Copper-Catalysed Oxidative Amination of Quinoxalin-2(1*H*)-ones with Aliphatic Amines

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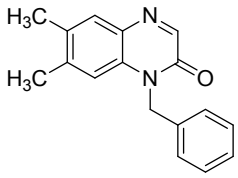
Supporting Information

Contents

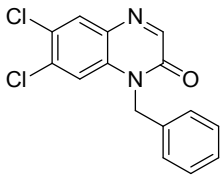
I. General Methods

Commercial materials and solvents were used directly without further purification. All reactions were carried out under air unless otherwise stated. Silica gel was purchased from Qing Dao Hai Yang Chemical Industry Co. All melting points were determined on a Beijing Science Instrument Dianguang Instrument Factory XT4B melting point apparatus and are uncorrected. ^{1}H and ^{13}C NMR spectra were measured on a 400 MHz Bruker spectrometer (^{1}H 400 MHz, ^{13}C 100 MHz), using $CDCl_3$ and DMSO-d_6 as the solvents with tetramethylsilane (TMS) as the internal standard at room temperature. HRMSESI spectra were obtained on Agilent 6450 spectrometer. IR data were recorded on a Nicolet IS 10 spectrometer. Quinoxalin-2(1H)-ones were prepared according to the literature by Alami and co-workers. [1] Quinoxalin-2(1*H*)-ones **1a**,[2] **1b**,[2] **1c**,[3] **1d**,[4] **1e**,[5] **1f**,[6] **1g**[7]and **1h**[8] are known compounds. Compounds **1i** and **1j** are new and their characterization data are as follows:



6, 7-Dimethyl-1-benzylquinoxalin-2(1*H*)-one (1i)

White solid, m.p. 179-181; ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.32 (s, 1H), 7.63 (s, 1H), 7.35–7.26 (m, 3H), 7.24 (d, *J* = 7.7 Hz, 2H), 7.04 (s, 1H), 5.46 (s, 2H), 2.30 (d, *J* = 3.8 Hz, 6H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 155.3, 149.1, 141.0, 135.2, 132.8, 132.1, 130.6, 130.6, 128.9, 127.7, 126.8, 115.1, 45.4, 20.7, 19.1 ppm; HRMS (ESI, m/z): calculated for $C_{17}H_{16}N_2O$ $[M+H]^+$: 265.1341, found: 265.1337.

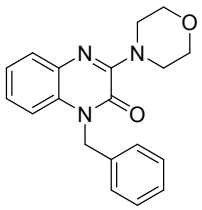


6, 7-Dichloro-1-benzylquinoxalin-2(1*H*)-one (1j)

White solid, m.p. 183-185; ^{1}H NMR (400 MHz, d_6-DMSO): δ 8.40 (s, 1H), 8.13 (s, 1H), 7.75 (s, 1H), 7.35–7.31 (m, 2H), 7.30–7.26 (m, 3H), 5.49 (s, 2H) ppm; ^{13}C NMR (100 MHz, d_6-DMSO): δ 155.0, 153.1, 136.1, 134.2, 133.4, 133.3, 131.5, 129.7, 128.4, 127.7, 126.6, 117.7, 45.5 ppm; HRMS (ESI, m/z): calculated for $C_{15}H_{11}Cl_2N_2O$ $[M+H]^+$: 305.0248, found: 305.0243.

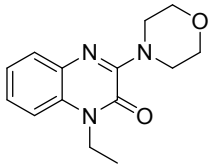
II. General catalytic procedures

A reaction tube was charged with quinoxalin-2(1*H*)-one **1** (0.2 mmol), amines **2** (0.6 mmol), $Cu(OAc)_2$ (0.01 mmol), and DMSO (2 mL). The reaction mixture was stirred at 100 ºC for 12 h and monitored by TLC. After completion of reaction, the resulting mixture was cooled to room temperature and was added water/ethyl acetate. The organic layer was extracted twice with EtOAc. The combined organic layer was washed with brine, dried over $MgSO_4$, filtered and concentrated under reduced pressure, and purified by column chromatography over silica gel with ethyl acetate/petroleum ether (1/20).



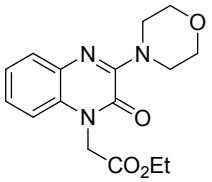
1-Benzyl-3-morpholinoquinoxalin-2(1*H*)-one (3a)

62.9 mg, 98%, as a white solid, m. p. 137-138°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.59 – 7.54 (m, 1H), 7.35 – 7.28 (m, 2H), 7.26 – 7.20 (m, 4H), 7.17 – 7.11 (m, 2H), 5.48 (s, 2H), 4.01 – 3.97 (m, 4H), 3.90 – 3.81 (m, 4H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 152.3, 150.8, 135.5, 133.2, 130.2, 128.9, 127.5, 127.0, 126.7, 125.4, 123.9, 114.1, 67.0, 47.6, 46.1 ppm; HRMS (ESI, m/z): calculated for $C_{19}H_{19}N_3O_2$ $[M+H]^+$: 322.1556, found: 322.1554.



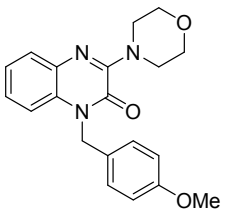
1-Ethyl-3-morpholinoquinoxalin-2(1*H*)-one (3b)

49.2 mg, 95%, as a white solid, p. m. 103-104°C; ^{1}H NMR (400 MHz, d_6-DMSO): δ 7.50–7.42 (m, 2H), 7.31 (dd, J_1 = 8.3 Hz, J_2 = 1.3 Hz, 1H), 7.27–7.21 (m, 1H), 4.24 (q, J = 7.1 Hz, 2H), 3.91–3.80 (m, 4H), 3.76–3.65 (m, 4H), 1.23 (t, J = 7.1 Hz, 3H) ppm; ^{13}C NMR (100 MHz, d_6-DMSO) δ 151.7, 151.3, 133.5, 130.3, 127.3, 126.3, 124.3, 114.8, 67.0, 48.0, 37.9, 13.2 ppm; HRMS (ESI, m/z): calculated for $C_{14}H_{17}N_3O_2$ $[M+H]^+$: 260.1399, found: 260.1396.



Ethyl 2-(3-morpholino)-2-oxoquinoxalin-1(2*H*)-yl)acetate (3c)

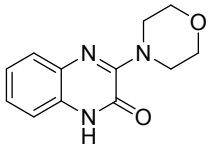
62.1 mg, 98%, as a white solid, m. p. 131-132°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.65 – 7.46 (m, 1H), 7.26 – 7.22 (m, 2H), 7.09 – 6.87 (m, 1H), 4.98 (s, 2H), 4.25 (q, J = 7.1 Hz, 2H), 4.03 – 3.91 (m, 4H), 3.88 – 3.77 (m, 4H), 1.27 (t, J = 7.1 Hz, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.3, 152.0, 150.2, 133.1, 123.0, 127.1, 125.5, 124.1, 112.7, 67.0, 62.0, 47.5, 43.9, 14.1 ppm; HRMS (ESI, m/z): calculated for $C_{16}H_{19}N_3O_4$ $[M+H]^+$: 318.1454, found: 318.1451.



1-(4-Methoxybenzyl)-3-morpholinoquinoxalin-2(1H)-one (3d)

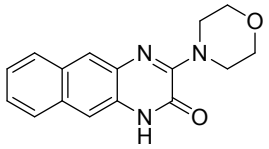
65.4 mg, 93%, as a white solid, m. p. 134-136°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.58–7.53 (m, 1H), 7.23–7.16 (m, 5H), 6.86–6.79 (m, 2H), 5.41 (s, 2H), 4.01–3.95 (m, 4H), 3.89–3.84 (m, 4H), 3.76 (s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 159.0, 152.3, 150.9, 133.2, 130.2, 128.2, 127.5, 127.0, 125.4, 123.8, 114.2, 114.1, 67.0, 55.3, 47.6, 45.6 ppm; HRMS (ESI, m/z): calculated for $C_{20}H_{21}N_3O_3$ $[M+H]^+$: 352.1661, found:

352.1657.



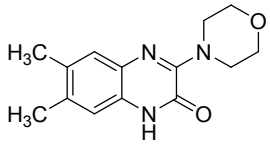
3-Morpholinoquinoxalin-2(1*H*)-one (3e)

44.8 mg, 97%, as a white solid, m. p. 194-196°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 10.83 (s, 1H), 7.57–7.51 (m, 1H), 7.26–7.18 (m, 2H), 7.15–7.09 (m, 1H), 4.07–4.00 (m, 4H), 3.90–3.85 (m, 4H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 153.4, 150.8, 133.1, 128.5, 126.0, 125.3, 124.3, 114.3, 66.9, 47.3 ppm; HRMS (ESI, m/z): calculated for $C_{12}H_{13}N_3O_2$ $[M+H]^+$: 232.1086, found: 232.1082.



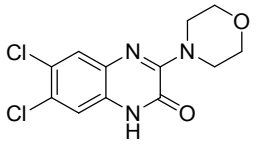
3-Morpholinobenzo[g]quinoxalin-2(1*H*)-one (3f)

43.3 mg, 77%, as a pale yellow solid, m. p. 246-248°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 9.42 (s, 1H), 7.98 (s, 1H), 7.86 (d, J = 7.4 Hz, 1H), 7.79 (d, J = 7.6 Hz, 1H), 7.50–7.35 (m, 3H), 4.13–4.01 (m, 4H), 3.96–3.80 (m, 4H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 153.1, 150.3, 132.6, 131.0, 131.0, 128.3, 127.8, 126.6, 125.9, 124.9, 123.3, 109.7, 67.0, 47.4 ppm; HRMS (ESI, m/z): calculated for $C_{16}H_{15}N_3O_2$ $[M+H]^+$: 282.1243, found: 282.1239.



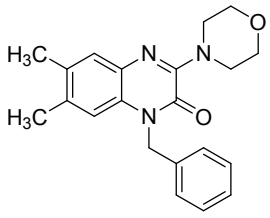
6, 7-Dimethyl-3-morpholinoquinoxalin-2(1*H*)-one (3g)

50.3 mg, 97%, as a white solid, m. p. 209-210°C; ^{1}H NMR (400 MHz, d_6-DMSO): δ 12.02 (s, 1H), 7.21 (s, 1H), 6.94 (s, 1H), 3.90–3.75 (m, 4H), 3.75–3.62 (m, 4H), 2.23 (s, 3H), 2.22 (s, 3H) ppm; ^{13}C NMR (100 MHz, d_6-DMSO): δ 152.9, 151.8, 134.6, 132.3, 131.2, 128.2, 126.6, 115.6, 67.0, 47.7, 20.3, 19.9 ppm; HRMS (ESI, m/z): calculated for $C_{14}H_{17}N_3O_2$ $[M+H]^+$: 260.1399, found: 260.1397.



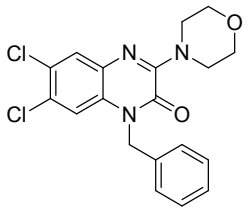
6, 7-Dichloro-3-morpholinoquinoxalin-2(1*H*)-one (3h)

55.2 mg, 92%, as a white solid, m. p. 206-207°C; ^{1}H NMR (400 MHz, d_6-DMSO): δ 12.23 (s, 1H), 7.55 (s, 1H), 7.29 (s, 1H), 3.95 (s, 4H), 3.70 (s, 4H) ppm; ^{13}C NMR (100 MHz, d_6-DMSO): δ 152.7, 152.1, 133.3, 130.0, 126.6, 126.5, 125.7, 116.1, 67.0, 47.6 ppm; HRMS (ESI, m/z): calculated for $C_{12}H_{11}Cl_2N_3O_2$ $[M+H]^+$: 300.0307, found: 300.0303.



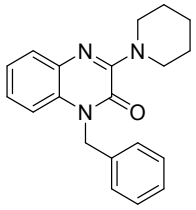
1-Benzyl-6,7-dimethyl-3-morpholinoquinoxalin-2(1H)-one (3i)

54.5 mg, 78%, as a white solid, m. p. 149-150°C; ^{1}H NMR (400 MHz, $CDCl_3$): 7.36 (s, 1H), 7.33 – 7.28 (m, 2H), 7.25 – 7.21 (m, 3H), 6.92 (s, 1H), 5.44 (s, 2H), 3.95 – 3.89 (m, 4H), 3.88 – 3.84 (m, 4H), 2.27 (s, 3H), 2.25 (s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 152.2, 150.7, 135.7, 134.7, 132.6, 131.3, 128.8, 128.2, 127.5, 127.4, 126.7, 114.7, 66.9, 47.7, 46.0, 20.2, 19.1ppm; HRMS (ESI, m/z): calculated for $C_{21}H_{23}N_3O_2$ $[M+H]^+$: 350.1869, found: 350.1865.



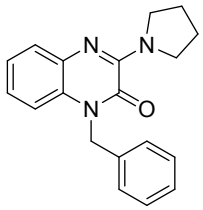
1-Benzyl-6,7-dichloro-3-morpholinoquinoxalin-2(1H)-one (3j)

60.1 mg, 77%, as a white solid, m. p. 180-181°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.60 (s, 1H), 7.39–7.27 (m, 3H), 7.23–7.16 (m, 3H), 5.38 (s, 2H), 4.07–4.00 (m, 4H), 3.87–3.81 (m, 4H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 151.8, 150.6, 134.6, 132.9, 129.4, 129.1, 128.3, 127.9, 127.5, 127.4, 126.7, 115.3, 66.9 47.5, 46.4 ppm; HRMS (ESI, m/z): calculated for $C_{19}H_{17}Cl_2N_3O_2$ $[M+H]^+$: 390.0776, found: 390.0773.



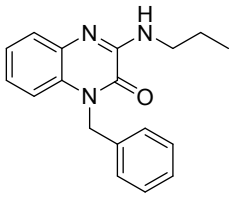
1-Benzyl-3-piperidinoquinoxalin-2(1*H*)-one (3k)

60.0 mg, 94%, as a white solid, m. p. 165-167°C; ^{1}H NMR (400 MHz, $CDCl_3$): 7.54 (d, J = 7.9 Hz, 1H), 7.33 – 7.27 (m, 2H), 7.25 – 7.13 (m, 4H), 7.12 – 7.08 (m, 2H), 5.47 (s, 2H), 4.32 – 3.47 (m, 4H), 1.72 (s, 6H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 152.5, 151.4, 135.7, 133.7, 130.0, 128.8, 127.4, 126.7, 126.6, 124.6, 123.7, 114.0, 48.4, 46.1, 26.1, 24.9 ppm; HRMS (ESI, m/z): calculated for $C_{20}H_{21}N_3O$ $[M+H]^+$: 320.1763, found: 320.1761.



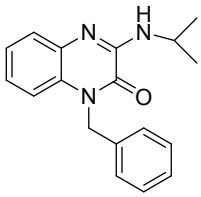
1-Benzyl-3-pyrrolidinoquinoxalin-2(1*H*)-one (3l)

44.6 mg, 73%, as a pale yellow solid, m. p. 132-134°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.52 – 7.44 (m, 1H), 7.34 – 7.27 (m, 2H), 7.26 – 7.21 (m, 3H), 7.17 – 7.11 (m, 1H), 7.07 – 6.99 (m, 2H), 5.44 (s, 2H), 3.99 (s, 4H), 1.99 – 1.93 (m, 4H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 152.8, 149.0, 135.8, 134.9, 129.3, 128.8, 127.4, 126.6, 125.8, 123.8, 123.3, 113.9, 49.7, 45.8 ppm; HRMS (ESI, m/z): calculated for $C_{19}H_{19}N_3O$ $[M+H]^+$: 306.1606, found: 306.1604.



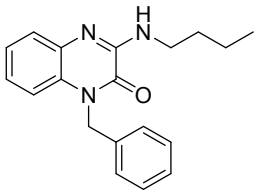
1-Benzyl-3-propylaminoquinoxalin-2(1*H*)-one (3m)

53.4 mg, 91%, as a white solid, m. p. 159-160°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.55 (dd, J_1 = 8.0, J_2 = 1.3 Hz, 1H), 7.37 – 7.26 (m, 3H), 7.25 – 7.16 (m, 3H), 7.15 – 7.05 (m, 2H), 6.44 (s, 1H), 5.50 (s, 2H), 3.65 – 3.45 (m, 2H), 1.89 – 1.64 (m, 2H), 1.05 (t, J = 7.4 Hz, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 152.7, 149.0, 135.3, 134.5, 128.9, 128.6, 127.6, 126.7, 126.2, 124.1, 123.8, 114.4, 46.2, 42.7, 22.4, 11.6 ppm; HRMS (ESI, m/z): calculated for $C_{18}H_{19}N_3O$ $[M+H]^+$: 294.1606, found: 294.1604.



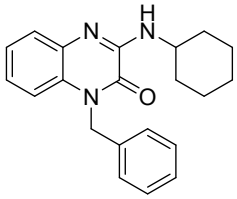
1-Benzyl-3-(isopropylamino)quinoxalin-2(1H)-one (3n)

56.9 mg, 97%, as a white solid, m. p. 145-146°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.55 (d, J = 7.9 Hz, 1H), 7.35 – 7.26 (m, 3H), 7.26 – 7.17 (m, 3H), 7.15 – 7.06 (m, 2H), 6.29 (d, J = 7.6 Hz, 1H), 5.50 (s, 2H), 4.44 – 4.26 (m, 1H), 1.34 (s, 3H), 1.32 (s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 152.2, 148.1, 135.4, 134.6, 128.9, 128.5, 127.6, 126.8, 126.2, 124.1, 123.7, 114.4, 46.2, 42.4, 22.5 ppm; HRMS (ESI, m/z): calculated for $C_{18}H_{19}N_3O$ $[M+H]^+$: 294.1606, found: 294.1604.



1-Benzyl-3-(butylamino)quinoxalin-2(1H)-one (3o)

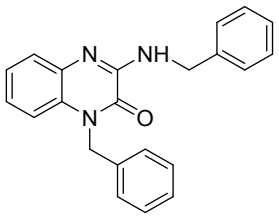
60.2 mg, 98%, as a white solid, m. p. 138-140°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.56 (dd, J = 8.0, 1.2 Hz, 1H), 7.34 – 7.26 (m, 3H), 7.25 – 7.18 (m, 3H), 7.15 – 7.06 (m, 2H), 6.41 (s, 1H), 5.50 (s, 2H), 3.57 (td, J = 7.1, 5.8 Hz, 2H), 1.78 – 1.63 (m, 2H), 1.55 – 1.41 (m, 2H), 0.99 (t, J = 7.4 Hz, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.2, 149.0, 135.3, 134.5, 128.9, 128.6, 127.6, 126.7, 126.2, 124.1, 123.8, 114.4, 46.2, 40.6, 31.3, 20.2, 13.8 ppm; HRMS (ESI, m/z): calculated for $C_{19}H_{21}N_3O$ $[M+H]^+$: 3081763, found: 308.1761.



1-Benzyl-3-(cyclohexylamino)quinoxalin-2(1H)-one (3p)

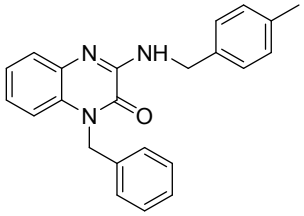
32.0 mg, 48%, as a white solid, m. p. 143-144°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.54 (dd, J = 8.0, 1.3 Hz, 1H), 7.37–7.27 (m, 2H), 7.26–7.00 (m, 6H), 6.36 (d, J = 8.1 Hz, 1H), 5.49 (s, 2H), 4.14–4.00 (m, 1H), 2.17–2.06 (m, 2H), 1.86–1.74 (m, 2H), 1.61–0.98 (m, 6H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 152.2, 148.0, 135.4, 134.6, 128.9, 128.5, 127.6, 126.8, 126.2, 124.1, 123.6, 114.3, 49.1, 46.2, 32.8, 25.7, 24.8 ppm; HRMS

(ESI, m/z): calculated for $C_{21}H_{23}N_3O$ $[M+H]^+$: 334.1919, found: 334.1917.



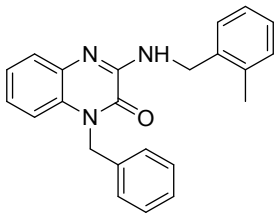
3-Benzylamino-1-benzyl-quinoxalin-2(1*H*)-one (3q)

58.7 mg, 86%, as a white solid, m. p. 198-199°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.59 (d, *J* = 7.9 Hz, 1H), 7.47–7.26 (m, 7H), 7.26–7.18 (m, 4H), 7.18–7.05 (m, 2H), 6.70 (s, 1H), 5.51 (s, 2H), 4.77 (d, *J* = 5.8 Hz, 2H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 152.1, 148.7, 138.2, 135.3, 134.3, 128.9, 128.8, 128.7, 128.1, 127.6, 127.5, 126.8, 126.4, 124.2, 124.1, 114.4, 46.2, 45.0 ppm; HRMS (ESI, m/z): calculated for $C_{22}H_{19}N_3O$ $[M+H]^+$: 342.1606, found: 342.1602.



