$ 483.2078, found 483.2082.

N-(1-(3-bromophenyl)-7,7-dimethyl-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3l)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 50.0 mg, 92% yield; >99:1 dr; M.P.: 176.4-177.1 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70-7.58 (m, 3H), 7.45 (td, J = 13.7, 10.0 Hz, 2H), 7.37 (t, J = 7.6 Hz, 3H), 7.28 (dd, J = 18.7, 10.4 Hz, 3H), 7.21 (d, J = 6.8 Hz, 3H), 6.51 (d, J = 6.8 Hz, 1H), 5.40 (t, J = 6.2 Hz, 1H), 4.89 (d, J = 7.6 Hz, 1H), 2.32 (d, J = 16.6 Hz, 2H), 2.23 (d, J = 17.6 Hz, 1H), 2.09 (dd, J = 17.2, 11.7 Hz, 1H), 1.08 (s, 3H), 1.02 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.0, 169.3, 167.3, 151.5, 137.8, 136.1, 133.9, 132.6, 131.9, 131.1, 129.0, 128.6, 128.1, 128.0, 127.1, 126.6, 123.3, 123.1, 118.0, 53.9, 50.1, 41.7, 38.6, 33.2, 28.8, 27.9; FTIR (cm^{-1}): 3429, 2958, 2928, 1714, 1663, 1640, 1532, 1476, 1373,1314, 1143, 749, 723, 698; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{30}H_{28}BrN_2O_3$ 543.1278, found 543.1283.

N-(1-(2-fluorophenyl)-7,7-dimethyl-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (major diastereomer of 3m)

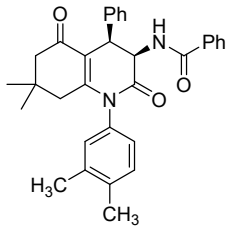


Purified by silica gel column chromatography (Petroleum ether/EtOAc = 1/1); white solid; 42.9 mg, 89% total yield; 63:37 dr; M.P.: 211.1-212.3 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 (td, J = 8.8, 4.3 Hz, 2H), 7.49 (m, 2H), 7.41-7.35 (m, 2H), 7.35-7.30 (m, 3H), 7.28 (t, J = 3.6 Hz, 2H), 7.26-7.18 (m, 3H), 6.41 (d, J = 7.2 Hz, 1H), 5.47 (t, J = 7.6 Hz, 1H), 4.85 (d, J = 7.9 Hz, 1H), 2.29 (d, J = 15.4 Hz, 2H), 2.17 (s, 1H), 2.15 (d, J = 8.4 Hz, 1H), 1.09 (s, 3H), 1.02 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.3, 167.4, 158.5 (d, J = 250.5 Hz), 151.7, 136.2, 133.9, 131.8, 131.4 (d, J = 8.1 Hz), 128.9, 128.6, 128.4, 128.4, 127.1, 125.4 (d, J = 4.0 Hz), 124.1 (d, J = 13.1 Hz), 117.9, 117.1, 117.0, 54.0, 50.1, 40.9, 39.2, 33.0, 28.6, 28.1; ^{19}F NMR (377 MHz, $CDCl_3$) δ -120.14; FTIR (cm^{-1}): 3276, 2955, 2923, 1723, 1663, 1652, 1626, 1541, 1504, 1450, 1382, 1317, 1248, 1223, 1186, 1149, 1104, 763, 723, 698; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{30}H_{28}FN_2O_3$ 483.2078, found 483.2086.

N-(1-(2-fluorophenyl)-7,7-dimethyl-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (minor diastereomer of 3m)

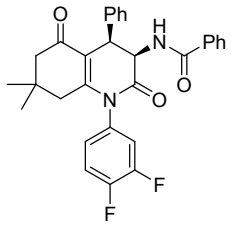
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 (td, J = 8.8, 4.3 Hz, 1.1H), 7.49 (m, 1.2H), 7.41-7.35 (m, 1H), 7.35-7.30 (m, 2.1H), 7.28 (t, J = 3.6 Hz, 1.4H), 7.26-7.18 (m, 1.2H), 6.55 (d, J = 6.5 Hz, 0.6H), 5.42 (dd, J = 7.5, 6.8 Hz, 0.6H), 4.95 (d, J = 7.6 Hz, 0.6H), 2.35 (d, J = 16.3 Hz, 1H), 2.22 (d, J = 4.4 Hz, 0.5H), 2.10 (d, J = 7.9 Hz, 0.5H), 1.11 (s, 1.7H), 1.02 (s, 1.6H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.9, 169.0, 167.3, 158.4 (d, J = 252.5 Hz), 151.6, 136.2, 133.9, 131.4 (d, J = 8.1 Hz), 131.2, 129.8, 128.9, 128.2, 127.8, 127.8, 125.2 (d, J = 4.0 Hz), 124.3 (d, J = 13.1 Hz), 117.3, 117.1, 116.8, 53.9, 50.1, 40.9, 38.4, 33.1, 28.7, 27.9; ^{19}F NMR (377 MHz, $CDCl_3$) δ -119.66; FTIR (cm^{-1}): 3276, 2955, 2923, 1723, 1663, 1652, 1626, 1541, 1504, 1450, 1382, 1317, 1248, 1223, 1186, 1149, 1104, 763, 723, 698; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{30}H_{28}FN_2O_3$ 483.2078, found 483.2086.

N-(1-(3,4-dimethylphenyl)-7,7-dimethyl-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3n)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 39.9 mg, 81% yield; >99:1 dr; M.P.: 131.4-133.2 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.65 (d, J = 8.0 Hz, 2H), 7.46 (t, J = 7.4 Hz, 1H), 7.37 (t, J = 7.6 Hz, 2H), 7.33-7.26 (m, 3H), 7.26-7.20 (m, 3H), 6.97 (t, J = 8.8 Hz, 2H), 6.59-6.51 (m, 1H), 5.37 (t, J = 7.2 Hz, 1H), 4.98-4.83 (m, 1H), 2.32 (t, J = 6.9 Hz, 6H), 2.29 (s, 2H), 2.24 (dd, J = 11.9, 5.9 Hz, 1H), 2.12 (d, J = 17.8 Hz, 1H), 1.08 (s, 3H), 1.01 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.2, 169.5, 167.3, 152.5, 138.6, 138.1, 136.4, 134.0, 131.8, 130.9, 130.0, 128.9, 128.6, 128.2, 127.8, 127.1, 126.4, 124.9, 117.4, 54.0, 50.2, 41.6, 38.6, 33.1, 28.7, 28.0, 20.0, 19.6; FTIR (cm^{-1}): 3423, 2958, 2923, 1711, 1663, 1620, 1518, 1481, 1379, 1248, 1194, 1143, 760, 703; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{32}H_{33}N_2O_3$ 493.2486, found 493.2494.

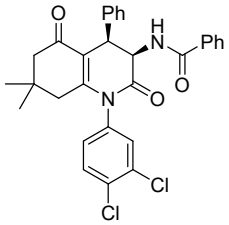
N-(1-(3,4-difluorophenyl)-7,7-dimethyl-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3o)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 44.0 mg, 88% yield; >99:1 dr; M.P.: 171.2-173.4 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71-7.60 (m, 2H), 7.52-7.44 (m, 1H), 7.38 (t, J = 7.6 Hz, 2H), 7.31 (dd, J = 16.2, 10.7 Hz, 4H), 7.19 (d, J = 7.1 Hz, 2H), 7.16-6.96 (m, 2H), 6.47 (d, J = 7.0 Hz, 1H), 5.40 (t, J = 7.2 Hz, 1H), 4.88 (d, J = 7.6 Hz, 1H), 2.32 (t, J = 9.4 Hz, 2H), 2.27 – 2.17 (m, 1H), 2.10 (d, J = 17.5 Hz, 1H), 1.10 (s, 3H), 1.03 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.9, 169.5, 167.3, 151.9 (d, J = 14.1 Hz), 151.2, 149.4 (d, J = 14.1 Hz), 136.0, 133.8, 132.6, 131.9, 129.1, 128.7, 128.0, 127.1, 126.2, 124.3, 119.2

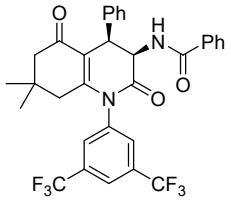
(d, J = 22.2 Hz), 118.4, 117.8 (d, J = 43.4 Hz), 53.8, 50.1, 41.6, 38.6, 33.2, 28.8, 27.8; ^{19}F NMR (377 MHz, $CDCl_3$) δ -133.19 (d, J = 18.9 Hz), -134.88 (d, J = 22.6 Hz); FTIR (cm^{-1}): 3435, 2969, 2909, 1711, 1669, 1643, 1549, 1521, 1376, 1268, 1220, 1203, 752, 698; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{30}H_{27}F_2N_2O_3$ 501.1984, found 501.1994.

N-(1-(3,4-dichlorophenyl)-7,7-dimethyl-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3p)



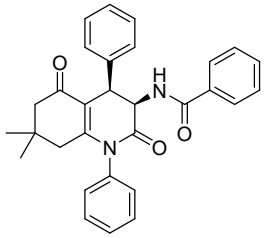
Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 46.3 mg, 87% yield; >99:1 dr; M.P.: 155.0-155.6 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68-7.63 (m, 2H), 7.60 (d, J = 7.8 Hz, 1H), 7.44 (dd, J = 23.2, 15.8 Hz, 2H), 7.35 (d, J = 7.4 Hz, 2H), 7.28 (d, J = 9.6 Hz, 3H), 7.21-7.17 (m, 2H), 7.13 (s, 1H), 6.50 (d, J = 6.9 Hz, 1H), 5.39 (t, J = 7.3 Hz, 1H), 4.87 (d, J = 7.6 Hz, 1H), 2.30 (d, J = 16.7 Hz, 2H), 2.27-2.17 (m, 1H), 2.15-1.97 (m, 1H), 1.08 (s, 3H), 1.01 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.9, 169.3, 167.3, 151.1, 136.0, 135.9, 134.0, 133.8, 131.9, 131.6, 131.5, 129.9, 129.1, 128.7, 128.0, 127.3, 127.1, 118.2, 118.0, 53.9, 50.1, 41.6, 38.6, 33.2, 28.9, 27.8; FTIR (cm^{-1}): 3473, 2963, 2915, 1717, 1666, 1640, 1518, 1476, 1373, 1231, 1180, 1143, 823, 698; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{30}H_{27}Cl_2N_2O_3$ 533.1393, found 533.1401.

N-(1-(3,5-bis(trifluoromethyl)phenyl)-7,7-dimethyl-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3q)



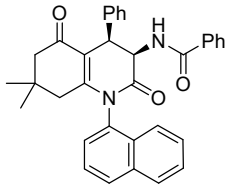
Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 54.0 mg, 90% yield; >99:1 dr; M.P.: 253.4-253.9 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02 (s, 1H), 7.83 (s, 1H), 7.65 (dd, J = 8.8, 7.4 Hz, 3H), 7.48 (m, 1H), 7.38 (dd, J = 10.5, 4.6 Hz, 2H), 7.36-7.32 (m, 1H), 7.32-7.26 (m, 2H), 7.24-7.10 (m, 2H), 6.45 (d, J = 7.2 Hz, 1H), 5.48 (t, J = 7.4 Hz, 1H), 4.90 (d, J = 7.5 Hz, 1H), 2.34 (s, 2H), 2.19 (d, J = 17.4 Hz, 1H), 2.05 (d, J = 17.3 Hz, 1H), 1.11 (s, 3H), 1.05 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.7, 169.6, 167.3, 150.1, 138.2, 135.7, 133.7, 133.3，132.0, 130.3, 129.2, 128.7, 128.2, 127.9, 127.1, 123.2 (d, J = 3.0 Hz), 121.6 (q, J = 271.3 Hz), 119.2, 53.7, 50.0, 41.8, 38.7, 33.4, 28.8, 27.7; ^{19}F NMR (377 MHz, $CDCl_3$) δ -62.79; FTIR (cm^{-1}): 3440, 2963, 2926, 1731, 1654, 1623, 1555, 1495, 1370, 1280, 1183, 1143, 814, 740, 700; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{32}H_{27}F_6N_2O_3$ 601.1920, found 601.1929.

N-(7,7-dimethyl-2,5-dioxo-1,4-diphenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3r)



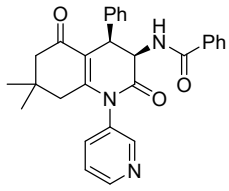
Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 39.9 mg, 86% yield; >99:1 dr; M.P.: 231.9-232.2 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70-7.61 (m, 2H), 7.59-7.48 (m, 3H), 7.46 (dt, J = 2.4, 1.6 Hz, 1H), 7.37 (dd, J = 10.4, 4.6 Hz, 2H), 7.33-7.27 (m, 2H), 7.26 (d, J = 1.7 Hz, 3H), 7.24 (d, J = 4.1 Hz, 2H), 6.53 (d, J = 6.8 Hz, 1H), 5.44-5.37 (m, 1H), 4.91 (d, J = 7.6 Hz, 1H), 2.32 (t, J = 9.6 Hz, 2H), 2.27-2.17 (m, 1H), 2.10 (d, J = 17.6 Hz, 1H), 1.08 (s, 3H), 1.01 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.4, 167.3, 152.1, 136.7, 136.3, 134.0, 131.8, 130.0, 129.9, 129.4, 129.3, 129.0, 129.0, 128.6, 128.2, 127.8, 127.1, 117.7, 54.0, 50.2, 41.7, 38.6, 33.1, 28.7, 27.9; FTIR (cm^{-1}): 3452, 2981, 2953, 1717, 1660, 1637, 1535, 1487, 1441, 1379, 1240, 1186, 1143, 1070, 740, 698; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{30}H_{29}N_2O_3$ 465.2173, found 465.2183.

N-(7,7-dimethyl-1-(naphthalen-1-yl)-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3s)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 3/1); white solid; 43.2 mg, 84% yield; >99:1 dr; M.P.: 225.4-226.1 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03-7.93 (m, 2H), 7.68-7.63 (m, 3H), 7.63-7.54 (m, 3H), 7.49-7.42 (m, 2H), 7.39-7.33 (m, 3H), 7.33-7.23 (m, 4H), 6.60 (d, J = 6.5 Hz, 1H), 5.61 (dd, J = 7.6, 6.7 Hz, 1H), 5.04 (d, J = 7.6 Hz, 1H), 2.32 (t, J = 11.0 Hz, 2H), 2.23 (d, J = 17.6 Hz, 1H), 1.95 (d, J = 17.5 Hz, 1H), 1.01 (s, 3H), 0.93 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.4, 167.4, 152.8, 136.4, 134.6, 133.9, 133.4, 131.8, 130.6, 130.2, 129.0, 129.0, 128.6, 128.3, 128.2, 127.9, 127.1, 127.1, 126.4, 125.6, 121.6, 117.4, 54.2, 50.3, 40.6, 38.8, 33.1, 28.7, 27.5; FTIR (cm^{-1}): 3417, 2958, 2929, 1705, 1669, 1657, 1541, 1512, 1490, 1373, 1246, 805, 780, 737, 700; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{34}H_{31}N_2O_3$ 515.2329, found 515.2331.

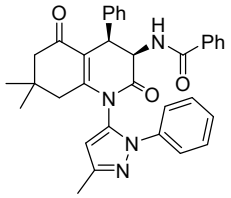
N-(7,7-dimethyl-2,5-dioxo-4-phenyl-1-(pyridin-3-yl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3t)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 38.1 mg, 82% yield; >99:1 dr; M.P.: 232.9-233.4 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.70 (d, J = 2.2 Hz, 1H), 8.55 (d, J = 2.0 Hz, 1H), 7.65 (dd, J = 11.2, 10.0 Hz, 3H), 7.48 (t, J = 7.4 Hz, 2H), 7.38 (t, J = 7.6 Hz, 2H), 7.30 (dd, J = 14.0, 6.6 Hz, 3H), 7.25-7.17 (m, 2H), 6.53 (d, J = 7.1 Hz, 1H), 5.46 (t, J = 7.3 Hz, 1H), 4.90 (s, 1H), 2.38-2.29 (m, 2H), 2.28-2.01 (m, 2H), 1.09 (s, 3H), 1.02 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.9, 169.6, 167.3, 151.1, 150.4, 150.2, 148.7, 137.1, 135.9, 133.8,

133.5, 131.9, 129.1, 128.6, 128.1, 127.1, 124.4, 118.3, 53.9, 50.1, 41.9, 38.7, 33.3, 28.9, 27.8; FTIR (cm^{-1}): 3433, 2960, 2933, 1714, 1673, 1625, 1520, 1481, 1380, 1248, 1195, 1162, 740, 720; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{29}H_{28}N_3O_3$ 466.2125, found 466.2132.

N-(7,7-dimethyl-1-(3-methyl-1-phenyl-1H-pyrazol-5-yl)-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (major diastereomer of 3u)



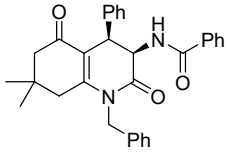
Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 42.4 mg, 78% total yield; 60:40 dr; M.P.: 219.6-221.2 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 7.63 (dt, J = 8.4, 4.3 Hz, 2H), 7.59-7.48 (m, 2H), 7.48-7.42 (m, 2H), 7.40 (dd, J = 7.9, 2.0 Hz, 2H), 7.38-7.31 (m, 2H), 7.28 (dd, J = 6.1, 4.4 Hz, 1H), 7.21-7.04 (m, 3H), 6.72 (d, J = 7.2 Hz, 1H), 6.44 (d, J = 6.5 Hz, 1H), 6.32 (s, 1H), 5.13 (dd, J = 7.5, 6.7 Hz, 1H), 4.84 (d, J = 7.6 Hz, 1H), 2.45 (s, 3H), 2.29 (d, J = 6.5 Hz, 1H), 2.26-2.12 (m, 2H), 1.98 (d, J = 7.5 Hz, 1H), 1.02 (s, 3H), 0.95 (s, 3H); ^{13}C NMR{^1H} (101 MHz, $CDCl_3$) δ 194.7, 169.5, 167.2, 151.2, 150.0, 138.0, 135.8, 133.9, 131.9, 129.7, 129.0, 128.6, 128.2, 128.0, 127.1, 126.0, 123.5, 118.1, 116.0, 105.9, 54.3, 50.1, 40.3, 38.6, 33.1, 28.7, 27.5, 14.3; FTIR (cm^{-1}): 3435, 2955, 2929, 1725, 1666, 1649, 1632, 1561, 1504, 1484, 1373, 1231, 1095, 1072, 766, 698; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{34}H_{33}N_4O_3$ 545.2547, found 545.2560.

N-(7,7-dimethyl-1-(3-methyl-1-phenyl-1H-pyrazol-5-yl)-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (minor diastereomer of 3u)

^1H NMR (400 MHz, $CDCl_3$) δ 7.63 (dt, J = 8.4, 4.3 Hz, 1.2H), 7.59-7.48 (m, 1.3H), 7.48-7.42 (m, 1.2H), 7.40 (dd, J = 7.9, 2.0 Hz, 1.3H), 7.38-7.31 (m, 1.2H), 7.28 (dd, J = 6.1, 4.4 Hz, 0.7H), 7.21-7.04 (m, 1H), 6.72 (d, J = 7.2 Hz, 0.4H), 6.35 (d, J = 7.4 Hz, 0.6H), 6.16 (s, 0.6H), 5.45 (dd, J = 8.2, 7.6 Hz, 0.6H), 4.72 (d, J = 8.0 Hz, 0.6H), 2.40 (s, 1.8H), 2.33 (d, J = 4.7 Hz, 0.8H), 2.26-2.12 (m, 1.2H), 1.94 (d, J = 7.0 Hz, 0.7H),

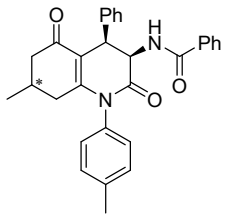
1.09 (s, 1.8H), 0.84 (s, 1.7H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.9, 170.4, 167.4, 151.0, 149.8, 137.9, 136.0, 134.6, 133.7, 129.7, 129.3, 128.9, 128.0, 127.6, 127.1, 126.0, 123.5, 118.1, 116.0, 105.9, 53.8, 49.9, 40.5, 39.1, 32.7, 29.2, 27.5, 14.2; FTIR (cm^{-1}): 3435, 2955, 2929, 1725, 1666, 1649, 1632, 1561, 1504, 1484, 1373, 1231, 1095, 1072, 766, 698; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{34}H_{33}N_4O_3$ 545.2547, found 545.2560.

N-(1-benzyl-7,7-dimethyl-2,5-dioxo-4-phenyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3v)



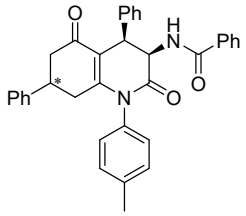
Purified by silica gel column chromatography (Petroleum ether/EtOAc = 3/1); white solid; 34.4 mg, 72% total yield; 89:11 dr; M.P.: 204.6-205.1 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72-7.65 (m, 2H), 7.52-7.45 (m, 1H), 7.44-7.40 (m, 2H), 7.40-7.37 (m, 2H), 7.37-7.35 (m, 1H), 7.35-7.31 (m, 1H), 7.30-7.27 (m, 2H), 7.19 (dd, J = 6.2, 2.5 Hz, 1H), 7.17-7.13 (m, 1H), 6.98 (dd, J = 7.8, 1.3 Hz, 2H), 6.61 (d, J = 6.7 Hz, 1H), 5.25 (dd, J = 15.1, 8.0 Hz, 2H), 4.88 (dd, J = 15.9, 4.3 Hz, 1H), 4.82 (d, J = 7.7 Hz, 1H), 2.74 (d, J = 17.2 Hz, 1H), 2.52 (d, J = 17.2 Hz, 1H), 2.30-2.19 (m, 2H), 1.13 (s, 3H), 1.00 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.8, 169.8, 167.3, 151.9, 136.4, 135.7, 134.0, 131.8, 129.0, 128.7, 128.6, 128.4, 128.1, 127.7, 127.6, 127.1, 117.8, 53.6, 49.8, 46.3, 40.3, 38.2, 33.0, 29.0, 27.7; FTIR (cm^{-1}): 3426, 2960, 2929, 1714, 1663, 1652, 1623, 1518, 1456, 1390, 1246, 1192, 1128, 1084, 703; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{31}H_{31}N_2O_3$ 479.2329, found 479.2335.

N-(7-methyl-2,5-dioxo-4-phenyl-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3x)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 40.8 mg, 88% total yield; M.P.: 232.2-234.1 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68-7.62 (m, 2H), 7.47 (t, J = 7.4 Hz, 1H), 7.37 (t, J = 7.6 Hz, 2H), 7.35-7.28 (m, 3H), 7.26 (dd, J = 6.4, 4.6 Hz, 2H), 7.25-7.18 (m, 3H), 7.14 (t, J = 6.6 Hz, 2H), 6.53 (d, J = 6.7 Hz, 1H), 5.37 (t, J = 7.2 Hz, 1H), 4.86 (d, J = 7.6 Hz, 1H), 2.51 (dd, J = 16.5, 3.1 Hz, 1H), 2.44 (s, 3H), 2.36-2.26 (m, 1H), 2.19 (dd, J = 17.6, 4.2 Hz, 1H), 2.08 (m, 2H), 1.00 (d, J = 6.5 Hz, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.2, 169.3, 167.3, 153.7, 139.4, 136.4, 134.0, 133.8, 131.7, 130.5, 130.5, 129.1, 128.9, 128.6, 128.2, 127.8, 127.3, 127.1, 118.7, 53.9, 44.8, 38.9, 36.4, 30.1, 21.3, 21.2; FTIR (cm^{-1}): 3400, 2955, 2923, 1714, 1669, 1646, 1620, 1521, 1487, 1379, 1336, 1234, 723, 700; HRMS (ESI-TOF) m/z: $[M + Na]^+$ calcd for $C_{30}H_{28}N_2NaO_3$ 487.1992, found 487.1992.

N-(2,5-dioxo-4,7-diphenyl-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (major diastereomer of 3y)



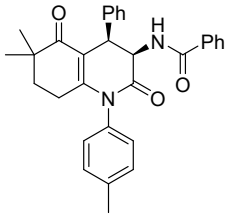
Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 42.6 mg, 81% total yield; 63:37 dr; M.P.: 146.6-147.4 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70-7.63 (m, 2H), 7.47 (t, J = 7.4 Hz, 1H), 7.38 (t, J = 7.6 Hz, 2H), 7.36-7.31 (m, 2H), 7.30 (dd, J = 6.1, 2.7 Hz, 3H), 7.27 (m, 3H), 7.22 (dd, J = 7.3, 5.5 Hz, 2H), 7.15 (dt, J = 14.7, 7.3 Hz, 3H), 7.10-7.02 (m, 1H), 6.56 (d, J = 6.7 Hz, 1H), 5.40 (t, J = 5.5 Hz, 1H), 4.99-4.90 (m, 1H), 3.36-3.26 (m, 1H), 2.77-2.62 (m, 2H), 2.62-2.43 (m, 2H), 2.38 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.5, 169.7, 167.3, 153.3, 142.2, 139.6, 136.4, 134.0, 133.5, 131.8, 130.8, 130.7, 128.9, 128.9, 128.6, 128.2, 127.9, 127.6, 127.3, 127.1, 126.8, 126.8, 118.0, 54.0, 43.7, 39.2, 38.5, 34.9, 21.3; FTIR (cm^{-1}): 3437, 2952, 2921, 1720, 1669, 1654, 1623, 1564, 1507, 1487, 1382, 1359, 1246, 1186, 757, 703; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for

$C_{35}H_{31}N_2O_3$ 527.2329, found 527.2329.

N-(2,5-dioxo-4,7-diphenyl-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (minor diastereomer of 3y)

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70-7.63 (m, 1.3H), 7.47 (t, J = 7.4 Hz, 0.7H), 7.38 (t, J = 7.6 Hz, 1.3H), 7.36-7.31 (m, 1.3H), 7.30 (dd, J = 6.1, 2.7 Hz, 2H), 7.27 (m, 2H), 7.22 (dd, J = 7.3, 5.5 Hz, 1.3H), 7.15 (dt, J = 14.7, 7.3 Hz, 2H), 7.10-7.02 (m, 0.7H), 6.56 (d, J = 6.7 Hz, 0.6H), 5.45-5.42 (m, 0.7H), 4.99-4.90 (m, 0.6H), 3.48 (m, 0.7H), 2.77-2.62 (m, 1.5H), 2.62-2.43 (m, 1.1H), 2.38 (s, 2H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.3, 169.3, 167.3, 153.3, 142.2, 139.5, 136.2, 134.0, 133.5, 131.8, 130.7, 130.5, 129.0, 128.9, 128.9, 128.6, 128.2, 127.8, 127.6, 127.3, 127.2, 126.8, 118.9, 54.0, 43.2, 40.7, 39.0, 36.2, 21.3. FTIR (cm^{-1}): 3437, 2952, 2921, 1720, 1669, 1654, 1623, 1564, 1507, 1487, 1382, 1359, 1246, 1186, 757, 703; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{35}H_{31}N_2O_3$ 527.2329; found 527.2329.

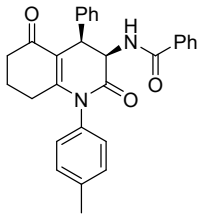
N-*(6,6-dimethyl-2,5-dioxo-4-phenyl-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3z)*



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 3/1); white solid; 41.4 mg, 85% yield; >99:1 dr; M.P.: 136.6-134.7 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70-7.61 (m, 2H), 7.47 (dd, J = 10.5, 4.2 Hz, 1H), 7.36 (dd, J = 16.3, 9.0 Hz, 3H), 7.32-7.28 (m, 2H), 7.28-7.23 (m, 2H), 7.23-7.19 (m, 2H), 7.16-7.10 (m, 2H), 6.52 (d, J = 6.8 Hz, 1H), 5.38 (t, J = 7.2 Hz, 1H), 4.87 (d, J = 7.6 Hz, 1H), 2.42 (s, 3H), 2.40-2.32 (m, 1H), 2.21 (dt, J = 9.8, 5.1 Hz, 1H), 1.92 (m, 1H), 1.78 (dt, J = 13.4, 5.5 Hz, 1H), 1.15 (s, 3H), 1.05 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 199.9, 169.2, 167.3, 152.3, 139.4, 136.5, 134.1, 133.9, 131.7, 130.6, 130.5, 129.0, 128.9, 128.6, 128.1, 127.7, 127.5, 127.1, 116.8, 54.0, 39.6, 39.1, 35.2, 25.0, 24.7, 23.8, 21.3;

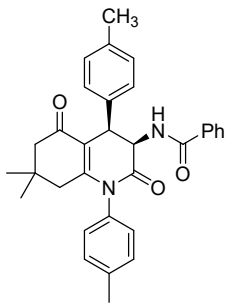
FTIR (cm^{-1}): 3423, 2960, 2926, 1711, 1669, 1652, 1626, 1544, 1512, 1484, 1373, 1351, 1251, 1149, 717; HRMS (ESI-TOF) m/z: $[M + Na]^+$ calcd for $C_{31}H_{30}N_2NaO_3$ 501.2149, found 501.2148.

N-(2,5-dioxo-4-phenyl-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (3a')



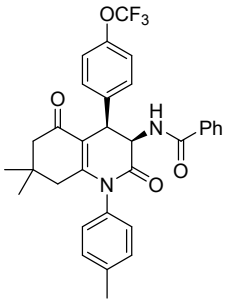
Purified by silica gel column chromatography (Petroleum ether/EtOAc = 1/1); white solid; 37.4 mg, 83% yield; >99:1 dr; M.P.: 204.6-205.1 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 7.70-7.61 (m, 2H), 7.46 (t, J = 7.4 Hz, 1H), 7.37 (d, J = 7.8 Hz, 2H), 7.35-7.31 (m, 2H), 7.29 (d, J = 7.5 Hz, 2H), 7.24 (dd, J = 8.8, 4.9 Hz, 3H), 7.17-7.07 (m, 2H), 6.55 (d, J = 6.7 Hz, 1H), 5.38 (t, J = 7.2 Hz, 1H), 4.89 (d, J = 7.6 Hz, 1H), 2.50-2.37 (m, 5H), 2.36-2.18 (m, 2H), 2.10-1.93 (m, 2H); ^{13}C NMR{^1H} (101 MHz, $CDCl_3$) δ 195.2, 169.3, 167.3, 154.3, 139.4, 136.4, 134.0, 134.0, 131.8, 130.6, 130.5, 128.9, 128.9, 128.6, 128.2, 127.8, 127.5, 127.1, 118.6, 54.0, 38.8, 36.6, 28.2, 21.9, 21.3; FTIR (cm^{-1}): 3417, 2949, 2923, 1714, 1660, 1623, 1512, 1484, 1373, 1246, 1177, 800, 706; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{29}H_{27}N_2O_3$ 451.2016, found 451.2015.

N-(7,7-dimethyl-2,5-dioxo-1,4-di-p-tolyl-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4b)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 41.3 mg, 84% yield; >99:1 dr; M.P.: 273.8-275.2 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69-7.65 (m, 2H), 7.49-7.43 (m, 1H), 7.37 (dd, J = 10.4, 4.6 Hz, 2H), 7.32 (t, J = 7.2 Hz, 2H), 7.14-7.11 (m, 3H), 7.09 (d, J = 8.2 Hz, 3H), 6.54 (d, J = 6.9 Hz, 1H), 5.36 (t, J = 7.3 Hz, 1H), 4.84 (d, J = 7.5 Hz, 1H), 2.43 (s, 3H), 2.29 (s, 3H), 2.28 (s, 2H), 2.22 (d, J = 17.6 Hz, 1H), 2.10 (d, J = 17.5 Hz, 1H), 1.07 (s, 3H), 1.00 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.6, 167.3, 152.2, 139.3, 137.4, 134.1, 134.0, 133.2, 131.7, 130.6, 130.5, 129.7, 129.0, 128.6, 128.0, 127.5, 127.1, 117.7, 54.0, 50.2, 41.6, 38.2, 33.1, 28.7, 27.9, 21.3, 21.1; FTIR (cm^{-1}): 3432, 2960, 2926, 1714, 1663, 1652, 1610, 1512, 1484, 1373, 1260, 1183, 825, 715; HRMS (ESI-TOF) m/z: [M + H]$^+$ calcd for $C_{32}H_{33}N_2O_3$ 493.2486, found 493.2493.

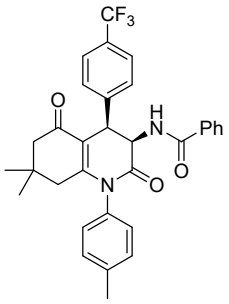
N-(7,7-dimethyl-2,5-dioxo-1-(p-tolyl)-4-(4-(trifluoromethoxy)phenyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4c)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 48.3 mg, 86% yield; >99:1 dr; M.P.: 167.6-168.0 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69-7.60 (m, 2H), 7.52-7.45 (m, 1H), 7.39 (dd, J = 10.5, 4.6 Hz, 2H), 7.34 (t, J = 6.6 Hz, 2H), 7.27-7.22 (m, 2H), 7.12 (t, J = 8.1 Hz, 3H), 7.08 (d, J = 8.4 Hz, 1H), 6.66 (d, J = 6.1 Hz, 1H), 5.33 (dd, J = 7.7, 6.2 Hz, 1H), 4.99 (d, J = 7.7 Hz, 1H), 2.44 (s, 3H), 2.32 (t, J = 10.2 Hz, 2H), 2.24 (d, J = 17.6 Hz, 1H), 2.11 (d, J = 17.5 Hz, 1H), 1.08 (s, 3H), 1.01 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.3, 167.4, 152.4, 148.7, 139.6, 135.3, 133.8, 133.7, 131.9, 130.8, 130.6, 129.6, 128.9, 128.7, 127.4, 127.0, 121.3, 120.4 (q, J = 258.2 Hz), 117.2, 54.1, 50.1, 41.6, 37.8, 33.1, 28.7, 27.9, 21.3; ^{19}F NMR (377 MHz, $CDCl_3$) δ -57.75; FTIR (cm^{-1}): 3432, 2960,

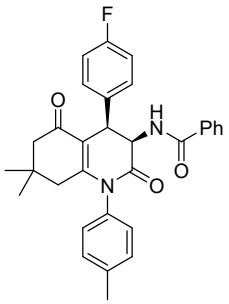
2929, 1717, 1671, 1640, 1515, 1490, 1371, 1277, 797, 720; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{32}H_{30}F_3N_2O_4$ 563.2152, found 563.2155.

N-(7,7-dimethyl-2,5-dioxo-1-(p-tolyl)-4-(4-(trifluoromethyl)phenyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4d)



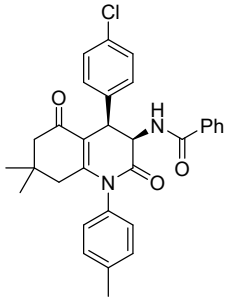
Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 51.3 mg, 94% yield; >99:1 dr; M.P.: 227.0-228.9 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70-7.63 (m, 2H), 7.54 (d, J = 8.1 Hz, 2H), 7.50-7.44 (m, 1H), 7.39 (d, J = 7.8 Hz, 2H), 7.36 (d, J = 2.3 Hz, 2H), 7.33 (d, J = 7.3 Hz, 2H), 7.10 (t, J = 8.1 Hz, 2H), 6.72 (d, J = 5.9 Hz, 1H), 5.35 (dd, J = 7.7, 6.0 Hz, 1H), 5.05 (d, J = 7.7 Hz, 1H), 2.43 (s, 3H), 2.35-2.26 (m, 2H), 2.23 (d, J = 8.4 Hz, 1H), 2.13 (d, J = 17.8 Hz, 1H), 1.08 (s, 3H), 1.00 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.2, 167.4, 152.7, 140.9, 139.6, 133.7, 132.0, 130.8, 130.6, 130.0, 129.7, 128.9, 128.7, 128.6, 127.4, 127.1, 125.8 (d, J = 4.0 Hz),124.1 (q, J = 273.0 Hz), 116.9, 54.0, 50.1, 41.6, 38.3, 33.1, 28.6, 28.0, 21.3; ^{19}F NMR (377 MHz, $CDCl_3$) δ -62.48; FTIR (cm^{-1}): 3476, 2960, 2921, 1711, 1666, 1643, 1515, 1493, 1370, 1331, 1240, 791, 698; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{32}H_{30}F_3N_2O_3$ 547.2203, found 547.2207.

N-(4-(4-fluorophenyl)-7,7-dimethyl-2,5-dioxo-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4e)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 44.6 mg, 90% yield; >99:1 dr; M.P.: 252.8-253.1 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70-7.62 (m, 2H), 7.51-7.44 (m, 1H), 7.38 (dd, J = 10.5, 4.6 Hz, 2H), 7.33 (t, J = 7.1 Hz, 2H), 7.22-7.16 (m, 2H), 7.09 (t, J = 8.9 Hz, 2H), 7.01-6.93 (m, 2H), 6.63 (d, J = 6.3 Hz, 1H), 5.32 (dd, J = 7.6, 6.4 Hz, 1H), 4.93 (d, J = 7.6 Hz, 1H), 2.43 (s, 3H), 2.30 (t, J = 9.9 Hz, 2H), 2.26-2.19 (m, 1H), 2.11 (d, J = 17.6 Hz, 1H), 1.07 (s, 3H), 1.00 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.4, 167.3, 162.3 (d, J = 247.5 Hz), 152.3, 139.5, 133.9, 132.2, 131.9, 130.7, 129.8, 129.7, 128.9, 128.7, 127.4, 127.0, 117.4, 115.9, 115.7, 54.1, 50.1, 41.6, 37.7, 33.1, 28.7, 27.9, 21.3; ^{19}F NMR (377 MHz, $CDCl_3$):δ -114.66; FTIR (cm^{-1}): 3452, 2968, 2918, 1708, 1660, 1640, 1609, 1515, 1453, 1376, 1250, 815, 808, 720, 715; HRMS (ESI-TOF) m/z: [M + H]$^{+}$ calcd for $C_{31}H_{30}FN_2O_3$ 497.2235, found 497.2235.

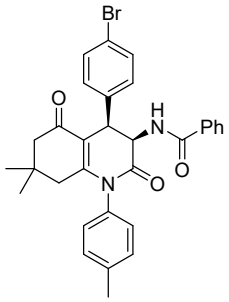
N-(4-(4-chlorophenyl)-7,7-dimethyl-2,5-dioxo-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4f)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 46.6 mg, 91% yield; >99:1 dr; M.P.: 263.7-264.5 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71-7.62 (m, 2H), 7.51-7.44 (m, 1H), 7.38 (t, J = 7.5 Hz, 2H), 7.32 (t, J = 6.4 Hz, 2H), 7.26 (d, J = 1.9 Hz, 1H), 7.24 (d, J = 1.8 Hz, 1H), 7.16 (d, J = 8.5 Hz, 2H), 7.09 (dd, J = 11.0, 8.9 Hz, 2H), 6.68 (d, J = 6.2 Hz, 1H), 5.32 (dd, J = 7.6, 6.3 Hz, 1H), 4.93 (d, J = 7.6 Hz, 1H), 2.42 (s, 3H), 2.29 (t, J = 10.2 Hz, 2H), 2.25-2.19 (m, 1H), 2.11 (d, J = 17.7 Hz, 1H), 1.07 (s, 3H), 0.99 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.0, 169.3, 167.3, 152.5, 139.5, 135.1, 133.8, 133.8, 133.5, 131.9, 130.7, 130.6, 129.6, 129.0, 128.9, 128.7, 127.4, 127.1, 117.2, 54.0, 50.1, 41.6, 37.9, 33.1,

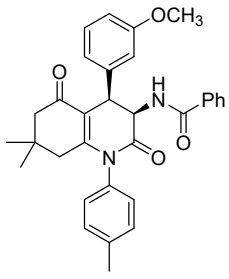
28.6, 27.9, 21.3; FTIR (cm^{-1}): 3487, 2963, 2921, 1711, 1669, 1640, 1515, 1487, 1373, 1311, 777, 698; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{31}H_{30}ClN_2O_3$ 513.1939, found 513.1943.

N-(4-(4-bromophenyl)-7,7-dimethyl-2,5-dioxo-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4g)



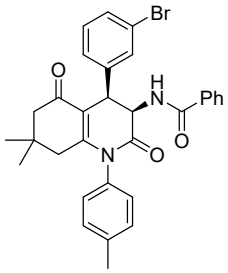
Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 49.6 mg, 89% yield; >99:1 dr; M.P.: 258.4-258.5 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 7.70-7.65 (m, 2H), 7.47 (t, J = 7.4 Hz, 1H), 7.43-7.35 (m, 4H), 7.31 (s, 2H), 7.08 (t, J = 10.8 Hz, 4H), 6.70-6.64 (m, 1H), 5.32 (dd, J = 7.6, 6.3 Hz, 1H), 4.92 (d, J = 7.7 Hz, 1H), 2.43 (s, 3H), 2.29 (t, J = 10.5 Hz, 2H), 2.25-2.18 (m, 1H), 2.11 (d, J = 17.7 Hz, 1H), 1.07 (s, 3H), 0.99 (s, 3H); ^{13}C NMR{^1H} (101 MHz, $CDCl_3$) δ 195.0, 169.3, 167.3, 152.5, 139.5, 135.6, 133.8, 133.8, 132.0, 131.9, 130.7, 130.6, 129.9, 128.9, 128.7, 127.4, 127.1, 121.7, 117.1, 54.0, 50.1, 41.6, 38.0, 33.1, 28.6, 28.0, 21.3; FTIR (cm^{-1}): 3418, 2964, 2927, 1707, 1667, 1639, 1606, 1545, 1520, 1448, 1372, 1240, 805, 698; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{31}H_{30}BrN_2O_3$ 557.1434, found 557.1437.

N-(4-(3-methoxyphenyl)-7,7-dimethyl-2,5-dioxo-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4h)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 43.2 mg, 85% yield; >99:1 dr; M.P.: 198.1-198.7 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70-7.65 (m, 2H), 7.46 (t, J = 7.4 Hz, 1H), 7.37 (t, J = 7.5 Hz, 2H), 7.32 (t, J = 7.8 Hz, 2H), 7.23-7.16 (m, 1H), 7.15-7.07 (m, 2H), 6.84-6.74 (m, 3H), 6.58 (d, J = 6.8 Hz, 1H), 5.36 (t, J = 7.2 Hz, 1H), 4.88 (d, J = 7.6 Hz, 1H), 3.67 (s, 3H), 2.42 (s, 3H), 2.29 (s, 2H), 2.23 (d, J = 17.7 Hz, 1H), 2.10 (d, J = 17.6 Hz, 1H), 1.07 (s, 3H), 1.01 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.4, 167.3, 159.9, 152.4, 139.3, 137.9, 134.0, 133.9, 131.8, 130.6, 130.6, 129.8, 129.0, 128.6, 127.5, 127.1, 120.2, 117.4, 114.1, 113.4, 55.1, 54.0, 50.2, 41.6, 38.6, 33.1, 28.7, 27.9, 21.3; FTIR (cm^{-1}): 3435, 2955, 2918, 1714, 1663, 1623, 1510, 1487, 1376, 1317, 1246, 811, 780, 720, 700; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{32}H_{33}N_2O_4$ 509.2435, found 509.2440.

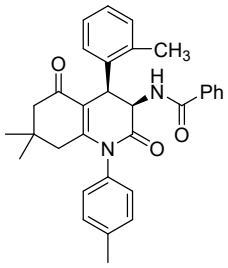
N-(4-(3-bromophenyl)-7,7-dimethyl-2,5-dioxo-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4i)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 50.1 mg, 90% yield; >99:1 dr; M.P.: 195.1-197.2 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71-7.65 (m, 2H), 7.47 (m, 1H), 7.41-7.37 (m, 3H), 7.37-7.28 (m, 3H), 7.17-7.07 (m, 4H), 6.65 (d, J = 6.3 Hz, 1H), 5.32 (dd, J = 7.5, 6.4 Hz, 1H), 4.91 (d, J = 7.6 Hz, 1H), 2.42 (s, 3H), 2.31 (d, J = 17.0 Hz, 2H), 2.24 (d, J = 17.7 Hz, 1H), 2.12 (d, J = 17.6 Hz, 1H), 1.07 (s, 3H), 1.00 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.0, 169.1, 167.4, 152.8, 139.5, 138.7, 133.9, 133.7, 131.9, 131.1, 130.9, 130.7, 130.4, 130.4, 128.9, 128.7, 127.4, 127.2, 127.1, 123.0, 116.9, 54.1, 50.1, 41.5, 38.1, 33.1, 28.6, 28.0, 21.3; FTIR (cm^{-1}): 3429, 2958, 2921, 1717, 1660, 1637, 1512, 1473, 1376, 1246, 817, 771, 722, 698; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for

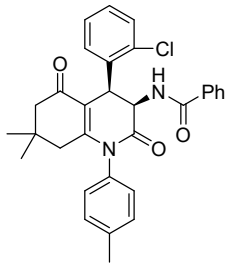
$C_{31}H_{30}BrN_2O_3$ 557.1434, found 557.1437.

N-(7,7-dimethyl-2,5-dioxo-4-(o-tolyl)-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4j)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 3/1); white solid; 40.8 mg, 83% yield; >99:1 dr; M.P.: 257.4-259.0 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.61-7.56 (m, 2H), 7.48-7.42 (m, 1H), 7.34 (dd, J = 14.8, 7.5 Hz, 4H), 7.19 (dd, J = 8.0, 2.0 Hz, 1H), 7.17-7.13 (m, 3H), 7.13-7.10 (m, 2H), 6.38 (d, J = 7.5 Hz, 1H), 5.52 (t, J = 7.9 Hz, 1H), 5.10 (d, J = 8.2 Hz, 1H), 2.45 (s, 3H), 2.44 (s, 3H), 2.27 (dd, J = 11.5, 8.5 Hz, 2H), 2.22 (d, J = 5.6 Hz, 1H), 2.09 (d, J = 17.6 Hz, 1H), 1.07 (s, 3H), 0.99 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.3, 169.5, 167.8, 152.3, 139.3, 138.6, 135.8, 134.1, 134.0, 131.8, 131.0, 130.7, 130.5, 129.0, 128.6, 127.6, 127.4, 127.0, 126.6, 125.8, 118.6, 54.0, 50.1, 41.7, 34.9, 33.2, 28.8, 27.8, 21.3, 20.0; FTIR (cm^{-1}): 3400, 2960, 2926, 1717, 1666, 1649, 1515, 1481, 1370, 1308, 1234, 817, 715; HRMS (ESI-TOF) m/z: [M + H]$^+$ calcd for $C_{32}H_{33}N_2O_3$ 493.2486, found 493.2487.

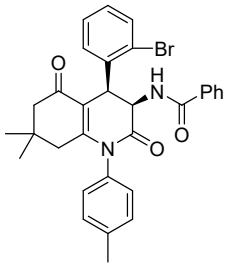
N-(4-(2-chlorophenyl)-7,7-dimethyl-2,5-dioxo-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4k)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 46.6 mg, 91% yield; >99:1 dr; M.P.: 282.5-282.7 ℃; ^{1}H NMR (400 MHz,

$CDCl_3$) δ 7.71-7.62 (m, 2H), 7.48-7.42 (m, 1H), 7.41-7.35 (m, 3H), 7.33 (dd, J = 9.9, 4.6 Hz, 2H), 7.25-7.21 (m, 2H), 7.21-7.13 (m, 2H), 7.11 (d, J = 8.0 Hz, 1H), 6.38 (d, J = 7.5 Hz, 1H), 5.57 (t, J = 7.8 Hz, 1H), 5.42 (d, J = 7.9 Hz, 1H), 2.43 (s, 3H), 2.29 (t, J = 11.8 Hz, 2H), 2.23 (d, J = 4.0 Hz, 1H), 2.12 (d, J = 17.7 Hz, 1H), 1.08 (s, 3H), 1.00 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.9, 169.2, 167.9, 153.1, 139.5, 135.8, 134.7, 134.3, 133.9, 131.6, 131.6, 130.8, 130.5, 130.4, 129.1, 128.9, 128.5, 127.8, 127.4, 127.2, 117.3, 53.7, 50.0, 41.7, 35.6, 33.1, 28.7, 27.9, 21.3; FTIR (cm^{-1}): 3437, 2958, 2926, 1714, 1666, 1659, 1614, 1522, 1477, 1377, 1243, 820, 715; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{31}H_{30}ClN_2O_3$ 513.1939, found 513.1940.

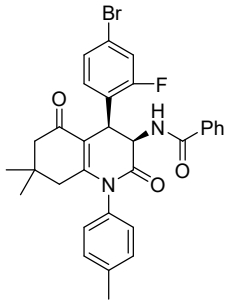
N-(4-(2-bromophenyl)-7,7-dimethyl-2,5-dioxo-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4l)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 51.8 mg, 93% yield; >99:1 dr; M.P.: 279.3-279.5 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70-7.63 (m, 2H), 7.59 (dd, J = 8.0, 1.1 Hz, 1H), 7.48-7.42 (m, 1H), 7.38 (d, J = 7.8 Hz, 2H), 7.35-7.30 (m, 2H), 7.29-7.24 (m, 1H), 7.21 (dd, J = 7.8, 1.8 Hz, 1H), 7.17-7.08 (m, 3H), 6.31 (d, J = 7.8 Hz, 1H), 5.59 (t, J = 7.9 Hz, 1H), 5.38 (d, J = 8.0 Hz, 1H), 2.44 (s, 3H), 2.29 (t, J = 12.1 Hz, 2H), 2.23 (d, J = 3.8 Hz, 1H), 2.12 (d, J = 17.7 Hz, 1H), 1.08 (s, 3H), 1.00 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.9, 169.2, 168.0, 153.0, 139.5, 136.6, 134.3, 133.9, 133.8, 131.6, 130.8, 130.5, 129.3, 129.0, 128.5, 128.1, 127.7, 127.4, 127.3, 126.6, 117.7, 53.6, 50.0, 41.7, 38.3, 33.1, 28.7, 27.9, 21.3; FTIR (cm^{-1}): 3443, 2966, 2915, 1714, 1669, 1627, 1612, 1515, 1487, 1376, 1234, 837, 712; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{31}H_{30}BrN_2O_3$ 557.1434, found 557.1437.

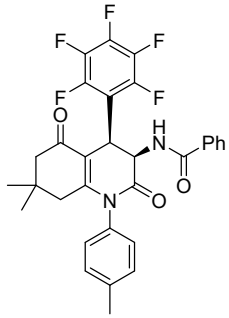
N-(4-(4-bromo-2-fluorophenyl)-7,7-dimethyl-2,5-dioxo-1-(p-tolyl)-1,2,3,4,5,6,7,8-

octahydroquinolin-3-yl)benzamide (4m)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 3/1); white solid; 52.9 mg, 92% yield; >99:1 dr; M.P.: 274.4-274.8 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.65-7.60 (m, 2H), 7.49-7.42 (m, 1H), 7.40-7.28 (m, 4H), 7.21-7.17 (m, 2H), 7.17-7.11 (m, 2H), 7.09 (d, J = 8.0 Hz, 1H), 6.78 (d, J = 5.8 Hz, 1H), 5.31 (dd, J = 8.6, 5.8 Hz, 1H), 5.19 (d, J = 8.6 Hz, 1H), 2.43 (s, 3H), 2.27 (t, J = 10.7 Hz, 2H), 2.22-2.14 (m, 1H), 2.09 (d, J = 17.5 Hz, 1H), 1.05 (s, 3H), 0.97 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.2, 169.0, 167.6, 161.3 (d, J = 251.5 Hz), 153.1, 139.5, 133.9, 133.9, 132.5 (d, J = 6.1 Hz), 131.8, 130.6, 128.8, 128.6, 127.8, 127.8, 123.2 (d, J = 16.2 Hz), 121.8, 121.7, 119.6, 119.3, 114.6, 53.2, 50.1, 41.7, 34.8, 33.0, 28.5, 28.0, 21.3; ^{19}F NMR (377 MHz, $CDCl_3$) δ -111.52; FTIR (cm^{-1}): 3429, 2960, 2918, 1708, 1660, 1637, 1609, 1518, 1481, 1379, 1246, 879, 805, 700; HRMS (ESI-TOF) m/z: [M + H]$^{+}$ calcd for $C_{31}H_{29}BrFN_2O_3$ 575.1340, found 575.1345.

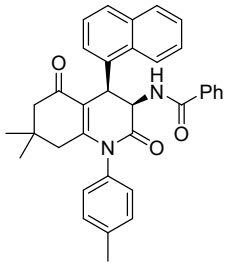
N-(7,7-dimethyl-2,5-dioxo-4-(perfluorophenyl)-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4n)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 3/1); white solid; 47.7 mg, 84% yield; >99:1 dr; M.P.: 287.7-287.9 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.66 (d, J = 7.3 Hz, 2H), 7.52-7.46 (m, 1H), 7.43-7.34 (m, 3H), 7.32 (d, J =

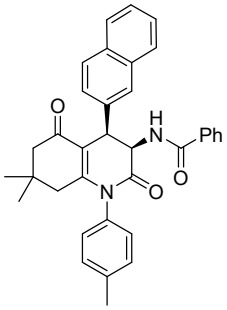
8.1 Hz, 1H), 7.15 (d, *J* = 8.1 Hz, 1H), 7.07 (dd, *J* = 8.0, 2.2 Hz, 1H), 6.84 (s, 1H), 5.47 (d, *J* = 9.4 Hz, 1H), 5.38 (dd, *J* = 9.4, 5.5 Hz, 1H), 2.45 (s, 3H), 2.29 (t, *J* = 12.7 Hz, 2H), 2.23-2.12 (m, 1H), 2.08 (d, *J* = 17.8 Hz, 1H), 1.06 (s, 3H), 0.97 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.4, 168.5, 167.7, 154.2, 147.4-147.2 (m), 144.8, 139.6, 136.4-136.1 (m), 133.8, 133.4, 132.1, 130.7, 130.6, 128.7, 128.6, 128.2, 127.0, 112.2, 111.5-111.2 (m), 52.1, 49.9, 41.8, 33.0, 30.4, 28.5, 27.8, 21.3; ^{19}F NMR (377 MHz, $CDCl_3$) δ 140.09 (t, *J* = 9.4 Hz), 154.47 (t, *J* = 18.5 Hz), -161.66 (d, *J* = 67.4 Hz); FTIR (cm^{-1}): 3417, 2960, 2921. 1711, 1660, 1635, 1617, 1524, 1489, 1382, 1248, 698; HRMS (ESI-TOF) m/z: [M + H]$^+$ calcd for $C_{31}H_{26}F_5N_2O_3$ 569.1858, found 569.1867.

N-(7,7-dimethyl-4-(naphthalen-1-yl)-2,5-dioxo-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4o)



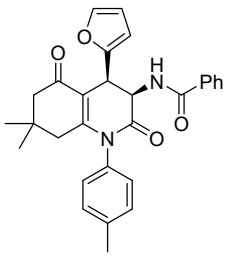
Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 47.0 mg, 89% yield; >99:1 dr; M.P.: 229.8-231.2 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.39-8.30 (m, 1H), 7.81-7.73 (m, 2H), 7.44-7.39 (m, 2H), 7.39-7.34 (m, 3H), 7.33 (dd, *J* = 9.7, 4.5 Hz, 2H), 7.23 (d, *J* = 9.3 Hz, 2H), 7.21-7.18 (m, 2H), 7.14 (dd, *J* = 16.3, 8.0 Hz, 2H), 6.18 (d, *J* = 7.4 Hz, 1H), 5.78 (d, *J* = 7.8 Hz, 1H), 5.65 (t, *J* = 7.6 Hz, 1H), 2.43 (s, 3H), 2.32 (d, *J* = 15.8 Hz, 2H), 2.28 (d, *J* = 16.6 Hz, 1H), 2.17 (d, *J* = 17.8 Hz, 1H), 1.11 (s, 3H), 1.04 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.2, 168.1, 153.1, 139.4, 134.0, 134.0, 134.0, 133.1, 133.0, 131.5, 130.7, 130.6, 129.0, 128.7, 128.5, 128.3, 127.6, 127.0, 126.6, 125.9, 125.2, 124.1, 123.7, 118.2, 54.8, 50.2, 41.7, 33.6, 33.2, 28.8, 27.9, 21.3; FTIR (cm^{-1}): 3423, 2955, 2926, 1714, 1657, 1640, 1512, 1484, 1373, 1243, 791, 771, 715, 700; HRMS (ESI-TOF) m/z: [M + H]$^+$ calcd for $C_{35}H_{33}N_2O_3$ 529.2486, found 529.2488.

