

Supporting Information

K₂S₂O₈-catalyzed Highly Regioselective Amidoalkylation of Diverse *N*-Heteroaromatics on Water under Visible Light Irradiation

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1. General methods.

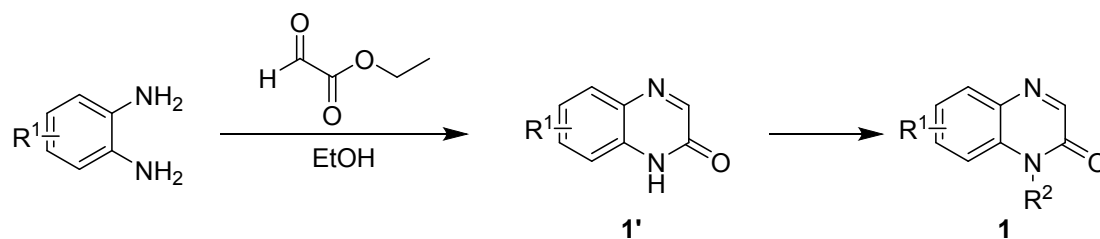
The reagents and solvents were purchased from commercial suppliers and used without further purification unless noted. All reactions were monitored by TLC with silica gel-coated plates. ^1H (400 MHz) NMR and ^{13}C (101 MHz) NMR spectra were recorded on a Varian spectrometer in CDCl_3 or $\text{DMSO-}d_6$ using tetramethylsilane (TMS) as internal standards. Data are reported as follows: Chemical shift (number of protons, multiplicity, coupling constants). Coupling constants were quoted to the nearest 0.1 Hz and multiplicity reported according to the following convention: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublets, dt = doublet of triplets, td = triplet of doublets, ddd = doublet of doublet of doublets, br s = broad singlet. Mass spectra were measured with a HRMS-APCI instrument using ESI ionization. Fluorescence quenching experiments were performed on a Hitachi F-7000 FL Spectrophotometer.

2. General procedure

2.1 General procedure for the amidoalkylation of *N*-heteroaromatics

A mixture of *N*-heteroaromatics **1** (0.2 mmol, 1.0 equiv.), lactam/amide **2** (1 mmol, 5.0 equiv.), $\text{K}_2\text{S}_2\text{O}_8$ (0.02 mmol, 0.1 equiv.) in a 10 mL Schlenk tube was added H_2O (1.5 mL). The reaction mixture was open to the air and stirred under the irradiation of 3 W blue LEDs at room temperature for 24 h. The reaction mixture was quenched by NaHCO_3 and then extracted with ethyl acetate (3×10 mL). The combined organic extracts were washed by brine, dried over Na_2SO_4 , filtered, concentrated under reduced pressure and purified by column chromatography (petroleum ether/ethyl acetate = 6/1 – 1/2, or methylene chloride/methanol = 100/1 – 20/1) on silica gel to give the products **3a-3as**.

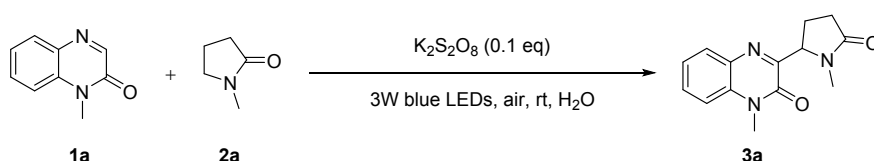
2.2 Synthesis of starting materials **1**



To a suspension of o-arylenediamine (1 equiv.) in ethanol (1 mol/L) was added ethyl 2-oxoacetate (1.1 equiv.). The mixture was stirred at reflux for 1h, then at room temperature overnight. The precipitated solid was filtered and washed with ethanol, then dried to give quinoxalinone **1'**. To a suspension of quinoxalinone **1'** (1 equiv.) in DMF was added potassium carbonate (1.2 equiv.) and the corresponding halogenoalkane (1.6 equiv.). The mixture was stirred at room temperature overnight. Ethyl acetate and water were added, the aqueous layer was extracted twice with EtOAc. The combined organic layers were washed with a saturated solution of NH₄Cl then brine, dried over MgSO₄, filtered and evaporated under reduced pressure. The residue is purified by flash chromatography over silica gel to afford the desired product **1**.

3.Optimization of the reaction conditions

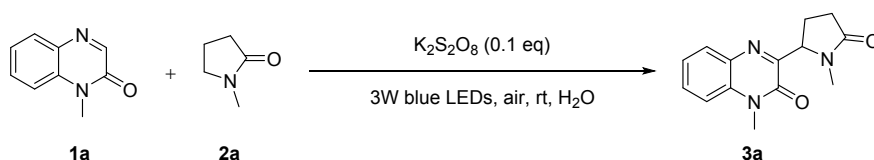
Table S1. Optimization of the ratio of **1a** and **2a** ^a.



Entry	2a (eq)	Yield (%)
1	10	82
2	5	81
3	3	65
4	1	20

^a Reaction conditions: **1a** (0.2 mmol), **2a** (1.0 mmol), K₂S₂O₈ (0.02 mmol), water (1.5 mL), air, rt, 24 h, 3 W blue LEDs.

Table S2. Optimization of solvent conditions ^a.



Entry	H ₂ O (mL)	Yield (%)
1	3.0	80
2	1.5	81
3	1.0	75

^a Reaction conditions: **1a** (0.2 mmol), **2a** (1.0 mmol), K₂S₂O₈ (0.02 mmol), air, rt, 24 h, 3 W blue LEDs.

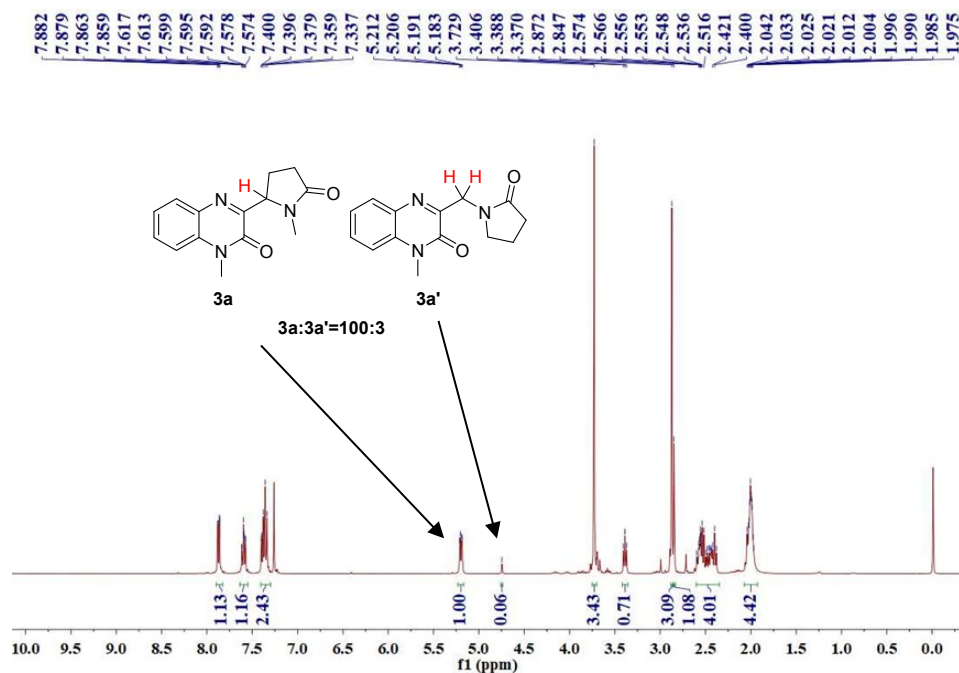
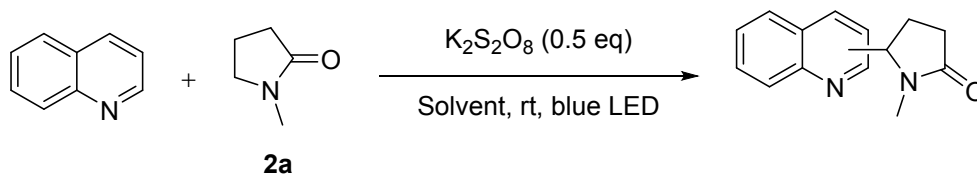


Figure S1. Determination of the regioselectivity of **3a/3a'** by ^1H NMR spectroscopy of the crude product.

Table S3. Optimization of solvent conditions ^a.



Entry	Solvent	Yield [%]
1	H ₂ O	trace
2	CH ₃ OH	trace
3	CH ₂ Cl ₂	trace
4	EtOAc	trace
5	THF	trace
6	DMSO	trace
7	THF/H ₂ O	trace
8	DMSO/H ₂ O	trace
9	NMP	55

^a Reaction conditions: quinoline (0.4 mmol), **2a** (2.0 mmol), K₂S₂O₈ (0.2mmol), solvent (1.5 mL), air, rt, 24 h, 3

W blue LEDs.

4. The mechanistic studies

4.1. On/off light experiments

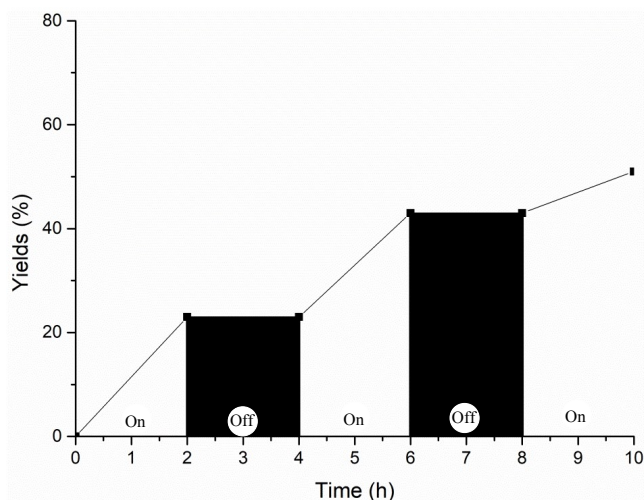


Figure S2. On/off light experiments for the model reaction.

4.2 Fluorescence quenching (Stern-Volmer) experiments

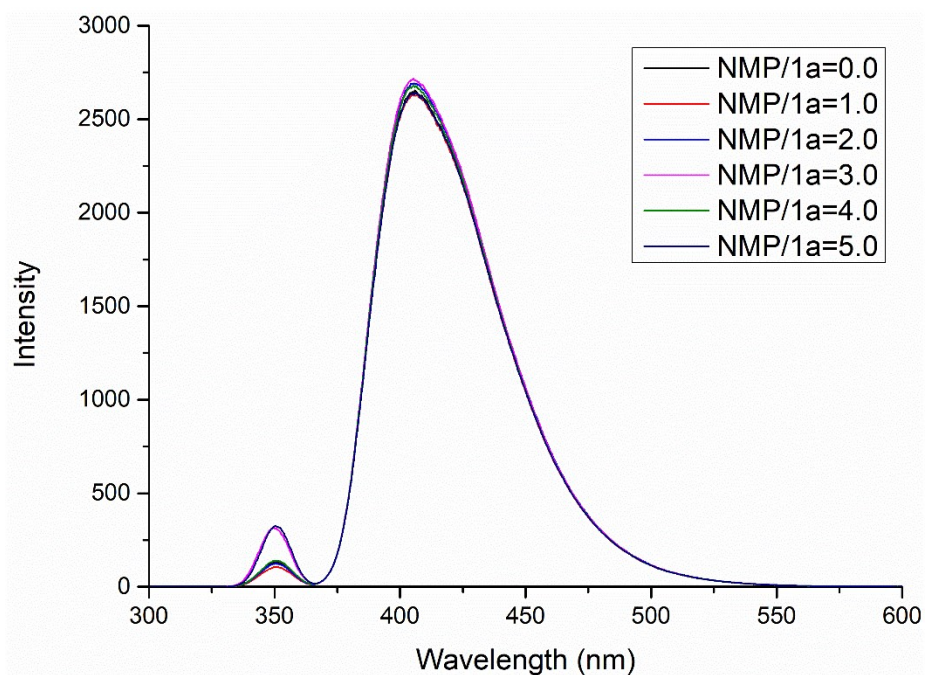


Figure S3. Fluorescence quenching of **1a** by NMP.

All **1a** solutions were irradiated at 350 nm approximately and the emission intensity from 300 nm to 600 nm was recorded by F-7000 FL Spectrophotometer. A 1 mL solution of **1a** in CH₃CN (0.002 mmol/mL) was added NMP (0.000 mmol, 0.002 mmol, 0.004 mmol, 0.006 mmol, 0.008 mmol, and 0.010 mmol in turn), emission spectra of the sample were collected instantly after each addition.

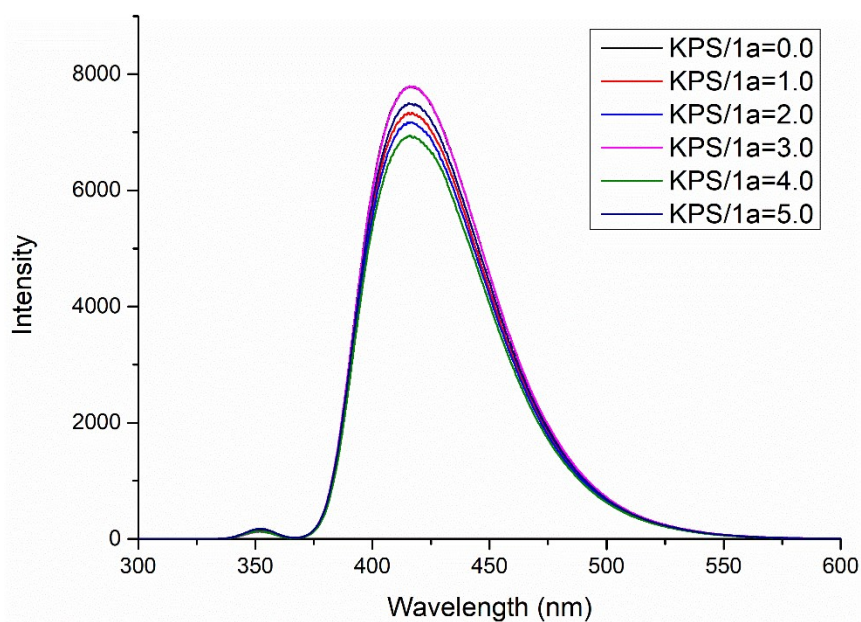


Figure S4. Fluorescence quenching of **1a** by KPS.

All **1a** solutions were irradiated at 350 nm approximately and the emission intensity from 300 nm to 600 nm was recorded by F-7000 FL Spectrophotometer. A 1 mL solution of **1a** in H₂O (0.002 mmol/mL) was added K₂S₂O₈ (KPS) (0.000 mmol, 0.002 mmol, 0.004 mmol, 0.006 mmol, 0.008 mmol, and 0.010 mmol in turn), emission spectra of the sample were collected instantly after each addition.

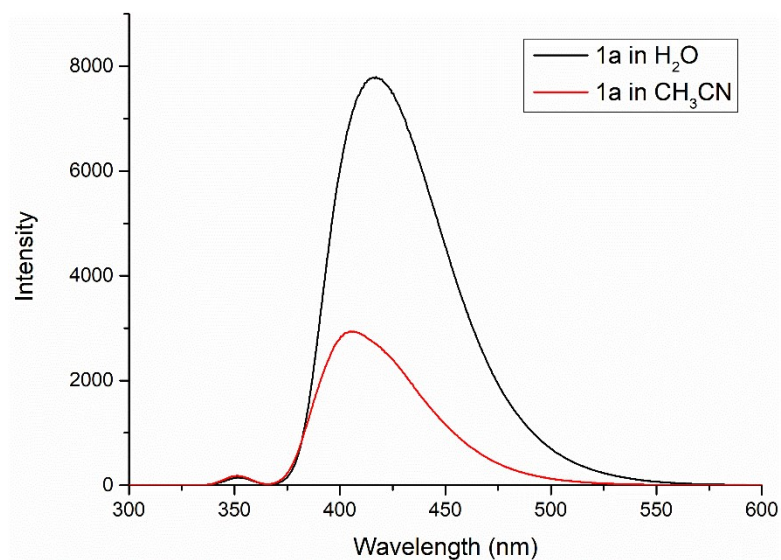
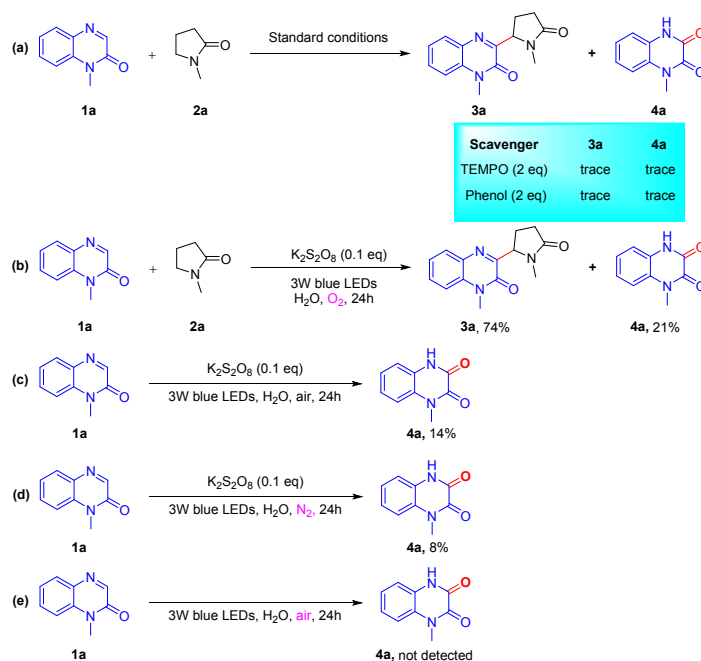


Figure S5. Fluorescence intensity of **1a** in H₂O and CH₃CN respectively

All **1a** solutions were irradiated at 350 nm approximately and the emission intensity from 300 nm to 600 nm was recorded by F-7000 FL Spectrophotometer. A 1 mL

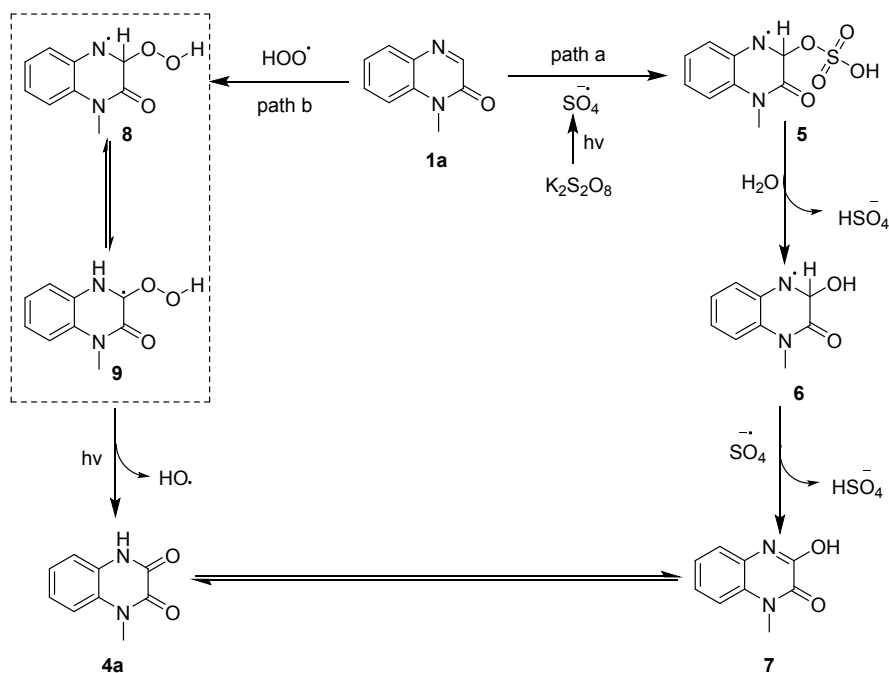
solution of **1a** in H₂O or CH₃CN respectively (0.002 mmol/mL), emission spectra of the sample were collected instantly after each addition.

4.3 The mechanistic studies of oxidative side reaction



Scheme S1. Control experiments.

Several control reactions were carried out to elucidate the possible reaction pathway. Firstly, radical scavenger, 2,2,6,6-tetramethyl-1-piperidinyloxy (TEMPO) or phenol was added to the reaction system under the standard conditions, respectively. The present transformation was completely inhibited, these results suggested that the reaction likely proceeded through a free-radical pathway (Scheme S1 a). More oxidation by-product 1-methyl-1,4-dihydroquinoxaline-2,3-dione (**4a**) was detected under an oxygen atmosphere than air or nitrogen atmosphere (Scheme S1 b, c, and d). No corresponding product (**4a**) was generated without K₂S₂O₈, indicating that singlet oxygen (¹O₂) could not directly participate in the production of **4a** (Scheme S1 e).



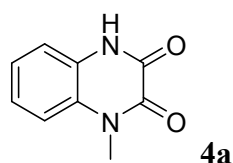
Scheme S2. Plausible mechanism.

Based on these above-mentioned control experiment results and previous literature reports, a plausible mechanism is depicted in Scheme S2.

Path a:^[1] At first, sulfate radical anion generated in situ selectively attack on the C3-position of **1a** to produce nitrogen-centred radical **5**. Then, the intermediate **5** is attacked by water to generate intermediate **6**, which coupled with sulfate radical anion to afford 3-hydroxy-1-methylquinoxalin-2(1H)-one **7** with the release of bisulfate anion. Finally, compound **7** rapidly tautomerized to form the more stable product **4a**.

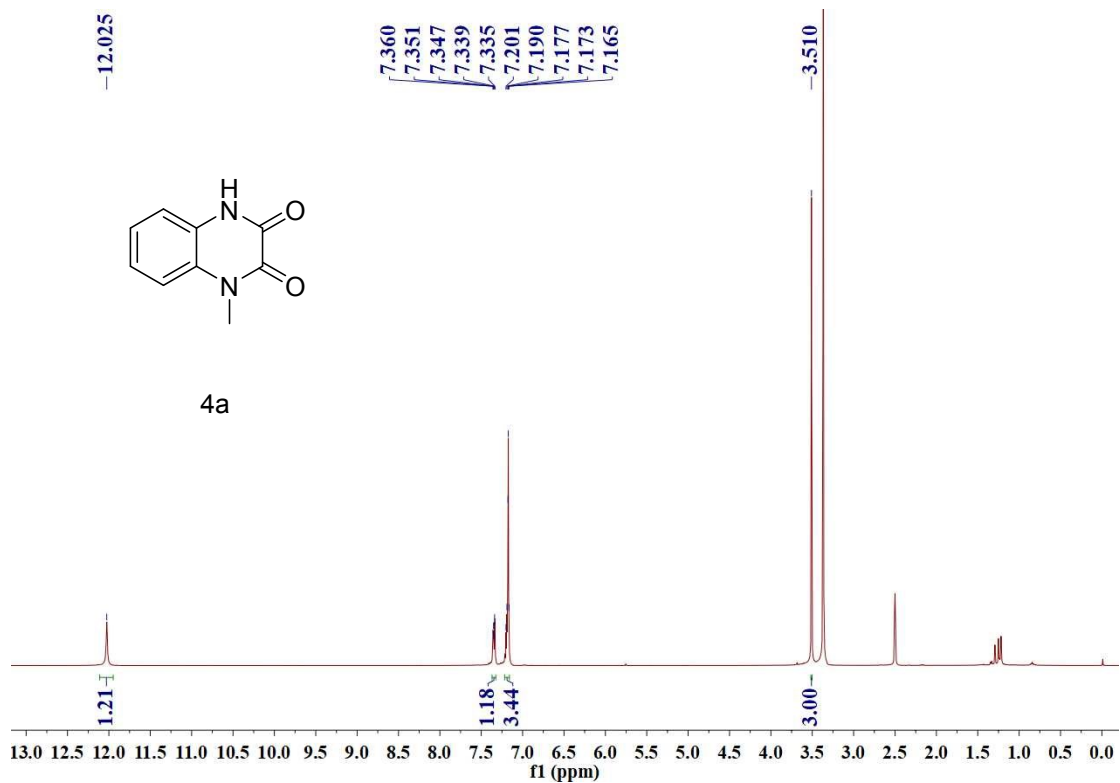
Path b: Firstly, $\text{HOO}\cdot$ from template reaction may selectively attack on the C3-position of **1a** to produce intermediate **8**, a transformation between intermediate **9** and intermediate **8** might exist. Then, intermediate **9** may occur homolytic cleavage^[2] under visible-light irradiation to generate **4a** and hydroxyl radicals.

5.1 Characterization data of **4a**

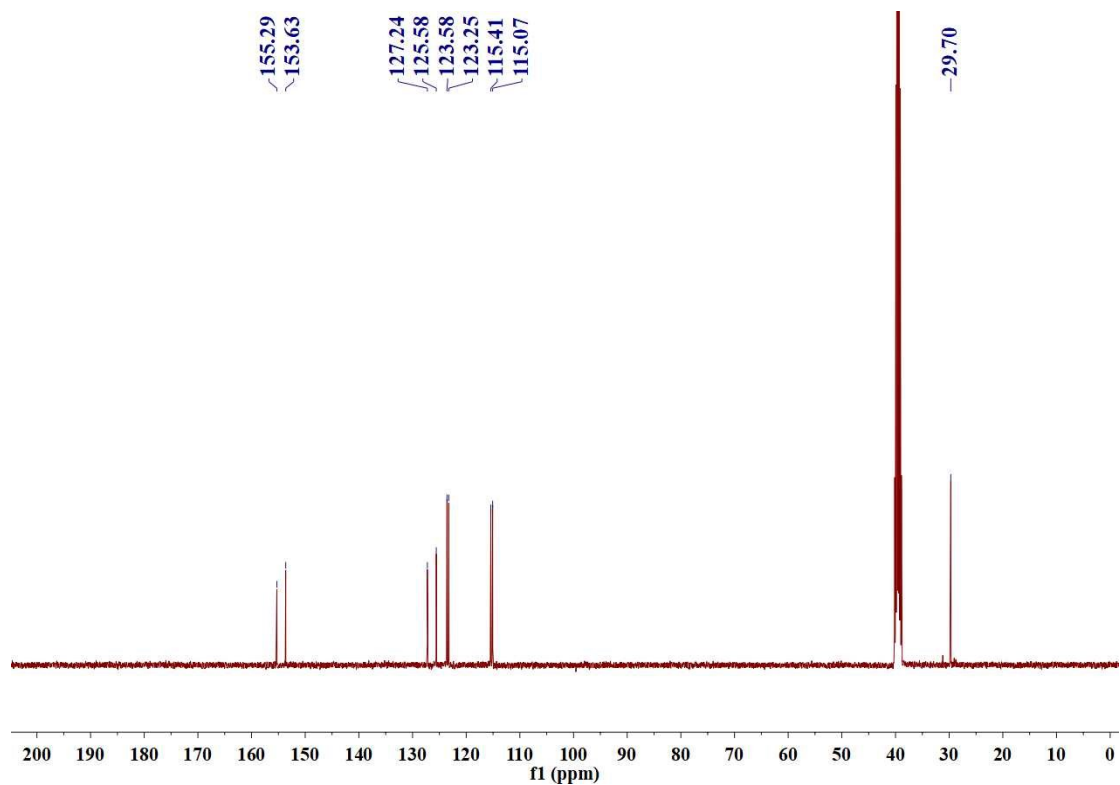


1-methyl-1,4-dihydroquinoxaline-2,3-dione (4a)

Yellow solid; **¹H NMR** (400 MHz, DMSO-*d*₆) δ 12.03 (s, 1H), 7.36 – 7.34 (m, 1H), 7.22 – 7.16 (m, 3H), 3.51 (s, 3H); **¹³C NMR** (101 MHz, DMSO-*d*₆) δ 155.3, 153.6, 127.2, 125.6, 123.6, 123.3, 115.4, 115.1, 29.7; **HRMS** (ESI) calcd for C₉H₉N₂O₂ [M+H]⁺: 177.0659, found 177.0665.

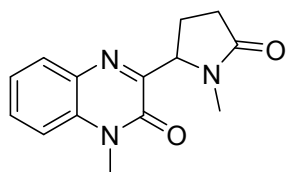


^1H NMR (400 MHz, $\text{DMSO-}d_6$) spectrum of 4a



^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) spectrum of 4a

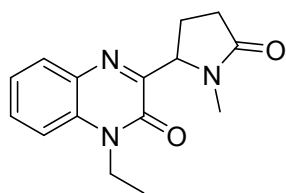
5.2 Characterization data of products 3a–3aq



3a

1-methyl-3-(1-methyl-5-oxopyrrolidin-2-yl)quinoxalin-2(1H)-one (3a)

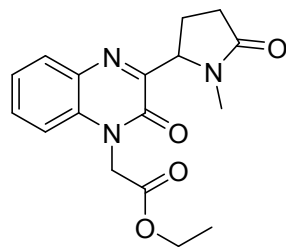
Yellow solid, mp: 153.6-155.8 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.84 (d, $J = 8.0$ Hz, 1H), 7.57 (t, $J = 7.8$ Hz, 1H), 7.37 – 7.32 (m, 2H), 5.16 (dd, $J = 8.6, 2.6$ Hz, 1H), 3.70 (s, 3H), 2.84 (s, 3H), 2.56 – 2.47 (m, 2H), 2.43 – 2.34 (m, 1H), 2.01 – 1.95 (m, 1H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 176.2, 157.1, 154.2, 133.2, 132.4, 130.8, 130.6, 124.1, 113.8, 61.0, 29.6, 29.1, 29.0, 24.1; **HRMS** (ESI) calcd for $\text{C}_{14}\text{H}_{16}\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 258.1237, found 258.1230.



3b

1-ethyl-3-(1-methyl-5-oxopyrrolidin-2-yl)quinoxalin-2(1H)-one (3b)

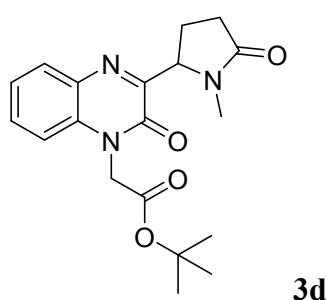
Gray solid, mp: 134.8-136.7 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.87 (dd, $J = 8.2, 1.4$ Hz, 1H), 7.58 (td, $J = 8.0, 1.6$ Hz, 1H), 7.37 – 7.34 (m, 2H), 5.19 (dd, $J = 8.8, 3.2$ Hz, 1H), 4.34 (qd, $J = 7.2, 1.6$ Hz, 2H), 2.87 (s, 3H), 2.61 – 2.48 (m, 2H), 2.45 – 2.37 (m, 1H), 2.04 – 1.97 (m, 1H), 1.40 (t, $J = 7.2$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 176.2, 157.2, 153.7, 132.8, 132.2, 130.9, 130.8, 123.9, 113.7, 61.0, 37.4, 29.6, 29.1, 24.2, 12.6; **HRMS** (ESI) calcd for $\text{C}_{15}\text{H}_{18}\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 272.1394, found 272.1390.



3c

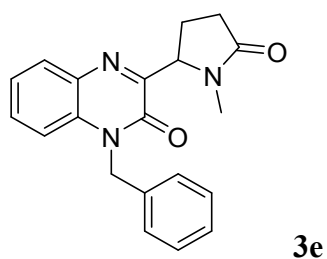
ethyl 2-(3-(1-methyl-5-oxopyrrolidin-2-yl)-2-oxoquinoxalin-1(2H)-yl)acetate (3c)

Yellow solid, mp: 148.9-150.4 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.88 (dd, $J = 8.0$, 1.6 Hz, 1H), 7.55 (td, $J = 7.8$, 2.0 Hz, 1H), 7.37 (t, $J = 7.4$ Hz, 1H), 7.10 (d, $J = 8.4$ Hz, 1H), 5.17 (dd, $J = 9.2$, 2.8 Hz, 1H), 5.08 – 4.98 (m, 2H), 4.26 (q, $J = 7.0$ Hz, 2H), 2.87 (s, 3H), 2.58 – 2.48 (m, 2H), 2.45 – 2.37 (m, 1H), 2.08 – 1.98 (m, 1H), 1.29 (t, $J = 7.0$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 176.2, 167.0, 157.1, 153.8, 132.5, 132.4, 131.0, 131.0, 124.4, 113.2, 62.4, 61.0, 43.5, 29.6, 29.1, 24.1, 14.2; **HRMS** (ESI) calcd for $\text{C}_{17}\text{H}_{20}\text{N}_3\text{O}_4$ $[\text{M}+\text{H}]^+$: 330.1448, found 330.1448.



Tert - butyl 2-(3-(1-methyl-5-oxopyrrolidin-2-yl)-2-oxoquinoxalin-1(2H)-yl)acetate (3d)

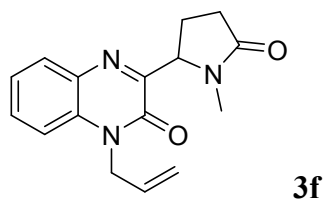
Yellow oil; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.87 (dd, $J = 7.8$, 1.4 Hz, 1H), 7.55 (td, $J = 8.0$, 1.4 Hz, 1H), 7.36 (td, $J = 7.6$, 1.2 Hz, 1H), 7.09 (d, $J = 8.8$ Hz, 1H), 5.17 (dd, $J = 9.0$, 3.0 Hz, 1H), 4.94 (d, $J = 2.8$ Hz, 2H), 2.87 (s, 3H), 2.60 – 2.48 (m, 2H), 2.45 – 2.37 (m, 1H), 2.06 – 1.98 (m, 1H), 1.47 (s, 9H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 176.2, 166.0, 157.1, 153.8, 132.5, 132.5, 130.9, 124.3, 113.3, 83.6, 61.0, 44.2, 29.6, 29.1, 28.1, 24.1; **HRMS** (ESI) calcd for $\text{C}_{19}\text{H}_{24}\text{N}_3\text{O}_4$ $[\text{M}+\text{H}]^+$: 358.1761, found 358.1762.