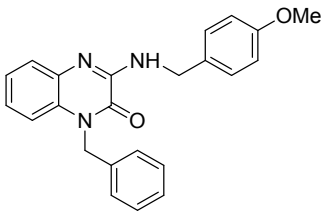
1-Benzyl-3-((4-methylbenzyl)amino)quinoxalin-2(1H)-one (3r)

65.4 mg, 92%, as a white solid, m. p. 175-177°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.59 (d, *J* = 7.8 Hz, 1H), 7.35 – 7.27 (m, 5H), 7.26 – 7.09 (m, 7H), 6.67 (s, 1H), 5.51 (s, 2H), 4.73 (d, *J* = 5.7 Hz, 2H), 2.36 (s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 152.1, 148.7, 137.2, 135.3, 135.1, 134.3, 129.3, 128.9, 128.8, 128.1, 127.6, 126.7, 126.4, 124.2, 124.0, 114.4, 46.2, 44.8, 21.1 ppm; HRMS (ESI, m/z): calculated for $C_{23}H_{21}N_3O$ $[M+H]^+$: 356.1763, found: 356.1759.



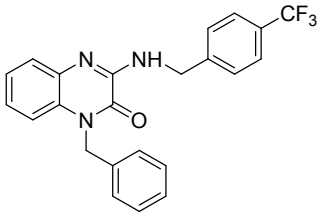
1-Benzyl-3-((2-methylbenzyl)amino)quinoxalin-2(1H)-one (3s)

57.5 mg, 81%, as a white solid, m. p. 148-150°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.59 (d, *J* = 7.9 Hz, 1H), 7.41–7.28 (m, 3H), 7.26–7.06 (m, 9H), 6.55 (s, 1H), 5.50 (s, 2H), 4.74 (d, *J* = 5.5 Hz, 2H), 2.42 (s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 152.0, 148.6, 136.7, 135.9, 135.3, 134.3, 130.5, 128.9, 128.9, 128.8, 127.8, 127.6, 126.8, 126.4, 126.2, 124.2, 124.1, 114.4, 46.2, 43.2, 19.2 ppm; HRMS (ESI, m/z): calculated for $C_{23}H_{21}N_3O$ $[M+H]^+$: 356.1763, found: 356.1759.



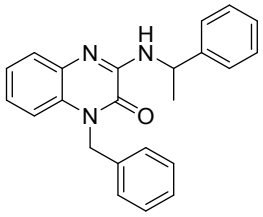
1-Benzyl-3-((4-methoxybenzyl)amino)quinoxalin-2(1H)-one (3t)

70.5 mg, 95%, as a white solid, m. p. 166-167°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.58 (d, *J* = 7.9 Hz, 1H), 7.39–7.27 (m, 4H), 7.26–7.06 (m, 6H), 6.94–6.79 (m, 2H), 6.64 (s, 1H), 5.49 (s, 2H), 4.69 (d, *J* = 5.7 Hz, 2H), 3.80 (s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 159.1, 152.1, 148.7, 135.3, 134.3, 130.2, 129.5, 128.9, 128.8, 127.6, 126.7, 126.4, 124.2, 124.0, 114.4, 114.1, 55.3, 46.2, 44.5 ppm; HRMS (ESI, m/z): calculated for $C_{23}H_{21}N_3O_2$ $[M+H]^+$: 372.1712, found: 372.1708.



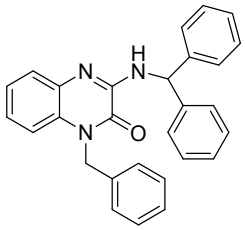
1-Benzyl-3-((4-(trifluoromethyl)benzyl)amino)quinoxalin-2(1H)-one (3u)

43.4 mg, 53%, as a white solid, m. p. 200-202°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.75–7.44 (m, 5H), 7.39–7.26 (m, 3H), 7.25–7.04 (m, 5H), 6.79 (s, 1H), 5.51 (s, 2H), 4.84 (d, *J* = 6.1 Hz, 2H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 152.01 (s), 148.67 (s), 142.57 (s), 135.19 (s), 134.06 (s), 129.69 (q, *J* = 32.4 Hz), 128.94 (s), 128.91 (s), 128.16 (s), 127.71 (s), 126.76 (s), 126.52 (s), 125.57 (q, *J* = 3.7 Hz), 124.47 (s), 124.30 (s), 122.45 (q, *J* = 270.5 Hz), 114.51 (s), 46.25 (s), 44.36 (s) ppm; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.42 (s, 3F) ppm; HRMS (ESI, m/z): calculated for $C_{23}H_{18}F_3N_3O$ $[M+H]^+$: 410.1480, found: 410.1475.



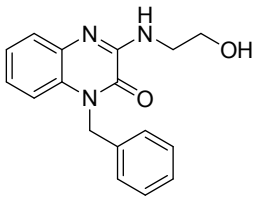
1-Benzyl-3-((1-phenylethyl)amino)quinoxalin-2(1H)-one (3v)

54.7 mg, 77%, as a white solid, m. p. 122-124°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.53 (d, *J* = 8.0 Hz, 1H), 7.46 (d, *J* = 7.3 Hz, 2H), 7.38 – 7.26 (m, 5H), 7.26 – 7.02 (m, 6H), 6.70 (d, *J* = 7.8 Hz, 1H), 5.60 – 5.46 (m, 2H), 5.46 – 5.36 (m, 1H), 1.66 (d, *J* = 6.9 Hz, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 152.0, 147.9, 143.6, 135.3, 134.4, 128.9, 128.7, 128.6, 127.6, 127.2, 126.8, 126.5, 126.4, 124.1, 124.0, 114.3, 50.0, 46.2, 22.2 ppm; HRMS (ESI, m/z): calculated for $C_{23}H_{21}N_3O$ $[M+H]^+$: 356.1763, found: 356.1761.



3-(Aminodiphenylmethane-1-benzyl-quinoxalin-2(1*H*)-one (3w)

39.2 mg, 47%, as a white solid, m. p. 162-164°C; ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.51 (d, *J* = 7.7 Hz, 1H), 7.42 – 7.27 (m, 12H), 7.25 – 6.96 (m, 6H), 6.56 (d, *J* = 8.2 Hz, 1H), 5.50 (s, 2H), 1.57 (s, 1H). ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 152.0, 147.8, 141.9, 135.3, 134.2, 128.9, 128.6, 127.6, 127.4, 126.8, 126.7, 124.3, 124.1, 114.3, 58.1, 46.3 ppm; HRMS (ESI, m/z): calculated for $C_{28}H_{23}N_3O$ $[M+H]^+$: 418.1919, found: 418.1911.



1-Benzyl-3-((2-hydroxyethyl)amino)quinoxalin-2(1H)-one (3x)

39.0 mg, 66%, as a white solid, m. p. 132-133°C; ^{1}H NMR (400 MHz, CDCl3): δ 7.55 – 7.49 (m, 1H), 7.37 – 7.26 (m, 3H), 7.25 – 7.07 (m, 5H), 6.87 (s, 1H), 5.50 (s, 2H), 4.50 (s, 1H), 3.96 – 3.89 (m, 2H), 3.78 – 3.73 (m, 2H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$): δ 152.1, 149.9, 135.1, 133.3, 128.9, 128.8, 127.7, 126.7, 125.9, 124.5, 124.4, 114.5, 63.5, 46.3, 45.0 ppm; HRMS (ESI, m/z): calculated for $C_{17}H_{17}N_3O_2$ $[M+H]^+$: 296.1399, found: 296.1397.

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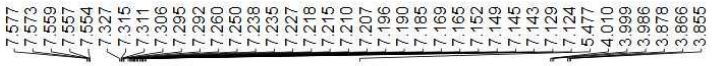
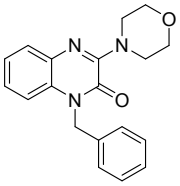
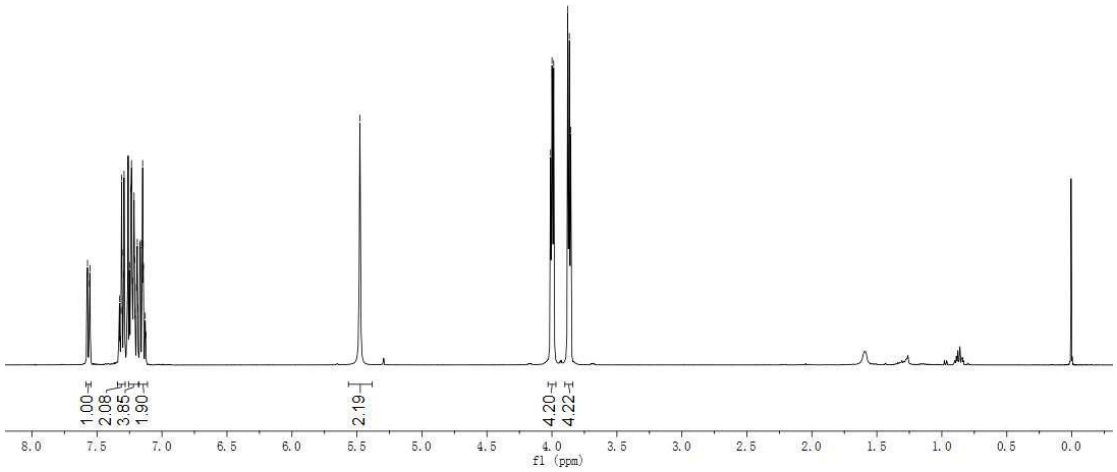
cIV. ^{1}H and ^{13}C NMR spectra of products