N-(7,7-dimethyl-4-(naphthalen-2-yl)-2,5-dioxo-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4p)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 45.4 mg, 86% yield; >99:1 dr; M.P.: 174.6-176.1 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81-7.75 (m, 2H), 7.75-7.70 (m, 2H), 7.66-7.60 (m, 2H), 7.47-7.40 (m, 3H), 7.36 (dd, J = 8.5, 1.6 Hz, 2H), 7.32 (dd, J = 10.4, 4.7 Hz, 3H), 7.19 (d, J = 7.9 Hz, 1H), 7.14 (d, J = 7.9 Hz, 1H), 6.58 (d, J = 6.7 Hz, 1H), 5.45 (dd, J = 7.4, 6.9 Hz, 1H), 5.09 (d, J = 7.6 Hz, 1H), 2.43 (s, 3H), 2.31 (t, J = 9.9 Hz, 2H), 2.26 (s, 1H), 2.14 (d, J = 17.7 Hz, 1H), 1.09 (s, 3H), 1.01 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.2, 169.5, 167.5, 152.5, 139.4, 134.0, 134.0, 134.0, 133.5, 132.9, 131.8, 130.7, 130.6, 129.0, 128.7, 128.6, 127.8, 127.7, 127.4, 127.1, 127.1, 126.4, 126.3, 126.1, 117.6, 54.2, 50.2, 41.7, 38.7, 33.1, 28.7, 28.0, 21.3; FTIR (cm^{-1}): 3440, 2960, 2918, 1714, 1666, 1643, 1515, 1484, 1368, 1314, 1243, 817, 800, 752, 698; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{35}H_{33}N_2O_3$ 529.2486, found 529.2486.

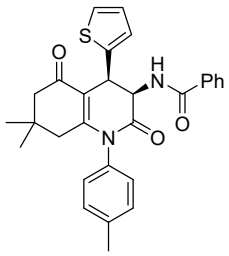
N-(4-(furan-2-yl)-7,7-dimethyl-2,5-dioxo-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4q)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 32.3 mg, 69% yield; >99:1 dr; M.P.: 222.8-223.1 ℃; ^{1}H NMR (400 MHz,

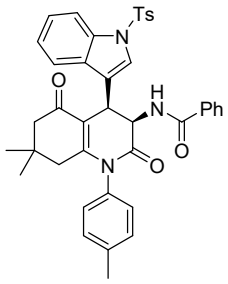
$CDCl_3$) δ 7.75-7.66 (m, 2H), 7.51-7.44 (m, 1H), 7.39 (t, J = 7.5 Hz, 2H), 7.34-7.27 (m, 3H), 7.11 (dd, J = 14.5, 8.6 Hz, 2H), 6.79 (d, J = 6.6 Hz, 1H), 6.27 (dd, J = 3.1, 1.9 Hz, 1H), 6.23 (d, J = 3.1 Hz, 1H), 5.24 (t, J = 6.8 Hz, 1H), 5.02 (d, J = 7.0 Hz, 1H), 2.43 (s, 3H), 2.29 (s, 2H), 2.10 (q, J = 17.6 Hz, 2H), 1.06 (s, 3H), 0.96 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.8, 169.5, 167.3, 153.1, 150.7, 142.4, 139.2, 134.2, 134.0, 131.8, 130.6, 130.4, 128.9, 128.6, 127.7, 127.1, 115.5, 110.6, 108.8, 52.9, 50.1, 41.5, 33.1, 33.0, 28.5, 28.0, 21.3; FTIR (cm^{-1}): 3443, 2960, 2918, 1717, 1652, 1646, 1538, 1510, 1379, 1311, 1234, 1183, 720; HRMS (ESI-TOF) m/z: [M + H]$^+$ calcd for $C_{29}H_{29}N_2O_4$ 469.2122, found 469.2129.

N-(7,7-dimethyl-2,5-dioxo-4-(thiophen-2-yl)-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4r)



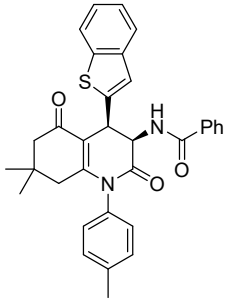
Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 30.5 mg, 63% yield; >99:1 dr; M.P.: 248.2-248.4 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.78-7.71 (m, 2H), 7.49 (m, 1H), 7.41 (dd, J = 10.4, 4.6 Hz, 2H), 7.31 (d, J = 7.0 Hz, 2H), 7.21-7.14 (m, 2H), 7.10 (d, J = 7.5 Hz, 1H), 6.93 (dd, J = 5.1, 2.7 Hz, 2H), 6.77 (d, J = 6.5 Hz, 1H), 5.30 (t, J = 6.8 Hz, 1H), 5.21 (d, J = 6.9 Hz, 1H), 2.43 (s, 3H), 2.37-2.24 (m, 2H), 2.14 (q, J = 17.7 Hz, 2H), 1.07 (s, 3H), 1.03 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.7, 169.1, 167.2, 152.4, 139.4, 139.0, 134.0, 133.9, 131.8, 130.5, 130.5, 128.9, 128.6, 127.8, 127.4, 127.1, 126.7, 124.7, 118.0, 54.1, 50.1, 41.5, 34.3, 33.0, 28.3, 28.3, 21.3; FTIR (cm^{-1}): 3443, 2963, 2923, 1717, 1671, 1652, 1521, 1495, 1359, 1251, 1149, 723; HRMS (ESI-TOF) m/z: [M + H]$^+$ calcd for $C_{29}H_{29}N_2O_3S$ 485.1893, found 485.1899.

N-(7,7-dimethyl-2,5-dioxo-1-(p-tolyl)-4-(1-tosyl-1H-indol-3-yl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4s)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 1/1); white solid; 35.6 mg, 53% yield; >99:1 dr; M.P.: 268.2-268.4 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 (d, J = 8.3 Hz, 1H), 7.59 (dd, J = 8.1, 3.7 Hz, 3H), 7.45-7.37 (m, 3H), 7.34 (d, J = 7.7 Hz, 1H), 7.31-7.27 (m, 2H), 7.26-7.21 (m, 3H), 7.19 (dd, J = 10.4, 2.4 Hz, 1H), 7.11 (s, 3H), 7.06-6.99 (m, 1H), 6.41 (d, J = 6.5 Hz, 1H), 5.38 (t, J = 6.6 Hz, 1H), 5.19 (d, J = 6.8 Hz, 1H), 2.46 (s, 3H), 2.34 (dd, J = 14.0, 6.0 Hz, 2H), 2.25 (d, J = 20.0 Hz, 4H), 2.15 (d, J = 17.8 Hz, 1H), 1.10 (s, 3H), 1.05 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.6, 169.3, 167.6, 152.4, 145.1, 139.6, 135.3, 134.5, 133.8, 133.8, 131.6, 131.0, 131.0, 130.8, 129.9, 128.9, 128.4, 127.5, 127.0, 126.7, 125.2, 123.6, 123.3, 120.6, 119.7, 117.6, 113.6, 54.6, 50.1, 41.5, 33.1, 29.7, 28.4, 28.2, 21.5, 21.3; FTIR (cm^{-1}): 3409, 2960, 2926, 1705, 1679, 1663, 1629, 1515, 1453, 1359, 1248, 1189, 1172, 1102, 811, 752, 692; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{40}H_{38}N_3O_5S$ 672.2527, found 672.2535.

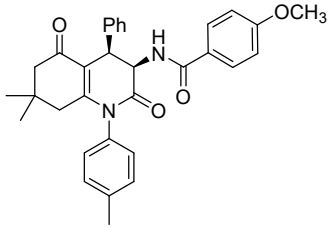
N-(4-(benzo[b]thiophen-2-yl)-7,7-dimethyl-2,5-dioxo-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4t)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 30.4 mg, 57% yield; >99:1 dr; M.P.: 242.5-242.6 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (t, J = 7.6 Hz, 3H), 7.65 (dd, J = 6.3, 1.8 Hz, 1H), 7.48 (t, J = 7.4 Hz, 1H), 7.42-7.36 (m, 2H), 7.32 (dd, J = 11.2, 5.4 Hz, 2H), 7.30-7.23 (m, 3H), 7.18 (s,

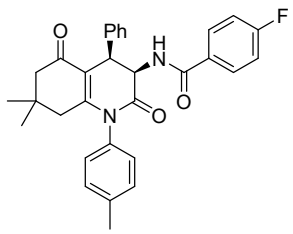
1H), 7.12 (d, *J* = 8.0 Hz, 1H), 6.84 (d, *J* = 6.1 Hz, 1H), 5.40-5.34 (m, 1H), 5.32 (d, *J* = 7.2 Hz, 1H), 2.45 (s, 3H), 2.34 (t, *J* = 9.5 Hz, 2H), 2.21 (d, *J* = 17.8 Hz, 1H), 2.11 (d, *J* = 17.8 Hz, 1H), 1.08 (s, 3H), 1.03 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 194.8, 169.1, 167.4, 152.5, 139.9, 139.7, 139.5, 139.3, 133.9, 133.8, 131.9, 130.6, 130.5, 128.8, 128.7, 127.9, 127.2, 124.4, 124.3, 123.9, 123.5, 122.3, 117.3, 53.8, 50.1, 41.5, 35.3, 33.0, 28.4, 28.2, 21.3; FTIR (cm^{-1}): 3446, 2960, 2921, 1717, 1663, 1654, 1620, 1515, 1490, 1373, 1359, 1246, 1192, 808, 726, 702; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{33}H_{31}N_2O_3S$ 535.2050, found 535.2061.

N-(7,7-dimethyl-2,5-dioxo-4-phenyl-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)-4-methoxybenzamide (4v)



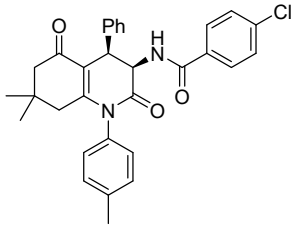
Purified by silica gel column chromatography (Petroleum ether/EtOAc = 1/1); white solid; 35.6 mg, 70% yield; >99:1 dr; M.P.: 192.0-193.4 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.67-7.58 (m, 2H), 7.32 (dd, *J* = 10.4, 4.5 Hz, 2H), 7.30-7.26 (m, 2H), 7.26-7.19 (m, 3H), 7.11 (d, *J* = 8.5 Hz, 2H), 6.90-6.83 (m, 2H), 6.44 (d, *J* = 6.8 Hz, 1H), 5.40-5.33 (m, 1H), 4.87 (d, *J* = 7.6 Hz, 1H), 3.81 (s, 3H), 2.44 (s, 3H), 2.31 (t, *J* = 9.8 Hz, 2H), 2.23 (d, *J* = 17.7 Hz, 1H), 2.10 (d, *J* = 17.5 Hz, 1H), 1.08 (s, 3H), 1.01 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.7, 166.8, 162.4, 152.3, 139.3, 136.4, 134.0, 130.6, 130.5, 129.0, 128.9, 128.9, 128.2, 127.7, 127.5, 126.3, 117.5, 113.8, 55.4, 53.9, 50.2, 41.6, 38.7, 33.1, 28.7, 27.9, 21.3; FTIR (cm^{-1}): 3417, 2960, 2921, 1717, 1660, 1635, 1515, 1501, 1376, 1314, 1246, 1186, 1143, 811, 700; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{32}H_{33}N_2O_4$ 509.2435, found 509.2441.

N-(7,7-dimethyl-2,5-dioxo-4-phenyl-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)-4-fluorobenzamide (4w)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 32.7 mg, 66% yield; >99:1 dr; M.P.: 234.5-236.1 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.66 (m, 2H), 7.36-7.28 (m, 3H), 7.28-7.24 (m, 2H), 7.24-7.19 (m, 2H), 7.11 (d, J = 8.5 Hz, 2H), 7.08-7.00 (m, 2H), 6.48 (d, J = 6.7 Hz, 1H), 5.40-5.30 (m, 1H), 4.88 (d, J = 7.6 Hz, 1H), 2.44 (s, 3H), 2.31 (t, J = 9.6 Hz, 2H), 2.23 (d, J = 17.7 Hz, 1H), 2.11 (d, J = 17.6 Hz, 1H), 1.08 (s, 3H), 1.01 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.4, 166.2, 166.9 (d, J = 253.5 Hz), 152.3, 139.4, 136.4, 133.9, 130.7, 130.6, 130.2 (d, J = 3.0 Hz), 129.5, 129.4, 128.9, 128.2, 127.8, 127.5, 117.5, 115.8, 115.5, 54.1, 50.2, 41.6, 38.6, 33.1, 28.7, 27.9, 21.3; ^{19}F NMR (377 MHz, $CDCl_3$) δ -107.71; FTIR (cm^{-1}): 3432, 2960, 2921, 1711, 1663, 1640, 1511, 1489, 1376, 1243, 1189, 1146, 857, 700; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{31}H_{30}FN_2O_3$ 497.2235, found 497.2240.

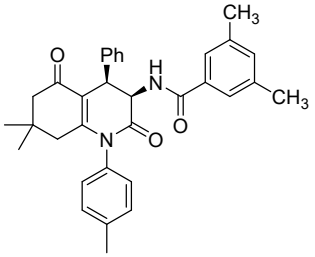
4-chloro-N-(7,7-dimethyl-2,5-dioxo-4-phenyl-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)benzamide (4x)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 31.8 mg, 62% yield; >99:1 dr; M.P.: 243.6-244.5 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 (dd, J = 5.0, 1.1 Hz, 1H), 7.36-7.31 (m, 3H), 7.29 (dd, J = 7.3, 5.1 Hz, 2H), 7.25 (dd, J = 7.8, 2.3 Hz, 3H), 7.22 (s, 1H), 7.11 (d, J = 8.5 Hz, 2H), 7.00 (dd, J = 4.9, 3.8 Hz, 1H), 6.40 (d, J = 6.8 Hz, 1H), 5.38-5.29 (m, 1H), 4.86 (d, J = 7.6 Hz, 1H), 2.43 (s, 3H), 2.30 (t, J = 9.8 Hz, 2H), 2.23 (d, J = 17.7 Hz, 1H), 2.11 (d, J = 17.5 Hz, 1H), 1.08 (s, 3H), 1.00 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.3,

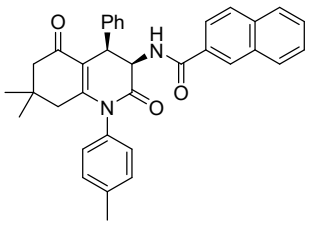
161.6, 152.4, 139.4, 138.2, 136.2, 133.9, 130.6, 130.5, 130.5, 128.9, 128.5, 128.2, 127.8, 127.7, 127.5, 127.5, 117.4, 53.9, 50.2, 41.6, 38.7, 33.1, 28.7, 28.0, 21.3; FTIR (cm^{-1}): 3423, 2963, 2921, 1714, 1666, 1646, 1549, 1519, 1386, 1246, 1145, 1070, 817, 715; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{31}H_{30}ClN_2O_3$ 513.1939, found 513.1941.

N-(7,7-dimethyl-2,5-dioxo-4-phenyl-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)-3,5-dimethylbenzamide (4y)



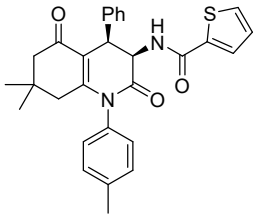
Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 35.9 mg, 71% yield; >99:1 dr; M.P.: 184.5-186.1 °C; ^1H NMR (400 MHz, $CDCl_3$) δ 7.36-7.28 (m, 3H), 7.28-7.25 (m, 3H), 7.25-7.19 (m, 3H), 7.12 (s, 1H), 7.10 (d, J = 4.9 Hz, 2H), 6.52 (d, J = 6.8 Hz, 1H), 5.36 (dd, J = 7.4, 7.0 Hz, 1H), 4.90 (d, J = 7.6 Hz, 1H), 2.43 (s, 3H), 2.29 (d, J = 3.6 Hz, 8H), 2.26-2.18 (m, 1H), 2.12 (d, J = 17.6 Hz, 1H), 1.08 (s, 3H), 1.01 (s, 3H); ^{13}C NMR{^1H} (101 MHz, $CDCl_3$) δ 195.1, 169.6, 167.7, 152.3, 139.4, 138.3, 136.4, 134.0, 134.0, 133.4, 130.6, 130.5, 129.0, 128.9, 128.2, 127.7, 127.5, 124.9, 117.5, 54.0, 50.2, 41.6, 38.6, 33.1, 28.7, 28.0, 21.3, 21.2; FTIR (cm^{-1}): 3435, 2948, 2918, 1714, 1660, 1643, 1547, 1515, 1376, 1243, 1180, 1143, 814, 760, 735, 700; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{33}H_{35}N_2O_3$ 507.2642, found 507.2647.

N-(7,7-dimethyl-2,5-dioxo-4-phenyl-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)-2-naphthamide (4z)



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 39.6 mg, 75% yield; >99:1 dr; M.P.: 253.3-255.7 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (s, 1H), 7.85 (d, J = 8.2 Hz, 3H), 7.73 (dd, J = 8.6, 1.6 Hz, 1H), 7.52 (m, 2H), 7.37-7.31 (m, 2H), 7.29 (dd, J = 4.6, 2.5 Hz, 2H), 7.27-7.22 (m, 3H), 7.13 (d, J = 8.5 Hz, 2H), 6.70 (d, J = 6.7 Hz, 1H), 5.44 (t, J = 7.2 Hz, 1H), 4.95 (d, J = 7.6 Hz, 1H), 2.45 (s, 3H), 2.33 (t, J = 10.0 Hz, 2H), 2.25 (d, J = 17.5 Hz, 1H), 2.13 (d, J = 17.5 Hz, 1H), 1.10 (s, 3H), 1.02 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.6, 167.3, 152.3, 139.4, 136.4, 134.9, 134.0, 132.6, 131.2, 130.7, 130.6, 129.0, 129.0, 128.9, 128.5, 128.2, 127.8, 127.8, 127.7, 127.7, 127.5, 126.8, 123.6, 117.5, 54.1, 50.2, 41.6, 38.6, 33.1, 28.7, 28.0, 21.3; FTIR (cm^{-1}): 3426, 2958, 2918, 1711, 1676, 1660, 1535, 1515, 1360, 1256, 1125, 1090, 817, 777, 686; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{35}H_{33}N_2O_3$ 529.2486, found 529.2492.

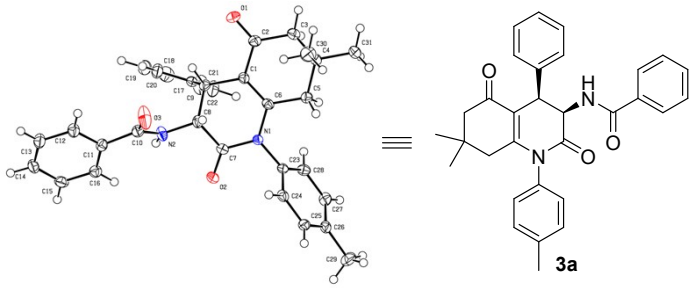
N-(7,7-dimethyl-2,5-dioxo-4-phenyl-1-(p-tolyl)-1,2,3,4,5,6,7,8-octahydroquinolin-3-yl)thiophene-2-carboxamide (4a')



Purified by silica gel column chromatography (Petroleum ether/EtOAc = 2/1); white solid; 34.8 mg, 72% yield; >99:1 dr; M.P.: 239.6-240.1 ℃; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 (d, J = 4.7 Hz, 1H), 7.33 (dd, J = 9.2, 3.6 Hz, 3H), 7.29 (d, J = 7.5 Hz, 2H), 7.24 (dd, J = 8.8, 7.7 Hz, 3H), 7.10 (d, J = 8.3 Hz, 2H), 7.05-6.95 (m, 1H), 6.39 (d, J = 6.7 Hz, 1H), 5.34 (t, J = 7.2 Hz, 1H), 4.86 (d, J = 7.5 Hz, 1H), 2.44 (s, 3H), 2.31 (t, J = 9.8 Hz, 2H), 2.23 (d, J = 17.6 Hz, 1H), 2.11 (d, J = 17.6 Hz, 1H), 1.08 (s, 3H), 1.01 (s, 3H); ^{13}C NMR{^{1}H} (101 MHz, $CDCl_3$) δ 195.1, 169.3, 161.6, 152.3, 139.4, 138.2, 136.2, 133.9, 130.6, 130.5, 130.5, 128.9, 128.5, 128.2, 127.8, 127.8, 127.6, 127.5, 117.4, 53.9, 50.2, 41.6, 38.7, 33.1, 28.7, 28.0, 21.3; FTIR (cm^{-1}): 3429, 2958, 2921, 1711, 1663, 1652, 1532, 1512, 1373, 1243, 1149,1138, 817; HRMS (ESI-TOF) m/z: $[M + H]^+$ calcd for $C_{29}H_{29}N_2O_3S$ 485.1893, found 485.1899.

2. Crystallographic information for products 3a and 4g

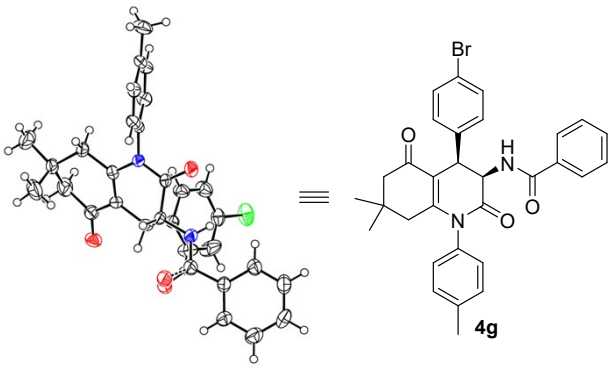
2.1 Crystallographic information for **3a**



(The ellipsoid contour is drawn at 30% probability level)

Identification code	**3a**
CCDC number	2103305
Empirical formula	$C_{31}H_{30}N_2O_3$
Formula weight	478.57
Temperature/K	150.00(10)
Crystal system	monoclinic
Space group	$P2_1/n$
a/Å	10.5155(11)
b/Å	15.442(2)
c/Å	15.190(2)
α/°	90
β/°	95.337(12)
γ/°	90
Volume/Å^3	2455.9(6)
Z	4
ρ_{calc}g/cm^3	1.294
μ/mm^{-1}	0.083
F(000)	1016.0
Crystal size/mm^3	0.14 × 0.13 × 0.12
Radiation	Mo Kα (λ = 0.71073)
2Θ range for data collection/°	4.522 to 49.998
Index ranges	-12 ≤ h ≤ 12, -18 ≤ k ≤ 14, -15 ≤ l ≤ 18
Reflections collected	9576
Independent reflections	4293 [R_{int} = 0.0550, R_{sigma} = 0.0677]
Data/restraints/parameters	4293/0/328
Goodness-of-fit on F^2	1.056
Final R indexes [I>=2σ (I)]	R_1 = 0.0609, wR_2 = 0.1430
Final R indexes [all data]	R_1 = 0.0819, wR_2 = 0.1582
Largest diff. peak/hole / e Å^{-3}	0.35/-0.31

2.2 Crystallographic information for **4g**

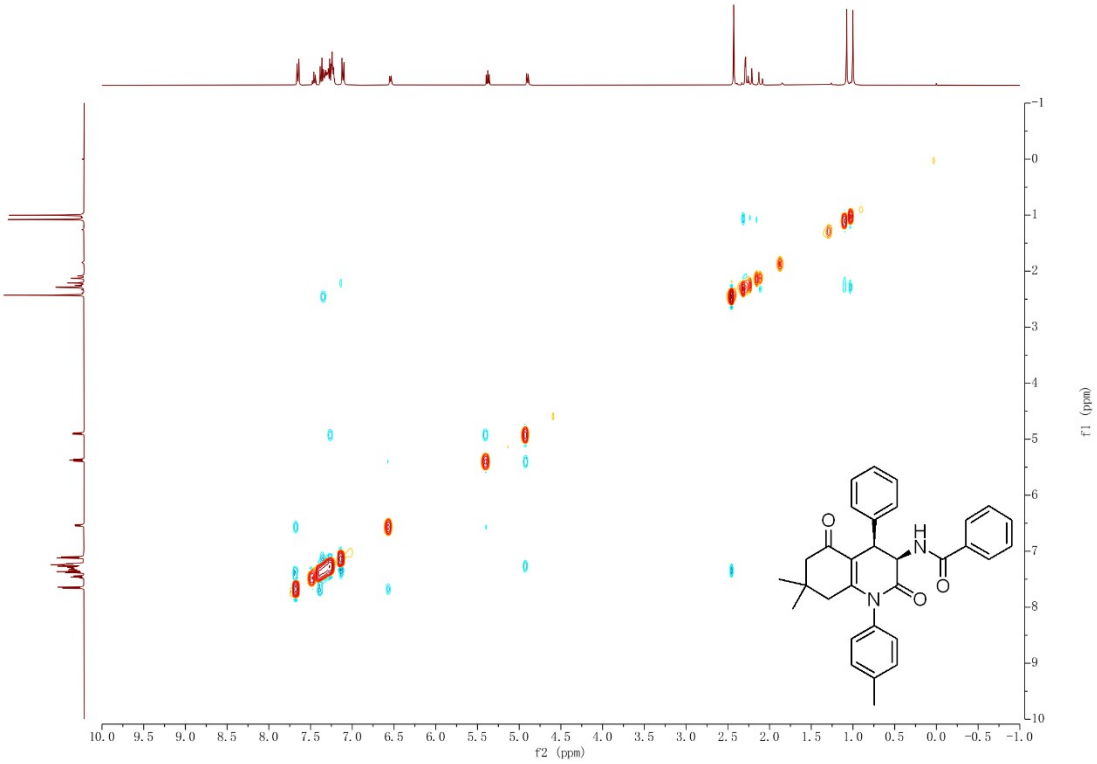


(The ellipsoid contour is drawn at 30% probability level)

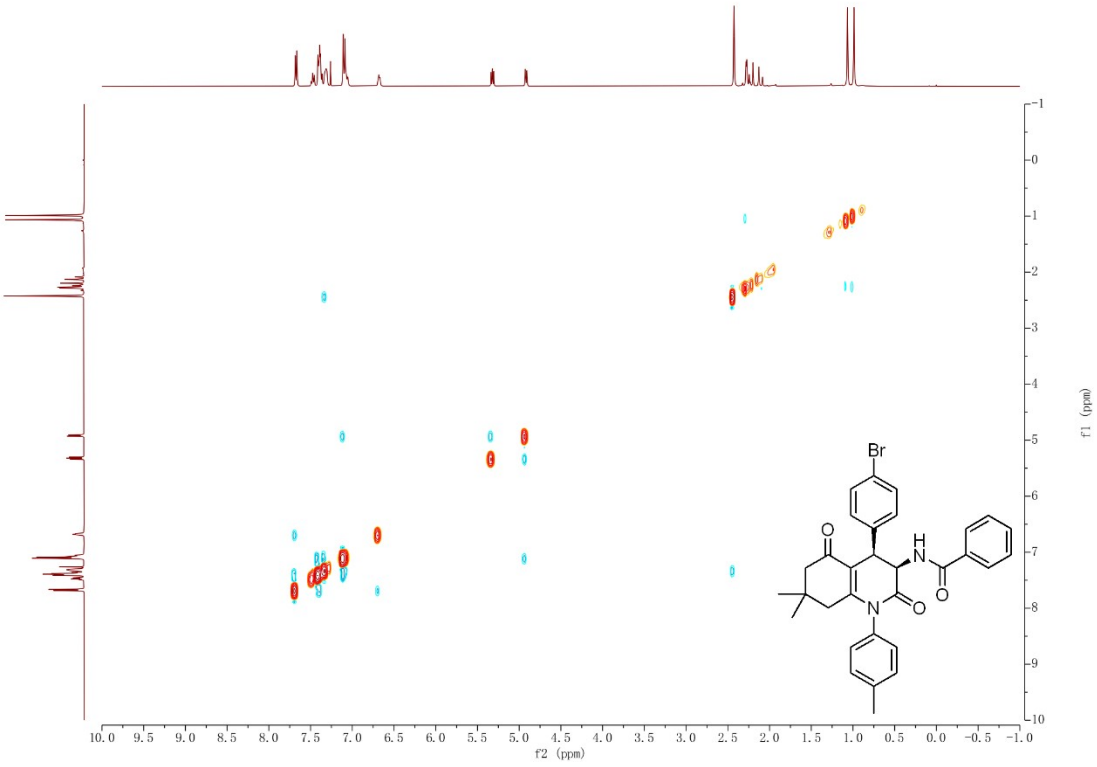
Identification code	**4g**
CCDC number	2103306
Empirical formula	$C_{31}H_{29}BrN_2O_3$
Formula weight	557.47
Temperature/K	250.01(10)
Crystal system	triclinic
Space group	P-1
a/Å	10.5937(6)
b/Å	15.3406(11)
c/Å	16.5661(7)
α/°	87.802(5)
β/°	88.240(4)
γ/°	81.951(5)
Volume/Å^3	2662.9(3)
Z	4
ρ_{calc}g/cm^3	1.391
μ/mm^{-1}	1.579
F(000)	1152.0
Crystal size/mm^3	0.14 × 0.13 × 0.12
Radiation	Mo Kα (λ = 0.71073)
2Θ range for data collection/°	3.884 to 50
Index ranges	-12 ≤ h ≤ 12, -15 ≤ k ≤ 18, -19 ≤ l ≤ 19
Reflections collected	19181
Independent reflections	9378 [R_{int} = 0.0446, R_{sigma} = 0.0903]
Data/restraints/parameters	9378/6/683
Goodness-of-fit on F^2	1.033
Final R indexes [I>=2σ (I)]	R_1 = 0.0621, wR_2 = 0.1327
Final R indexes [all data]	R_1 = 0.1175, wR_2 = 0.1585
Largest diff. peak/hole / e Å^{-3}	1.18/-0.56

3. ^{1}H NOESY NMR spectra for compounds 3a, 4g, 10 and 12

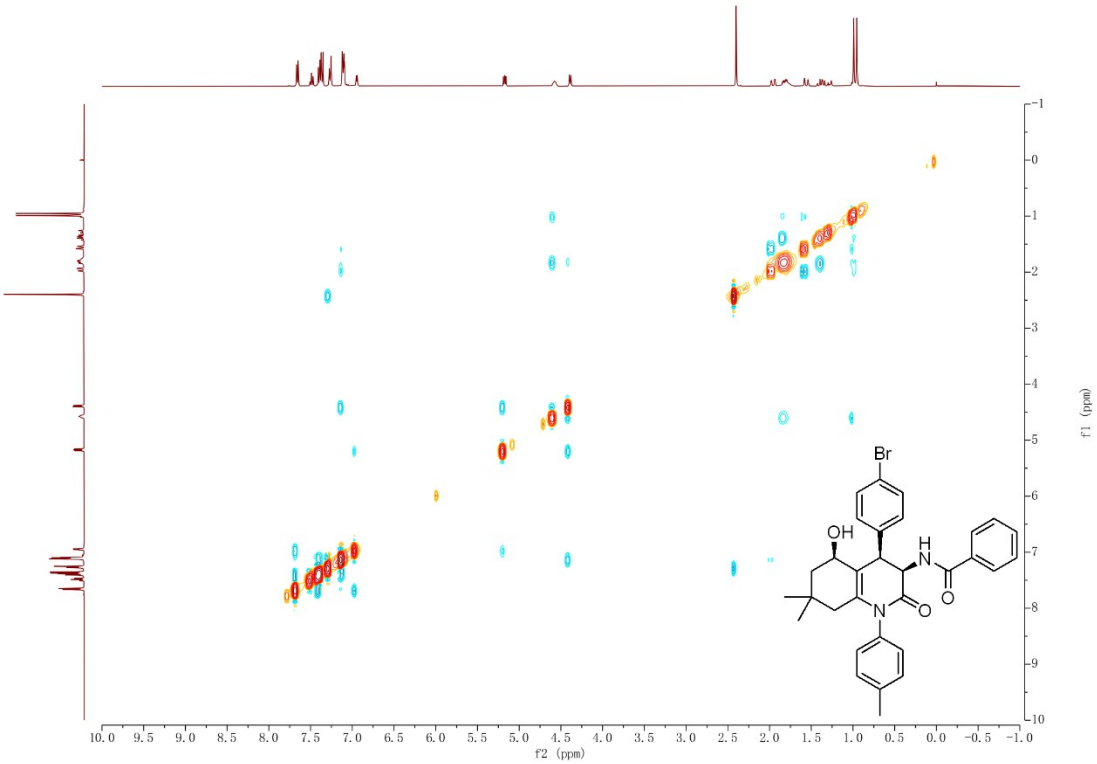
^{1}H NOESY NMR spectrum for 3a



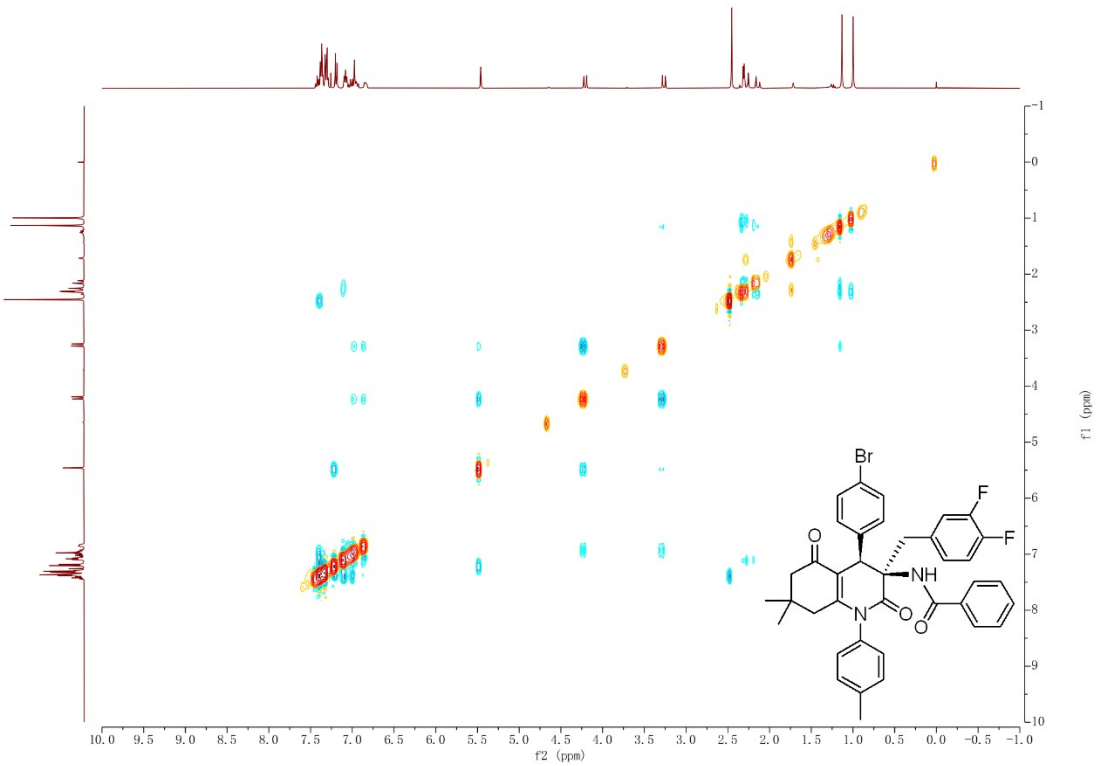
^{1}H NOESY NMR spectrum for 4g



^{1}H NOESY NMR spectrum for 10

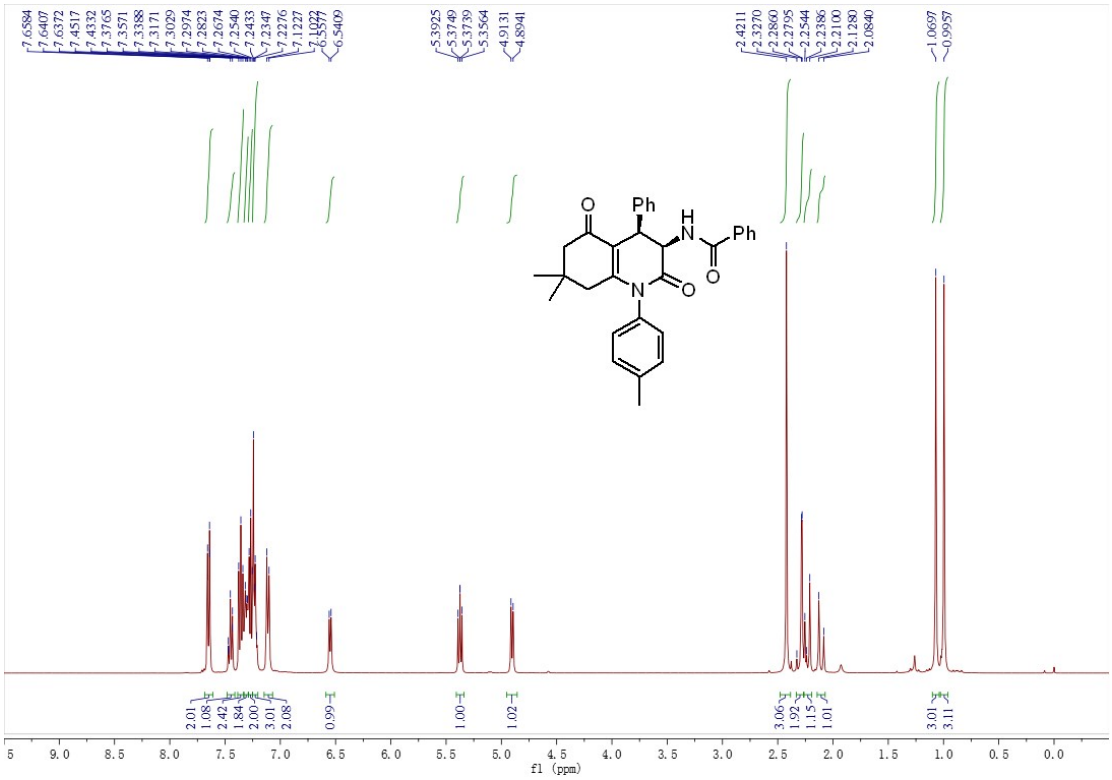


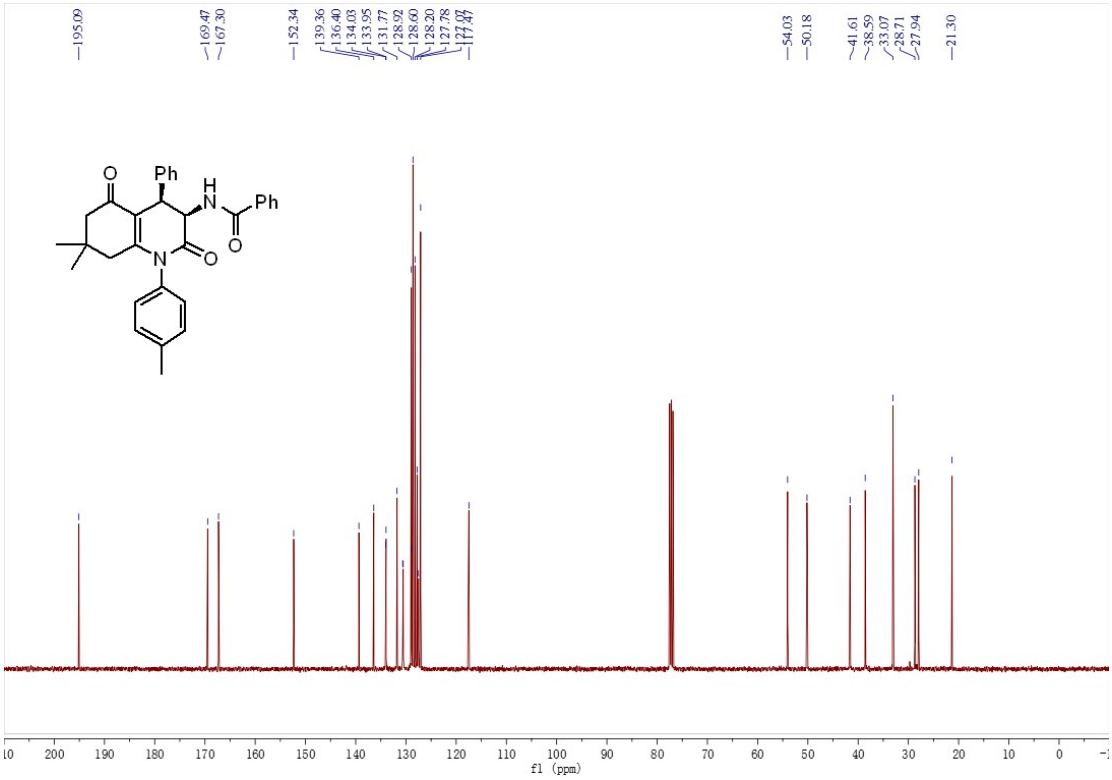
^{1}H NOESY NMR spectrum for 12



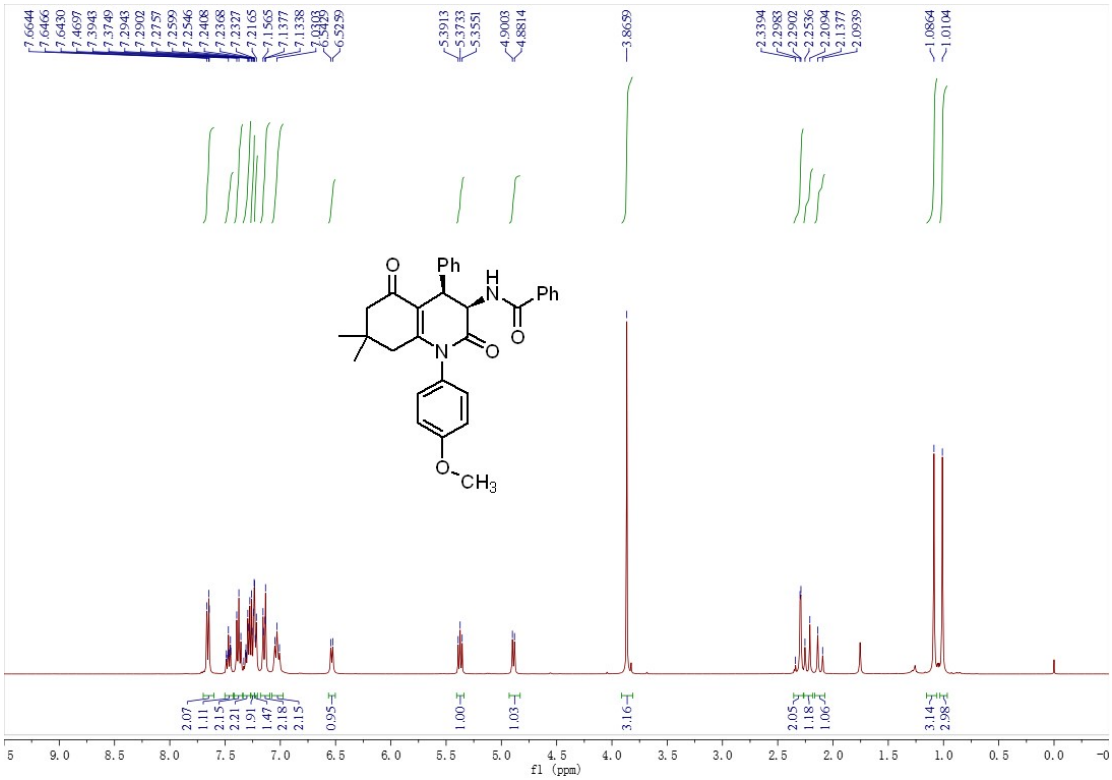
4. ^{1}H, ^{13}C and ^{19}F NMR spectra for products 3 and 4

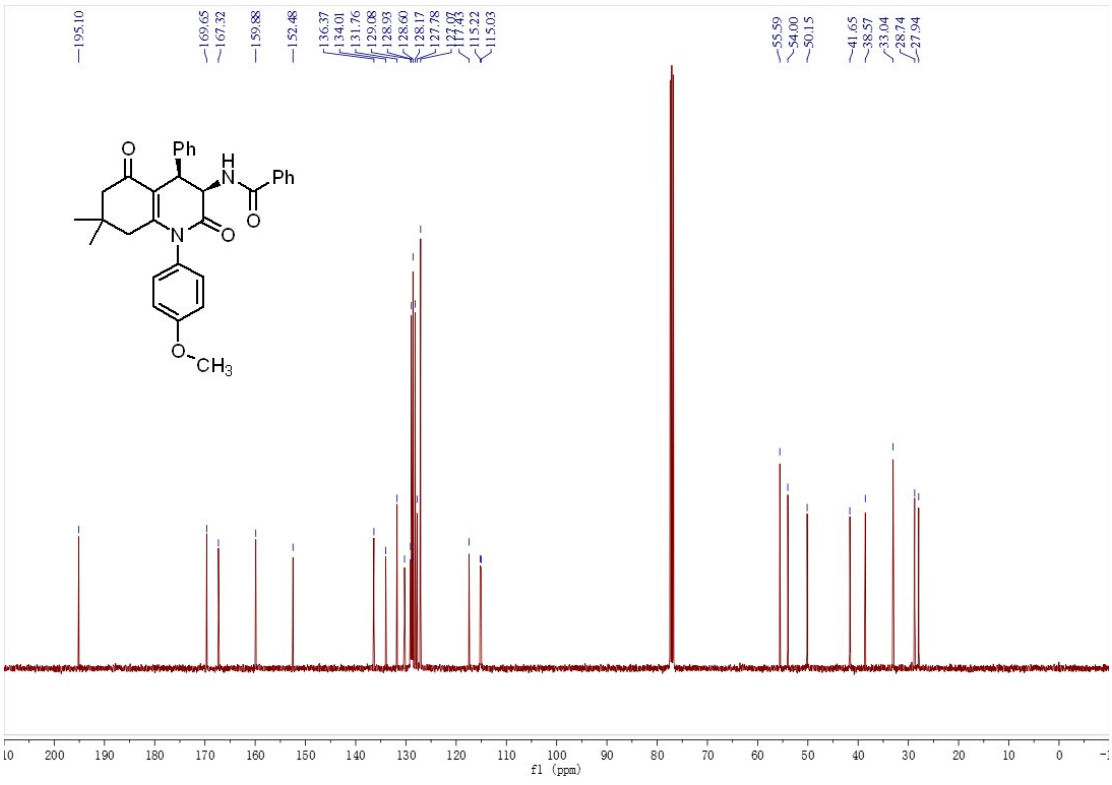
^{1}H and ^{13}C NMR spectra for 3a



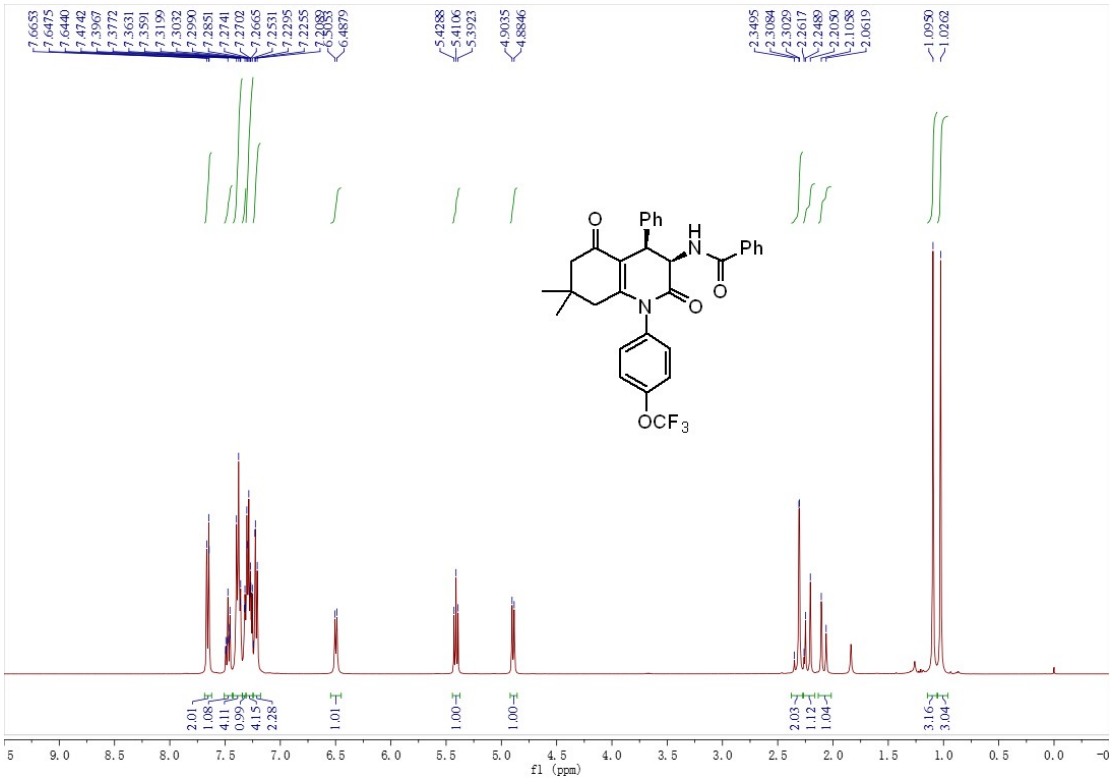


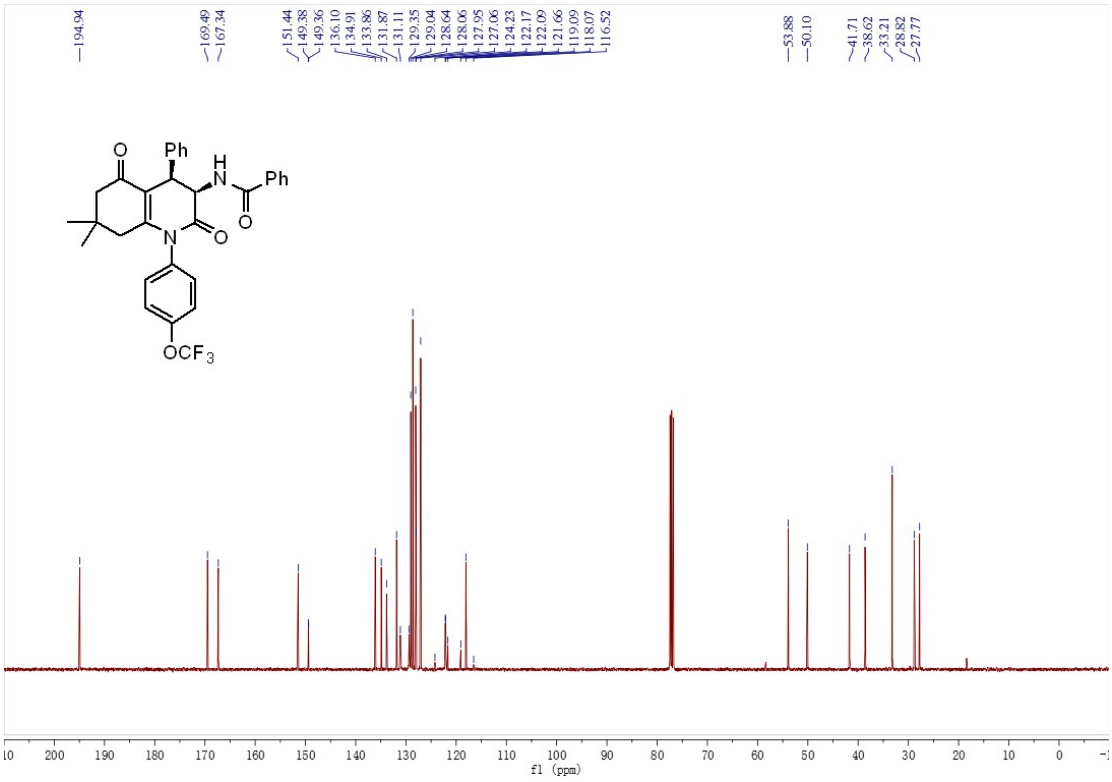
^{1}H and ^{13}C NMR spectra for 3b

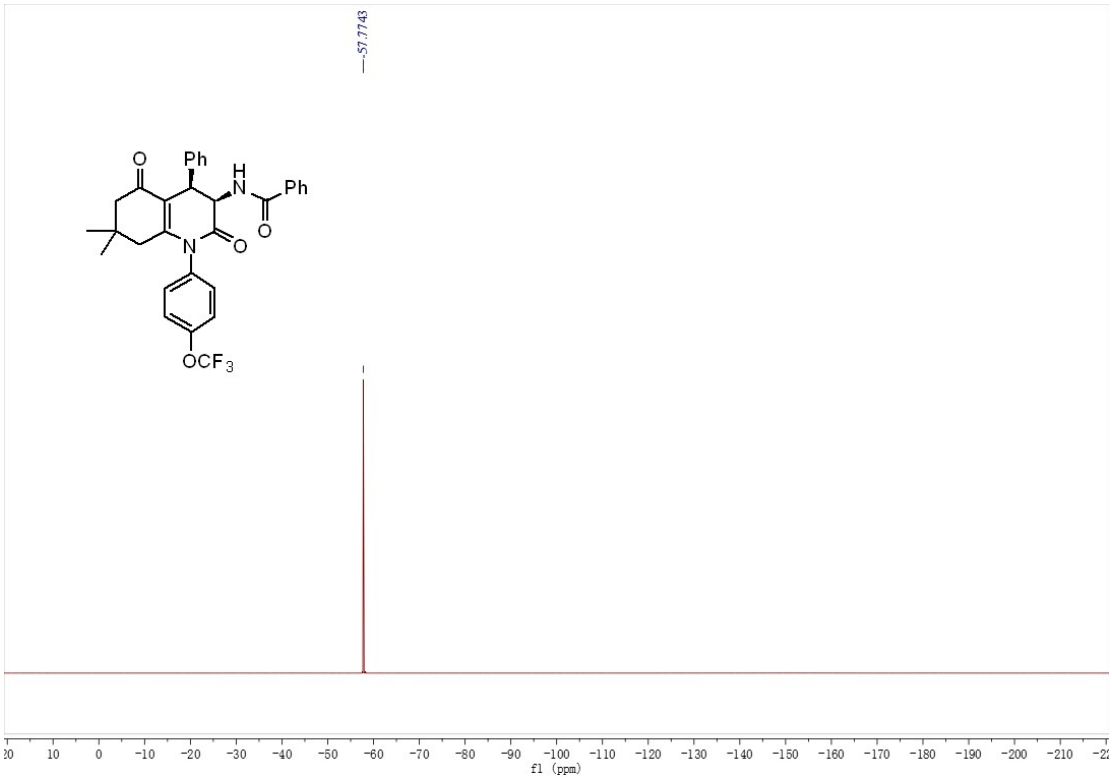




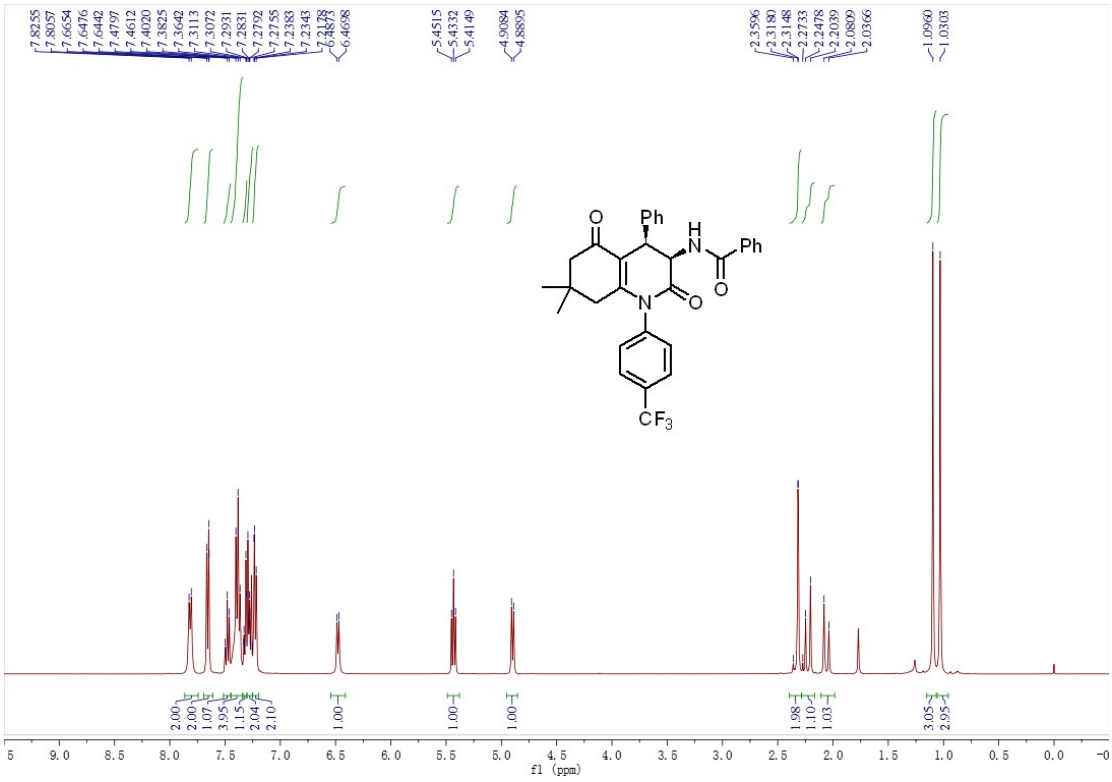
^{1}H, ^{13}C and ^{19}F NMR spectra for 3c