1-benzyl-3-(1-methyl-5-oxopyrrolidin-2-yl)quinoxalin-2(1H)-one (3e)

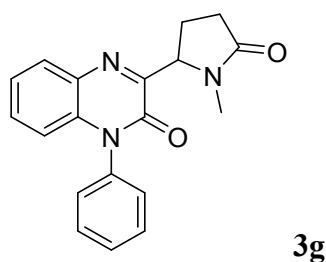
Yellow solid, mp: 200.6-202.5 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.87 (dd, $J = 7.8$, 1.4 Hz, 1H), 7.47 (td, $J = 7.8$, 1.6 Hz, 1H), 7.35 – 7.27 (m, 5H), 7.24 (d, $J = 6.8$ Hz,

2H), 5.51 (s, 2H), 5.25 (dd, $J = 8.6, 2.6$ Hz, 1H), 2.91 (s, 3H), 2.62 – 2.52 (m, 2H), 2.48 – 2.40 (m, 1H), 2.10 – 2.02 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 176.2, 157.4, 154.3, 135.1, 132.8, 132.7, 130.8, 130.8, 129.1, 128.0, 127.0, 124.2, 114.6, 61.1, 46.0, 29.7, 29.1, 24.3; HRMS (ESI) calcd for $\text{C}_{20}\text{H}_{20}\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 334.1550, found 334.1552.



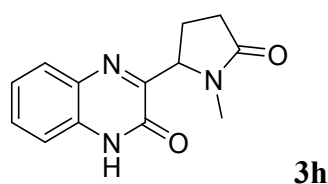
1-allyl-3-(1-methyl-5-oxopyrrolidin-2-yl)quinoxalin-2(1H)-one (3f)

Brown solid, mp: 126.7-128.5 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.87 (d, $J = 7.6$ Hz, 1H), 7.55 (t, $J = 7.6$ Hz, 1H), 7.37 – 7.31 (m, 2H), 5.99 – 5.89 (m, 1H), 5.29 (d, $J = 10.4$ Hz, 1H), 5.21 – 5.17 (m, 2H), 4.97 – 4.86 (m, 2H), 2.87 (s, 3H), 2.61 – 2.48 (m, 2H), 2.46 – 2.38 (m, 1H), 2.04 – 1.97 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 176.2, 157.3, 153.8, 132.6, 132.5, 130.8, 130.5, 124.1, 118.6, 114.4, 61.0, 44.6, 29.6, 29.1, 24.2; HRMS (ESI) calcd for $\text{C}_{16}\text{H}_{18}\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 284.1394, found 284.1397.



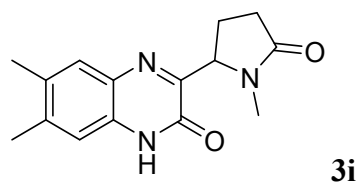
3-(1-methyl-5-oxopyrrolidin-2-yl)-1-phenylquinoxalin-2(1H)-one (3g)

Gray solid, mp: 148.2-151.5 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.91 (dd, $J = 7.8, 1.8$ Hz, 1H), 7.65 – 7.61 (m, 2H), 7.57 (t, $J = 7.4$ Hz, 1H), 7.40 – 7.34 (m, 2H), 7.31 – 7.29 (m, 2H), 6.71 (dd, $J = 8.2, 1.8$ Hz, 1H), 5.20 (dd, $J = 9.2, 2.8$ Hz, 1H), 2.92 (s, 3H), 2.62 – 2.51 (m, 2H), 2.48 – 2.37 (m, 1H), 2.12 – 2.05 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 176.2, 158.1, 154.0, 135.5, 134.2, 132.4, 130.5, 130.3, 129.8, 128.4, 128.2, 124.3, 115.7, 61.1, 29.7, 29.1, 24.2; HRMS (ESI) calcd for $\text{C}_{19}\text{H}_{18}\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 320.1394, found 320.1400.



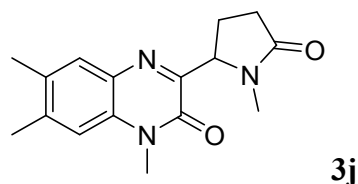
3-(1-methyl-5-oxopyrrolidin-2-yl)quinoxalin-2(1H)-one (3h)

Yellow solid, mp: 254.8-256.2 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 12.51 (s, 1H), 7.74 (dd, *J* = 8.2, 1.4 Hz, 1H), 7.55 – 7.51 (m, 1H), 7.33 – 7.28 (m, 2H), 5.05 (dd, *J* = 9.0, 3.0 Hz, 1H), 2.71 (s, 3H), 2.46 – 2.34 (m, 1H), 2.32 – 2.20 (m, 2H), 1.99 – 1.91 (m, 1H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 174.6, 159.0, 154.1, 132.0, 131.3, 130.2, 128.6, 123.3, 115.4, 59.8, 29.1, 28.3, 23.2; HRMS (ESI) calcd for C₁₃H₁₄N₃O₂ [M+H]⁺: 244.1081, found 244.1090.



6,7-dimethyl-3-(1-methyl-5-oxopyrrolidin-2-yl)quinoxalin-2(1H)-one (3i)

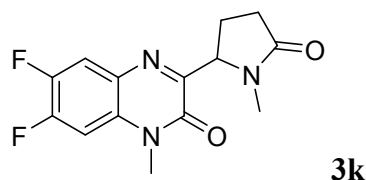
Yellow solid, mp: 302.5-303.4 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 12.38 (s, 1H), 7.52 (s, 1H), 7.07 (s, 1H), 5.02 (dd, *J* = 8.8, 3.2 Hz, 1H), 2.69 (s, 3H), 2.46 – 2.34 (m, 1H), 2.30 (s, 3H), 2.27 (s, 3H), 2.24 – 2.17 (m, 2H), 1.93 – 1.86 (m, 1H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 174.6, 157.6, 154.1, 139.7, 132.0, 130.0, 129.8, 128.5, 115.3, 59.7, 29.1, 28.3, 23.3, 19.8, 18.8; HRMS (ESI) calcd for C₁₅H₁₈N₃O₂ [M+H]⁺: 272.1394, found 272.1401.



1,6,7-trimethyl-3-(1-methyl-5-oxopyrrolidin-2-yl)quinoxalin-2(1H)-one (3j)

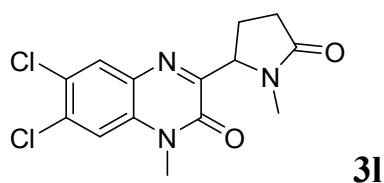
Yellow solid, mp: 222.8-223.4 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.62 (s, 1H), 7.09 (s, 1H), 5.17 (dd, *J* = 9.0, 3.0 Hz, 1H), 3.69 (s, 3H), 2.84 (s, 3H), 2.56 – 2.48 (m, 2H),

2.44 – 2.39 (m, 4H), 2.34 (s, 3H), 2.04 – 1.95 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 176.2, 155.8, 154.3, 140.8, 133.2, 131.3, 131.0, 130.7, 114.3, 61.0, 29.7, 29.0, 24.2, 20.7, 19.2; HRMS (ESI) calcd for $\text{C}_{16}\text{H}_{20}\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 286.1550, found 286.1552.



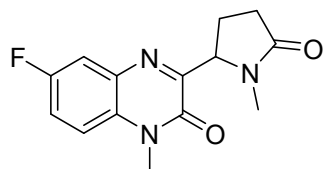
6, 7-difluoro-1-methyl-3-(1-methyl-5-oxopyrrolidin-2-yl)quinoxalin-2(1H)-one (3k)

Yellow solid, mp: 271.2-272.8 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.66 (dd, $J = 9.8, 8.2$ Hz, 1H), 7.14 (dd, $J = 11.2, 6.8$ Hz, 1H), 5.13 (dd, $J = 9.2, 2.8$ Hz, 1H), 3.66 (s, 3H), 2.82 (s, 3H), 2.58 – 2.48 (m, 1H), 2.46 – 2.31 (m, 2H), 1.99 – 1.93 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 176.0, 157.9, 157.9, 153.8, 153.2, 153.0, 150.7, 150.5, 148.2 (d, $J = 14.0$ Hz), 145.8, 145.6, 130.6, 130.6, 130.5, 130.5, 128.7, 128.7, 128.6, 128.6, 118.3, 118.2, 118.1, 118.1, 102.6, 102.4, 61.0, 29.6, 29.5, 29.0, 24.0; HRMS (ESI) calcd for $\text{C}_{14}\text{H}_{14}\text{F}_2\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 294.1049, found 294.1046.



6, 7-dichloro-1-methyl-3-(1-methyl-5-oxopyrrolidin-2-yl)quinoxalin-2(1H)-one (3l)

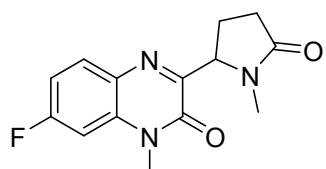
Yellow solid, mp: 255.3-257.2 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.95 (s, 1H), 7.43 (s, 1H), 5.14 (dd, $J = 9.2, 2.8$ Hz, 1H), 3.67 (s, 3H), 2.83 (s, 3H), 2.58 – 2.50 (m, 1H), 2.48 – 2.36 (m, 2H), 2.01 – 1.94 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 175.9, 159.1, 153.7, 135.1, 132.7, 131.5, 131.4, 128.1, 115.4, 61.0, 29.5, 29.4, 29.0, 24.1; HRMS (ESI) calcd for $\text{C}_{14}\text{H}_{14}\text{Cl}_2\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 326.0458, found 326.0455.



3m

6-fluoro-1-methyl-3-(1-methyl-5-oxopyrrolidin-2-yl)quinoxalin-2(1H)-one (3m)

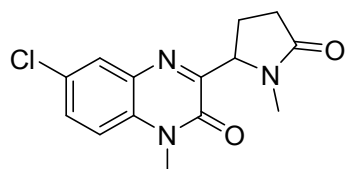
Yellow solid, mp: 169.4-171.8 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.53 (dd, $J = 8.4$, 2.4 Hz, 1H), 7.34 – 7.27 (m, 2H), 5.15 (dd, $J = 9.2$, 3.2 Hz, 1H), 3.70 (s, 3H), 2.82 (s, 3H), 2.59 – 2.34 (m, 3H), 2.01 – 1.93 (m, 1H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 176.0, 160.1, 158.9, 157.7, 153.8, 133.1, 133.0, 130.0, 130.0, 118.7, 118.5, 116.0, 115.8, 115.0, 114.9, 61.0, 29.5, 29.3, 29.0, 24.1; **HRMS** (ESI) calcd for $\text{C}_{14}\text{H}_{15}\text{FN}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 276.1143, found 276.1142.



3n

7-fluoro-1-methyl-3-(1-methyl-5-oxopyrrolidin-2-yl)quinoxalin-2(1H)-one (3n)

Gray solid, mp: 169.1-170.8 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.83 (dd, $J = 8.8$, 6.0 Hz, 1H), 7.07 (td, $J = 8.6$, 2.4 Hz, 1H), 7.01 (dd, $J = 9.8$, 2.6 Hz, 1H), 5.14 (dd, $J = 9.0$, 2.6 Hz, 1H), 3.67 (s, 3H), 2.84 (s, 3H), 2.59 – 2.45 (m, 2H), 2.44 – 2.35 (m, 1H), 2.01 – 1.94 (m, 1H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 176.2, 164.9, 162.4, 156.1, 156.0, 154.1, 134.8, 134.7, 132.7, 132.6, 129.2, 129.2, 112.2, 112.0, 101.0, 100.7, 60.9, 29.6, 29.4, 29.1, 24.1; **HRMS** (ESI) calcd for $\text{C}_{14}\text{H}_{15}\text{FN}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 276.1143, found 276.1142.

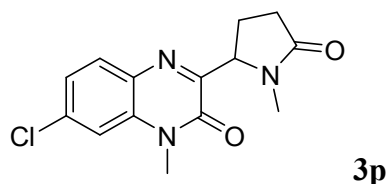


3o

6-chloro-1-methyl-3-(1-methyl-5-oxopyrrolidin-2-yl)quinoxalin-2(1H)-one (3o)

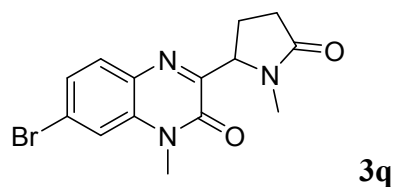
White solid, mp: 252.5-254.1 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.53 (dd, $J = 8.4$, 2.4 Hz, 1H), 7.34 – 7.31 (m, 2H), 5.15 (dd, $J = 9.0$, 3.0 Hz, 1H), 3.70 (s, 3H), 2.82 (s, 3H),

2.60 – 2.37 (m, 3H), 2.02 – 1.94 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 176.1, 157.4, 153.9, 136.9, 134.1, 131.7, 131.0, 124.6, 113.9, 61.0, 29.6, 29.3, 29.1, 24.1; HRMS (ESI) calcd for $\text{C}_{14}\text{H}_{15}\text{ClN}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 292.0847, found 292.0844.



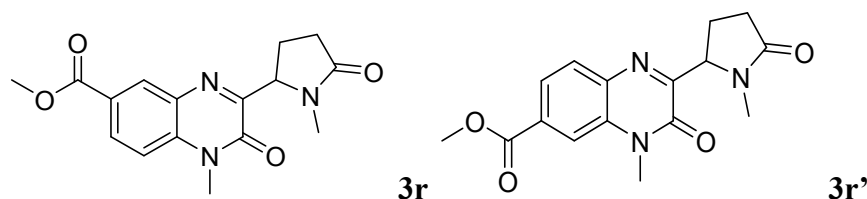
7-chloro-1-methyl-3-(1-methyl-5-oxopyrrolidin-2-yl)quinoxalin-2(1H)-one (3p)

Brown solid, mp: 239.9-242.3 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.84 (d, $J = 2.4$ Hz, 1H), 7.52 (dd, $J = 9.2, 2.4$ Hz, 1H), 7.27 (d, $J = 9.2$ Hz, 1H), 5.15 (dd, $J = 9.2, 2.8$ Hz, 1H), 3.69 (s, 3H), 2.83 (s, 3H), 2.59 – 2.45 (m, 2H), 2.43 – 2.35 (m, 1H), 2.01 – 1.94 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 176.0, 158.8, 153.8, 132.9, 132.0, 130.8, 129.8, 129.5, 115.0, 61.0, 29.5, 29.3, 29.0, 24.1; HRMS (ESI) calcd for $\text{C}_{14}\text{H}_{15}\text{ClN}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 292.0847, found 292.0844.



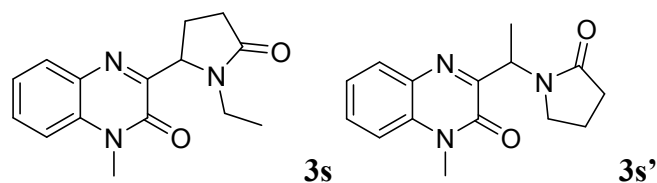
7-bromo-1-methyl-3-(1-methyl-5-oxopyrrolidin-2-yl)quinoxalin-2(1H)-one (3q)

Brown solid, mp: 162.7-163.4 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.03 (d, $J = 2.4$ Hz, 1H), 7.67 (dd, $J = 9.2, 2.4$ Hz, 1H), 7.22 (d, $J = 9.2$ Hz, 1H), 5.18 – 5.16 (m, 1H), 3.70 (s, 3H), 2.85 (s, 3H), 2.59 – 2.37 (m, 3H), 2.01 – 1.96 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 176.1, 158.7, 153.9, 133.6, 133.2, 133.0, 132.4, 116.8, 115.3, 61.0, 29.5, 29.3, 29.1, 24.1; HRMS (ESI) calcd for $\text{C}_{14}\text{H}_{15}\text{BrN}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 336.0342, found 336.0342.



Methyl 1-methyl-3-(1-methyl-5-oxopyrrolidin-2-yl)-2-oxo-1,2-dihydroquinoxaline-6-carboxylate (3r) compound with methyl 4-methyl-2-(1-methyl-5-oxopyrrolidin-2-yl)-3-oxo-3,4-dihydroquinoxaline-6-carboxylate (3r') (3r:3r' = 4.5:5.5)

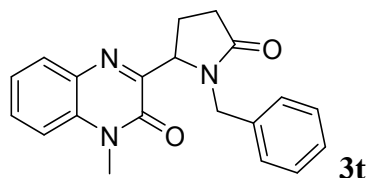
Gray solid, mp: 177.1-179.1 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.51 (d, $J = 2.0$ Hz, 0.41H), 8.21 (dd, $J = 8.8, 2.0$ Hz, 0.44H), 8.02 – 7.96 (m, 1.18H), 7.89 (d, $J = 8.0$ Hz, 0.55H), 7.37 (d, $J = 8.4$ Hz, 0.45H), 5.19 – 5.13 (m, 1H), 3.96 (s, 1.56H), 3.93 (s, 1.38H), 3.75 (s, 1.57H), 3.73 (s, 1.41H), 2.85 (s, 2.94H), 2.59 – 2.35 (m, 3.11H), 2.01 – 1.95 (m, 1.14H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 176.1, 166.0, 165.9, 159.8, 158.2, 154.1, 153.9, 136.4, 134.9, 133.0, 132.3, 131.7, 131.6, 131.5, 130.6, 126.0, 124.7, 115.6, 113.9, 61.1, 61.0, 52.9, 52.5, 29.5, 29.4, 29.4, 29.3, 29.1, 29.0, 24.0; **HRMS** (ESI) calcd for $\text{C}_{14}\text{H}_{18}\text{N}_3\text{O}_4$ $[\text{M}+\text{H}]^+$: 316.1292, found 316.1292.



3-(1-ethyl-5-oxopyrrolidin-2-yl)-1-methylquinoxalin-2(1H)-one (3s) compound with 1-methyl-3-(1-(2-oxopyrrolidin-1-yl)ethyl)quinoxalin-2(1H)-one (3s') (3s:3s' = 6.3:1)

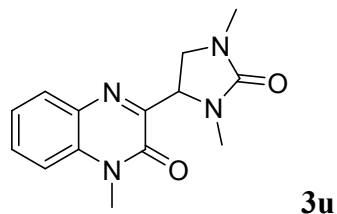
Yellow solid, mp: 136.5-137.2 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.83 (d, $J = 8.0$ Hz, 1H), 7.78 (d, $J = 8.0$ Hz, 0.19H), 7.57 (t, $J = 7.8$ Hz, 1H), 7.51 (d, $J = 7.2$ Hz, 0.18H), 7.36 – 7.28 (m, 2.51H), 5.70 (q, $J = 7.2$ Hz, 0.15H), 5.31 (dd, $J = 8.8, 2.4$ Hz, 1H), 3.81 (dq, $J = 14.2, 7.2$ Hz, 1H), 3.71 (s, 3H), 3.65 (s, 0.62H), 3.54 (td, $J = 8.4, 8.0, 6.1$ Hz, 0.24H), 2.89 (dq, $J = 14.2, 7.2$ Hz, 1H), 2.58 – 2.33 (m, 3.35H), 2.04 – 1.96 (m, 1.22H), 1.56 (d, $J = 7.2$ Hz, 0.56H), 1.05 (t, $J = 7.2$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 175.9, 175.1, 158.8, 157.5, 154.2, 153.8, 133.4, 133.2, 132.4, 132.3, 130.8, 130.5, 130.4, 130.3, 124.1, 123.6, 113.8, 113.7, 58.0, 48.5, 37.2, 36.3, 31.4, 31.2, 30.0,

29.1, 24.3, 18.5, 12.7, 12.6; **HRMS** (ESI) calcd for $C_{15}H_{18}N_3O_2$ $[M+H]^+$: 272.1394, found 272.1401.



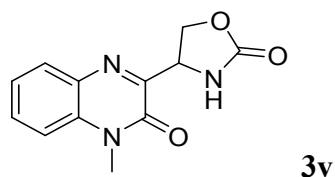
3-(1-benzyl-5-oxopyrrolidin-2-yl)-1-methylquinoxalin-2(1H)-one (3t)

Green oil; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.87 (d, $J = 8.0$ Hz, 1H), 7.58 (t, $J = 7.8$ Hz, 1H), 7.38 (t, $J = 8.0$ Hz, 1H), 7.29 (d, $J = 8.4$ Hz, 1H), 7.18 – 7.11 (m, 5H), 5.09 (d, $J = 7.6$ Hz, 1H), 4.97 (d, $J = 14.8$ Hz, 1H), 4.11 (d, $J = 14.8$ Hz, 1H), 3.62 (s, 3H), 2.67 – 2.60 (m, 1H), 2.52 – 2.42 (m, 2H), 2.08 – 2.04 (m, 1H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 176.2, 157.3, 153.9, 136.6, 133.3, 132.4, 130.8, 130.6, 128.5, 128.5, 127.4, 124.0, 113.7, 58.7, 45.6, 29.8, 29.0, 23.9; **HRMS** (ESI) calcd for $C_{20}H_{20}N_3O_2$ $[M+H]^+$: 334.1550, found 334.1558.



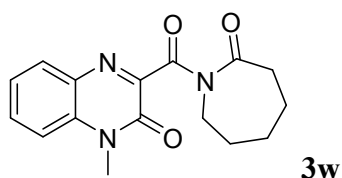
3-(1,3-dimethyl-2-oxoimidazolidin-4-yl)-1-methylquinoxalin-2(1H)-one (3u)

Yellow solid, mp: 231.4–233.5 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.91 (d, $J = 8.0$ Hz, 1H), 7.59 (t, $J = 8.0$ Hz, 1H), 7.40 – 7.33 (m, 2H), 5.04 (dd, $J = 9.6, 6.0$ Hz, 1H), 3.83 (t, $J = 9.0$ Hz, 1H), 3.72 (s, 3H), 3.25 – 3.22 (m, 1H), 2.90 (s, 3H), 2.80 (s, 3H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 161.8, 156.0, 154.4, 133.2, 132.5, 130.9, 130.8, 124.2, 113.8, 56.7, 50.1, 31.4, 30.5, 29.1; **HRMS** (ESI) calcd for $C_{14}H_{17}N_4O_2$ $[M+H]^+$: 273.1346, found 273.1345.



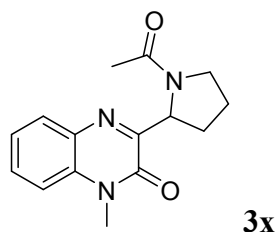
4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)oxazolidin-2-one (3v)

Yellow solid, mp: 130.7-131.8 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.04 (s, 1H), 7.86 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.70 – 7.66 (m, 1H), 7.61 (dd, *J* = 8.6, 1.4 Hz, 1H), 7.45 – 7.41 (m, 1H), 5.20 (dd, *J* = 9.4, 4.6 Hz, 1H), 4.69 (t, *J* = 9.0 Hz, 1H), 4.38 (dd, *J* = 8.6, 4.8 Hz, 1H), 3.64 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 158.9, 156.7, 153.4, 133.3, 131.5, 130.7, 129.3, 123.6, 114.8, 67.0, 53.1, 28.8; HRMS (ESI) calcd for C₁₂H₁₁N₃NaO₃ [M+Na]⁺: 268.0693, found 268.0701.



1-methyl-3-(2-oxoazepane-1-carbonyl)quinoxalin-2(1H)-one (3w)

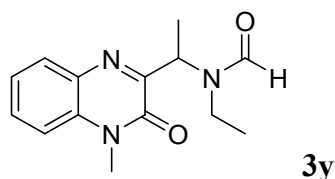
Yellow solid, mp: 197.4-199.1 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.84 (d, *J* = 8.0 Hz, 1H), 7.57 (t, *J* = 7.8 Hz, 1H), 7.36 – 7.31 (m, 2H), 4.09 (s, 2H), 3.68 (s, 3H), 2.69 – 2.66 (m, 2H), 1.90 – 1.82 (m, 6H); ¹³C NMR (101 MHz, CDCl₃) δ 177.9, 166.8, 154.8, 153.1, 133.6, 132.6, 130.9, 130.5, 124.0, 113.9, 43.3, 38.7, 29.6, 29.0, 28.3, 23.3; HRMS (ESI) calcd for C₁₆H₁₈N₃O₃ [M+H]⁺: 300.1343, found 300.1345.



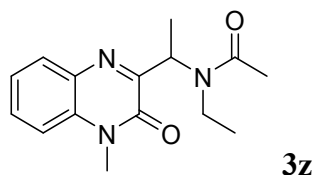
3-(1-acetylpyrrolidin-2-yl)-1-methylquinoxalin-2(1H)-one (3x) ^[3]

Yellow solid, mp: 148.1-149.5 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.86 (d, *J* = 7.6 Hz, 1H), 7.78 (d, *J* = 8.0 Hz, 1H), 7.58 (t, *J* = 7.4 Hz, 1H), 7.50 (t, *J* = 7.6 Hz, 1H), 7.39 – 7.28 (m, 4H), 5.56 (dd, *J* = 8.6, 3.4 Hz, 1H), 5.46 (dd, *J* = 8.6, 2.2 Hz, 1H), 3.89 –

3.84 (m, 2H), 3.73 (s, 3H), 3.69 – 3.67 (m, 4H), 3.64 – 3.60 (m, 1H), 2.54 – 2.44 (m, 1H), 2.39 – 2.34 (m, 1H), 2.13 (s, 3H), 2.10 – 2.00 (m, 5H), 1.94 – 1.91 (m, 1H), 1.88 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 173.5, 161.1, 157.5, 146.1, 137.3, 129.2, 128.9, 121.7, 119.6, 60.6, 41.8, 39.7, 32.1, 28.2, 25.0, 20.2, 14.3; HRMS (ESI) calcd for $\text{C}_{15}\text{H}_{18}\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 272.1394, found 272.1396.

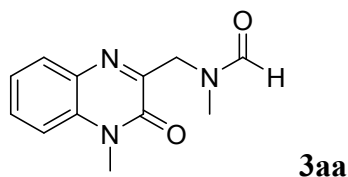


***N*-ethyl-*N*-(1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)ethyl)formamide (3y)** ^[3]
Yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 8.45 (s, 0.82H), 8.19 (s, 0.12H), 7.88 (d, $J = 8.0$ Hz, 0.88H), 7.84 (d, $J = 8.4$ Hz, 0.13H), 7.59 (t, $J = 7.8$ Hz, 0.93H), 7.53 (t, $J = 7.8$ Hz, 0.12H), 7.39 – 7.32 (m, 2H), 7.30 – 7.28 (m, 0.21H), 5.74 (q, $J = 7.2$ Hz, 0.10H), 5.29 (q, $J = 7.2$ Hz, 0.86H), 3.69 (s, 2.76H), 3.67 (s, 0.31H), 3.57 – 3.48 (m, 0.22H), 3.42 – 3.27 (m, 1.96H), 1.67 (d, $J = 7.2$ Hz, 2.65H), 1.63 (d, $J = 7.2$ Hz, 0.29H), 1.23 (t, $J = 7.2$ Hz, 0.29H), 1.04 (t, $J = 7.2$ Hz, 2.66H); ^{13}C NMR (101 MHz, CDCl_3) δ 164.0, 163.0, 158.1, 156.9, 154.5, 154.1, 133.5, 133.4, 132.2, 132.0, 131.0, 130.7, 130.4, 124.0, 123.8, 123.6, 113.8, 113.7, 53.0, 50.0, 40.8, 36.8, 29.3, 29.1, 17.3, 15.8, 14.2; HRMS (ESI) calcd for $\text{C}_{14}\text{H}_{17}\text{N}_3\text{NaO}_2$ $[\text{M}+\text{Na}]^+$: 282.1213, found 282.1223.



***N*-ethyl-*N*-(1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)ethyl)acetamide (3z)** ^[3]
Yellow oil; ^1H NMR (400 MHz, CDCl_3) δ 7.89 (d, $J = 7.6$ Hz, 0.72H), 7.82 (d, $J = 7.6$ Hz, 0.37H), 7.59 (t, $J = 7.4$ Hz, 0.73H), 7.52 (t, $J = 7.8$ Hz, 0.38H), 7.39 – 7.28 (m, 2.16H), 5.91 (q, $J = 7.2$ Hz, 0.34H), 5.56 (q, $J = 7.2$ Hz, 0.70H), 3.69 (s, 2H), 3.66 (s, 1H), 3.55 – 3.43 (m, 0.77H), 3.37 – 3.25 (m, 1.72H), 2.40 (s, 2.22H), 2.13 (s, 1.13H),

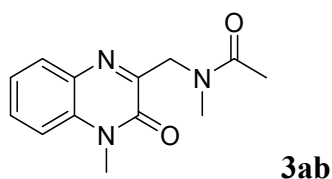
1.58 (dd, $J = 7.0, 2.2$ Hz, 3.33H), 1.17 (t, $J = 7.2$ Hz, 1.06H), 0.88 (t, $J = 7.0$ Hz, 2.27H); ^{13}C NMR (101 MHz, CDCl_3) δ 171.3, 170.6, 159.3, 157.1, 154.3, 154.0, 133.5, 133.4, 132.4, 132.3, 131.0, 130.7, 130.3, 130.2, 123.9, 123.5, 113.8, 113.6, 53.0, 51.5, 40.7, 36.6, 29.3, 29.1, 22.3, 21.9, 16.4, 16.2, 15.9, 14.6; HRMS (ESI) calcd for $\text{C}_{15}\text{H}_{19}\text{N}_3\text{NaO}_2$ $[\text{M}+\text{Na}]^+$: 296.1369, found 296.1368.



***N*-methyl-*N*-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)formamide**

(3aa) ^[3]

Yellow solid, mp: 153.4-154.5 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.28 (s, 1.03H), 7.86 (dd, $J = 7.8, 1.4$ Hz, 0.66H), 7.82 (dd, $J = 7.8, 1.4$ Hz, 0.42H), 7.62 – 7.58 (m, 0.70H), 7.57 – 7.53 (m, 0.42H), 7.40 – 7.30 (m, 2.25H), 4.78 (s, 0.80H), 4.64 (s, 1.22H), 3.71 (s, 1.92H), 3.69 (s, 1.16H), 3.12 (s, 1.19H), 2.96 (s, 1.90H); ^{13}C NMR (101 MHz, CDCl_3) δ 164.3, 163.4, 154.4, 154.3, 153.9, 153.3, 133.3, 133.1, 132.6, 132.5, 131.0, 130.5, 130.4, 130.3, 124.2, 123.9, 113.9, 113.8, 51.4, 46.5, 35.7, 30.8, 29.1, 29.0; HRMS (ESI) calcd for $\text{C}_{12}\text{H}_{14}\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 232.1081, found 232.1086.

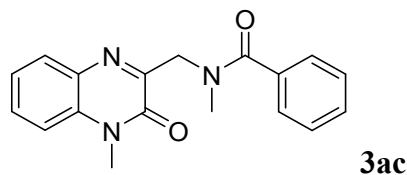


***N*-methyl-*N*-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)acetamide (3ab)**

(3ab) ^[3]

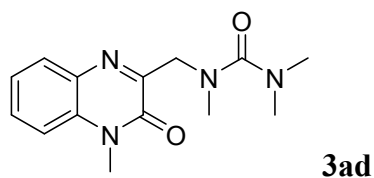
Yellow solid, mp: 93.3-95.9 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.86 (d, $J = 8.0$ Hz, 1H), 7.79 (d, $J = 8.0$ Hz, 1H), 7.58 (t, $J = 7.2$ Hz, 1H), 7.52 (t, $J = 7.4$ Hz, 1H), 7.38 – 7.27 (m, 4H), 4.82 (s, 2H), 4.73 (s, 2H), 3.71 (s, 3H), 3.66 (s, 3H), 3.15 (s, 3H), 3.02 (s, 3H), 2.23 (s, 3H), 2.11 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 172.2, 171.7, 154.5, 154.3, 154.3, 153.9, 133.0, 132.8 (d, $J = 47.0$ Hz), 132.5, 130.8, 130.5, 130.2,

130.1, 124.1, 123.6, 113.8, 113.6, 52.7, 50.0, 37.6, 34.8, 29.0, 28.9, 21.8, 21.5;
HRMS (ESI) calcd for $C_{13}H_{16}N_3O_2$ $[M+H]^+$: 246.1237, found 246.1241.



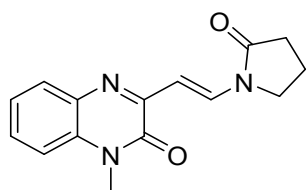
***N*-methyl-*N*-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)benzamide (3ac)**

Yellow solid, mp: 109.2-111.6 °C; 1H NMR (400 MHz, $CDCl_3$) δ 7.98 (d, $J = 8.0$ Hz, 1H), 7.86 (d, $J = 8.0$ Hz, 0.83H), 7.62 – 7.53 (m, 4H), 7.43 – 7.40 (m, 6H), 7.36 – 7.24 (m, 5H), 4.99 (s, 1.62H), 4.73 (s, 2H), 3.70 (s, 2.46H), 3.65 (s, 3H), 3.17 (s, 3H), 3.10 (s, 2.41H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 173.3, 172.3, 154.5, 154.3, 154.2, 154.1, 136.6, 136.6, 133.2, 133.1, 132.7, 130.7, 130.5, 130.3, 130.2, 129.6, 129.5, 128.6, 128.6, 128.6, 128.5, 127.2, 127.0, 126.6, 124.2, 124.1, 123.8, 113.9, 113.8, 113.8, 53.5, 49.8, 39.0, 34.4, 29.0; **HRMS** (ESI) calcd for $C_{18}H_{18}N_3O_2$ $[M+H]^+$: 308.1394, found 308.1395.



1,1,3-trimethyl-3-((4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)methyl)urea (3ad)

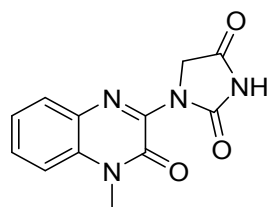
Yellow solid, mp: 95.2-97.9 °C; 1H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, $J = 8.0$ Hz, 1H), 7.55 (t, $J = 7.6$ Hz, 1H), 7.36 – 7.30 (m, 2H), 4.66 (s, 2H), 3.69 (s, 3H), 2.98 (s, 3H), 2.86 (s, 6H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.4, 155.8, 154.4, 133.1, 132.7, 130.3, 130.2, 123.8, 113.7, 52.6, 38.9, 37.8, 29.0; **HRMS** (ESI) calcd for $C_{14}H_{18}N_4NaO_2$ $[M+Na]^+$: 297.1322, found 297.1328.