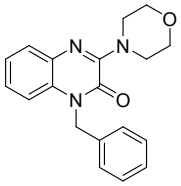
^{1}HNMR spectrum of **3a**

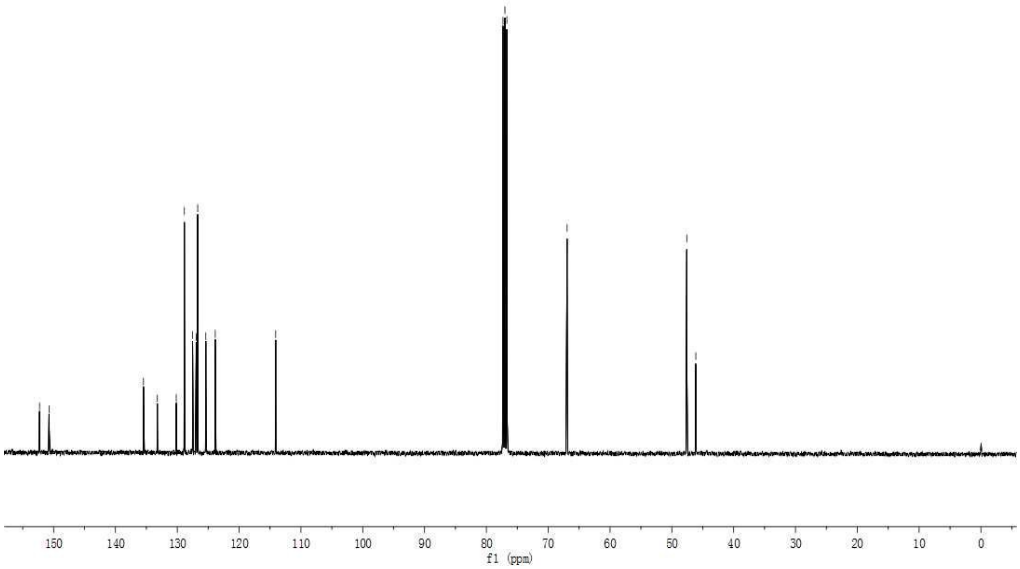




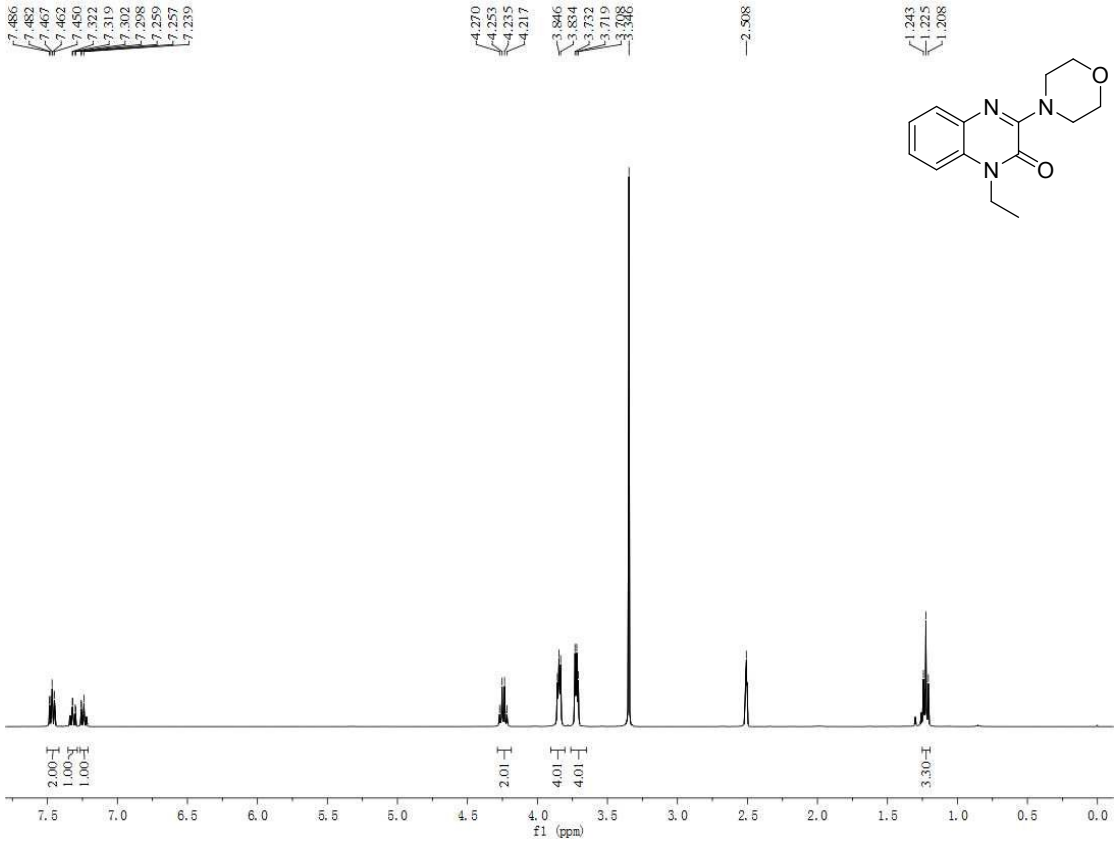


^{13}CNMR spectrum of **3a**

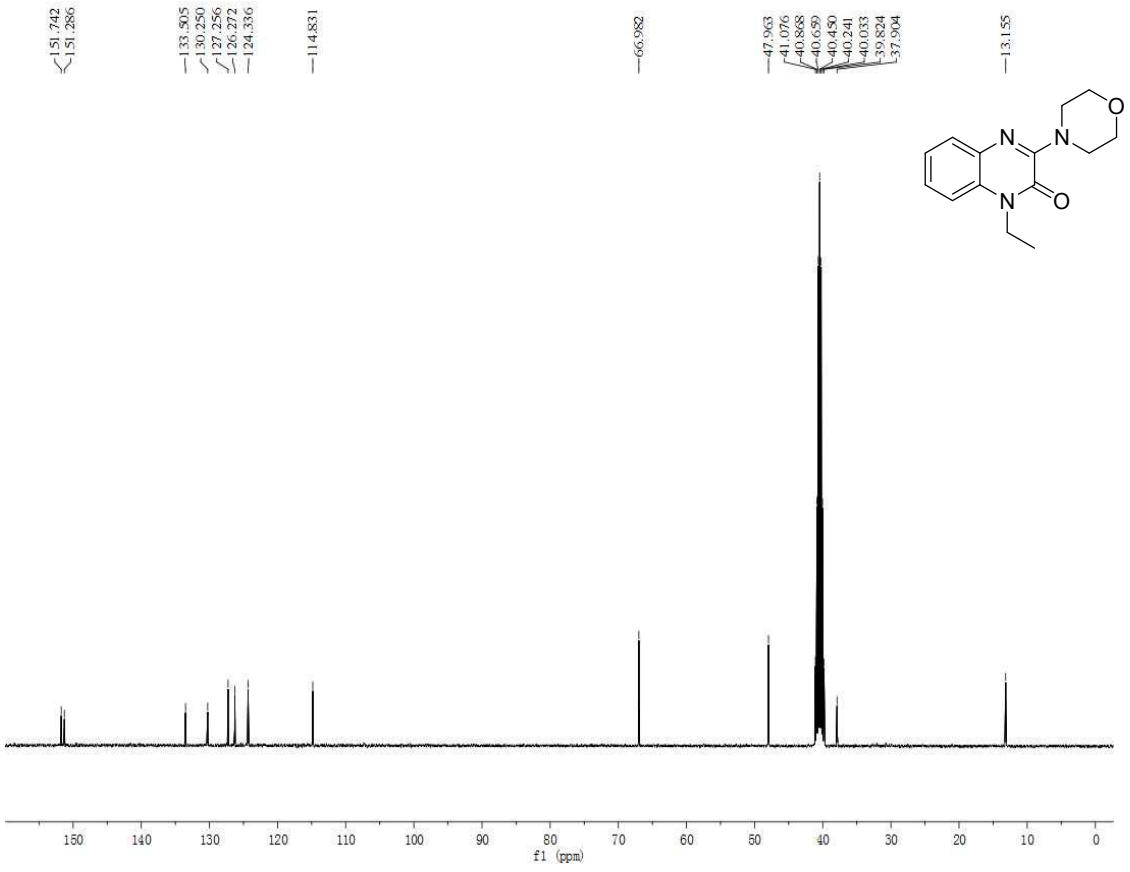




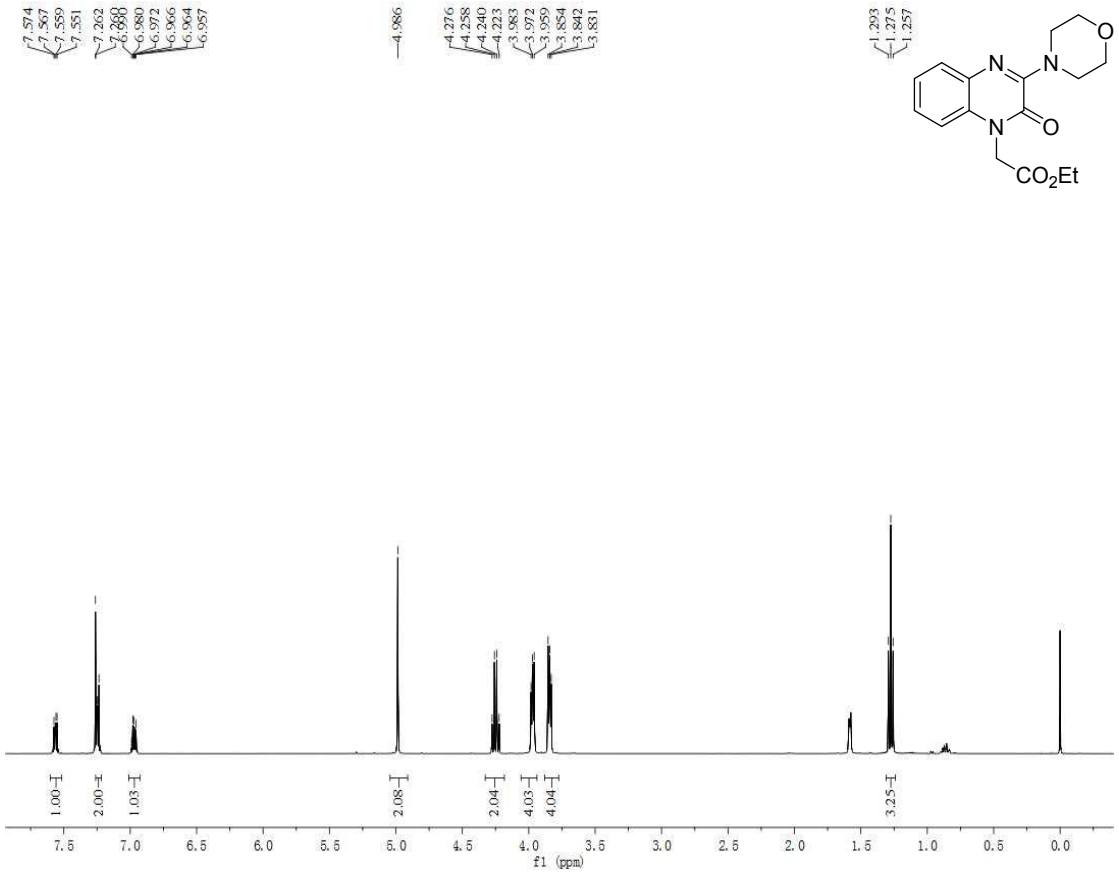
[1]HNMR spectrum of **3b**



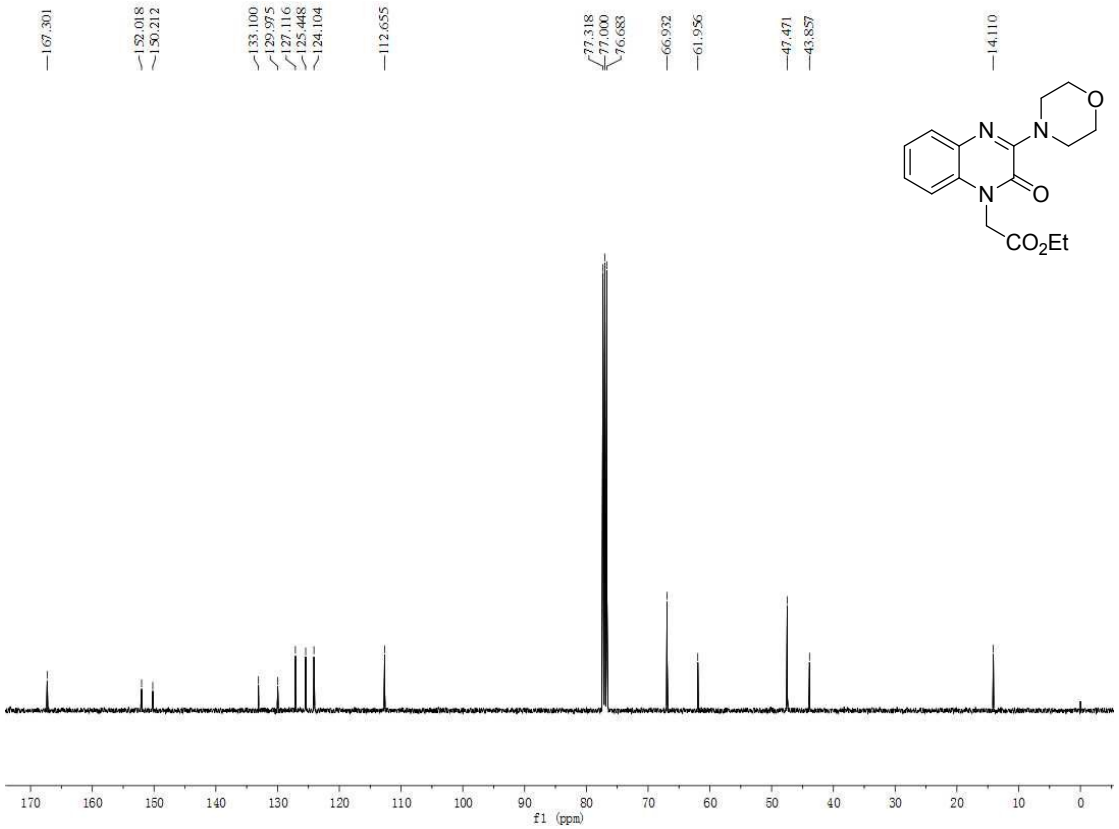
[13]CNMR spectrum of **3b**



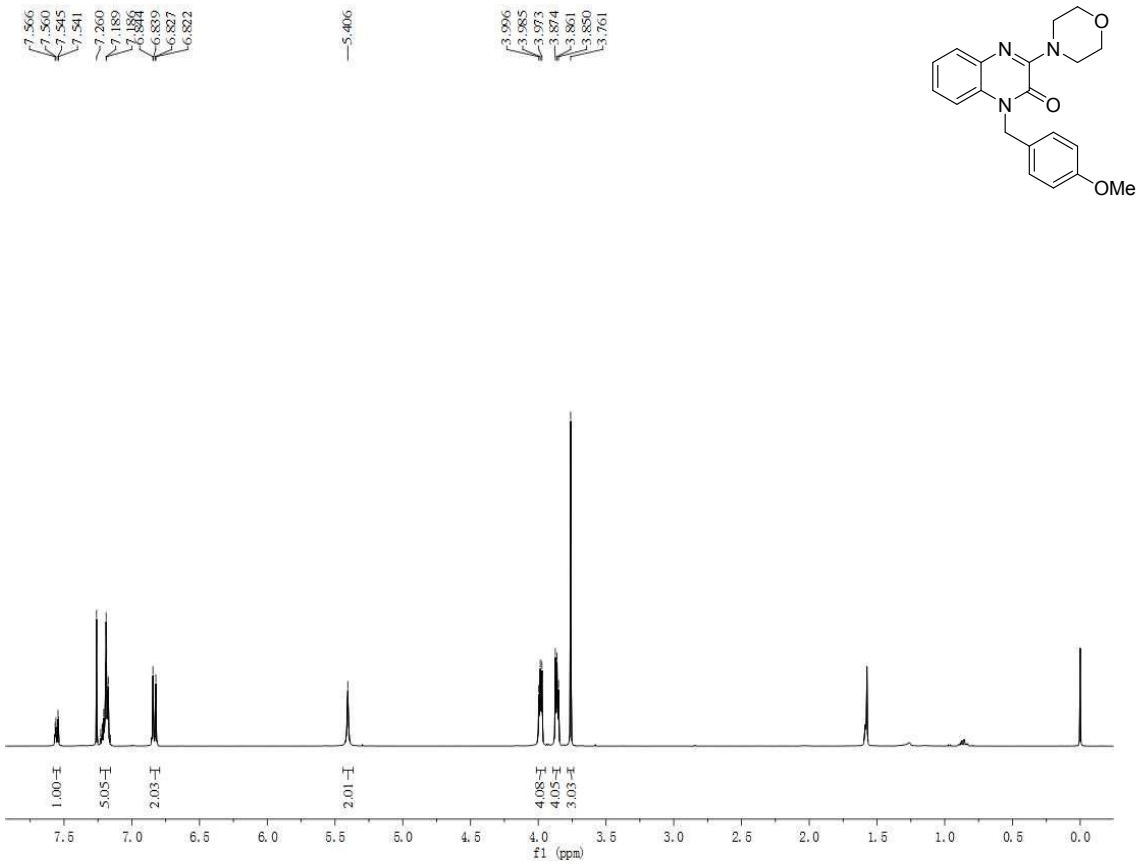
[1]HNMR spectrum of **3c**



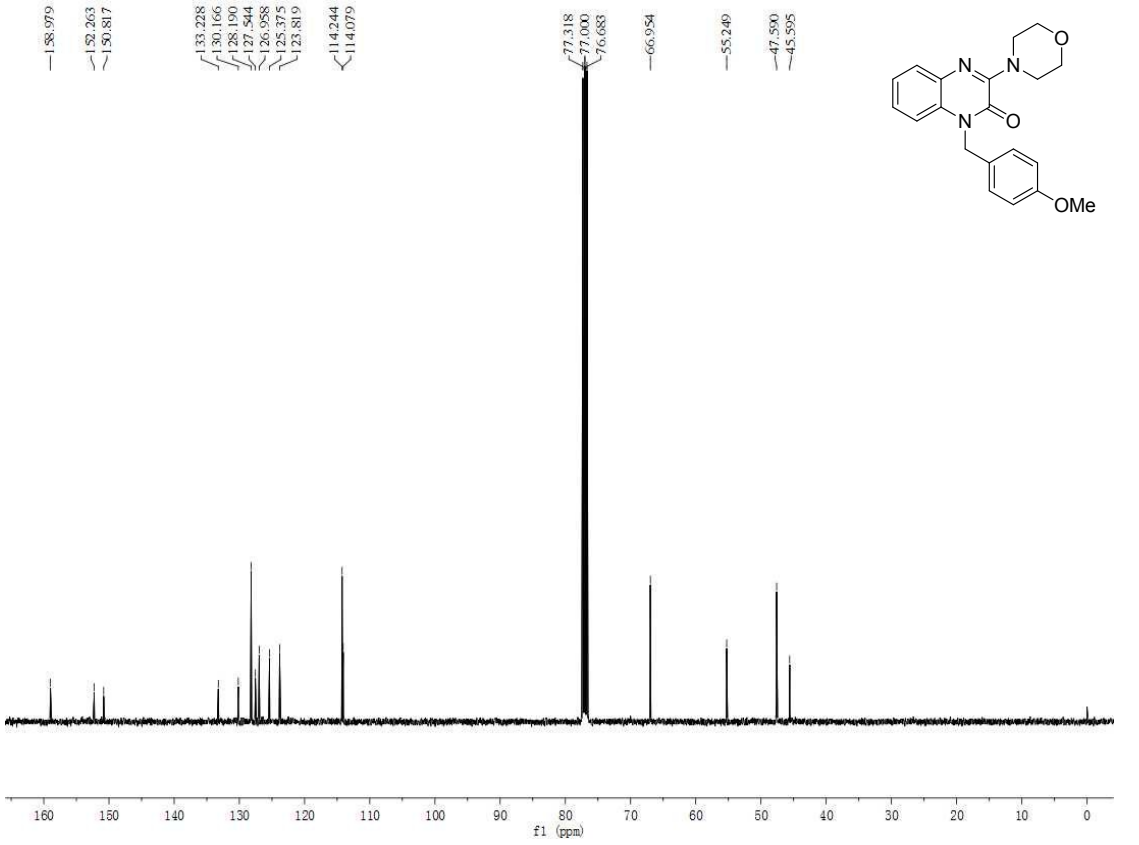
[13]CNMR spectrum of **3c**



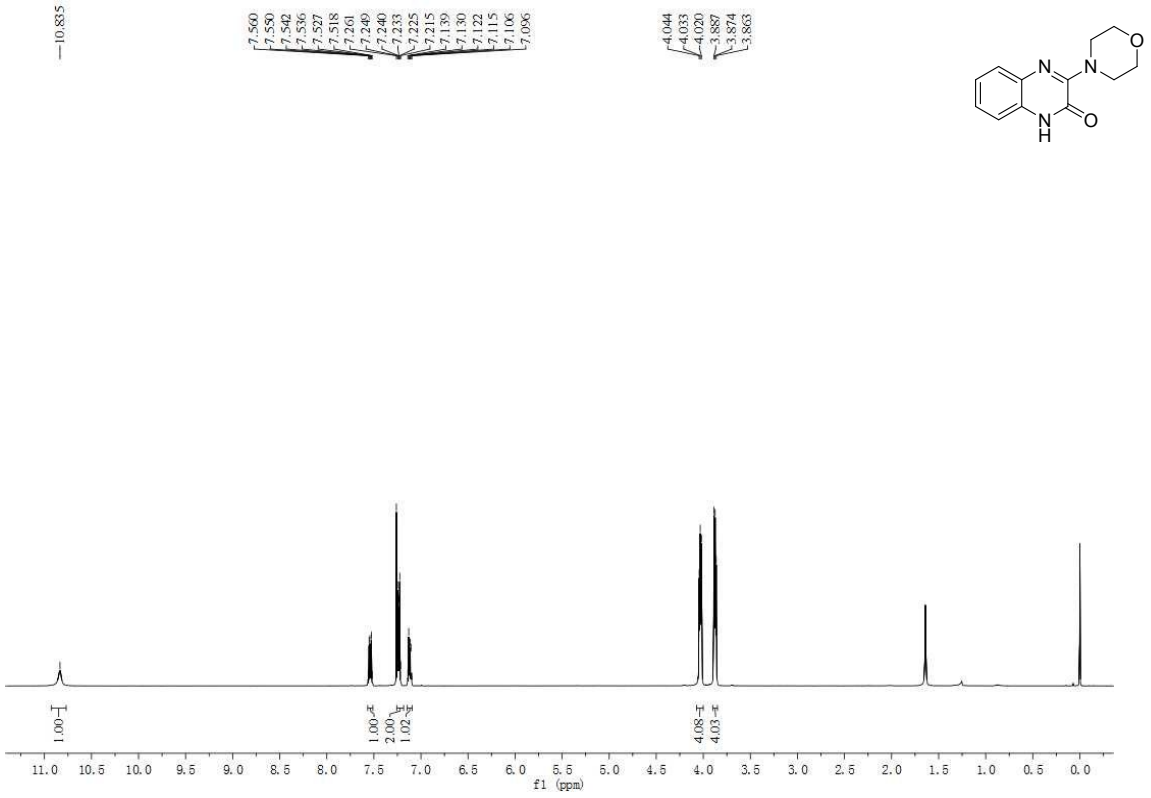
[1]HNMR spectrum of **3d**



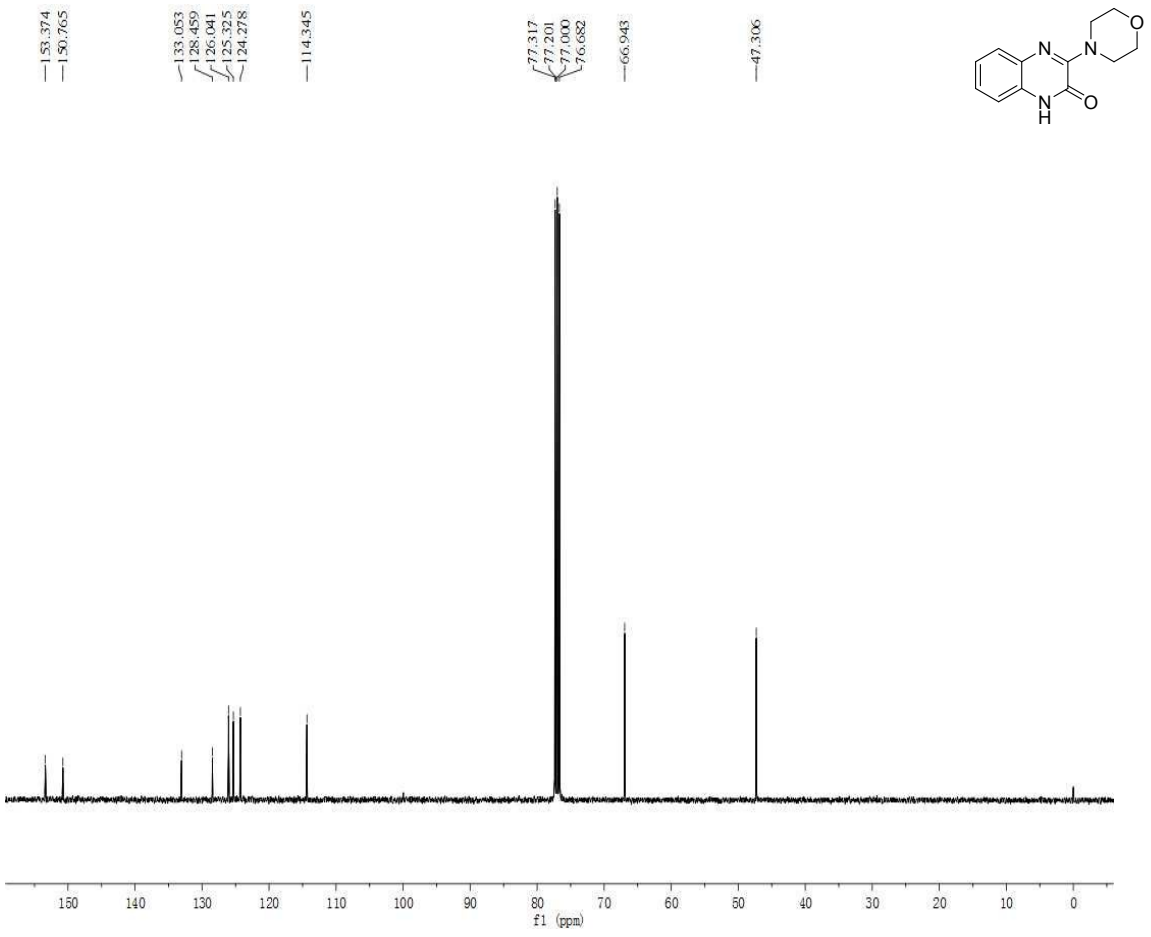
[13]CNMR spectrum of **3d**