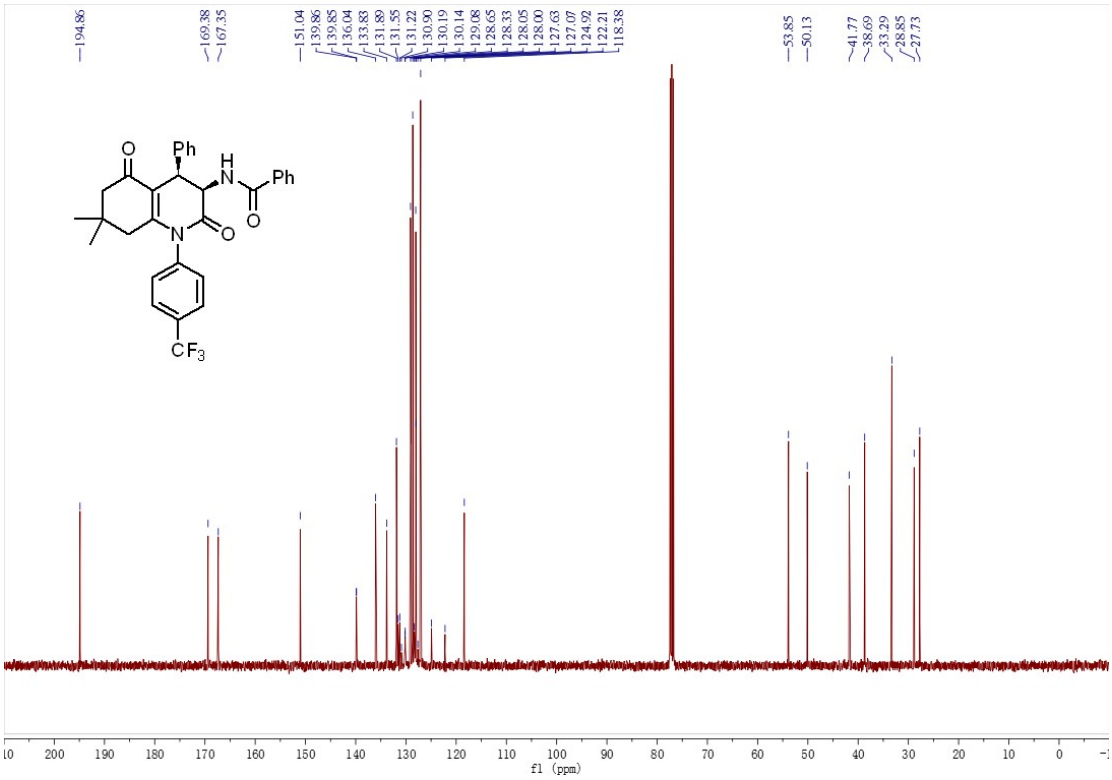


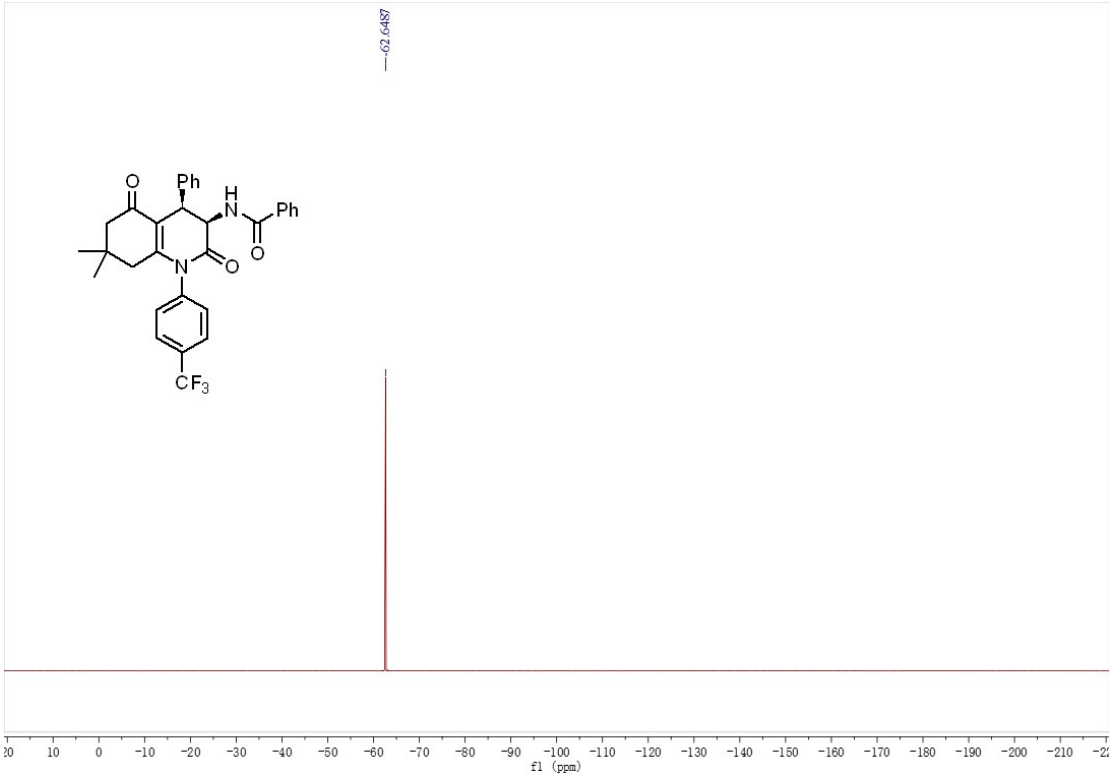




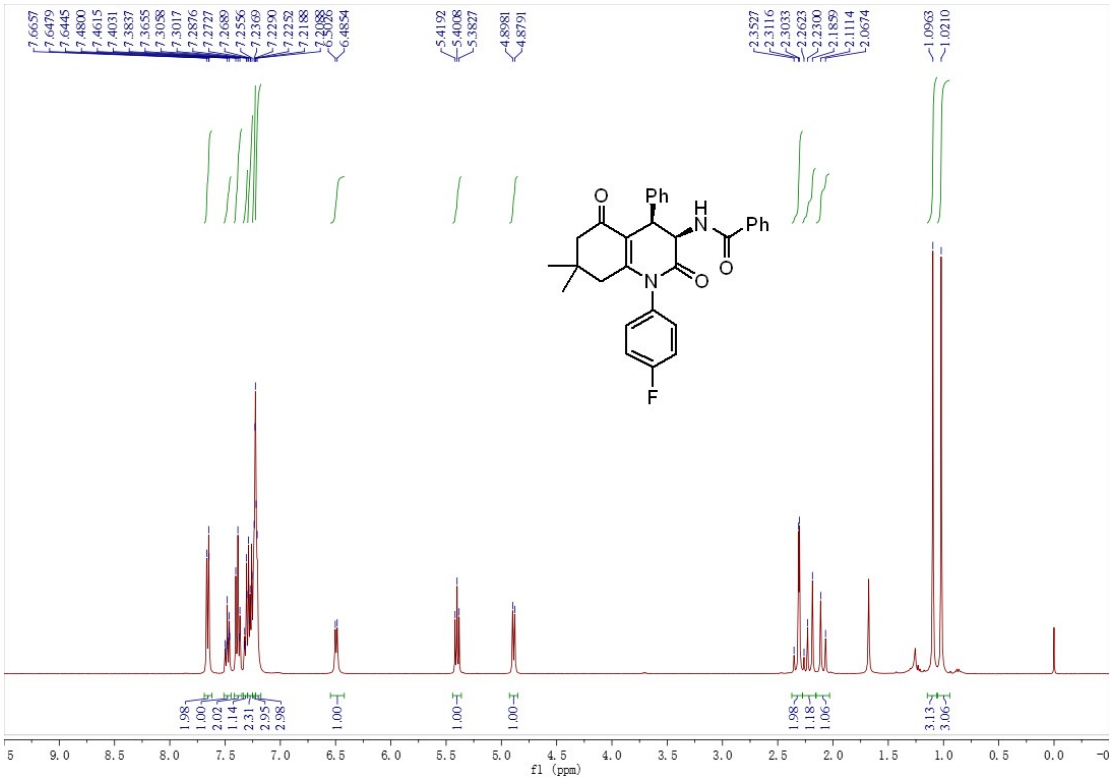
^{1}H, ^{13}C and ^{19}F NMR spectra for 3d

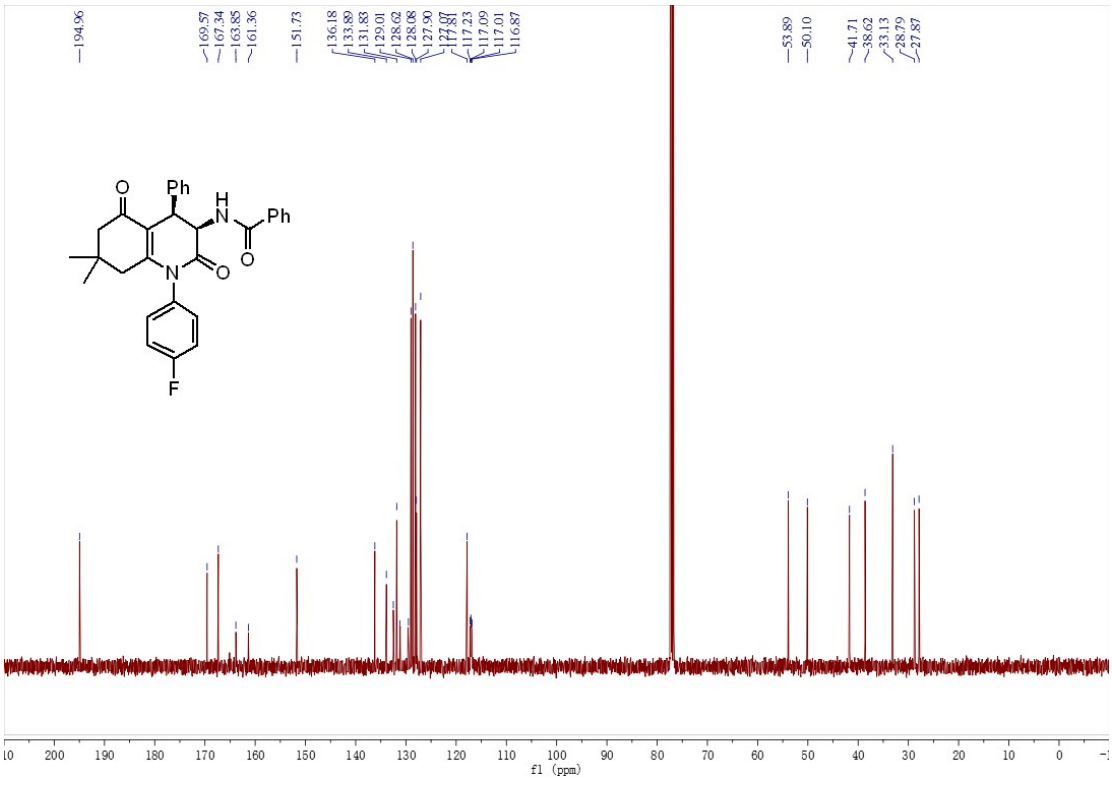


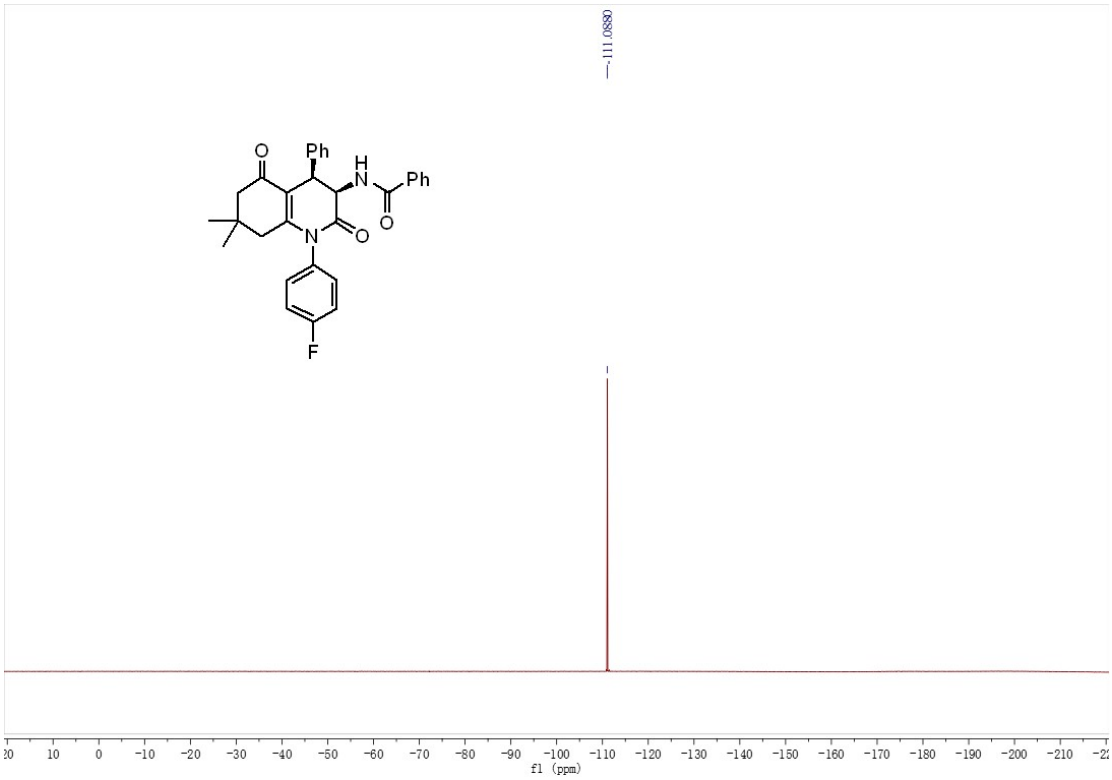

194.86
169.38
167.35
151.04
139.86
139.85
136.04
133.83
131.89
131.55
131.22
130.90
130.19
130.14
129.08
128.65
128.33
128.05
128.00
127.63
127.07
124.92
122.21
118.38
53.85
50.13
41.77
38.69
33.29
28.85
27.73
O
Ph
H
N
Ph
O
O
N
CF3
f1 (ppm)


-62.6487
O
Ph
H
N
Ph
O
O
N
CF3
f1 (ppm)

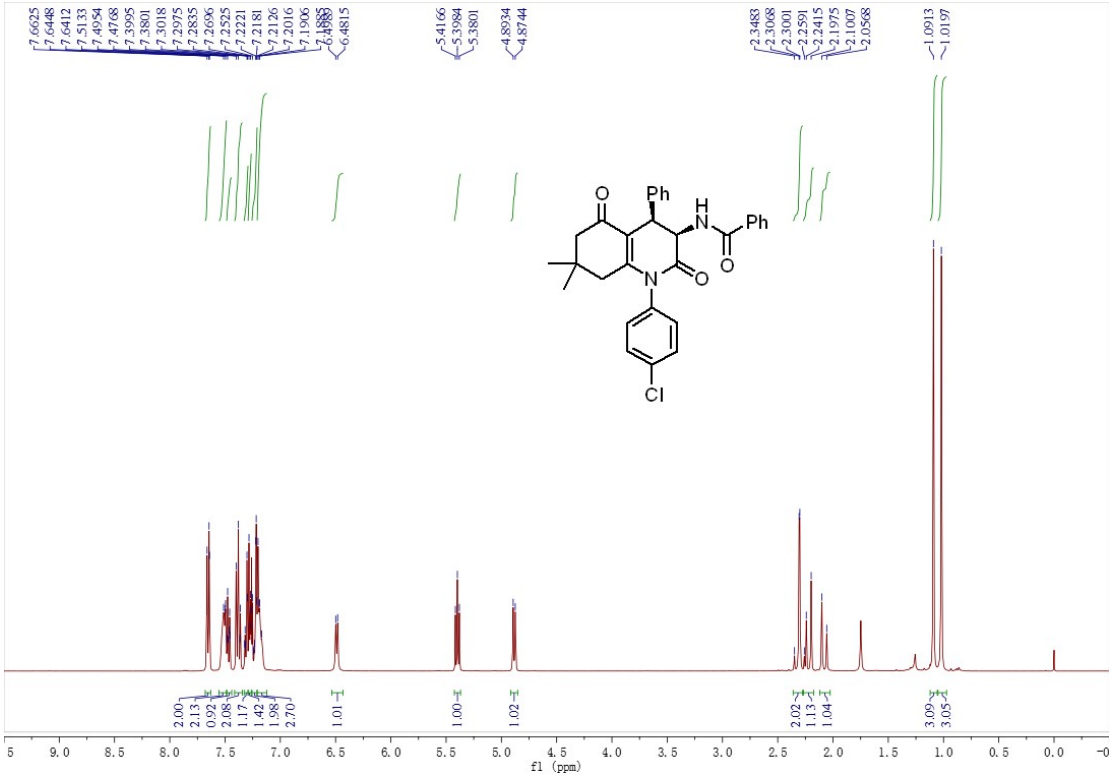
^{1}H, ^{13}C and ^{19}F NMR spectra for 3e

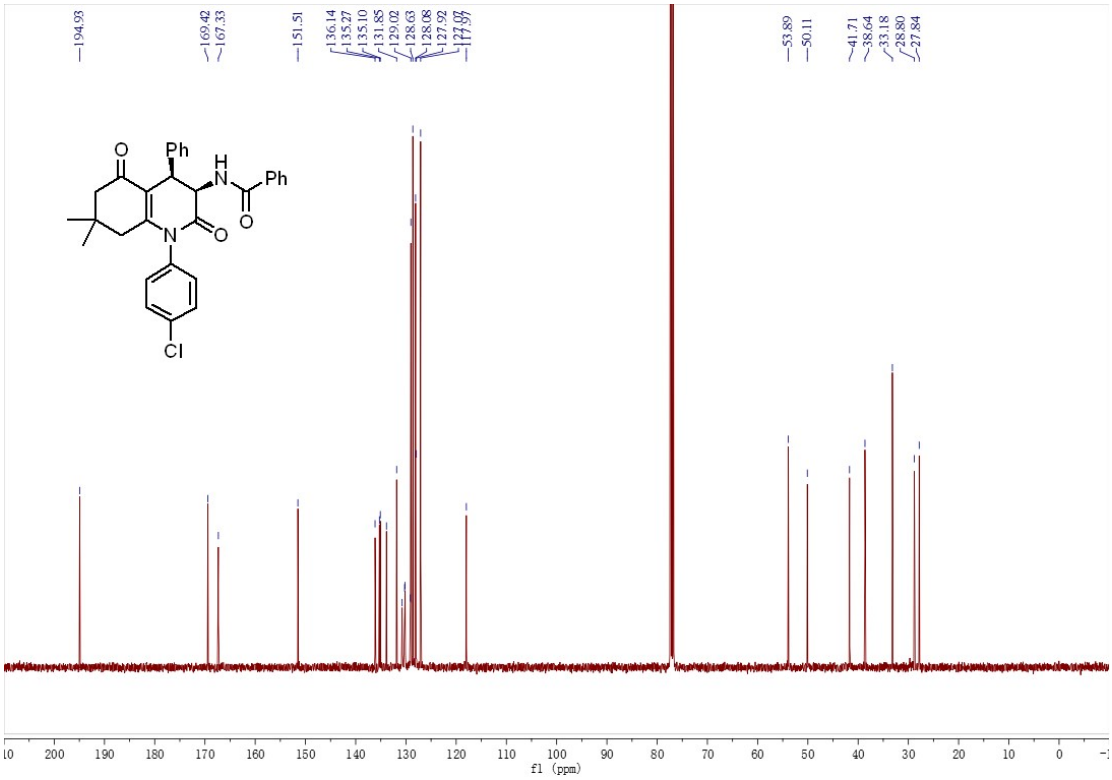




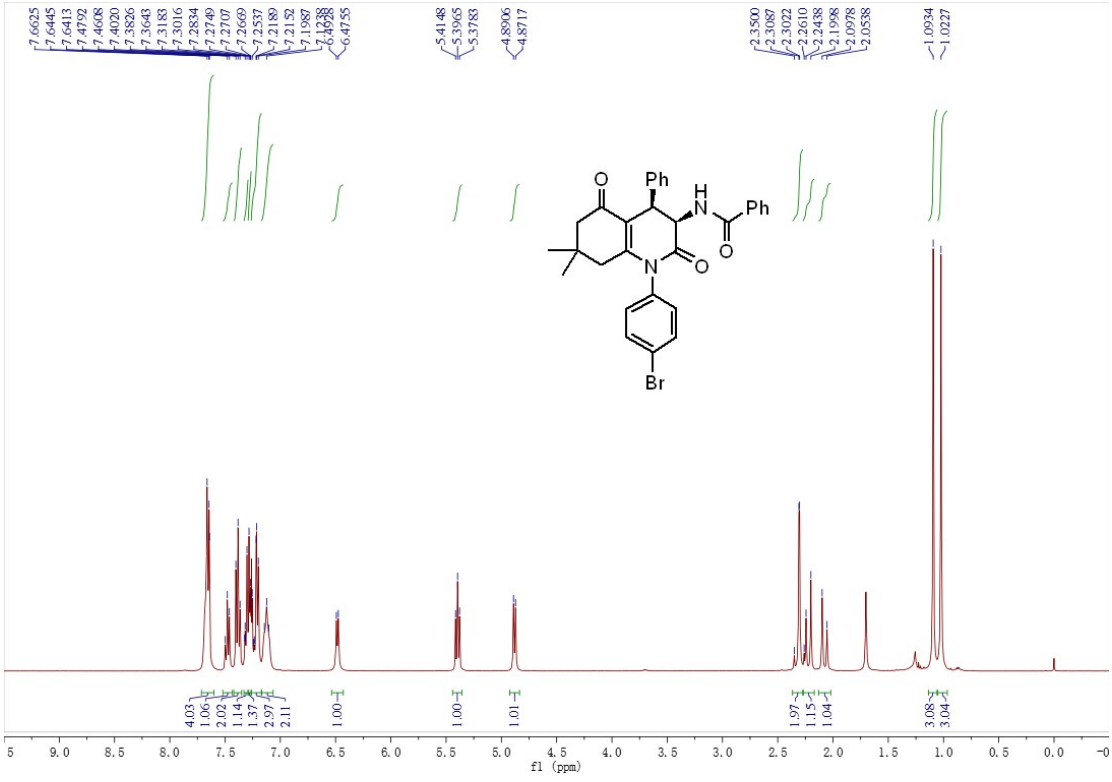


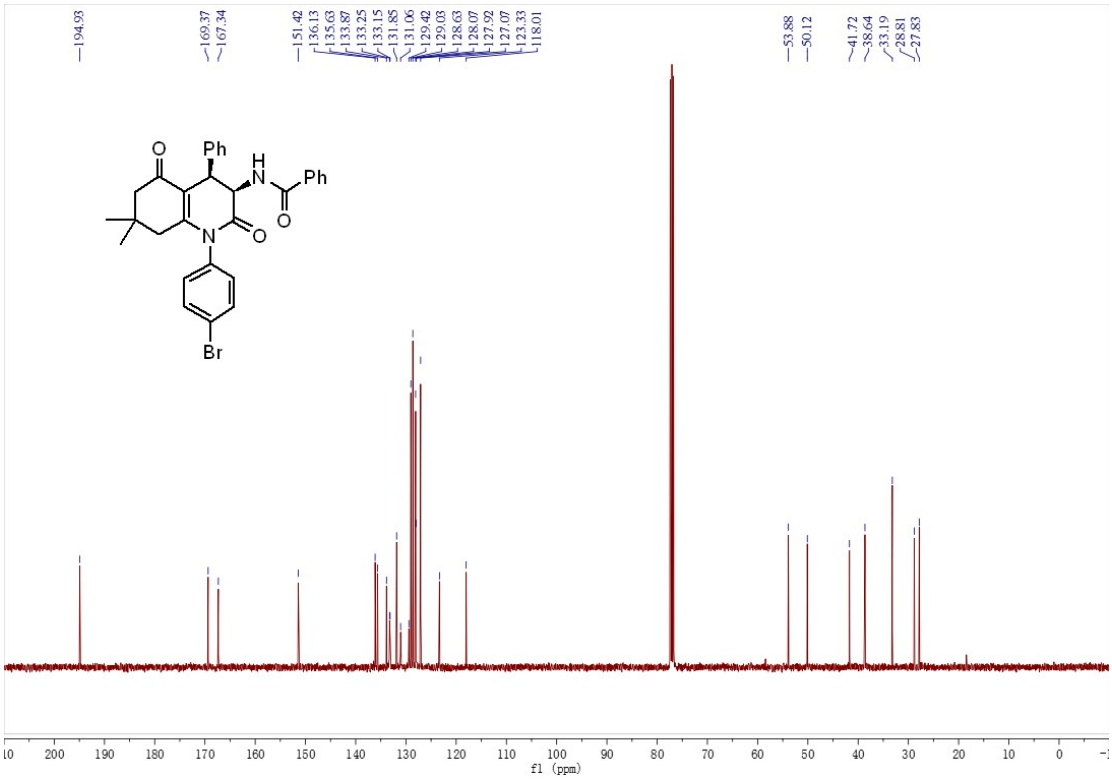
^{1}H and ^{13}C NMR spectra for 3f



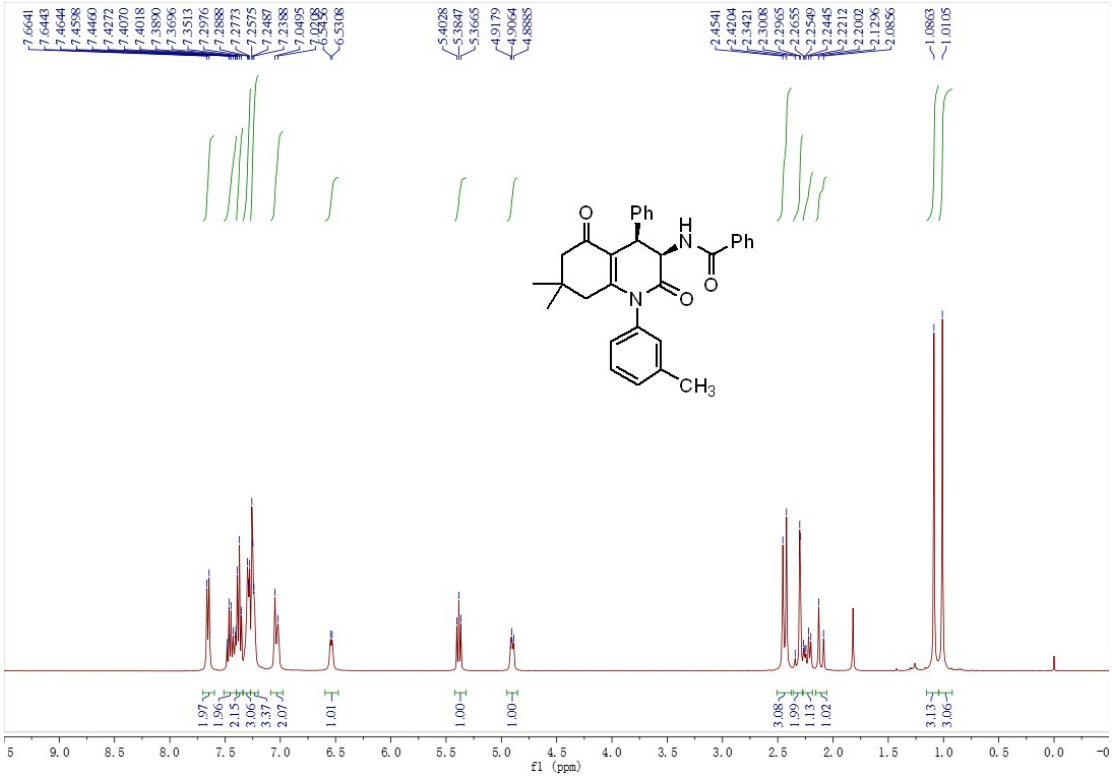


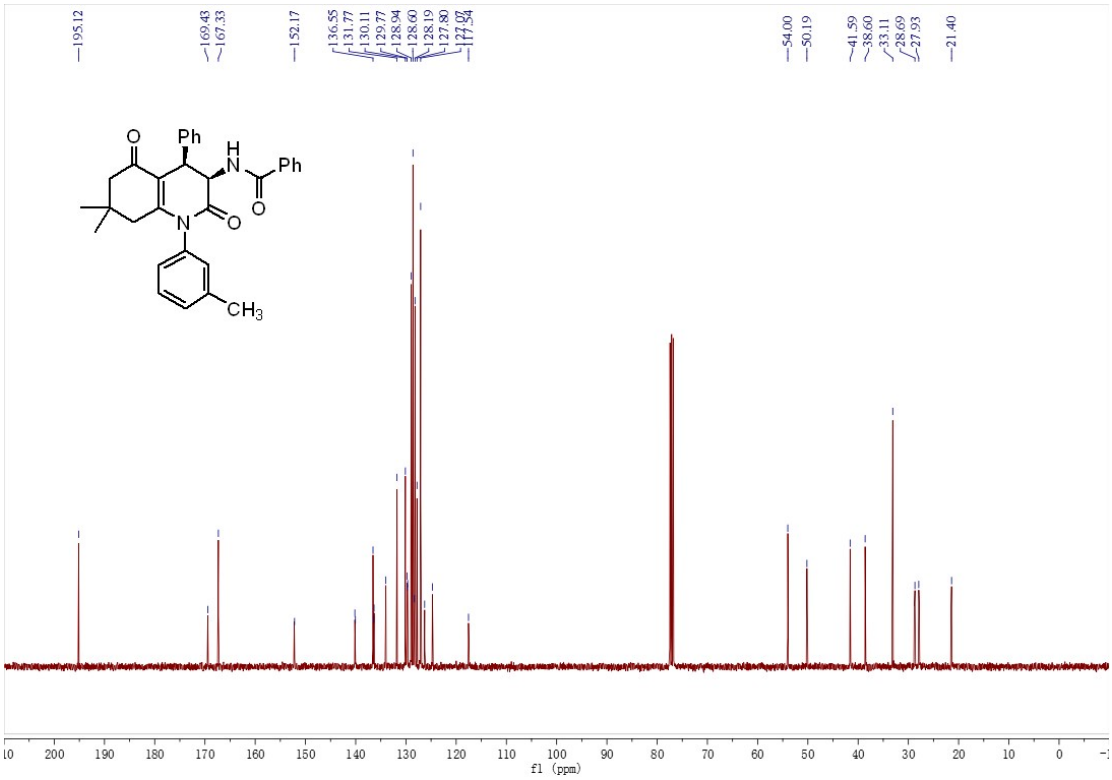
^{1}H and ^{13}C NMR spectra for 3g



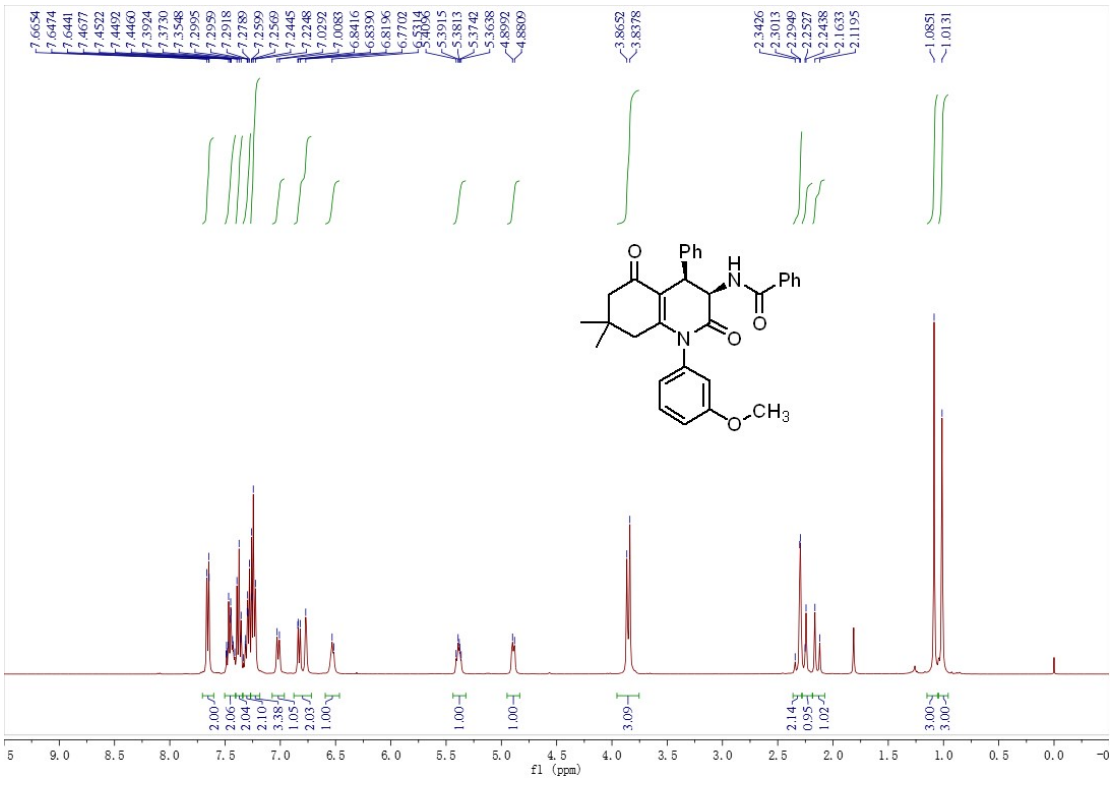


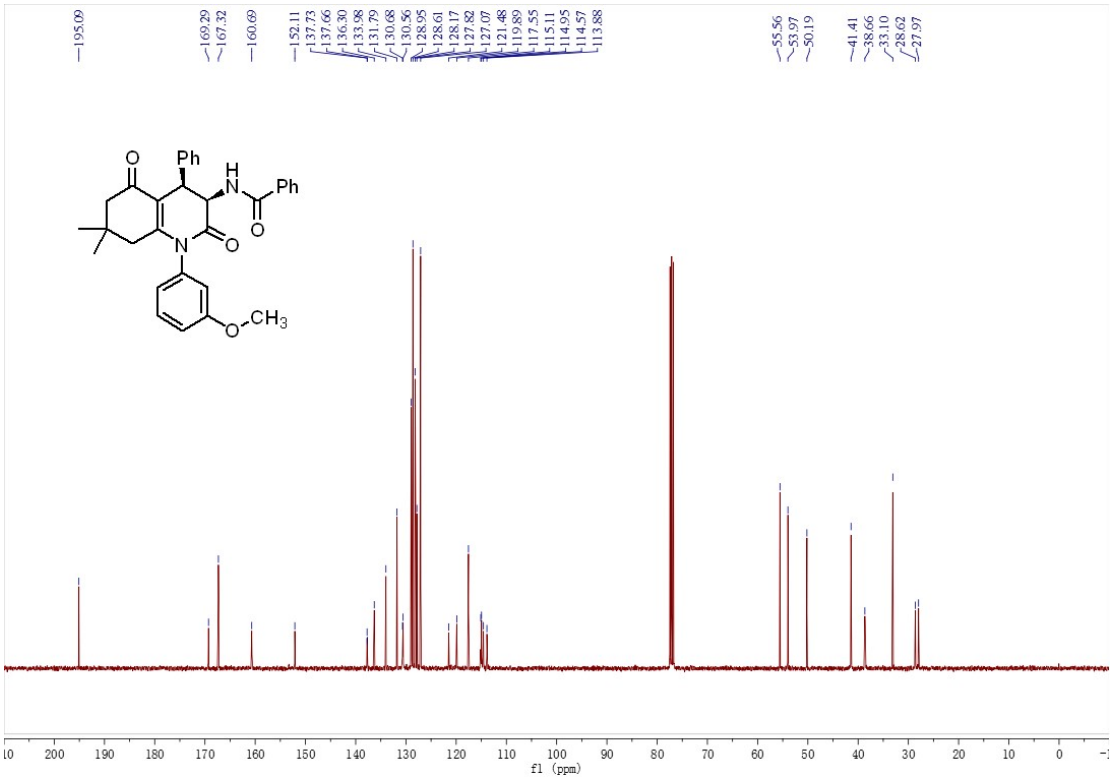
^{1}H and ^{13}C NMR spectra for 3h



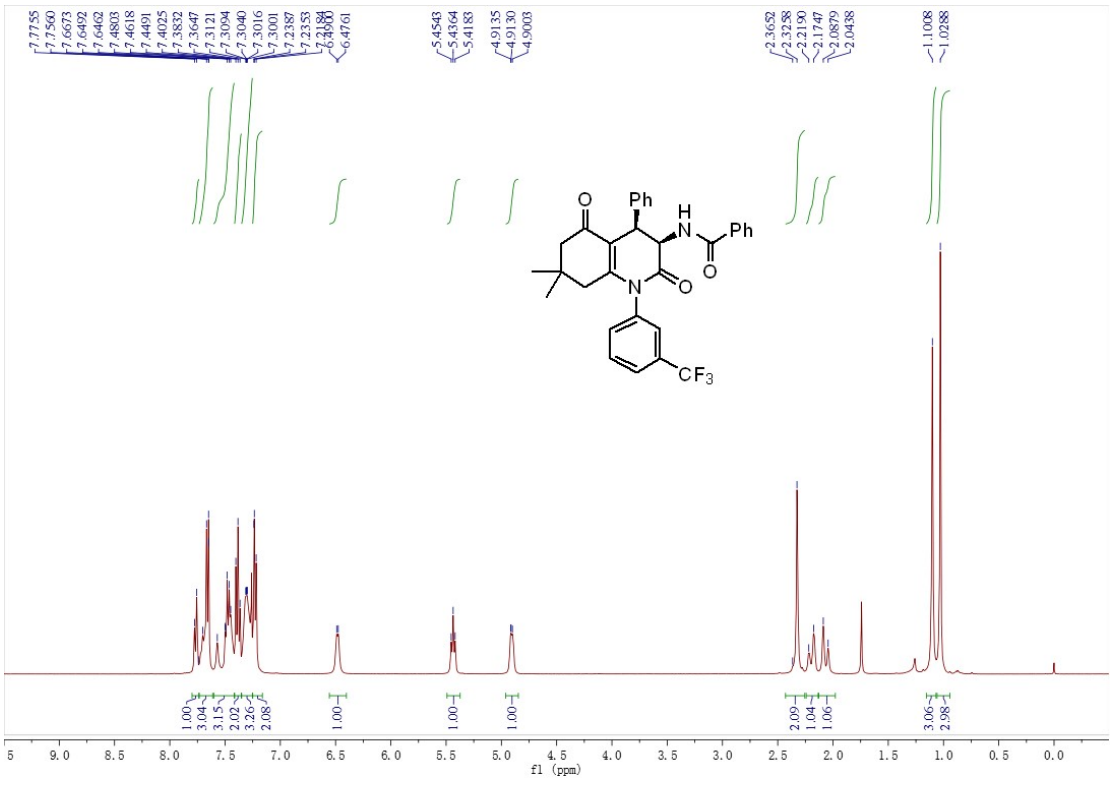


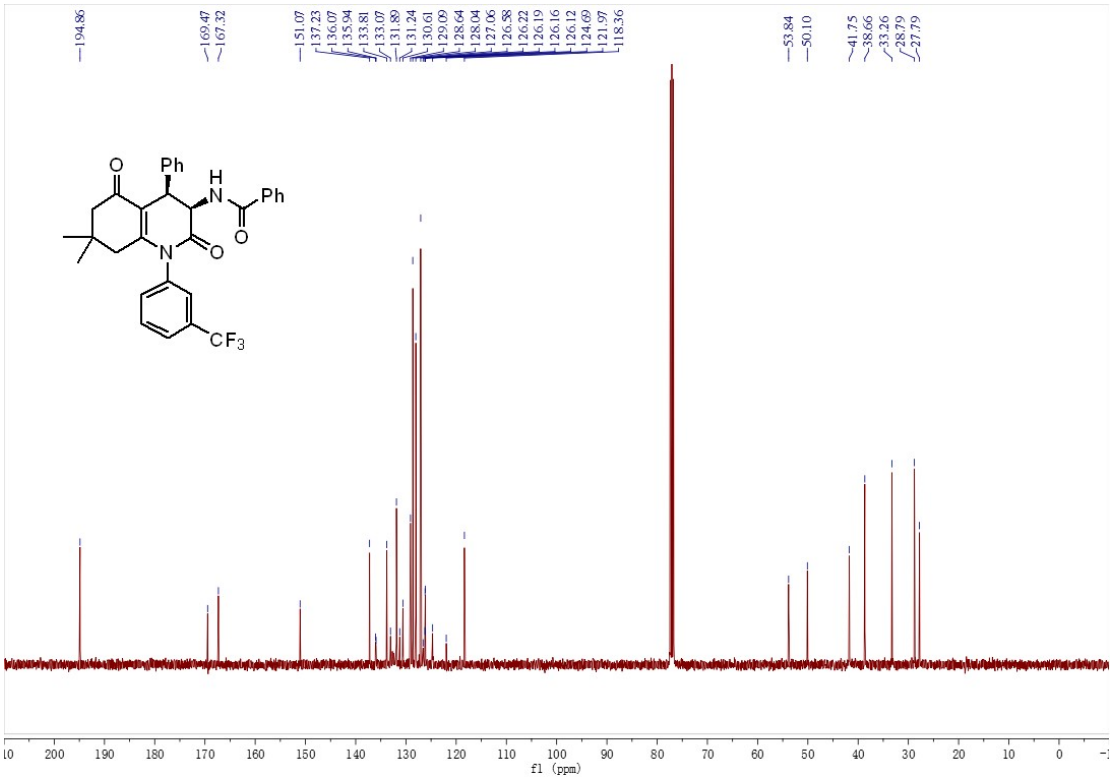
^{1}H and ^{13}C NMR spectra for 3i





^{1}H, ^{13}C and ^{19}F NMR spectra for 3j




194.86
169.47
167.32
151.07
137.23
136.07
135.94
133.81
133.07
131.89
131.24
130.61
129.09
128.64
128.04
127.06
126.38
126.22
126.19
126.16
126.12
124.69
121.97
118.36
53.84
50.10
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33.26
28.79
27.79
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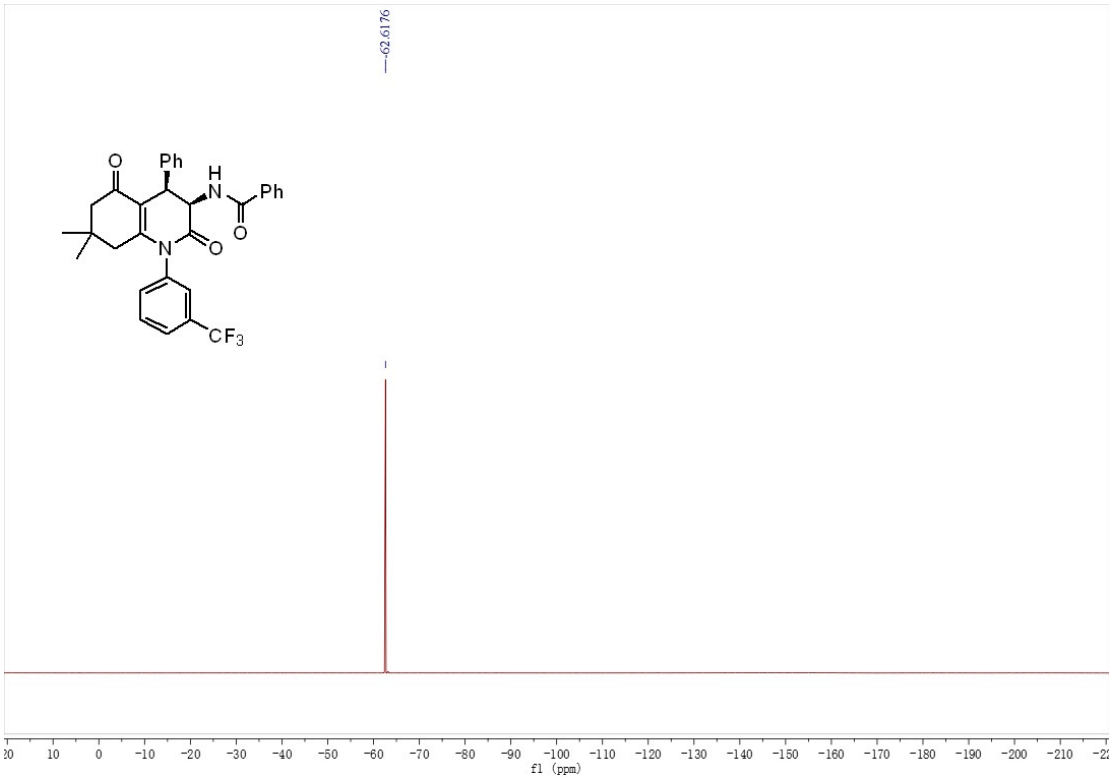
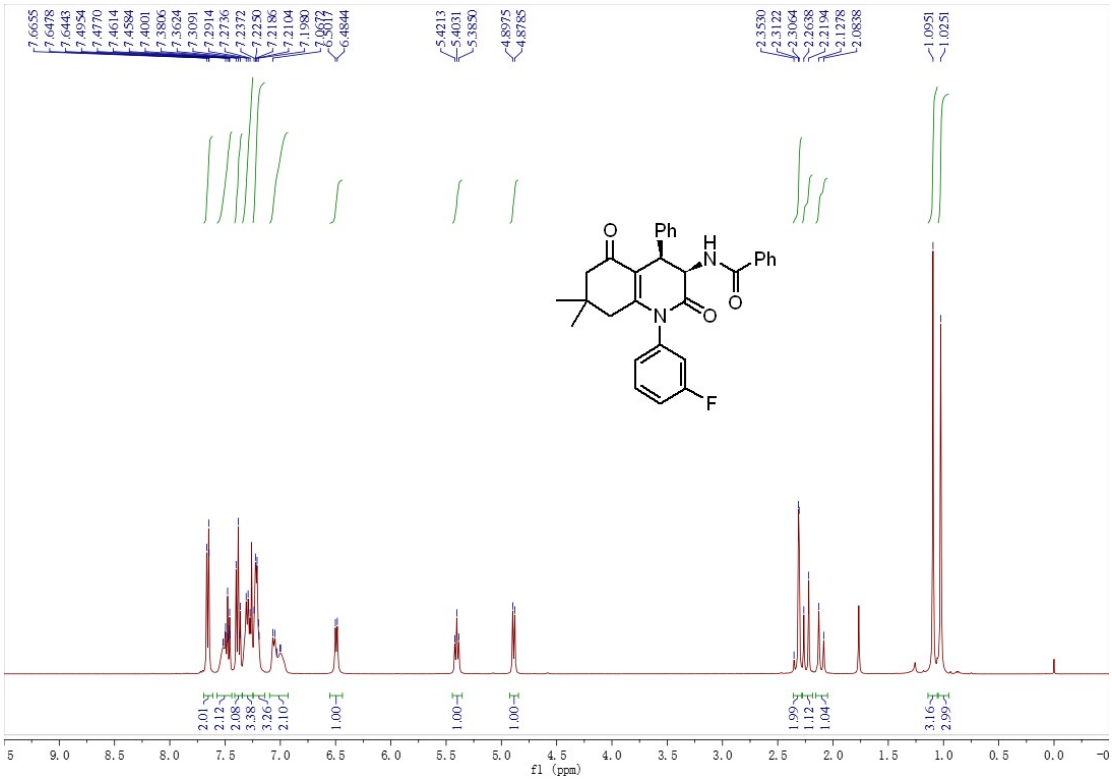
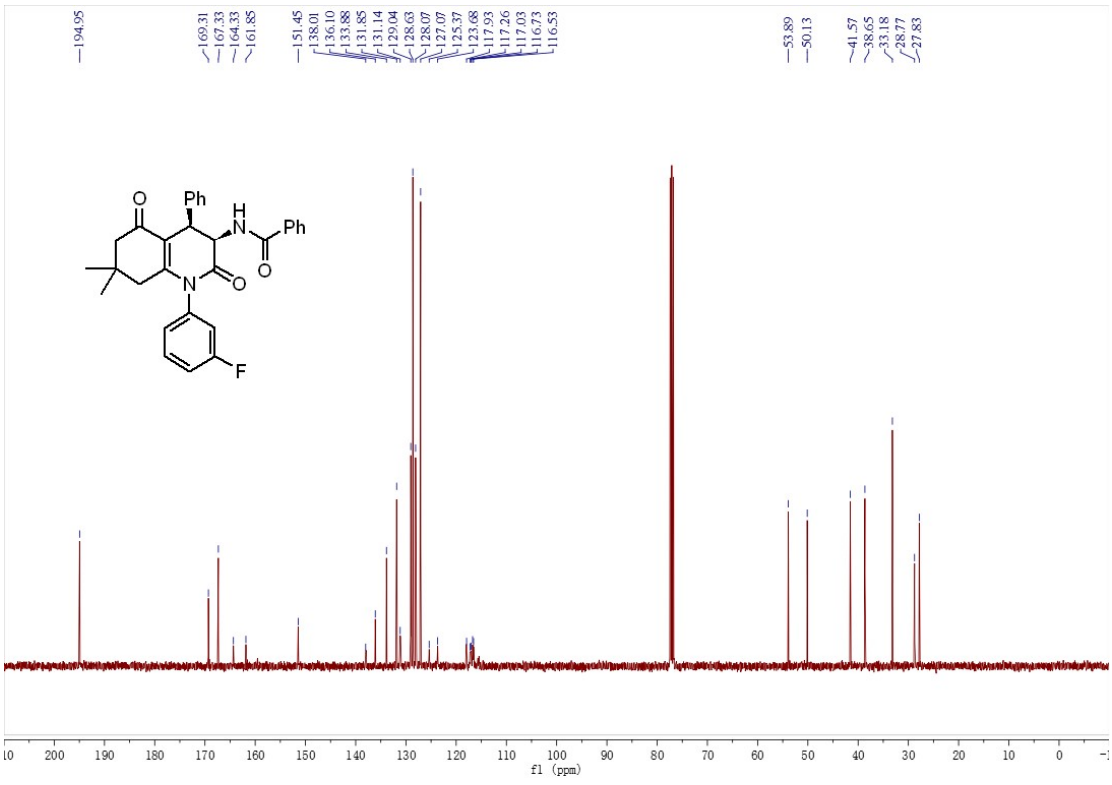
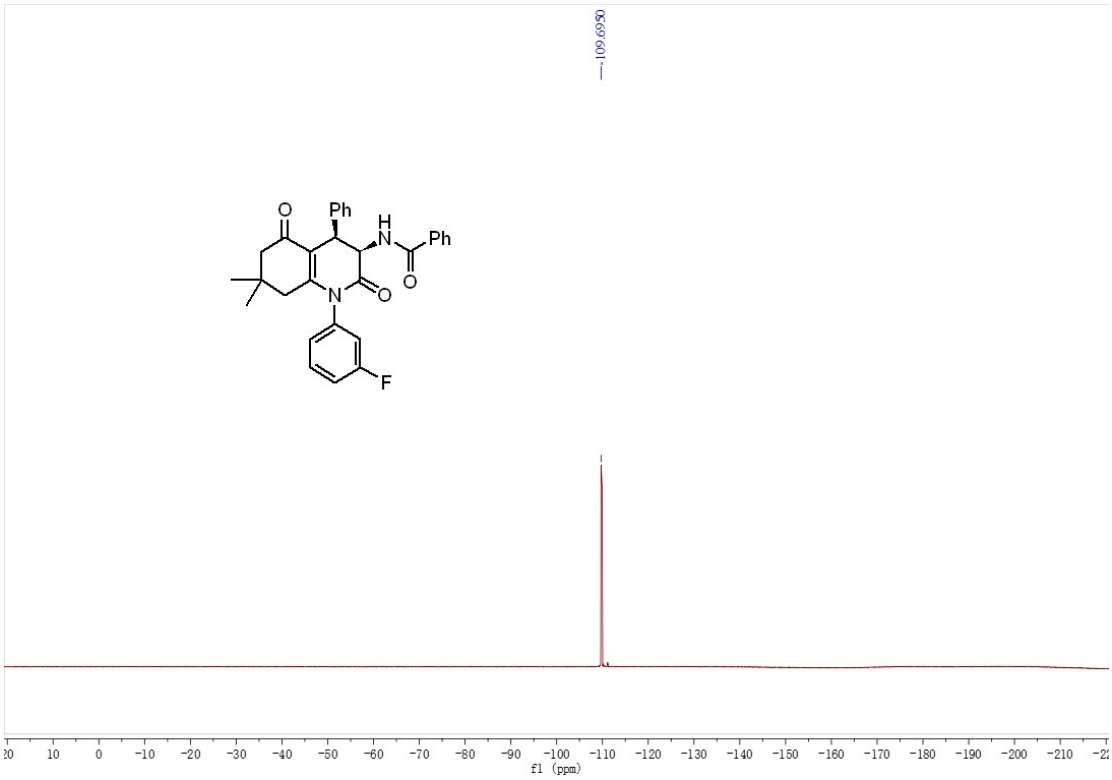
Ph
H
N
Ph
O
N
O
CF3
f1 (ppm)


-62.6176
O
Ph
H
N
Ph
O
N
O
CF3
f1 (ppm)

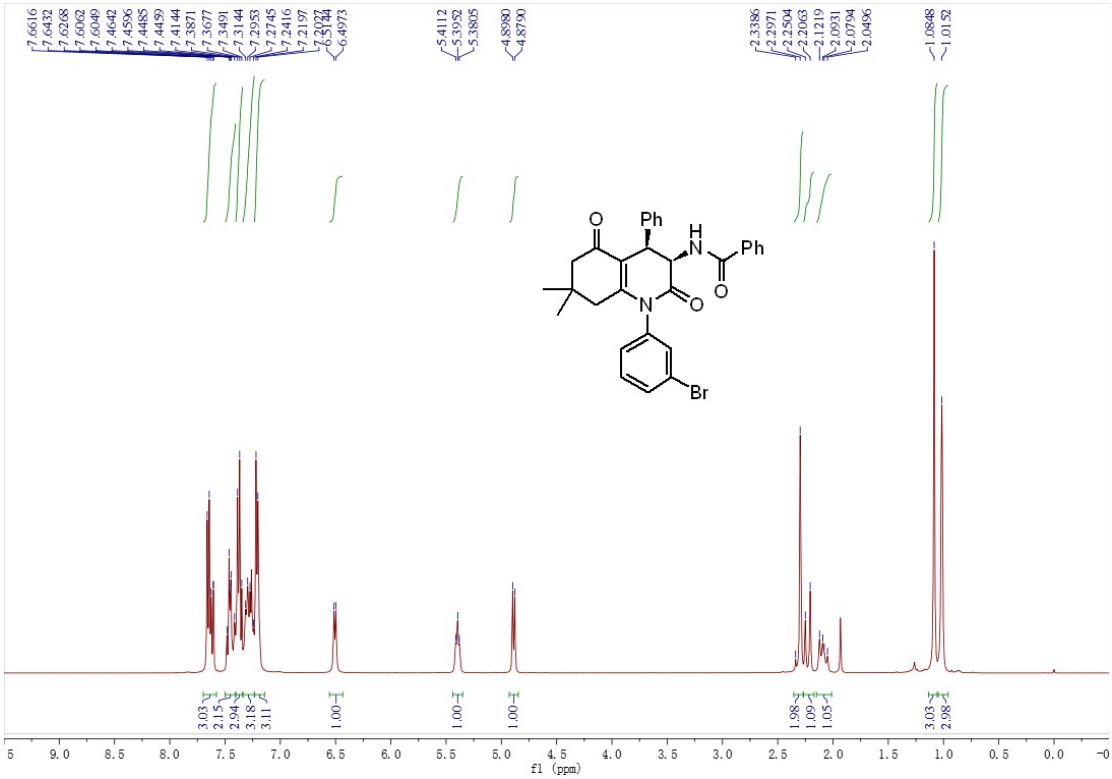
^{1}H, ^{13}C and ^{19}F NMR spectra for 3k