3ae

(E)-1-methyl-3-(2-(2-oxopyrrolidin-1-yl)vinyl)quinoxalin-2(1H)-one (3ae)

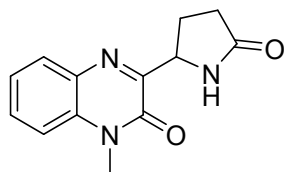
Gray solid, mp: 178.2-179.9 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.58 (d, *J* = 14.4 Hz, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.46 (t, *J* = 7.6 Hz, 1H), 7.31 (t, *J* = 7.6 Hz, 1H), 7.26 (d, *J* = 8.4 Hz, 1H), 6.48 (d, *J* = 14.4 Hz, 1H), 3.74 (t, *J* = 7.2 Hz, 2H), 3.70 (s, 3H), 2.58 (t, *J* = 8.2 Hz, 2H), 2.20 (p, *J* = 7.6 Hz, 2H); ¹³C NMR (101 MHz, CDCl₃) δ 174.3, 154.8, 152.7, 133.5, 132.6, 132.1, 129.5, 129.1, 124.0, 113.6, 105.3, 45.4, 31.3, 29.3, 17.6; HRMS (ESI) calcd for C₁₅H₁₆N₃O₂ [M+H]⁺: 270.1237, found 270.1236.



3af

1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)imidazolidine-2,4-dione (3af)

White solid, mp: 225.2-216.8 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.55 (s, 1H), 7.89 (d, *J* = 7.6 Hz, 1H), 7.79 (t, *J* = 7.8 Hz, 1H), 7.68 (d, *J* = 8.4 Hz, 1H), 7.49 (d, *J* = 7.6 Hz, 1H), 4.30 – 4.20 (m, 2H), 3.70 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 170.7, 155.1, 151.5, 142.3, 133.9, 132.5, 130.6, 129.9, 124.5, 115.5, 46.7, 30.0; HRMS (ESI) calcd for C₁₂H₁₀N₄NaO₂ [M+Na]⁺: 281.0645, found 281.0645.

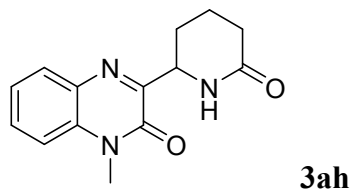


3ag

1-methyl-3-(5-oxopyrrolidin-2-yl)quinoxalin-2(1H)-one (3ag)

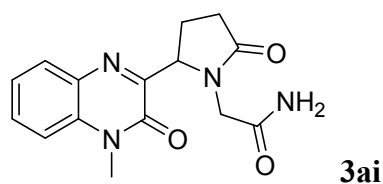
Yellow solid, mp: 148.7-149.6 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.87 (d, *J* = 8.0 Hz, 1H), 7.59 (t, *J* = 7.8 Hz, 1H), 7.40 – 7.33 (m, 2H), 6.45 (s, 1H), 5.11 (dd, *J* = 8.0, 4.0 Hz, 1H), 3.71 (s, 3H), 2.74 – 2.62 (m, 1H), 2.50 – 2.42 (m, 3H); ¹³C NMR (101 MHz,

CDCl₃) δ 178.4, 157.1, 154.3, 133.3, 132.3, 130.9, 130.6, 124.2, 113.9, 55.7, 29.9, 29.1, 25.0; **HRMS** (ESI) calcd for C₁₃H₁₄N₃O₂ [M+H]⁺:244.1081, found 244.1079.



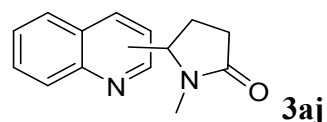
1-methyl-3-(6-oxopiperidin-2-yl)quinoxalin-2(1H)-one (3ah)

Yellow solid, mp: 172.4-174.1 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.83 (d, *J* = 7.2 Hz, 1H), 7.65 (t, *J* = 7.2 Hz, 1H), 7.59 (d, *J* = 7.6 Hz, 1H), 7.42 – 7.40 (m, 1H), 7.38 (s, 1H), 4.99 (td, *J* = 6.0, 2.0 Hz, 1H), 3.65 (s, 3H), 2.24 – 2.10 (m, 3H), 1.80 – 1.65 (m, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 170.7, 158.7, 153.3, 133.0, 131.6, 130.5, 129.3, 123.7, 114.8, 52.3, 31.3, 29.0, 25.5, 18.2; **HRMS** (ESI) calcd for C₁₄H₁₆N₃O₂ [M+H]⁺:258.1237, found 258.1246.



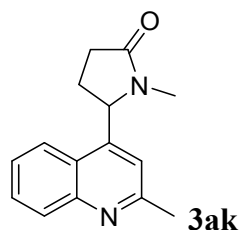
2-(2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-5-oxopyrrolidin-1-yl)acetamide (3ai)

Yellow solid, mp: 198.9-200.2 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.77 (d, *J* = 8.0 Hz, 1H), 7.64 (t, *J* = 7.2 Hz, 1H), 7.57 (d, *J* = 8.0 Hz, 1H), 7.40 (s, 1H), 7.38 – 7.36 (m, 1H), 7.07 (s, 1H), 5.21 (dd, *J* = 9.2, 3.2 Hz, 1H), 4.20 (d, *J* = 16.8 Hz, 1H), 3.62 (s, 3H), 3.43 (d, *J* = 16.8 Hz, 1H), 2.55 – 2.45 (m, 1H), 2.33 – 2.29 (m, 2H), 1.97 – 1.90 (m, 1H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 175.4, 170.0, 157.7, 153.7, 133.3, 131.6, 130.5, 129.3, 123.5, 114.8, 58.9, 44.0, 28.9, 23.3; **HRMS** (ESI) calcd for C₁₅H₁₇N₄O₃ [M+H]⁺: 301.1295, found 301.1294.



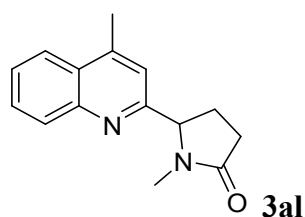
1-methyl-5-(quinolin-2-yl)pyrrolidin-2-one (3aj) (o:p=3:10)

White oil; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.88 (d, $J = 4.4$ Hz, 0.97H), 8.18 (m, 1.32H), 8.04 (d, $J = 8.4$ Hz, 0.30H), 7.93 (d, $J = 8.4$ Hz, 1.00H), 7.81 (d, $J = 8.0$ Hz, 0.31H), 7.77 – 7.69(m, 1.37H), 7.60 (t, $J = 7.8$ Hz, 1.01H), 7.53 (t, $J = 7.6$ Hz, 0.30H), 7.27 (d, $J = 8.4$ Hz, 0.34H), 7.10 (d, $J = 4.4$ Hz, 0.92H), 5.33 (s, 0.82H), 4.83 (m, 0.33H), 2.84 (s, 3.19H), 2.73 (s, 1.23H), 2.70 – 2.43 (m, 3.86H), 2.02 – 1.93 (m, 1.41H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 175.9, 175.9, 160.9, 150.3, 148.6, 147.8, 137.8, 130.7, 130.1, 129.9, 129.7, 129.2, 127.7, 127.6, 127.3, 126.8, 125.8, 122.3, 117.7, 116.3, 66.6, 59.9, 30.1, 29.4, 29.0, 28.7, 27.1, 26.4; **HRMS** (ESI) calcd for $\text{C}_{14}\text{H}_{14}\text{N}_2\text{NaO}$ $[\text{M}+\text{Na}]^+$: 249.0998, found 249.1007.



1-methyl-5-(2-methylquinolin-4-yl)pyrrolidin-2-one (3ak)

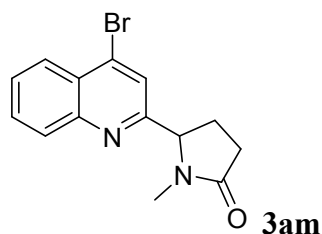
White oil; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.06 (d, $J = 8.4$ Hz, 1H), 7.85 (d, $J = 8.4$ Hz, 1H), 7.68 (t, $J = 7.6$ Hz, 1H), 7.51 (t, $J = 7.6$ Hz, 1H), 6.95 (s, 1H), 5.27 (s, 1H), 2.83 (s, 3H), 2.70 (s, 3H), 2.66 – 2.61 (m, 1H), 2.54 – 2.44 (m, 2H), 1.98 – 1.91 (m, 1H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 175.9, 159.0, 148.3, 146.2, 129.7, 129.7, 126.3, 124.0, 122.1, 117.0, 59.7, 29.4, 29.0, 27.0, 25.5; **HRMS** (ESI) calcd for $\text{C}_{15}\text{H}_{17}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 241.1335, found 241.1330.



1-methyl-5-(4-methylquinolin-2-yl)pyrrolidin-2-one (3al)

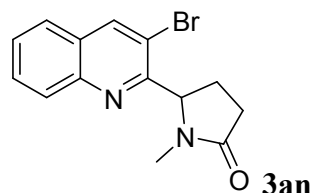
White oil; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.07 (d, $J = 8.4$ Hz, 1H), 8.00 (d, $J = 8.4$ Hz, 1H), 7.73 (t, $J = 7.6$ Hz, 1H), 7.58 (t, $J = 7.6$ Hz, 1H), 7.11 (s, 1H), 4.83 (dd, $J = 8.2$,

5.0 Hz, 1H), 2.76 (s, 3H), 2.72 (s, 3H), 2.68 – 2.46 (m, 3H), 2.06 – 1.98 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 176.1, 160.7, 147.4, 146.7, 130.0, 129.6, 127.7, 126.8, 123.9, 118.2, 66.5, 30.2, 28.8, 26.4, 19.2; HRMS (ESI) calcd for $\text{C}_{15}\text{H}_{17}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 241.1335, found 241.1337.



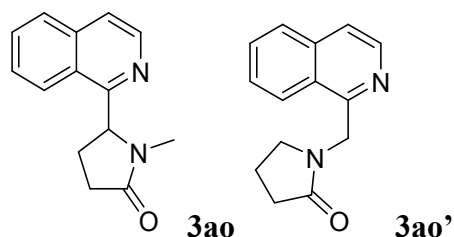
5-(4-bromoquinolin-2-yl)-1-methylpyrrolidin-2-one (3am)

Yellow solid, mp: 128.1-129.5 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.18 (d, $J = 8.4$ Hz, 1H), 8.08 (d, $J = 8.4$ Hz, 1H), 7.79 (t, $J = 7.4$ Hz, 1H), 7.66 (t, $J = 7.4$ Hz, 1H), 7.59 (s, 1H), 4.87 – 4.82 (m, 1H), 2.78 (s, 3H), 2.69 – 2.61 (m, 2H), 2.54 – 2.50 (m, 1H), 2.08 – 1.99 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 175.9, 160.9, 148.2, 136.2, 131.3, 129.5, 128.3, 127.3, 126.9, 121.9, 65.9, 30.0, 28.9, 26.4; HRMS (ESI) calcd for $\text{C}_{14}\text{H}_{14}\text{BrN}_2\text{O}$ $[\text{M}+\text{H}]^+$: 305.0284, found 305.0284.



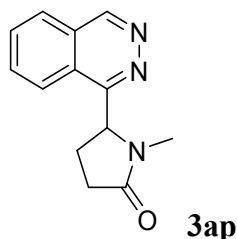
5-(3-bromoquinolin-2-yl)-1-methylpyrrolidin-2-one (3an)

Gray solid, mp: 136.5-137.5 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.38 (s, 1H), 8.04 (d, $J = 8.4$ Hz, 1H), 7.74 – 7.70 (m, 2H), 7.56 (t, $J = 7.6$ Hz, 1H), 5.31 (dd, $J = 8.4, 3.2$ Hz, 1H), 2.82 (s, 3H), 2.62 – 2.52 (m, 2H), 2.47 – 2.44 (m, 1H), 2.09 – 2.01 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 176.2, 156.5, 146.3, 140.0, 130.3, 129.7, 128.6, 127.8, 126.6, 116.3, 64.0, 29.7, 29.0, 25.3; HRMS (ESI) calcd for $\text{C}_{14}\text{H}_{14}\text{BrN}_2\text{O}$ $[\text{M}+\text{H}]^+$: 305.0284, found 305.0288.



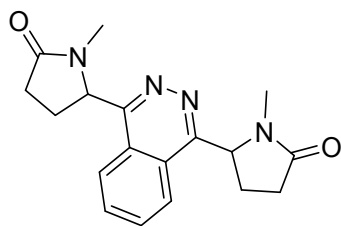
5-(isoquinolin-1-yl)-1-methylpyrrolidin-2-one (3ao) compound with 1-(isoquinolin-1-ylmethyl)pyrrolidin-2-one (3ao') (3ao:3ao'=12.5:1)

White oil; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.47 – 8.42 (m, 1.08H), 8.38 (d, $J = 8.4$ Hz, 0.08H), 8.10 (d, $J = 8.4$ Hz, 1H), 7.87 – 7.82 (m, 1.09H), 7.69 (t, $J = 7.6$ Hz, 1.09H), 7.63 – 7.57 (m, 2.16H), 5.49 (dd, $J = 8.2, 4.2$ Hz, 1H), 5.08 (s, 0.17H), 3.28 (t, $J = 7.2$ Hz, 0.17H), 2.76 (s, 3H), 2.65 – 2.54 (m, 2H), 2.49 – 2.38 (m, 1.18H), 2.13 – 2.04 (m, 1H), 1.91 (p, $J = 7.6$ Hz, 0.17H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 176.0, 174.7, 158.1, 155.7, 142.0, 140.6, 136.7, 130.9, 130.2, 128.3, 127.9, 127.7, 127.3, 126.0, 125.6, 123.4, 121.4, 120.7, 62.2, 47.0, 46.6, 30.8, 30.0, 28.9, 26.1, 17.7; **HRMS** (ESI) calcd for $\text{C}_{14}\text{H}_{14}\text{N}_2\text{NaO}$ $[\text{M}+\text{Na}]^+$: 249.0998, found 2249.1007.



1-methyl-5-(phthalazin-1-yl)pyrrolidin-2-one (3ap)

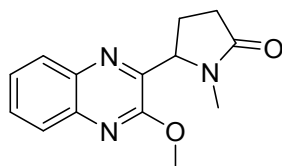
Yellow solid, mp: 85.1-85.9 °C; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 9.53 (s, 1H), 8.12 – 8.05 (m, 2H), 7.97 (dd, $J = 6.0, 3.2$ Hz, 2H), 5.51 (dd, $J = 8.4, 5.2$ Hz, 1H), 2.80 (s, 3H), 2.73 – 2.65 (m, 2H), 2.60 – 2.53 (m, 1H), 2.24 – 2.16 (m, 1H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 175.5, 157.4, 151.6, 133.3, 132.7, 127.8, 127.0, 124.6, 122.4, 62.5, 29.9, 29.0, 25.6; **HRMS** (ESI) calcd for $\text{C}_{13}\text{H}_{14}\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$: 228.1131, found 228.1139.



3ap'

5,5'-(phthalazine-1,4-diyl)bis(1-methylpyrrolidin-2-one) (3ap')

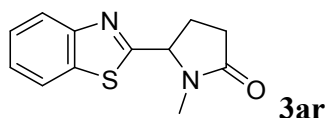
Yellow oil; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.20 – 8.17 (2×m, 2H), 7.99 (2×dd, $J = 6.2, 3.4$ Hz, 2H), 5.52 (2×dd, $J = 8.4, 4.8$ Hz, 2H), 2.83 (2×s, 6H), 2.73 – 2.68 (2×m, 4H), 2.60 – 2.52 (2×m, 2H), 2.24 – 2.16 (2×m, 2H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 175.5, 157.7, 133.1, 125.0, 123.8, 62.4, 29.9, 29.2, 29.1, 25.8, 25.7; **HRMS** (ESI) calcd for $\text{C}_{18}\text{H}_{21}\text{N}_4\text{O}_2$ $[\text{M}+\text{H}]^+$: 325.1659, found 325.1664.



3aq

5-(3-methoxyquinoxalin-2-yl)-1-methylpyrrolidin-2-one (3aq)

White oil; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.97 (d, $J = 8.0$ Hz, 1H), 7.84 (d, $J = 8.0$ Hz, 1H), 7.65 (t, $J = 7.8$ Hz, 1H), 7.55 (t, $J = 7.6$ Hz, 1H), 5.09 (dd, $J = 8.6, 3.4$ Hz, 1H), 4.12 (s, 3H), 2.82 (s, 3H), 2.62 – 2.41 (m, 3H), 2.06 – 1.99 (m, 1H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 176.1, 155.6, 147.7, 140.3, 138.4, 130.1, 129.1, 127.0, 126.9, 61.2, 54.1, 29.8, 28.9, 24.3; **HRMS** (ESI) calcd for $\text{C}_{14}\text{H}_{16}\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$: 258.1237, found 258.1236.

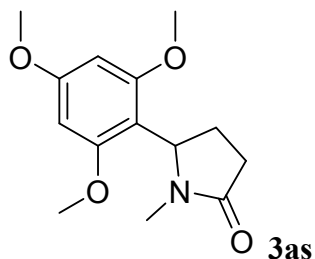


3ar

5-(benzo[d]thiazol-2-yl)-1-methylpyrrolidin-2-one (3ar)

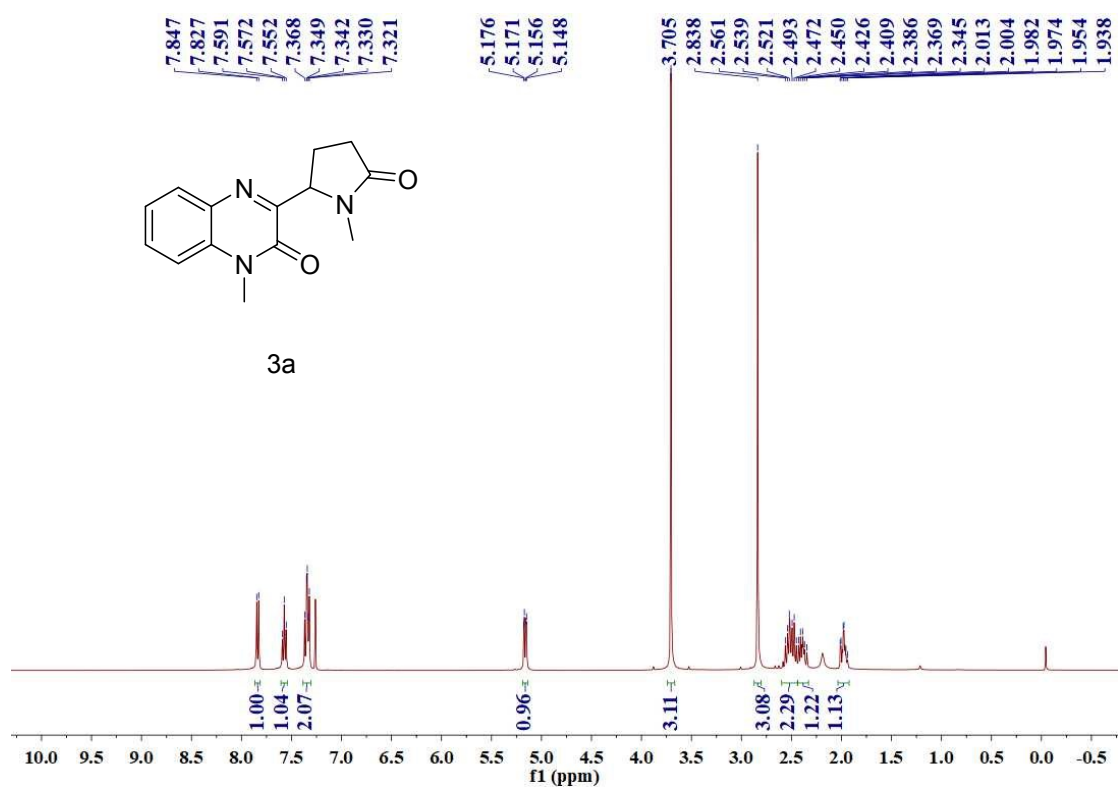
Brown oil; $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.00 (d, $J = 8.4$ Hz, 1H), 7.89 (d, $J = 7.6$ Hz, 1H), 7.50 (t, $J = 7.6$ Hz, 1H), 7.41 (t, $J = 7.4$ Hz, 1H), 5.02 (dd, $J = 8.4, 4.0$ Hz, 1H), 2.87 (s, 3H), 2.72 – 2.59 (m, 2H), 2.52 – 2.44 (m, 1H), 2.25 – 2.16 (m, 1H); $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 175.2, 172.5, 153.0, 134.9, 126.6, 125.8, 123.3, 122.1,

62.9, 29.5, 29.0, 26.8; **HRMS** (ESI) calcd for $C_{12}H_{13}N_2OS$ $[M+H]^+$: 233.0743, found 233.0738.

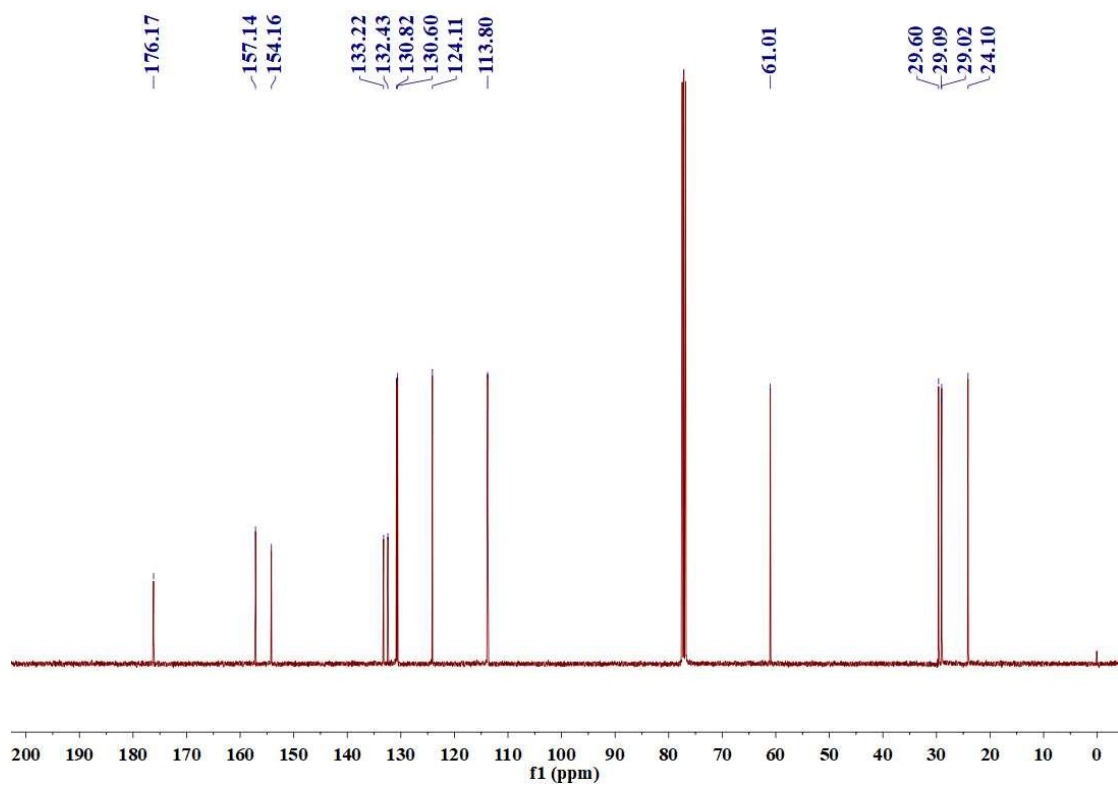


1-methyl-5-(2,4,6-trimethoxyphenyl)pyrrolidin-2-one (3as)

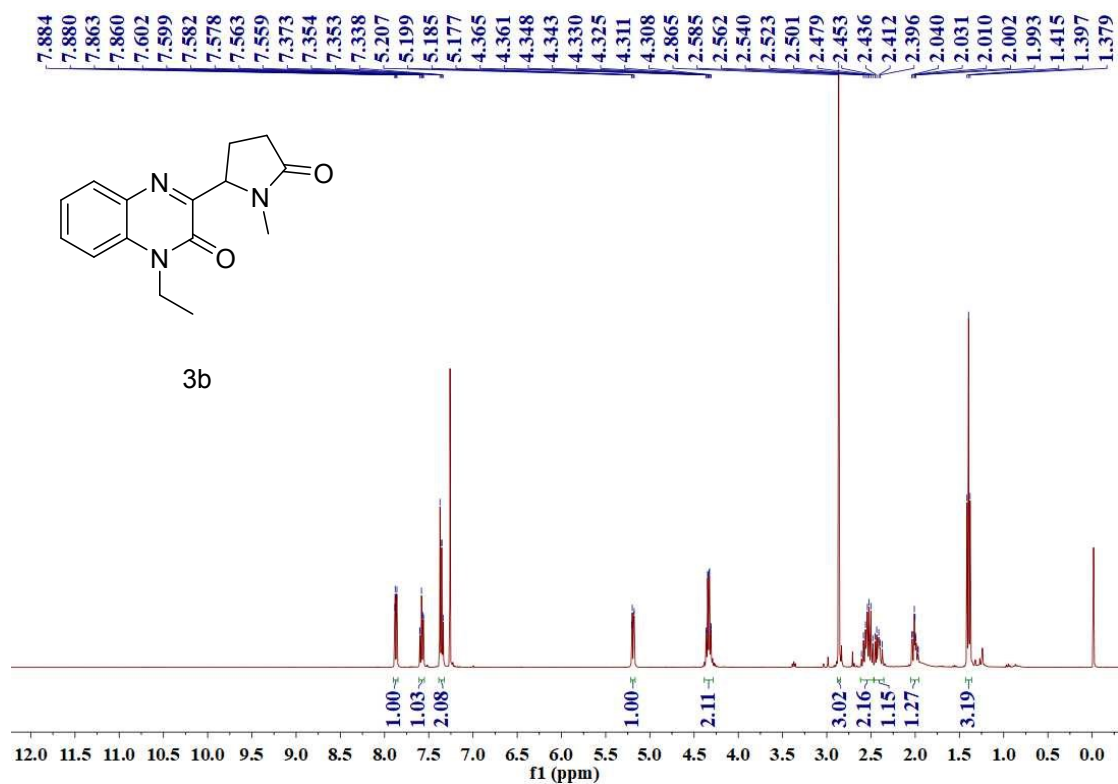
White oil; 1H NMR (400 MHz, $CDCl_3$) δ 6.09 (s, 2H), 5.19 (dd, $J = 9.6, 4.8$ Hz, 1H), 3.77 (s, 3H), 3.73 (s, 6H), 2.59 – 2.52 (m, 1H), 2.49 (s, 3H), 2.43 – 2.22 (m, 2H), 2.02 – 1.93 (m, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.3, 160.9, 159.6, 108.3, 90.6, 55.7, 55.2, 53.9, 31.1, 27.3, 23.6; **HRMS** (ESI) calcd for $C_{14}H_{20}NO_4$ $[M+H]^+$: 266.1387, found 266.1389.



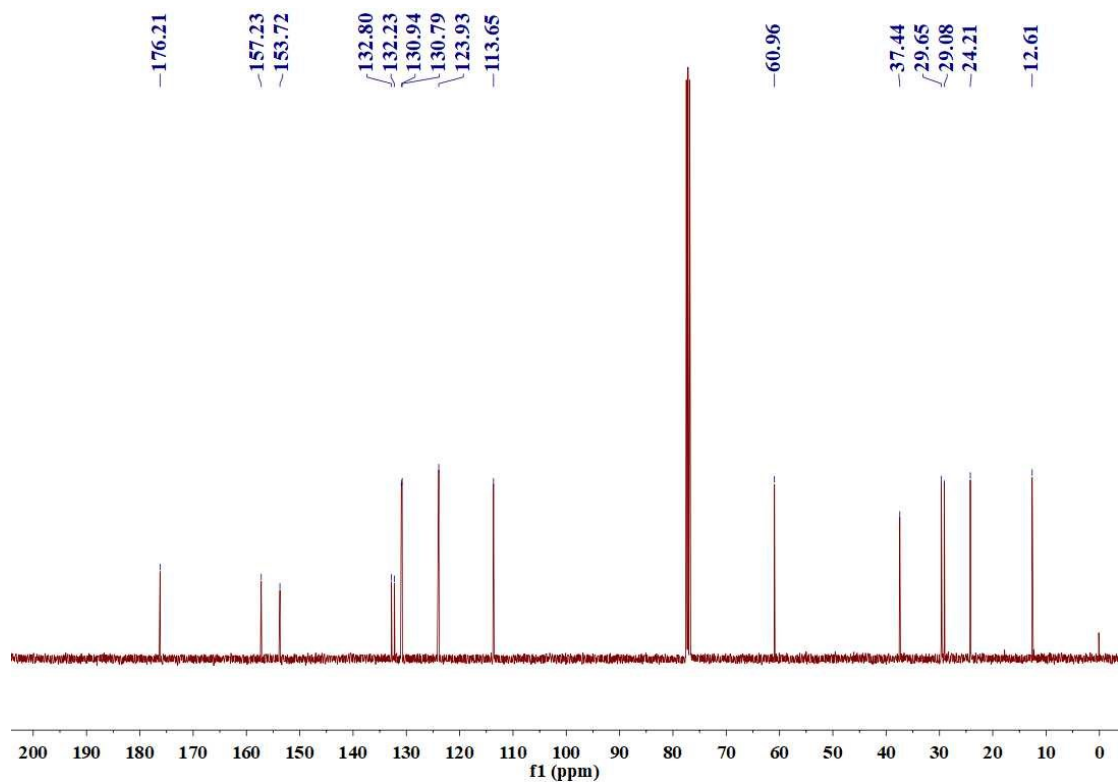
¹H NMR (400 MHz, CDCl₃) spectrum of **3a**



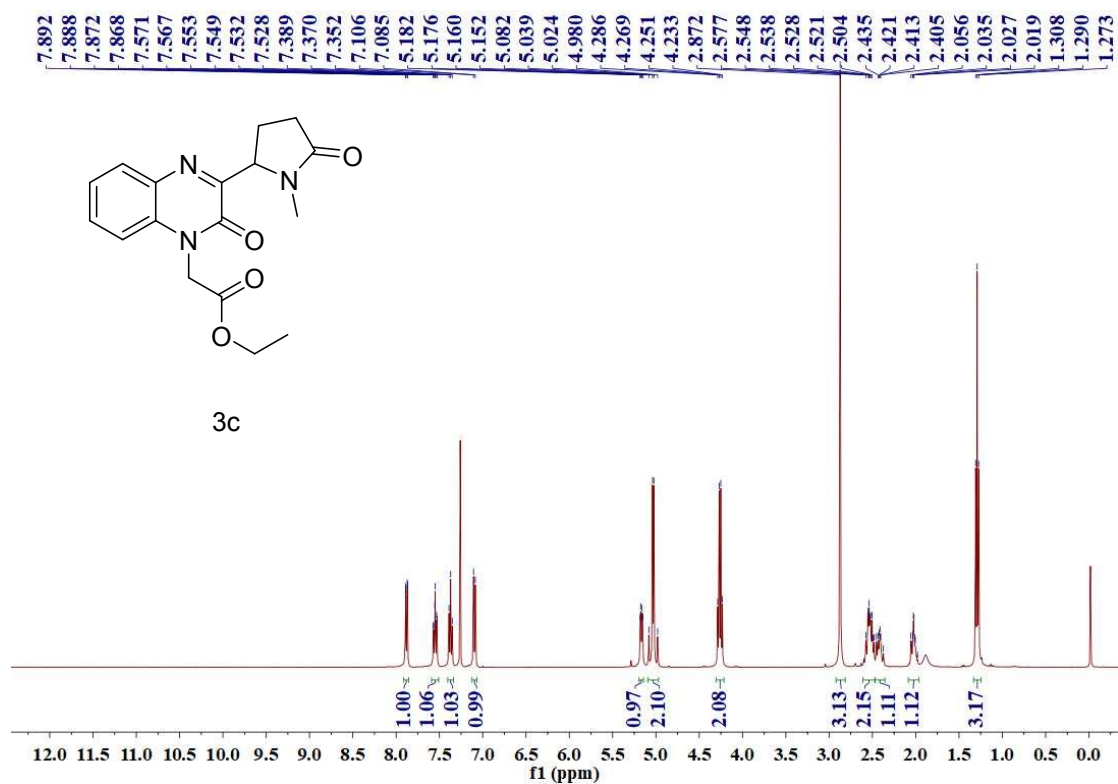
¹³C NMR (101 MHz, CDCl₃) spectrum of **3a**