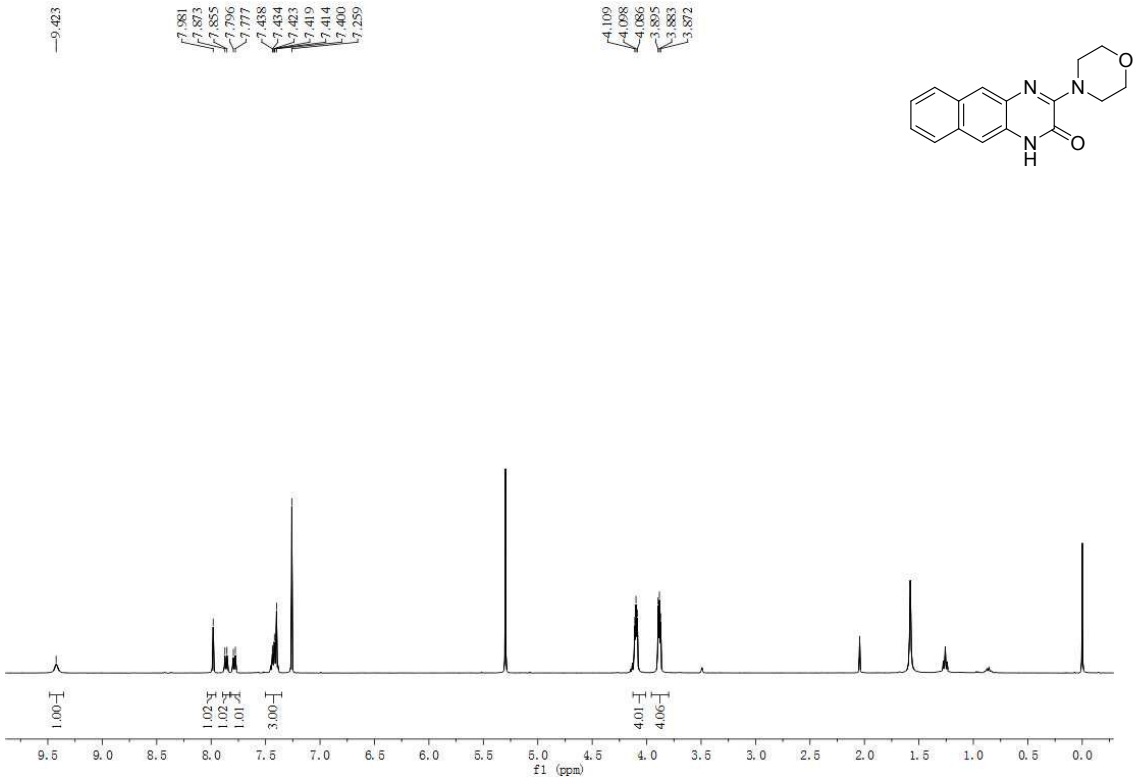
^{1}HNMR spectrum of **3e**



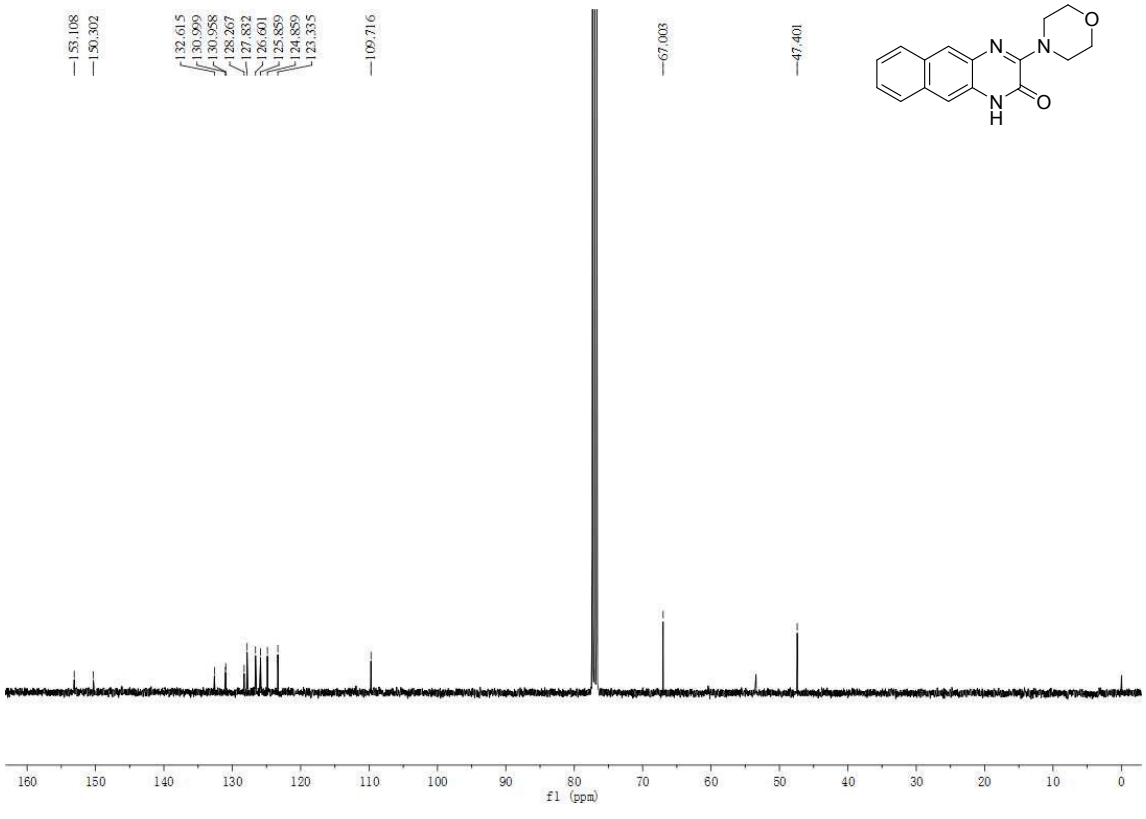
^{13}CNMR spectrum of **3e**



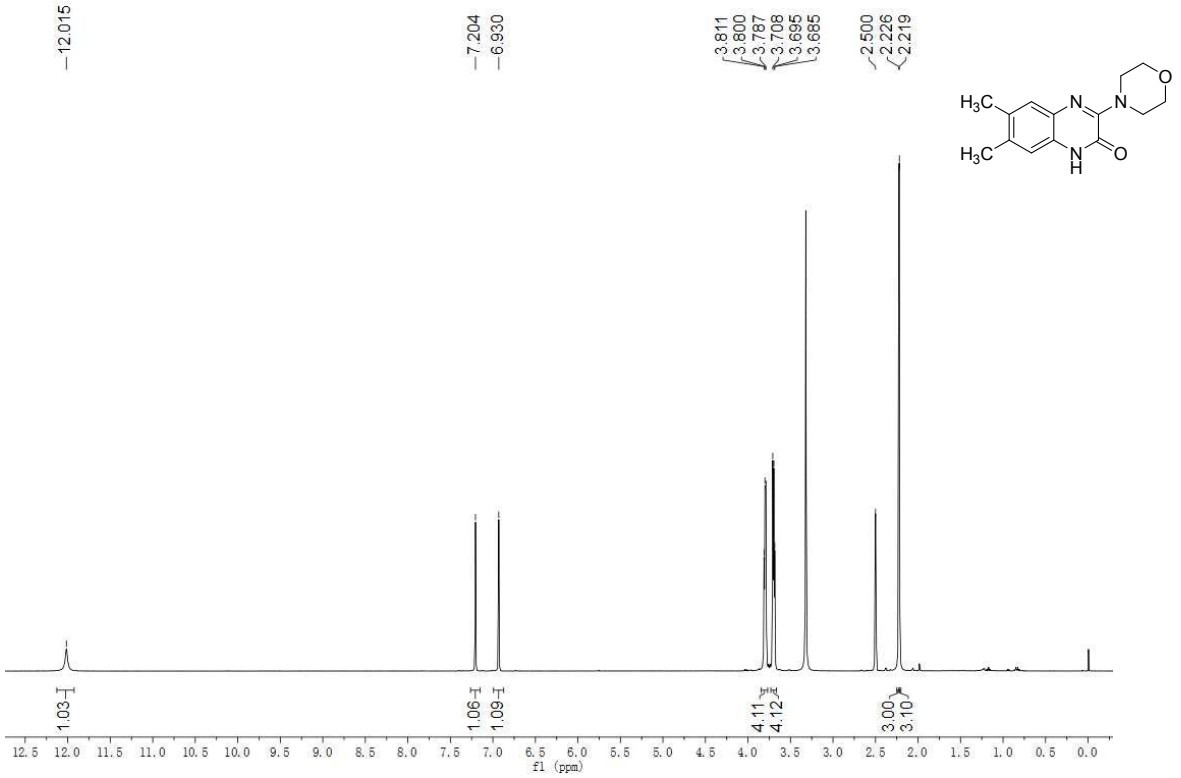
^{1}HNMR spectrum of **3f**



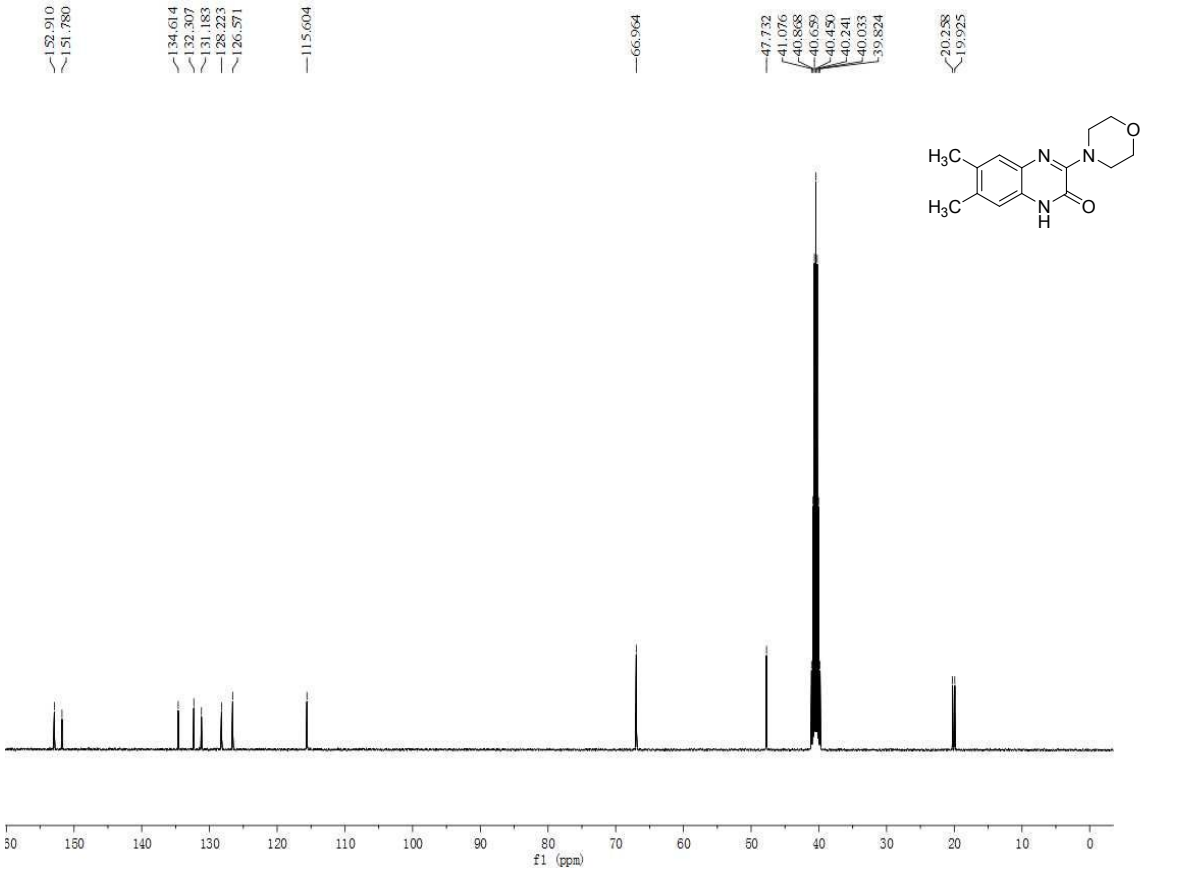
^{13}CNMR spectrum of **3f**



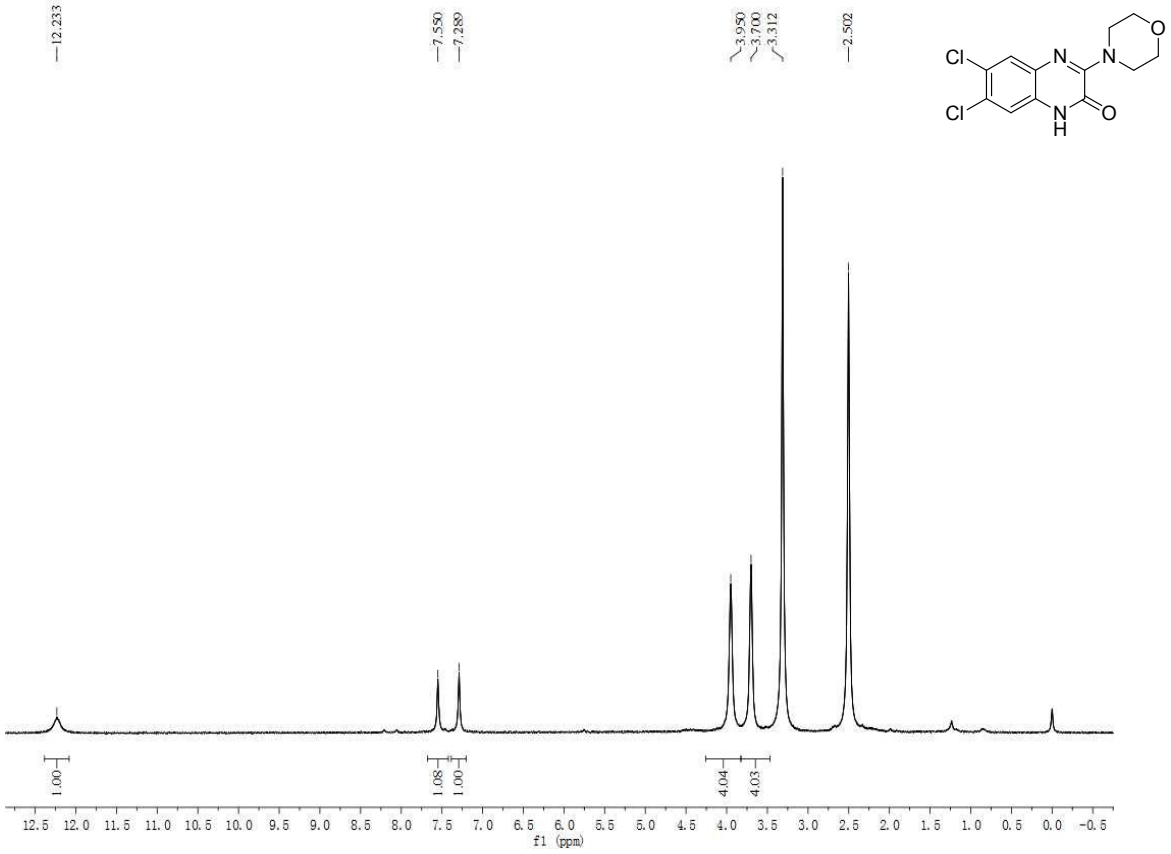
[1]HNMR spectrum of **3g**



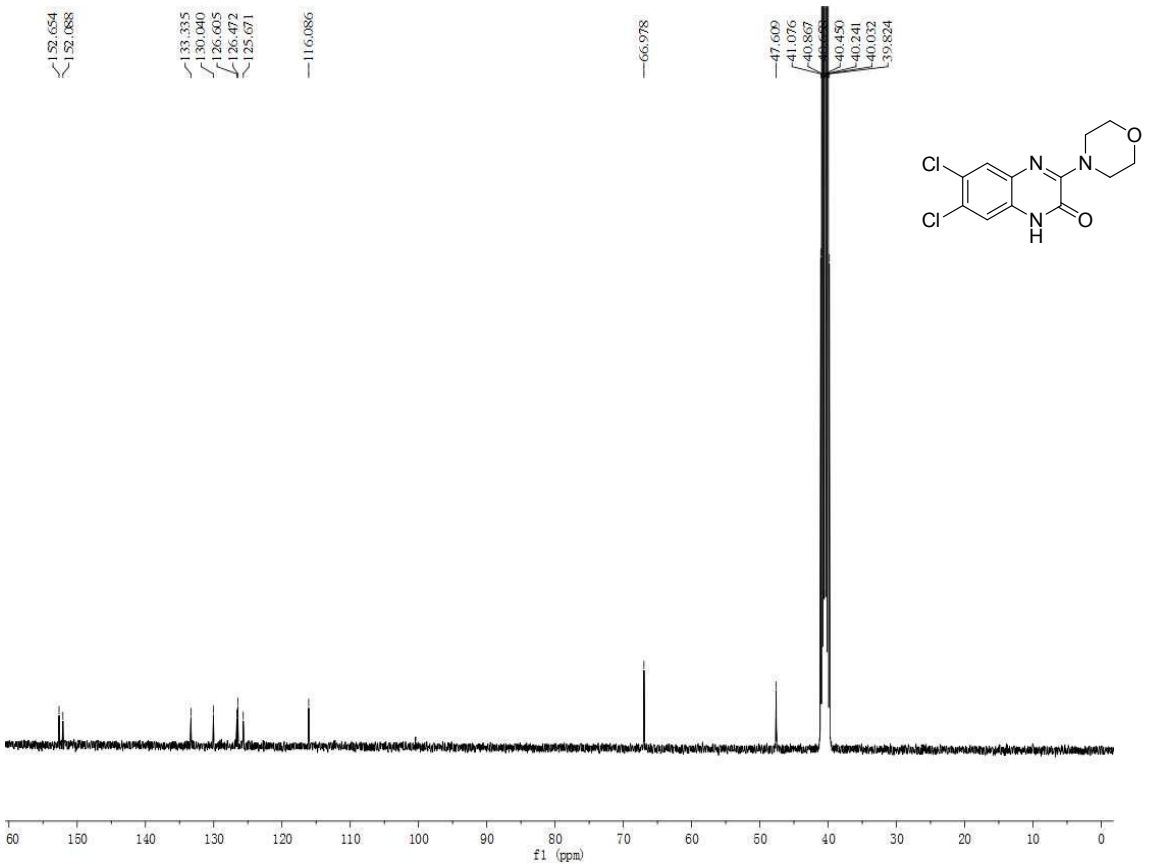
[13]CNMR spectrum of **3g**



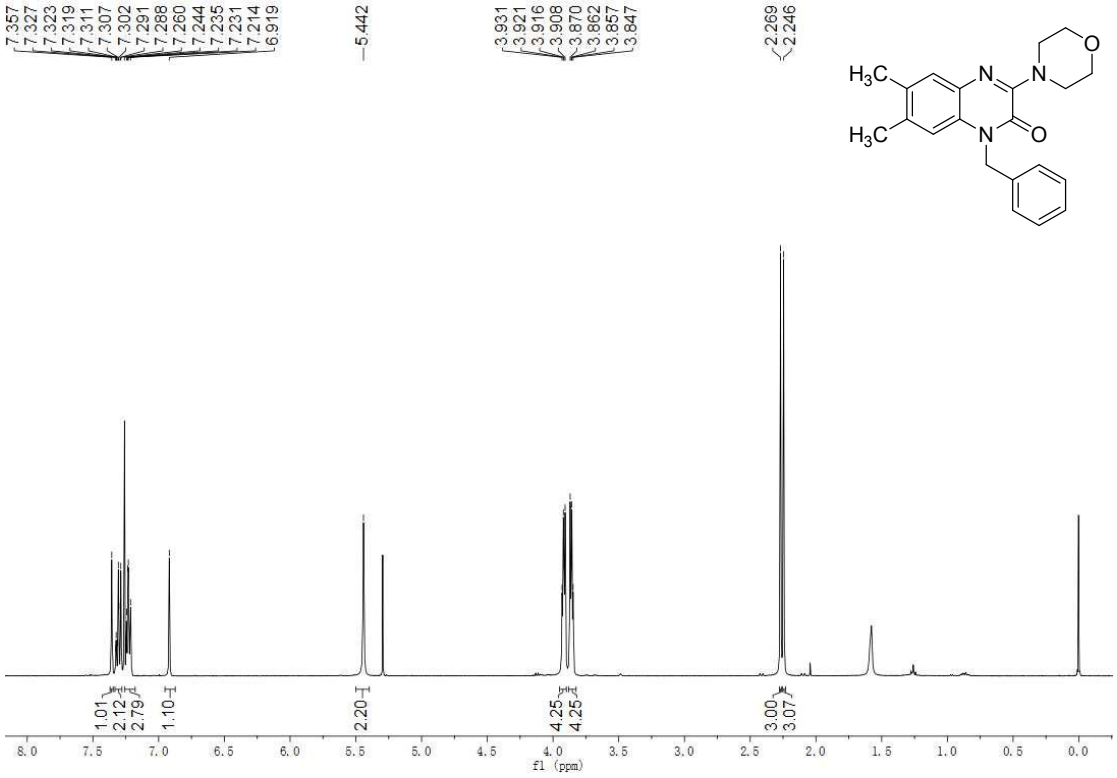
[1]HNMR spectrum of **3h**



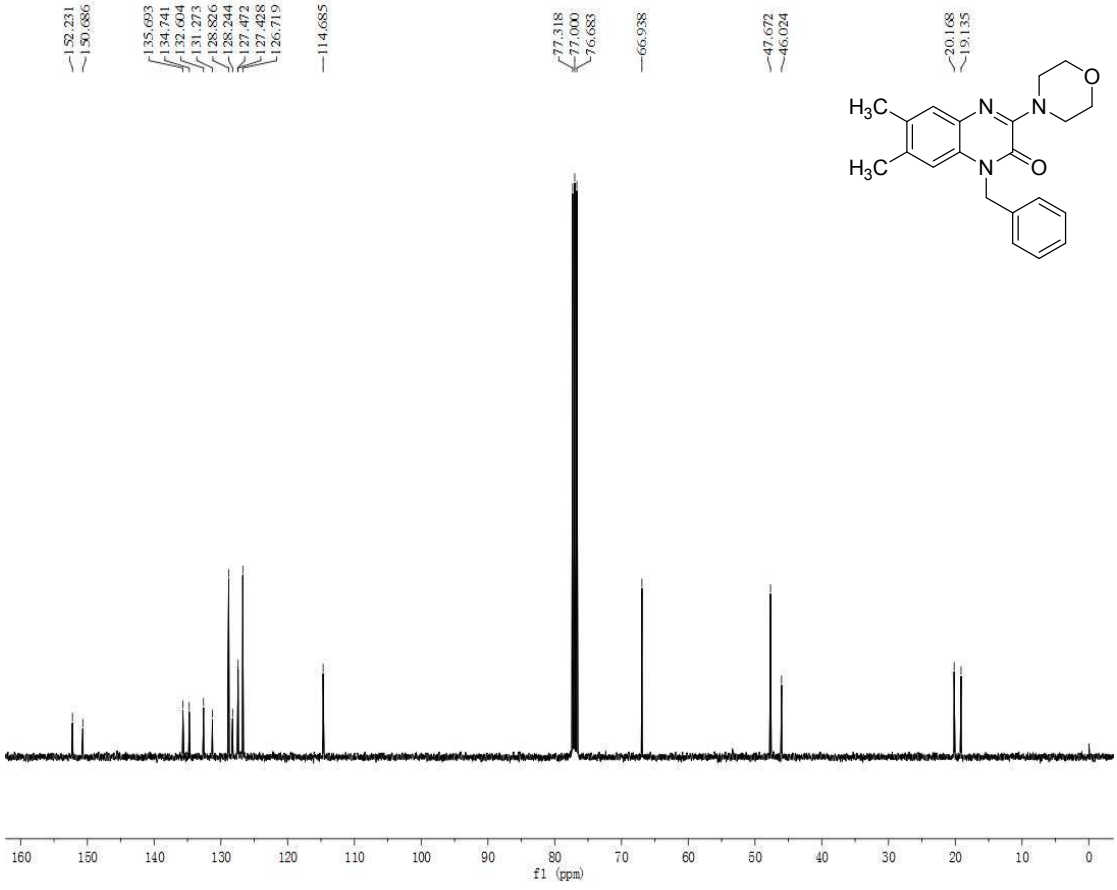
[13]CNMR spectrum of **3h**



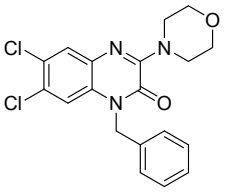
[1]HNMR spectrum of **3i**

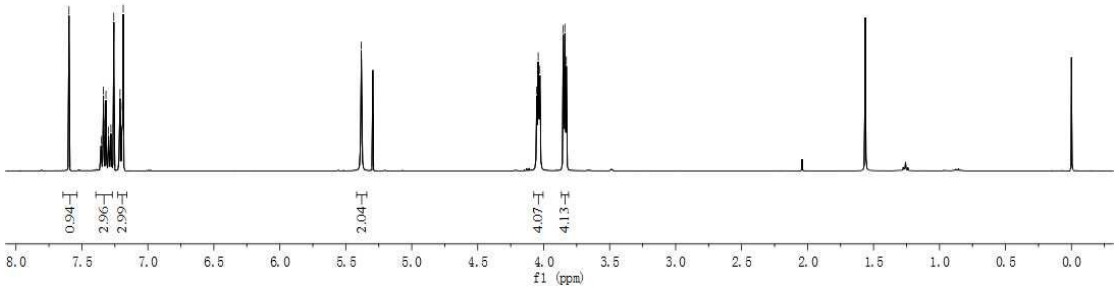