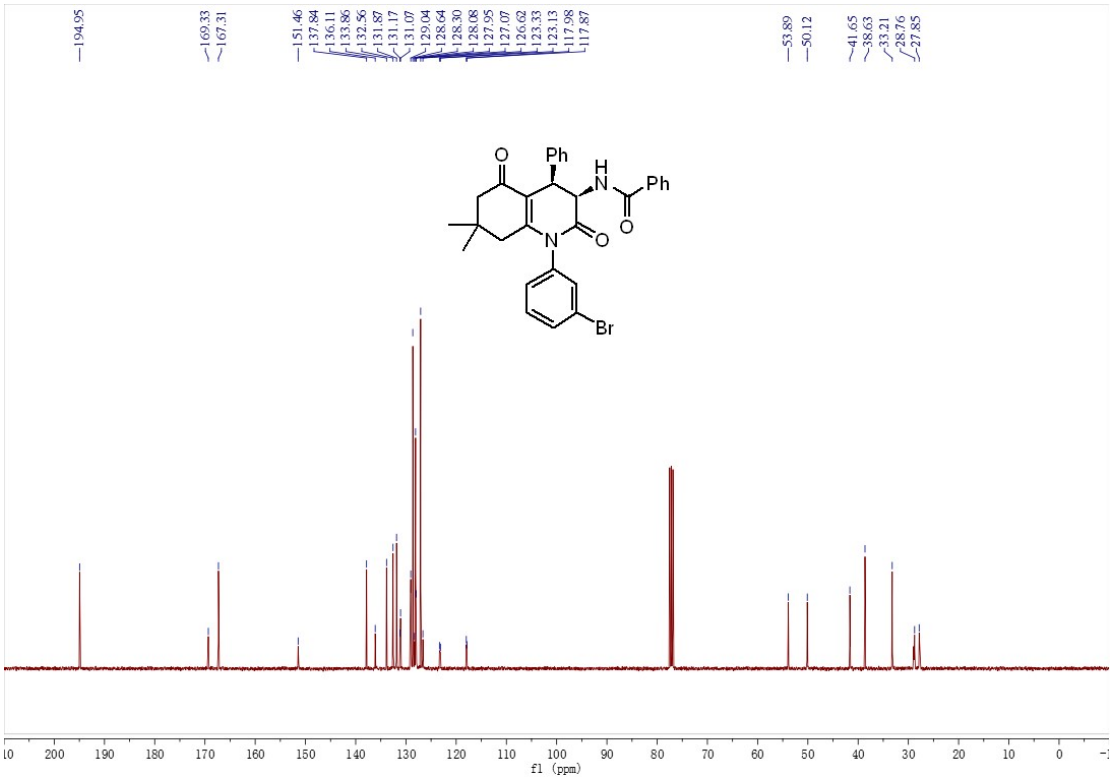




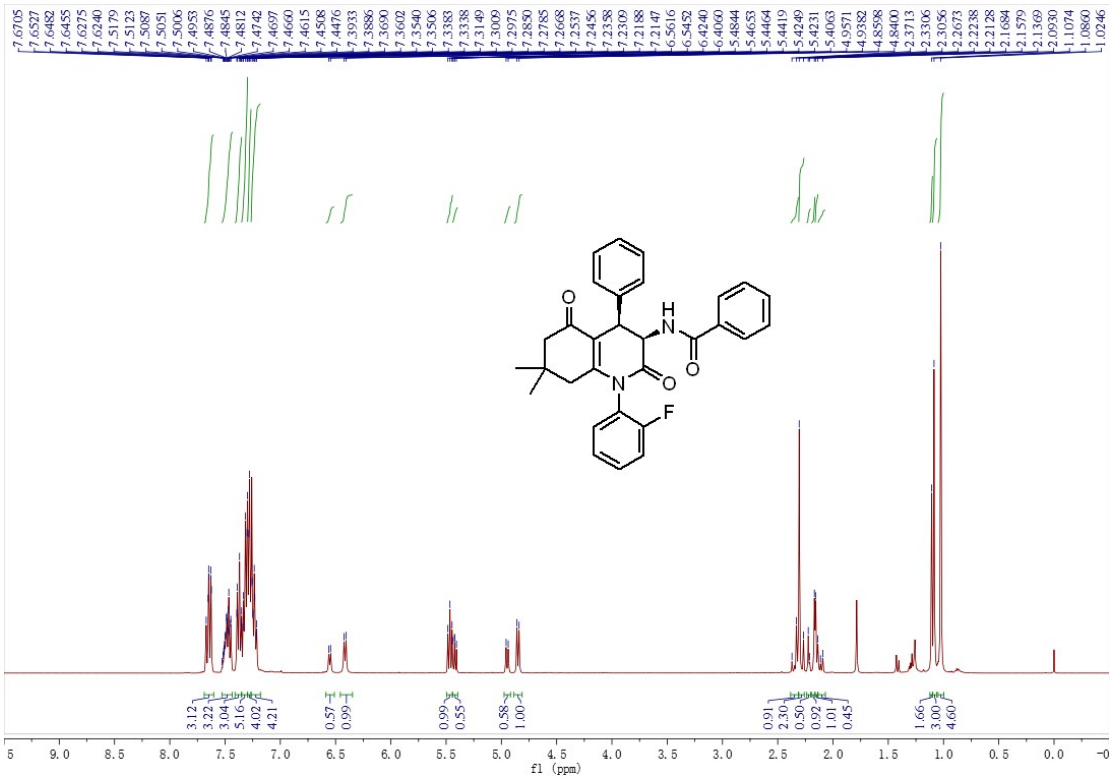


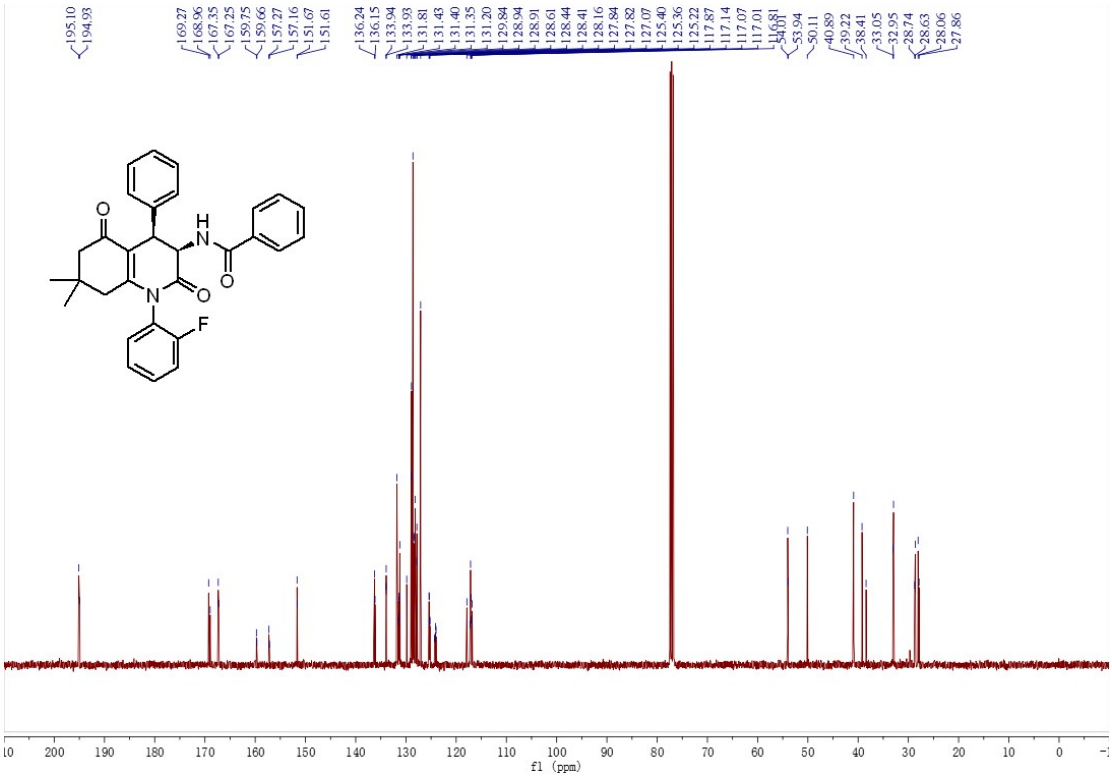
^{1}H and ^{13}C NMR spectra for 3l

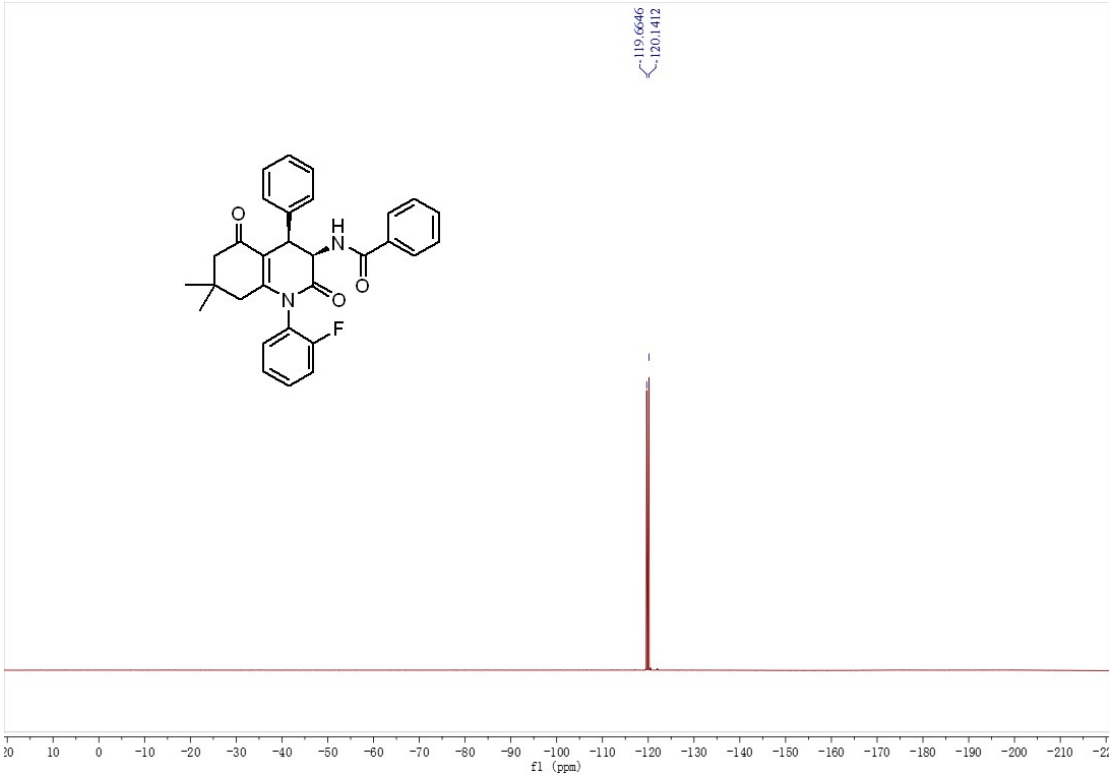




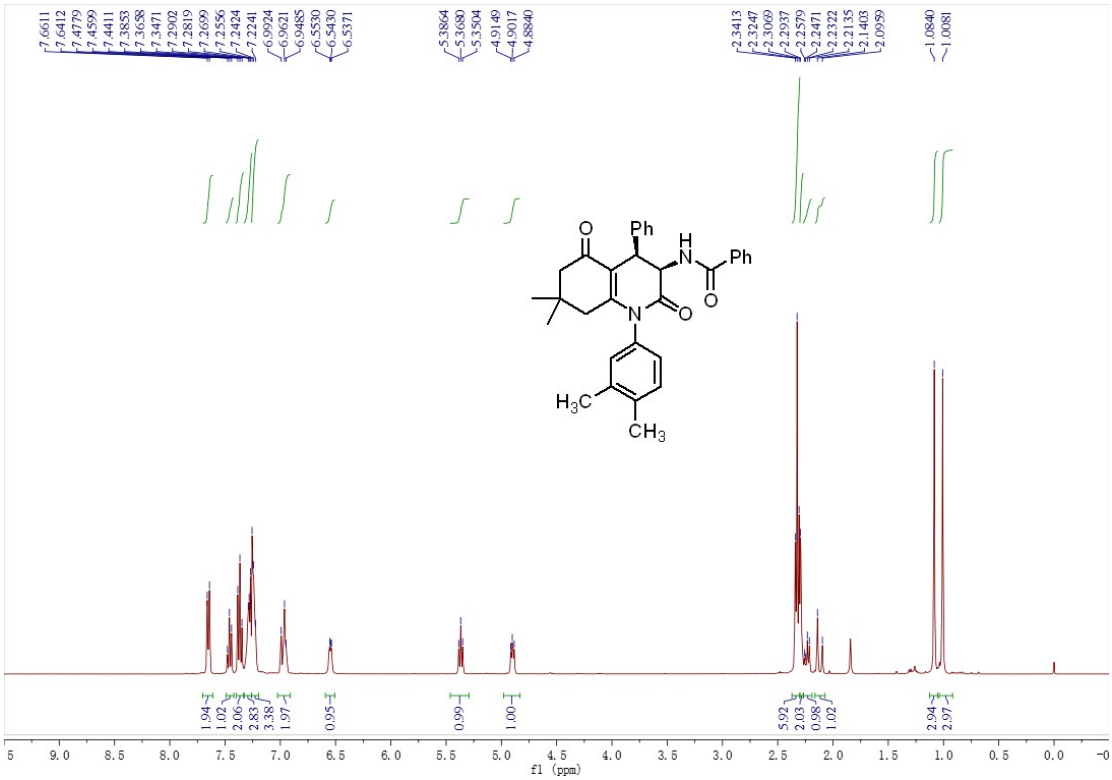
^{1}H, ^{13}C and ^{19}F NMR spectra for 3m

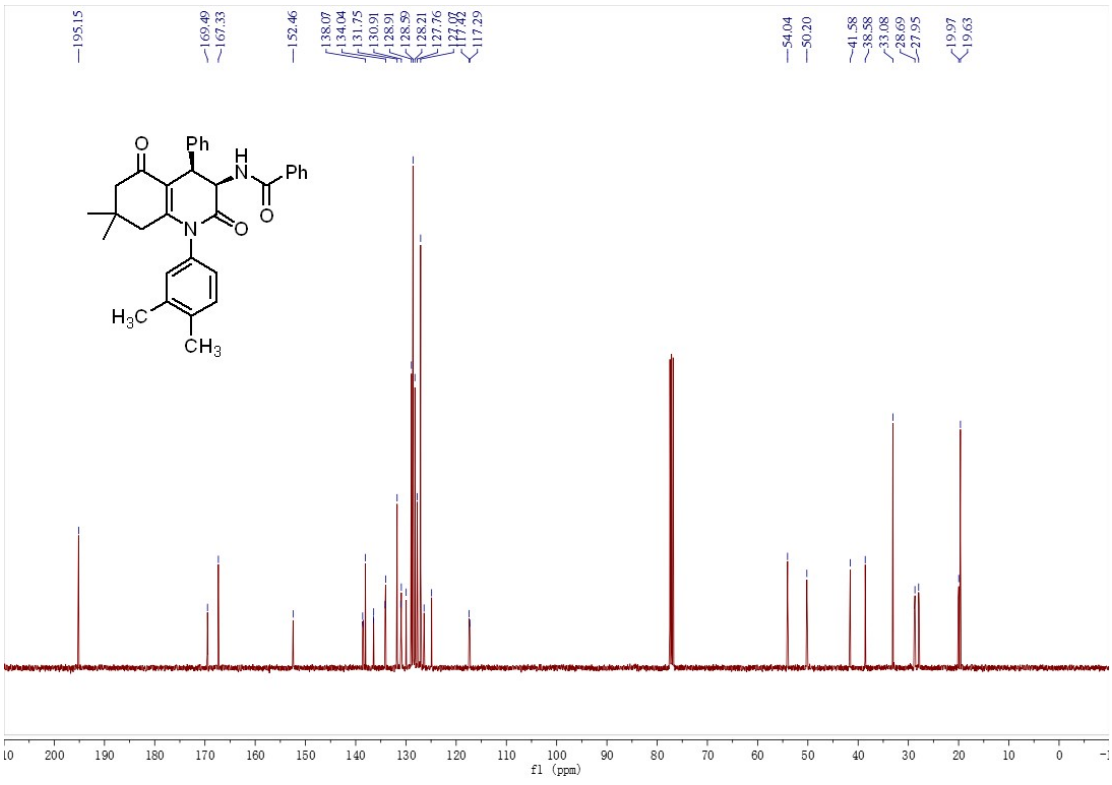



195.10
194.93
169.27
168.96
167.35
167.25
159.75
159.66
157.27
157.16
151.67
151.61
136.24
136.15
133.94
133.93
131.81
131.43
131.40
131.35
131.20
129.84
128.94
128.91
128.61
128.44
128.41
128.16
127.84
127.82
127.07
125.40
125.36
125.22
117.87
117.14
117.07
117.01
116.81
116.60
53.94
50.11
40.89
39.22
38.41
33.05
32.95
28.74
28.63
28.06
27.86
O
H
N
O
N
O
F
f1 (ppm)

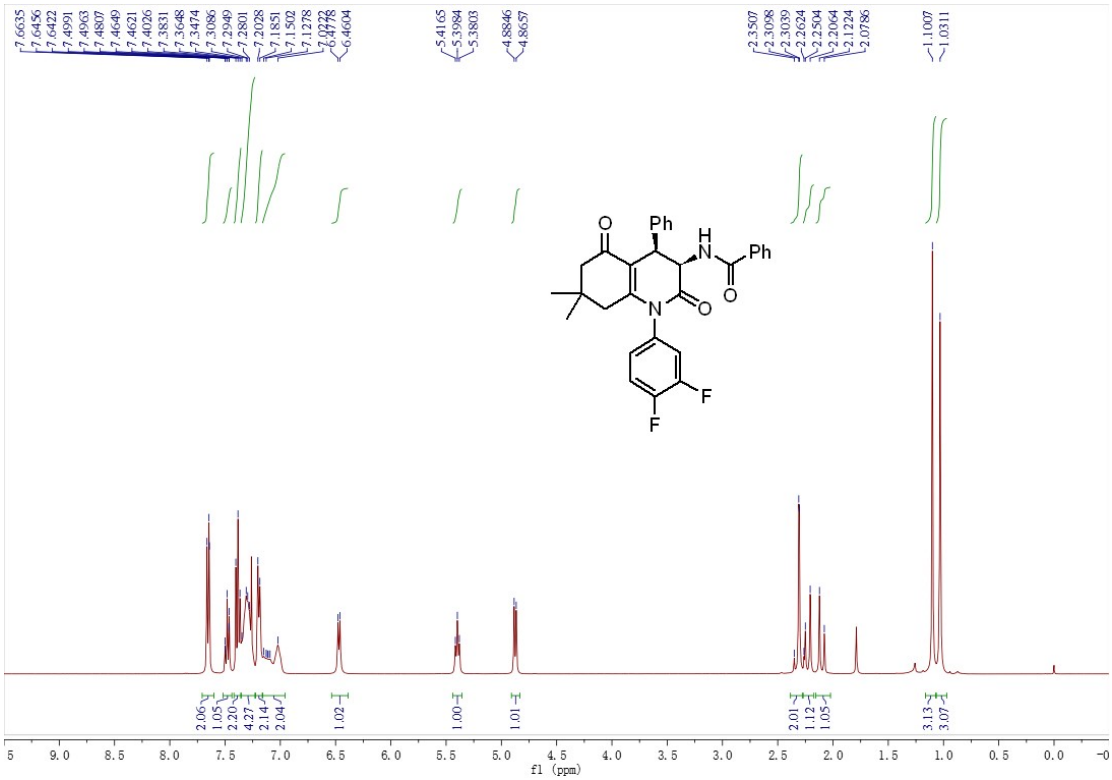

119.6646
120.1412
O
H
N
O
N
O
F
f1 (ppm)

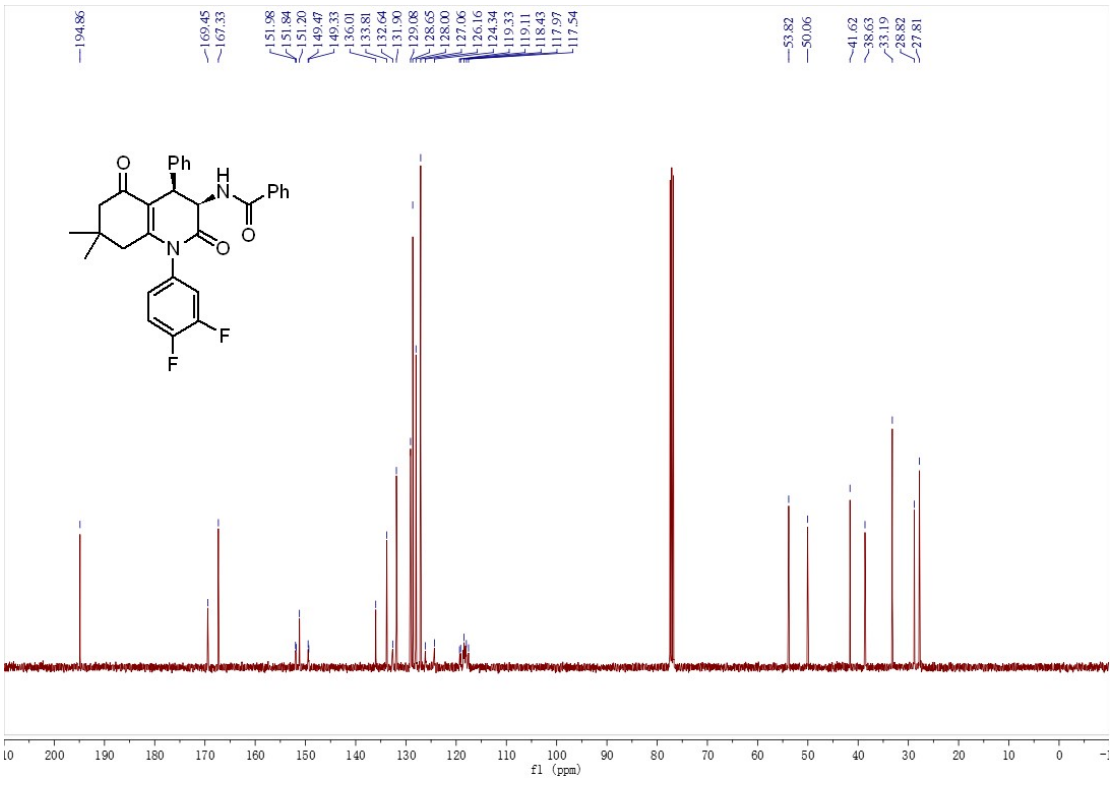
^{1}H and ^{13}C NMR spectra for 3n

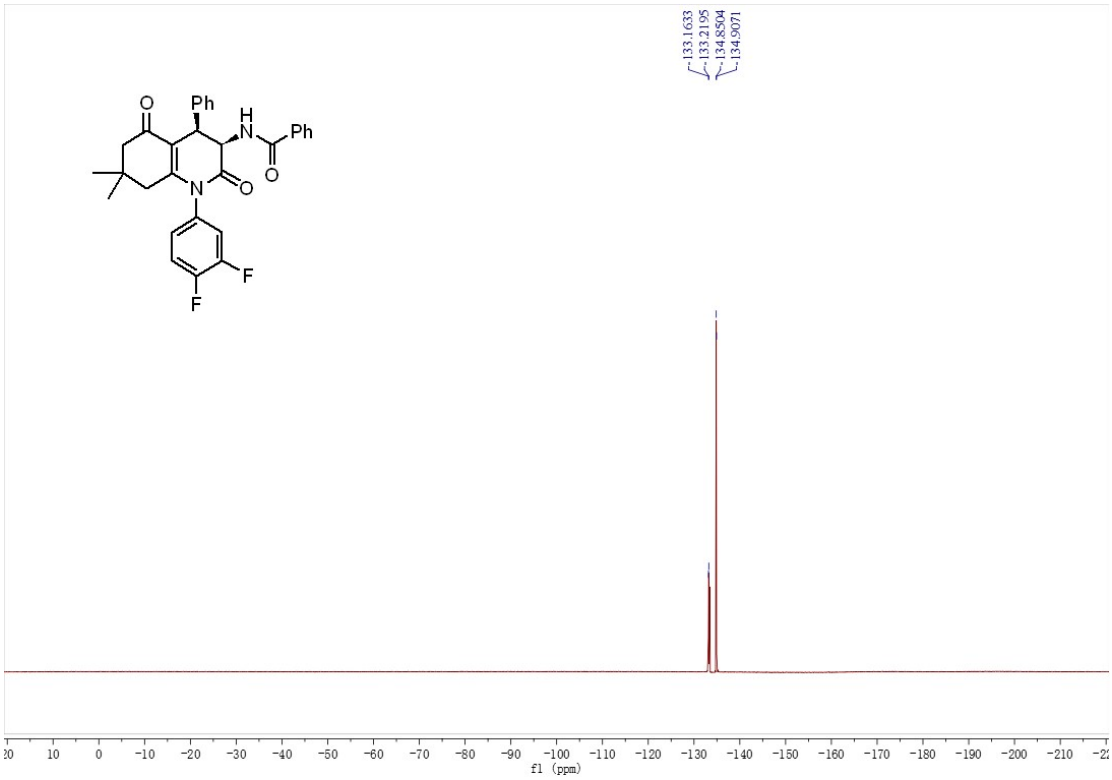




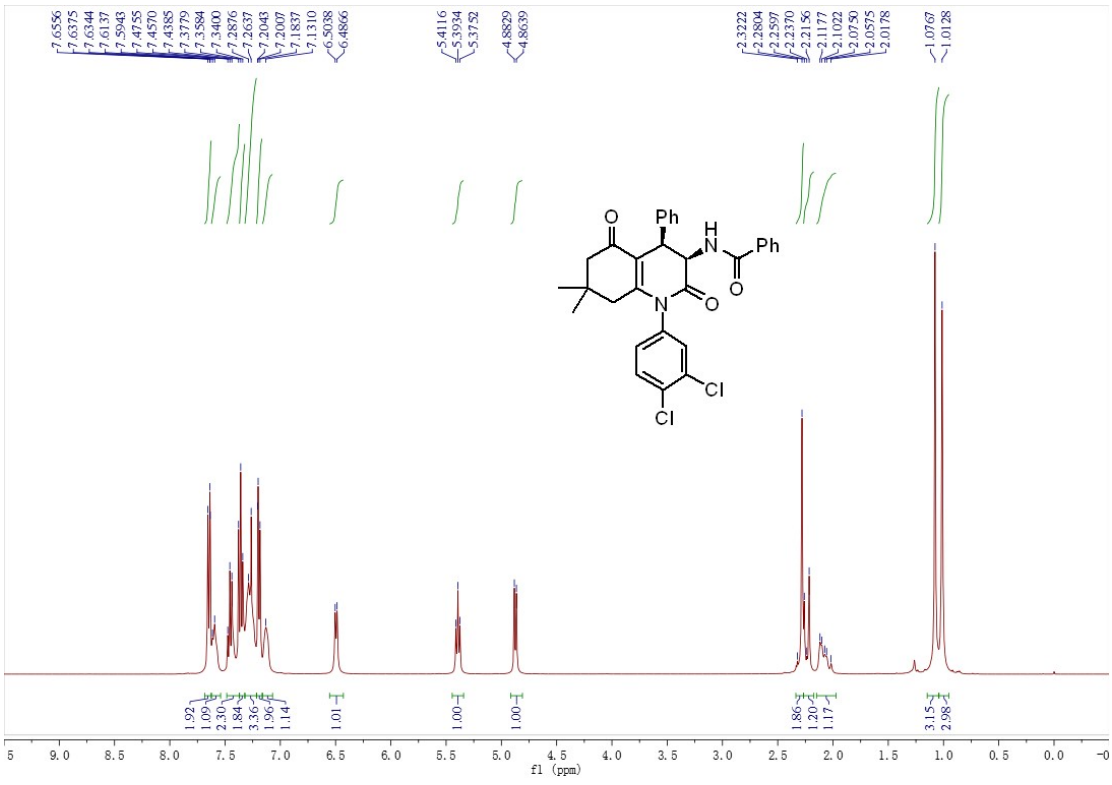
^{1}H, ^{13}C and ^{19}F NMR spectra for 3o

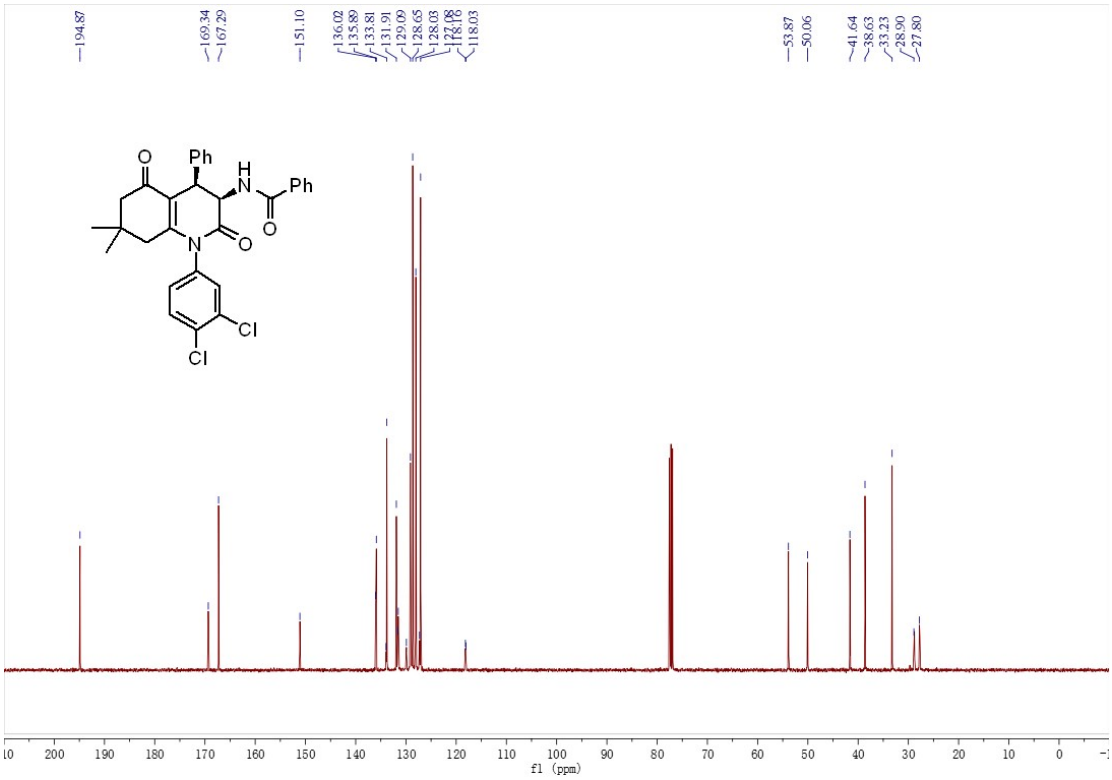




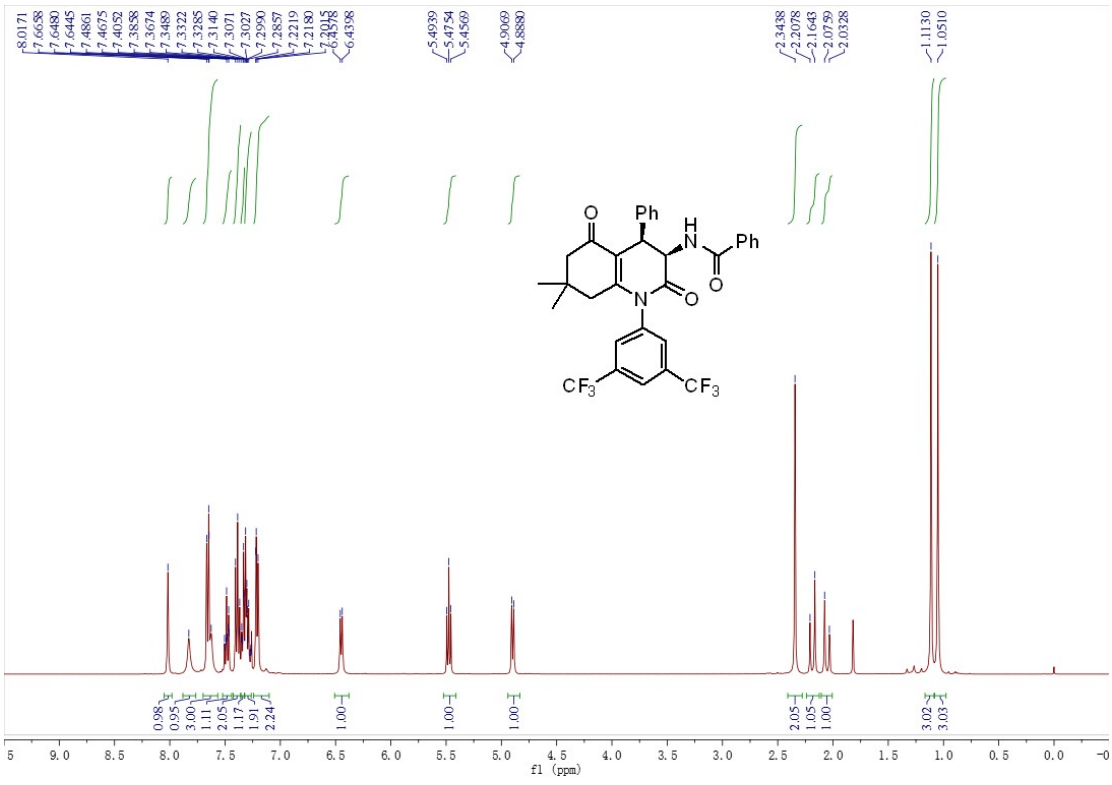


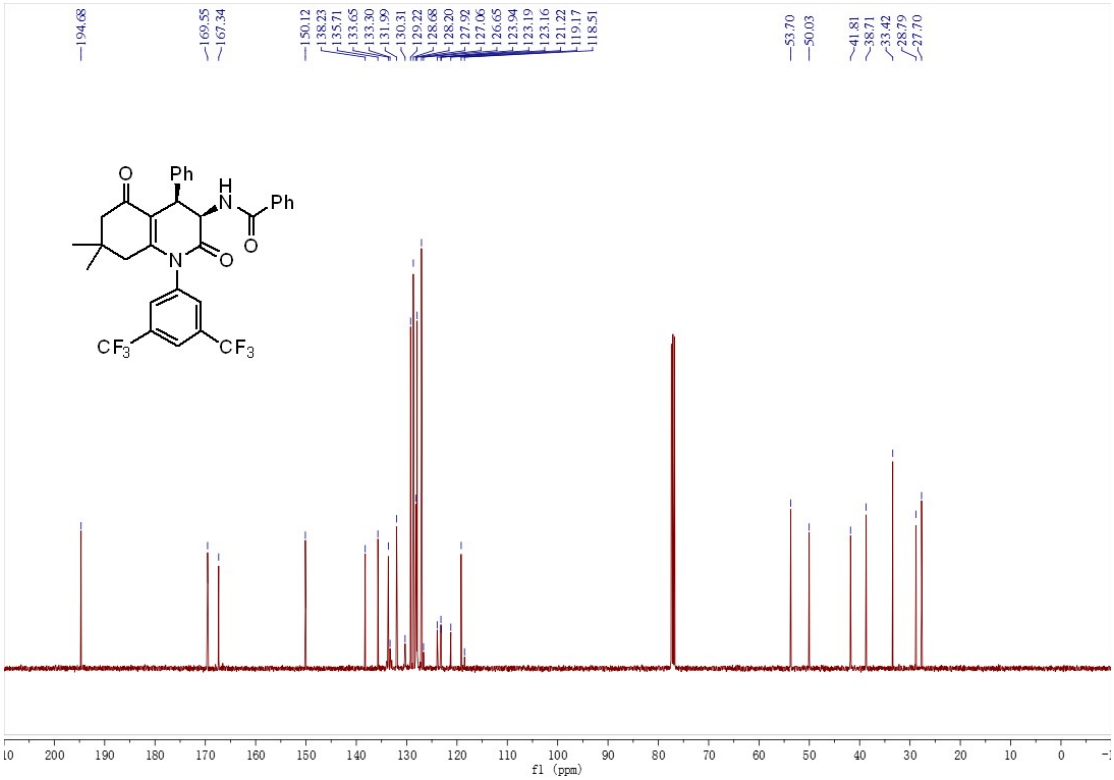
^{1}H and ^{13}C NMR spectra for 3p





^{1}H, ^{13}C and ^{19}F NMR spectra for 3q

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150.12
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135.71
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133.30
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129.22
128.68
128.20
127.92
127.06
126.65
123.94
123.19
123.16
121.22
119.17
118.51
53.70
50.03
41.81
38.71
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27.70
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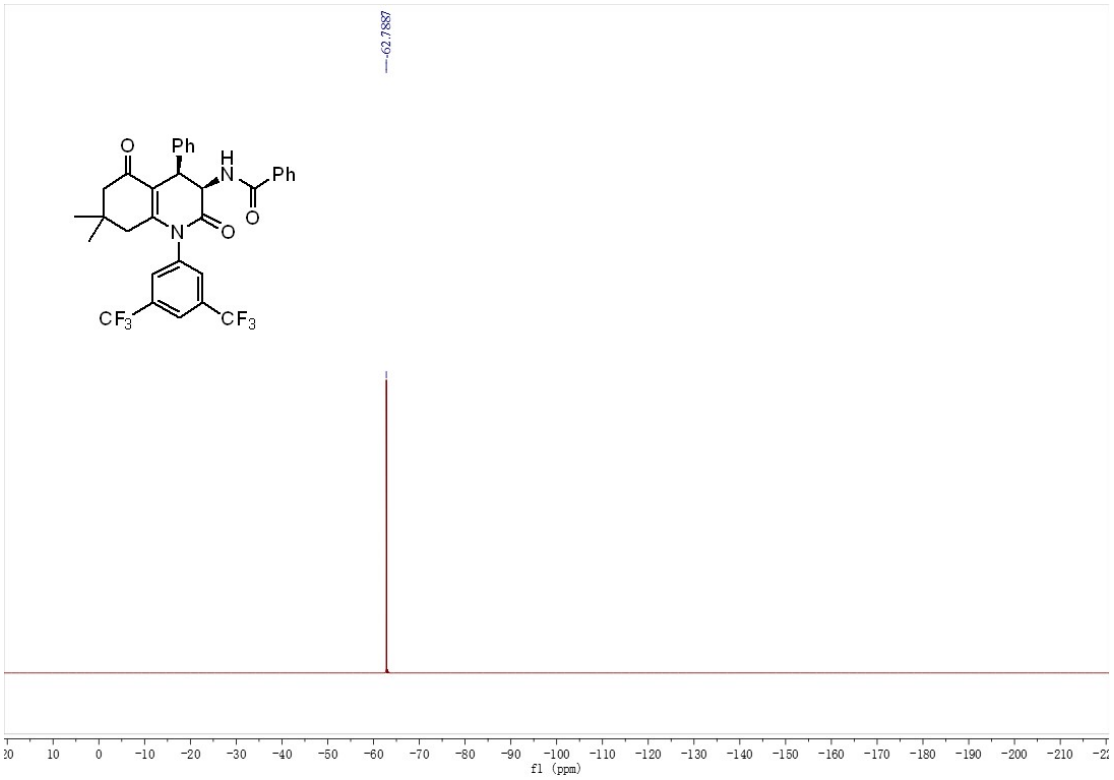
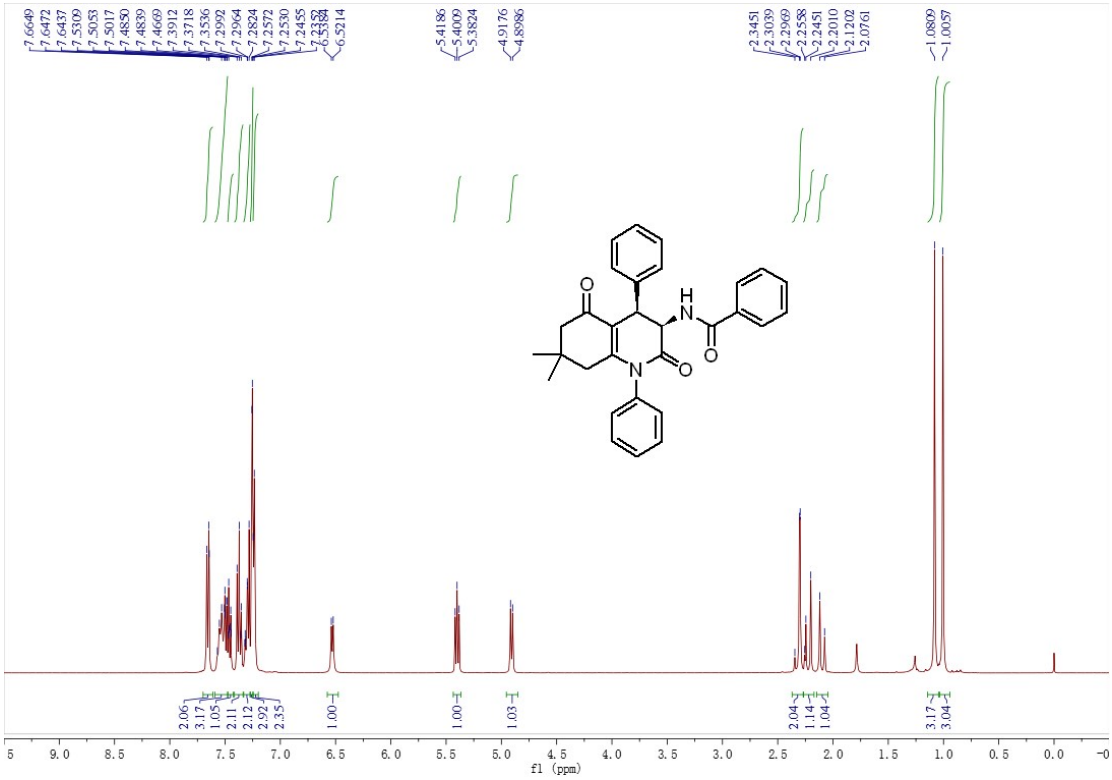
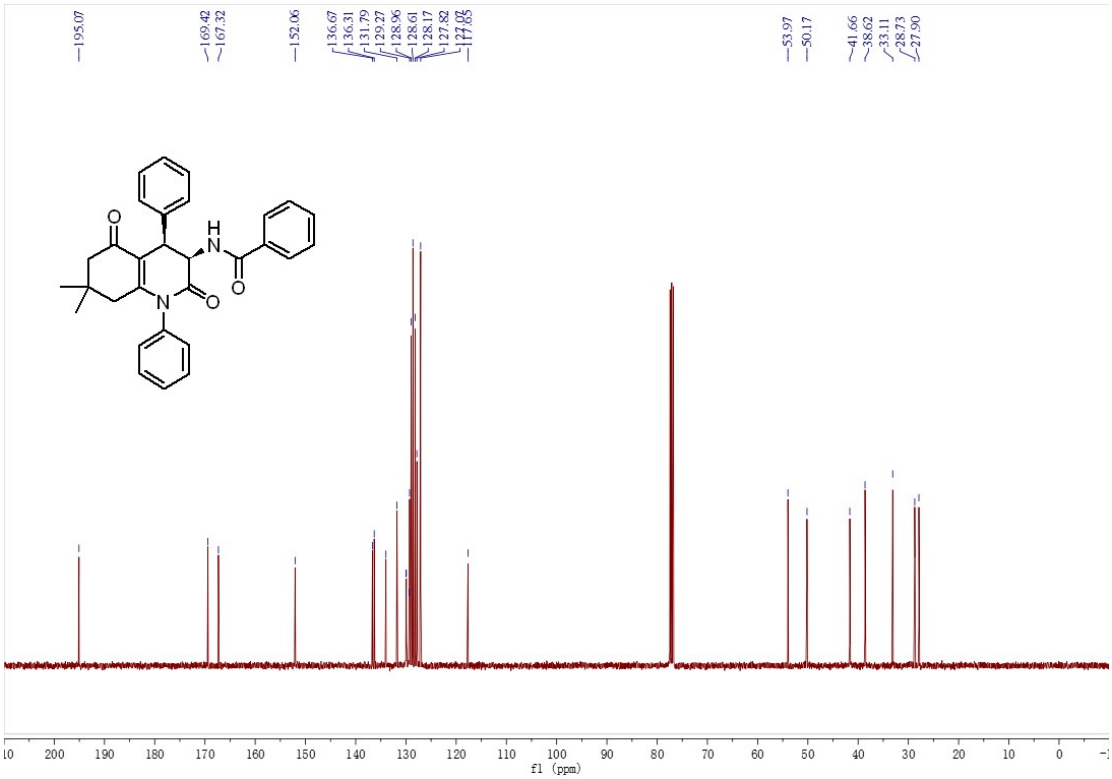
N
Ph
O
N
O
CF3
CF3
f1 (ppm)

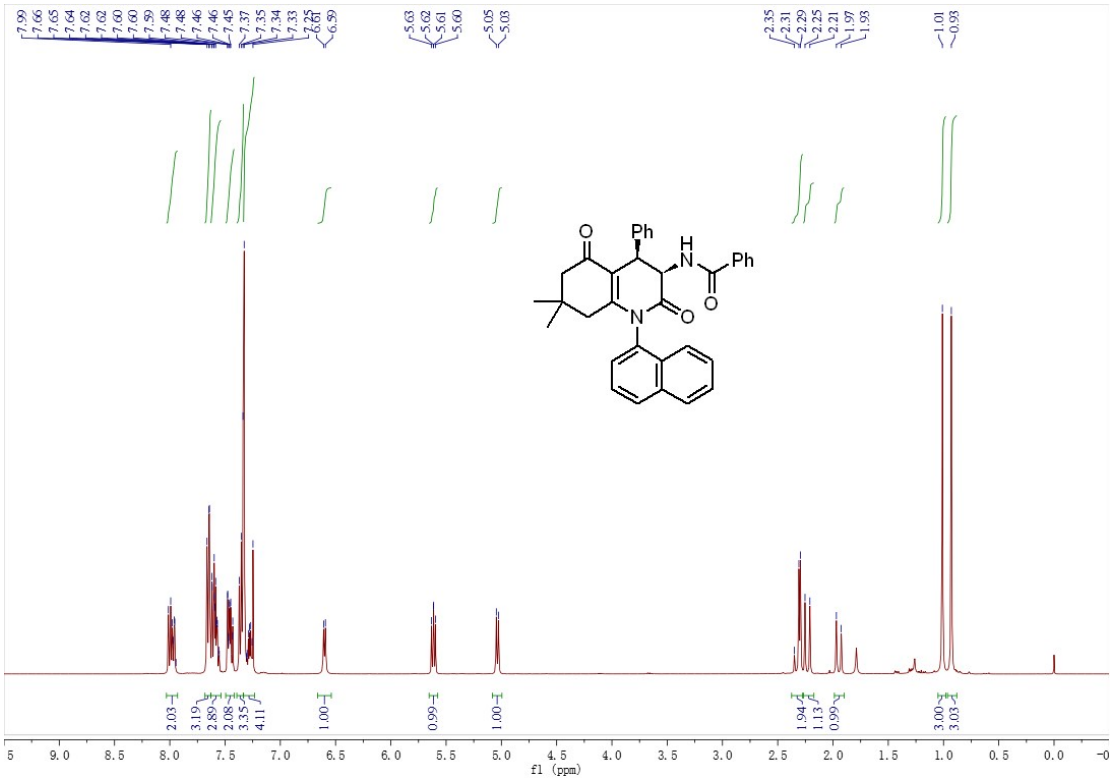

-62.7887
O
Ph
H
N
Ph
O
N
O
CF3
CF3
f1 (ppm)