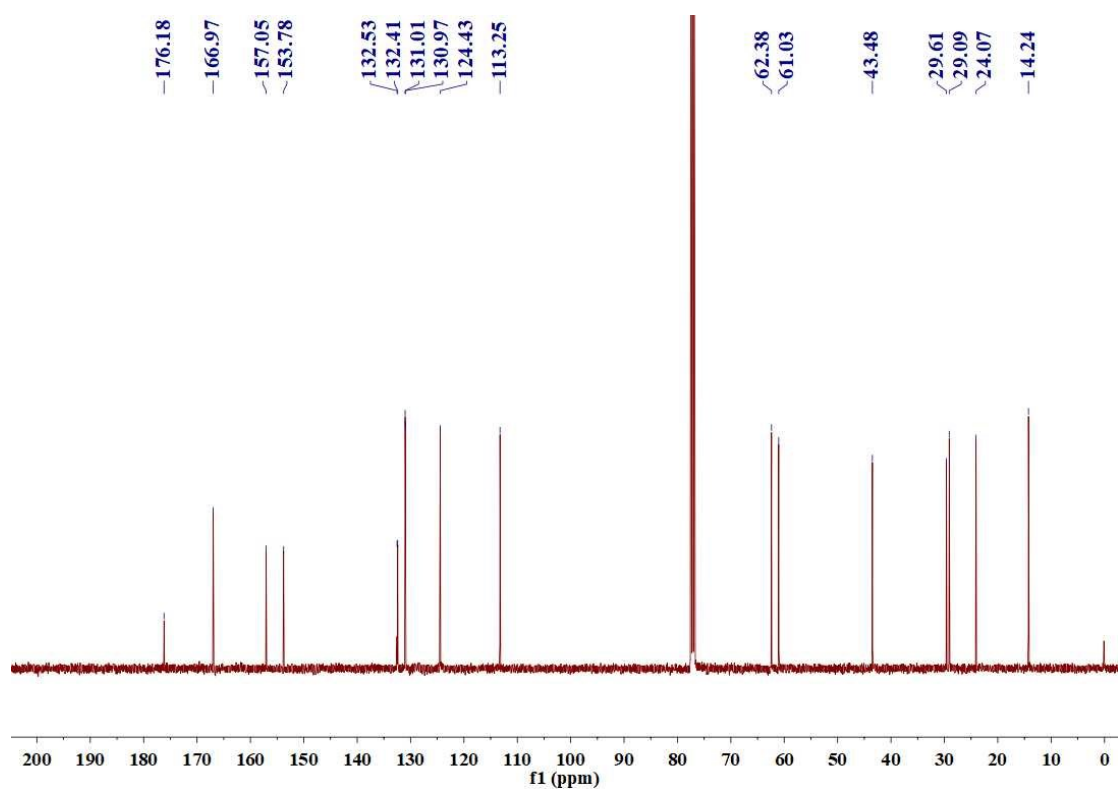
¹H NMR (400 MHz, CDCl₃) spectrum of **3b**



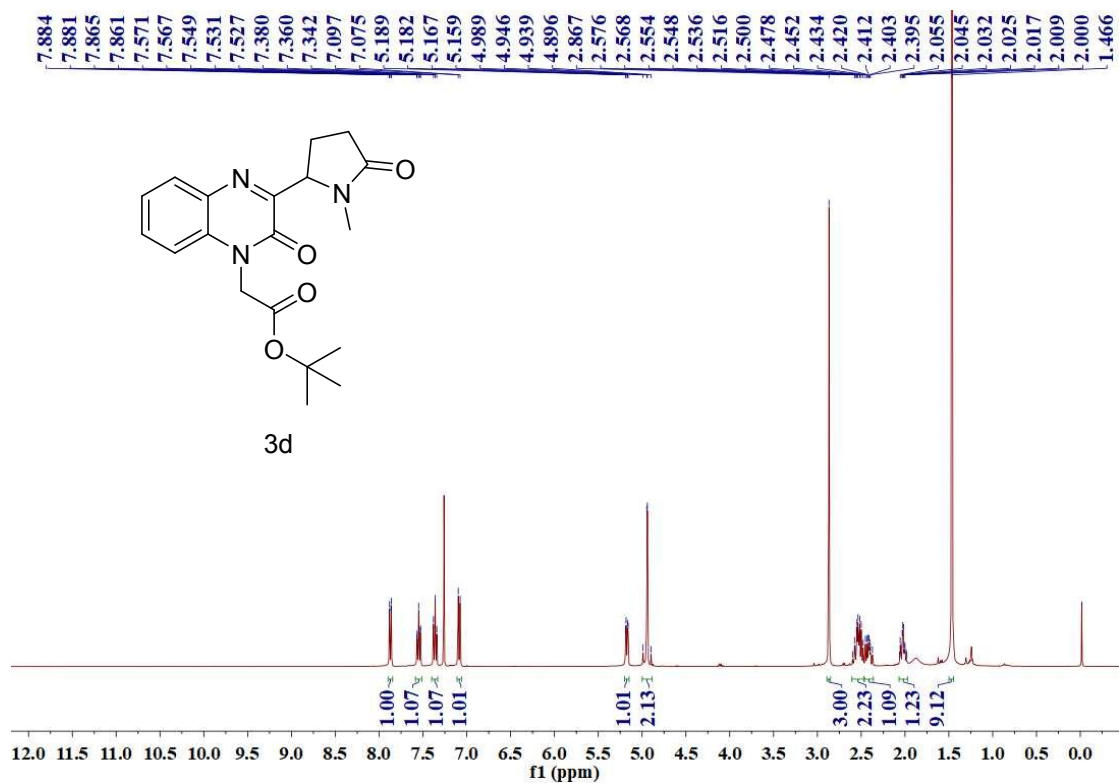
¹³C NMR (101 MHz, CDCl₃) spectrum of **3b**



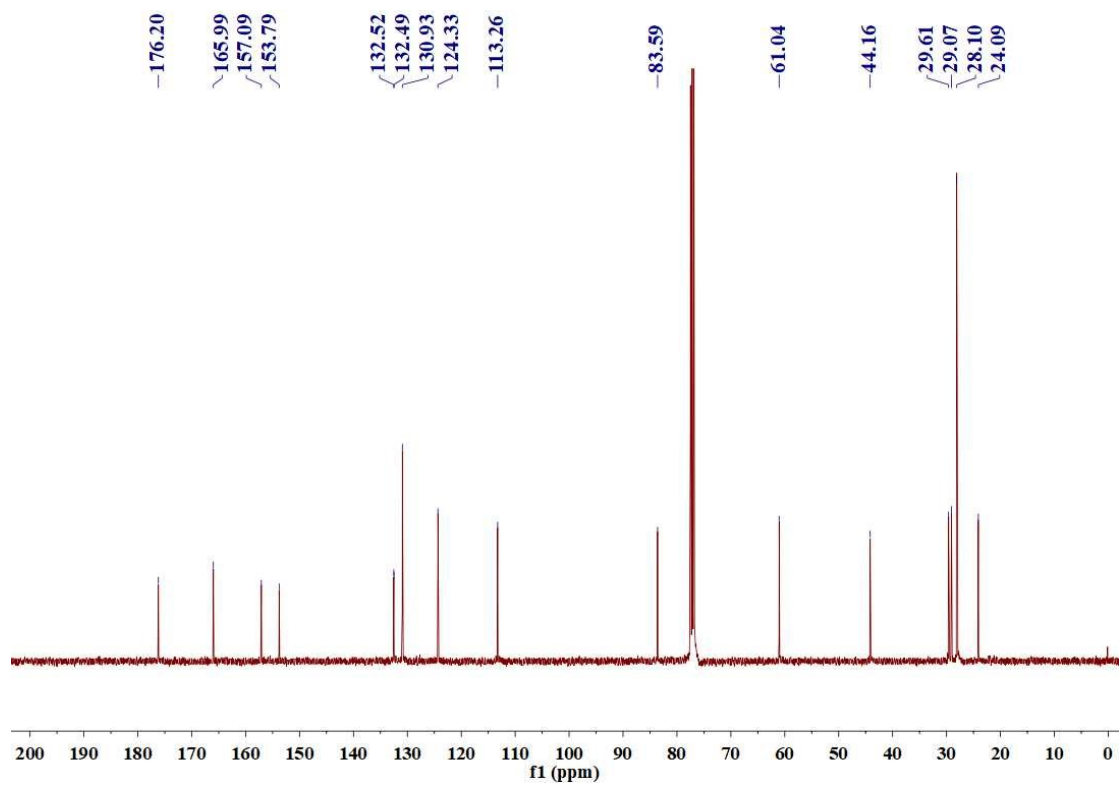
¹H NMR (400 MHz, CDCl₃) spectrum of **3c**



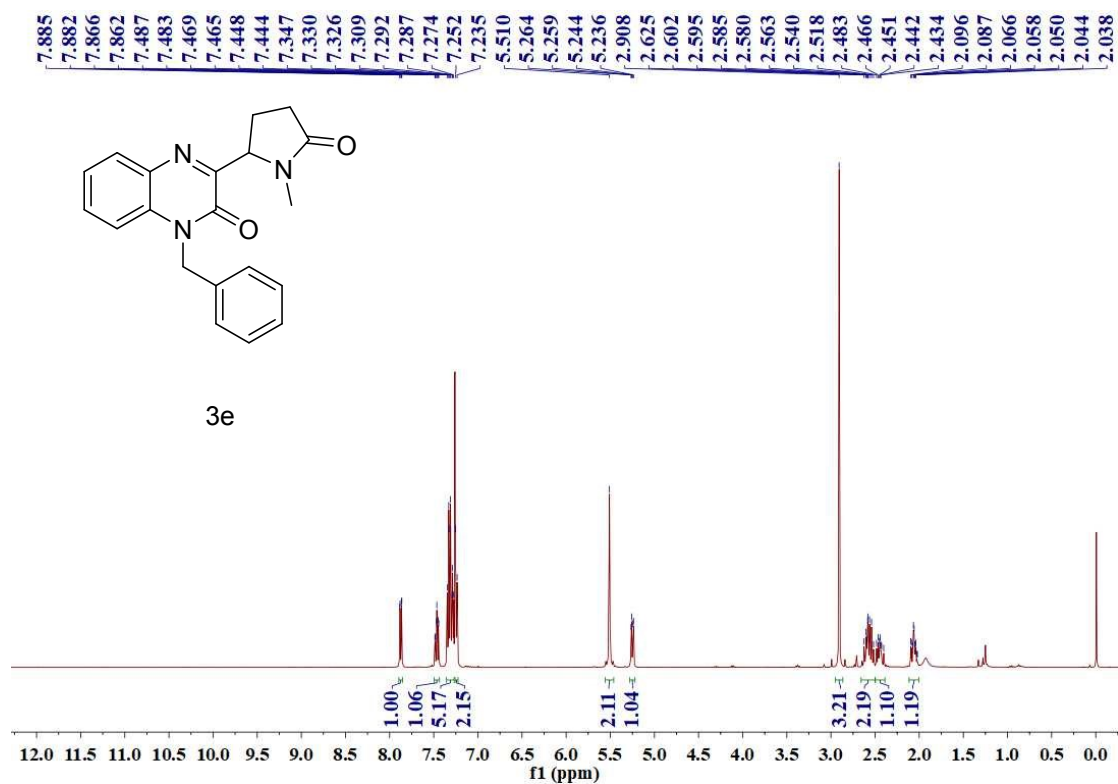
¹³C NMR (101 MHz, CDCl₃) spectrum of **3c**



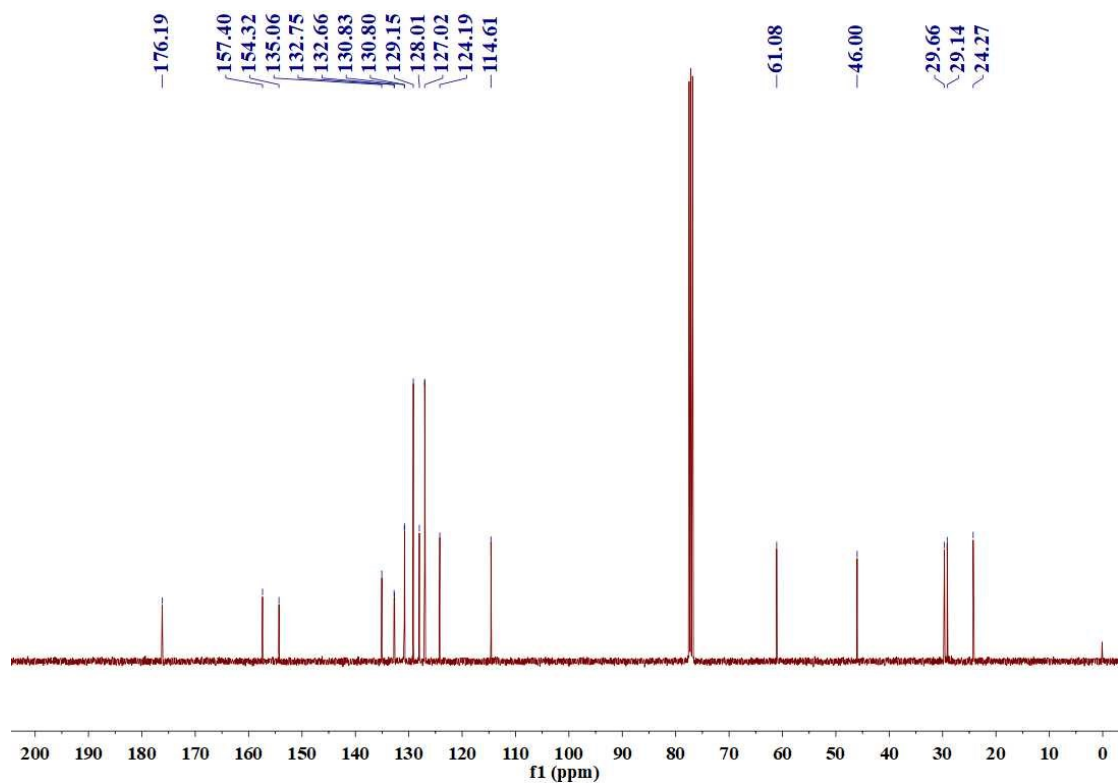
¹H NMR (400 MHz, CDCl₃) spectrum of 3d



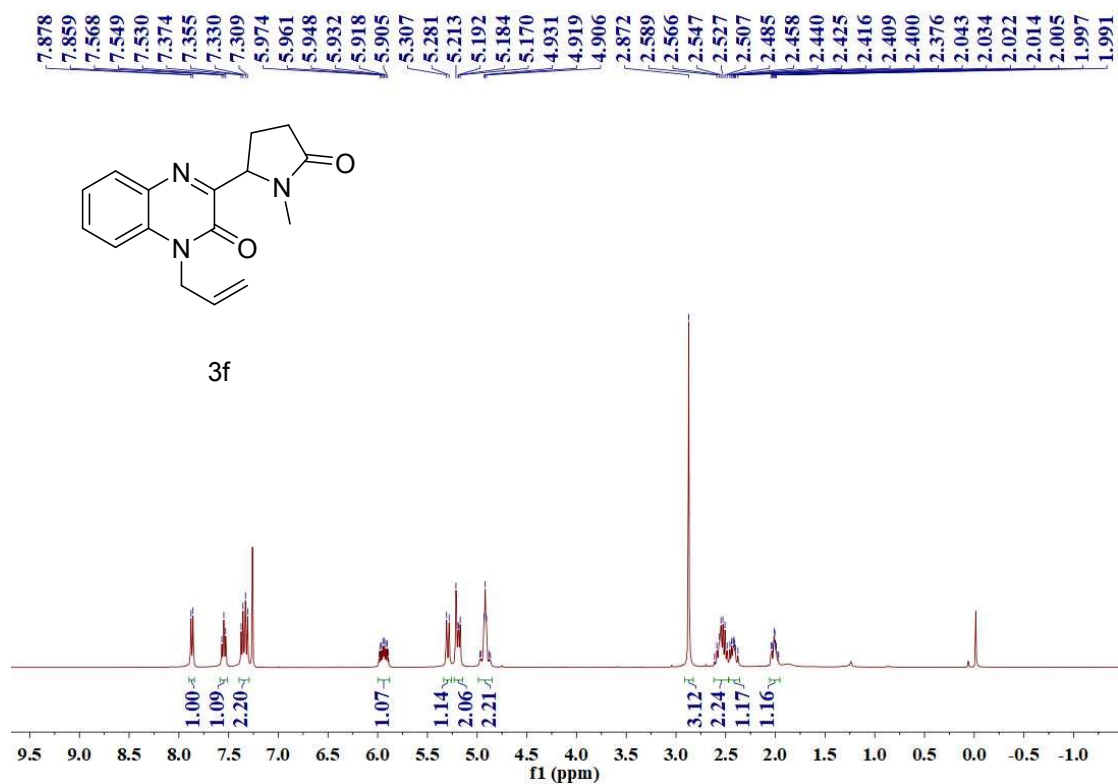
¹³C NMR (101 MHz, CDCl₃) spectrum of 3d



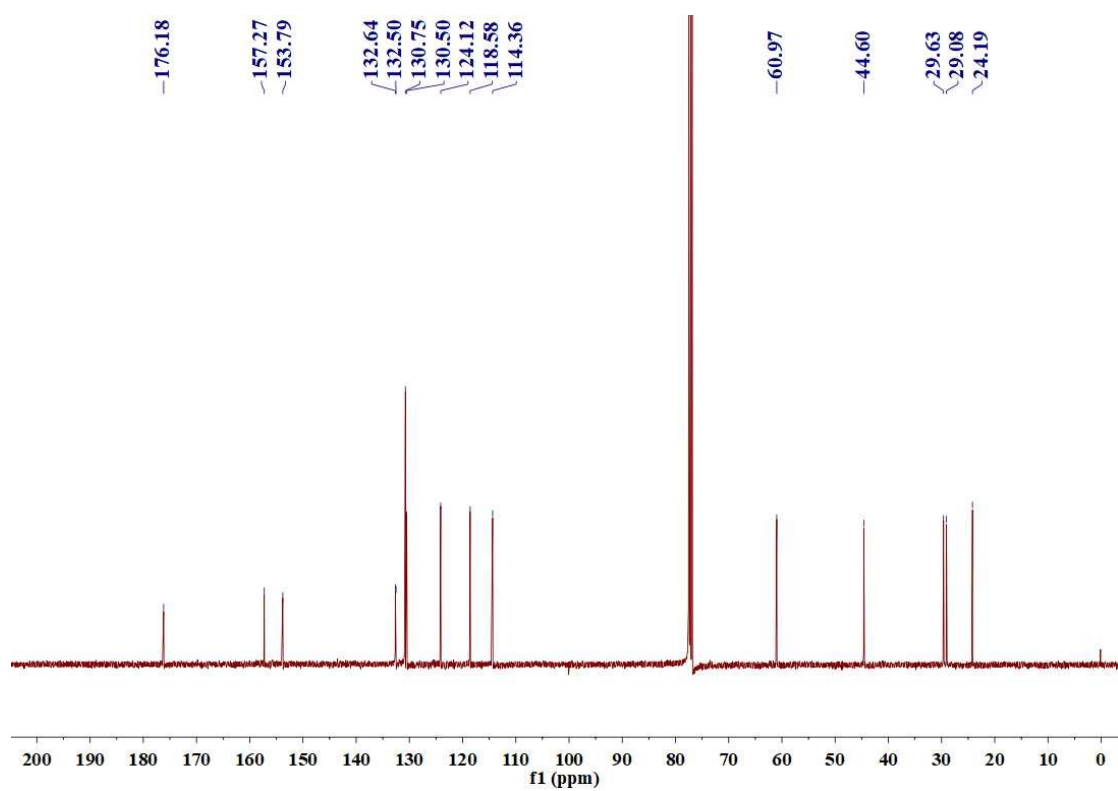
¹H NMR (400 MHz, CDCl₃) spectrum of **3e**



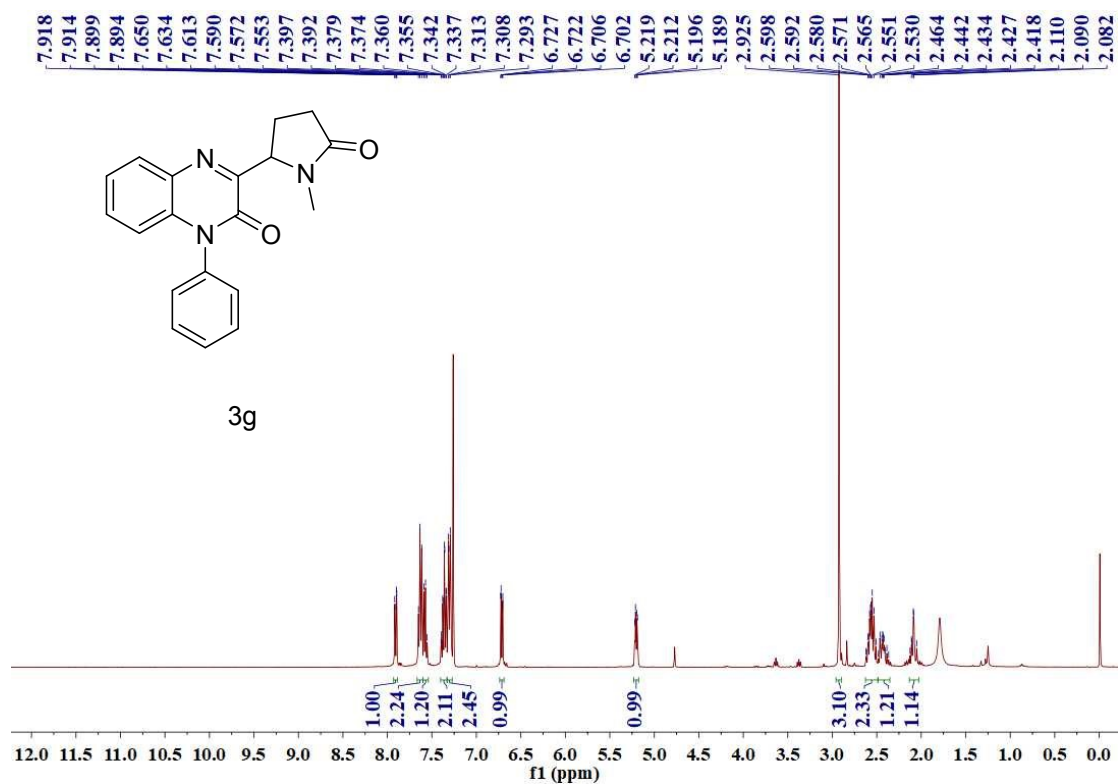
¹³C NMR (101 MHz, CDCl₃) spectrum of **3e**



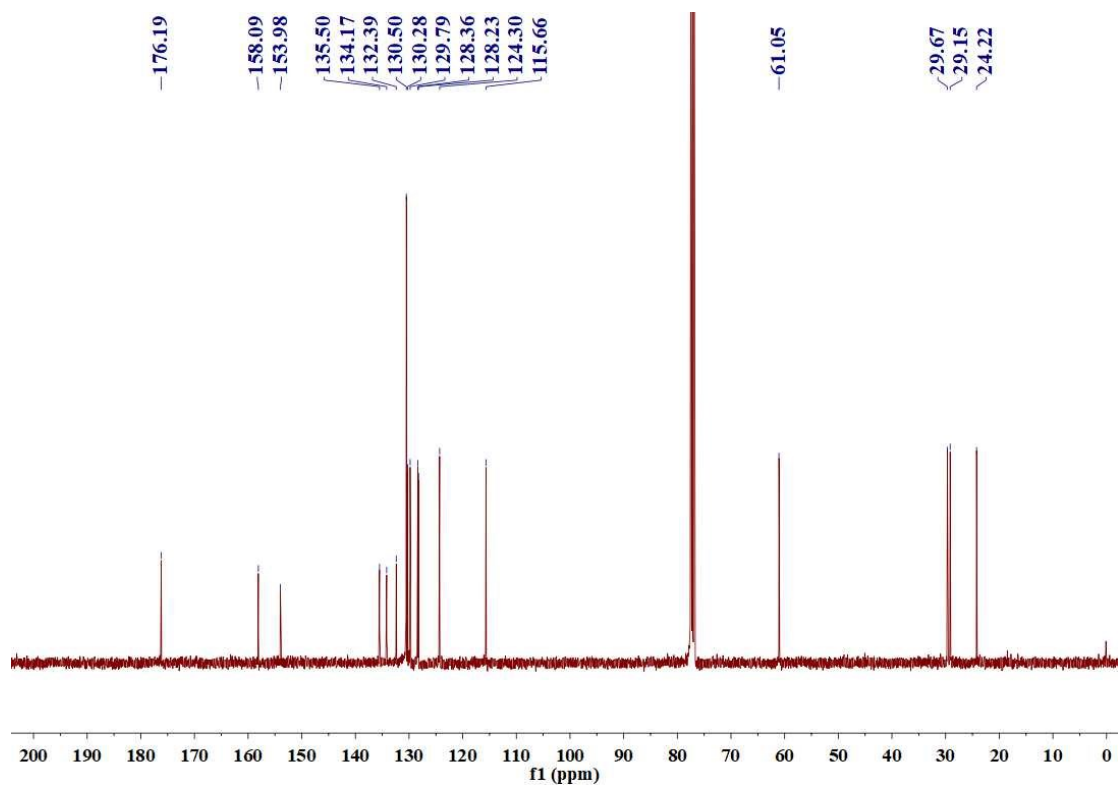
¹H NMR (400 MHz, CDCl₃) spectrum of **3f**



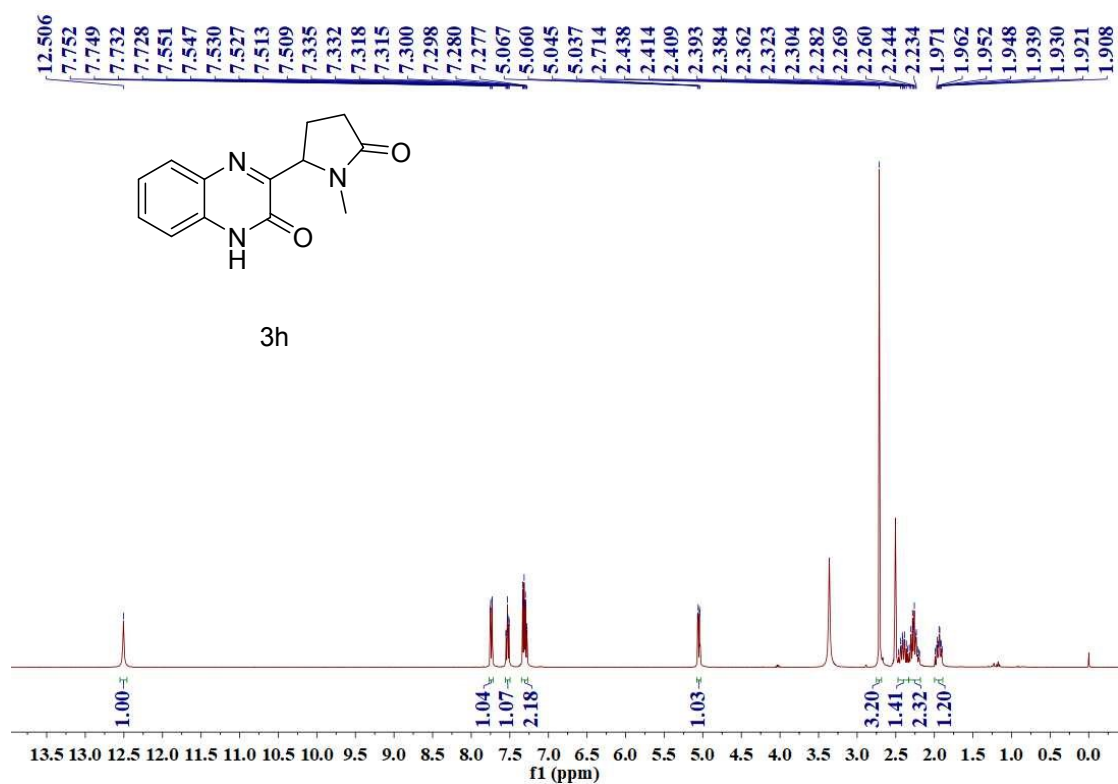
¹³C NMR (101 MHz, CDCl₃) spectrum of **3f**



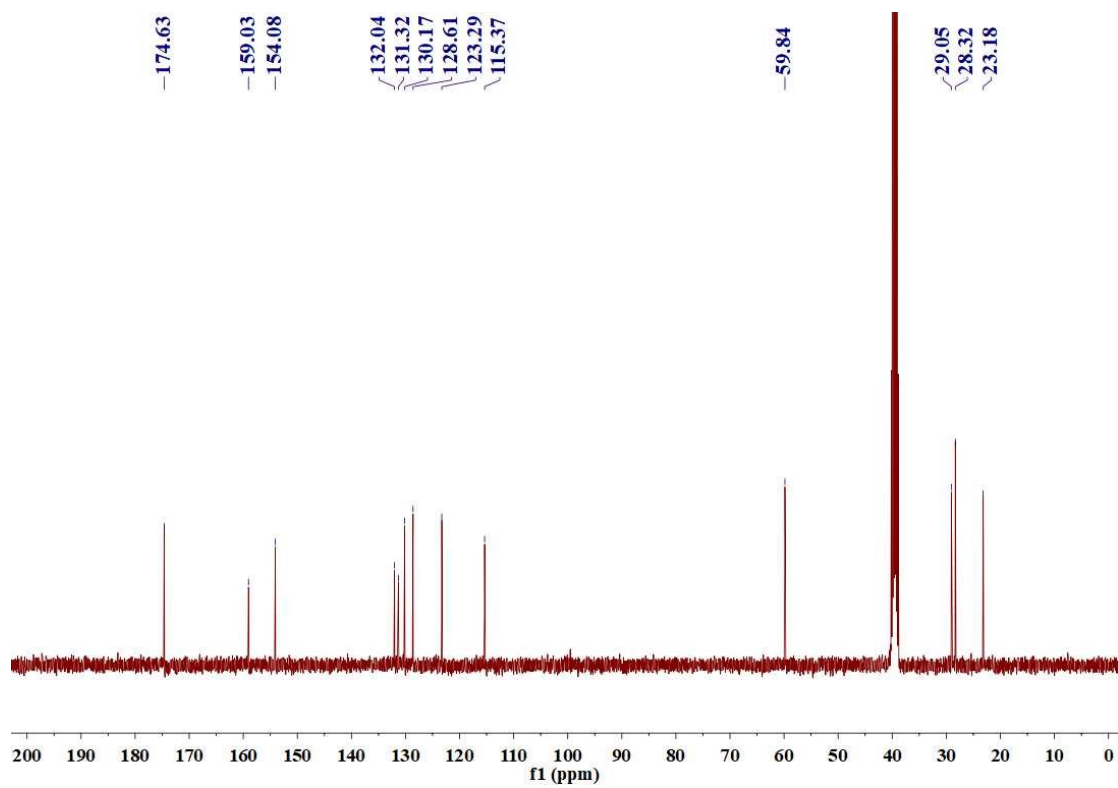
¹H NMR (400 MHz, CDCl₃) spectrum of 3g



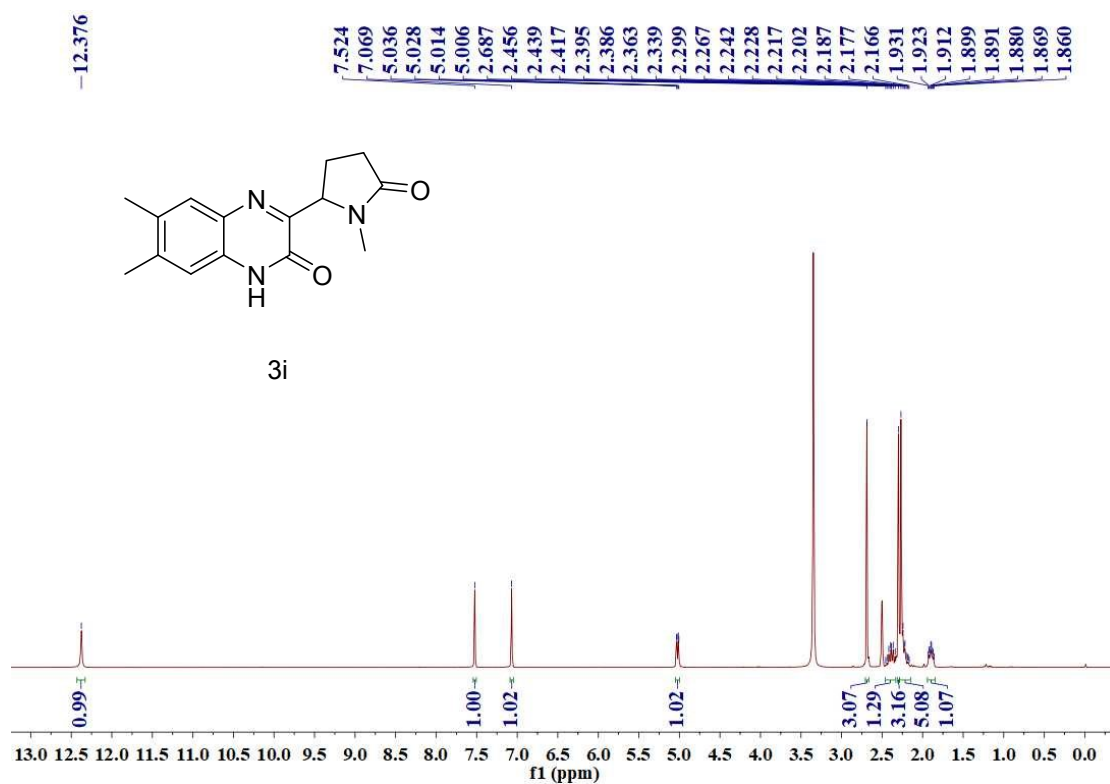
¹³C NMR (101 MHz, CDCl₃) spectrum of 3g



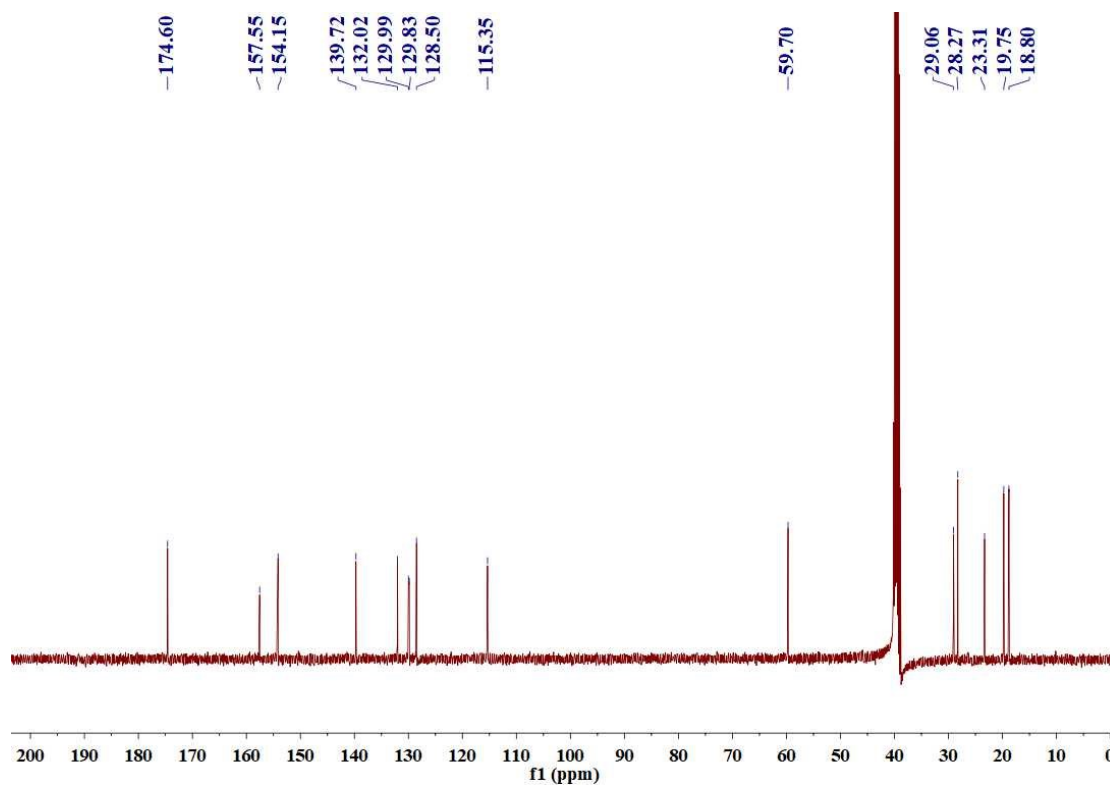
¹H NMR (400 MHz, DMSO-*d*₆) spectrum of **3h**