[13]CNMR spectrum of **3i**

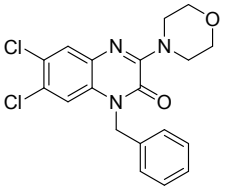


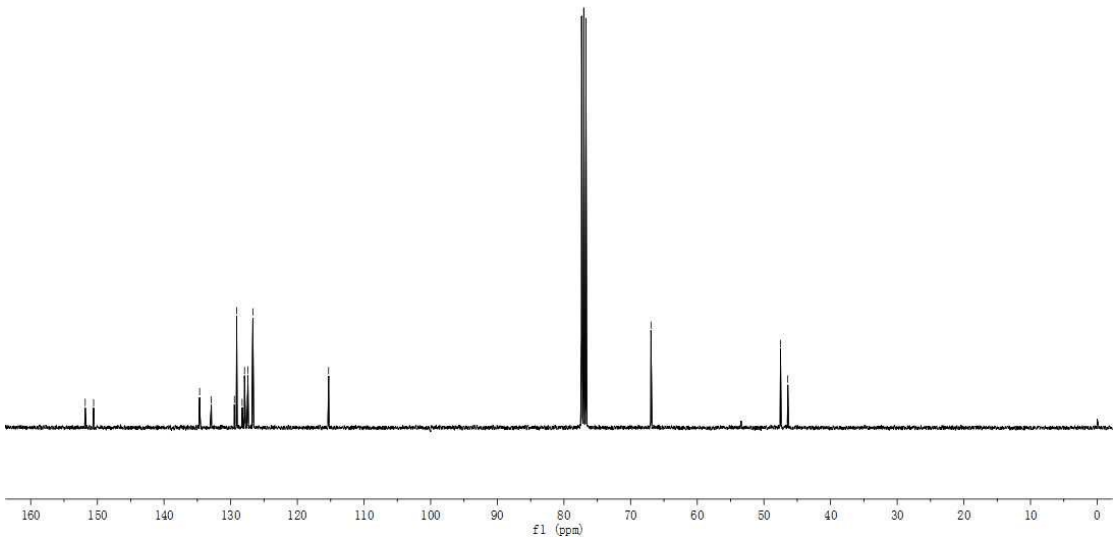
[1]HNMR spectrum of **3j**



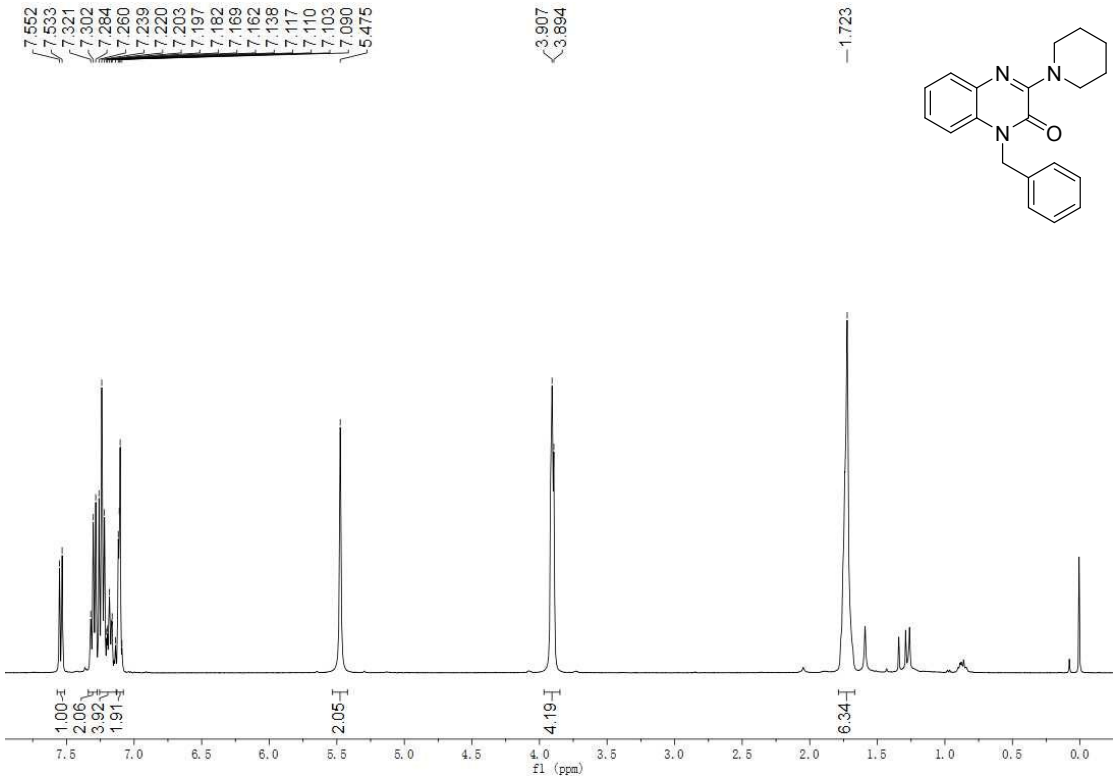


[13]CNMR spectrum of **3j**

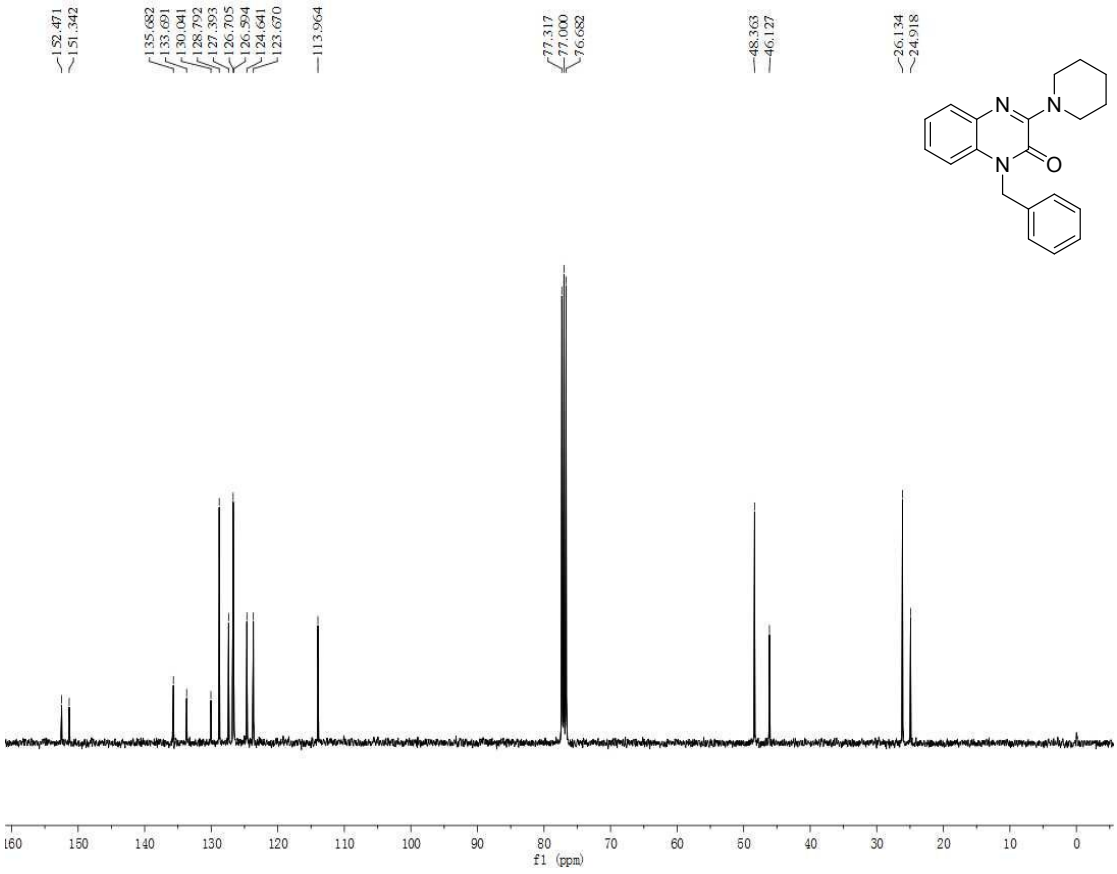




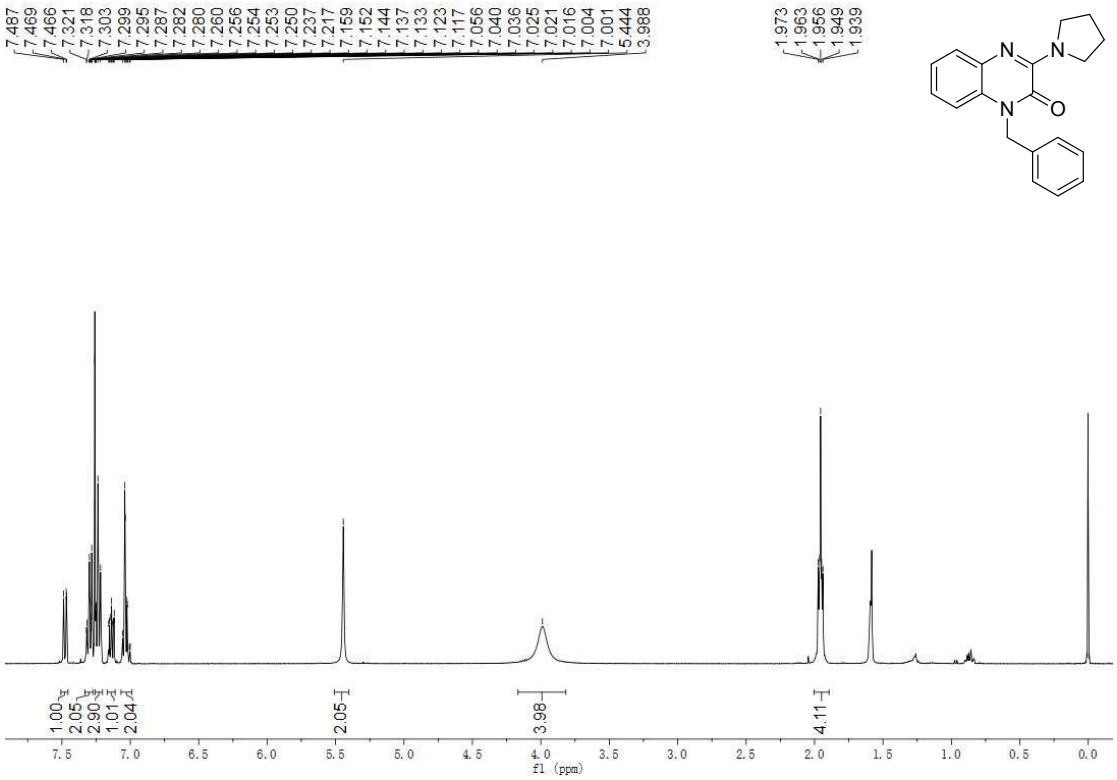
[1]HNMR spectrum of **3k**



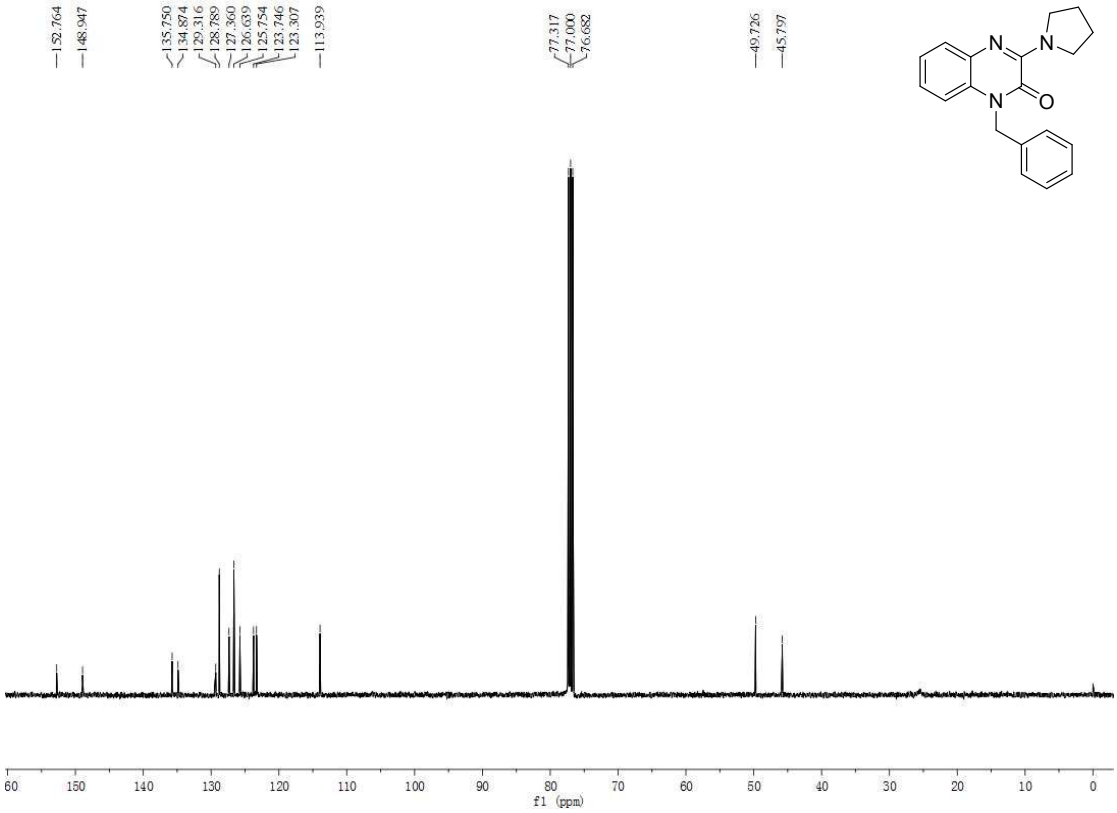
[1]HNMR spectrum of **3k**



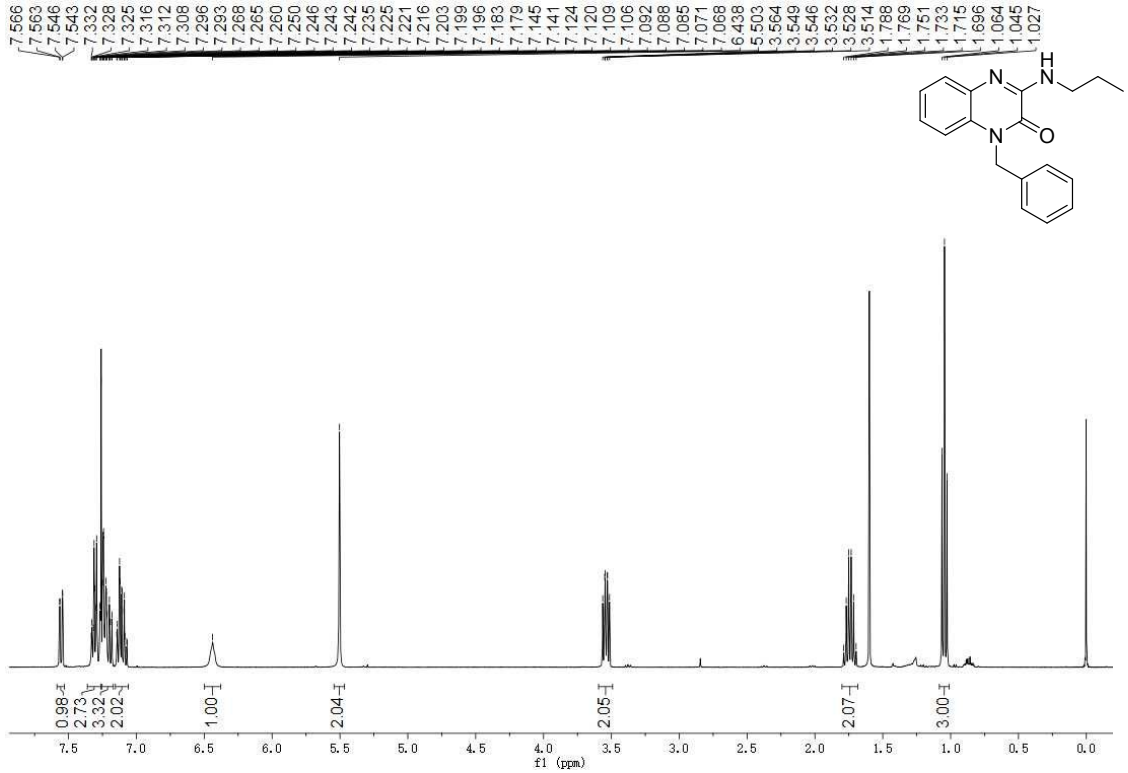
[1]HNMR spectrum of **3l**



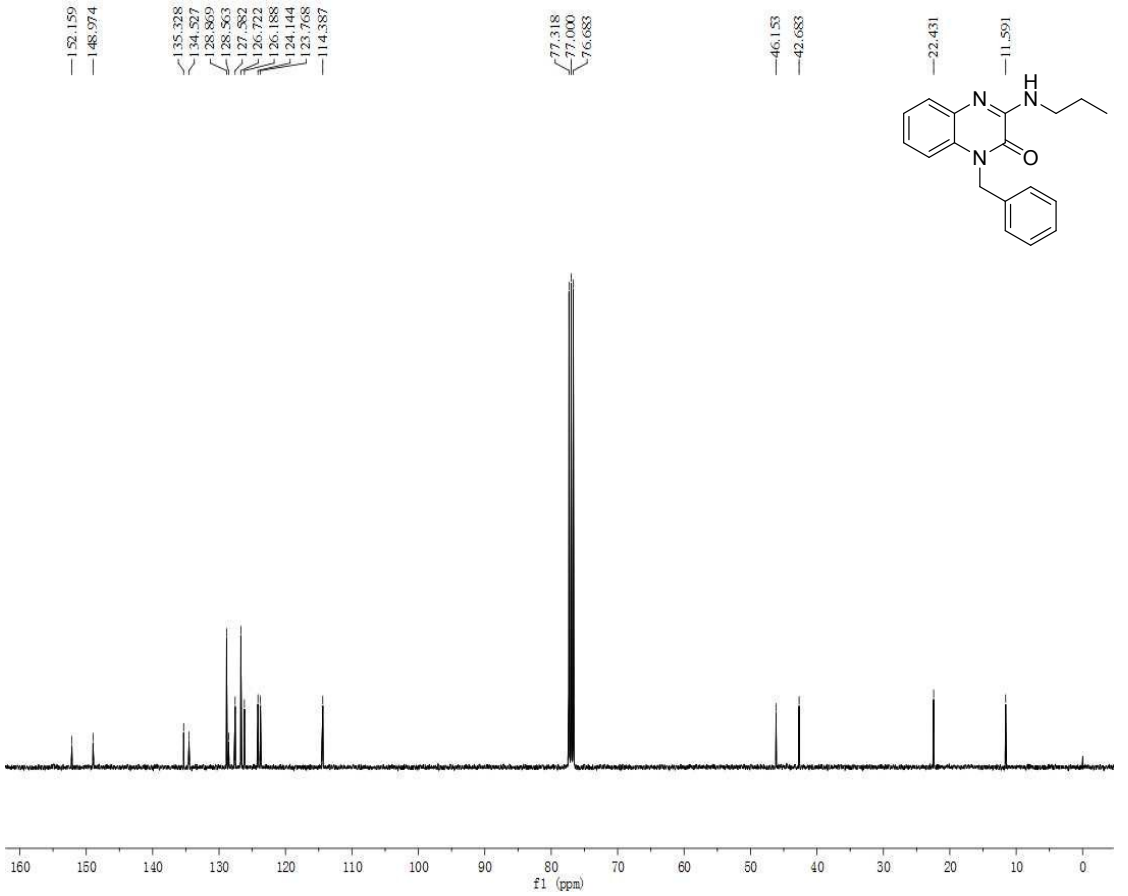
[13]CNMR spectrum of **3l**



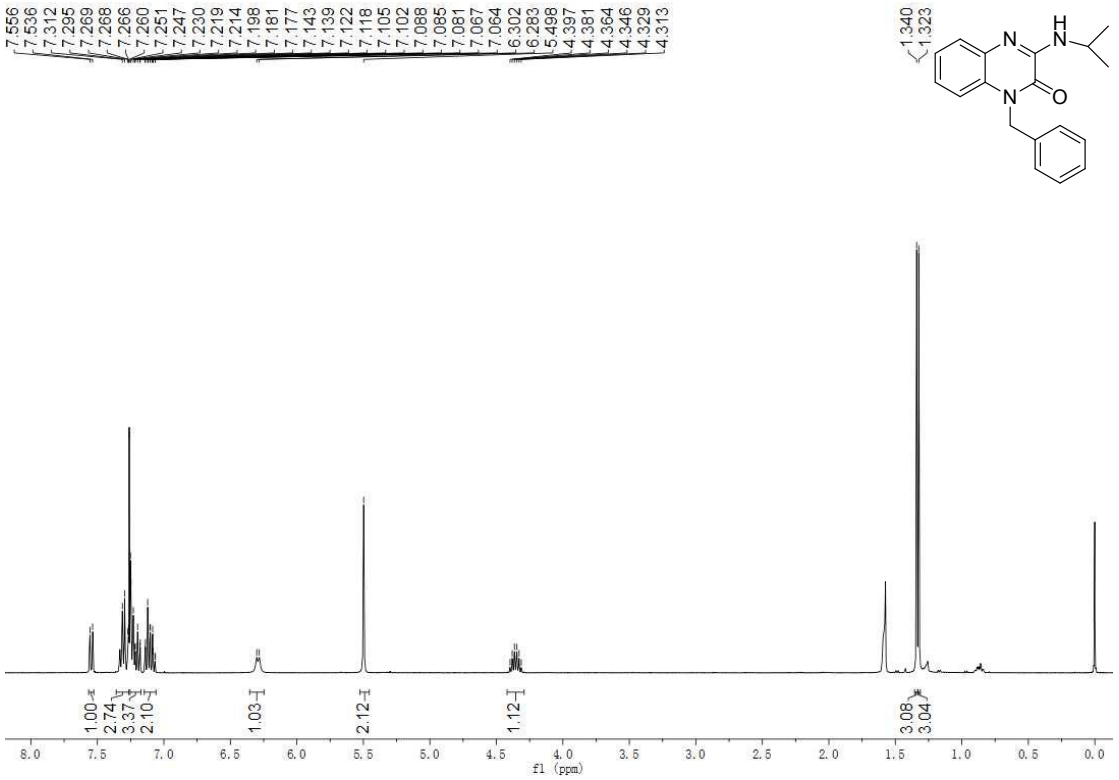
[1]HNMR spectrum of **3m**



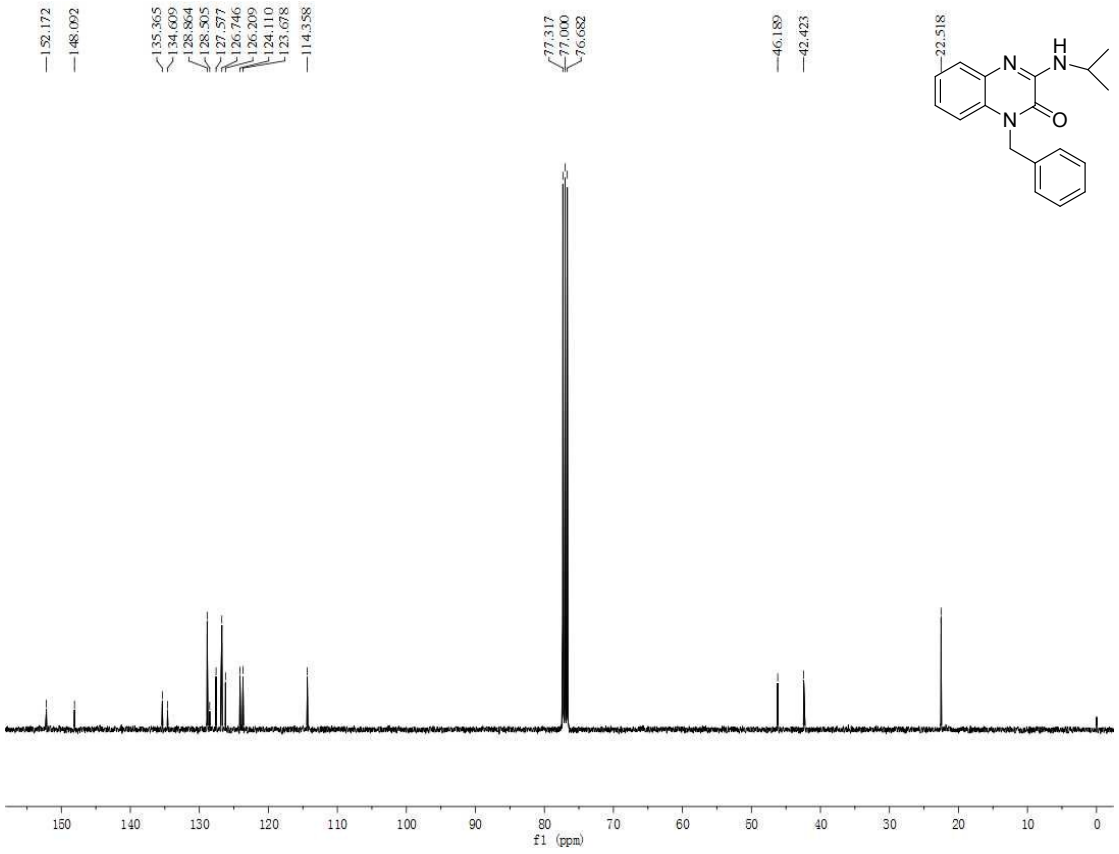