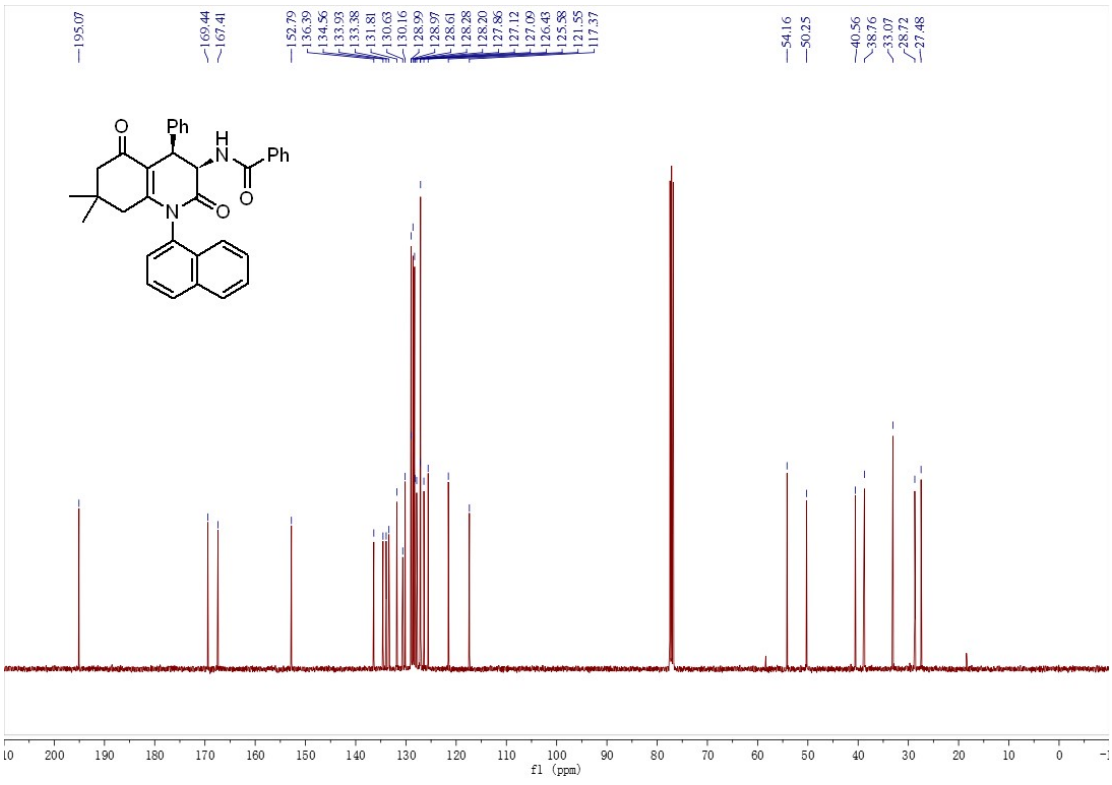
^{1}H and ^{13}C NMR spectra for 3r



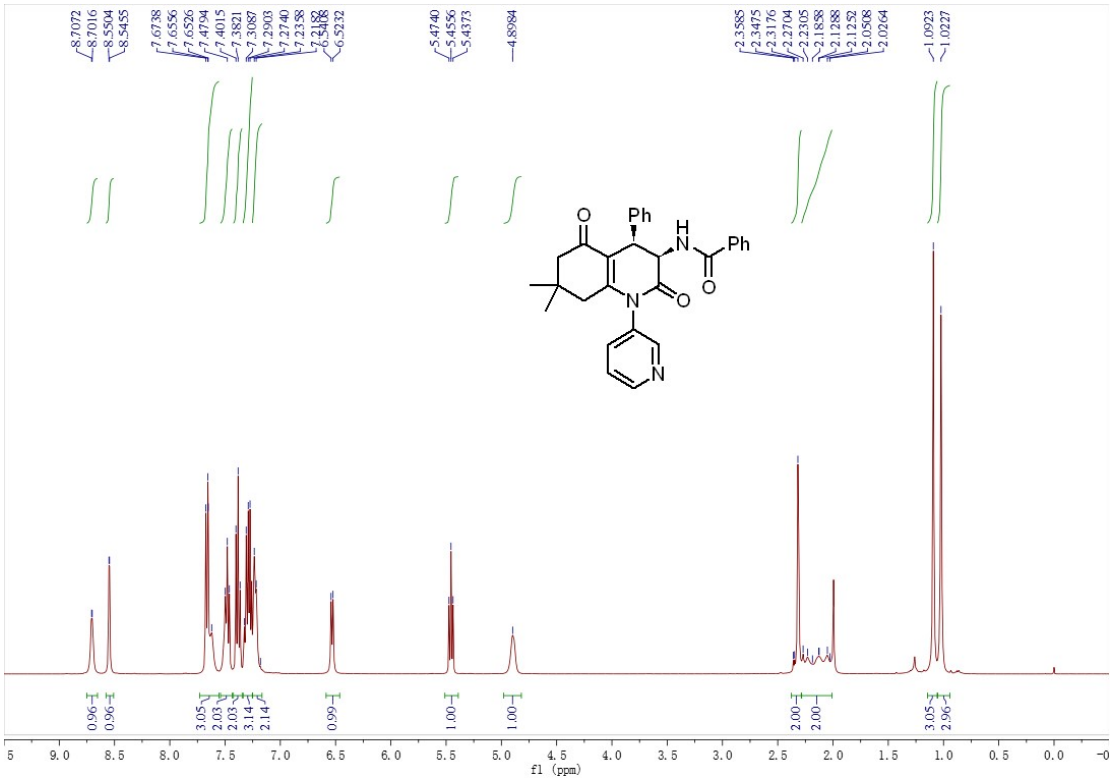


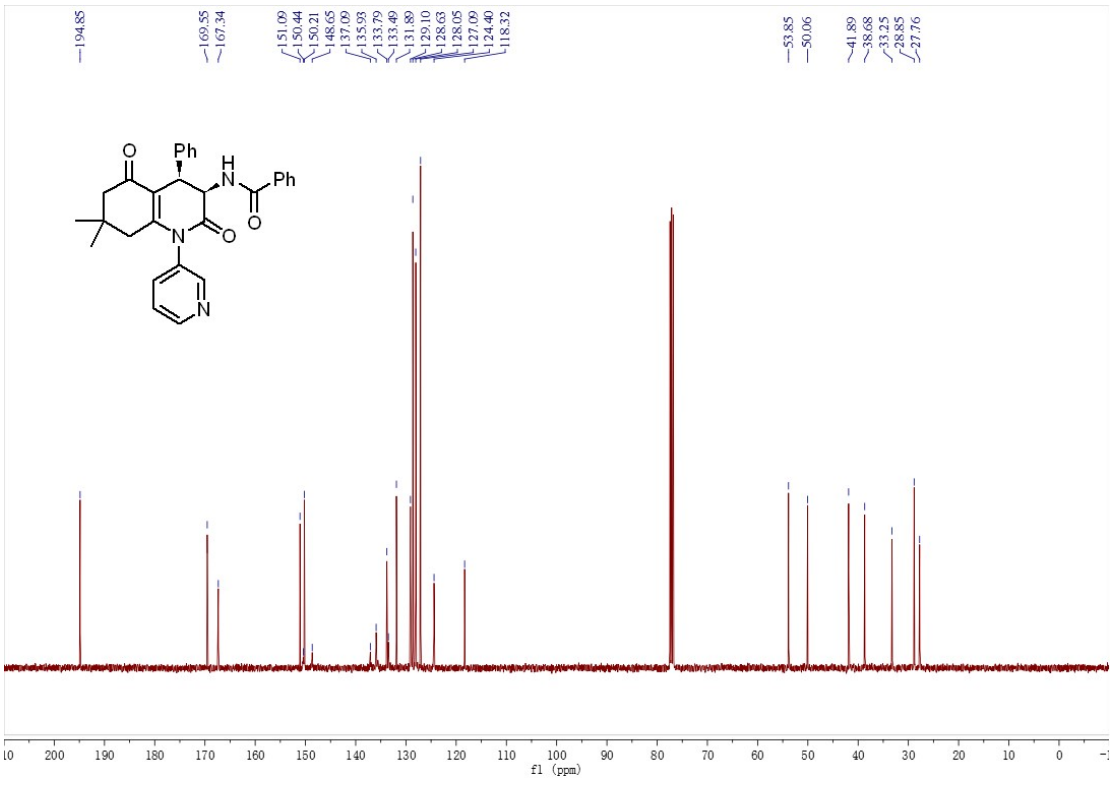
^{1}H and ^{13}C NMR spectra for 3s



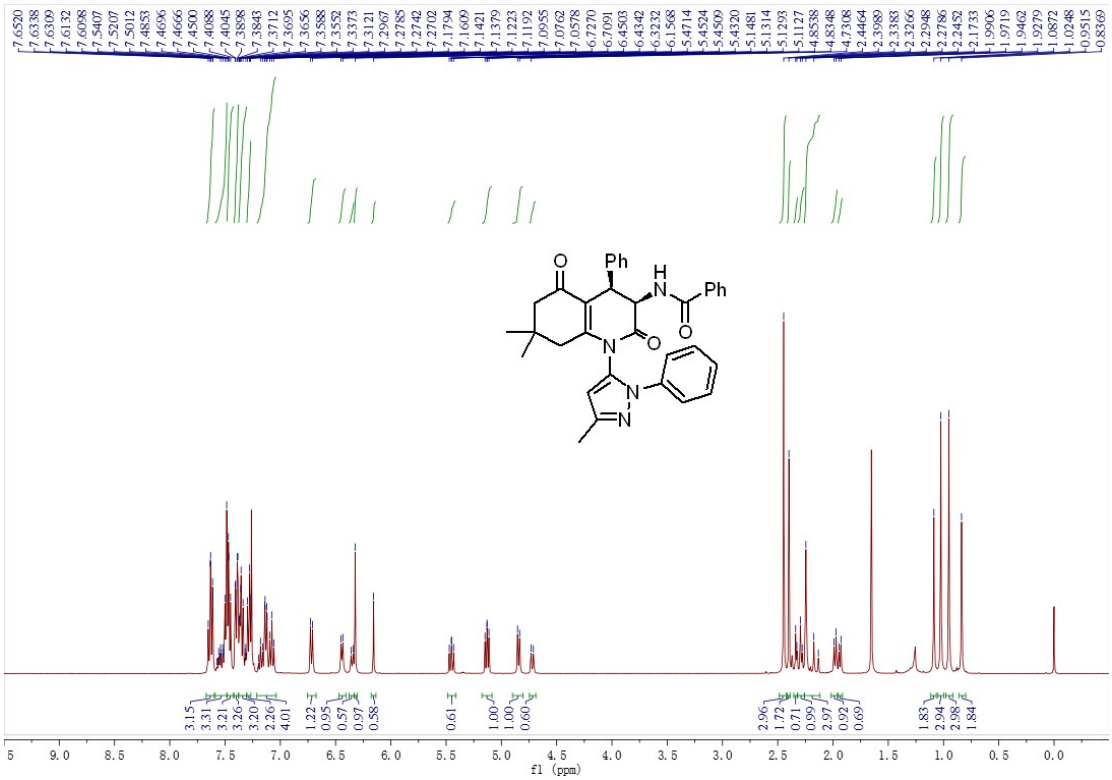


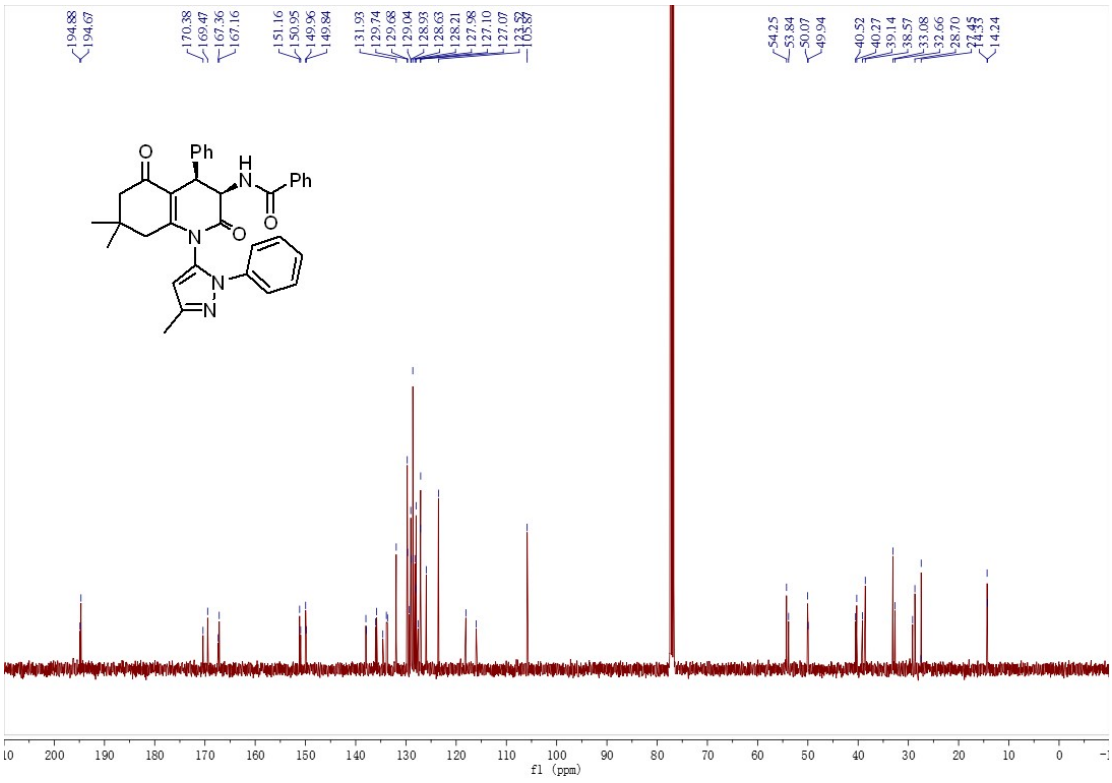
^{1}H and ^{13}C NMR spectra for 3t



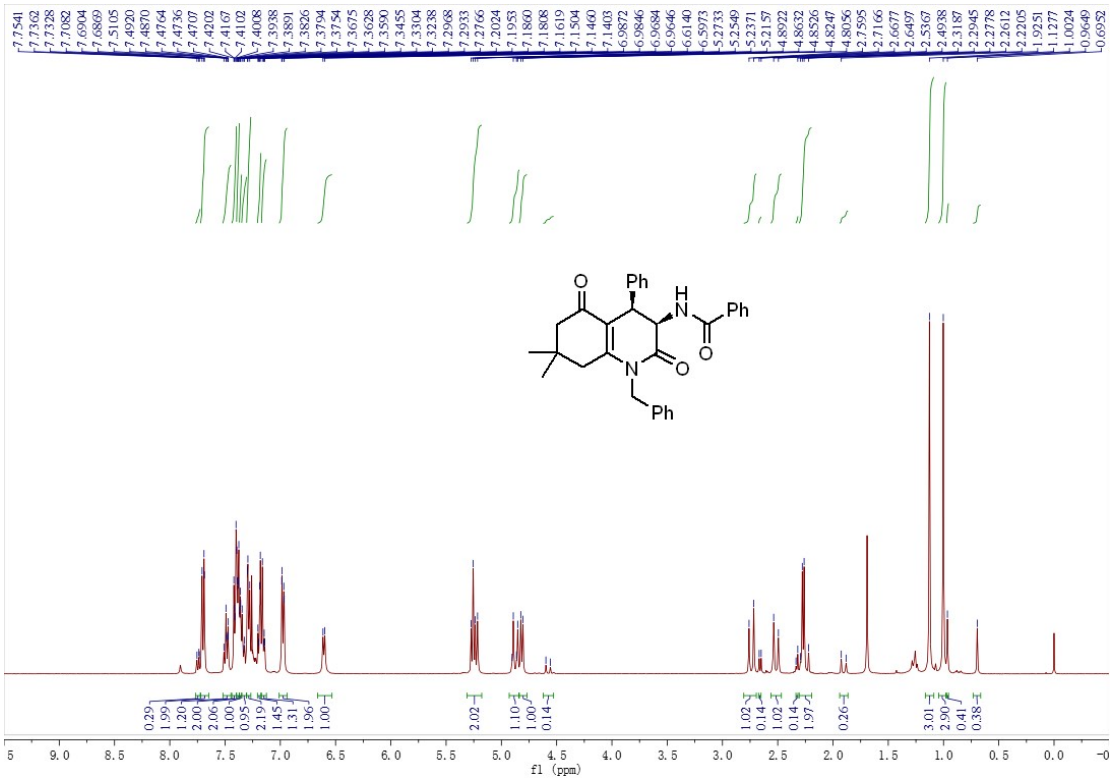


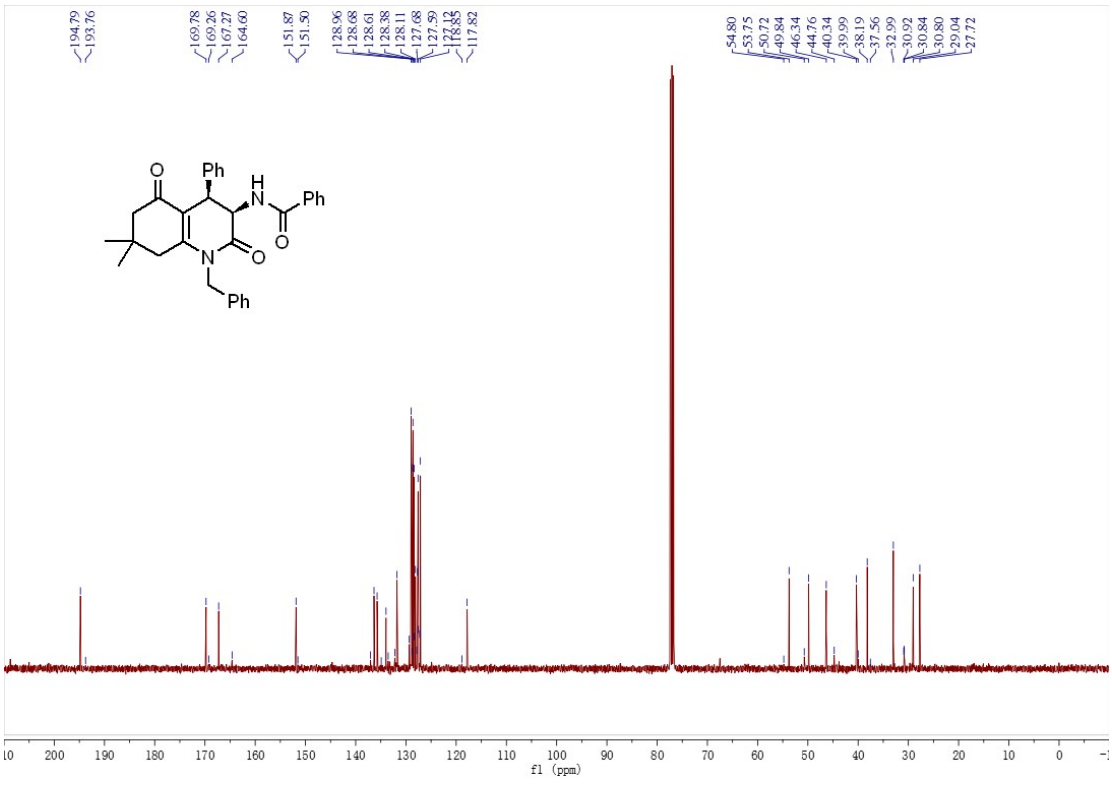
^{1}H and ^{13}C NMR spectra for 3u



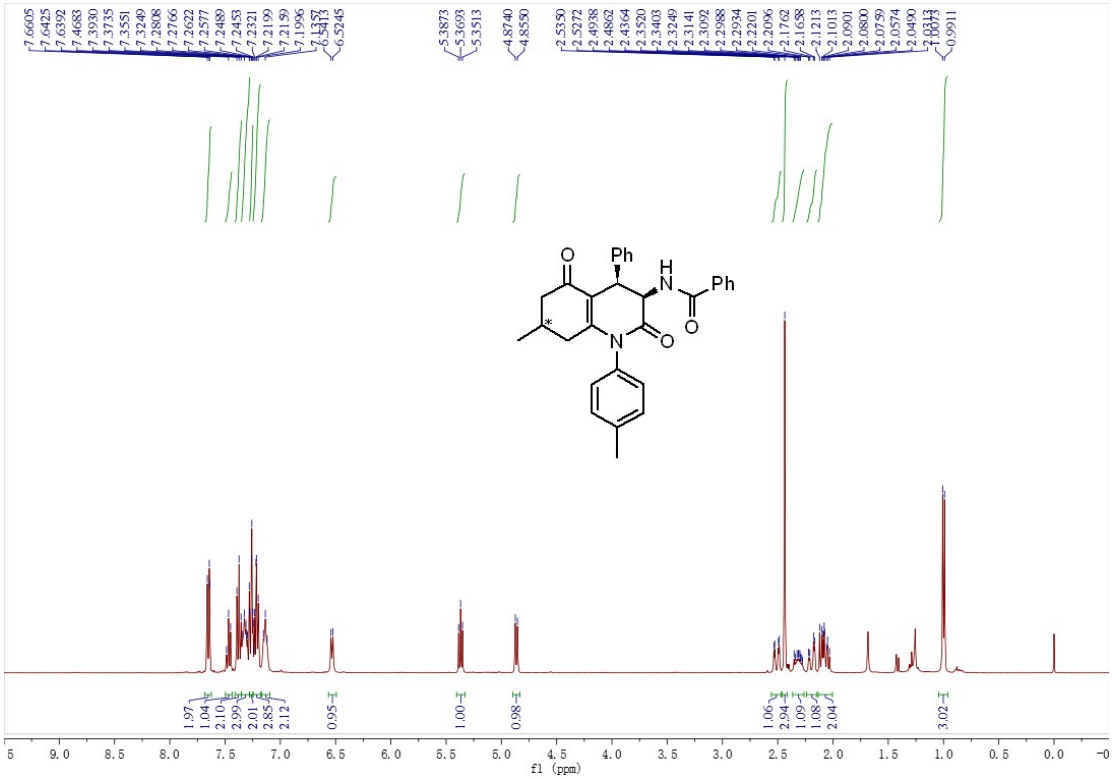


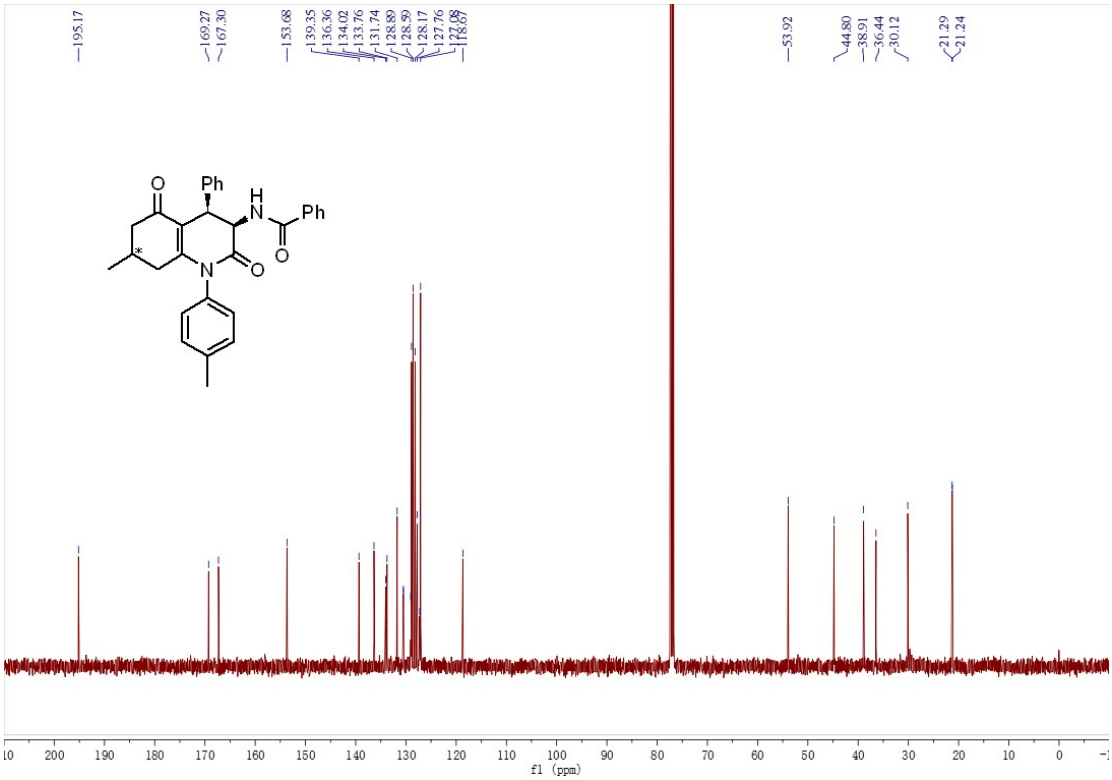
^{1}H and ^{13}C NMR spectra for 3v



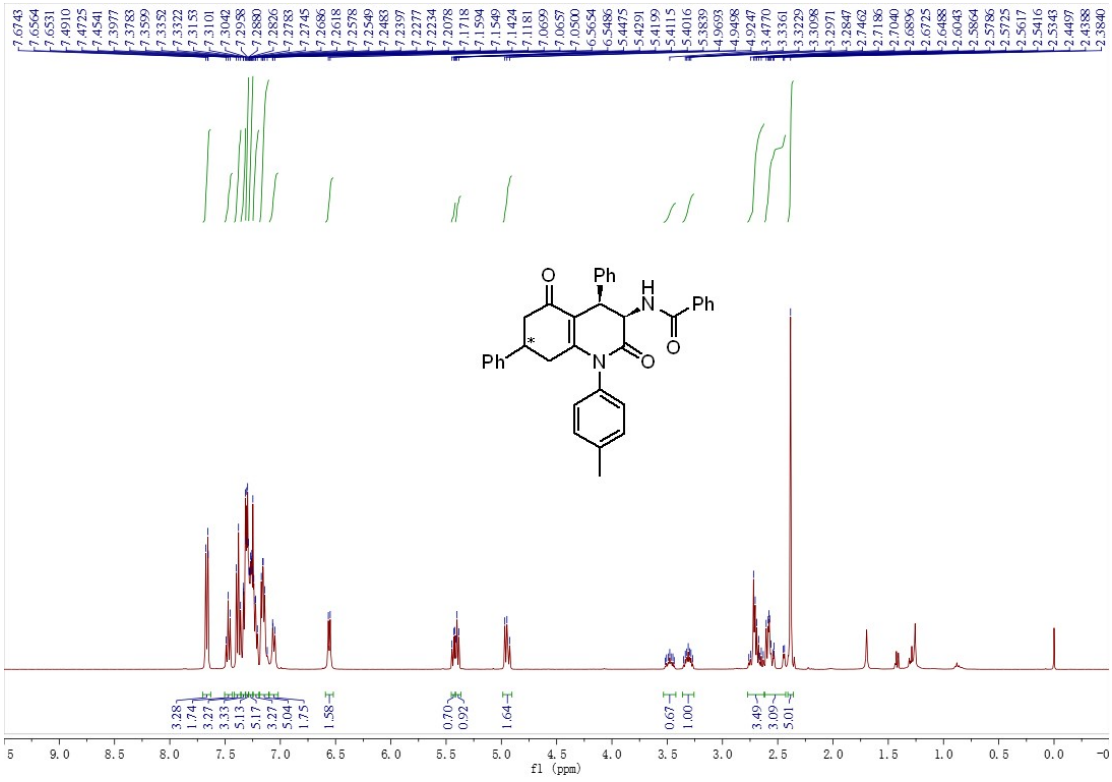


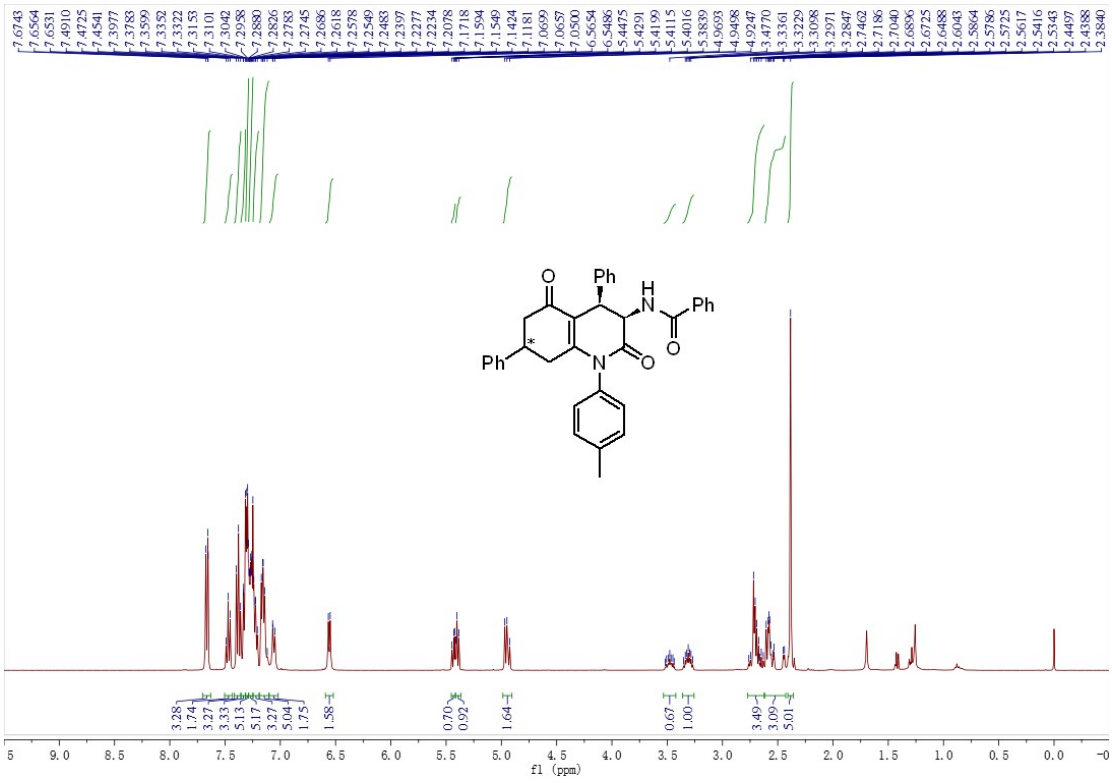
^{1}H and ^{13}C NMR spectra for 3x



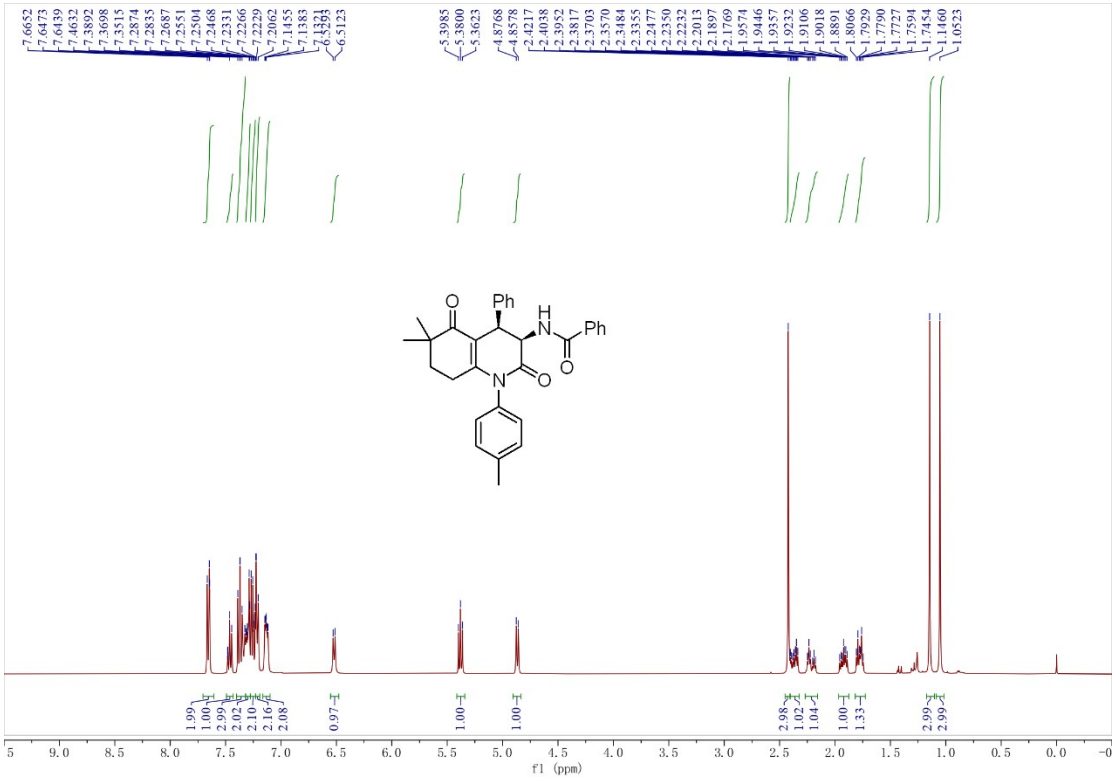


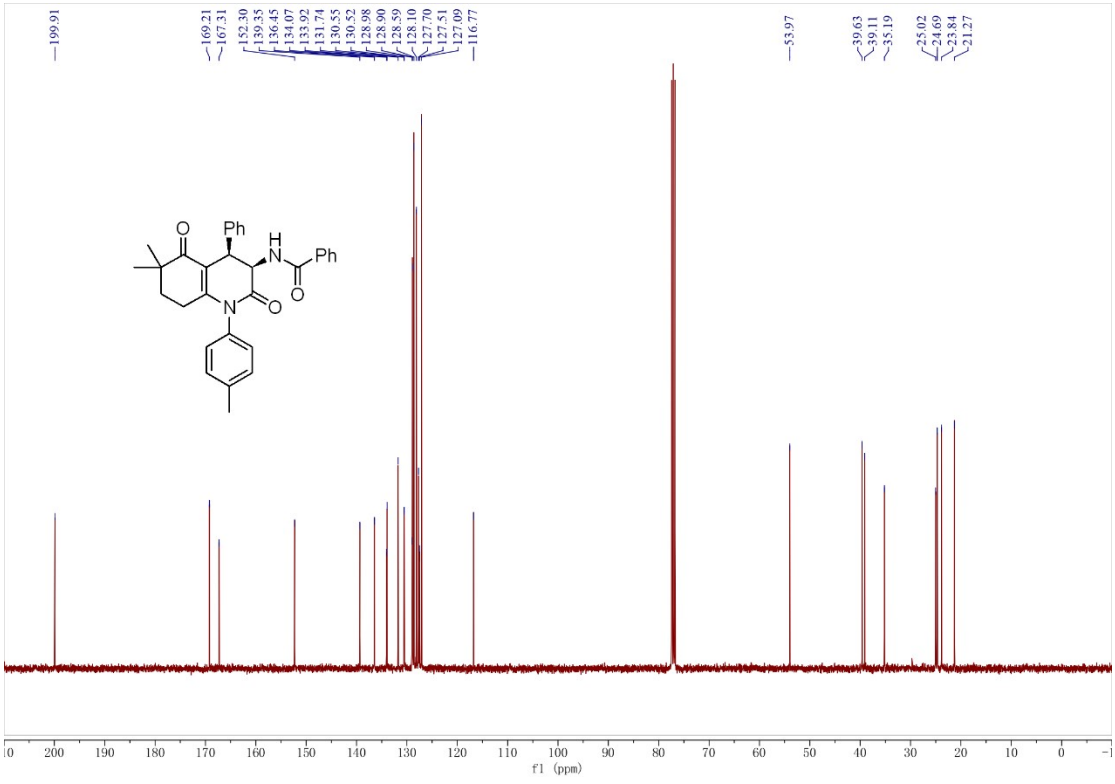
^{1}H and ^{13}C NMR spectra for 3y



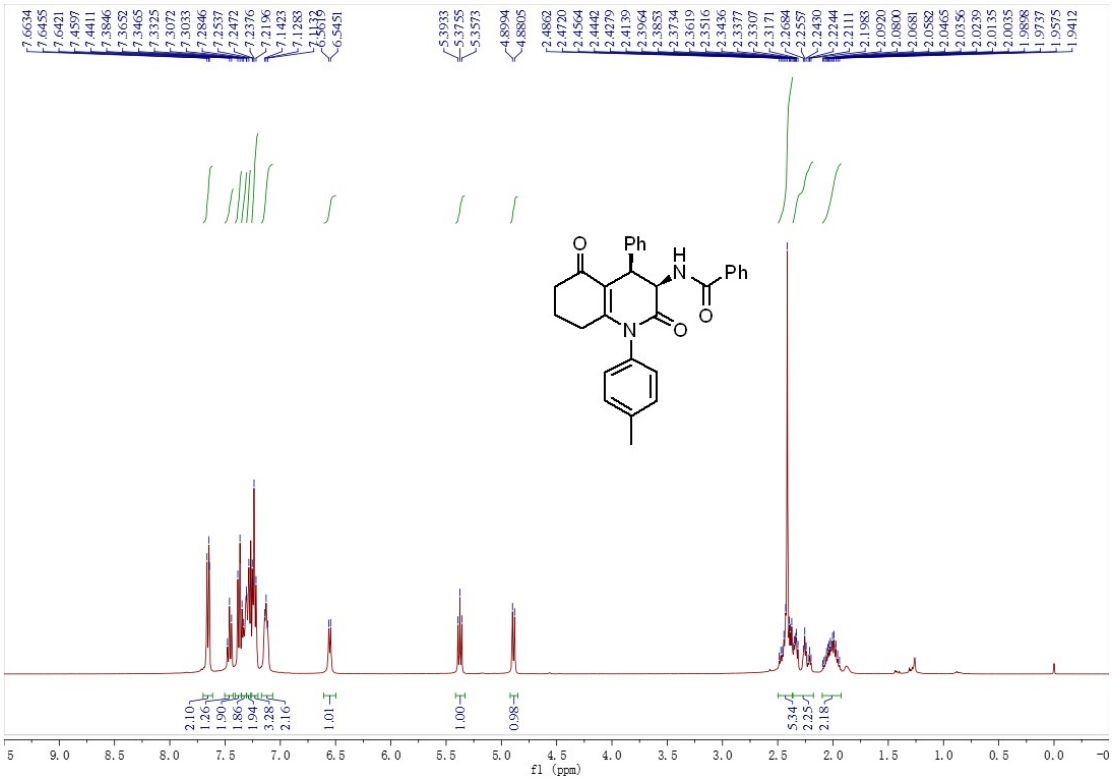


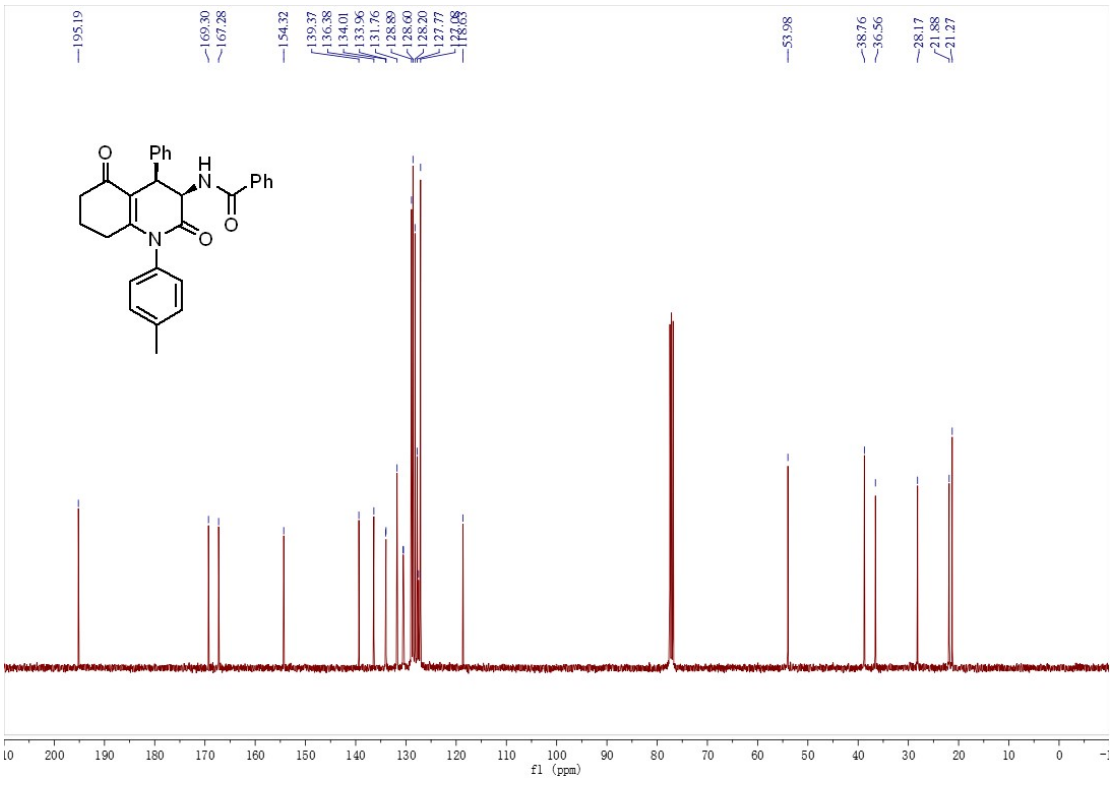
^{1}H and ^{13}C NMR spectra for 3z



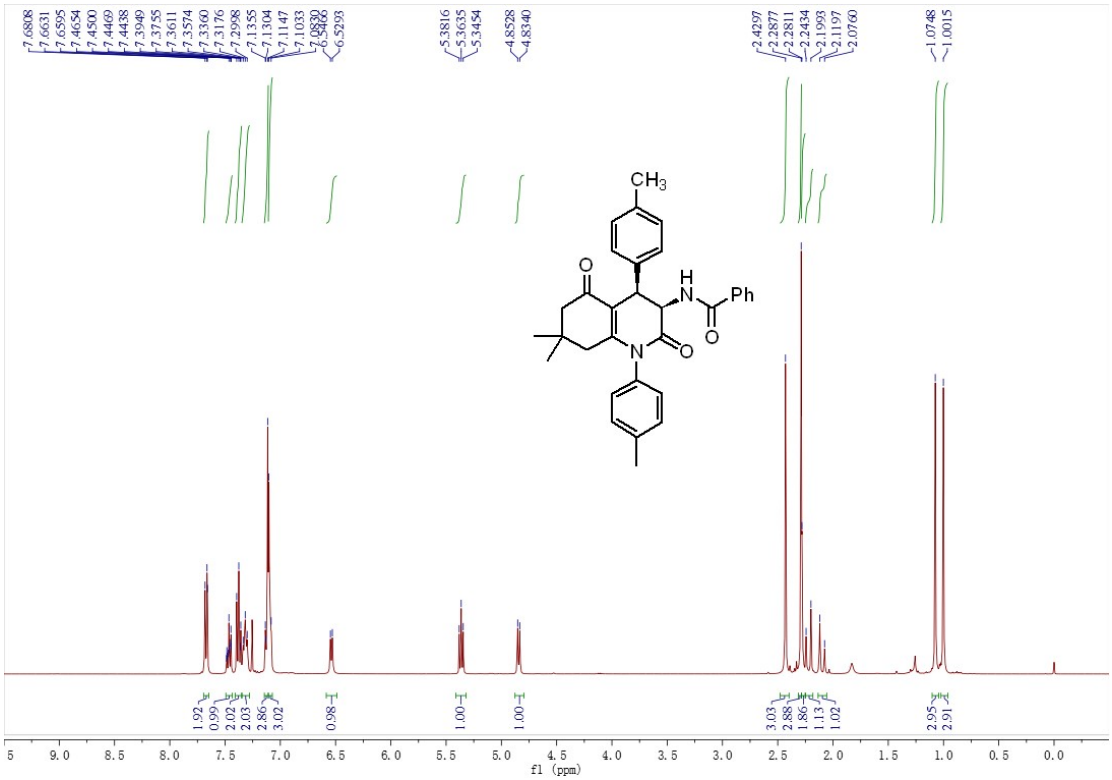


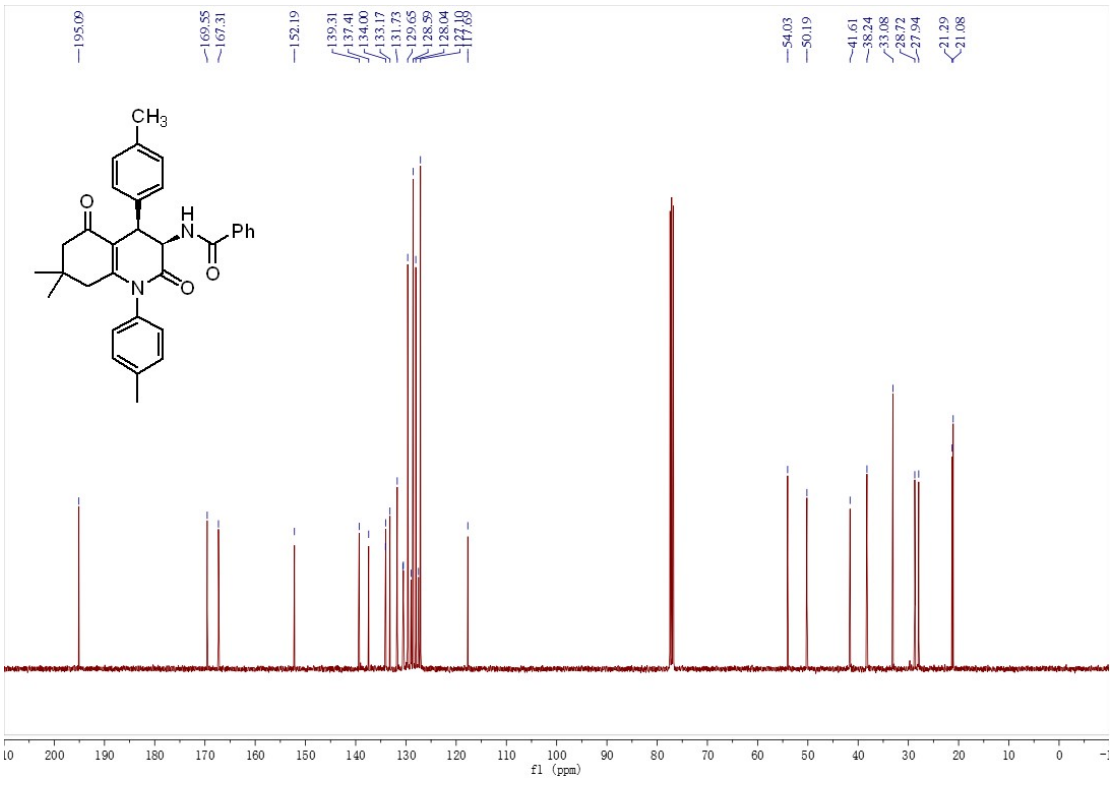
^{1}H and ^{13}C NMR spectra for 3a′



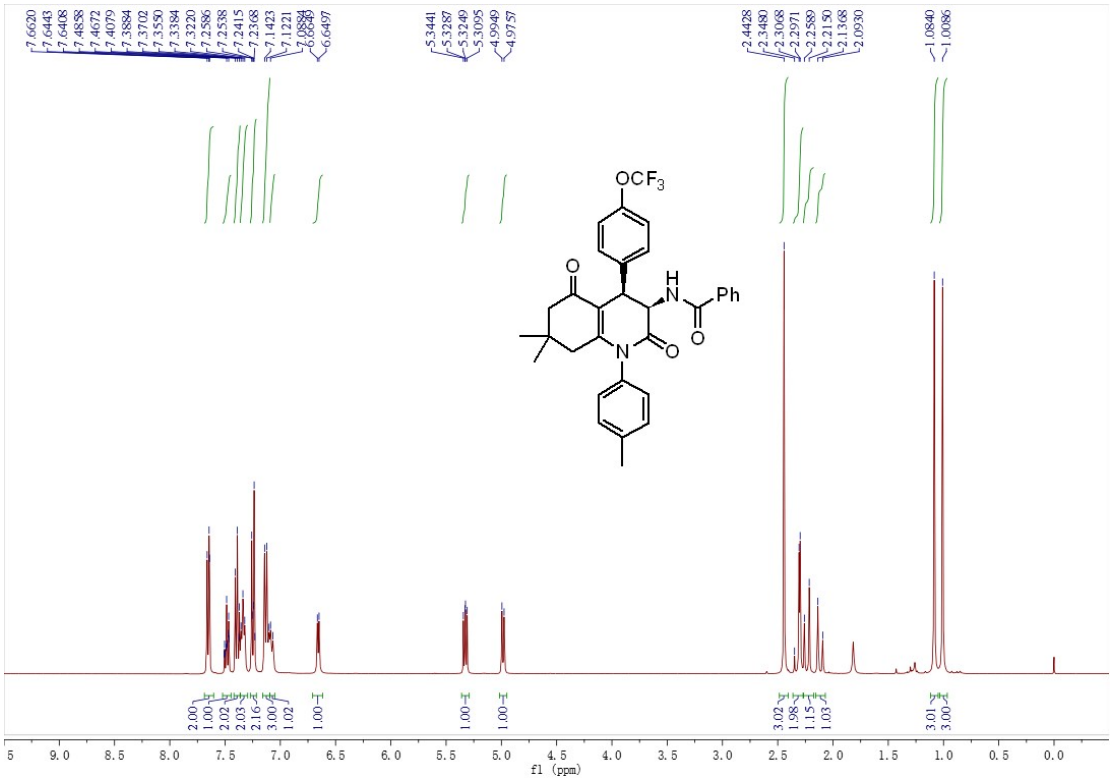


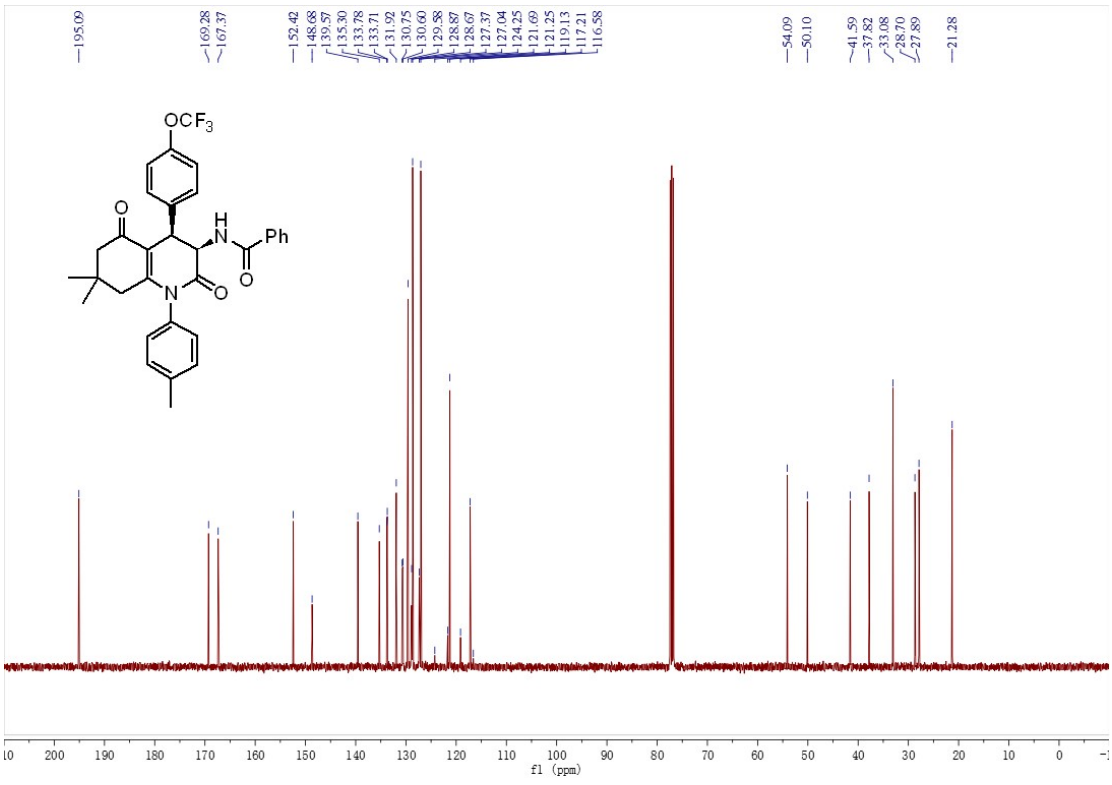
^{1}H and ^{13}C NMR spectra for 4b

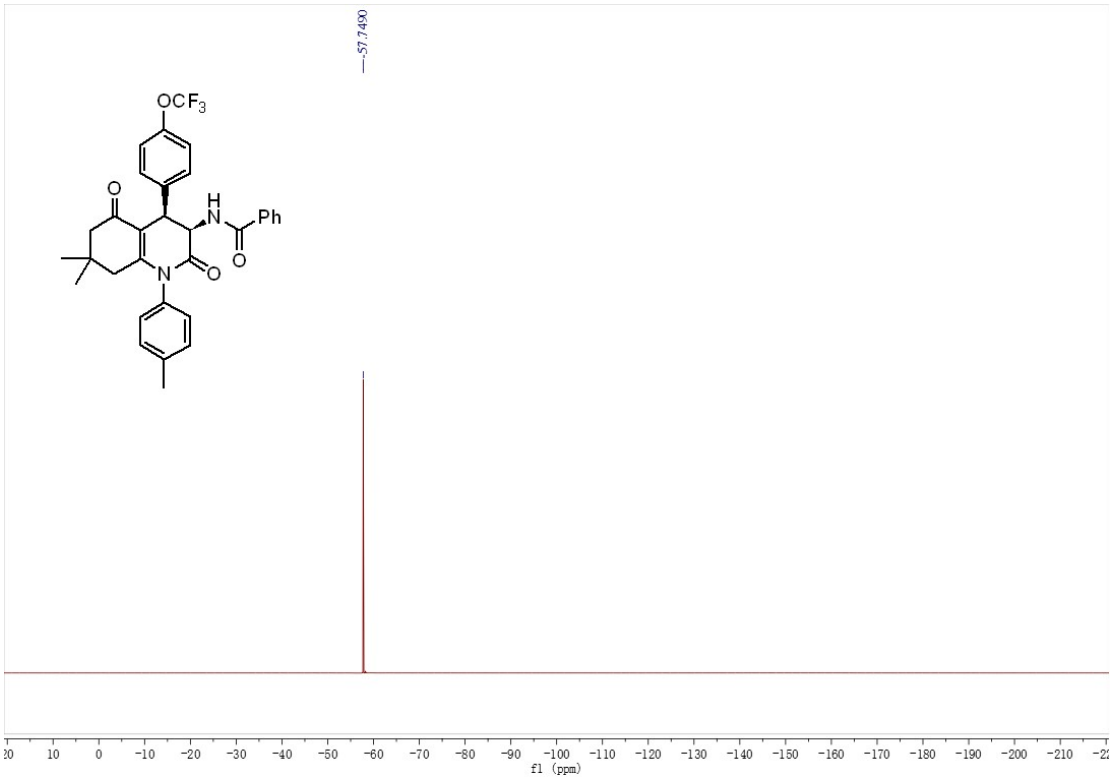




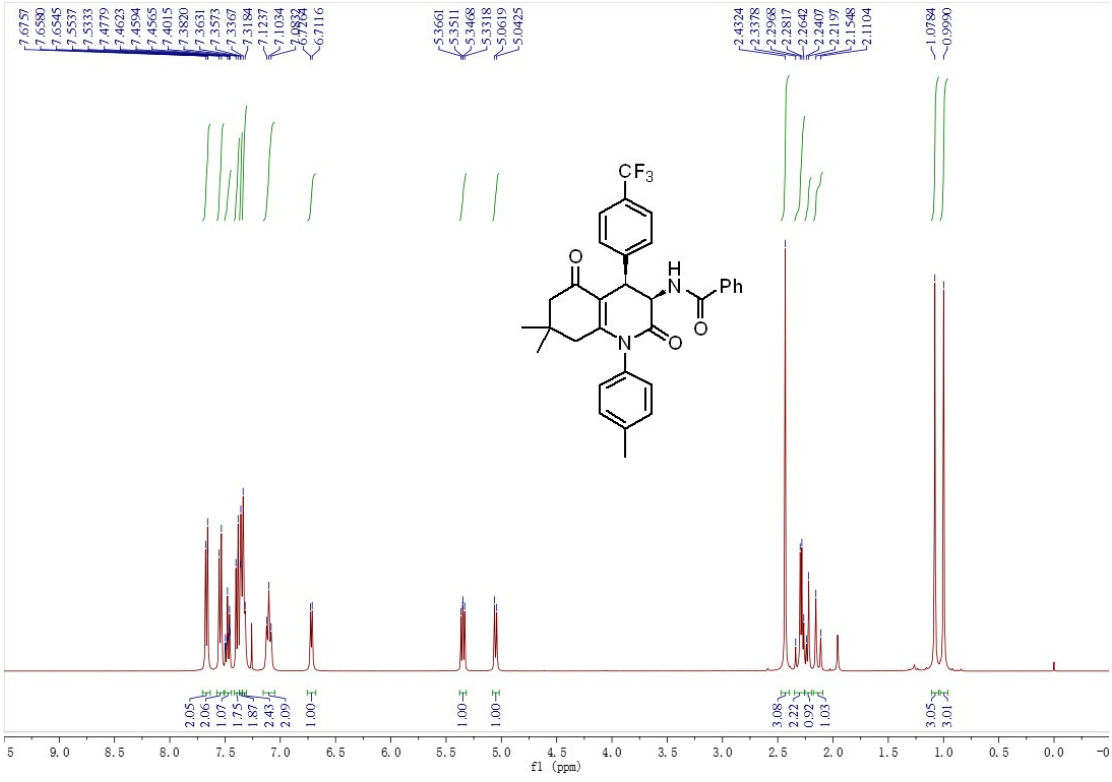
^{1}H, ^{13}C and ^{19}F NMR spectra for 4c

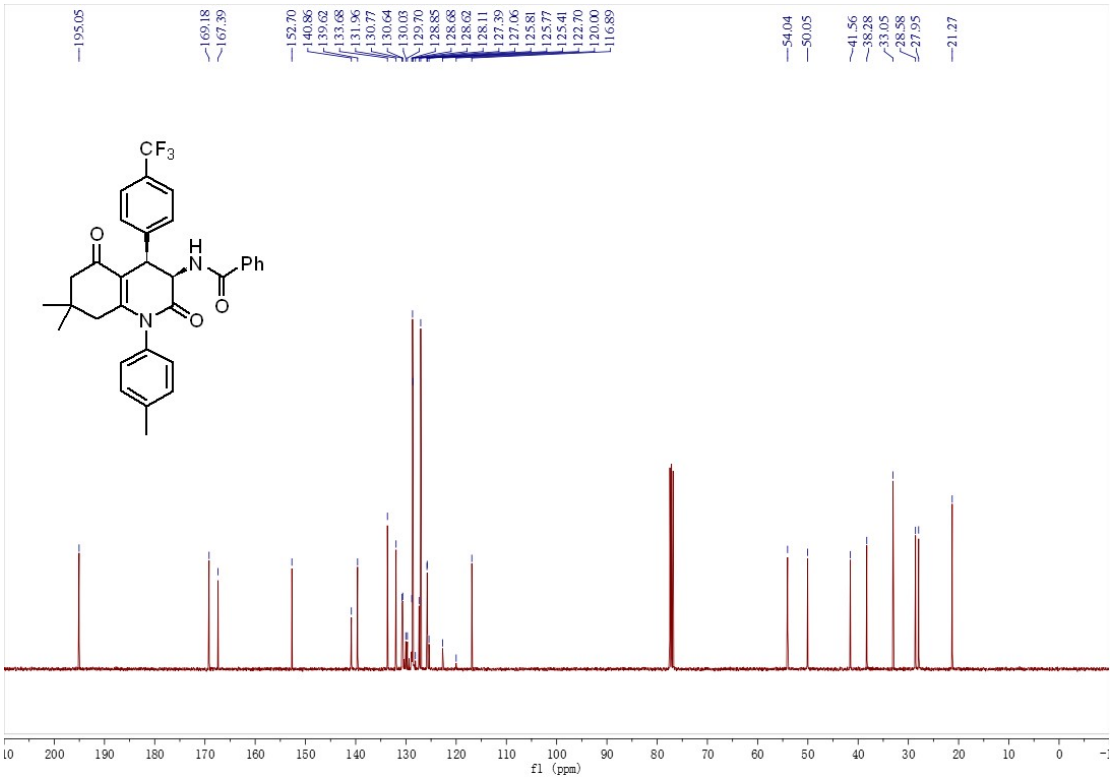






^{1}H, ^{13}C and ^{19}F NMR spectra for 4d

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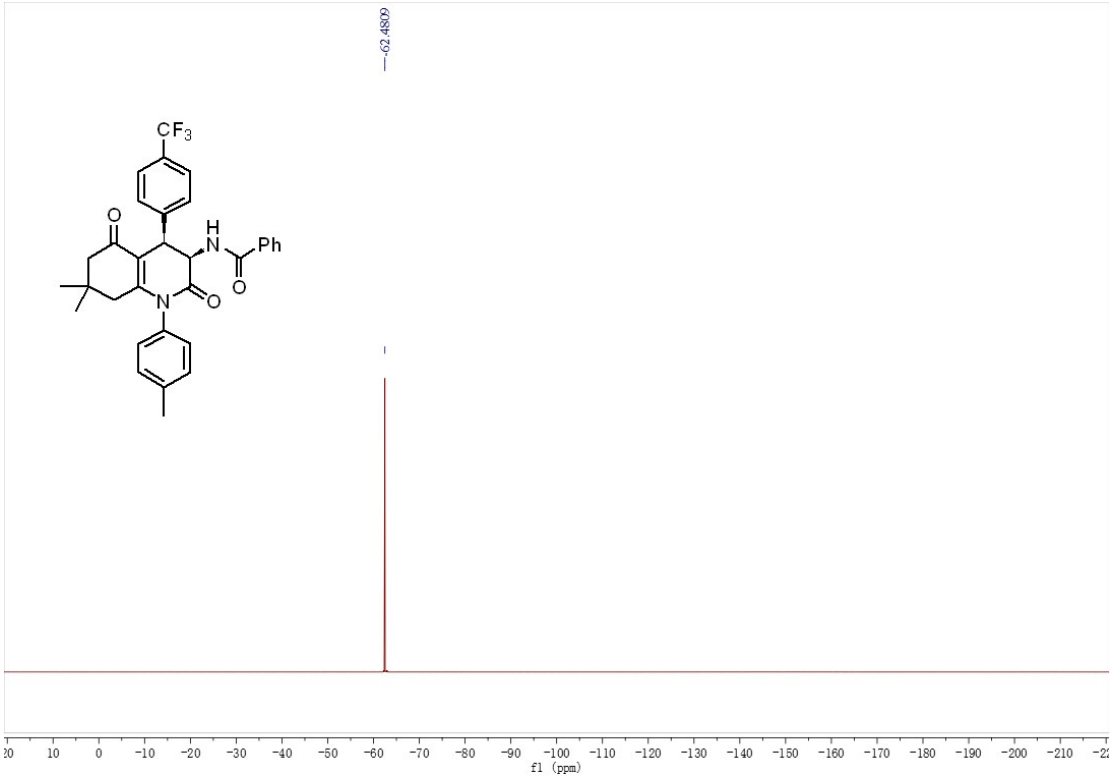
128.11
127.39
127.06
125.81
125.77
125.41
122.70
120.00
116.89
54.04
50.05
41.56
38.28
33.05
28.58
27.95
21.27
CF3
O
H
N
Ph
O
O
N
f1 (ppm)