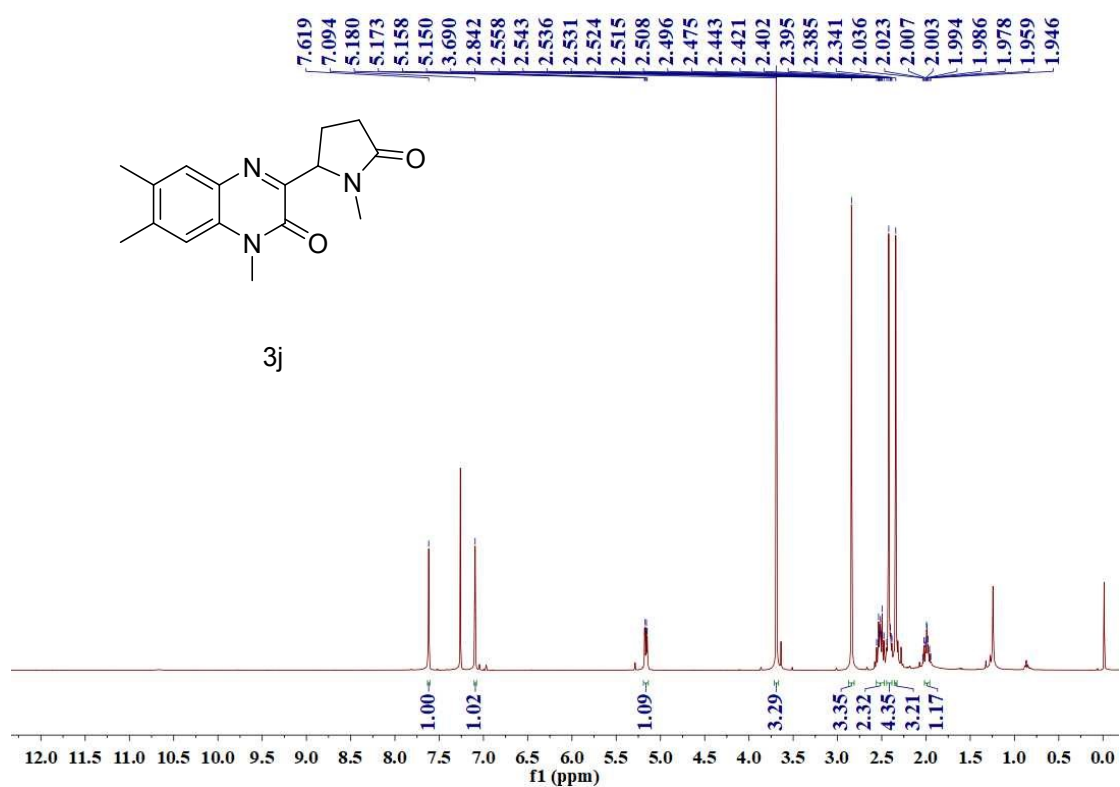
¹³C NMR (101 MHz, DMSO-*d*₆) spectrum of **3h**



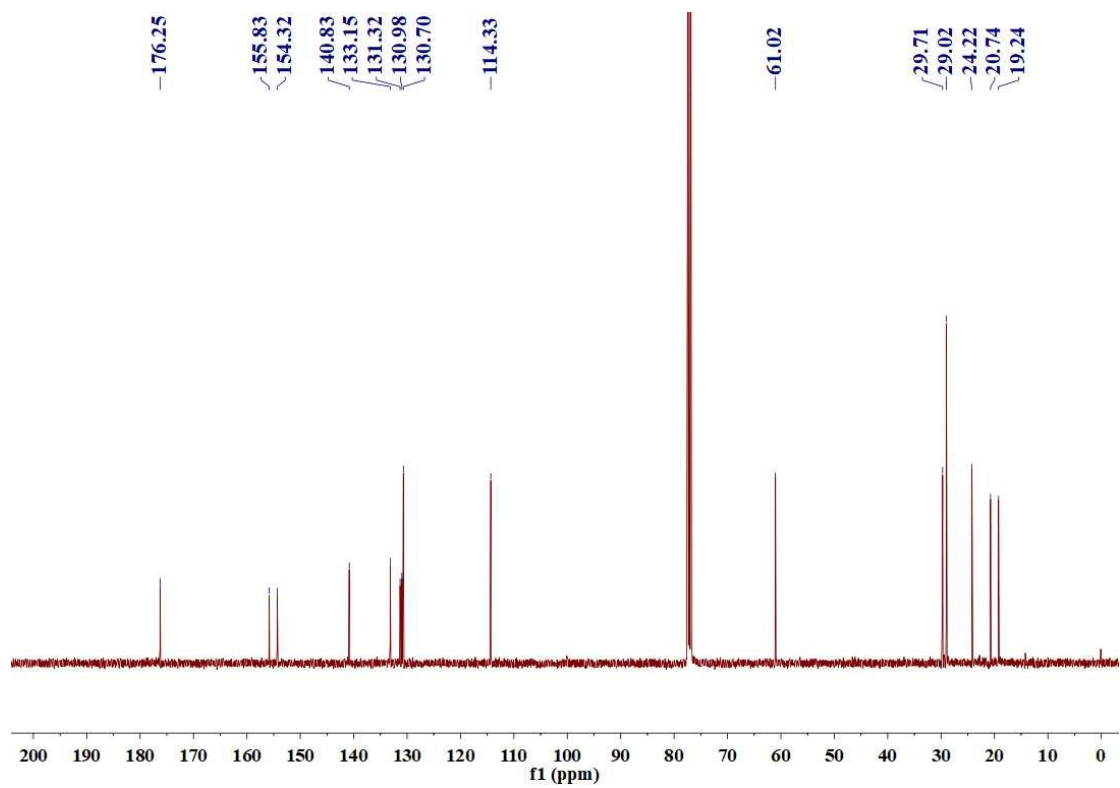
¹H NMR (400 MHz, DMSO-*d*₆) spectrum of **3i**



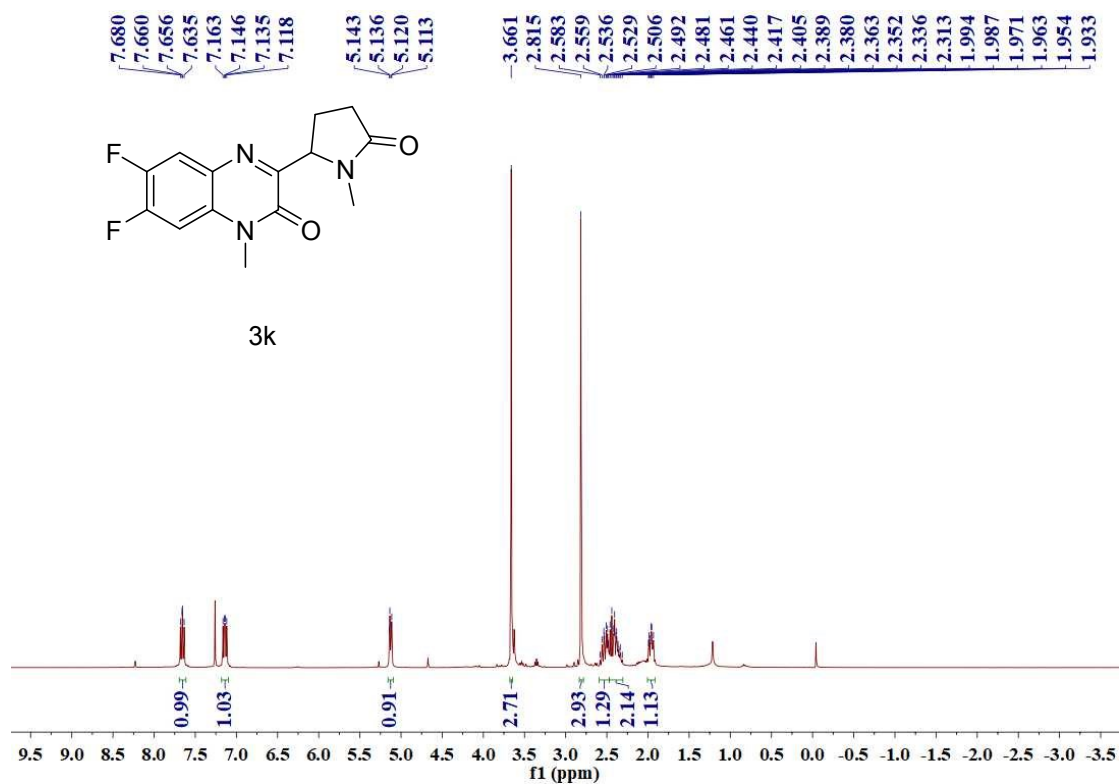
¹³C NMR (101 MHz, DMSO-*d*₆) spectrum of **3i**



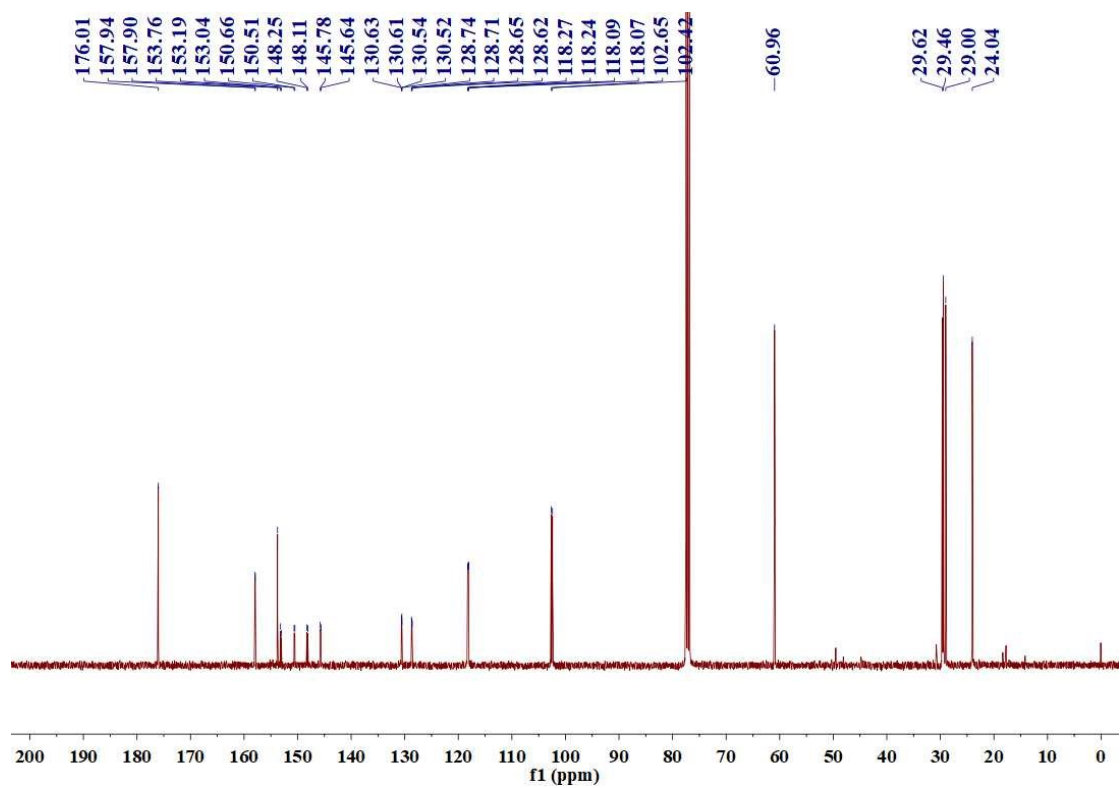
¹H NMR (400 MHz, CDCl₃) spectrum of **3j**



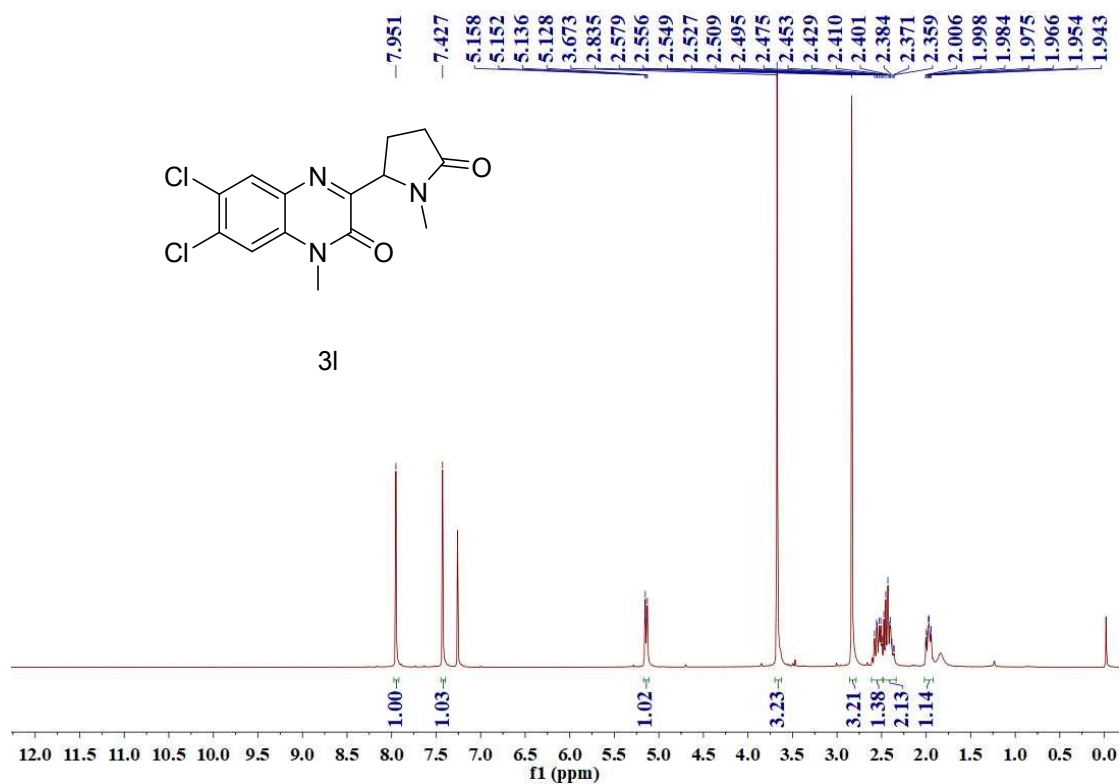
¹³C NMR (101 MHz, CDCl₃) spectrum of **3j**



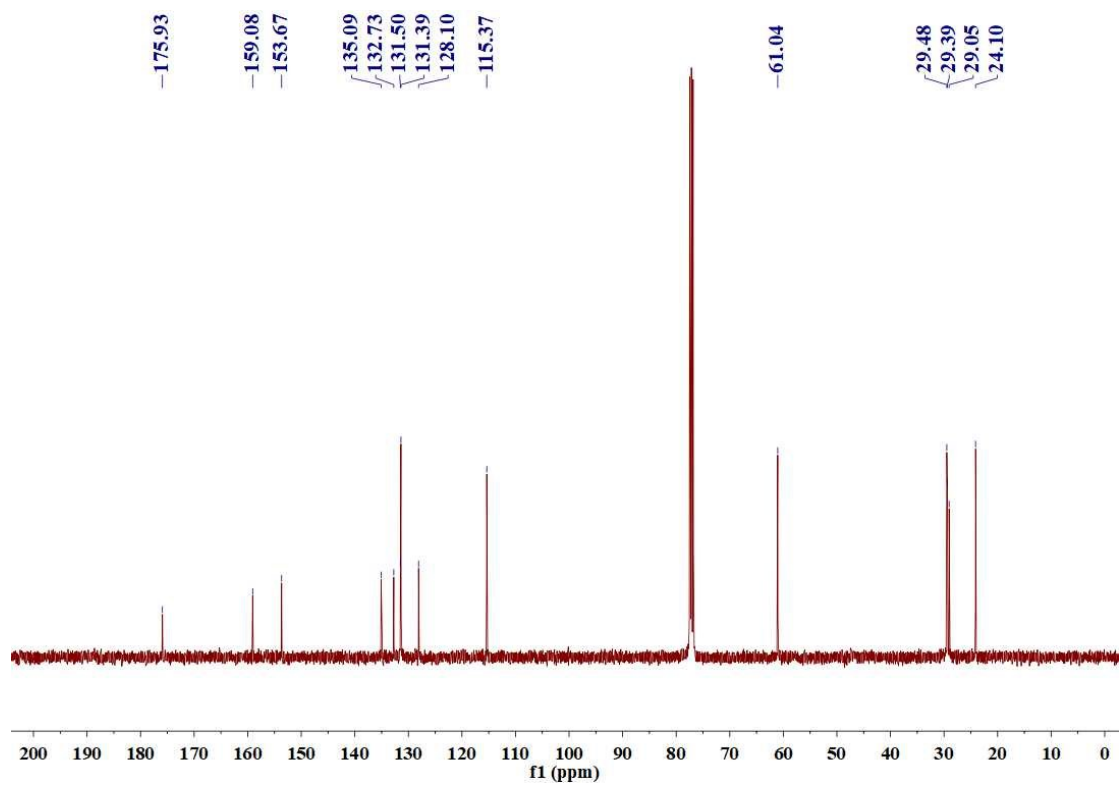
¹H NMR (400 MHz, CDCl₃) spectrum of **3k**



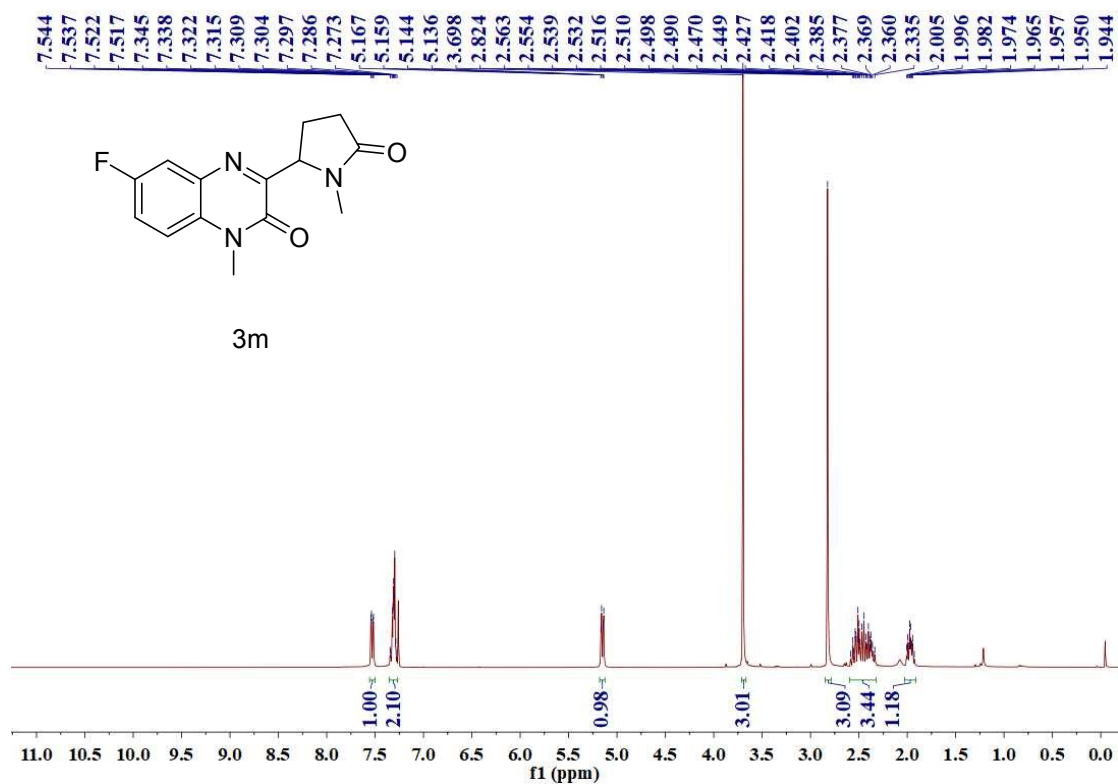
¹³C NMR (101 MHz, CDCl₃) spectrum of **3k**



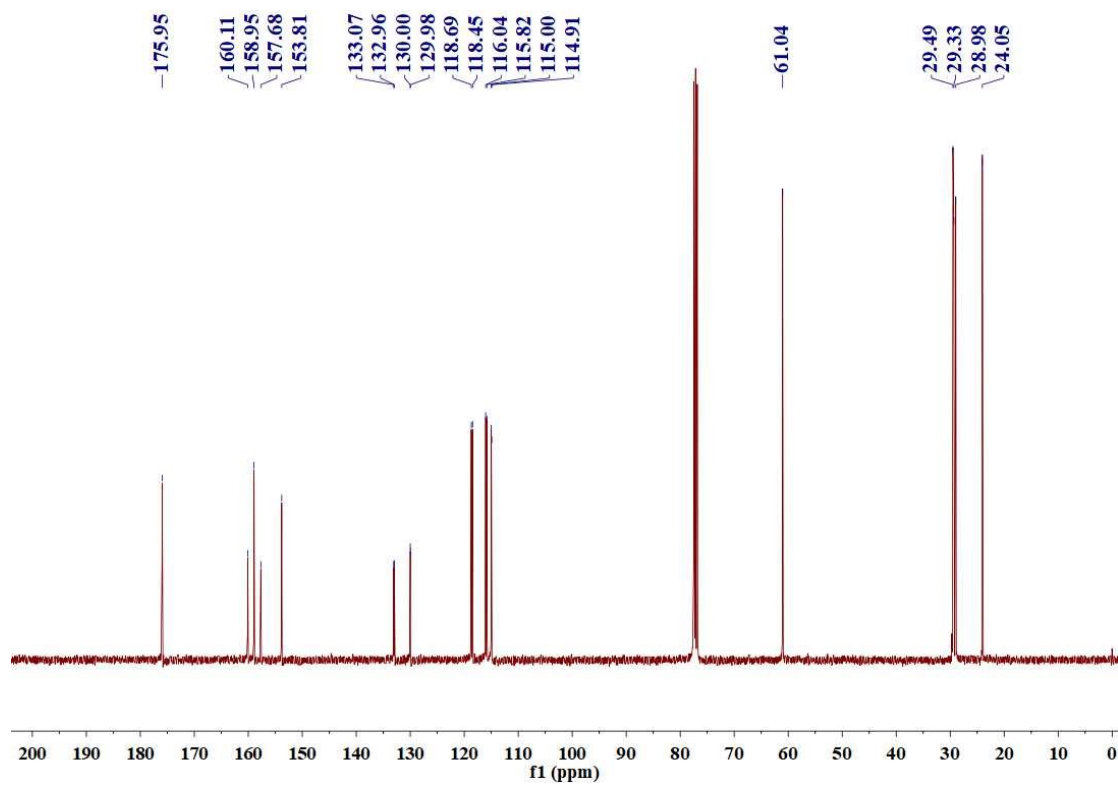
¹H NMR (400 MHz, CDCl₃) spectrum of **3l**



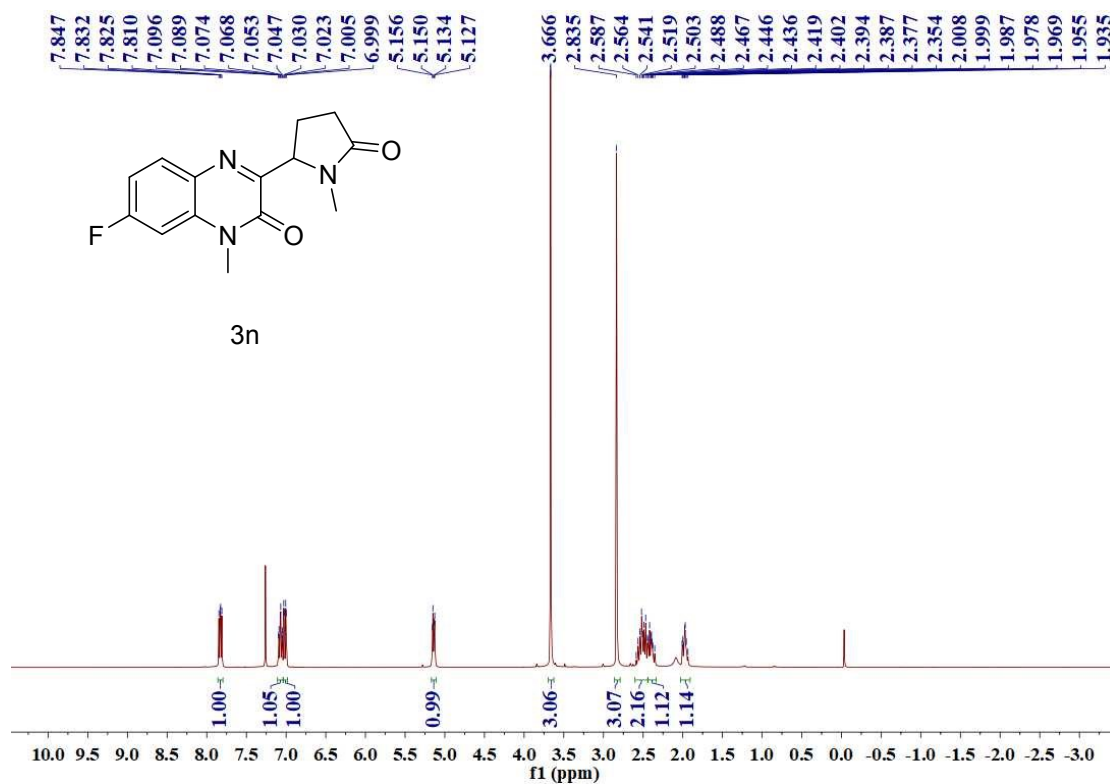
¹³C NMR (101 MHz, CDCl₃) spectrum of **3l**



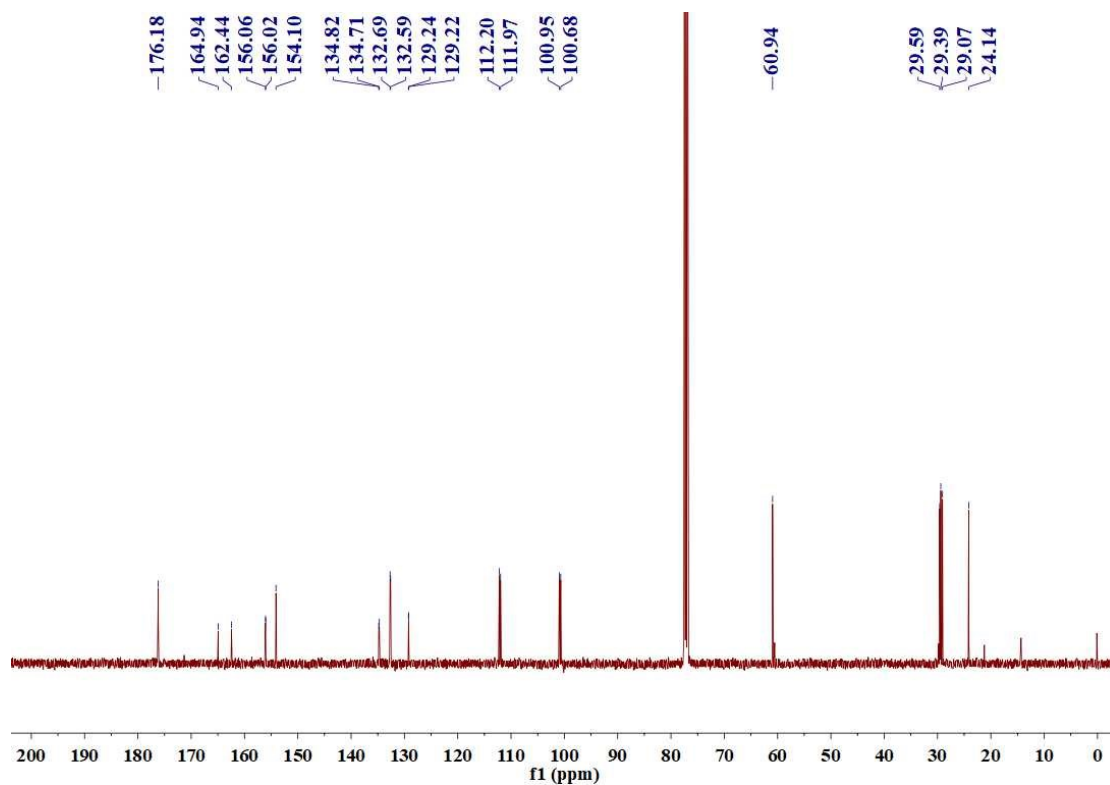
¹H NMR (400 MHz, CDCl₃) spectrum of **3m**



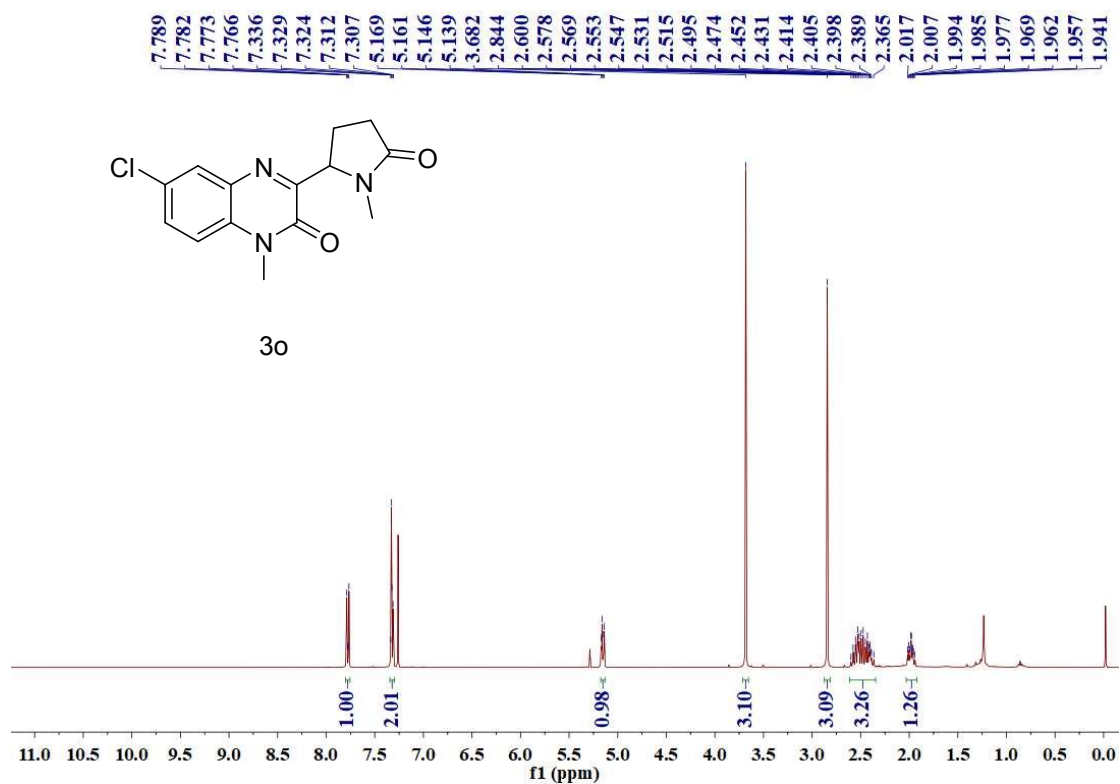
¹³C NMR (101 MHz, CDCl₃) spectrum of **3m**