[13]CNMR spectrum of **3m**



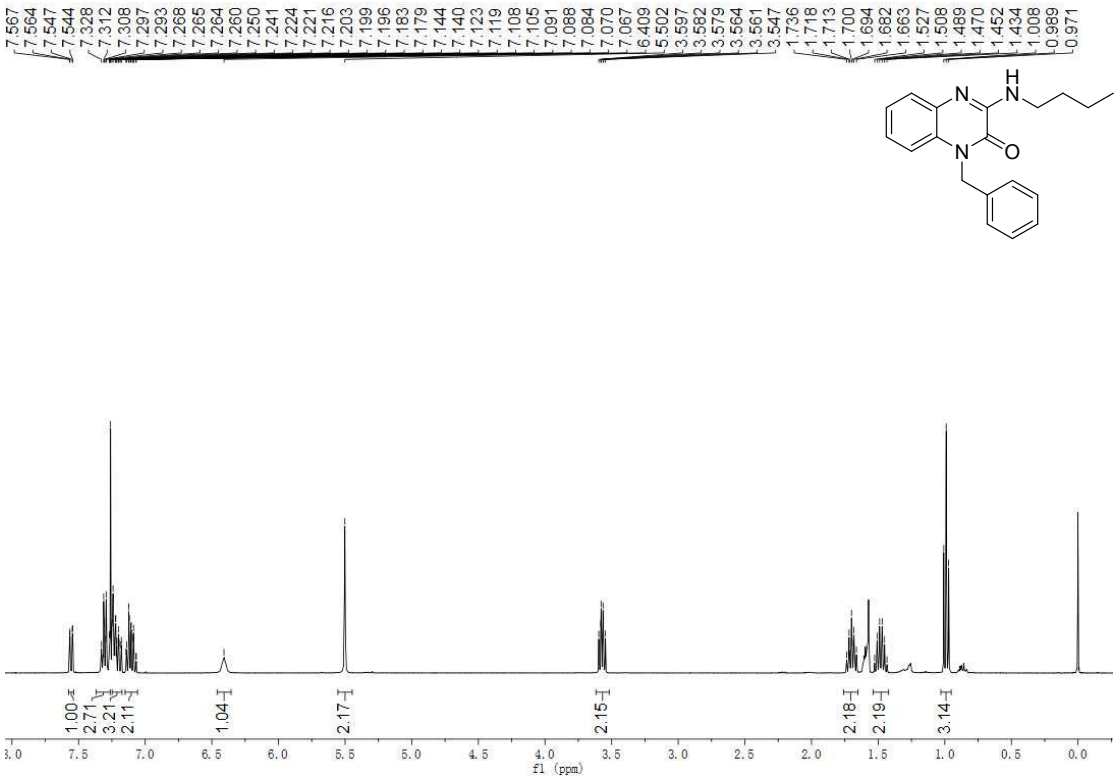
[1]HNMR spectrum of **3n**



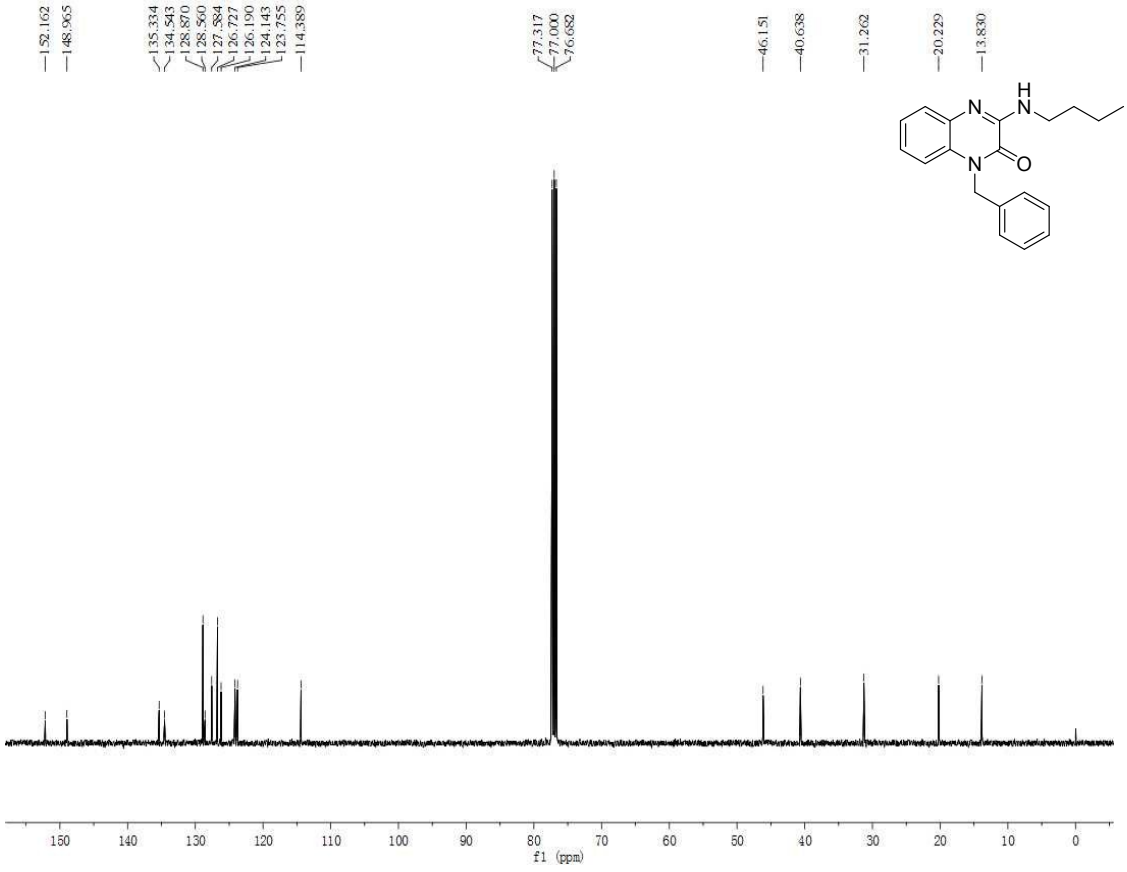
[13]CNMR spectrum of **3n**



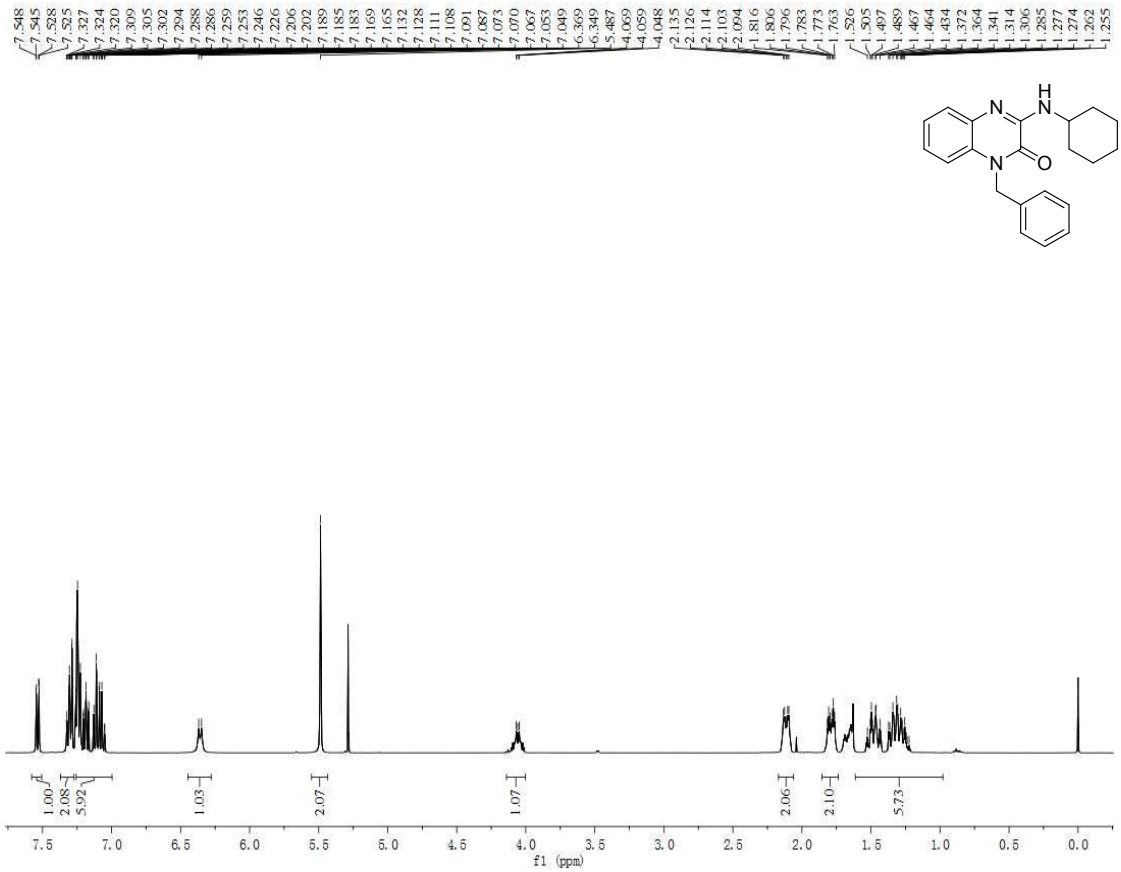
[1]HNMR spectrum of **3o**



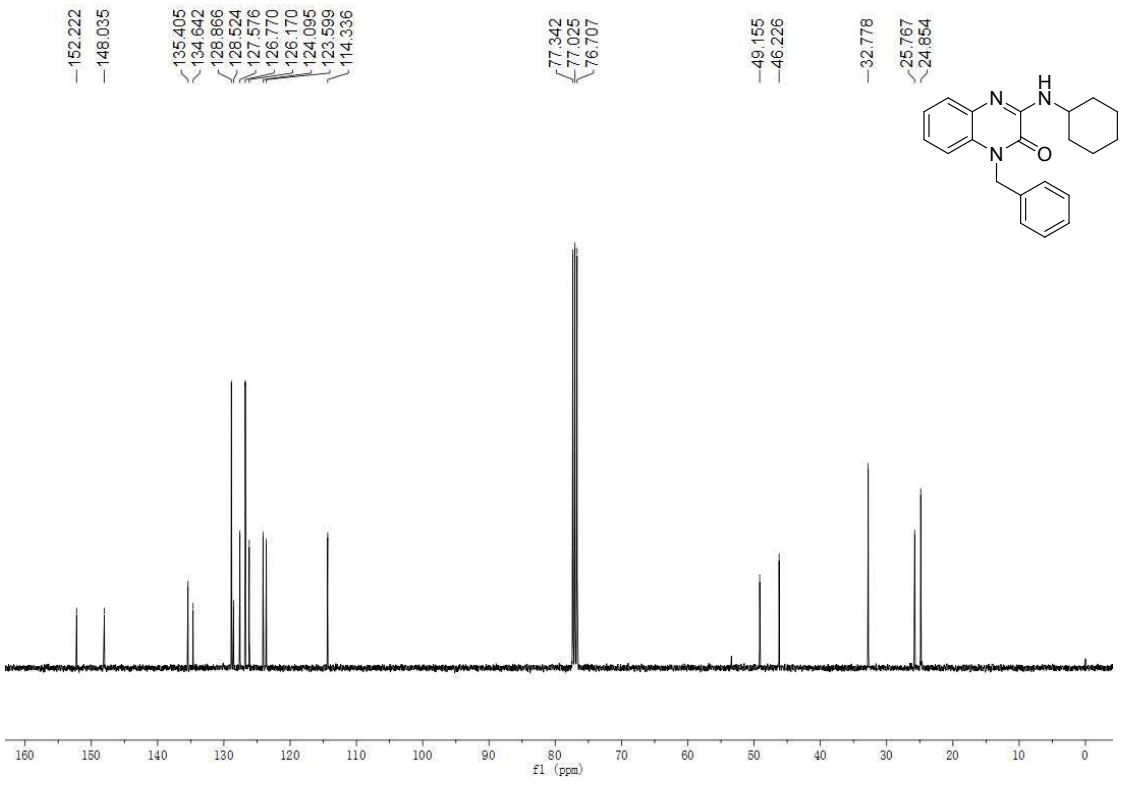
[13]CNMR spectrum of **3o**



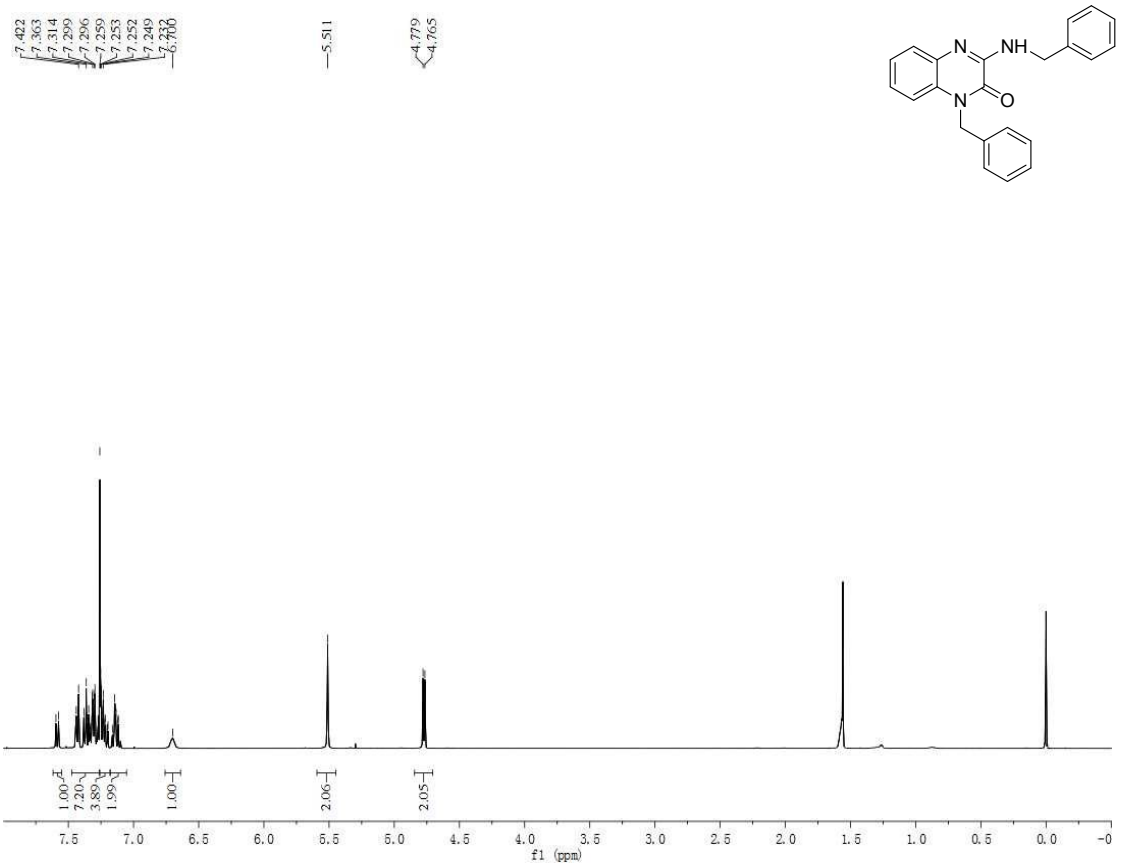
[1]HNMR spectrum of **3p**



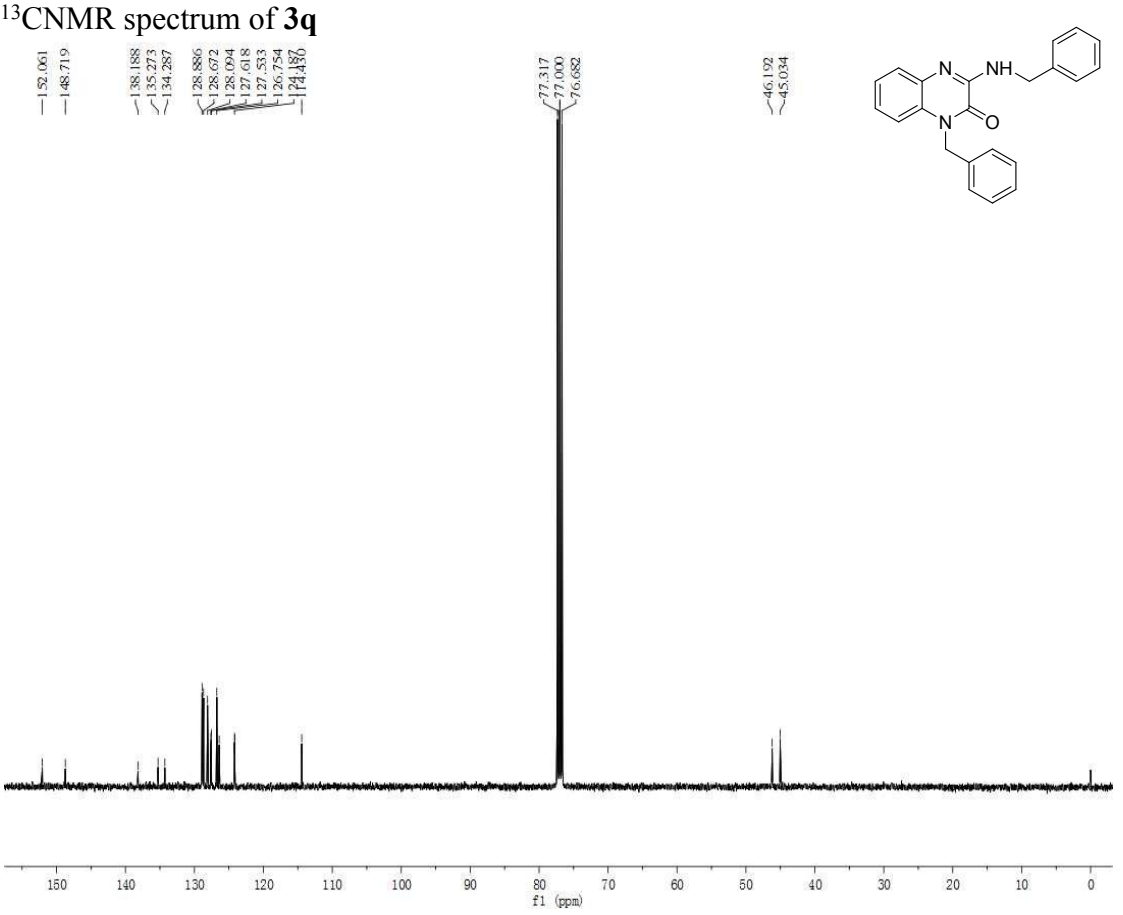
[13]CNMR spectrum of **3p**



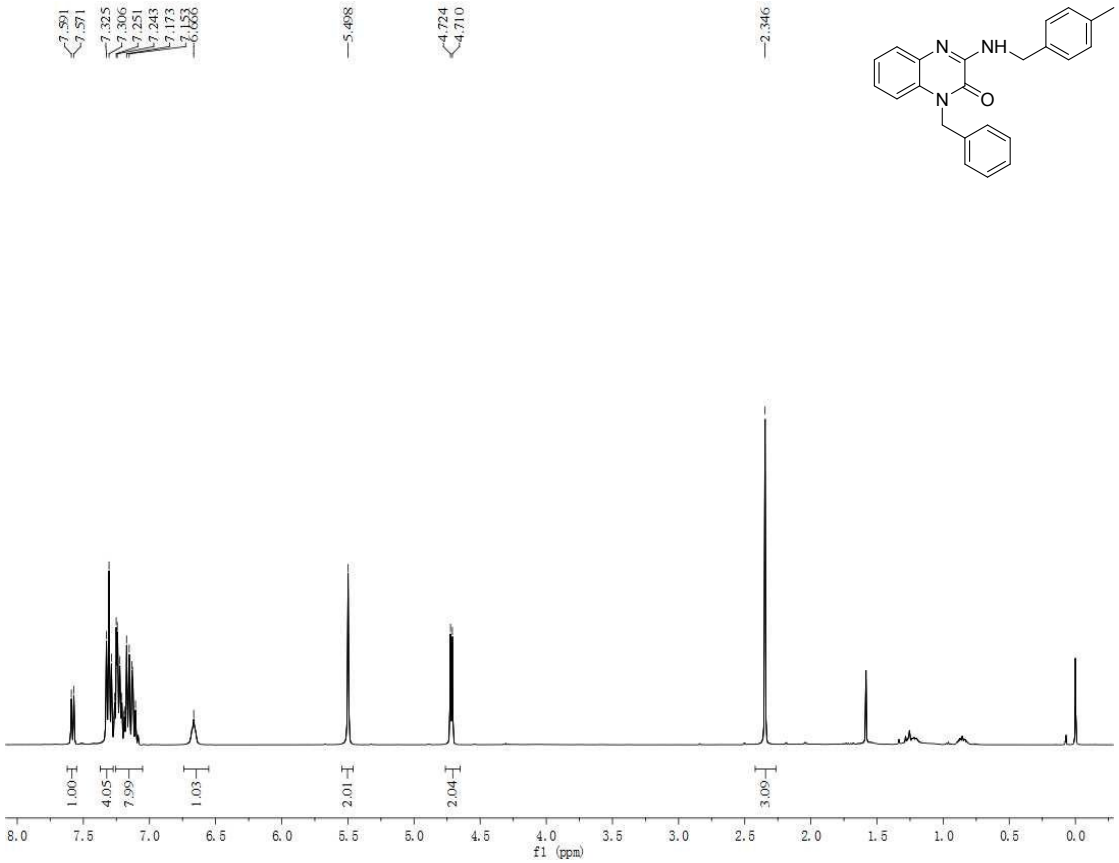
[1]HNMR spectrum of **3q**



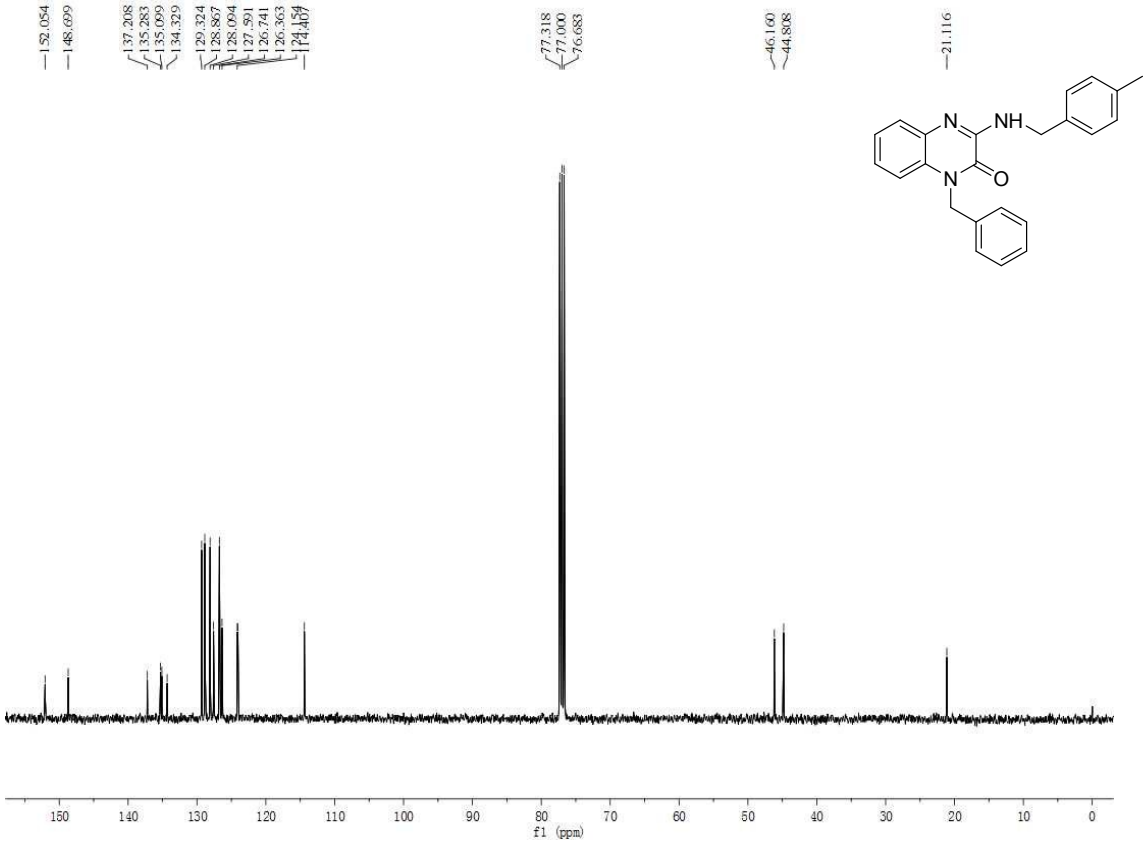
[13]CNMR spectrum of **3q**



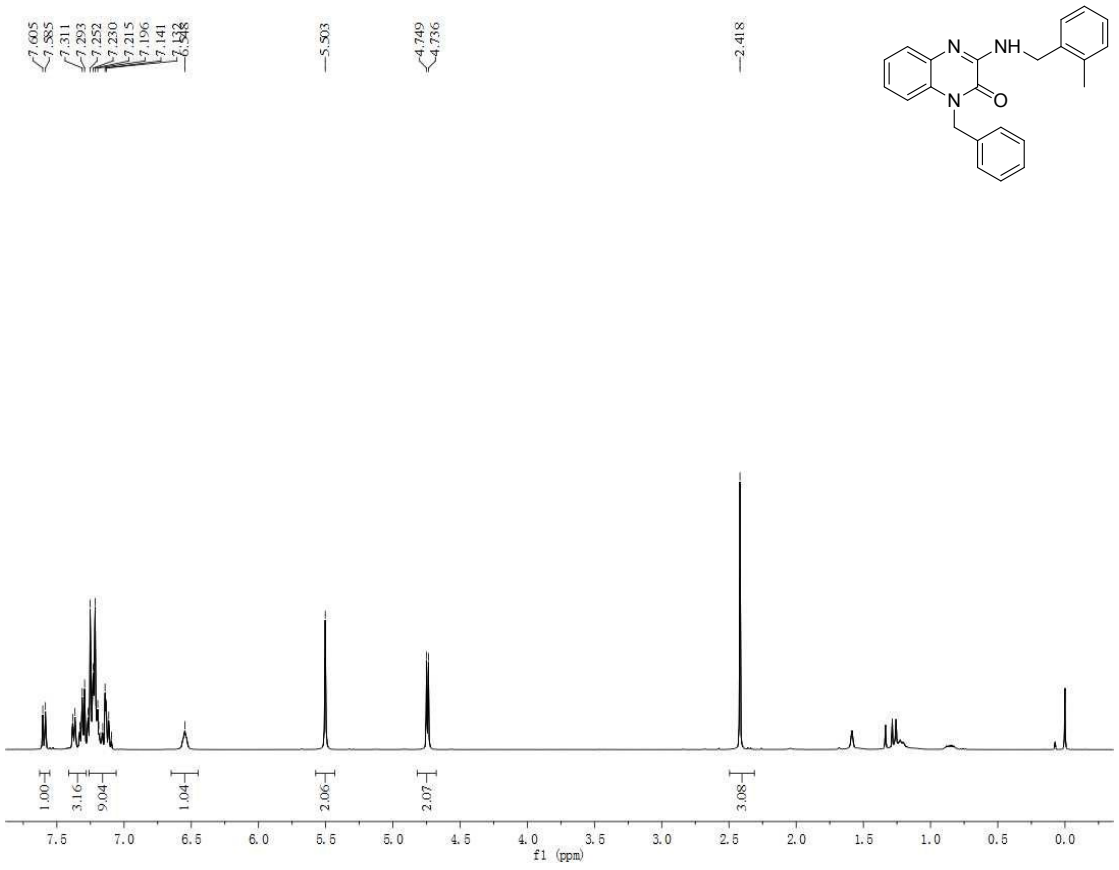
[1]HNMR spectrum of **3r**