62.4809
CF3
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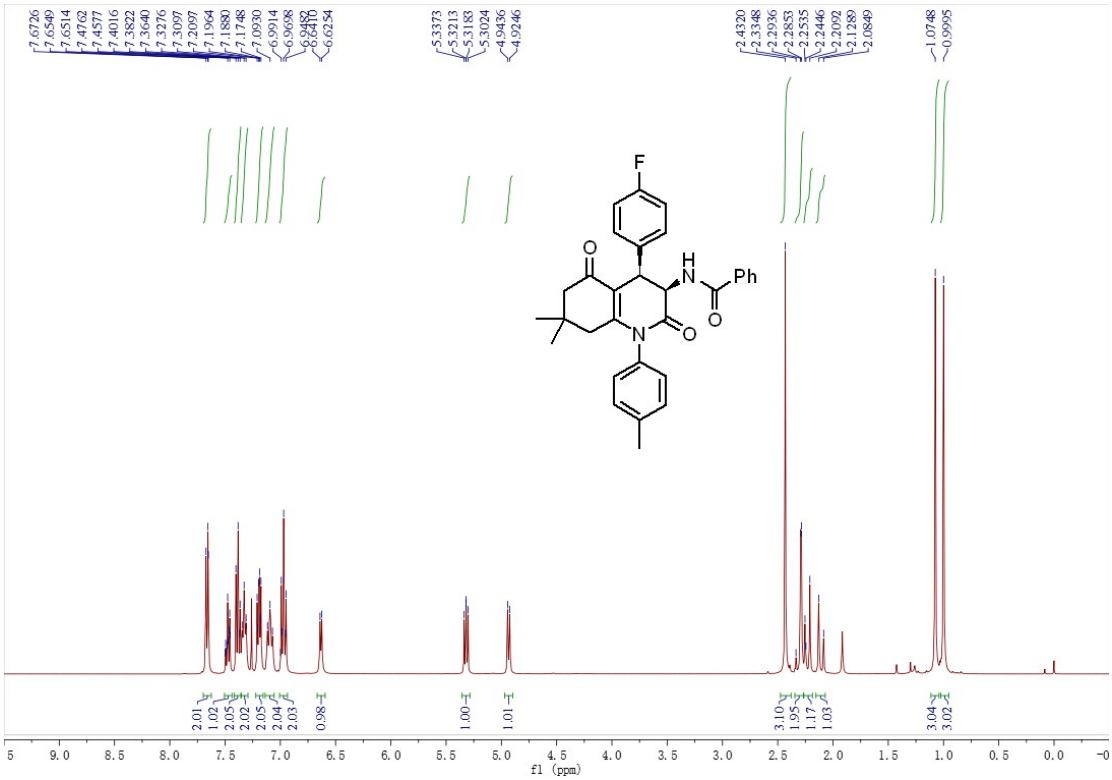
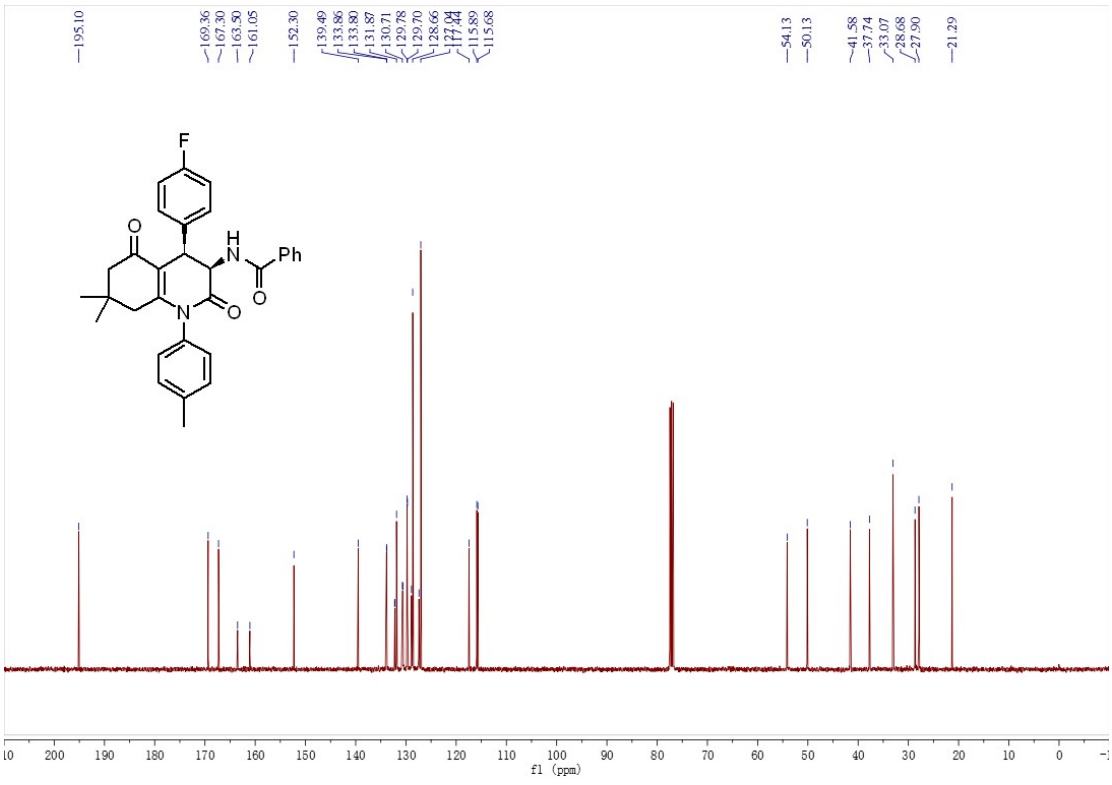
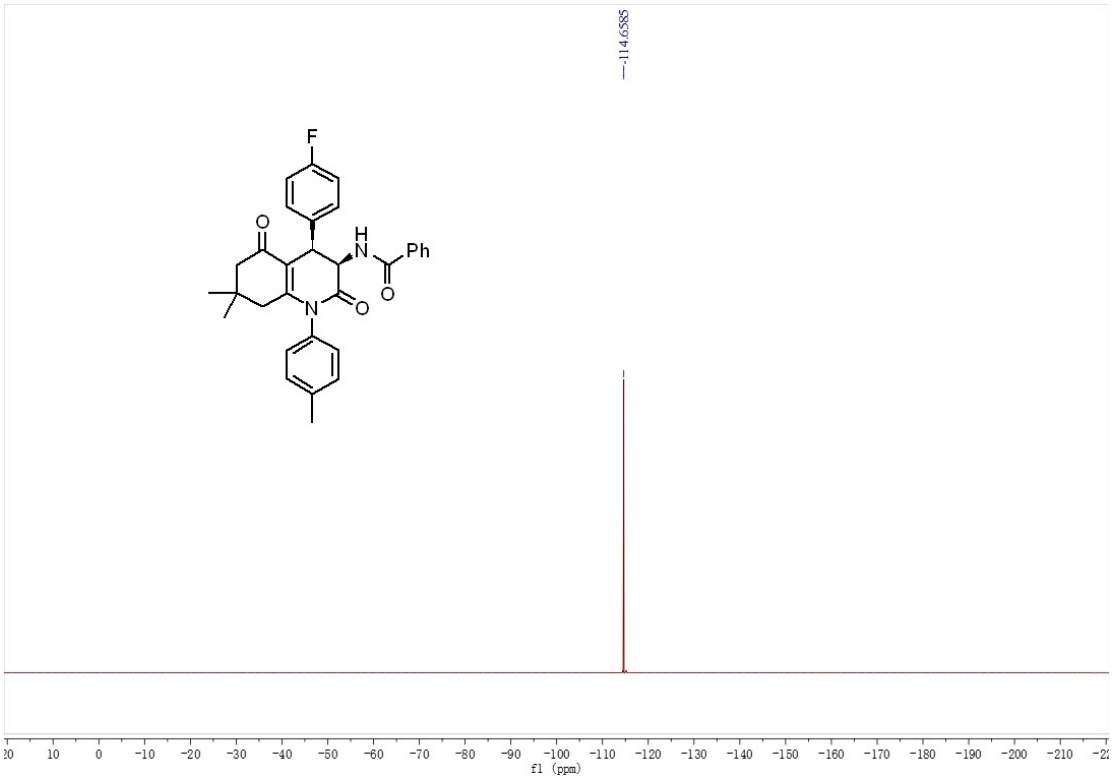
H
N
Ph
O
O
N
f1 (ppm)

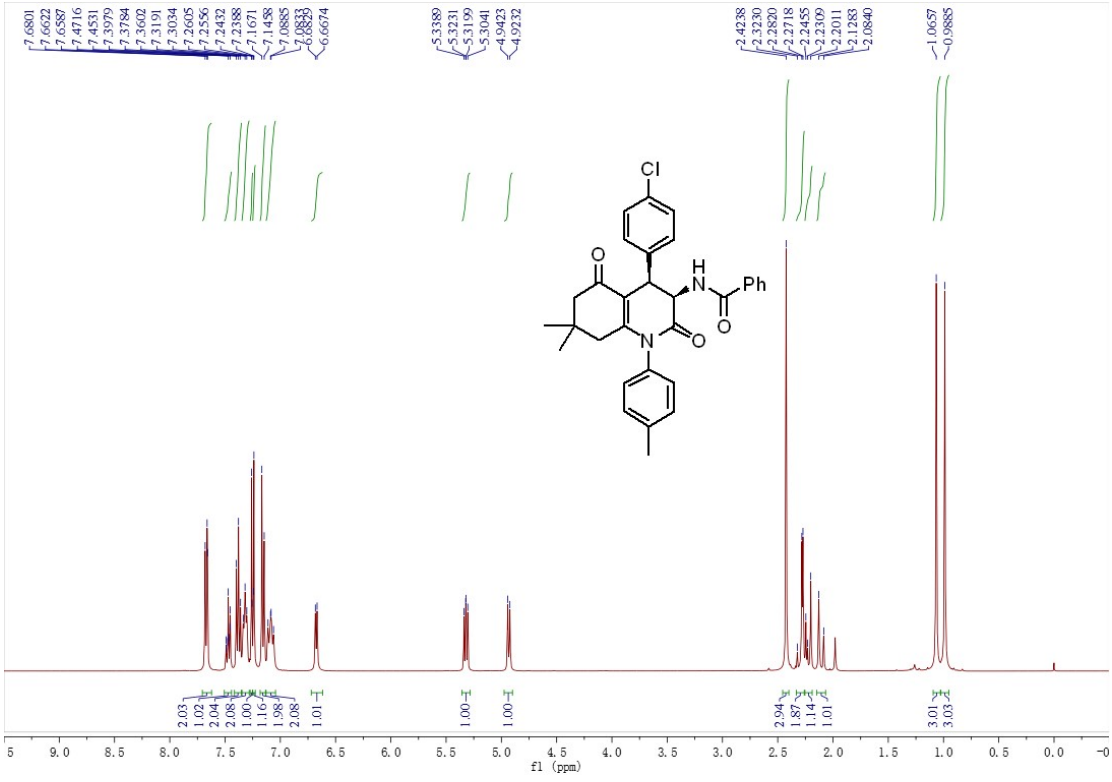
^{1}H, ^{13}C and ^{19}F NMR spectra for 4e

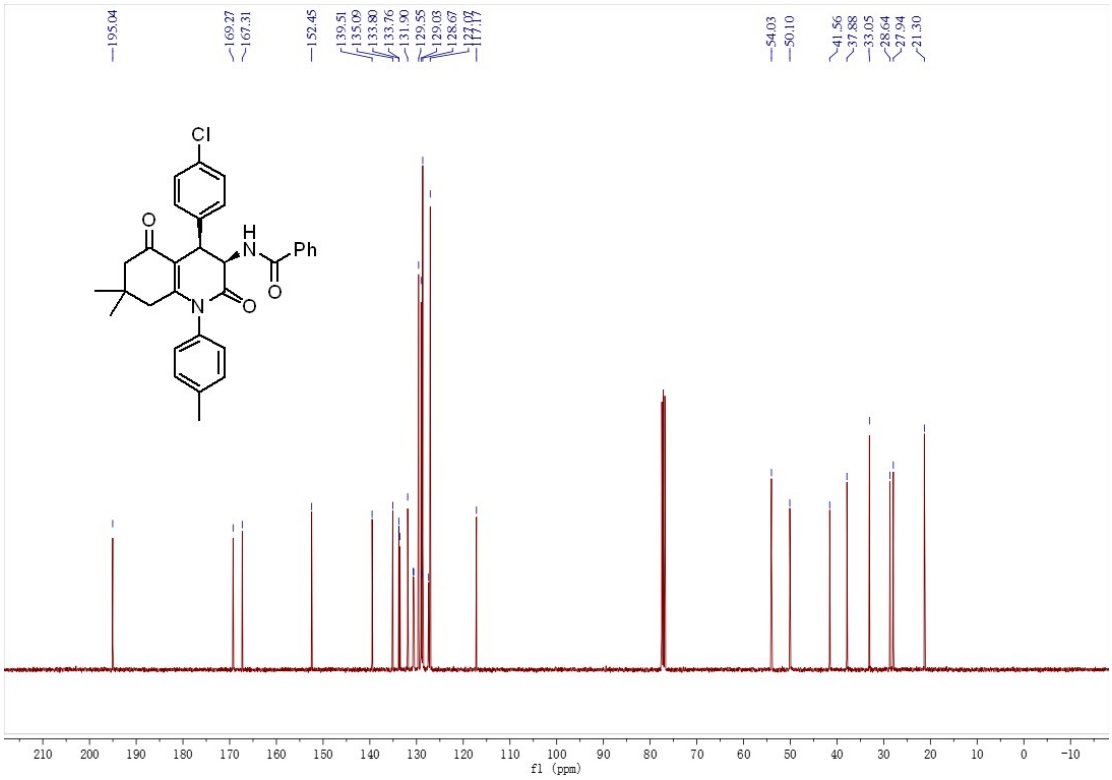




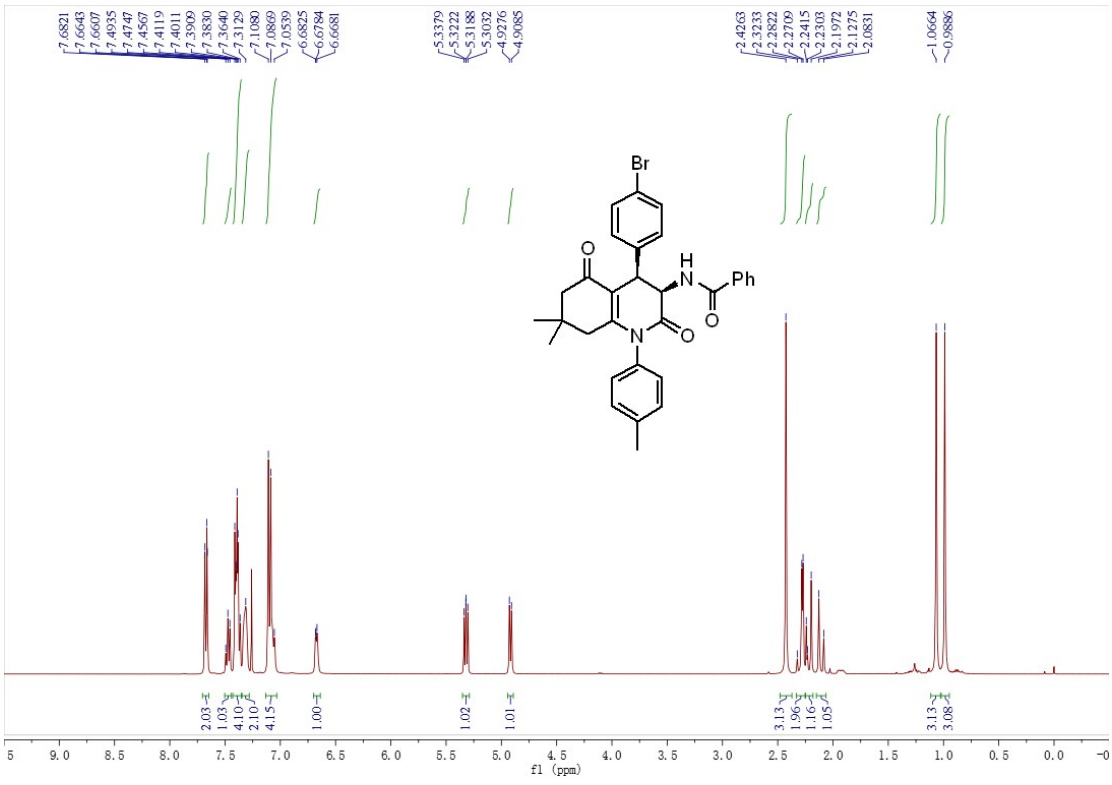


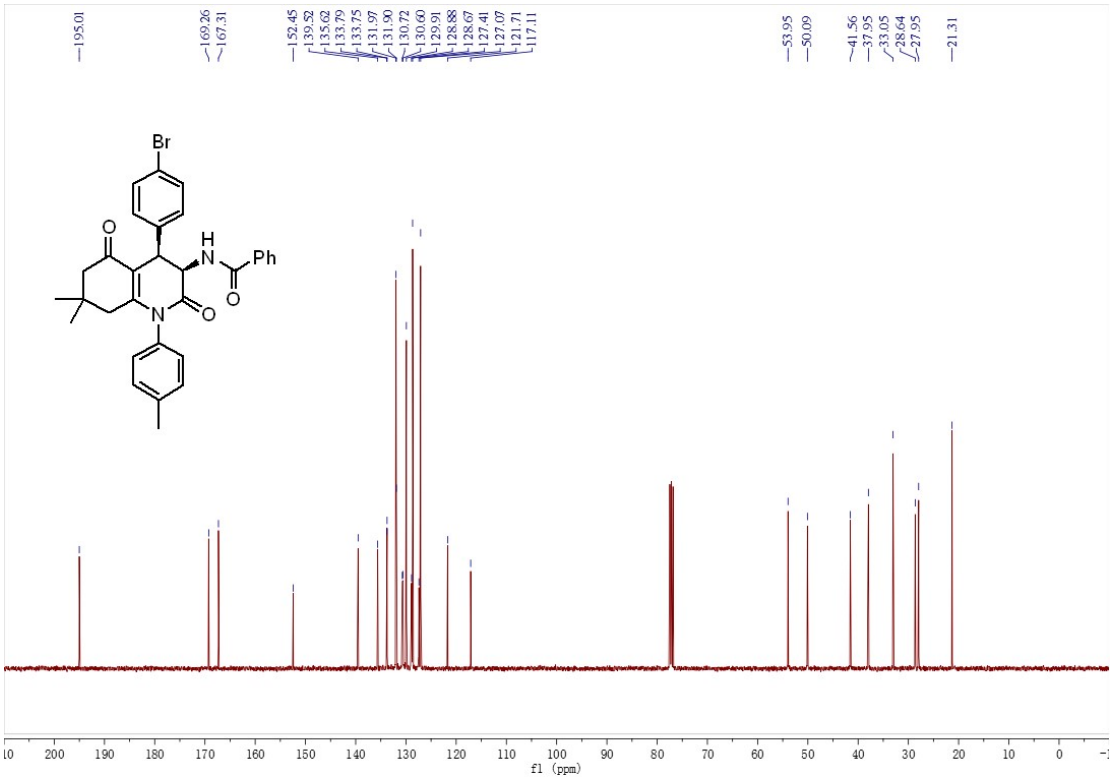
^{1}H and ^{13}C NMR spectra for 4f



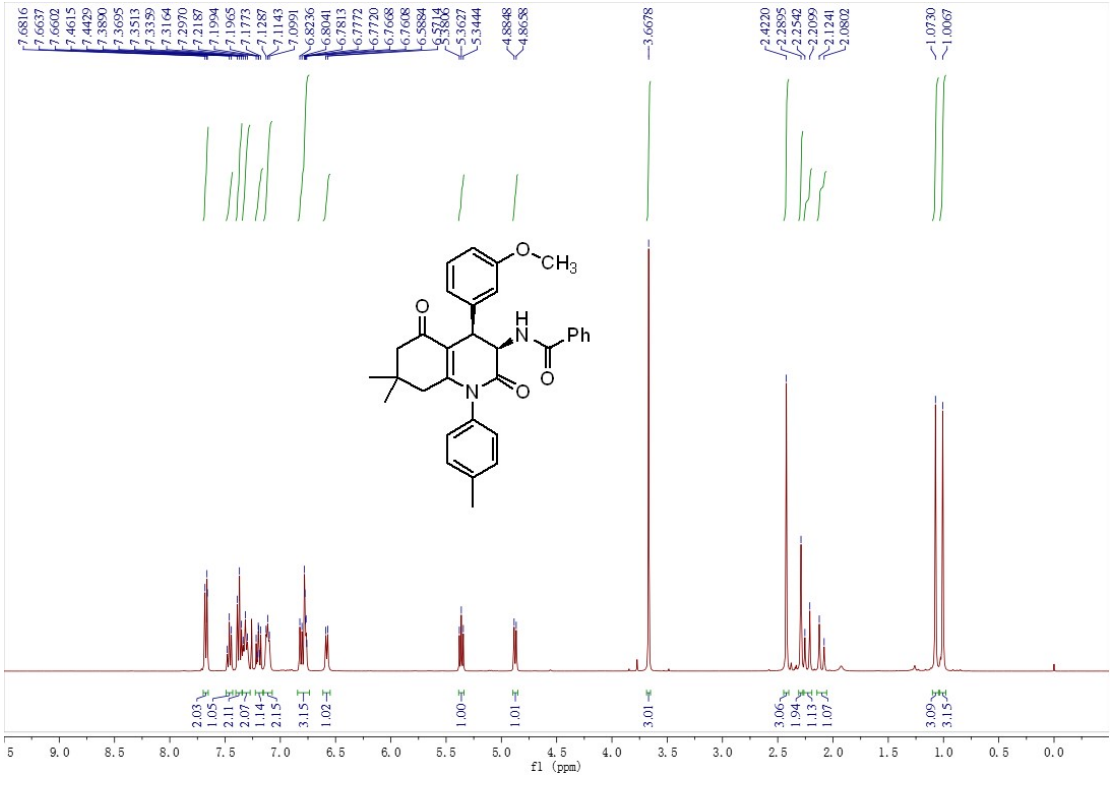


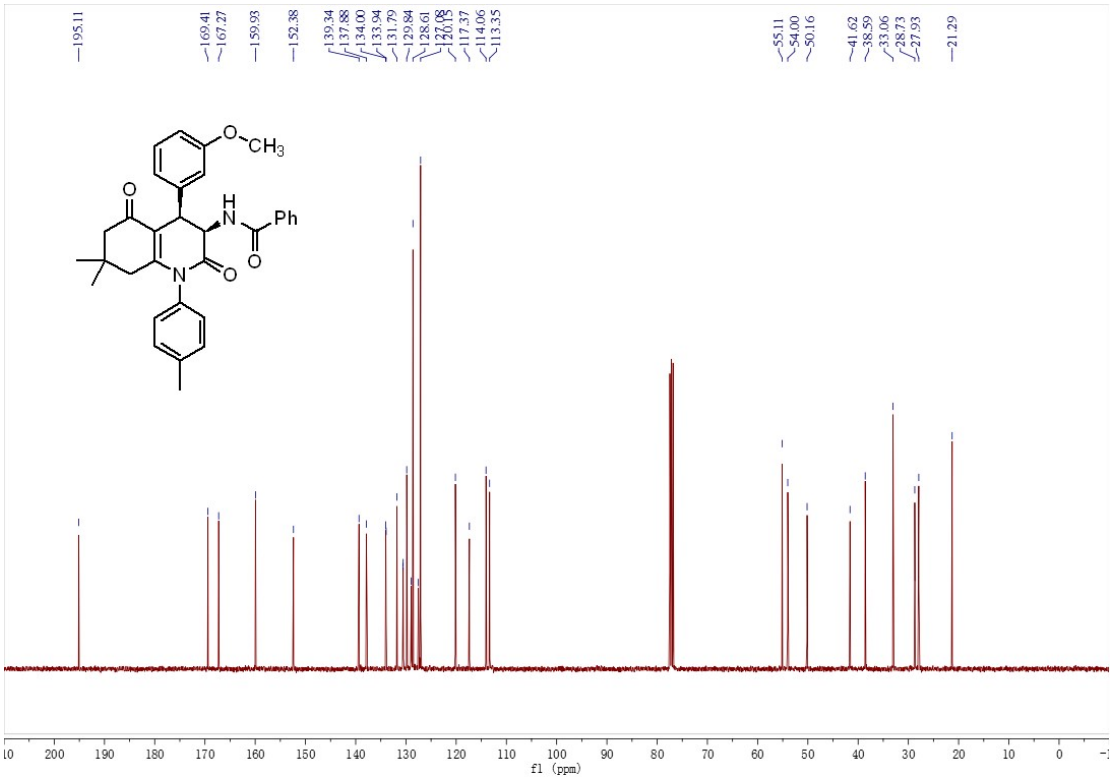
^{1}H and ^{13}C NMR spectra for 4g



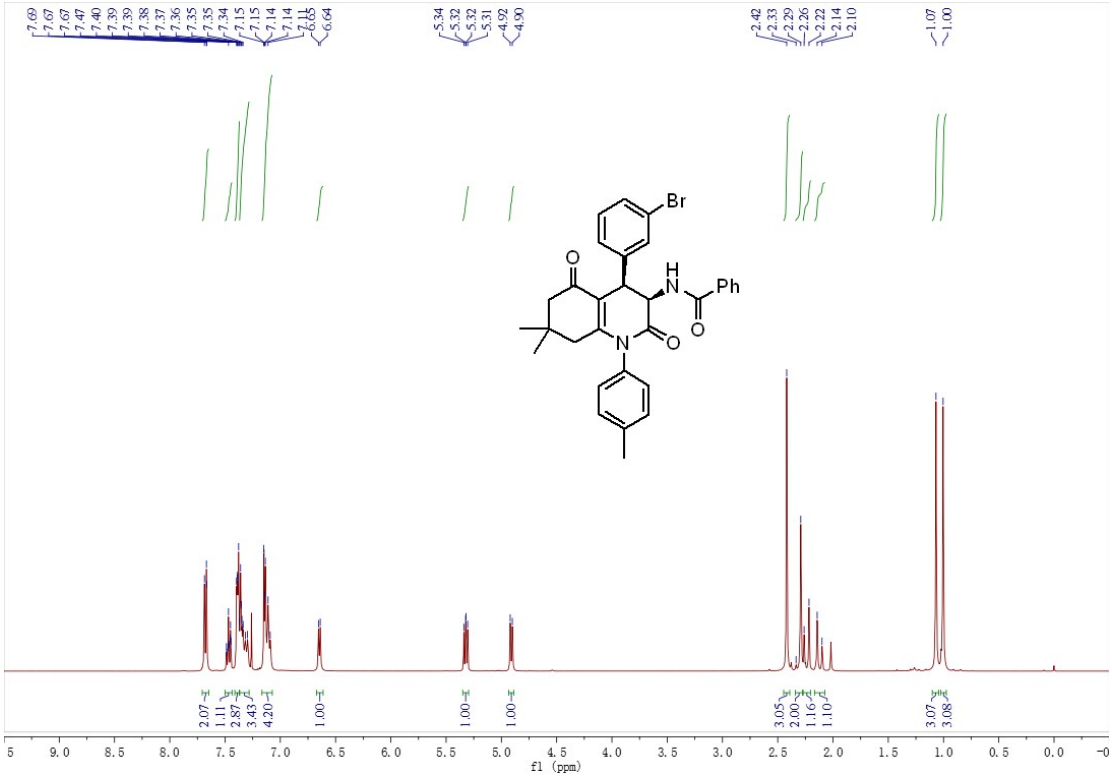


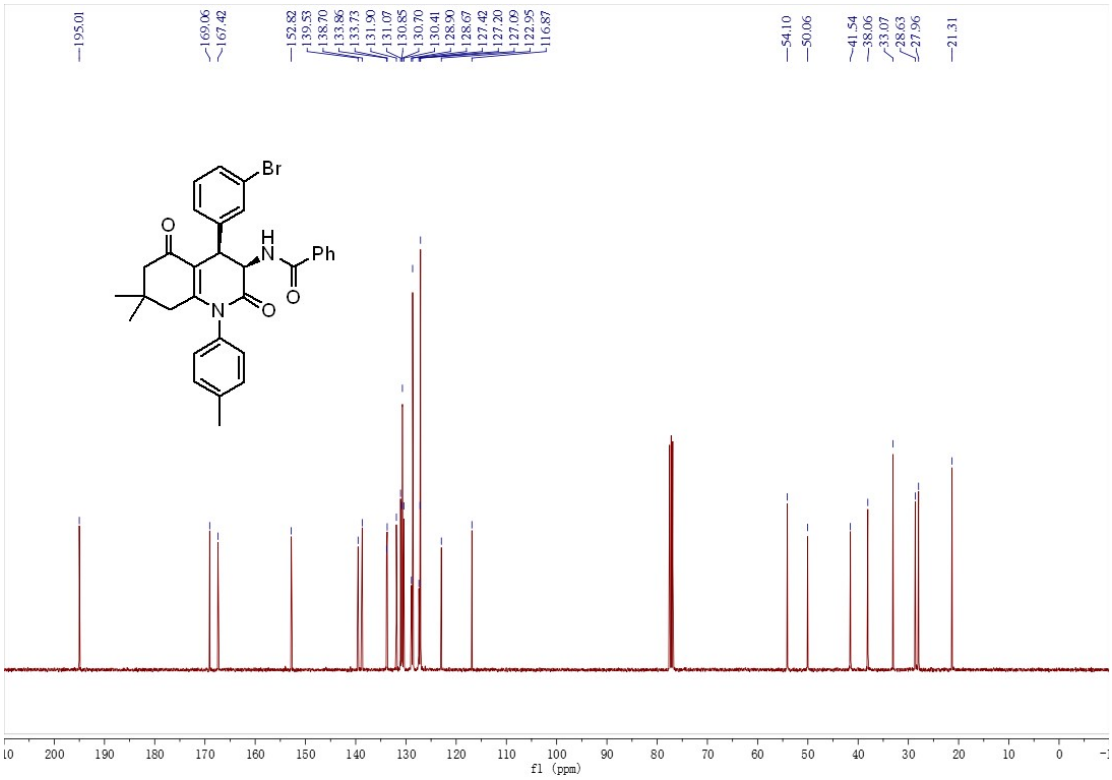
^{1}H and ^{13}C NMR spectra for 4h



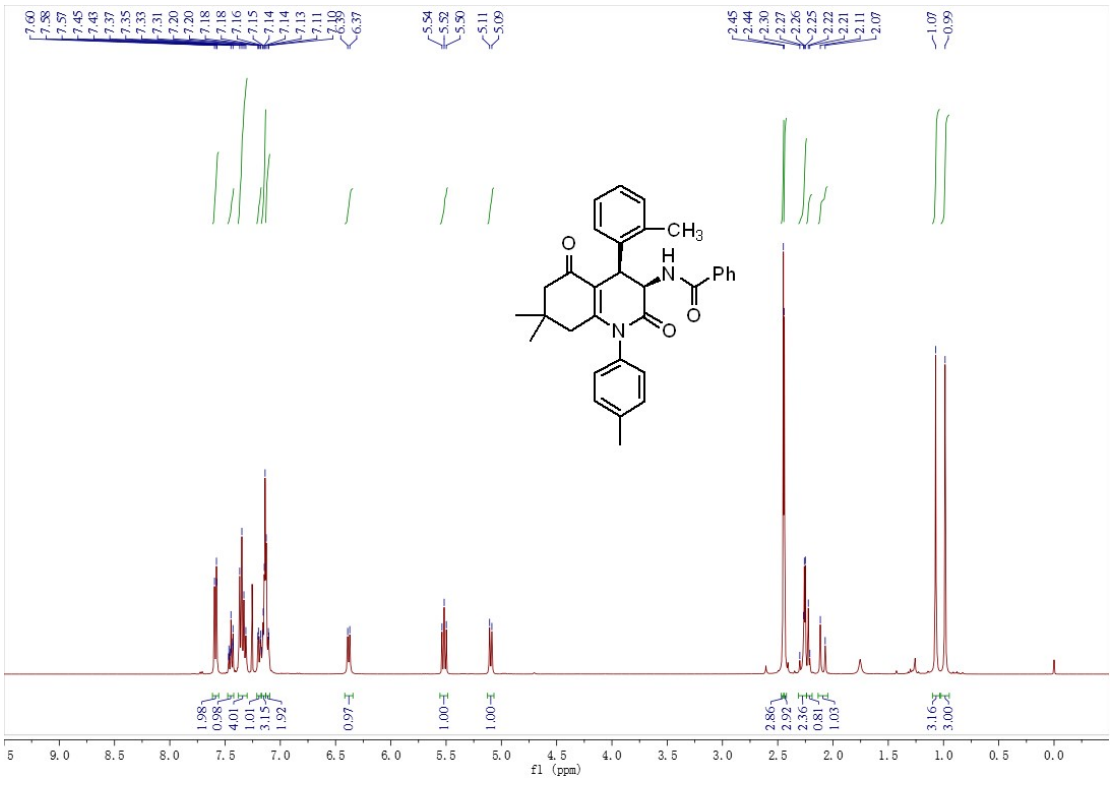


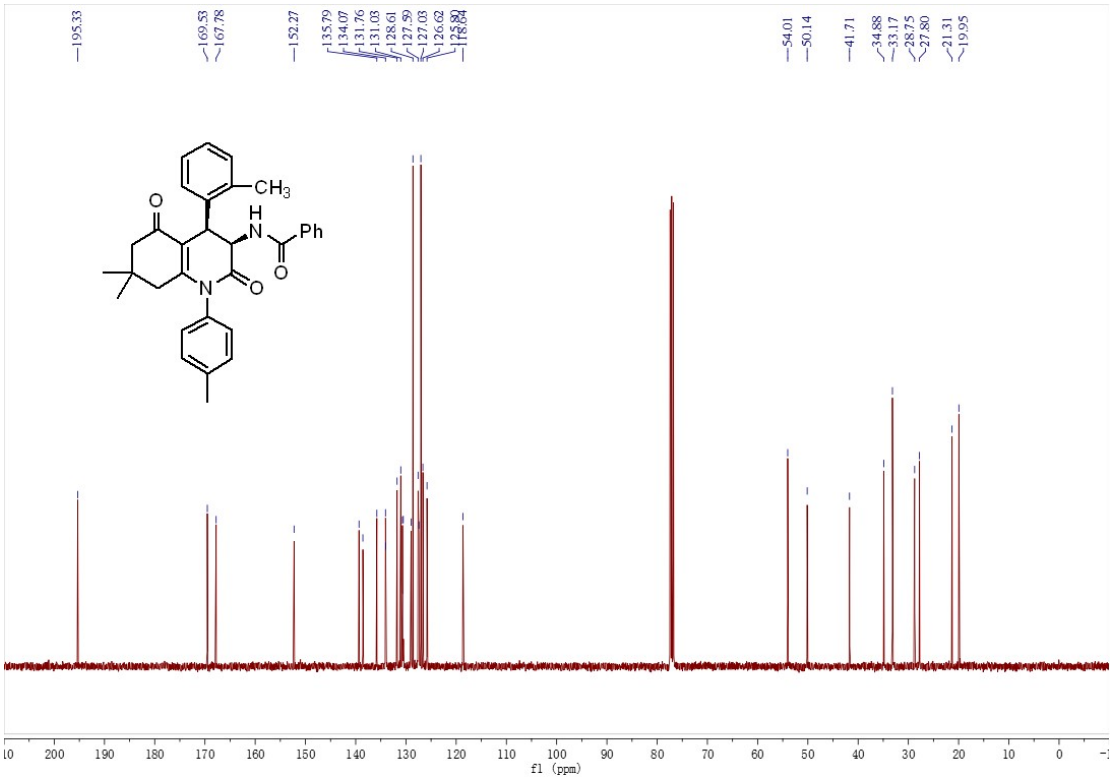
^{1}H and ^{13}C NMR spectra for 4i



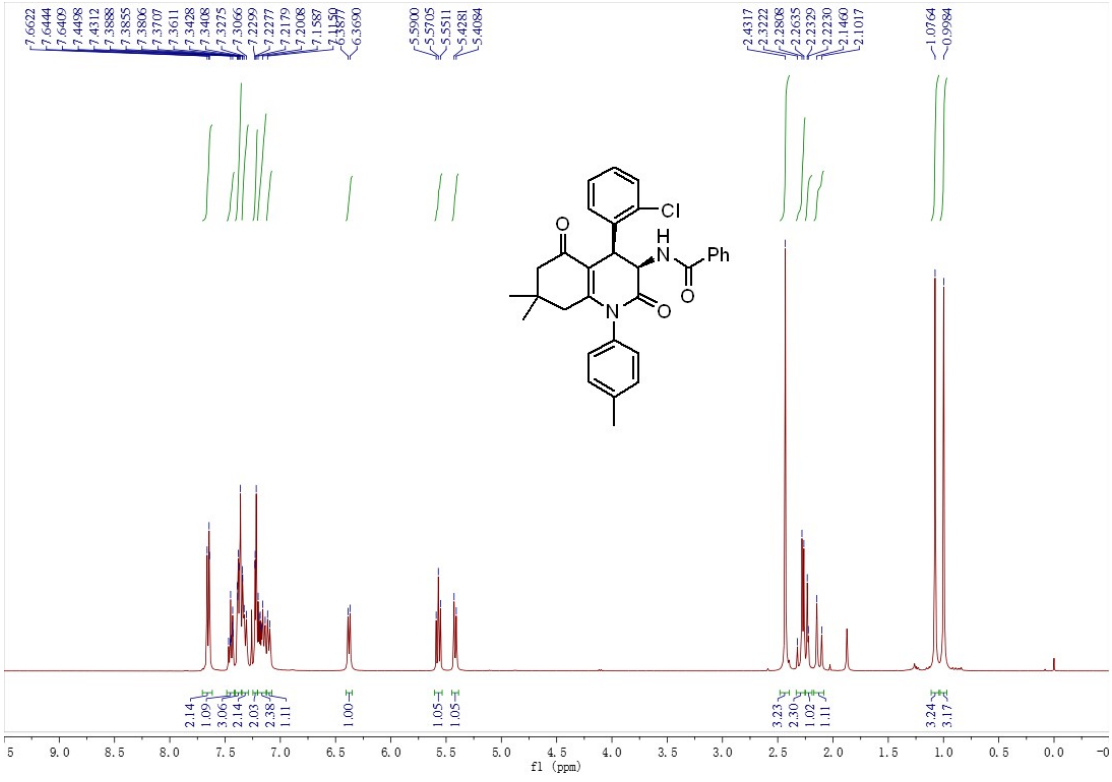


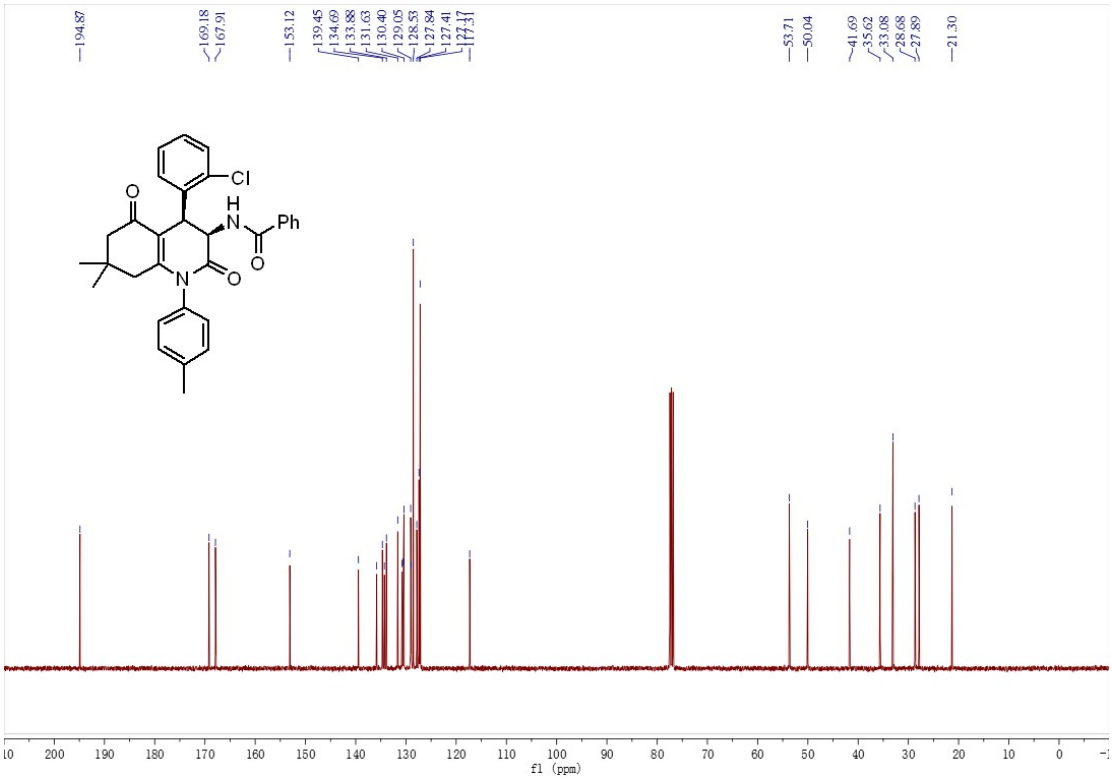
^{1}H and ^{13}C NMR spectra for 4j



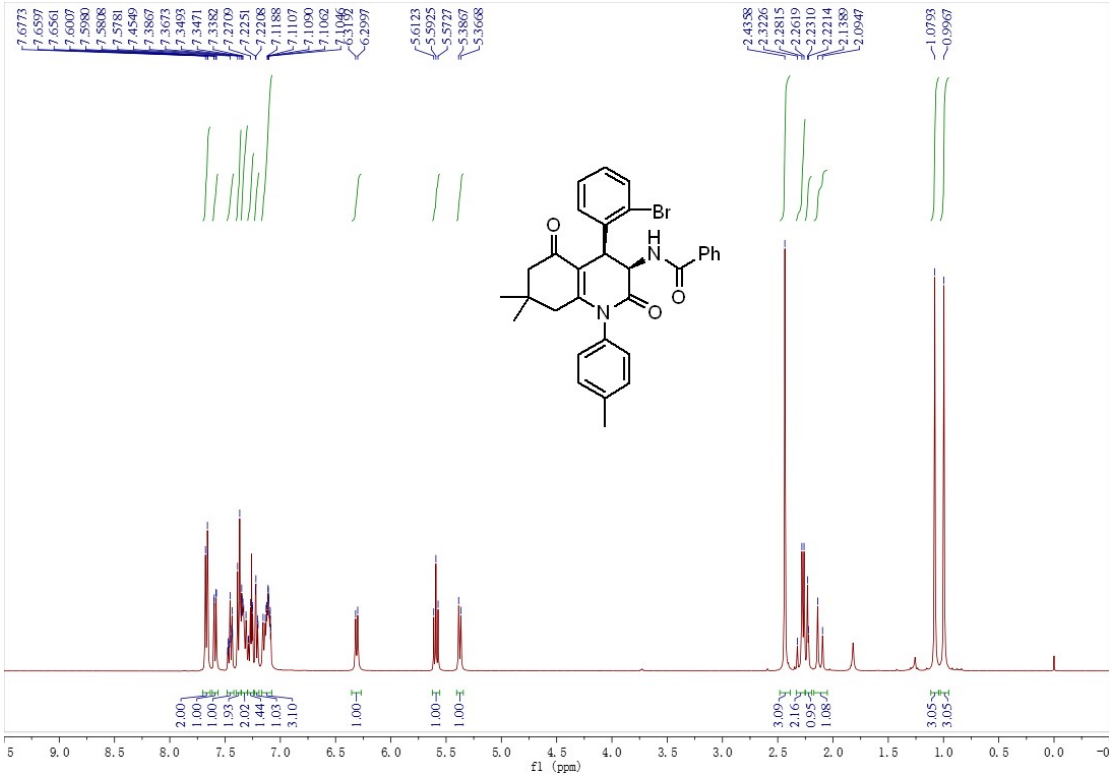


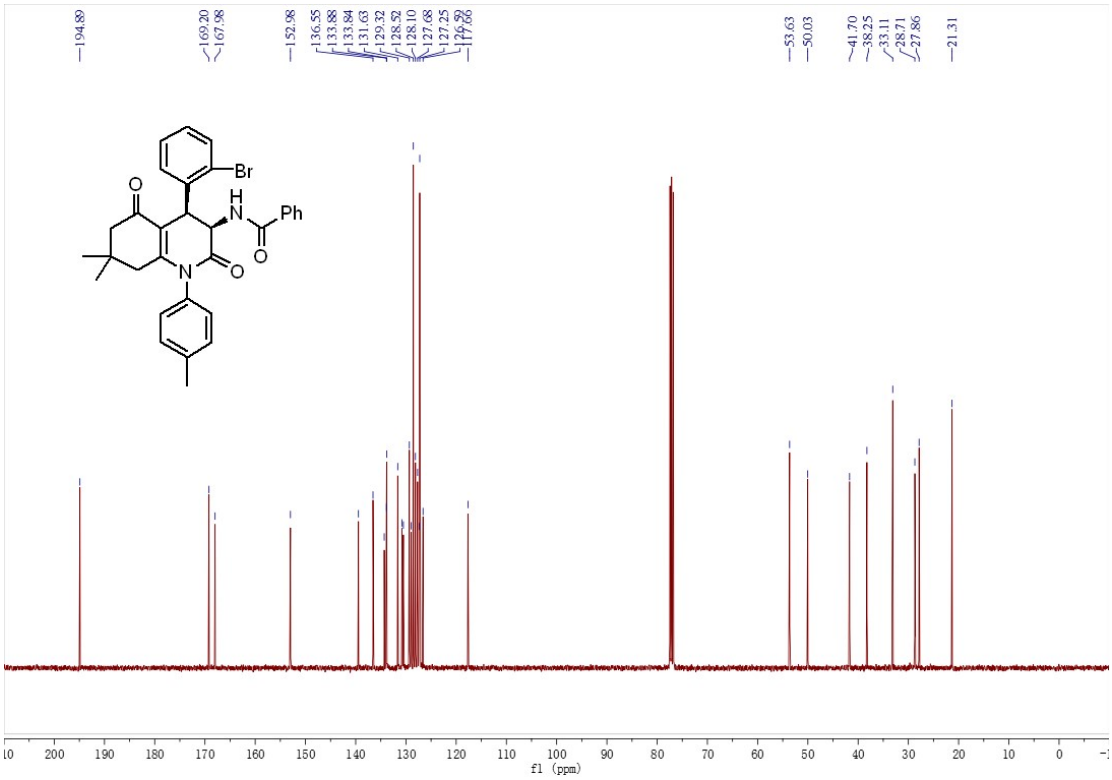
^{1}H and ^{13}C NMR spectra for 4k



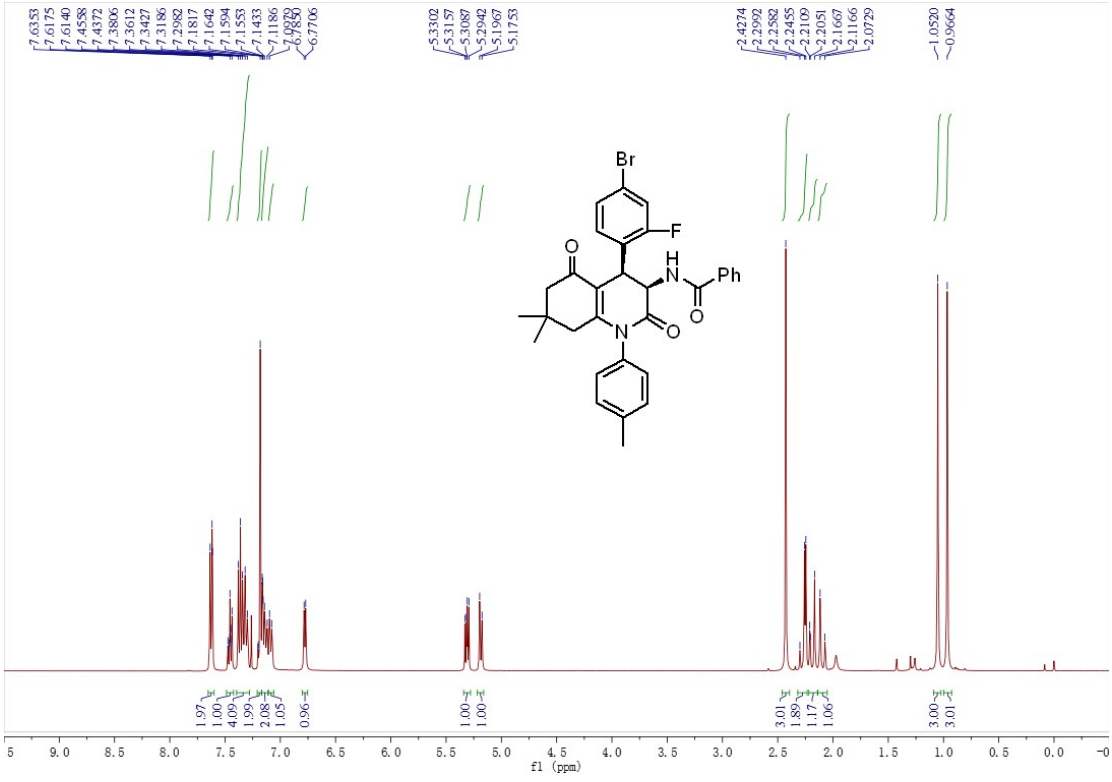


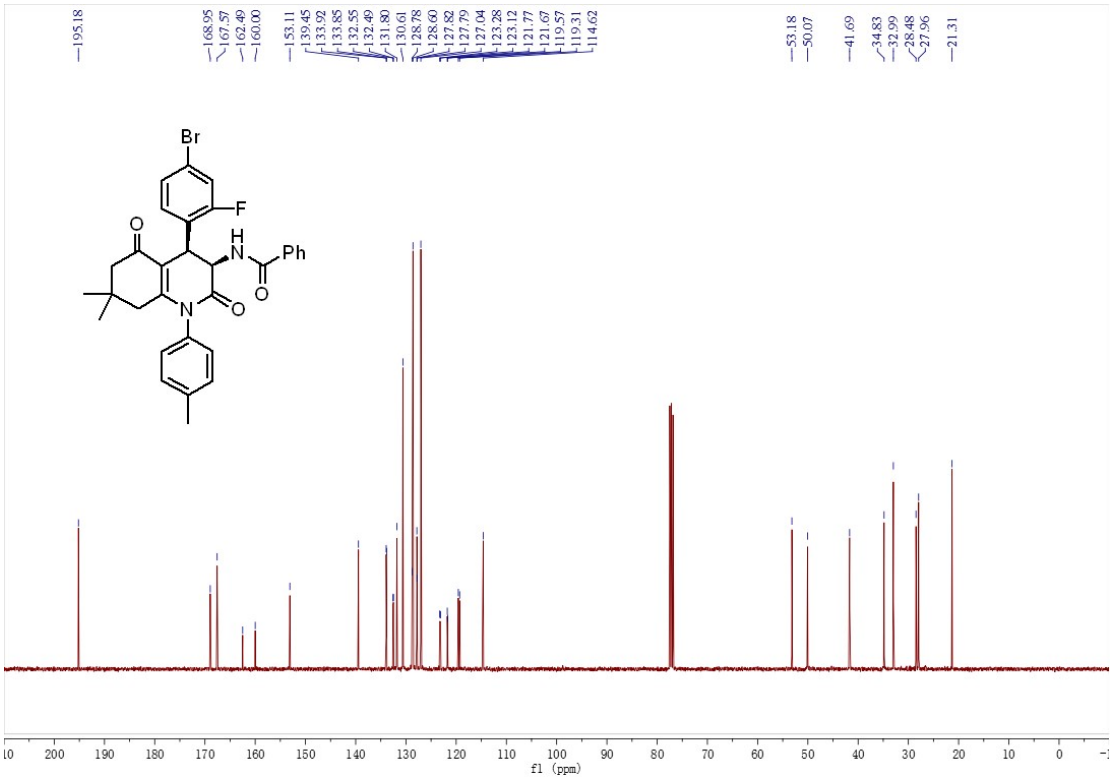
^{1}H and ^{13}C NMR spectra for 4l





^{1}H, ^{13}C and ^{19}F NMR spectra for 4m




195.18
168.95
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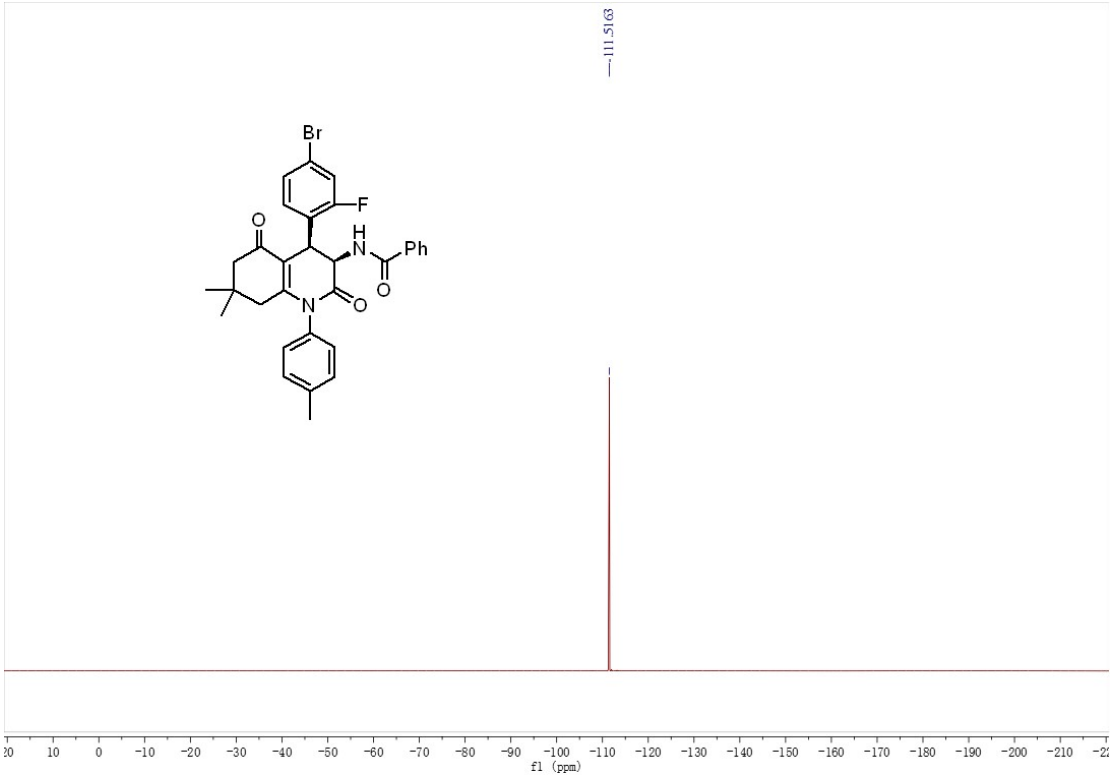
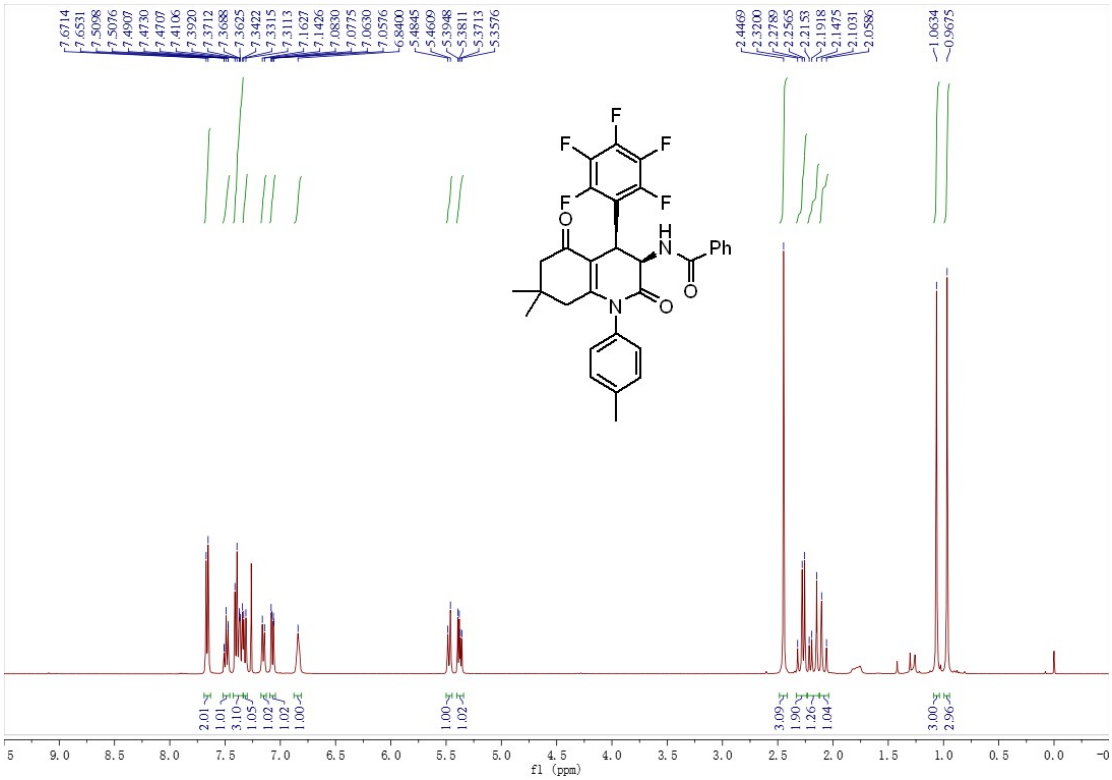
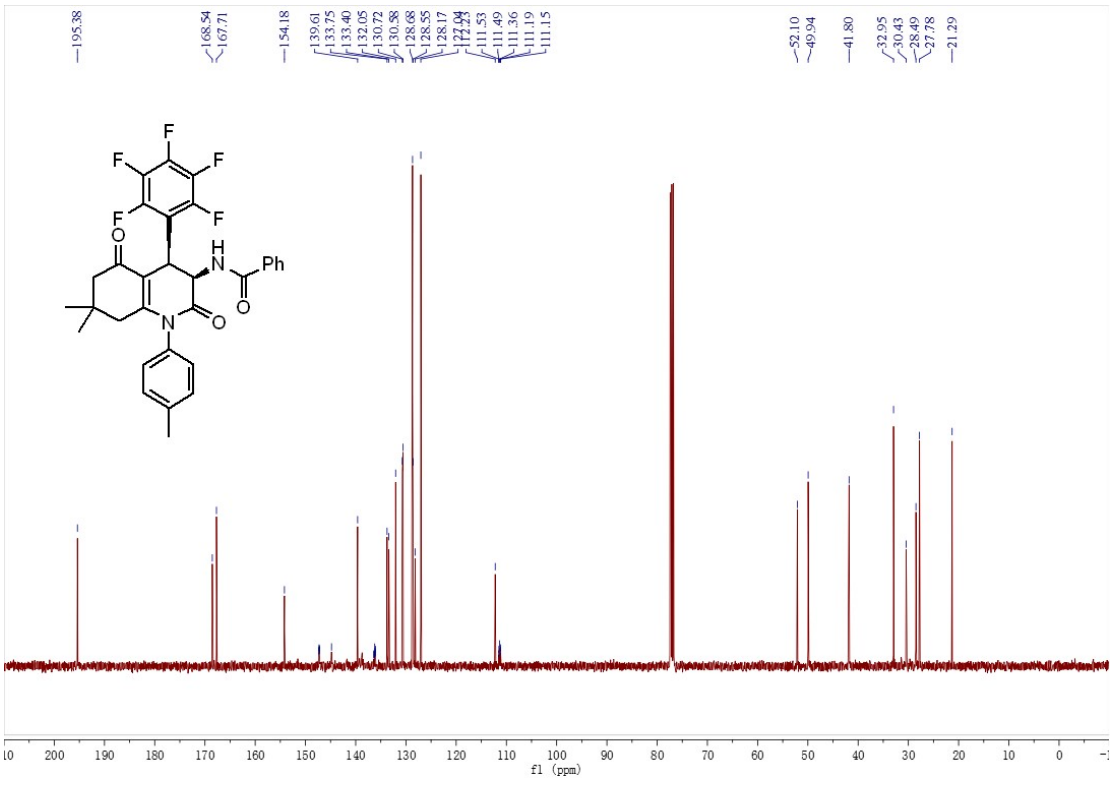
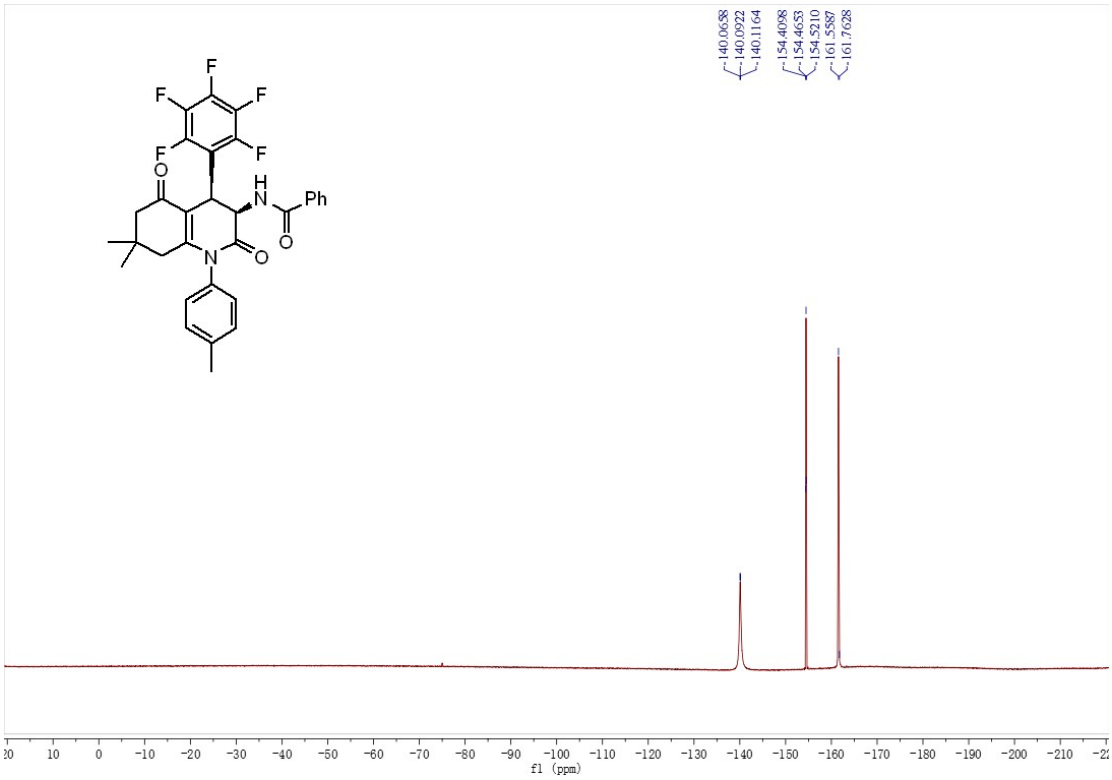
139.45
133.92
133.85
132.55
132.49
131.80
130.61
128.78
128.60
127.82
127.79
127.04
123.28
123.12
121.77
121.67
119.57
119.31
114.62
53.18
50.07
41.69
34.83
32.99
28.48
27.96
21.31
Br
F
H
N
Ph
O
O
O
N
f1 (ppm)