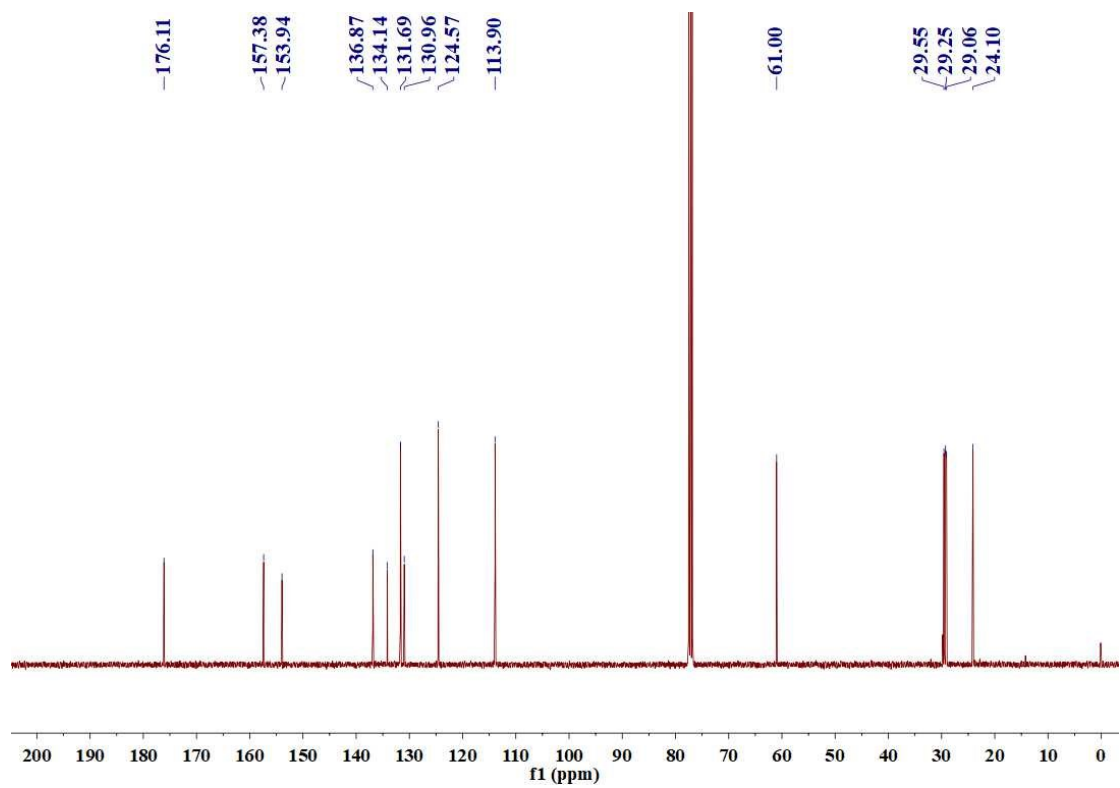
¹H NMR (400 MHz, CDCl₃) spectrum of **3n**



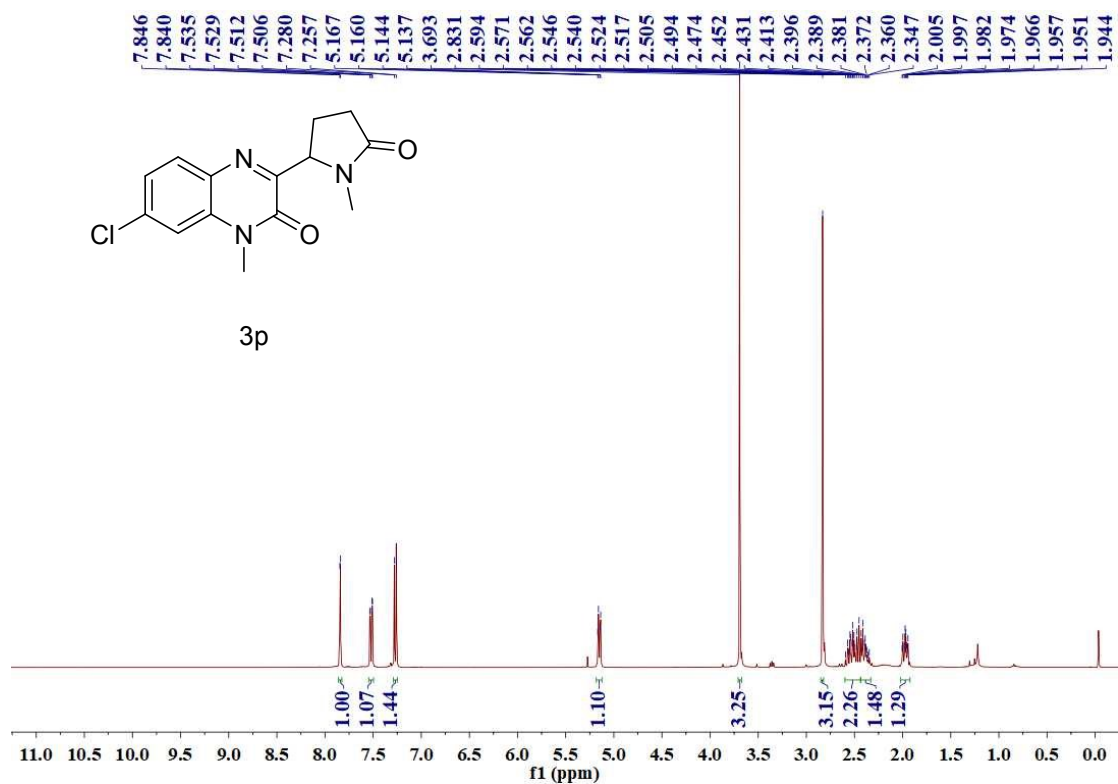
¹³C NMR (101 MHz, CDCl₃) spectrum of **3n**



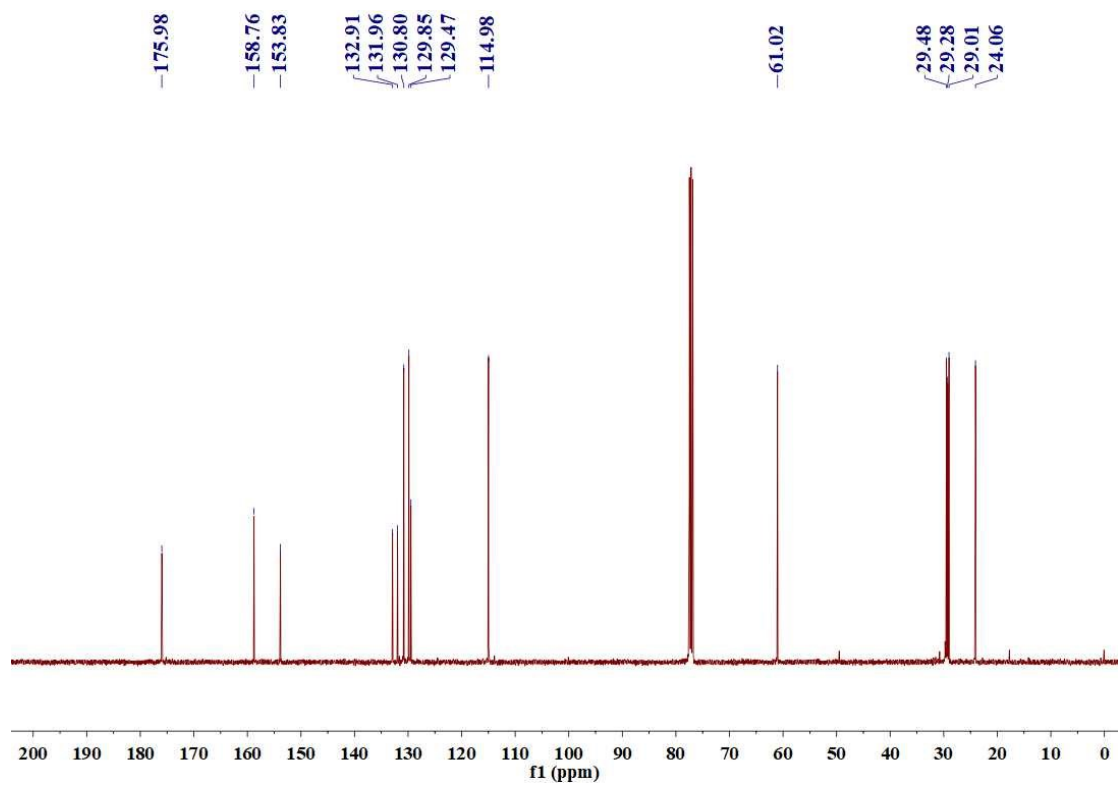
^1H NMR (400 MHz, CDCl_3) spectrum of **30**



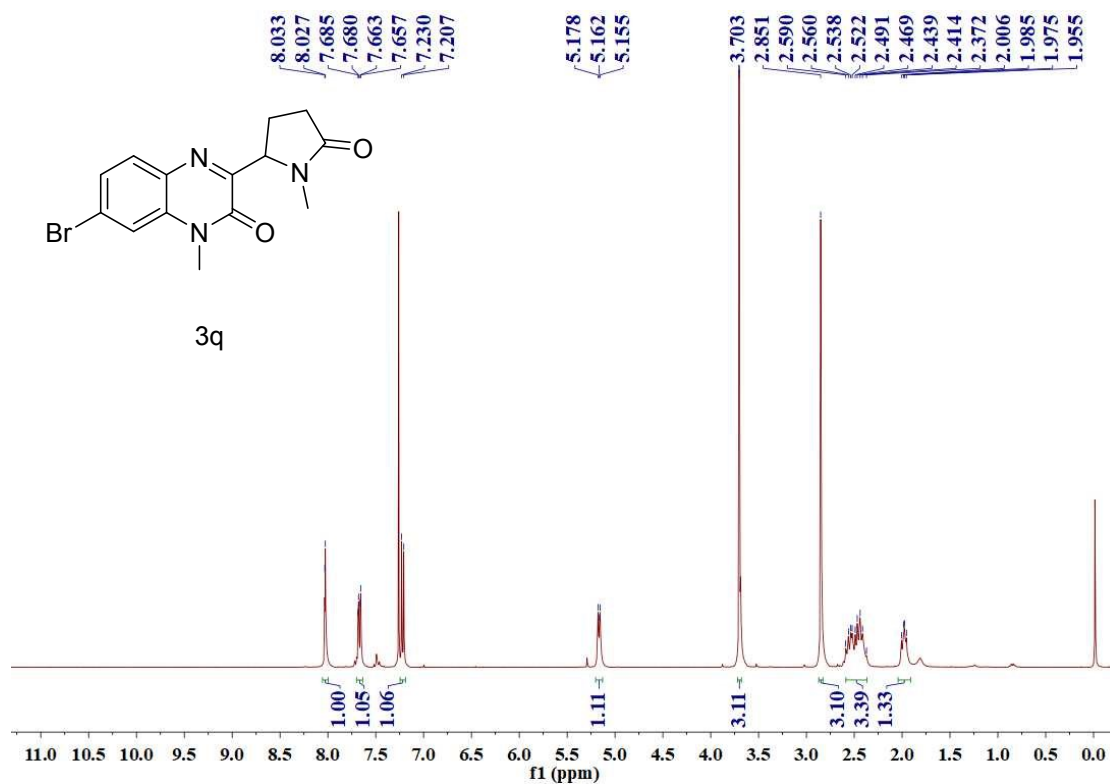
^{13}C NMR (101 MHz, CDCl_3) spectrum of **30**



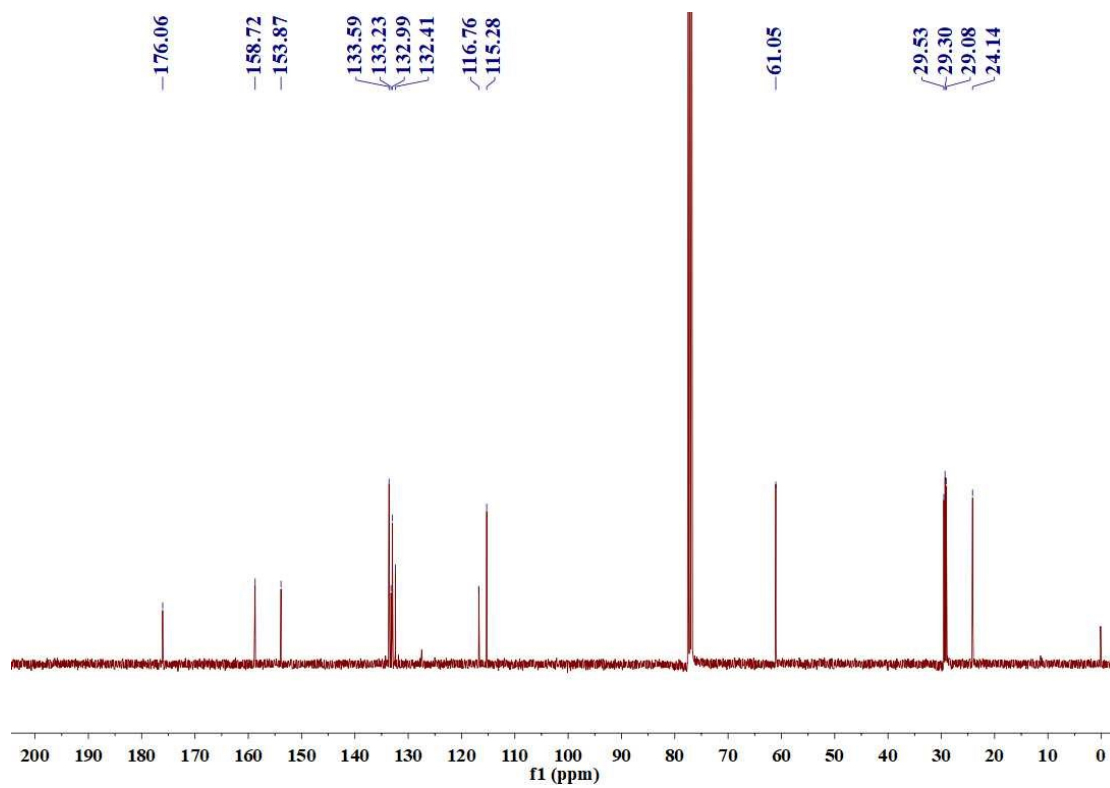
¹H NMR (400 MHz, CDCl₃) spectrum of **3p**



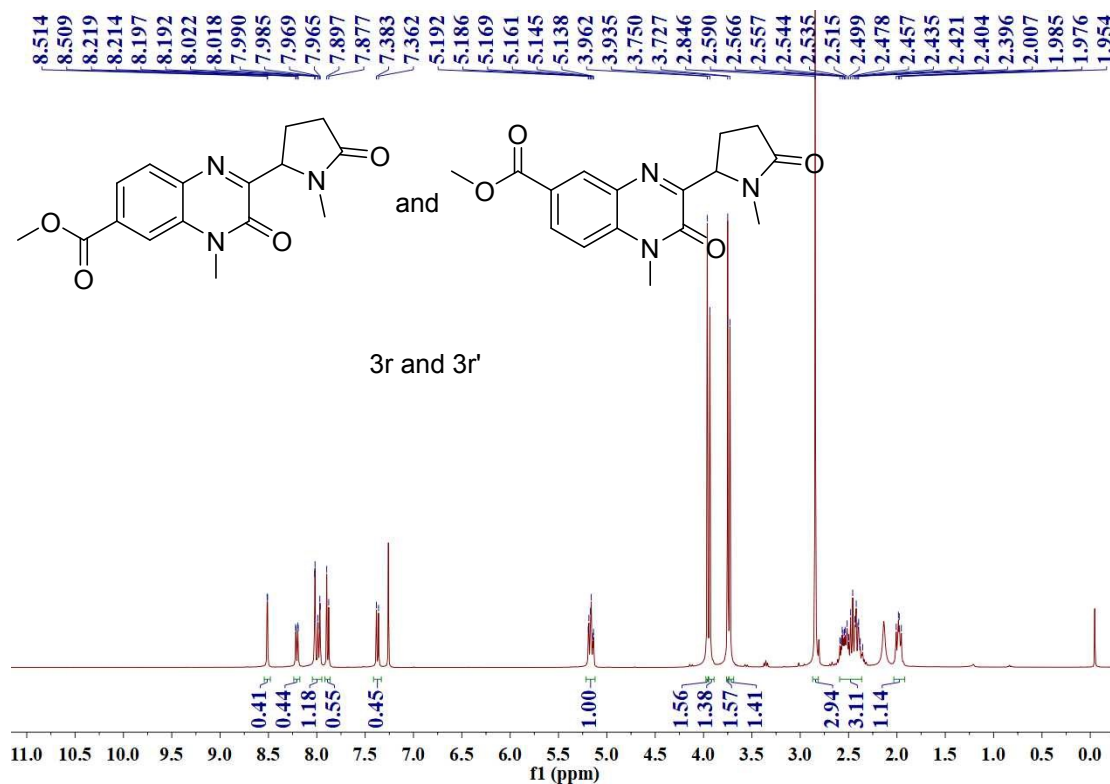
¹³C NMR (101 MHz, CDCl₃) spectrum of **3p**



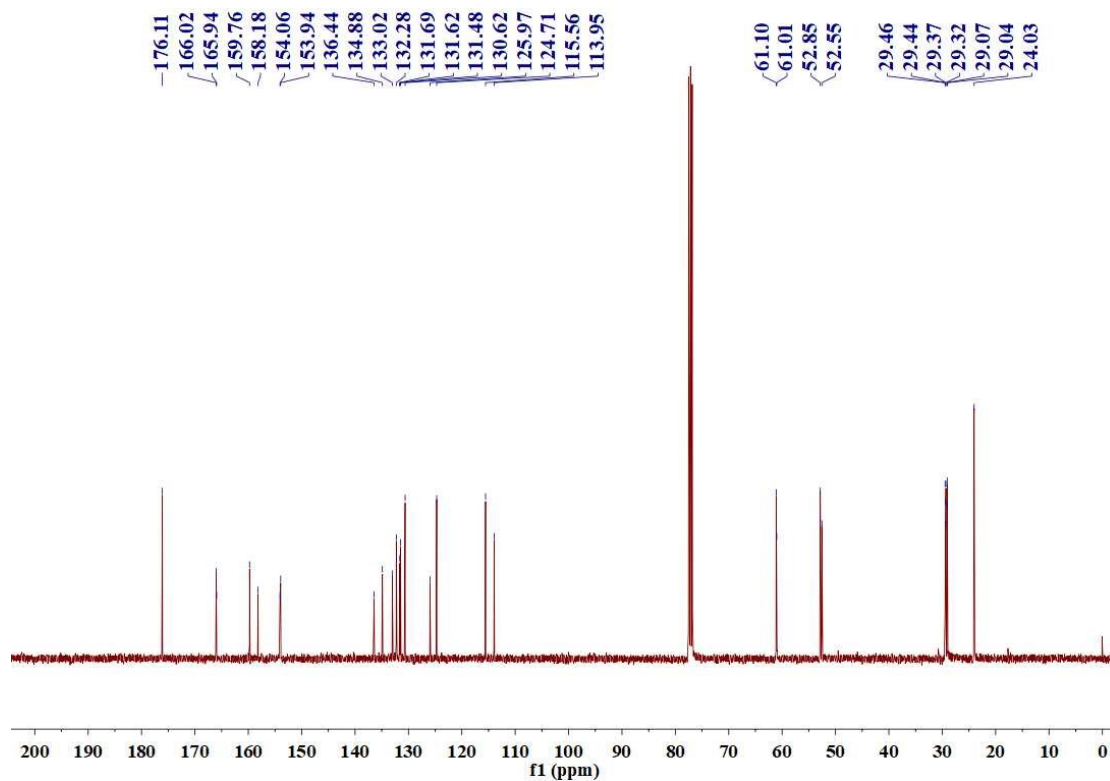
¹H NMR (400 MHz, CDCl₃) spectrum of **3q**



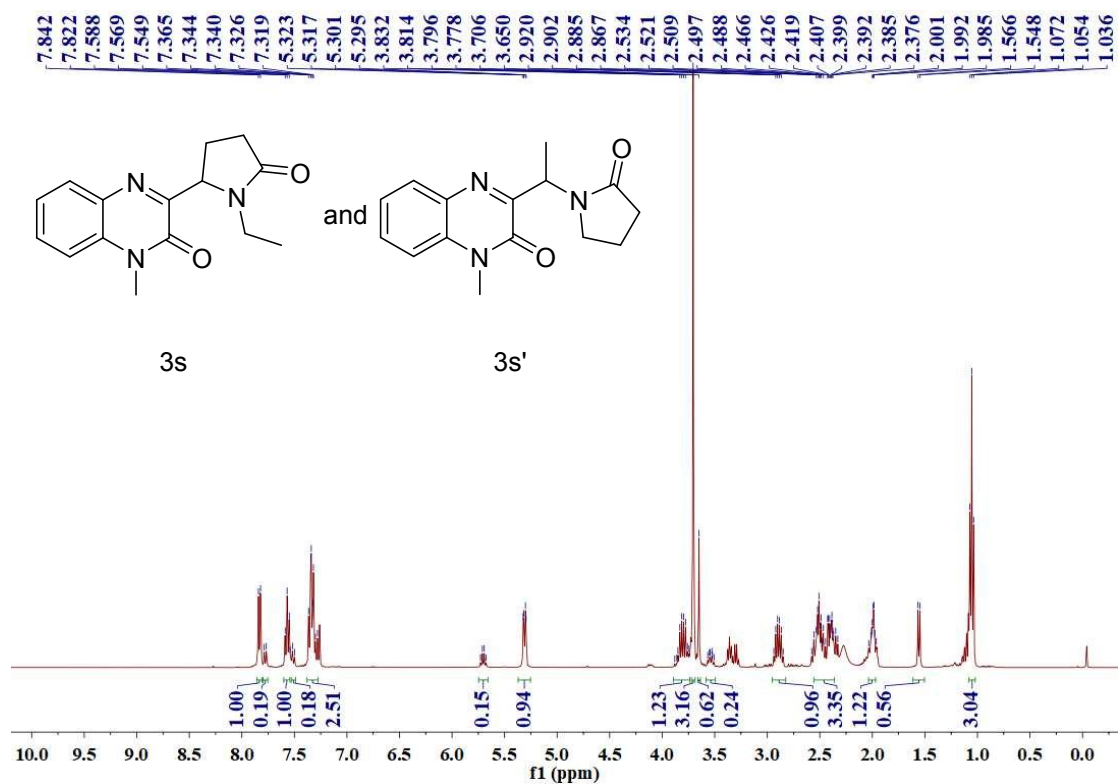
¹³C NMR (101 MHz, CDCl₃) spectrum of **3q**



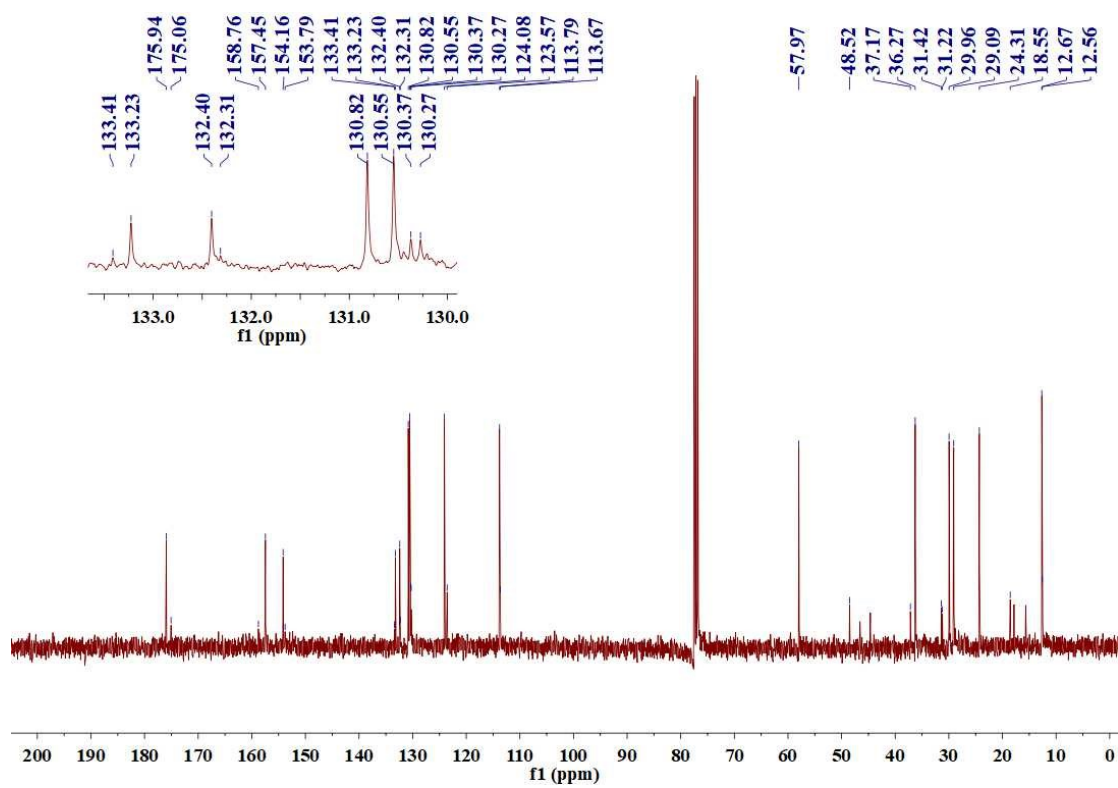
¹H NMR (400 MHz, CDCl₃) spectrum of **3r** and **3r'**



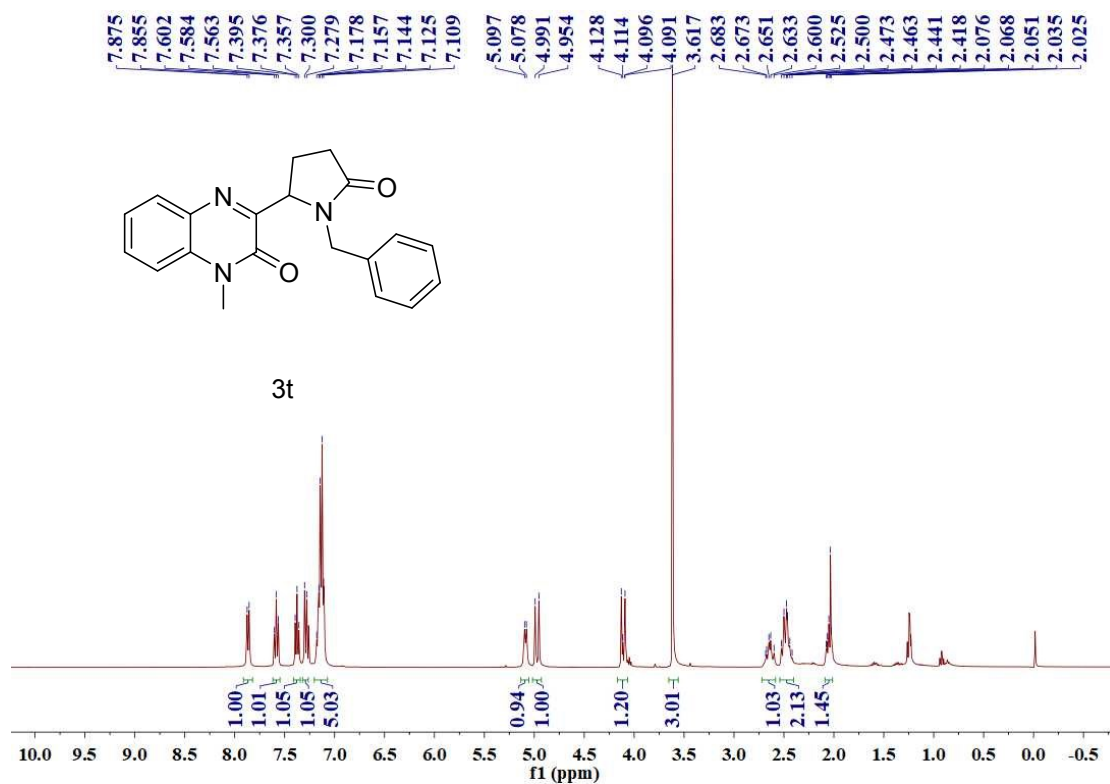
¹³C NMR (101 MHz, CDCl₃) spectrum of **3r** and **3r'**



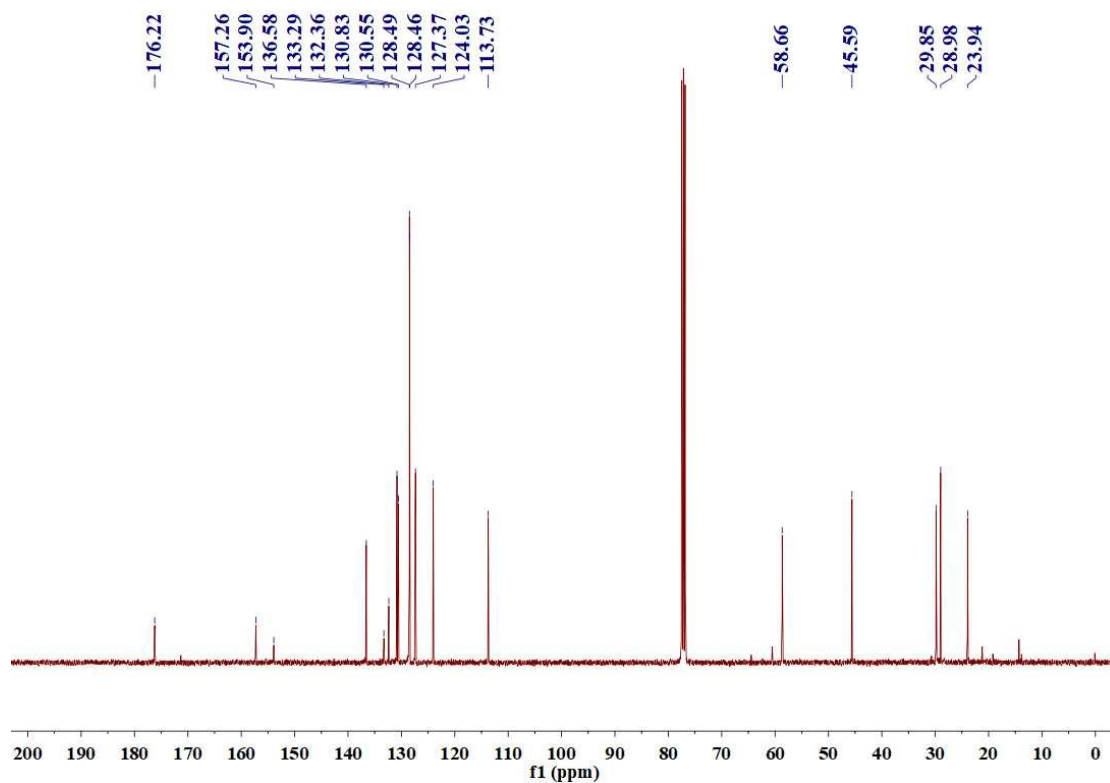
^1H NMR (400 MHz, CDCl_3) spectrum of **3s** and **3s'**



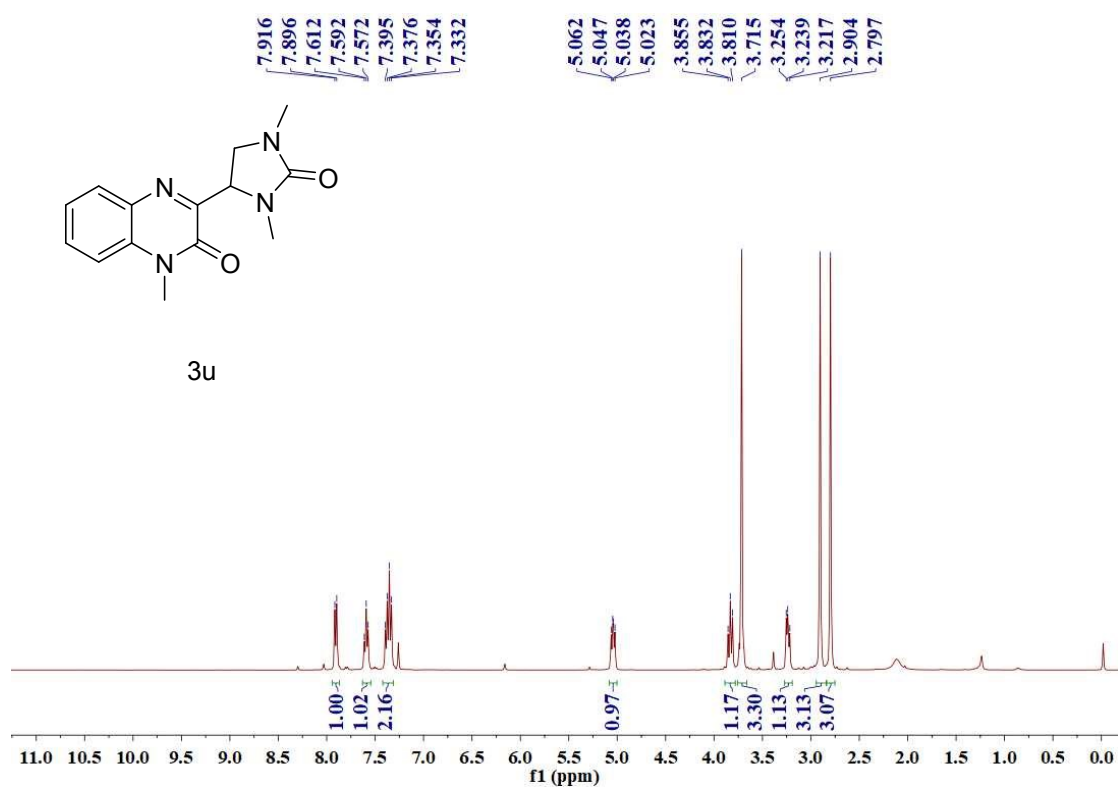
^{13}C NMR (101 MHz, CDCl_3) spectrum of **3s** and **3s'**