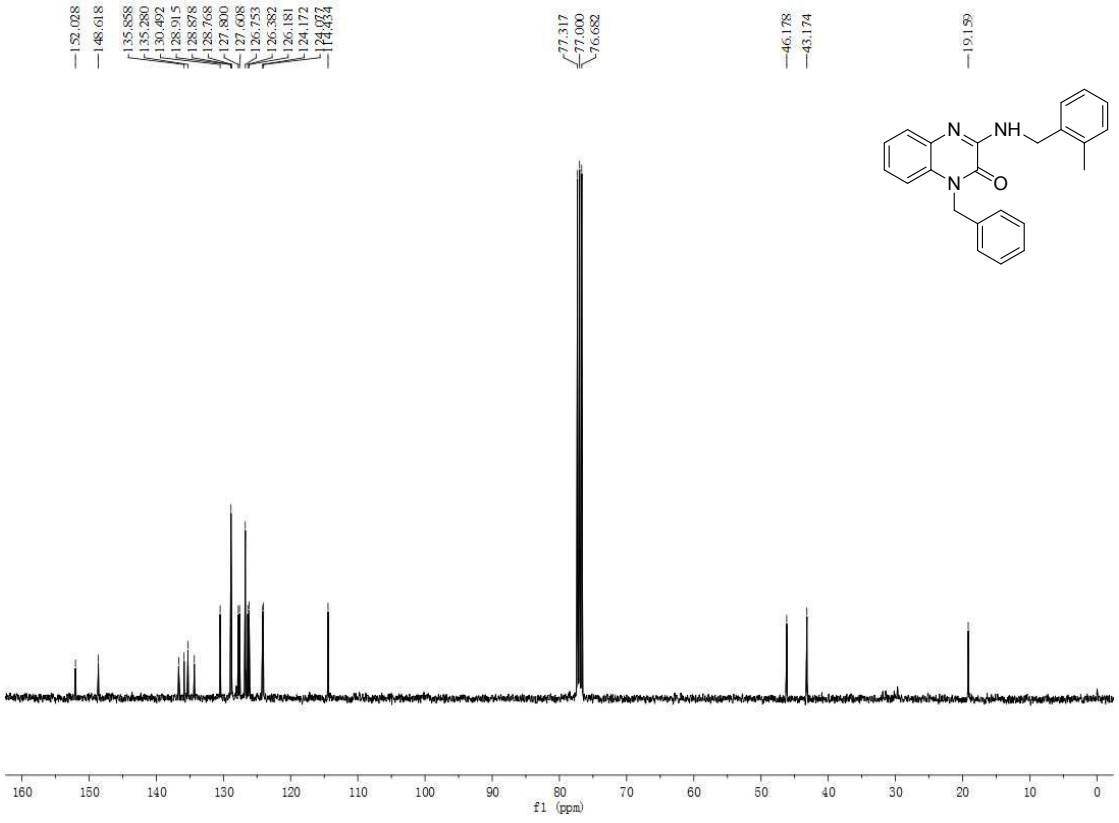
[13]CNMR spectrum of **3r**



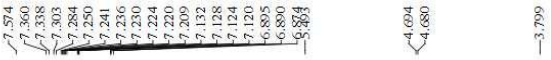
[1]HNMR spectrum of **3s**

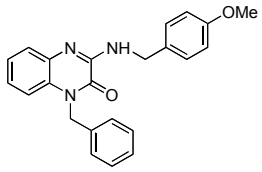


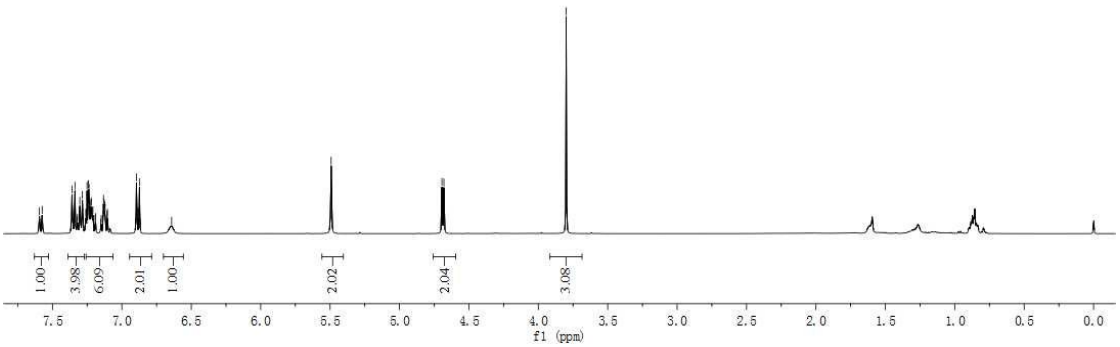
[13]CNMR spectrum of **3s**



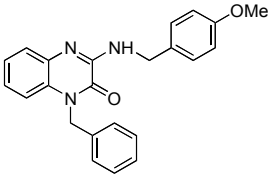
[1]HNMR spectrum of **3t**

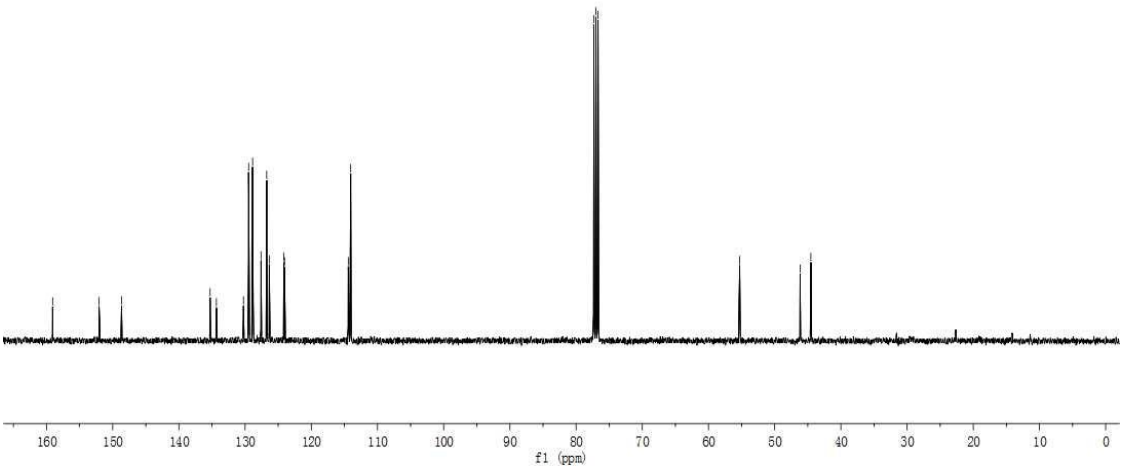




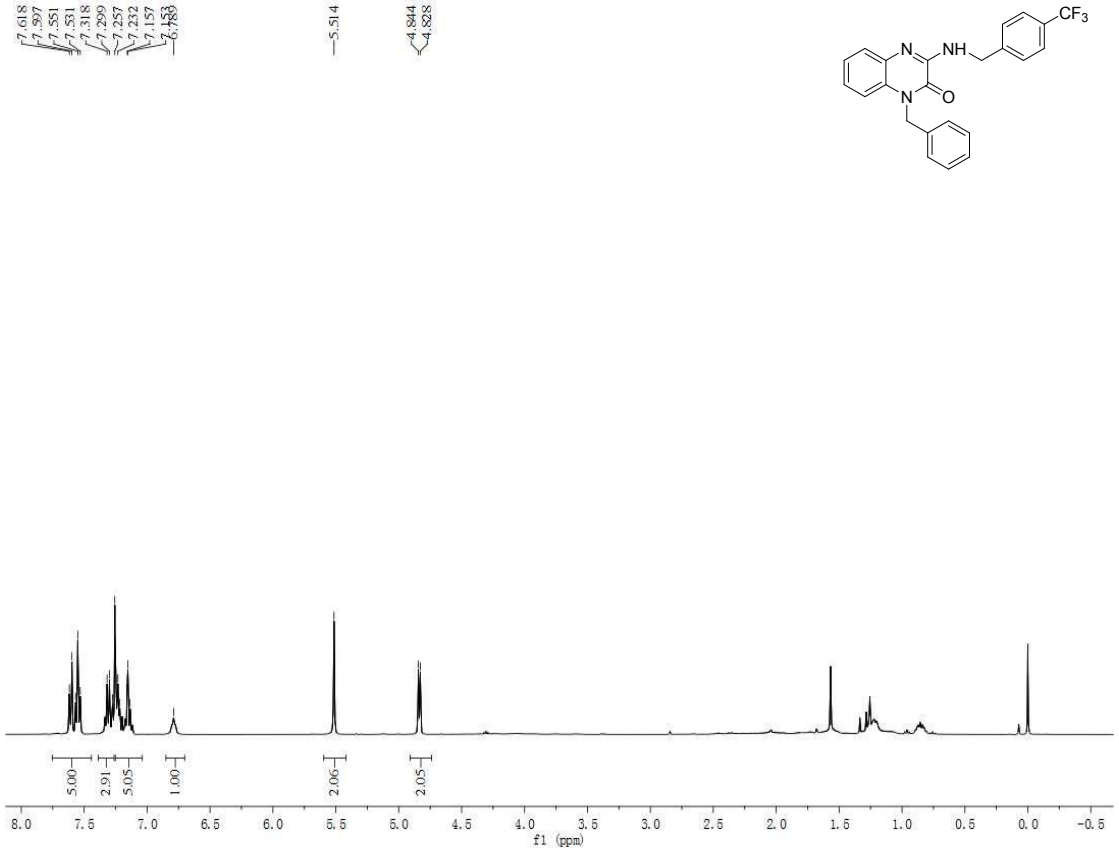


[13]CNMR spectrum of **3t**

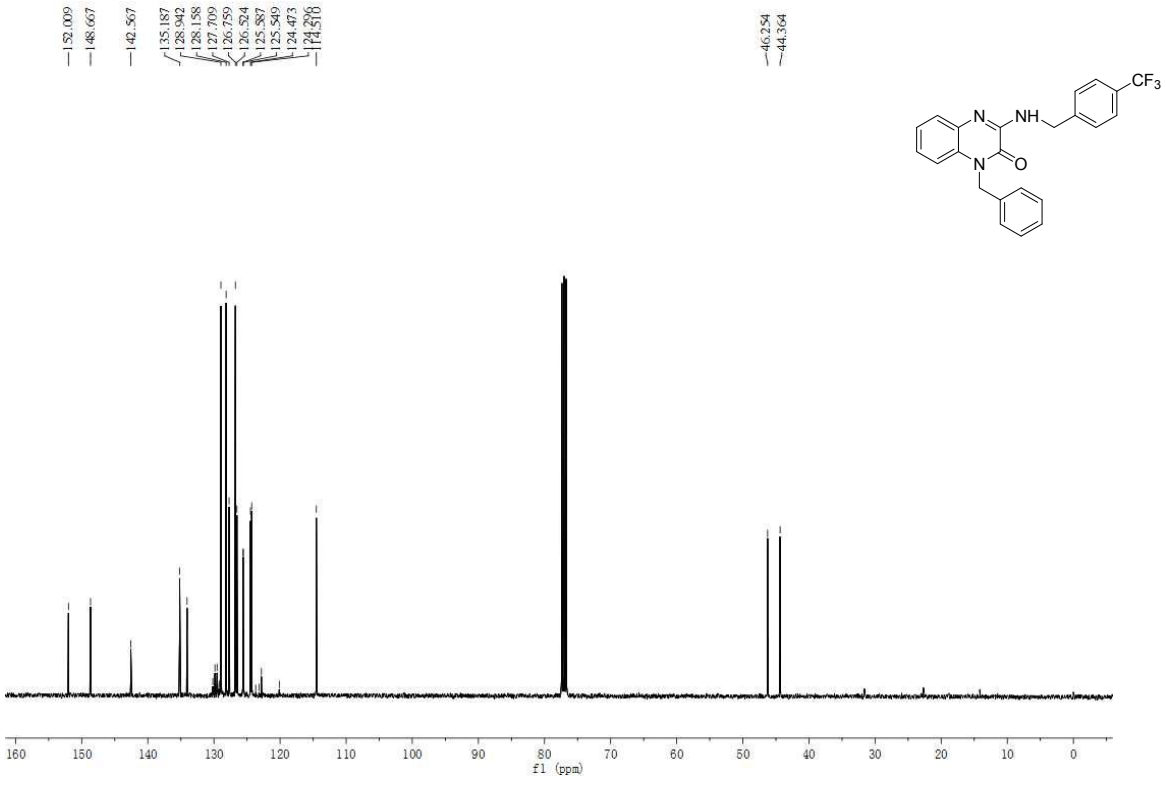




[1]HNMR spectrum of **3u**

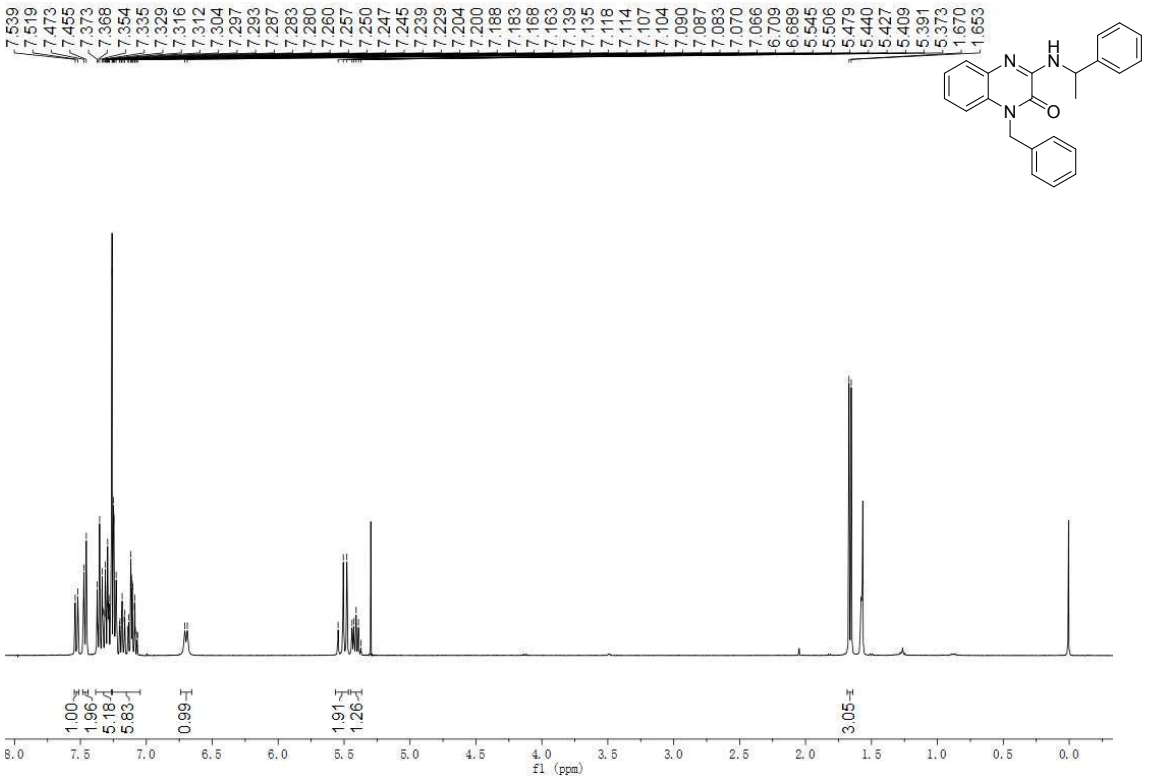


[13]CNMR spectrum of **3u**

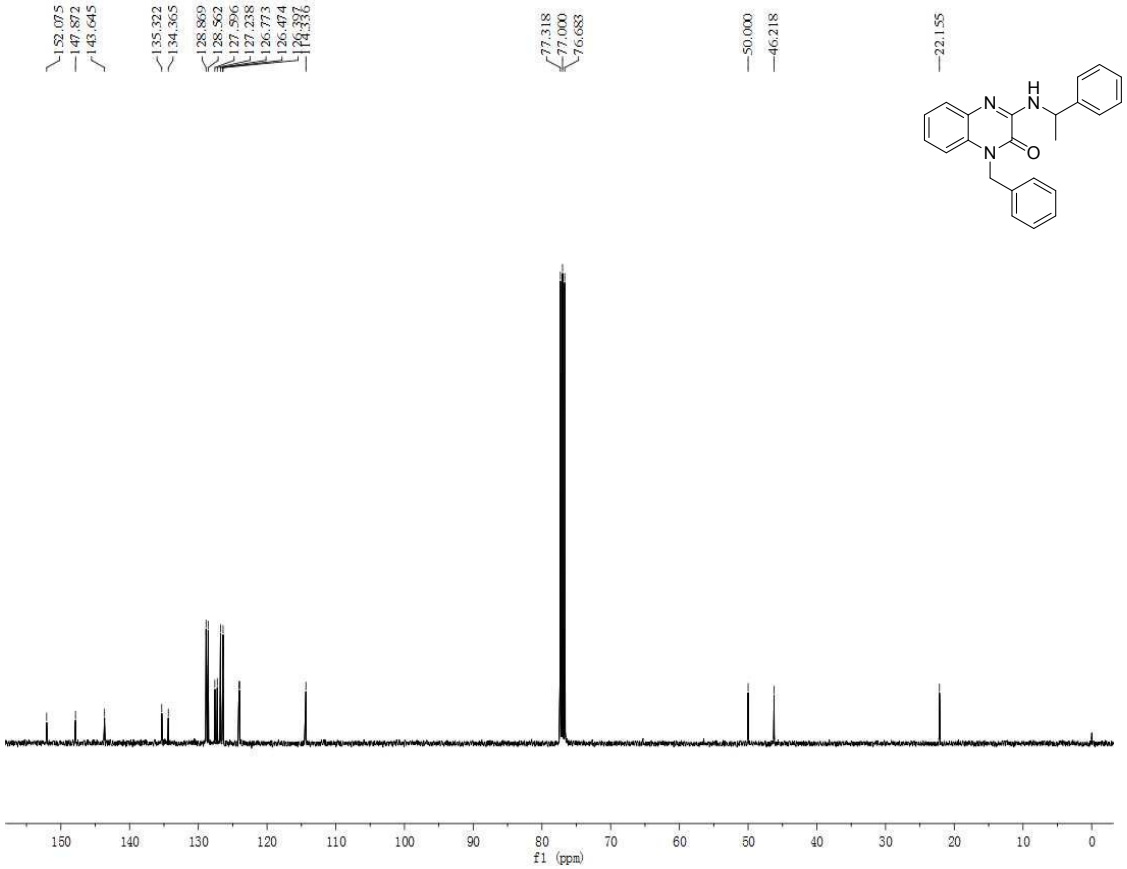


^{19}F NMR spectrum of **3u**