111.5163
Br
F
H
N
Ph
O
O
O
N
f1 (ppm)

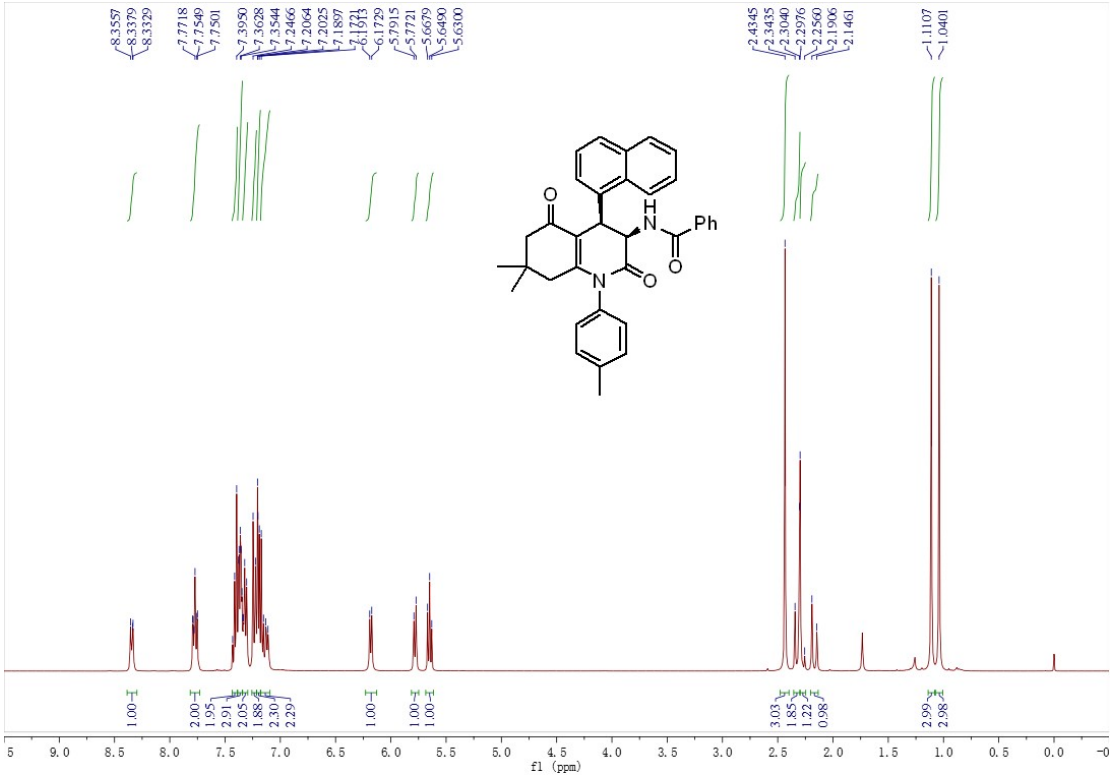
^{1}H, ^{13}C and ^{19}F NMR spectra for 4n

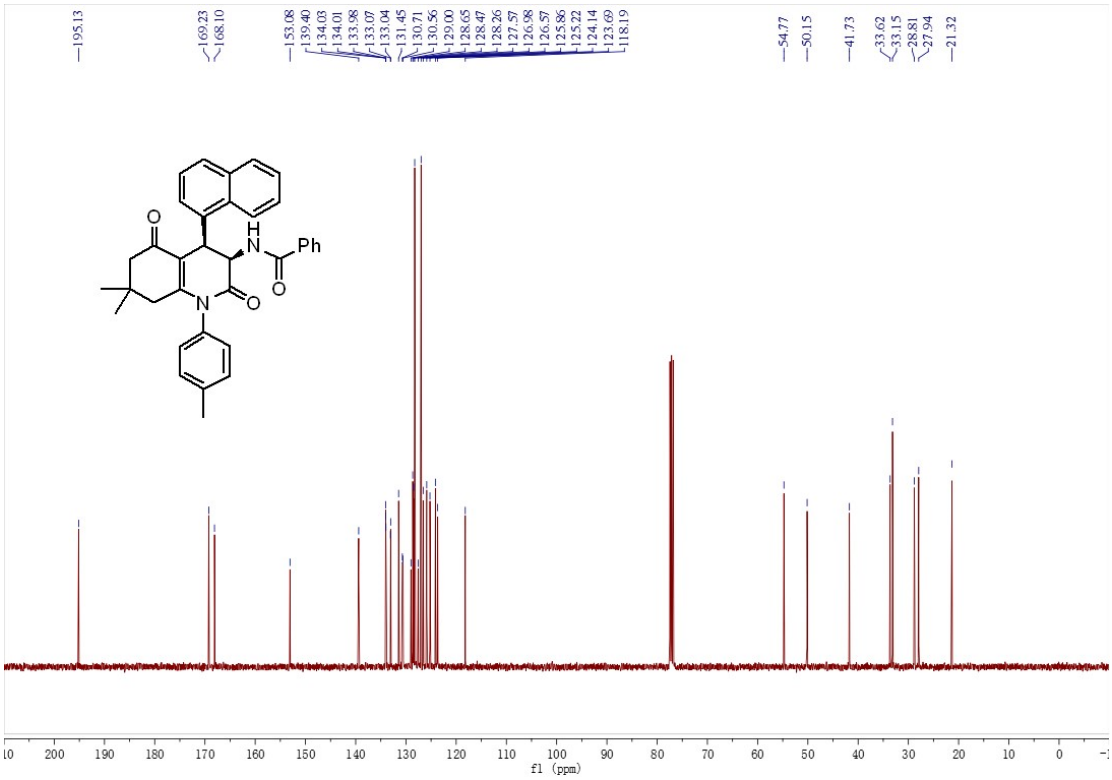




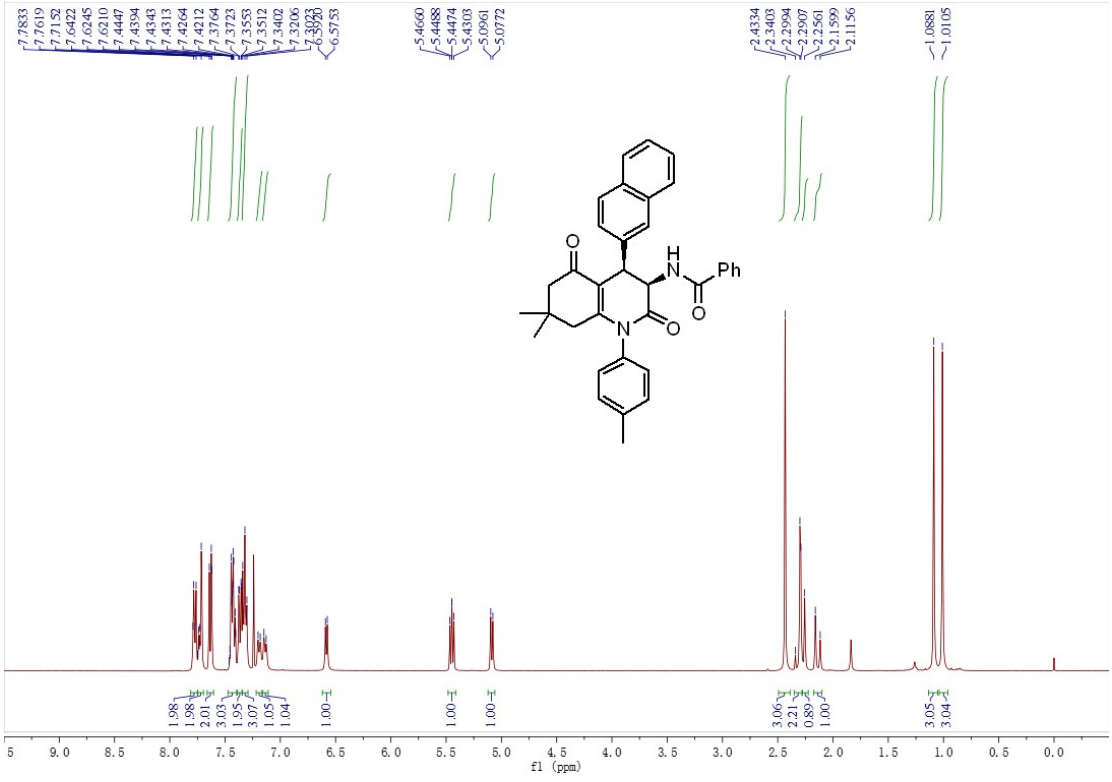


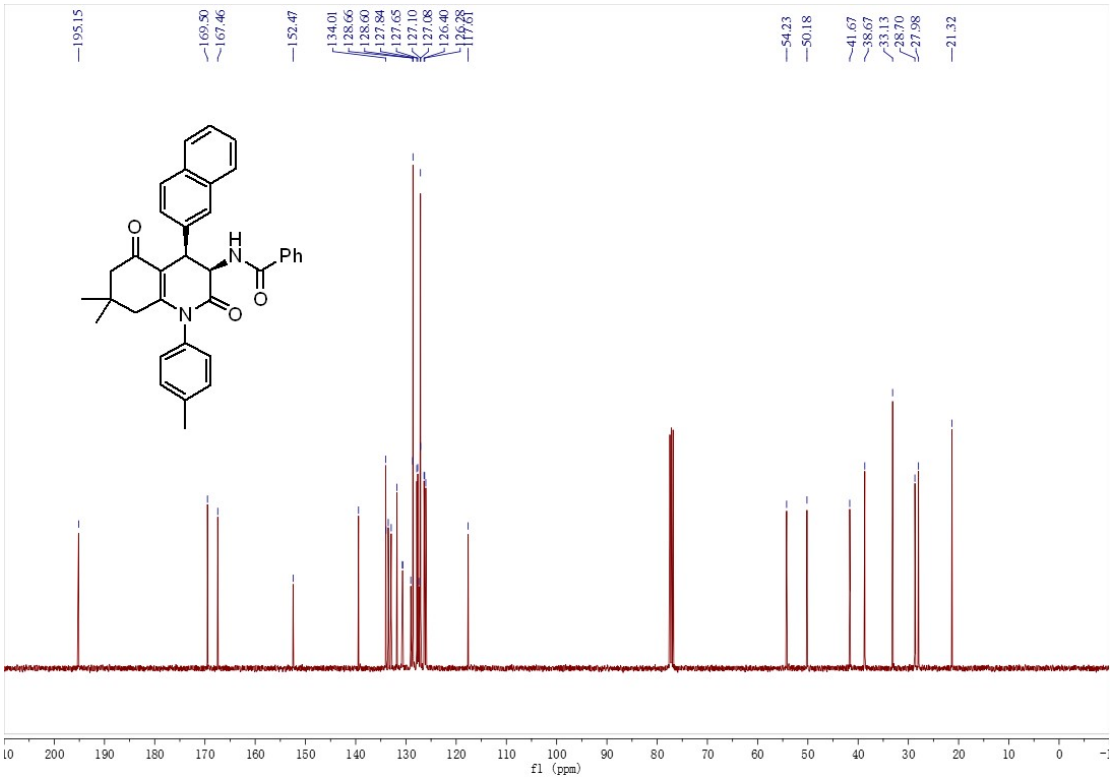
^{1}H and ^{13}C NMR spectra for 4o



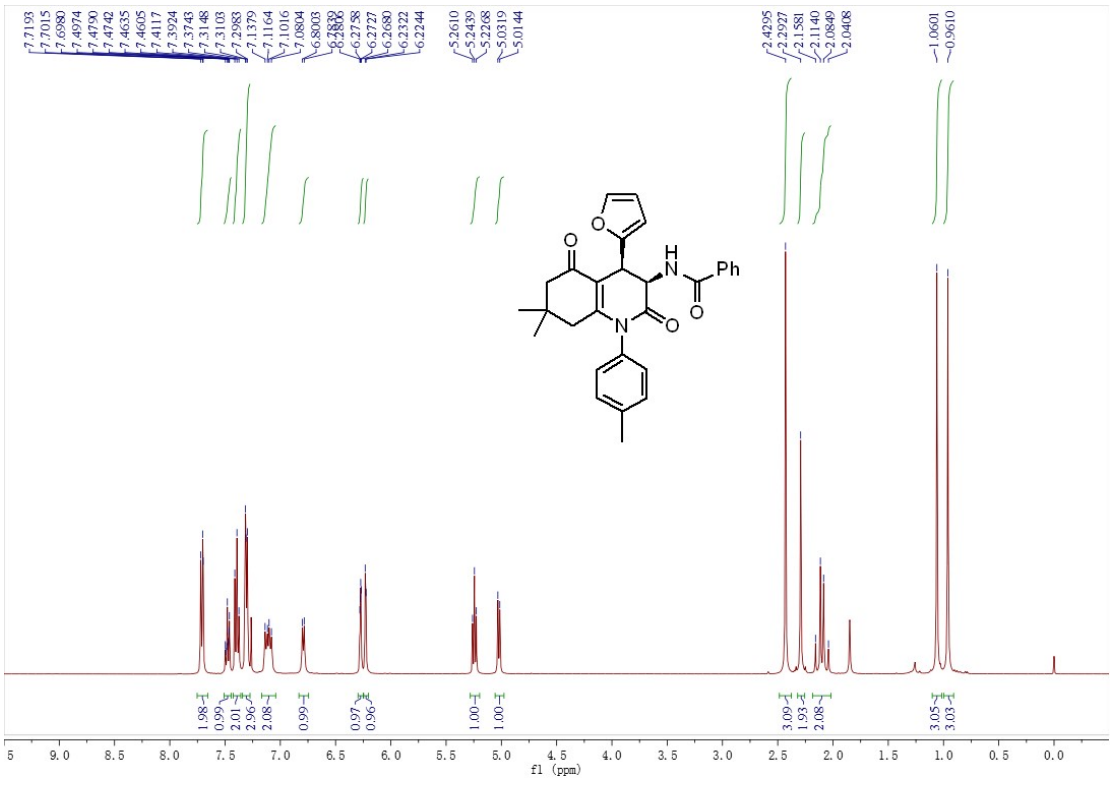


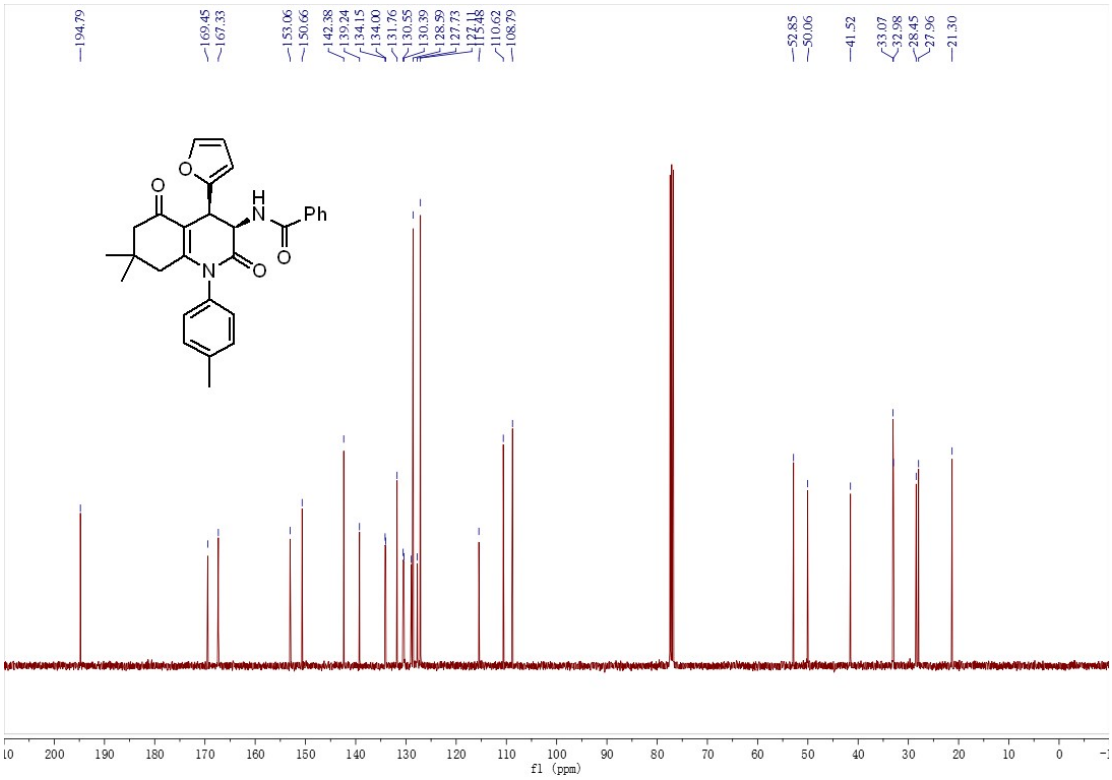
^{1}H and ^{13}C NMR spectra for 4p



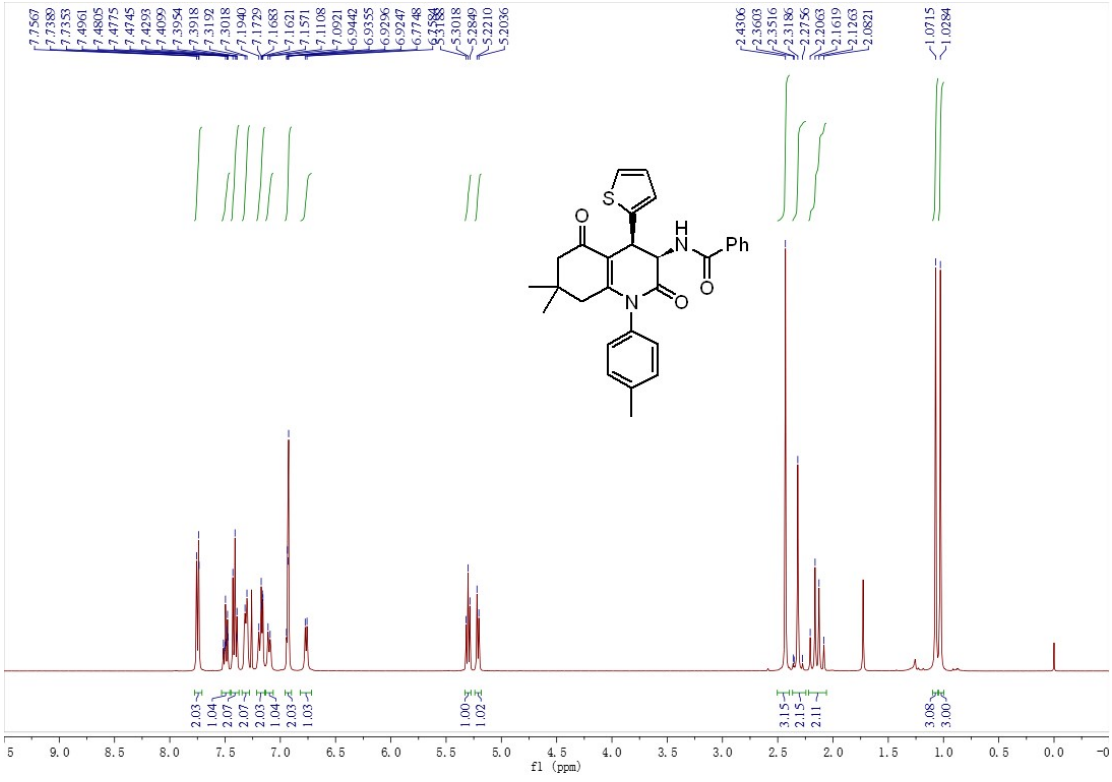


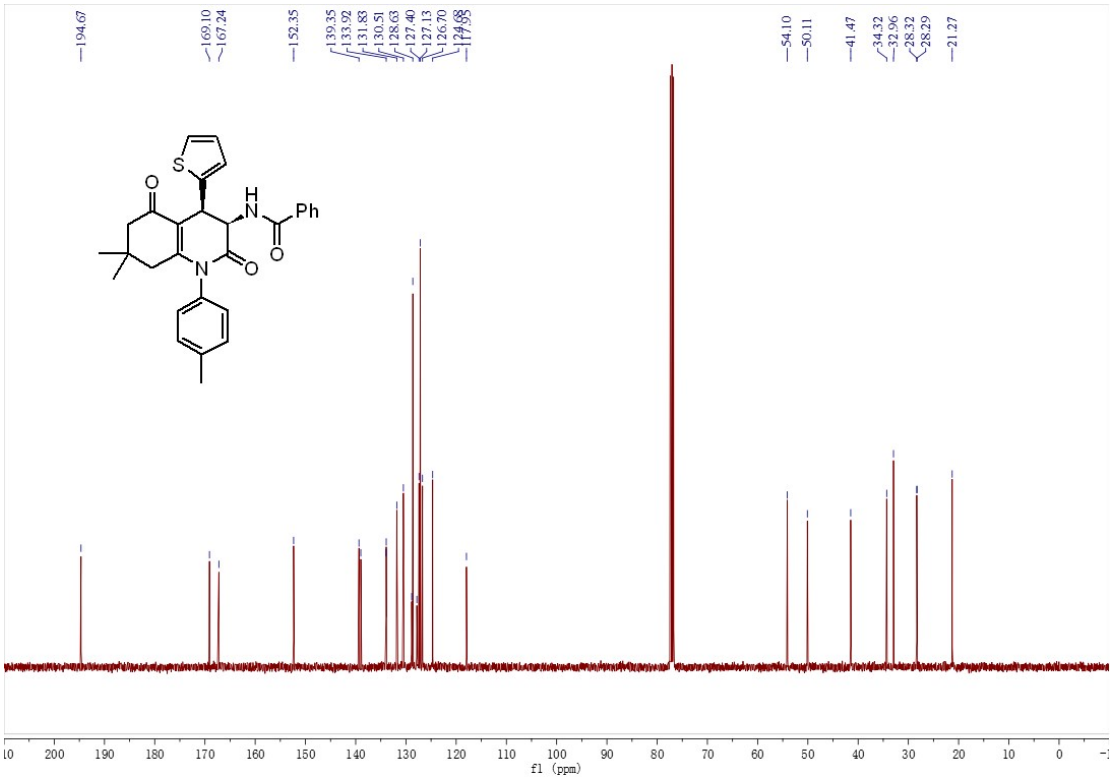
^{1}H and ^{13}C NMR spectra for 4q



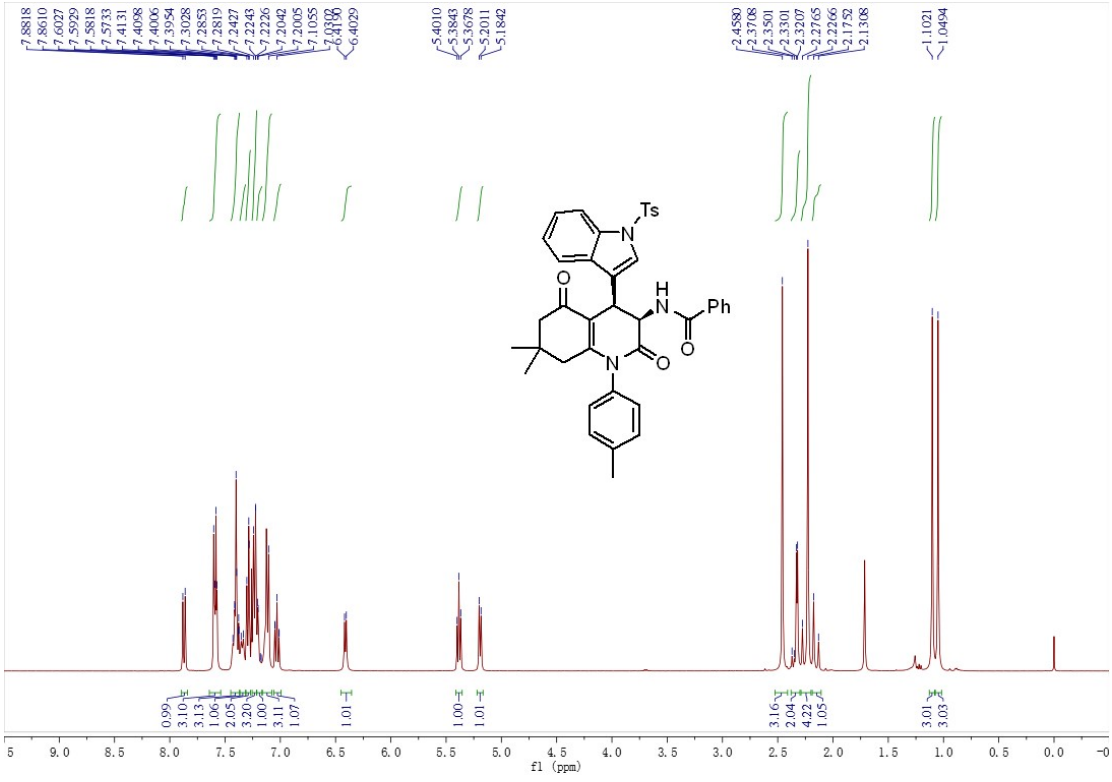


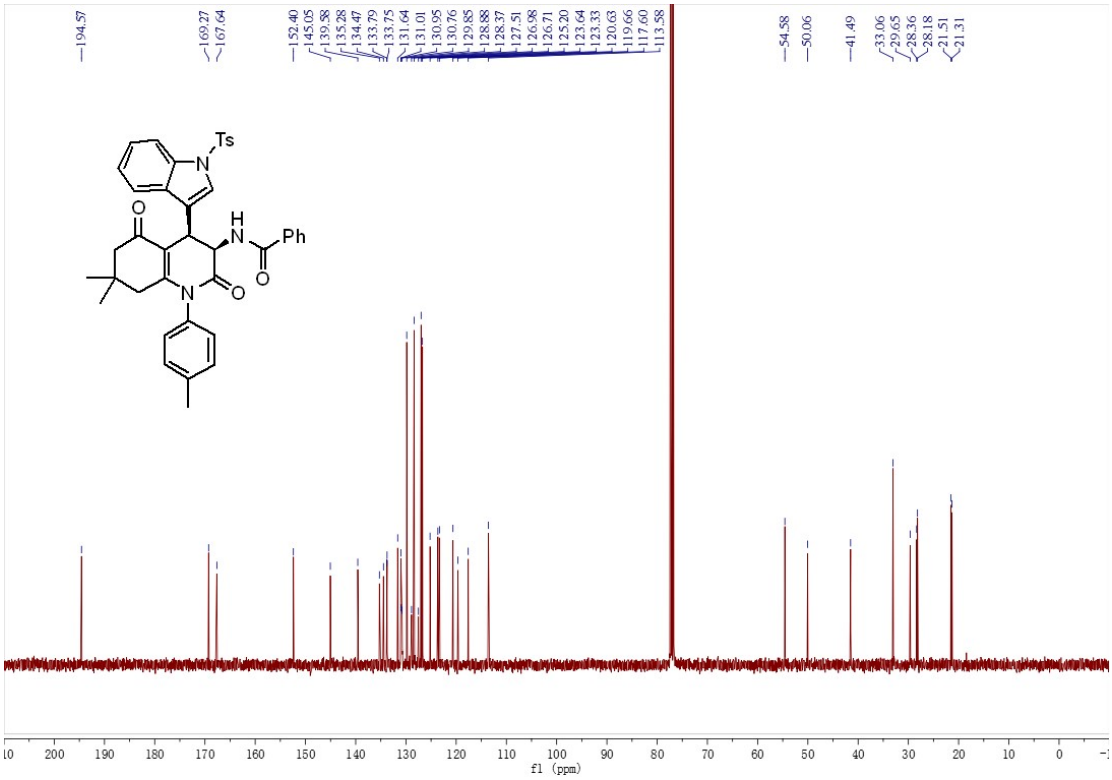
^{1}H and ^{13}C NMR spectra for 4r



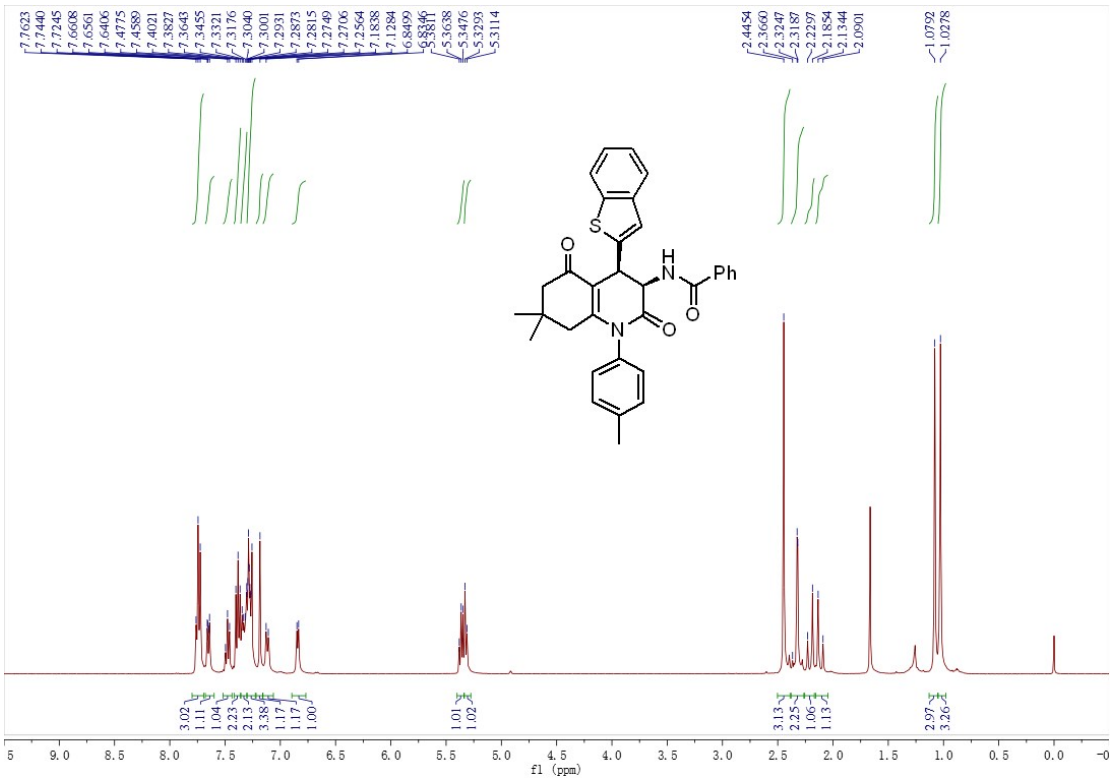


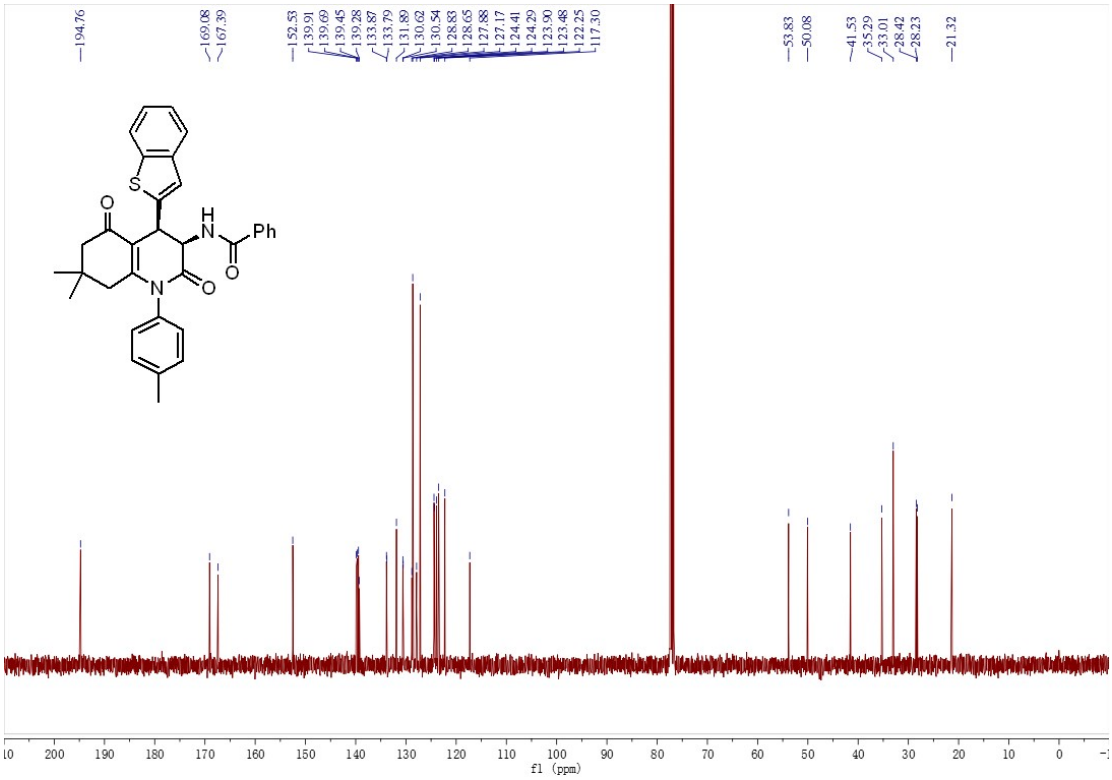
^{1}H and ^{13}C NMR spectra for 4s



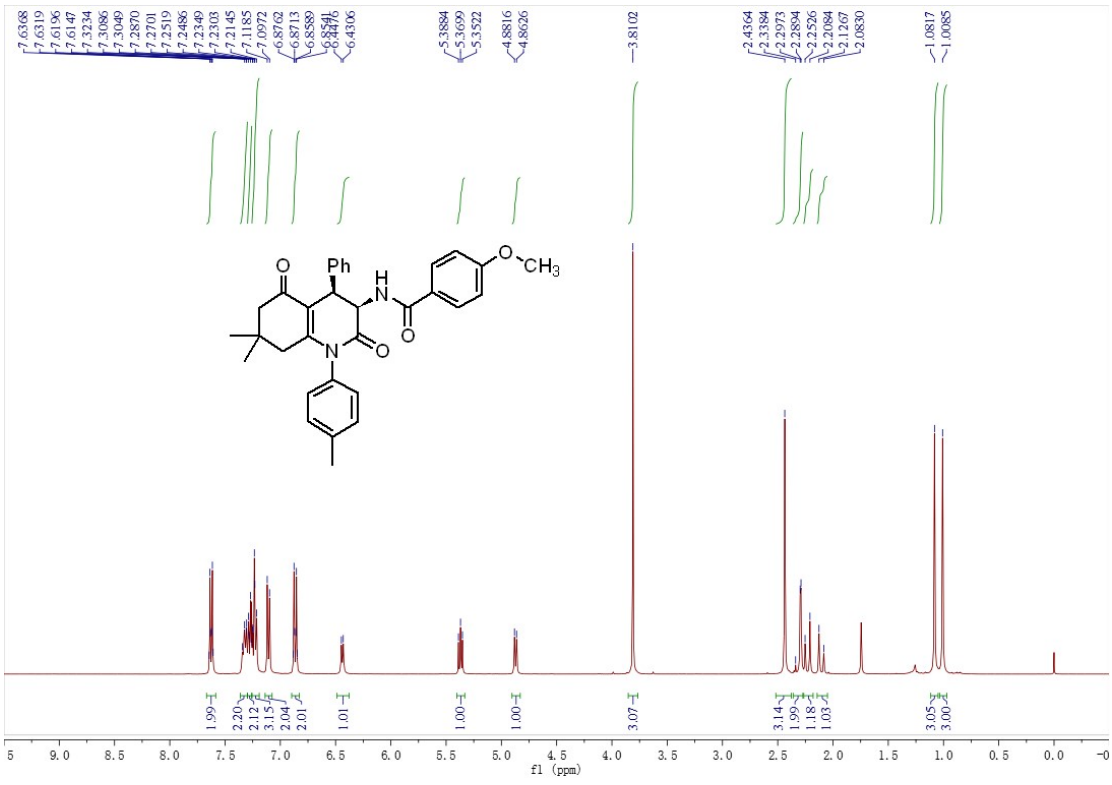


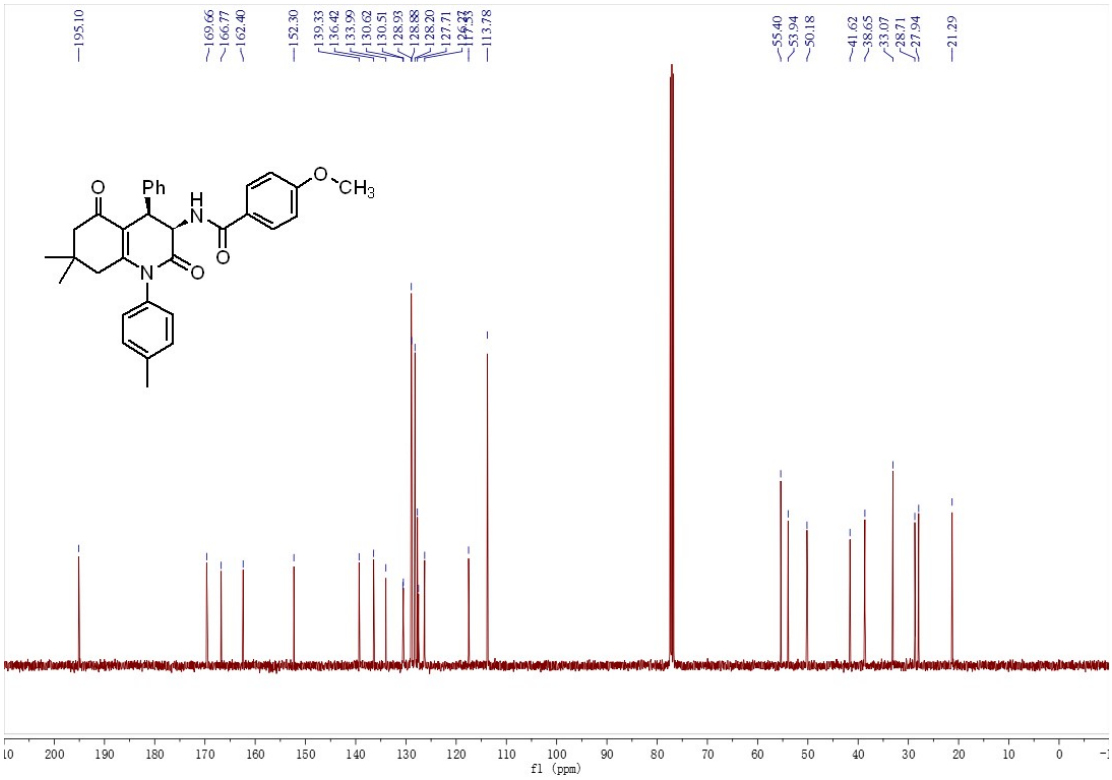
^{1}H and ^{13}C NMR spectra for 4t



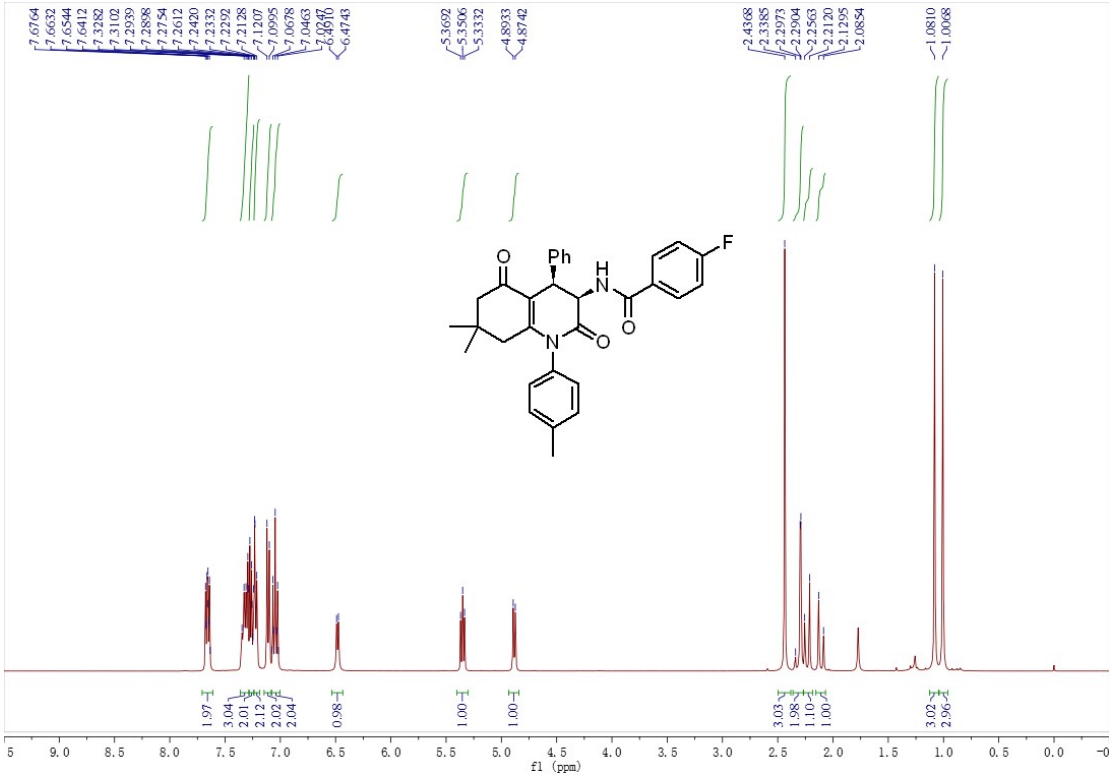


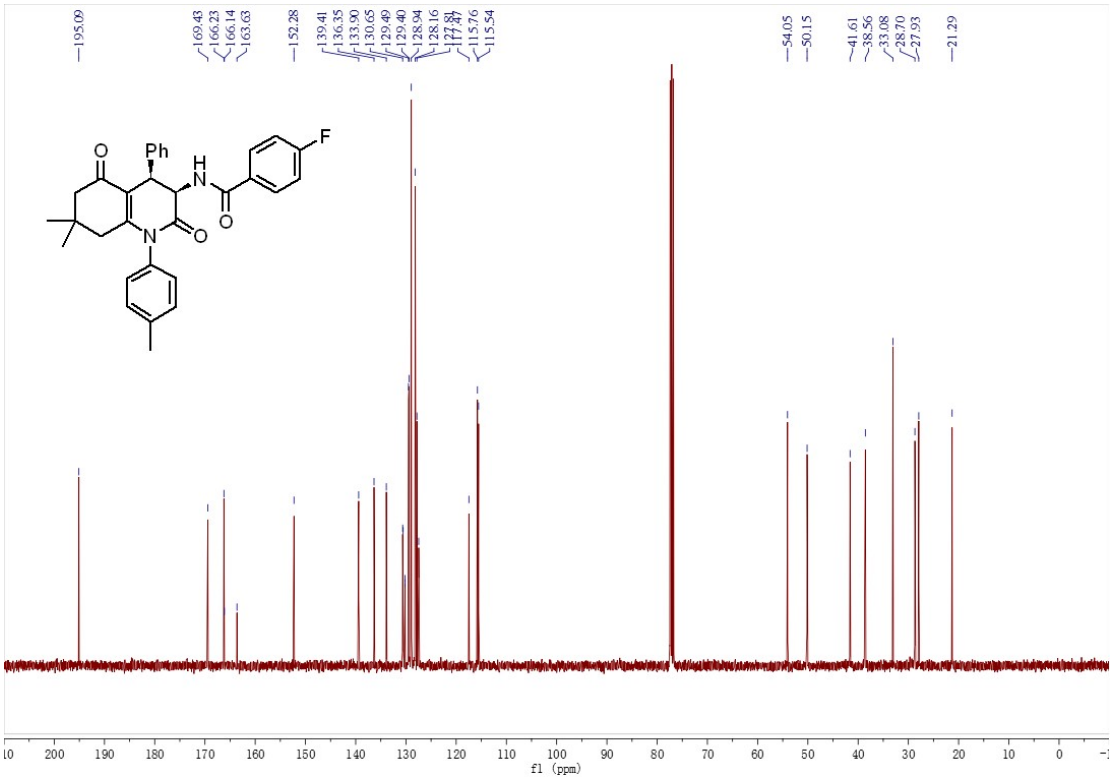
^{1}H and ^{13}C NMR spectra for 4v

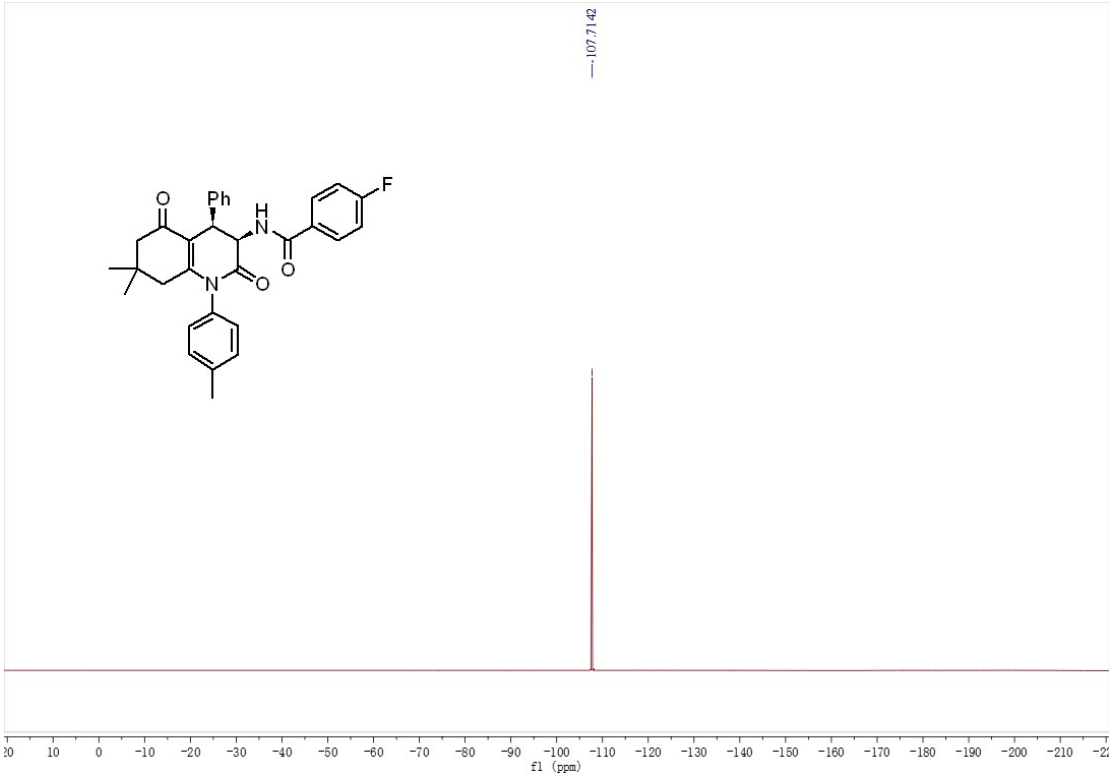




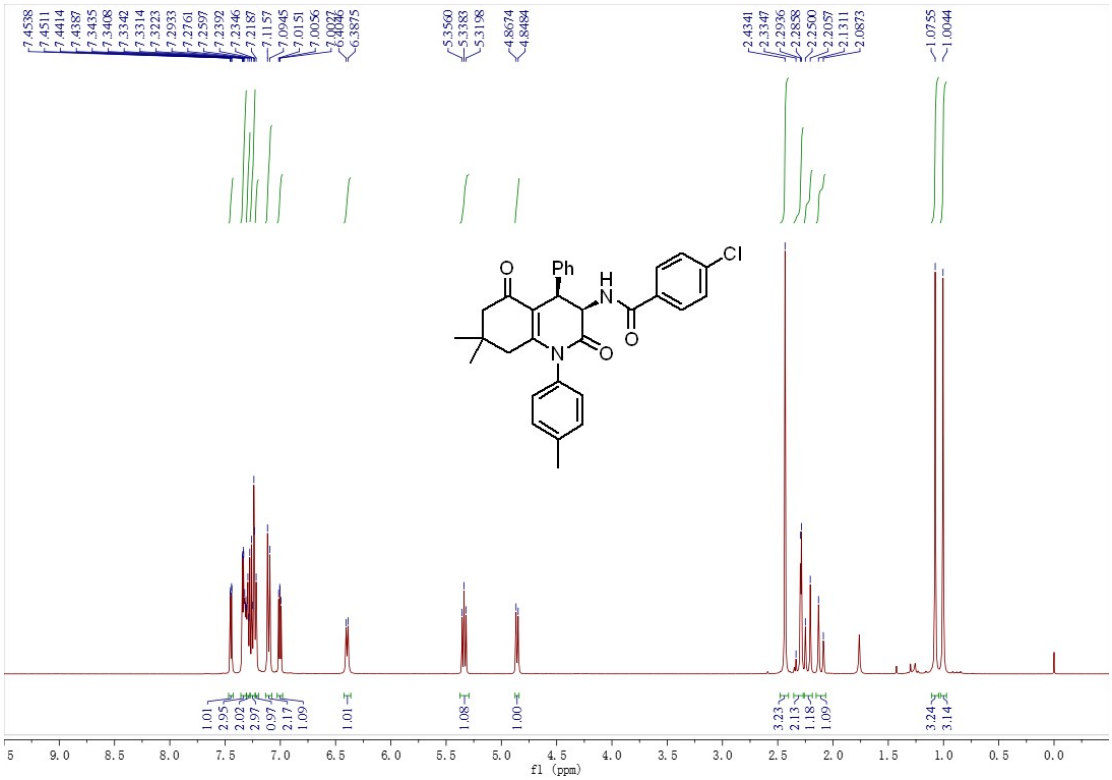
^{1}H, ^{13}C and ^{19}F NMR spectra for 4w

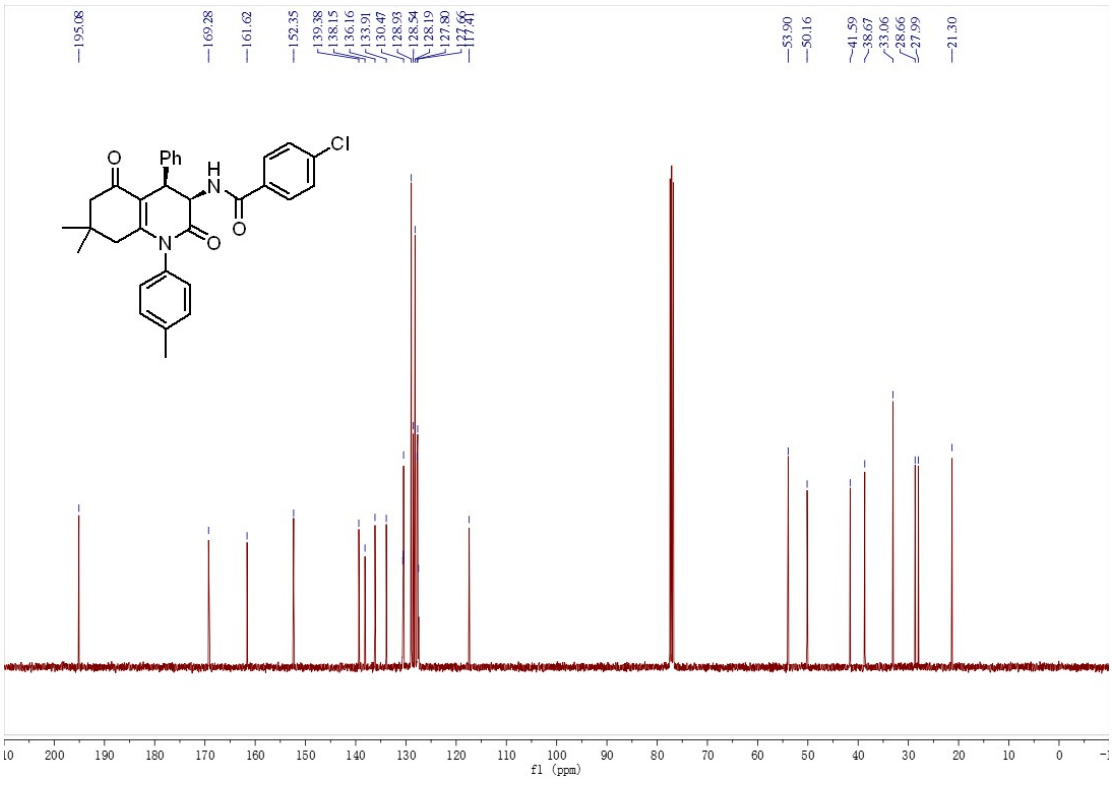



195.09
169.43
166.23
166.14
163.63
152.28
139.41
136.35
133.90
130.65
129.49
129.40
128.94
128.16
117.87
117.47
115.76
115.54
54.05
50.15
41.61
38.56
33.08
28.70
27.93
21.29
Ph
H
N
O
F
f1 (ppm)