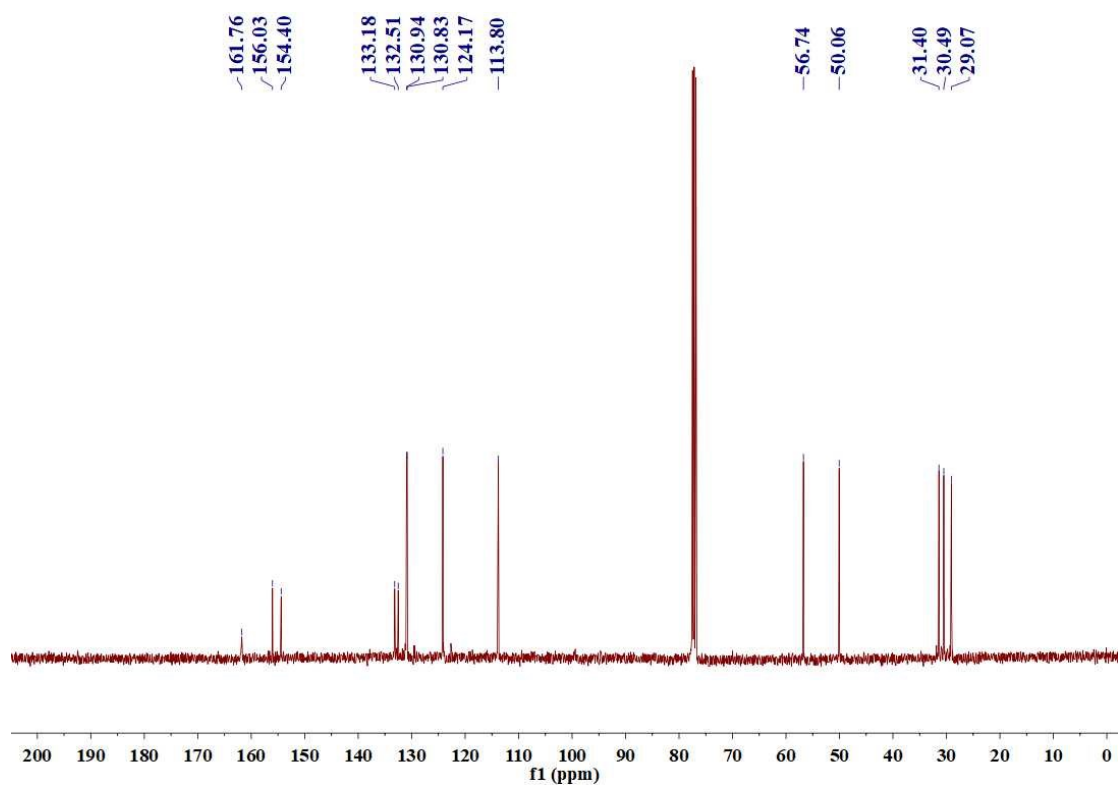
¹H NMR (400 MHz, CDCl₃) spectrum of **3t**



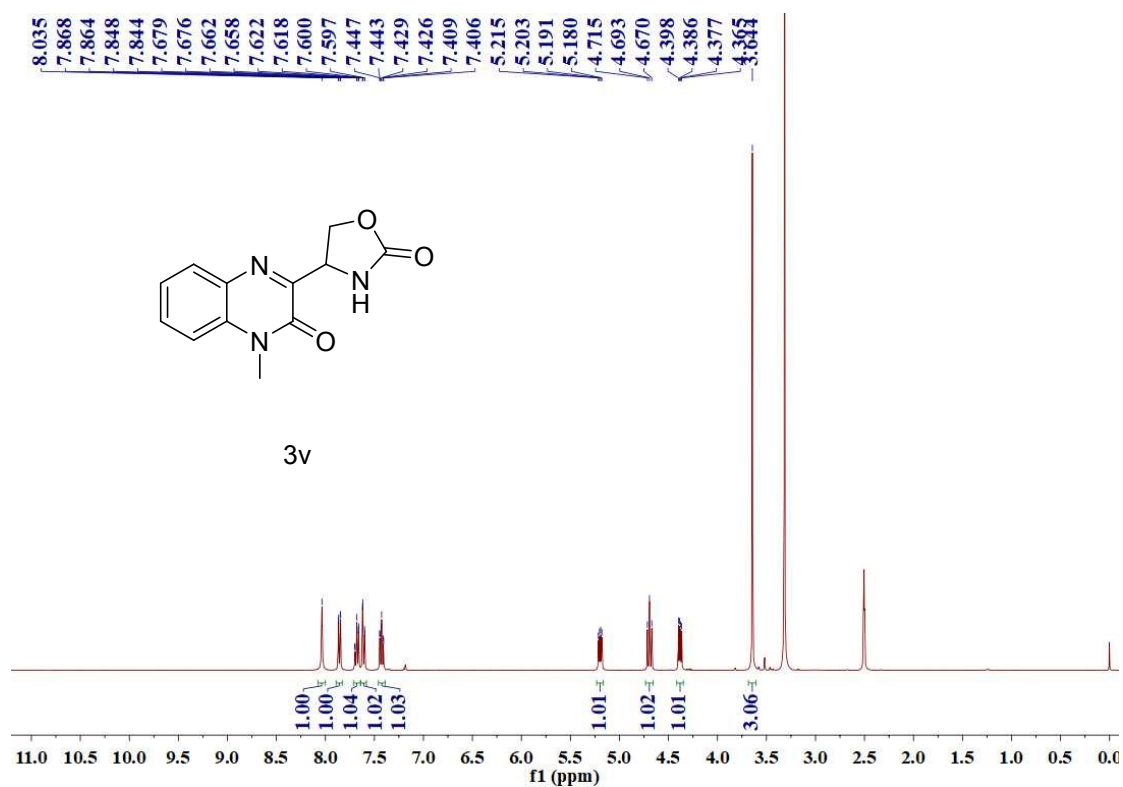
¹³C NMR (101 MHz, CDCl₃) spectrum of **3t**



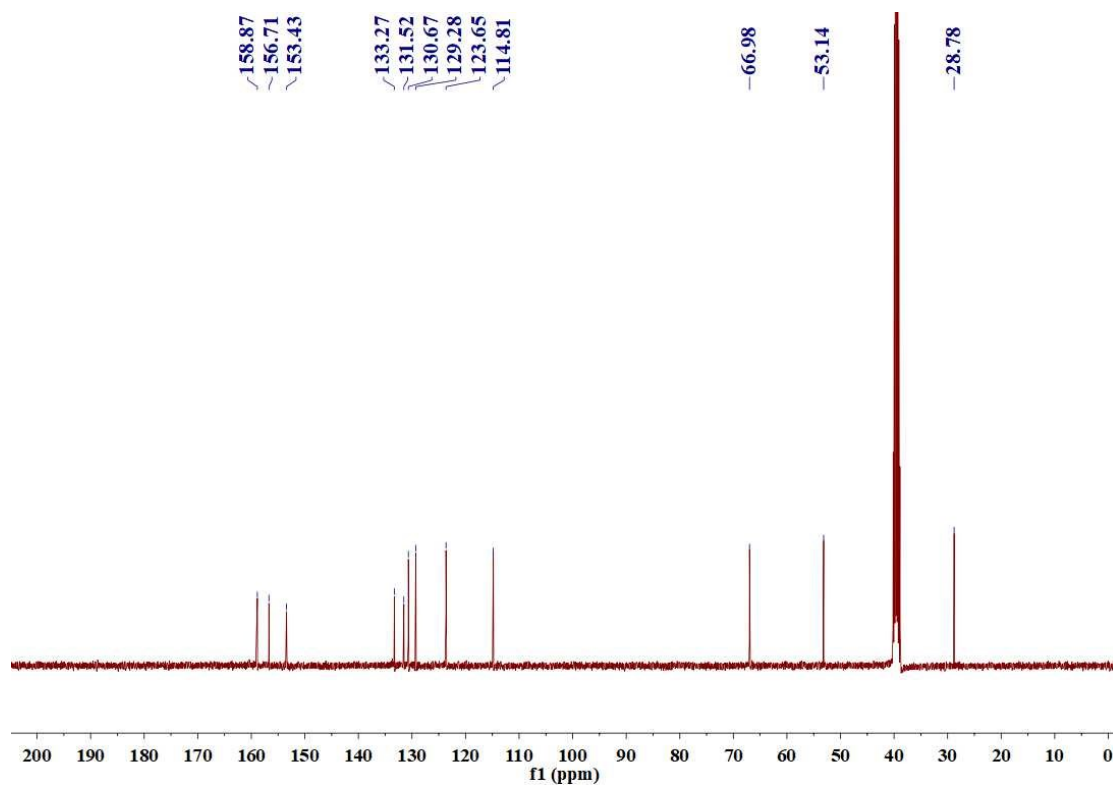
^1H NMR (400 MHz, CDCl_3) spectrum of **3u**



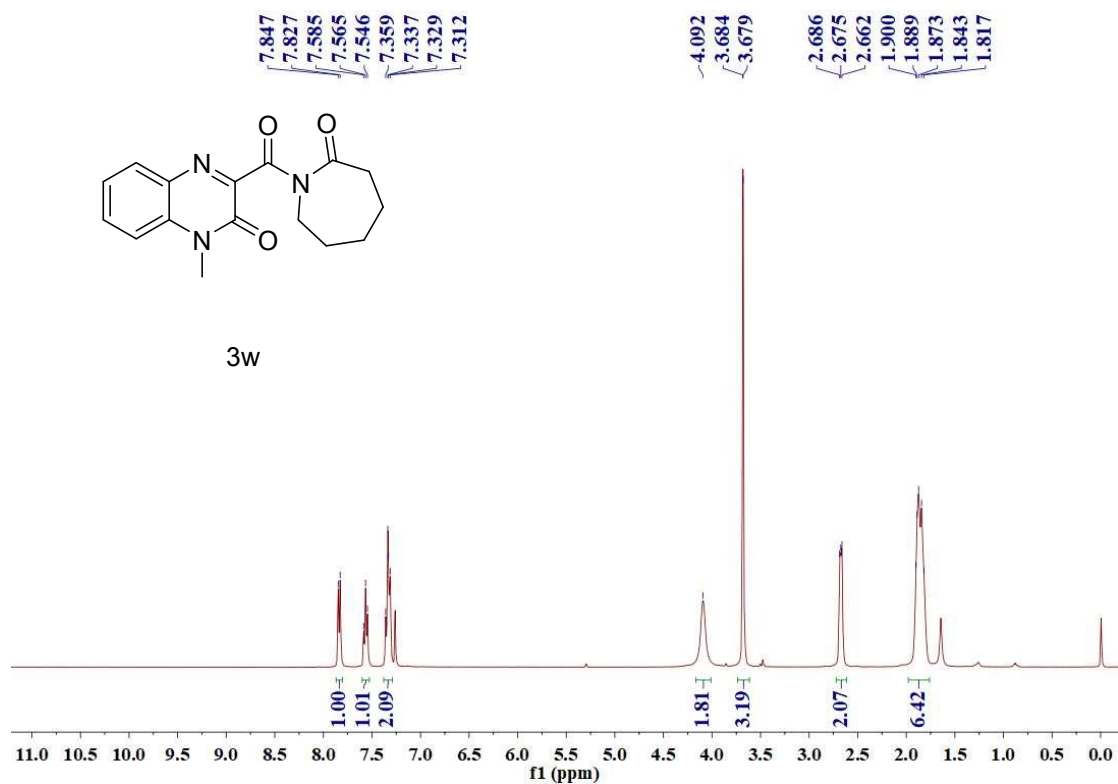
^{13}C NMR (101 MHz, CDCl_3) spectrum of **3u**



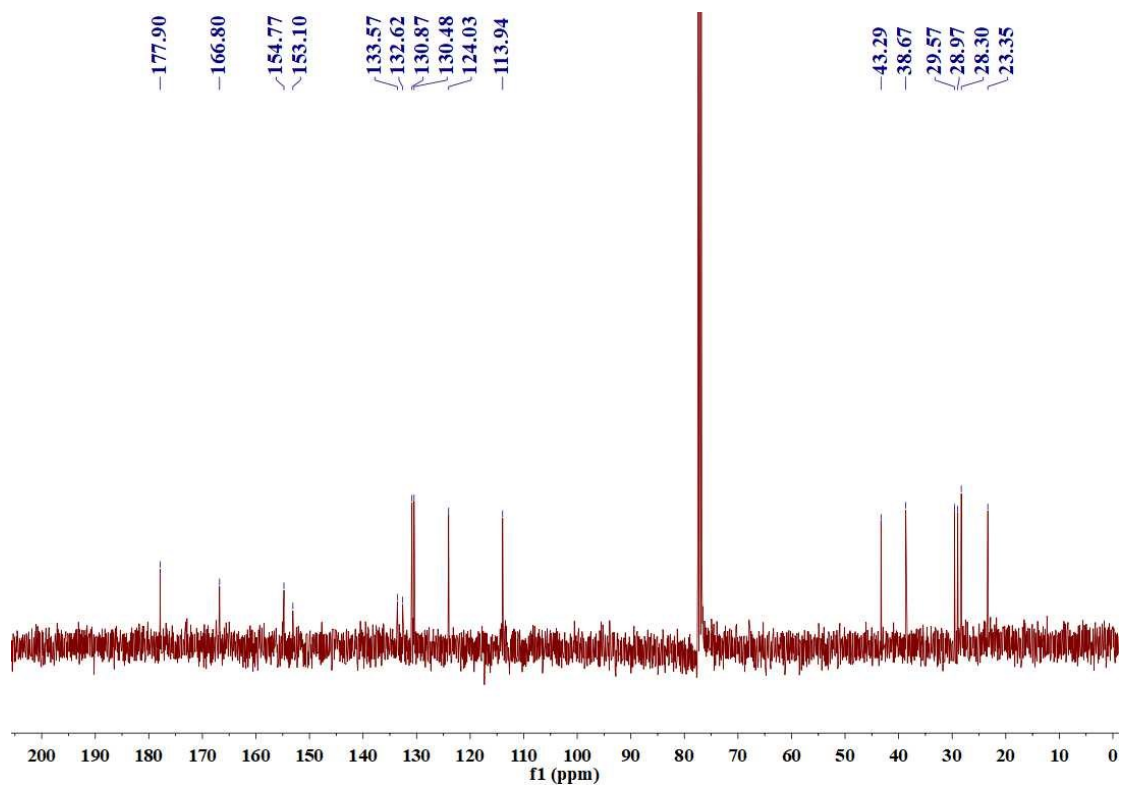
¹H NMR (400 MHz, DMSO-*d*₆) spectrum of **3v**



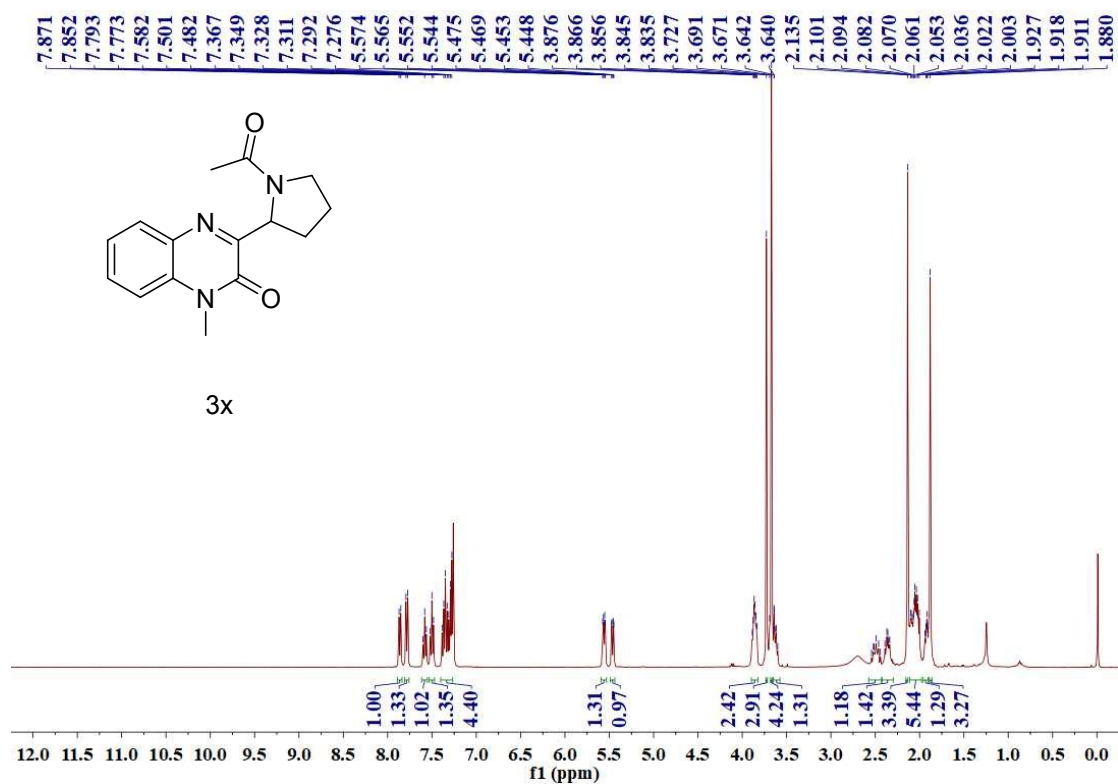
¹³C NMR (101 MHz, DMSO-*d*₆) spectrum of **3v**



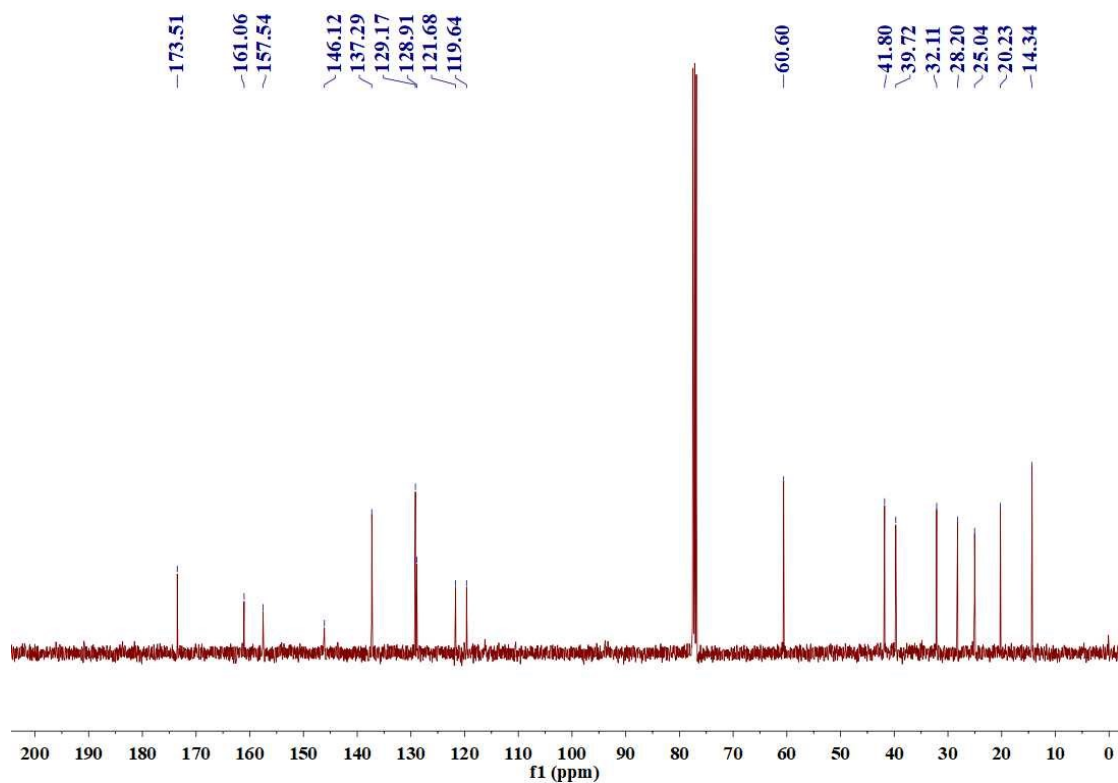
^1H NMR (400 MHz, CDCl_3) spectrum of **3w**



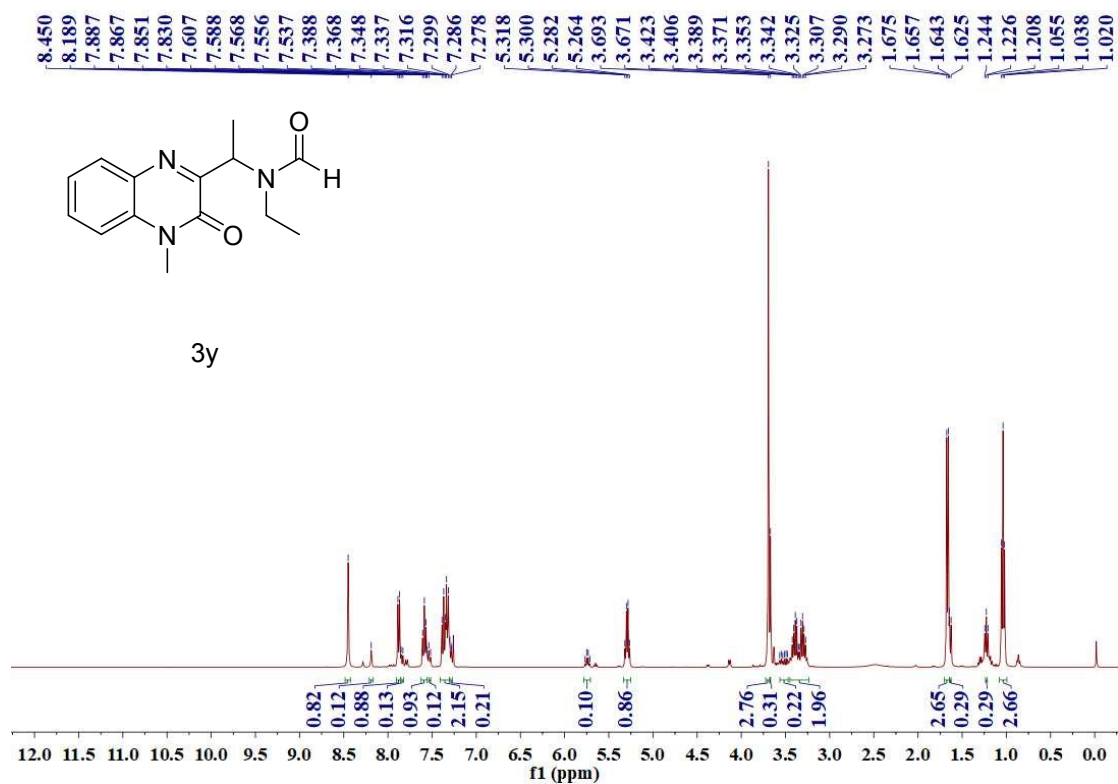
^{13}C NMR (101 MHz, CDCl_3) spectrum of **3w**



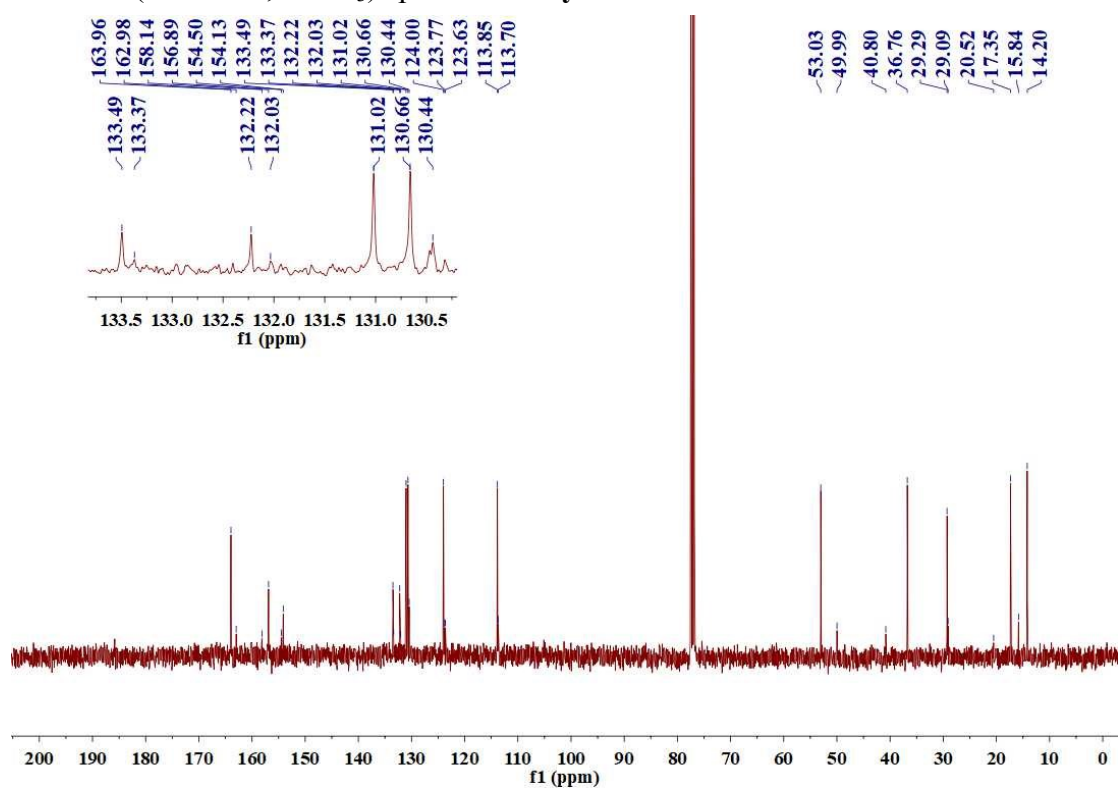
¹H NMR (400 MHz, CDCl₃) spectrum of **3x**



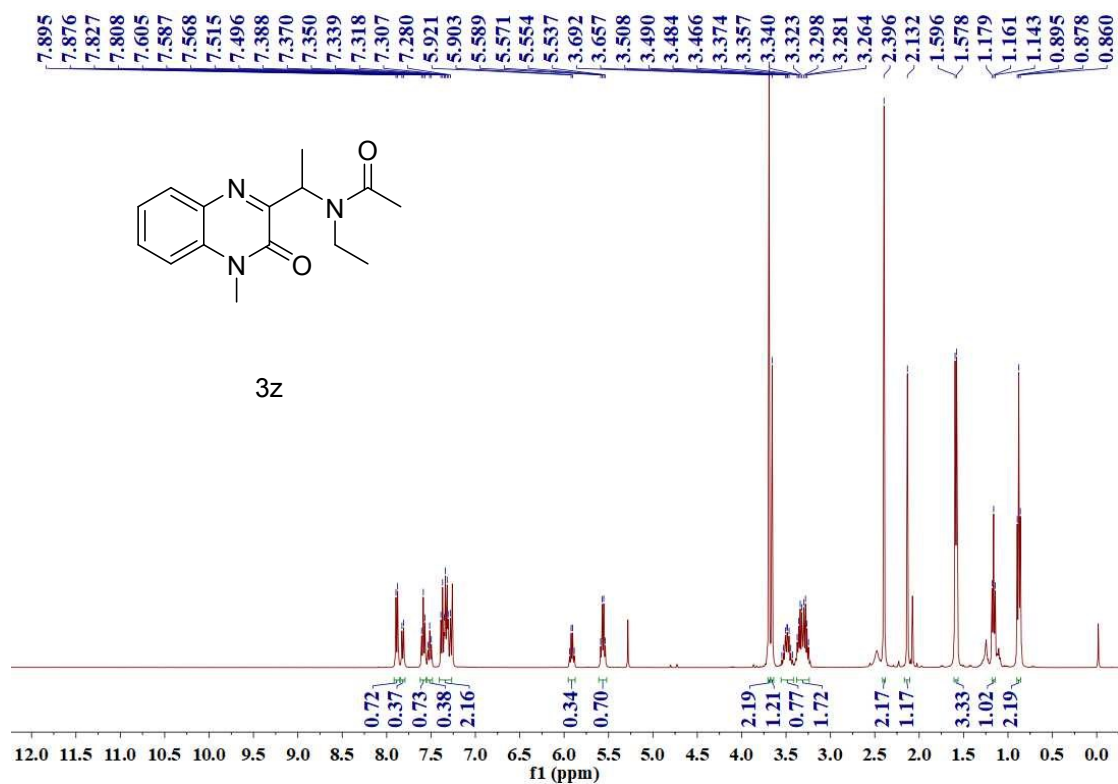
¹³C NMR (101 MHz, CDCl₃) spectrum of **3x**



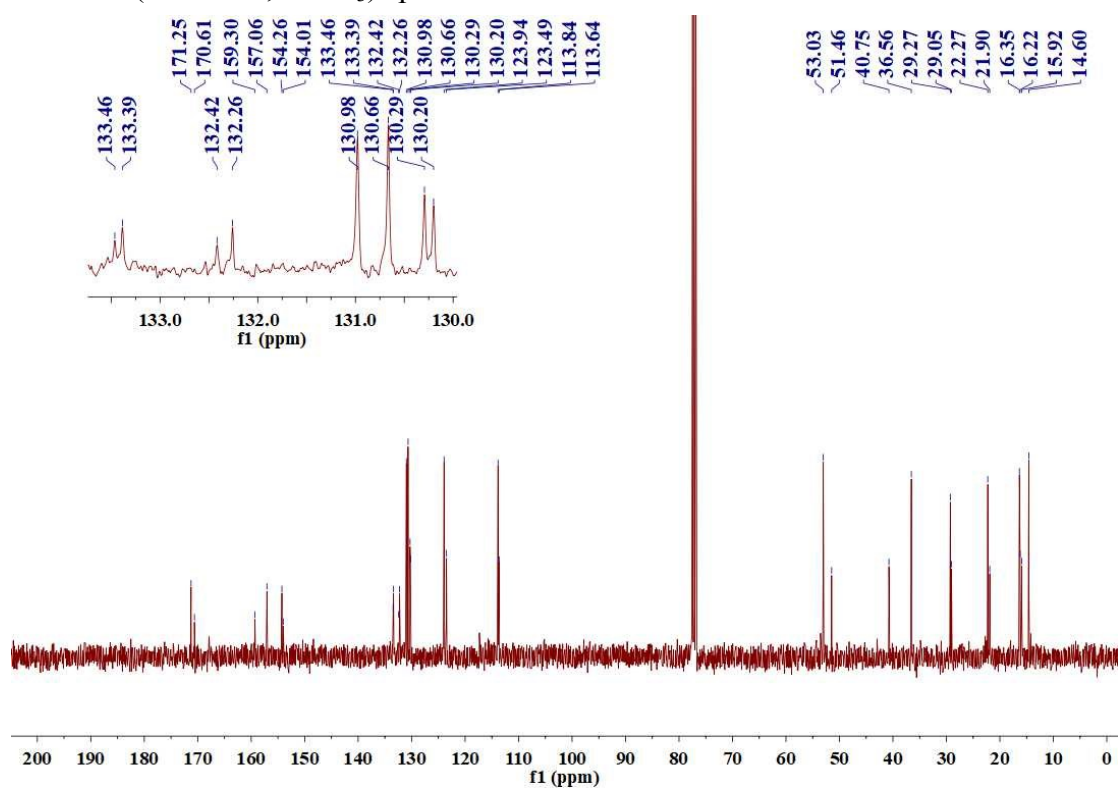
¹H NMR (400 MHz, CDCl₃) spectrum of **3y**



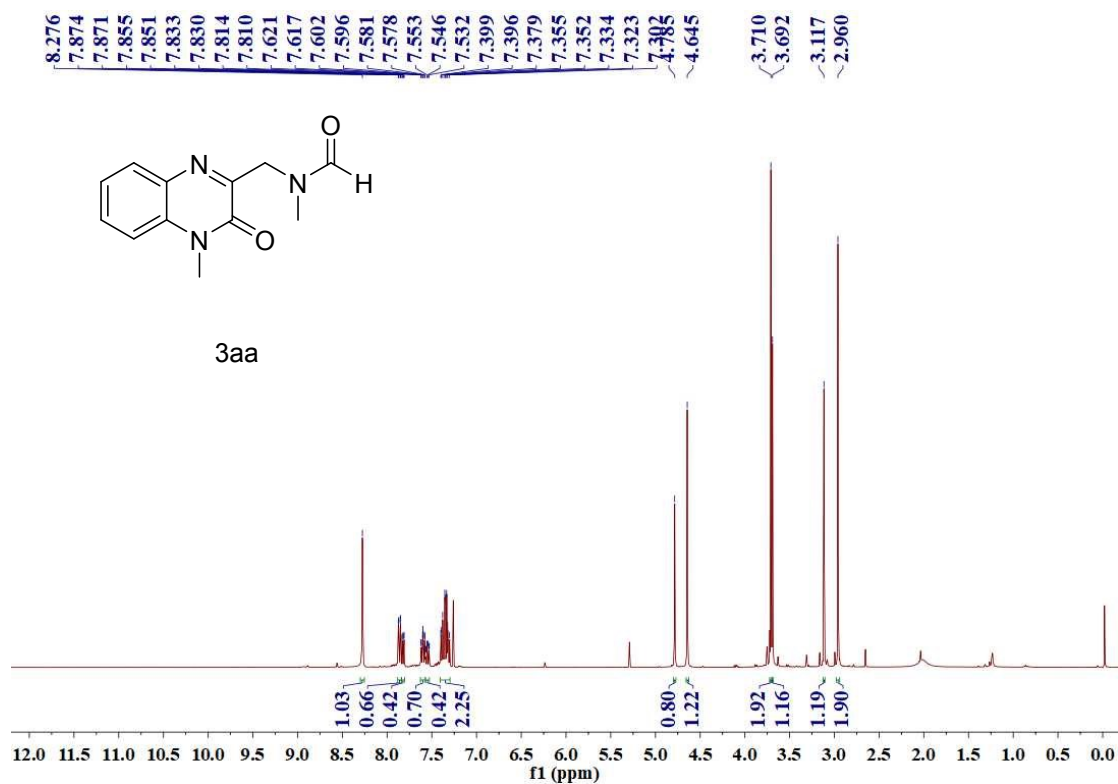
¹³C NMR (101 MHz, CDCl₃) spectrum of **3y**