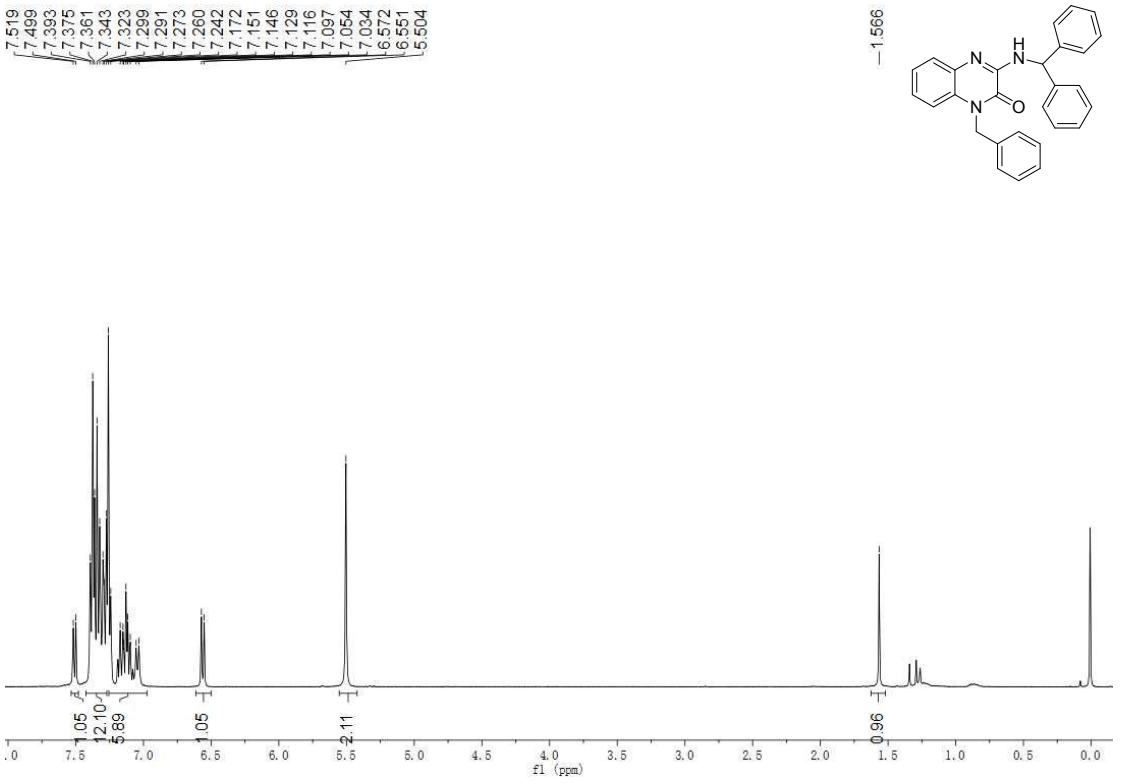
^{1}HNMR spectrum of **3v**



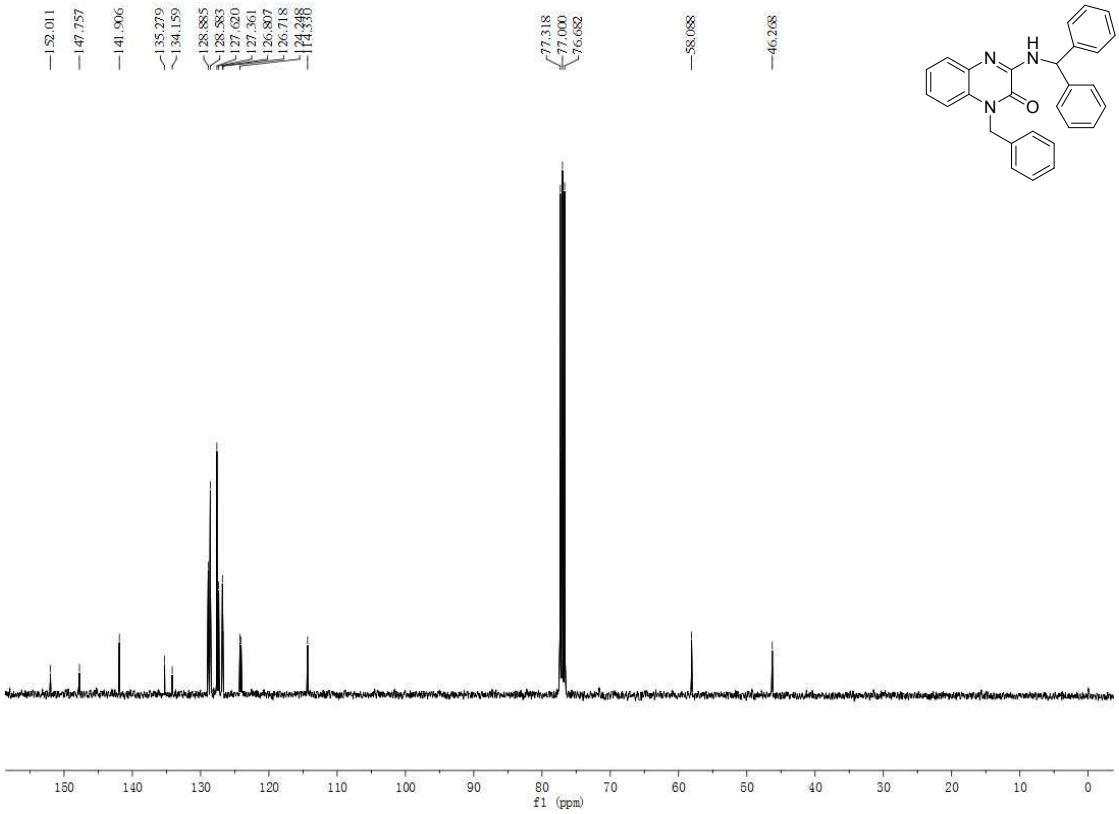
^{13}C NMR spectrum of **3v**



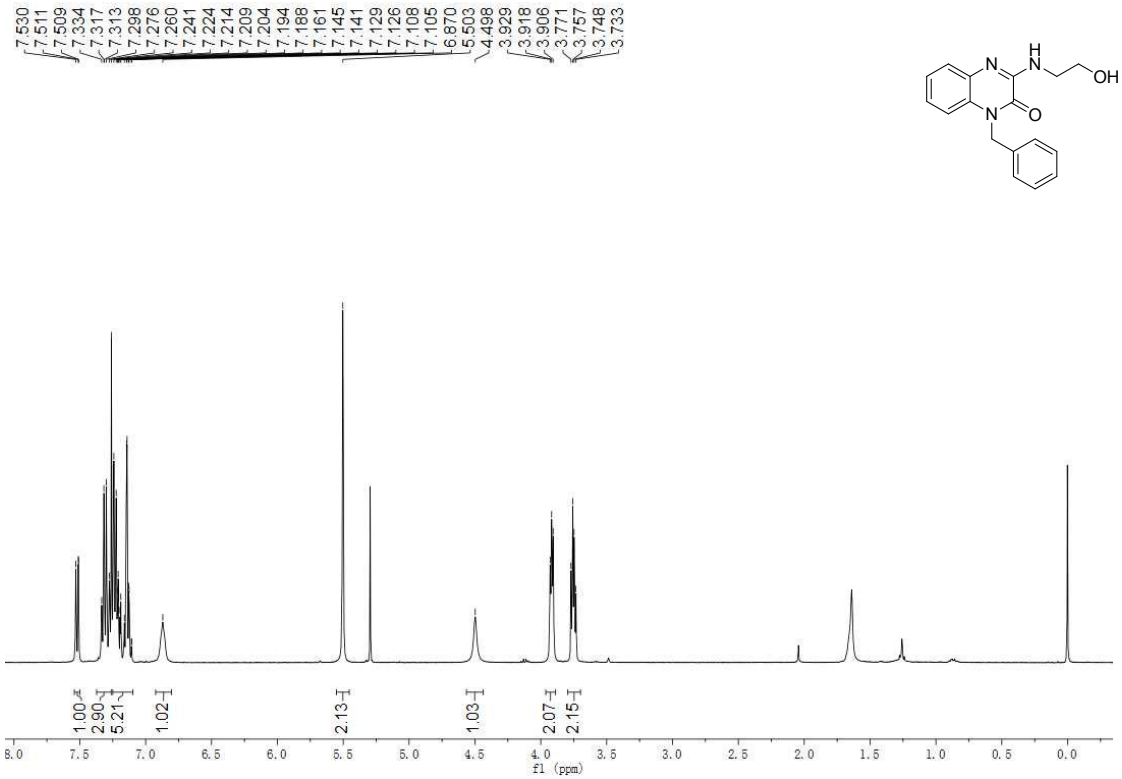
^{1}HNMR spectrum of **3w**



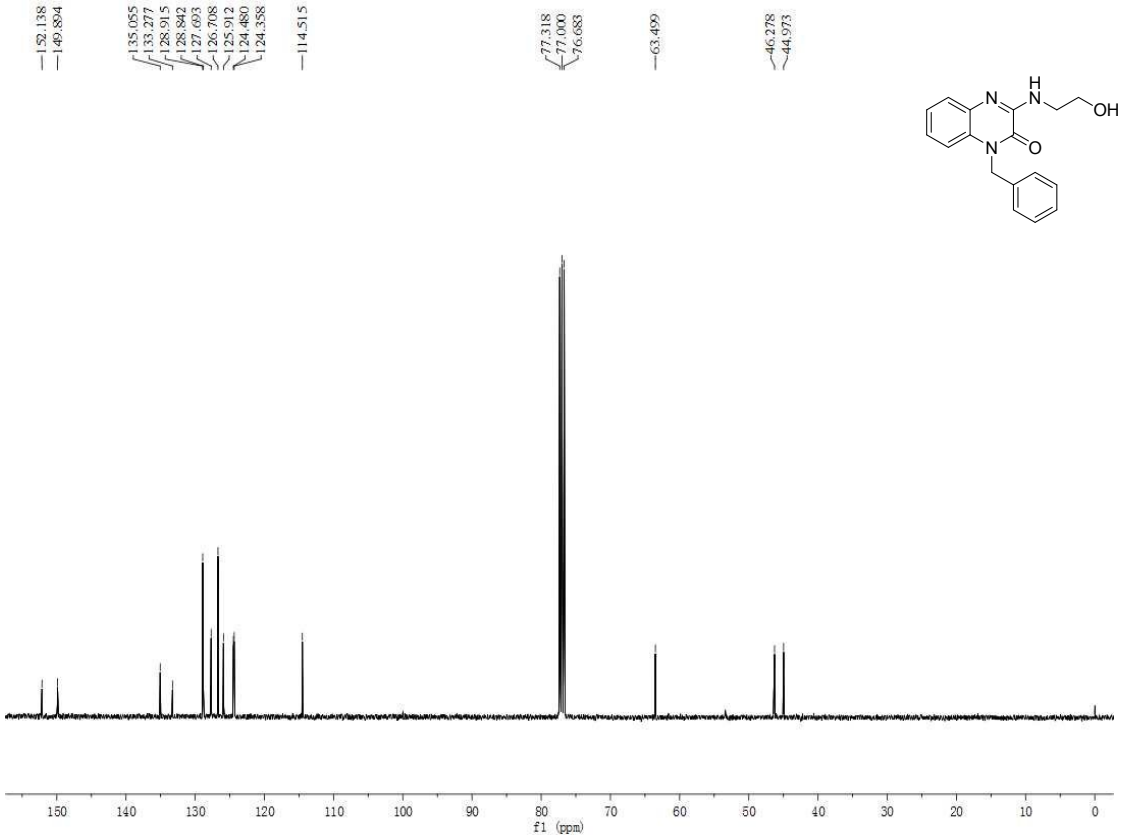
^{13}CNMR spectrum of **3w**



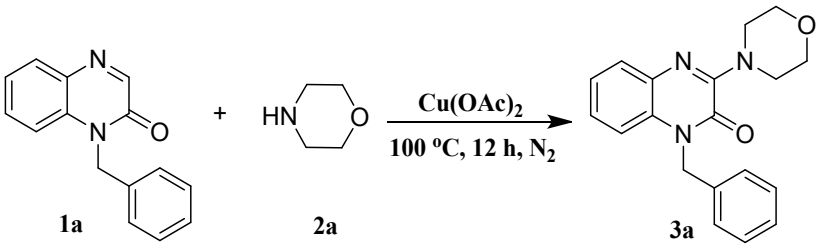
^{1}HNMR spectrum of **3x**



^{13}CNMR spectrum of **3x**



IV. Control experiments



DMSO (degassed): 27 %
Toluene (degassed): trace

The model reaction was carried out in DMSO and toluene as solvents at 100 °C in the presence of 5 mol% Cu(OAc) for 12 hours under N_2 atmosphere. DMSO and toluene gave the targeted product in 27% yield and trace amount.