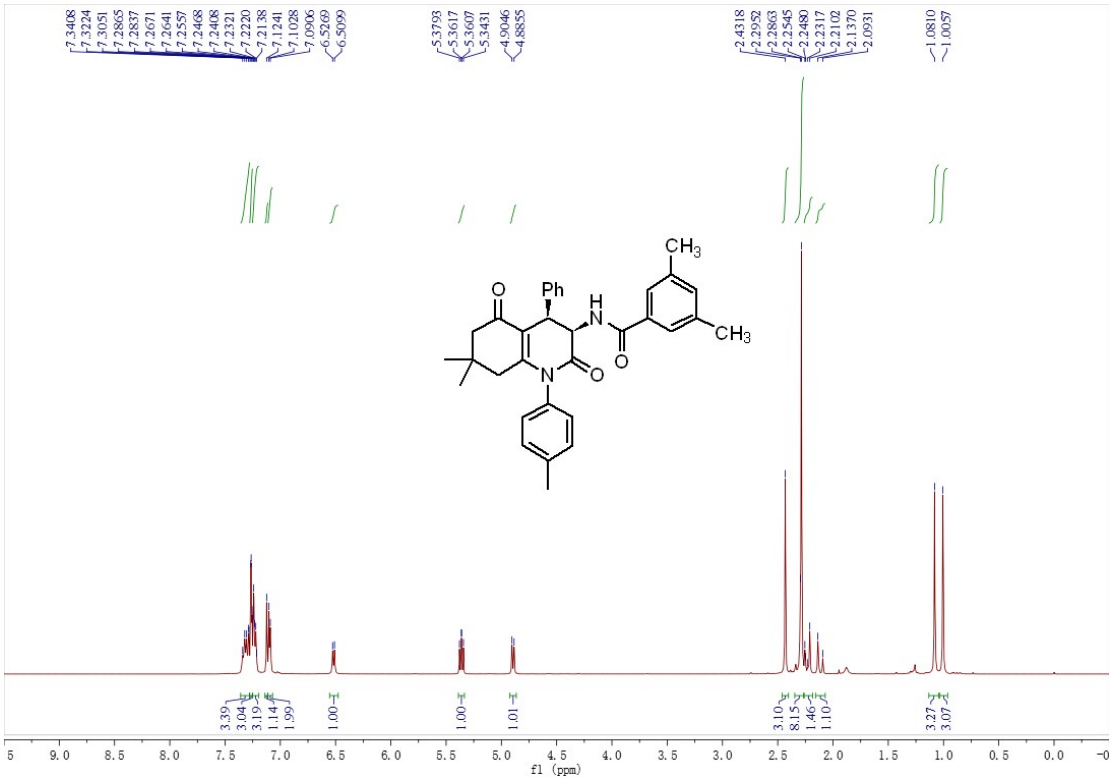

107.7142
Ph
H
N
O
F
f1 (ppm)

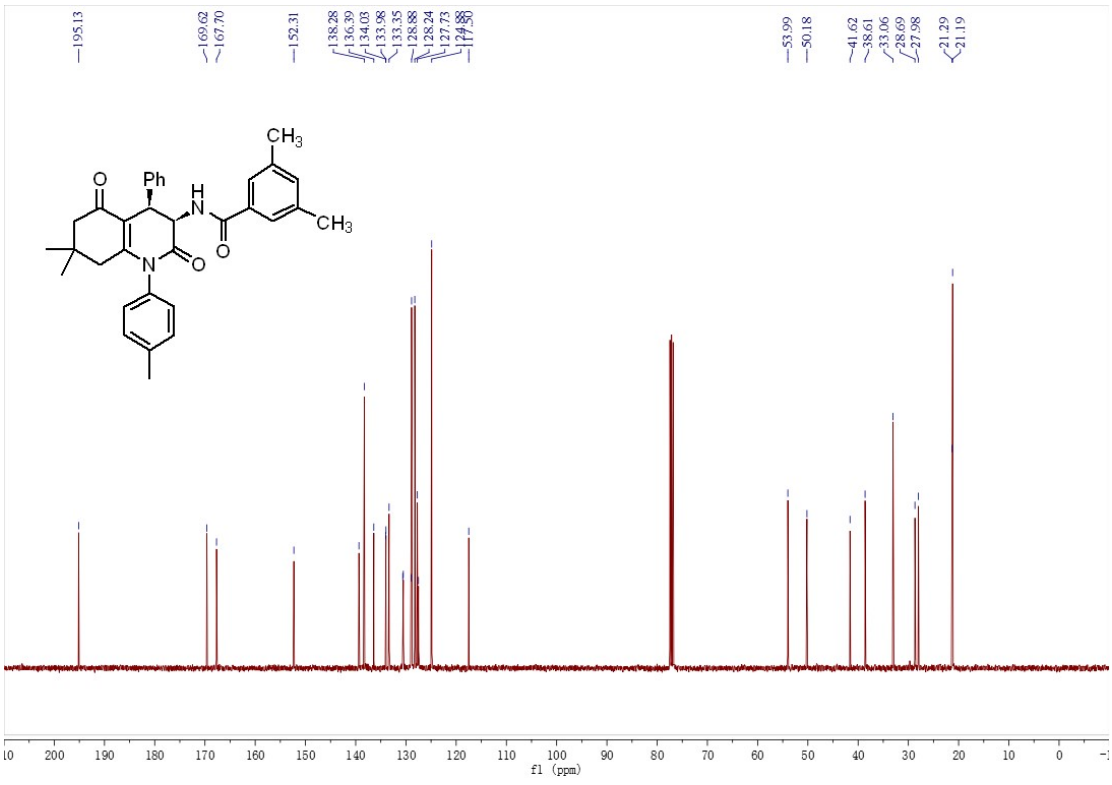
^{1}H and ^{13}C NMR spectra for 4x



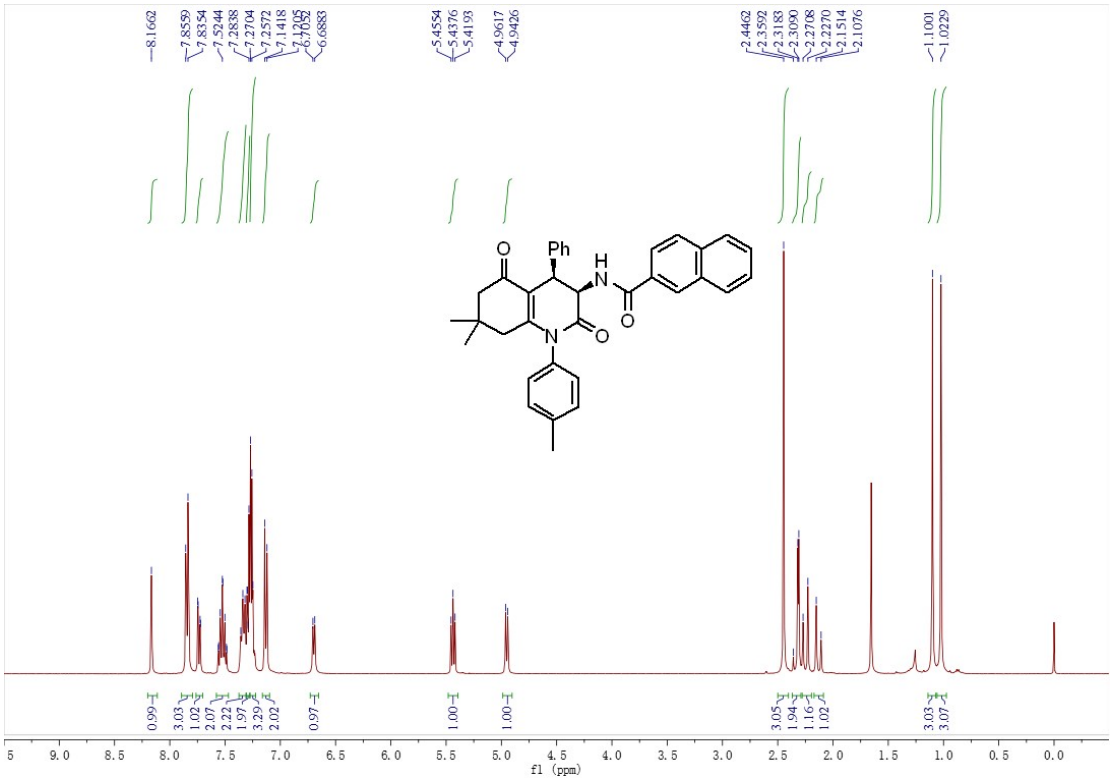


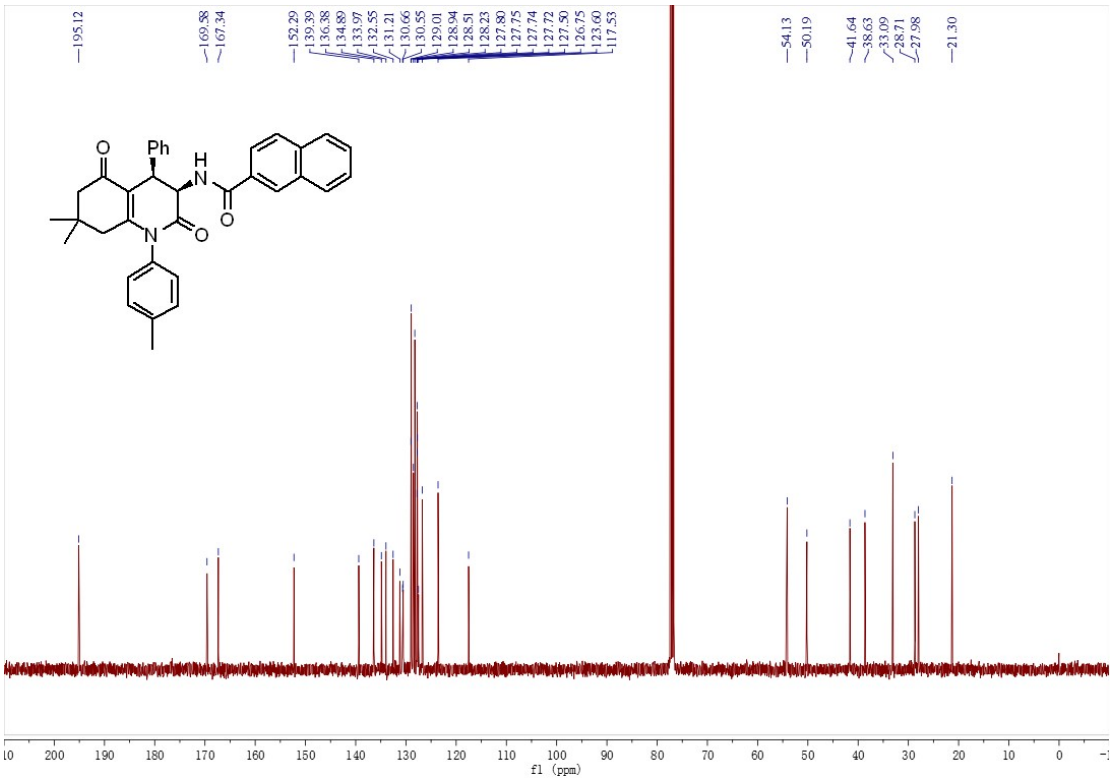
^{1}H and ^{13}C NMR spectra for 4y



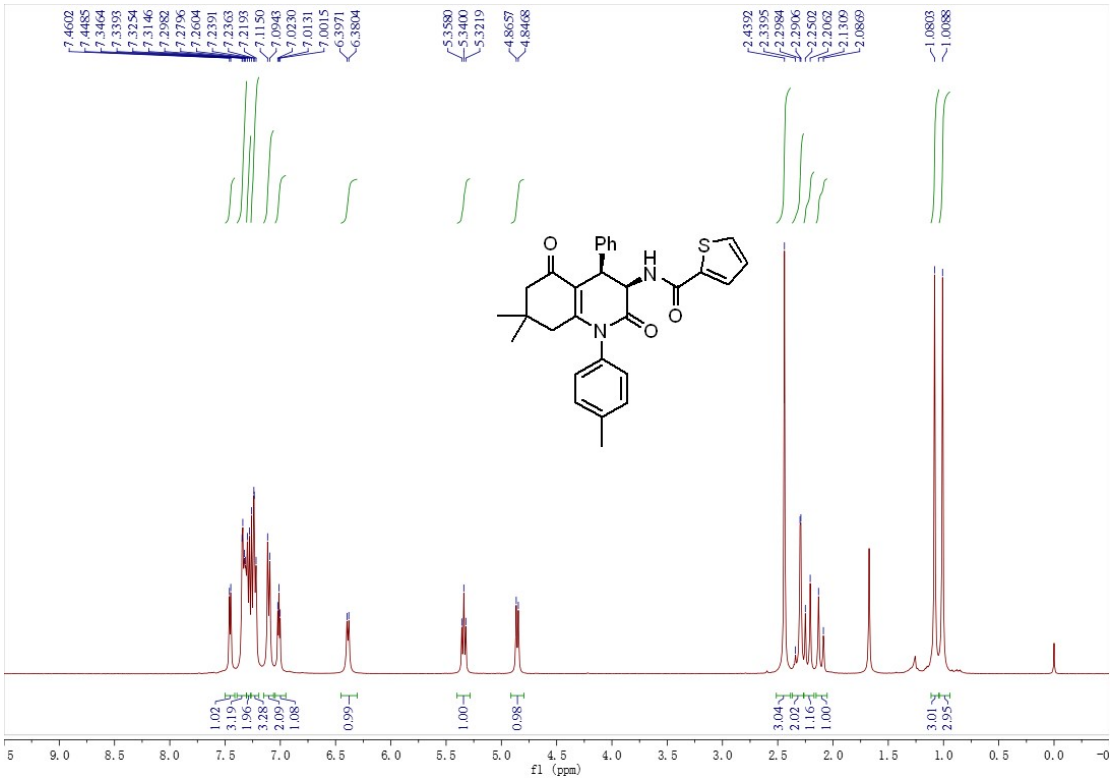


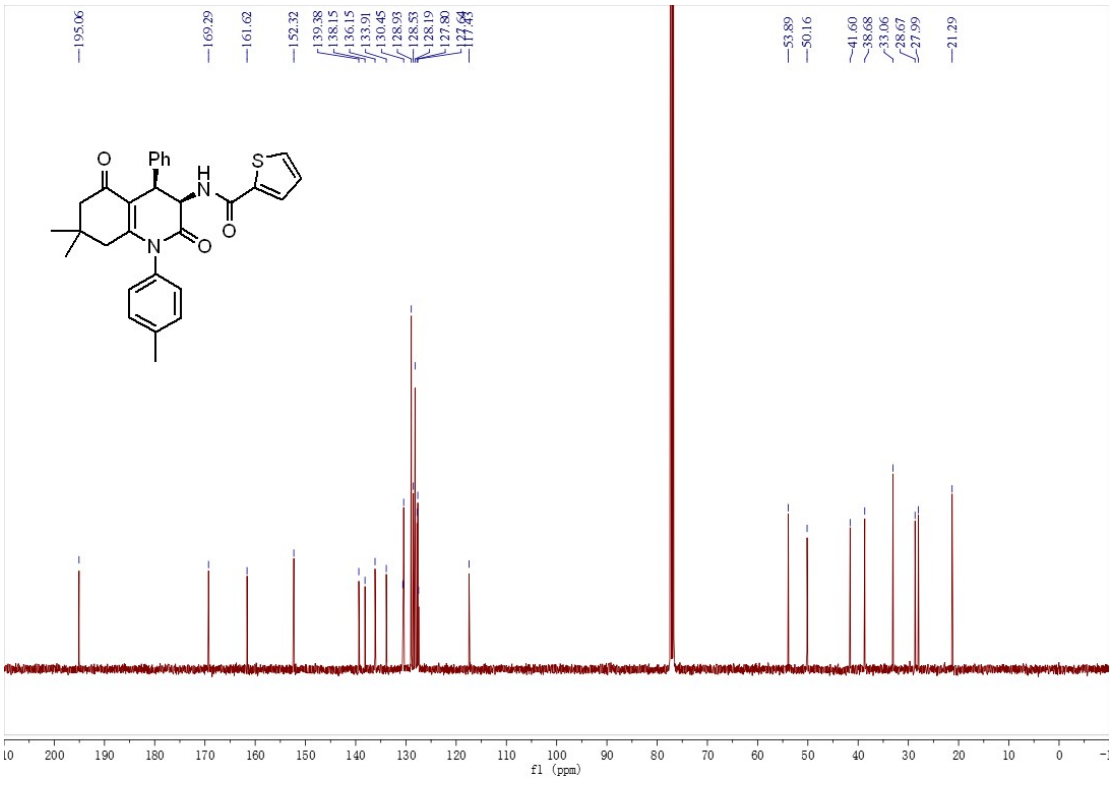
^{1}H and ^{13}C NMR spectra for 4z





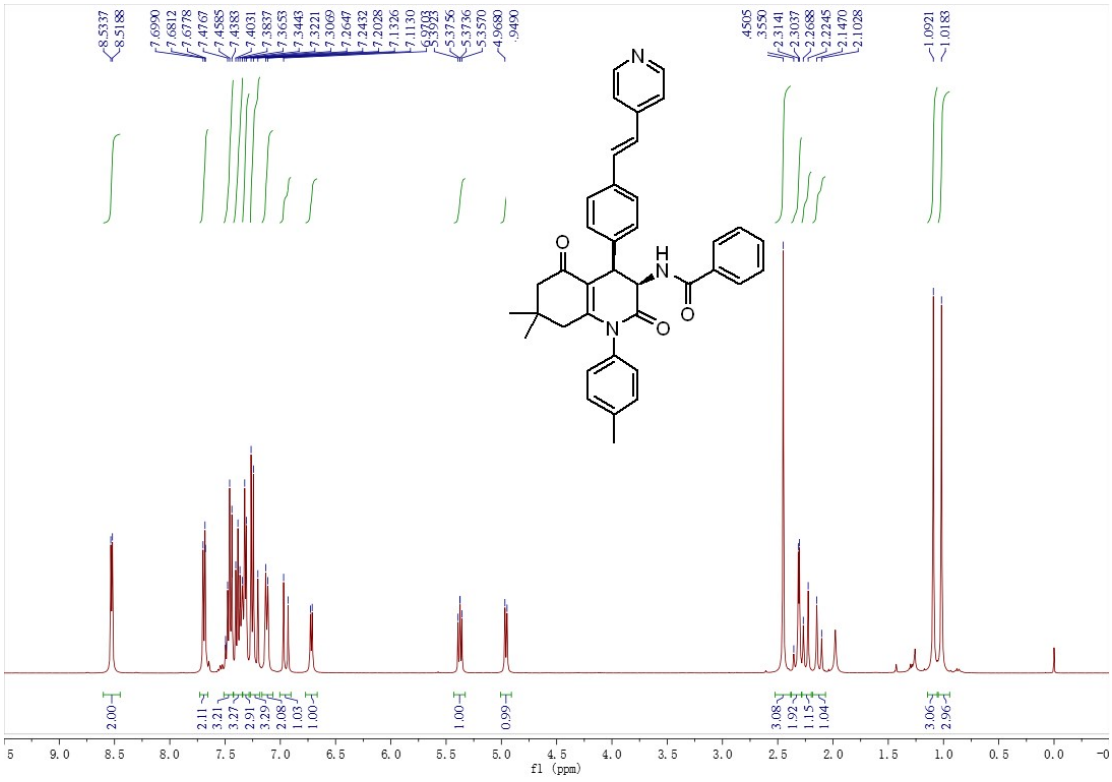
^{1}H and ^{13}C NMR spectra for 4a′

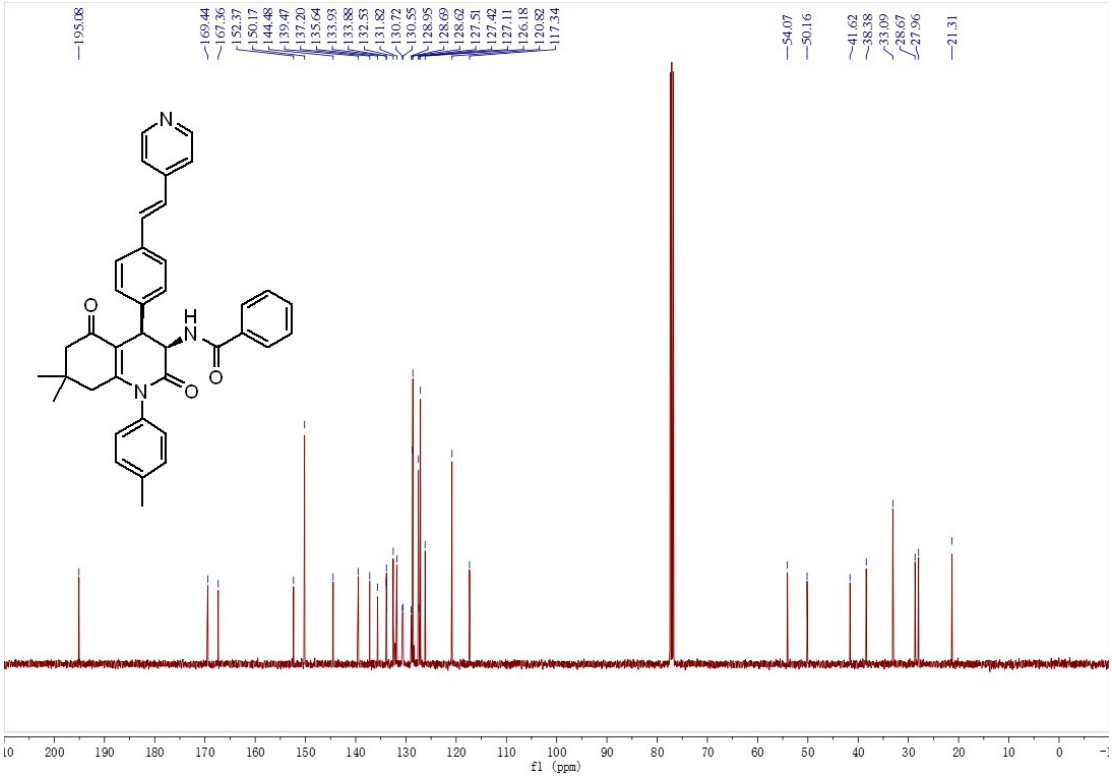




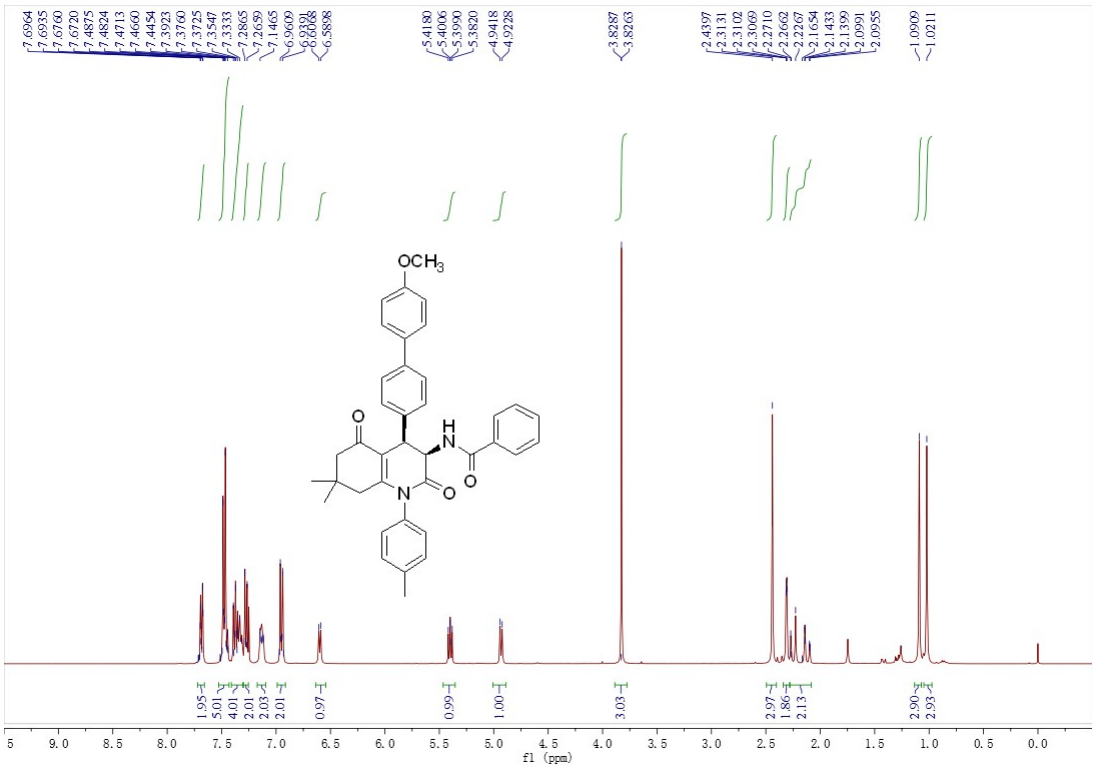
5. ^{1}H, ^{13}C and ^{19}F NMR spectra for compounds 7, 9, 10, 12 and 13

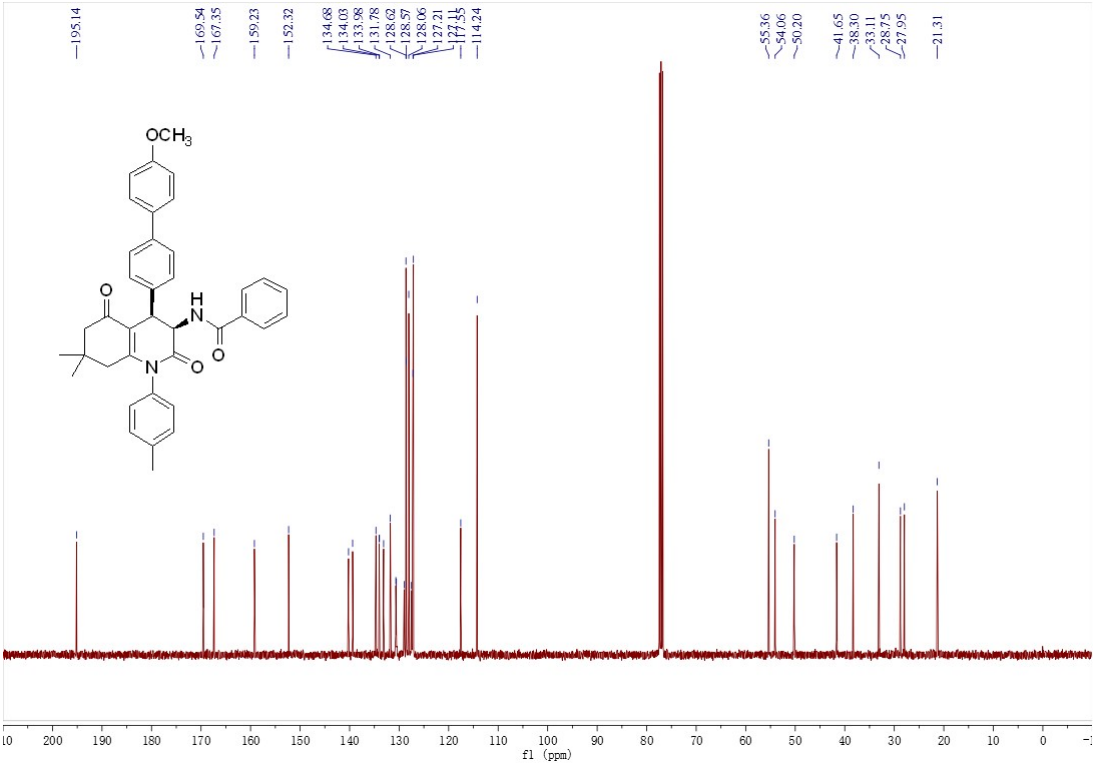
^{1}H and ^{13}C NMR spectra for 7



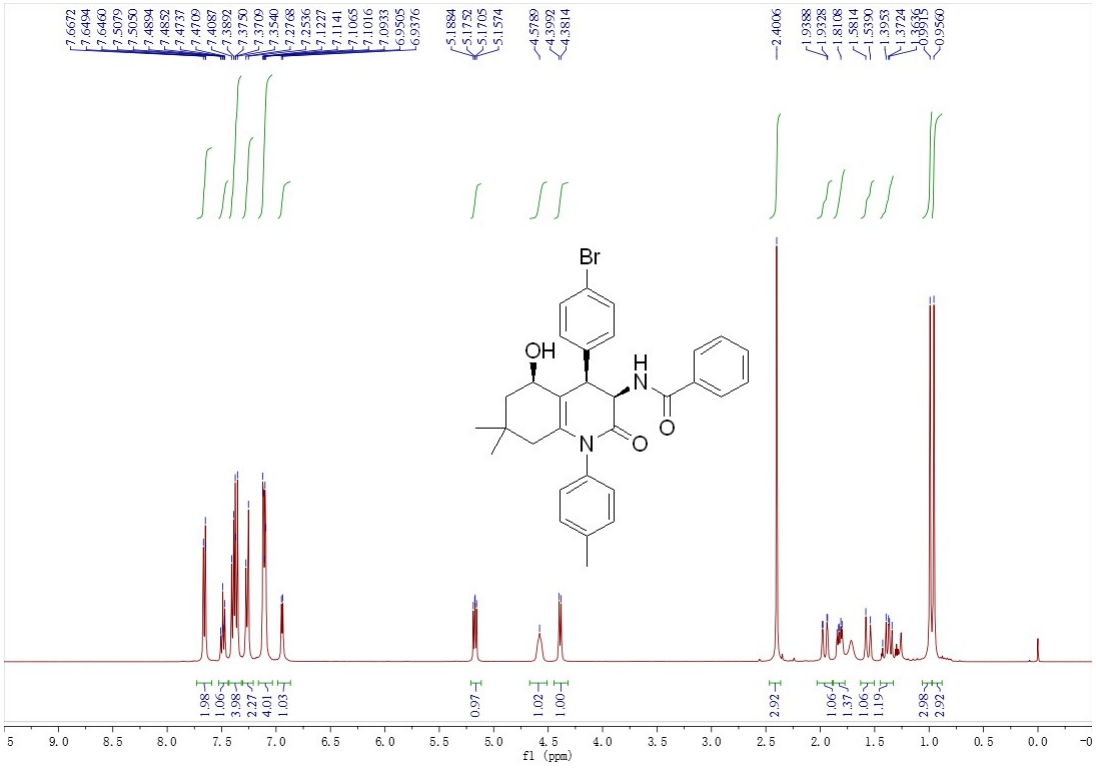


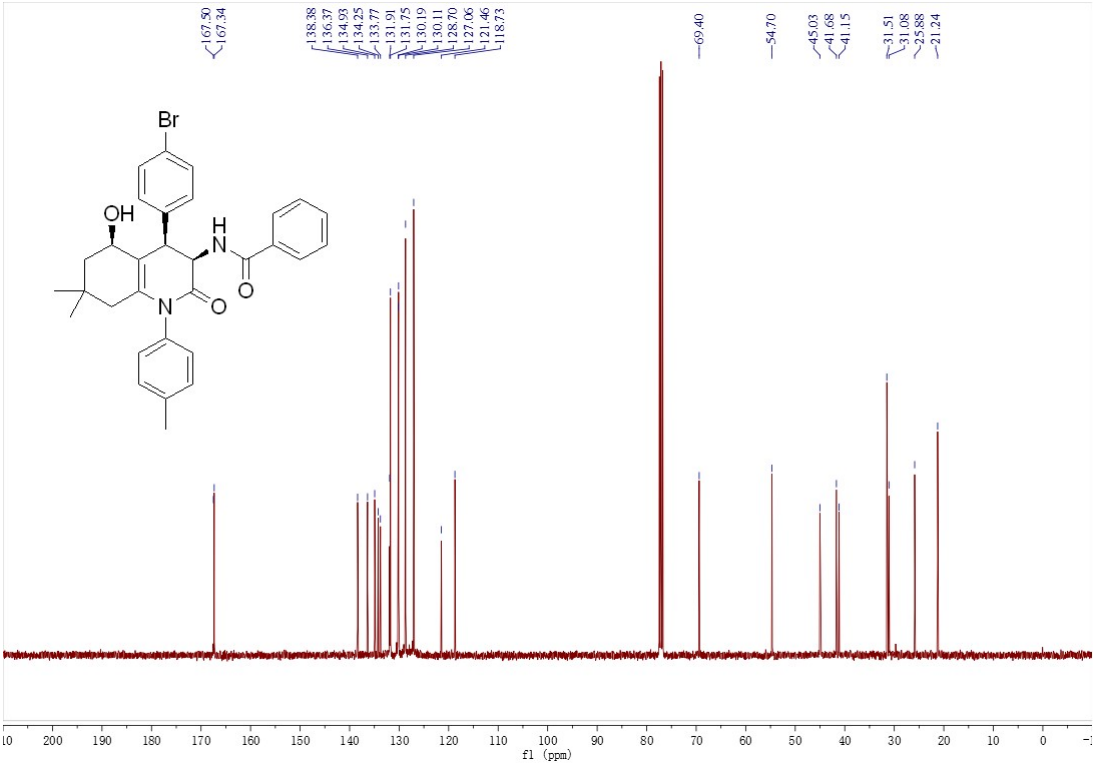
^{1}H and ^{13}C NMR spectra for 9



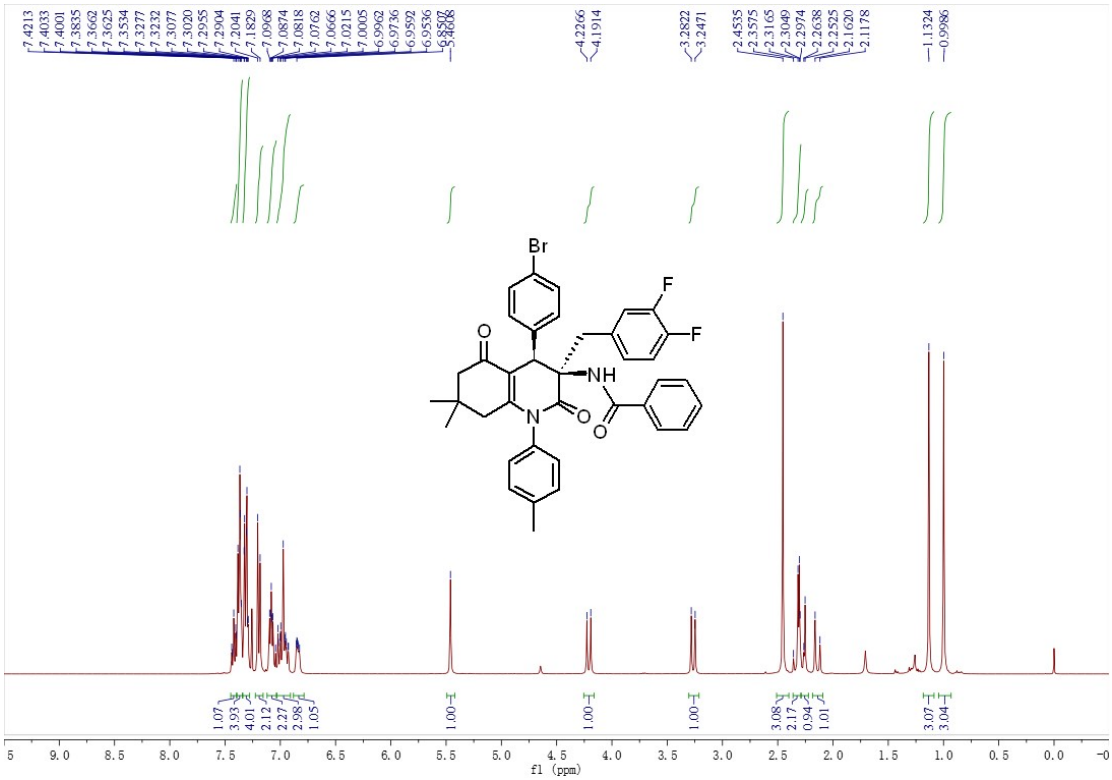


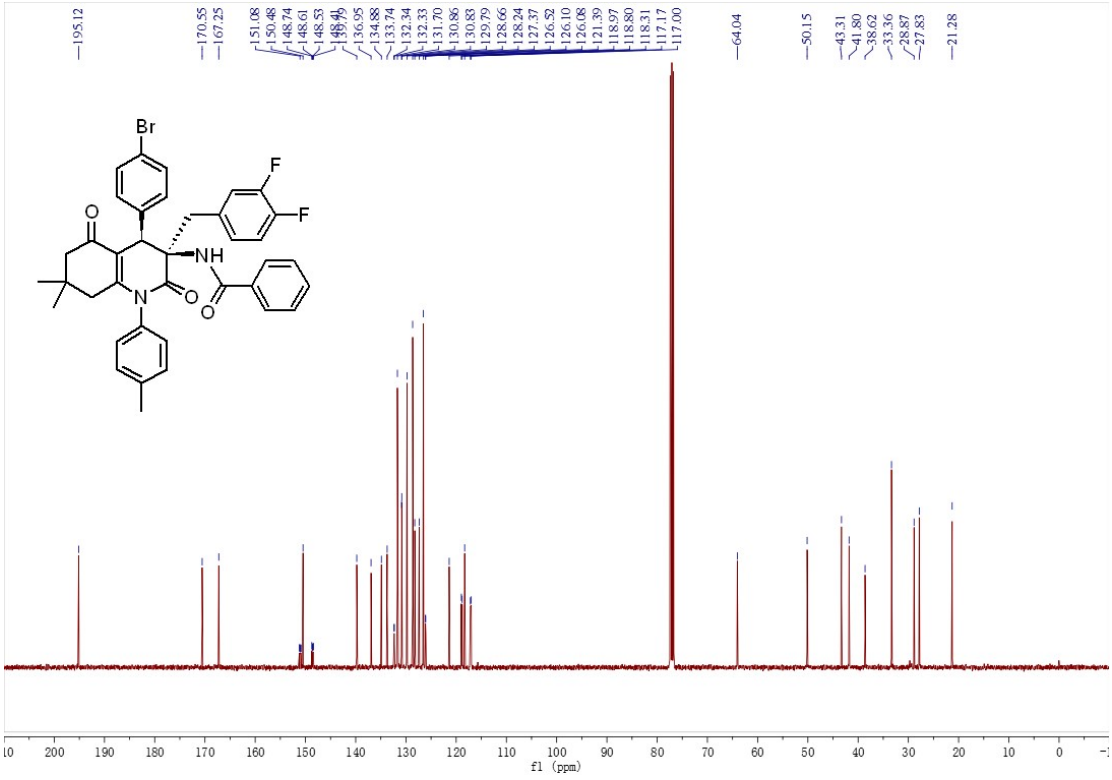
^{1}H and ^{13}C NMR spectra for 10

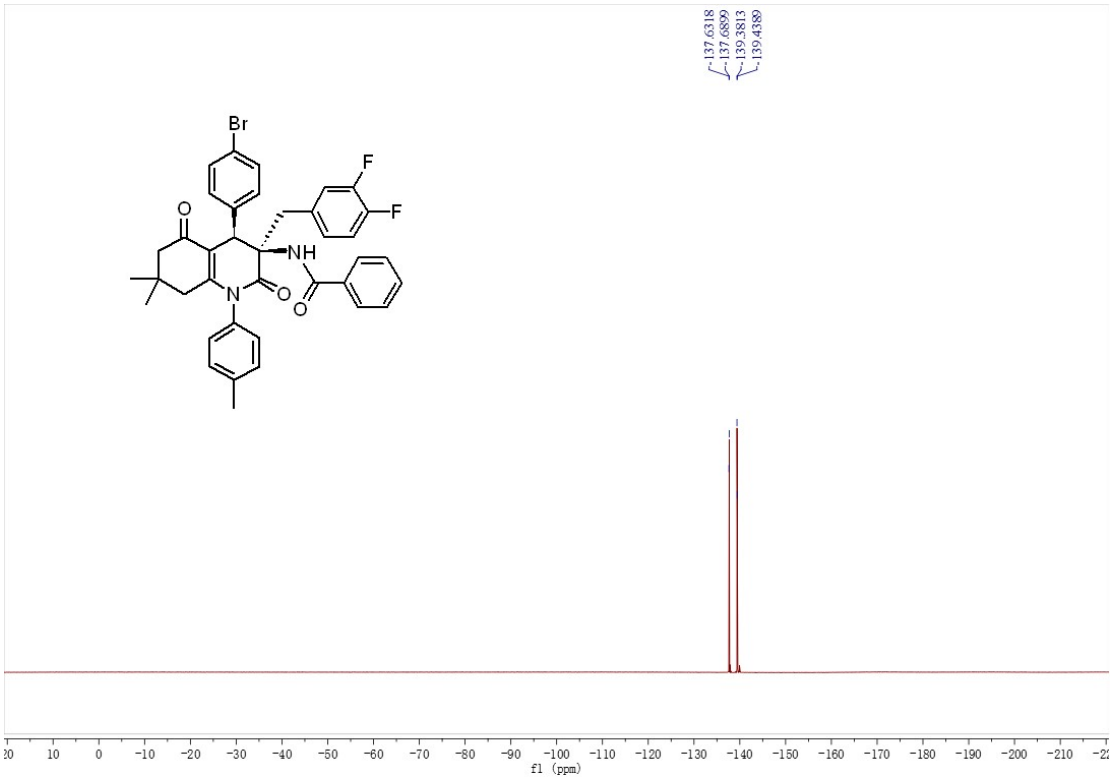




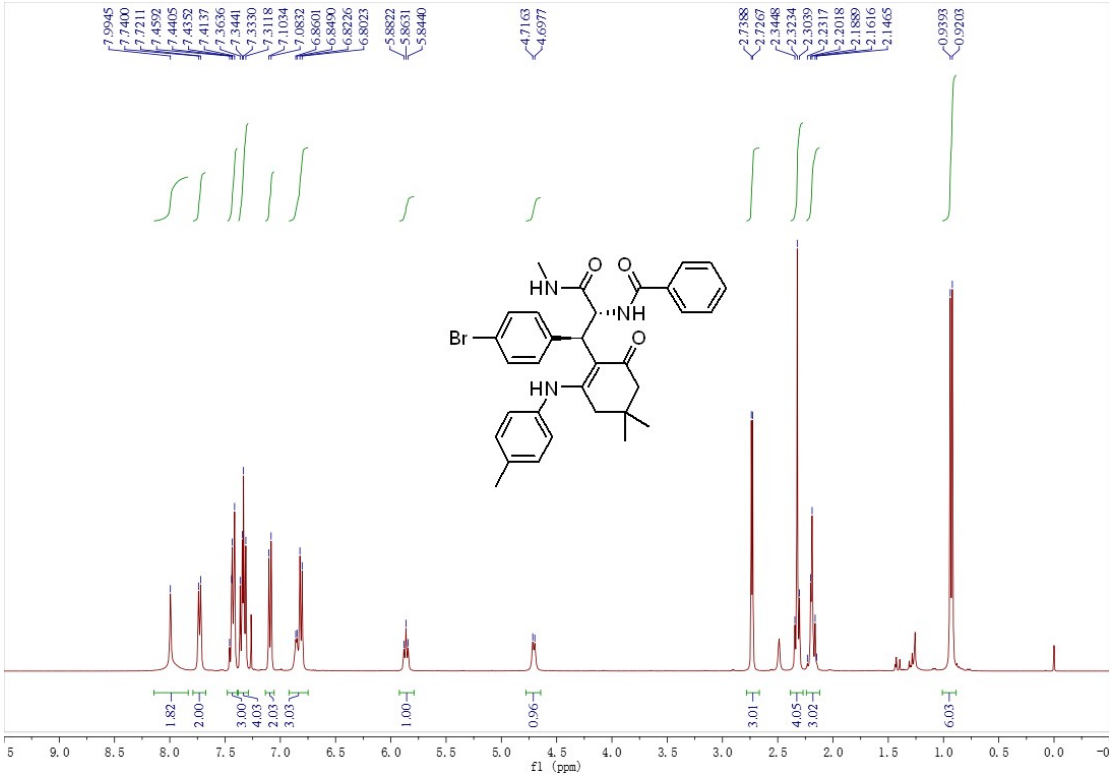
^{1}H, ^{13}C and ^{19}F NMR spectra for 12

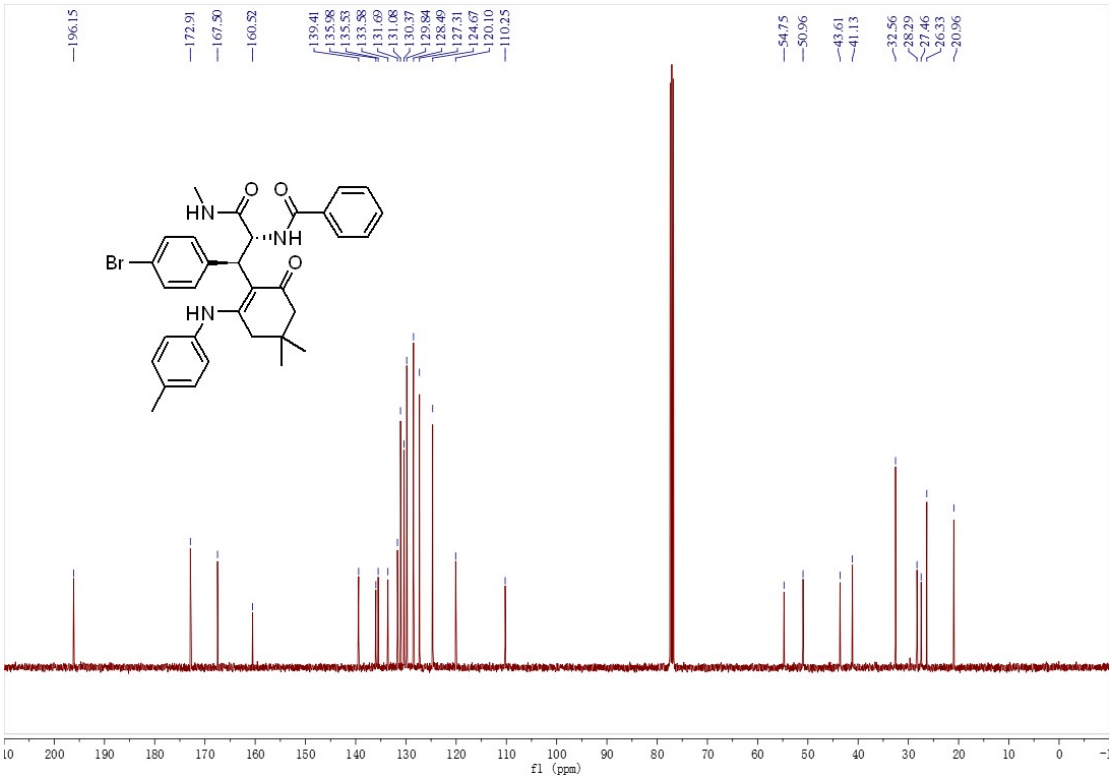






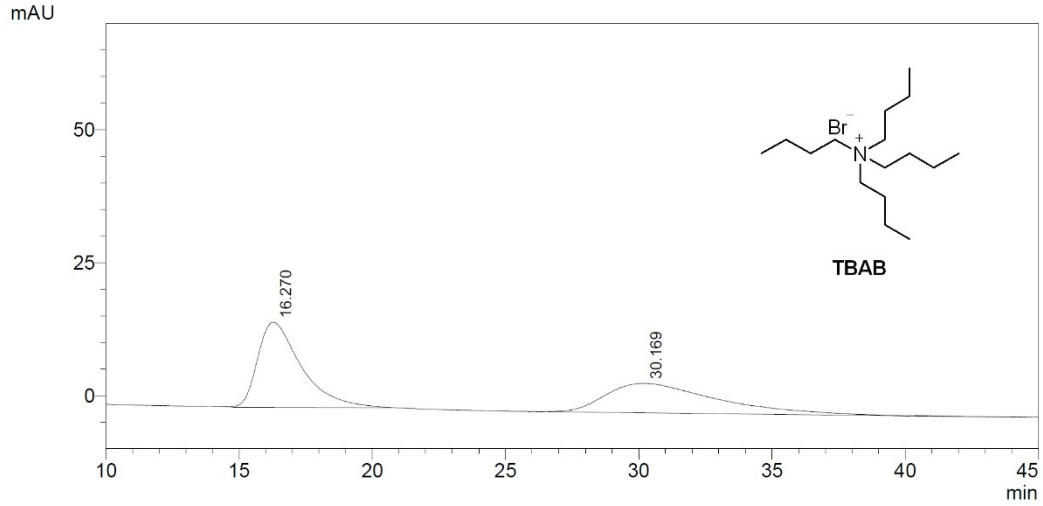
^{1}H and ^{13}C NMR spectra for 13




196.15
172.91
167.50
160.52
139.41
135.98
135.53
133.88
131.69
131.08
130.37
129.84
128.49
127.31
124.67
120.10
110.25
54.75
50.96
43.61
41.13
32.56
28.29
27.46
26.33
20.96
HN
O
O
NH
Br
O
HN
f1 (ppm)

6. Chiral HPLC spectra for product 3a

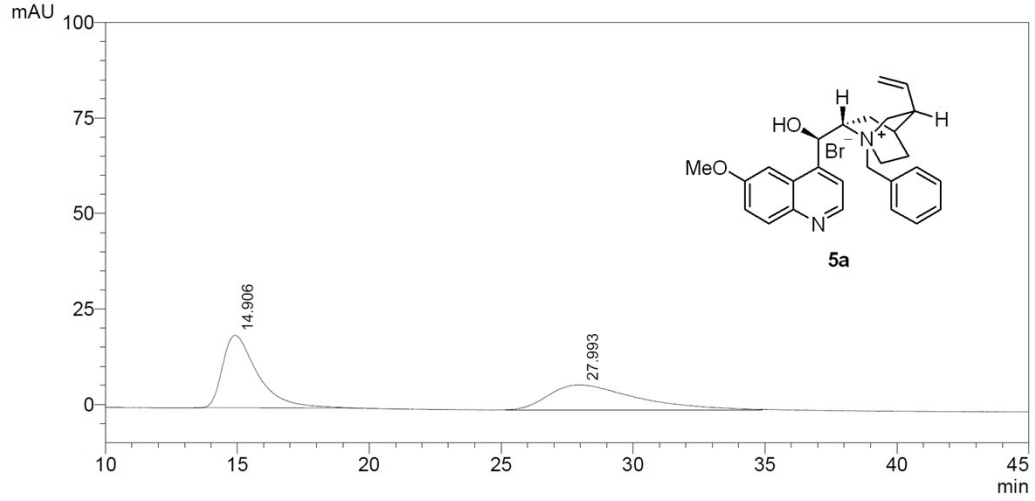
<Chromatogram>



<Peak Table>

Peak#	Ret. Time	Area	Height	Area %
1	16.270	1814084	16020	52.864
2	30.169	1617523	5543	47.136

<Chromatogram>



<Peak Table>

Peak#	Ret. Time	Area	Height	Area %
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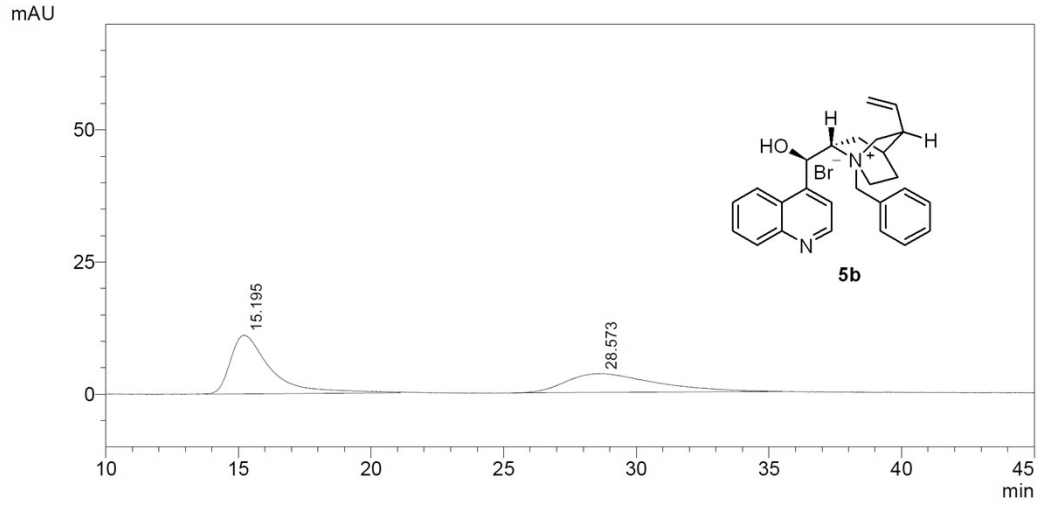
2	27.993	1527078	6578	46.657

<Chromatogram>



<Peak Table>

Peak#	Ret. Time	Area	Height	Area %
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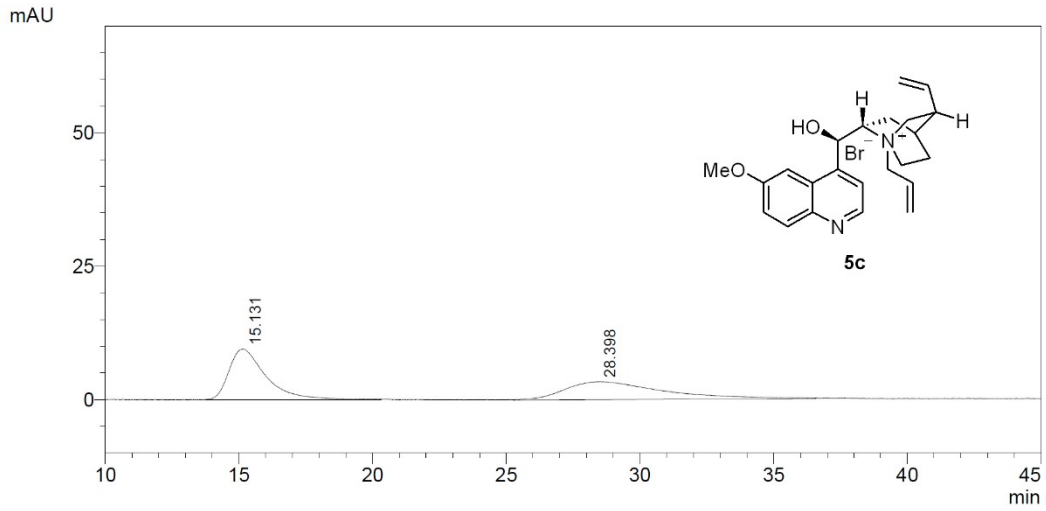
2	28.573	839407	3568	41.846

<Chromatogram>



<Peak Table>

Peak#	Ret. Time	Area	Height	Area %
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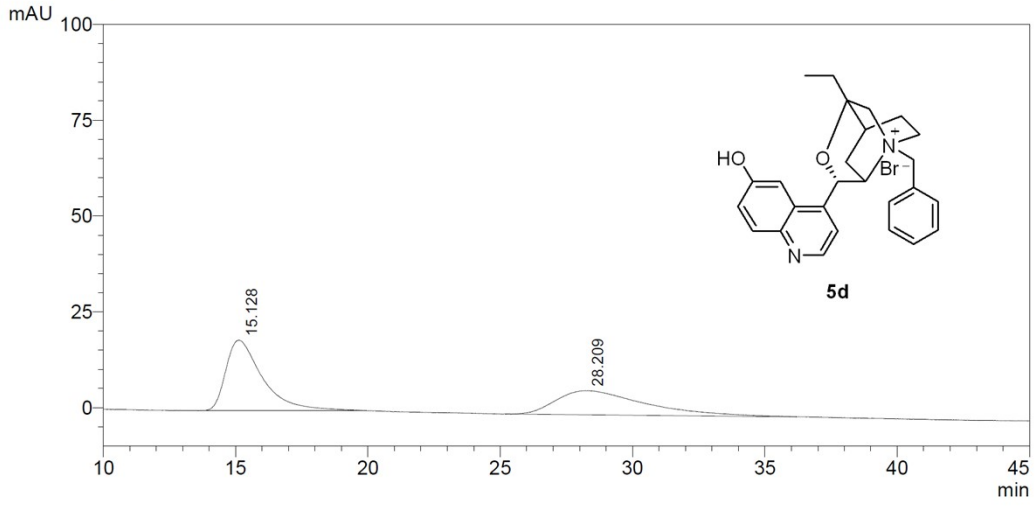
2	28.398	866658	3397	48.437

<Chromatogram>



<Peak Table>

Peak#	Ret. Time	Area	Height	Area %
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2	28.209	1472062	6312	45.182