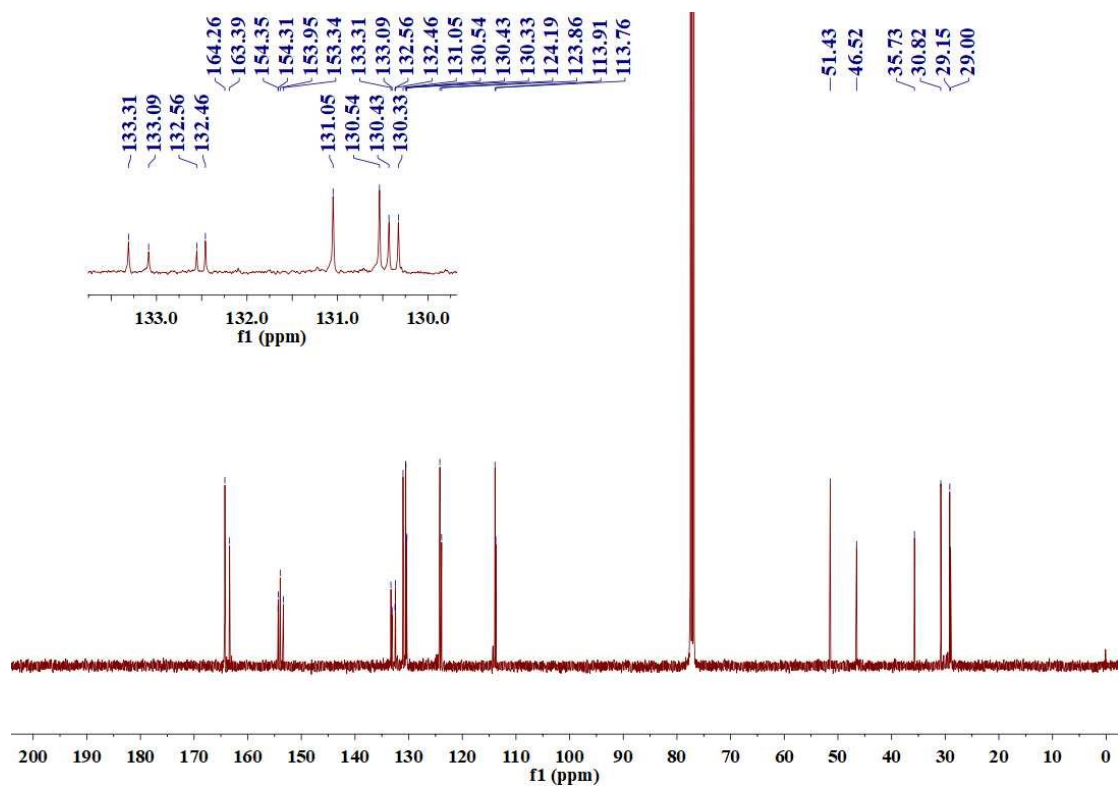
¹H NMR (400 MHz, CDCl₃) spectrum of **3z**



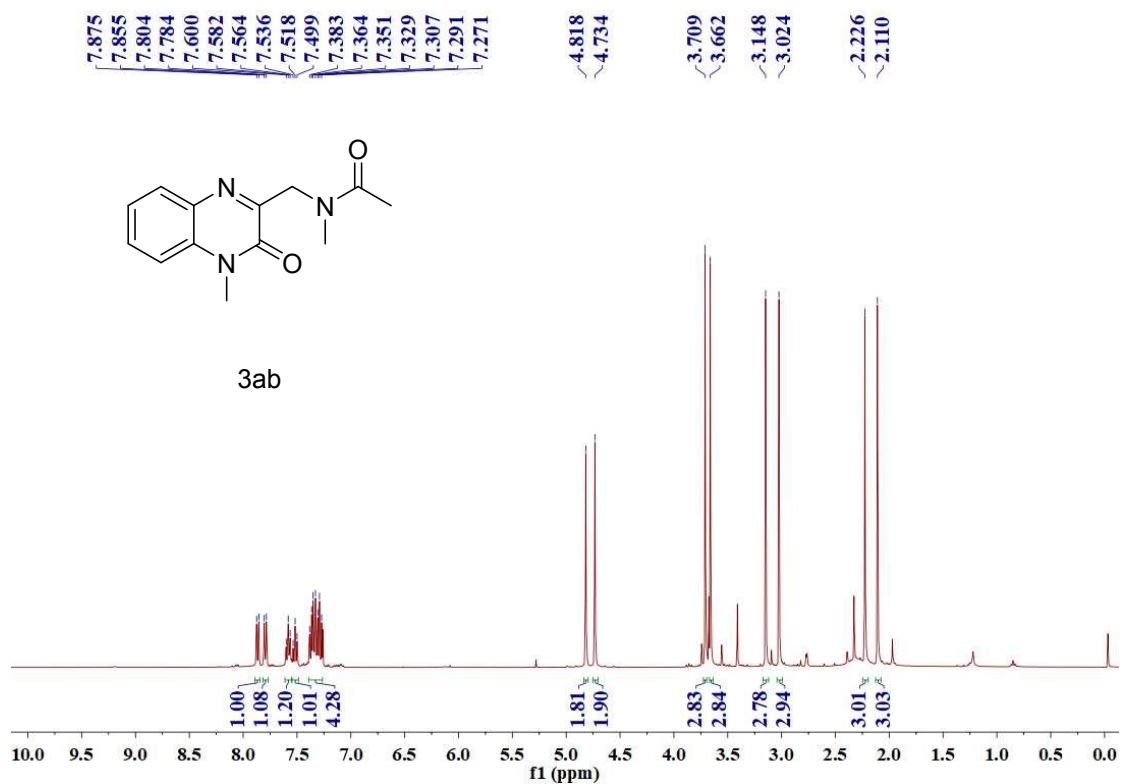
¹³C NMR (101 MHz, CDCl₃) spectrum of **3z**



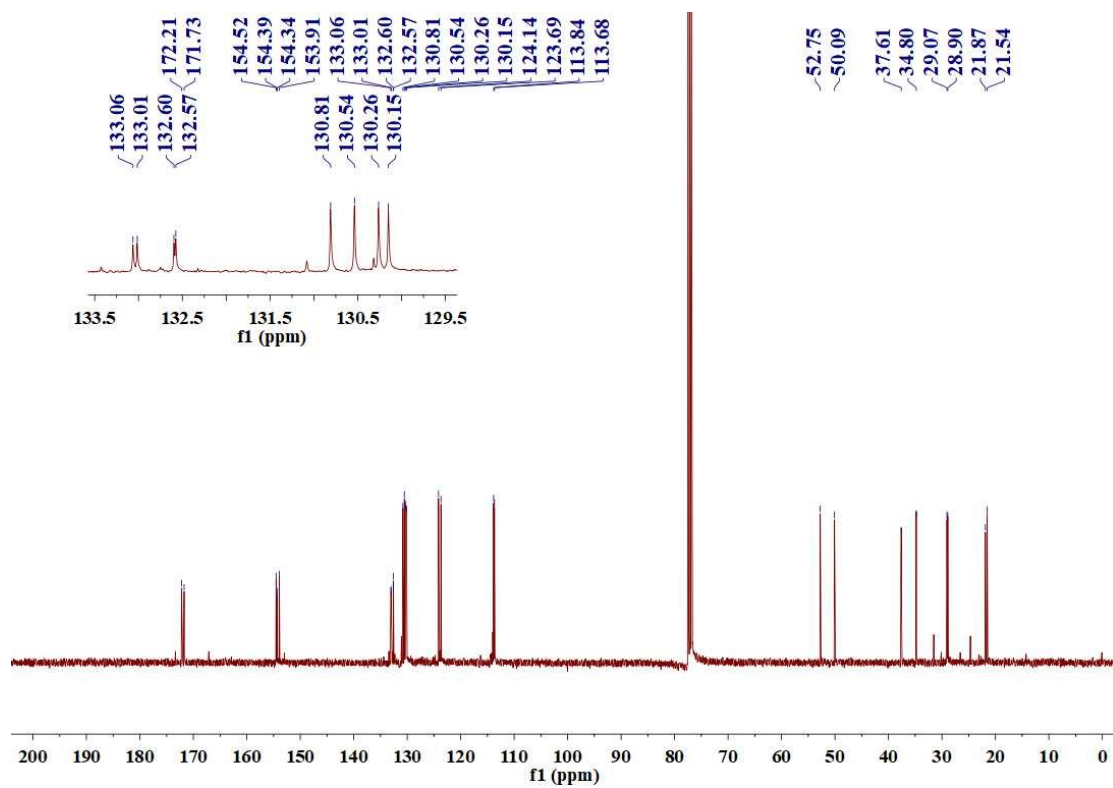
¹H NMR (400 MHz, CDCl₃) spectrum of **3aa**



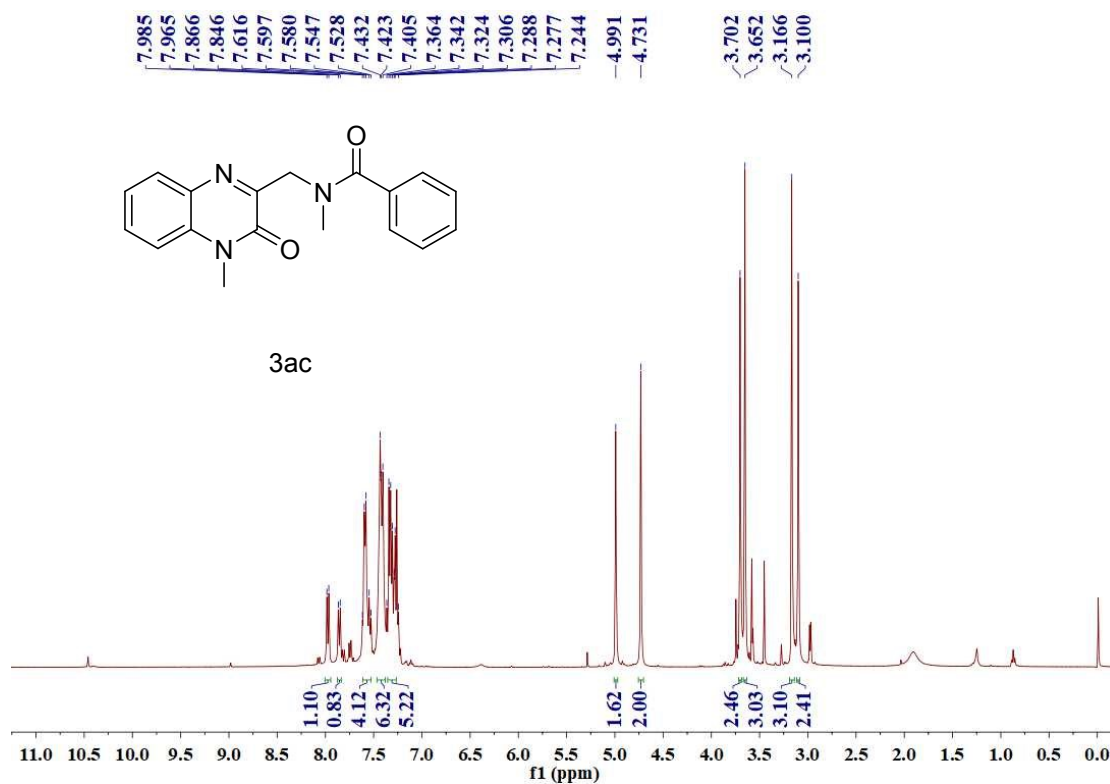
¹³C NMR (101 MHz, CDCl₃) spectrum of **3aa**



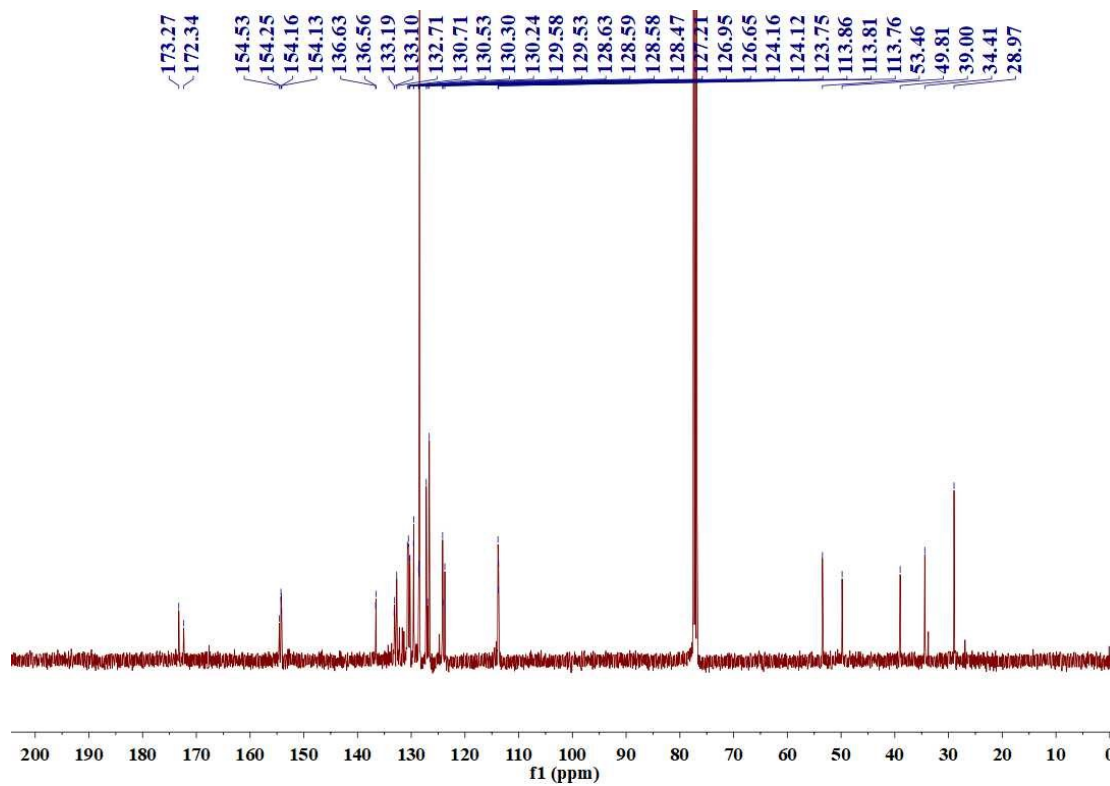
¹H NMR (400 MHz, CDCl₃) spectrum of **3ab**



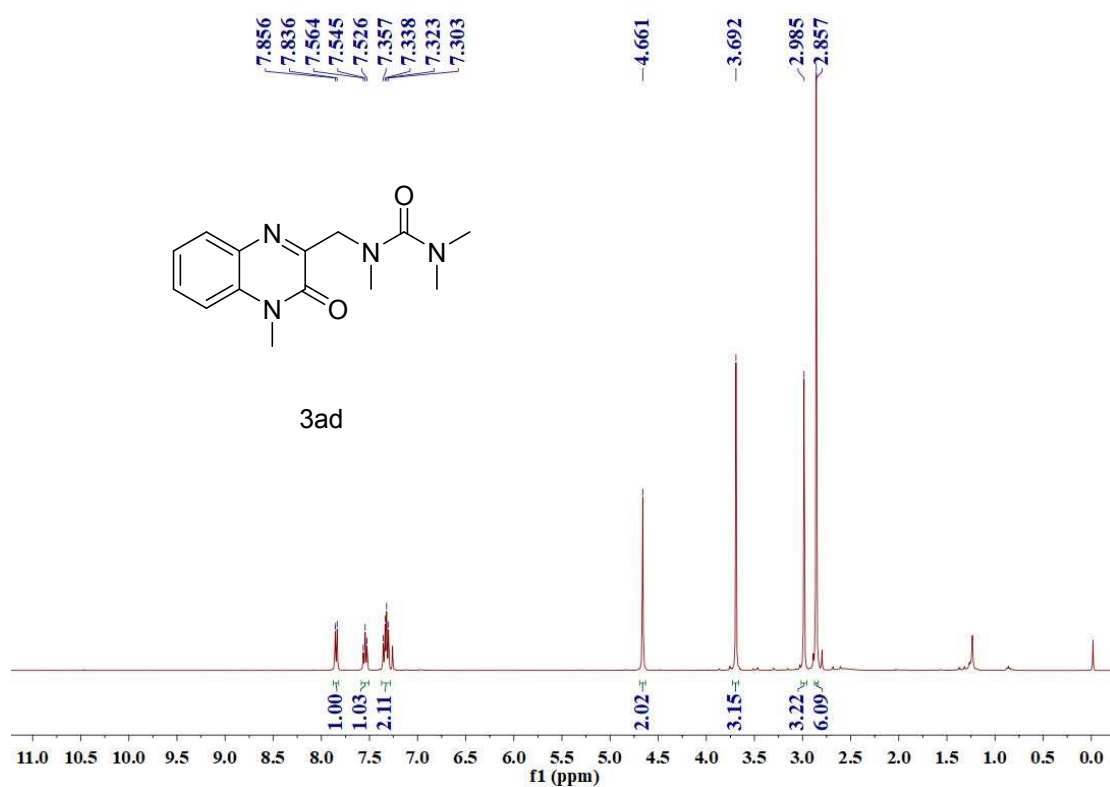
¹³C NMR (101 MHz, CDCl₃) spectrum of **3ab**



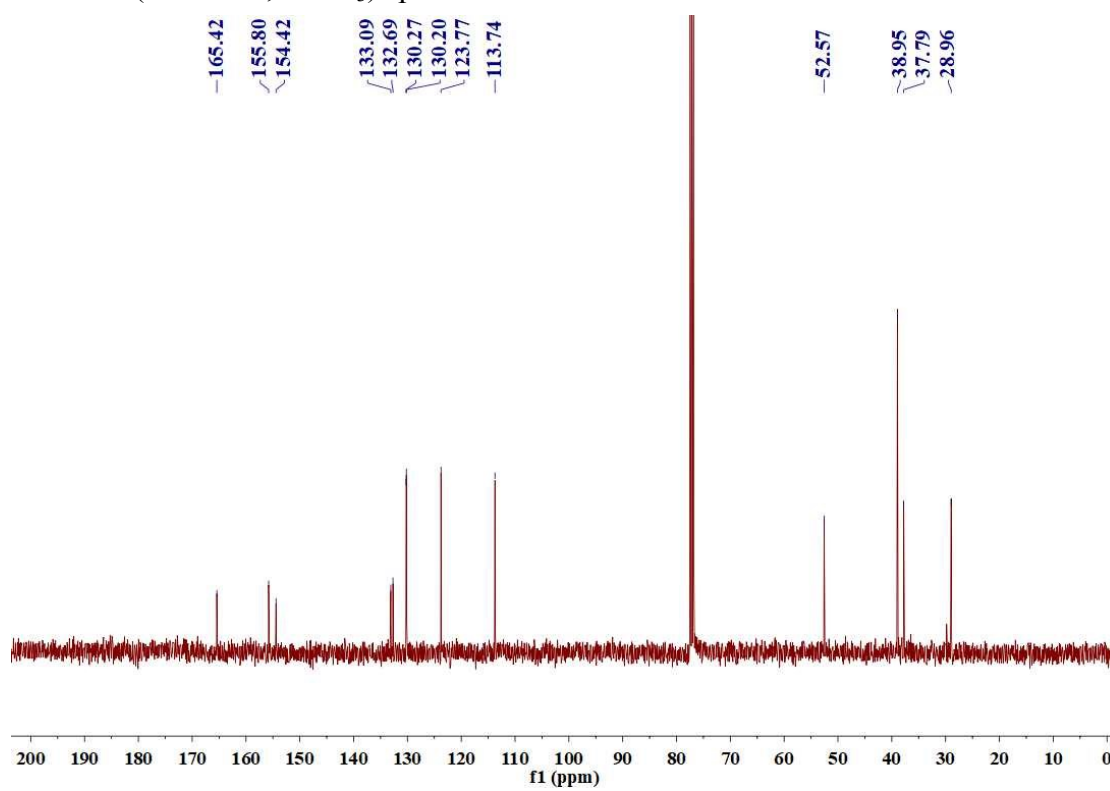
¹H NMR (400 MHz, CDCl₃) spectrum of **3ac**



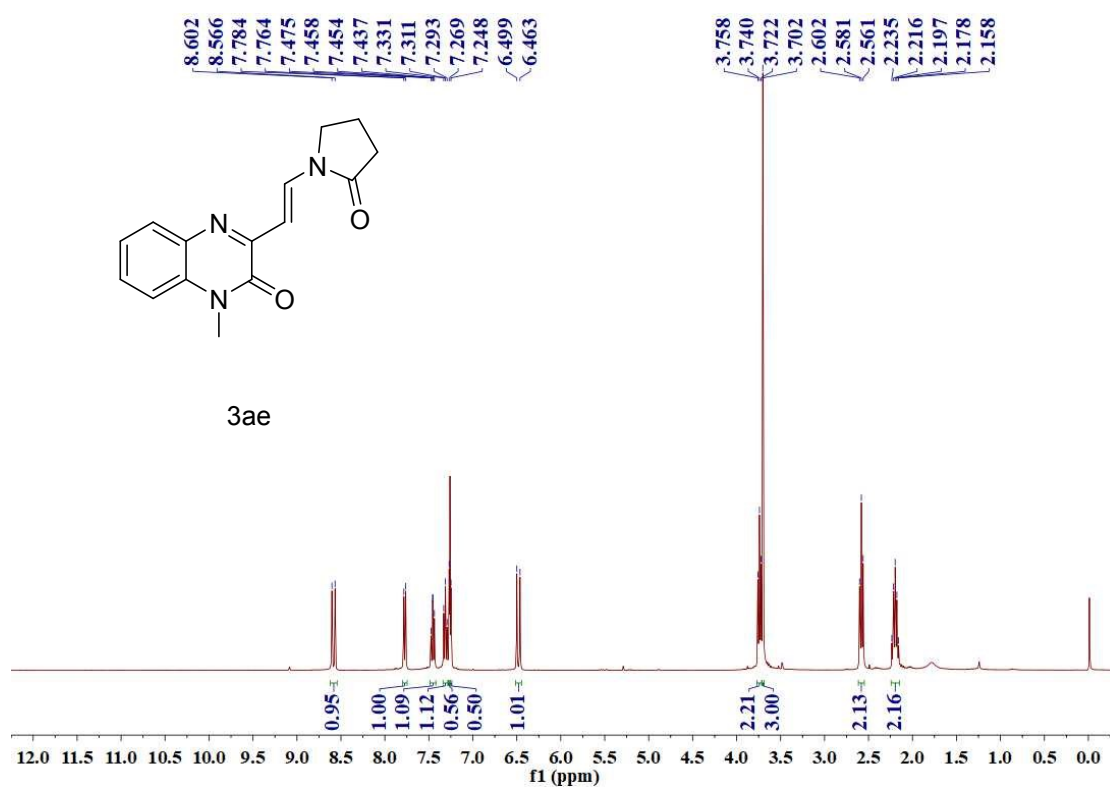
¹³C NMR (101 MHz, CDCl₃) spectrum of **3ac**



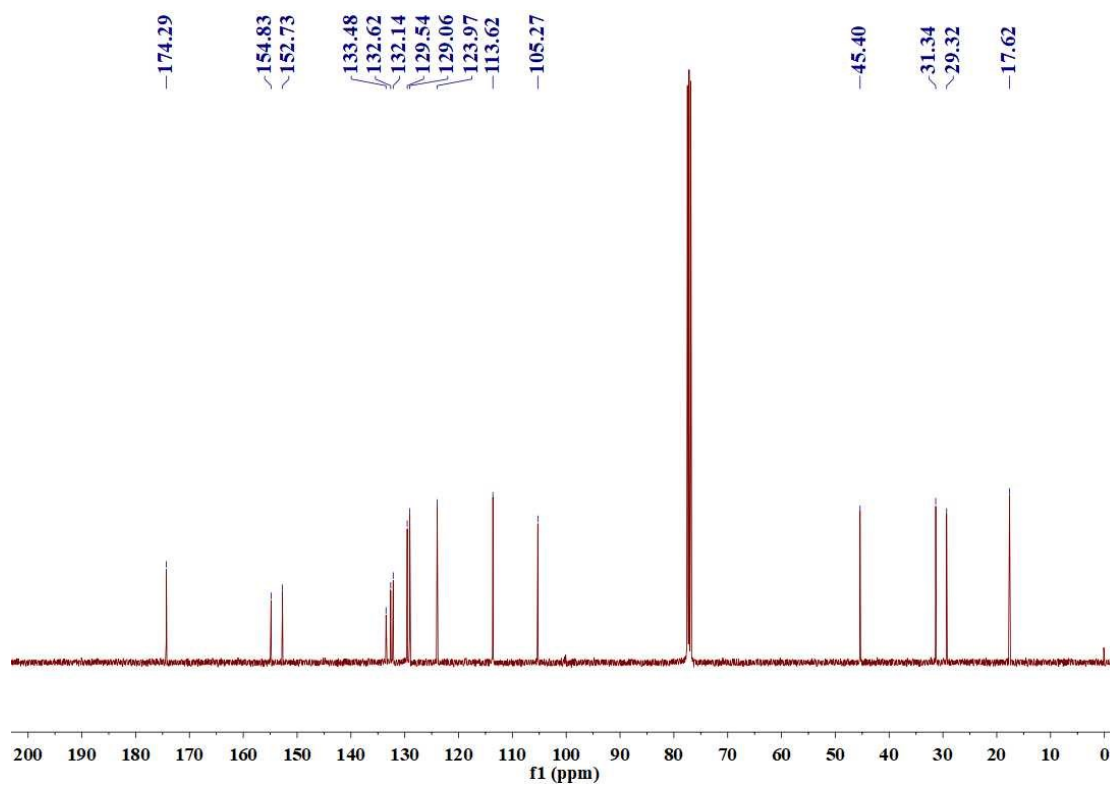
¹H NMR (400 MHz, CDCl₃) spectrum of **3ad**



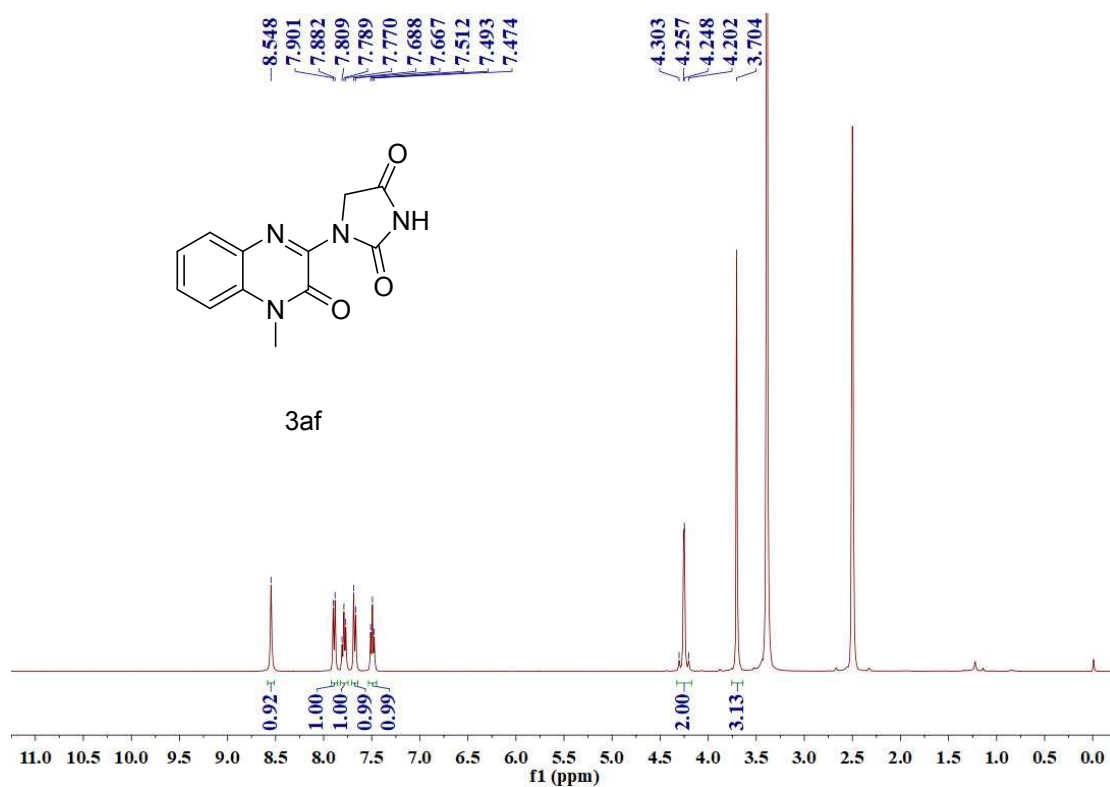
¹³C NMR (101 MHz, CDCl₃) spectrum of **3ad**



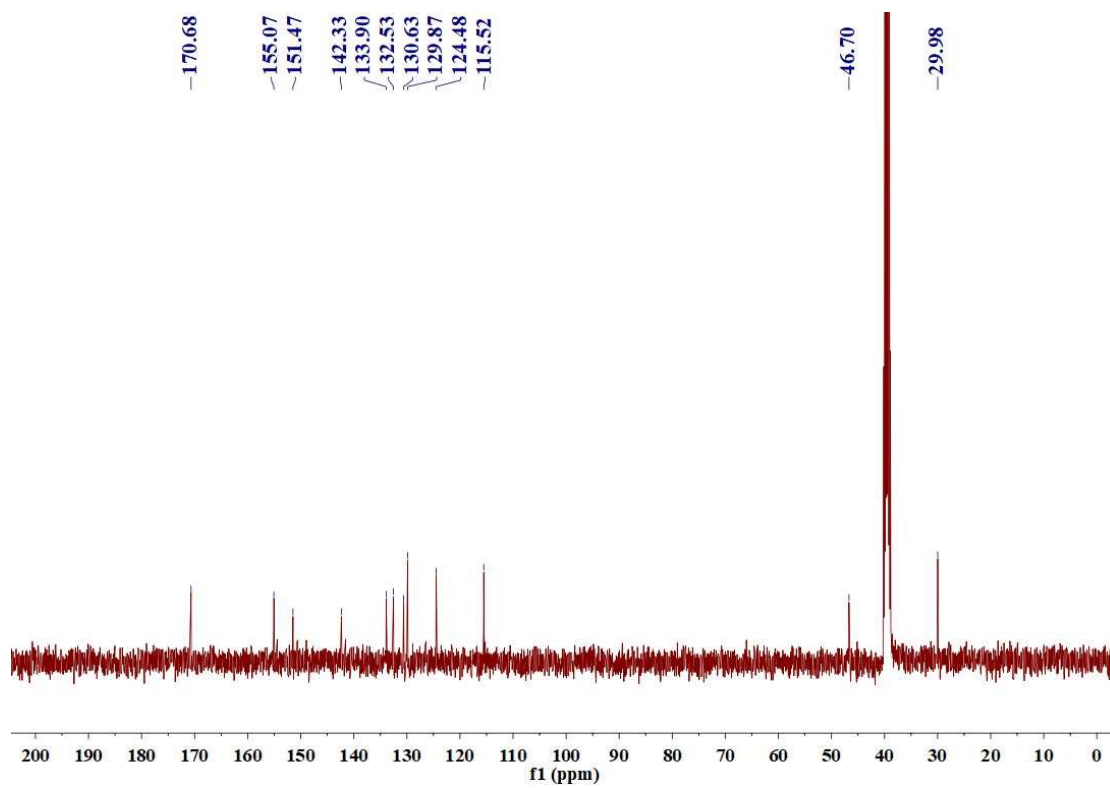
¹H NMR (400 MHz, CDCl₃) spectrum of **3ae**



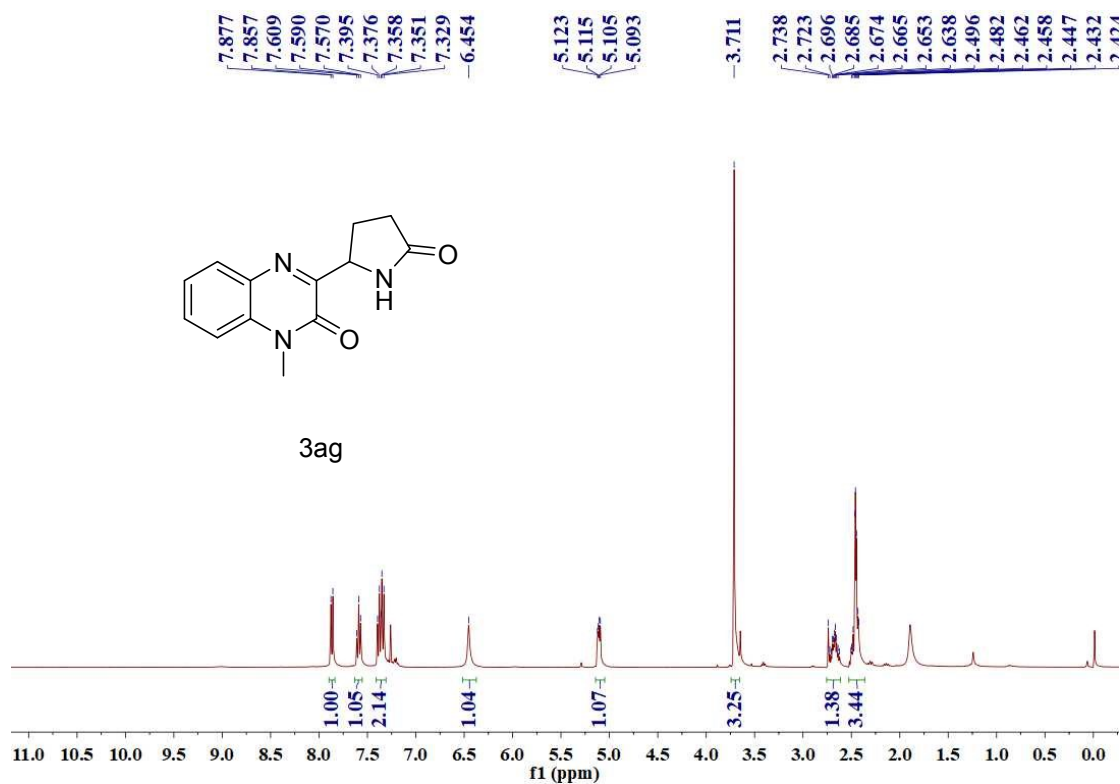
¹³C NMR (101 MHz, CDCl₃) spectrum of **3ae**



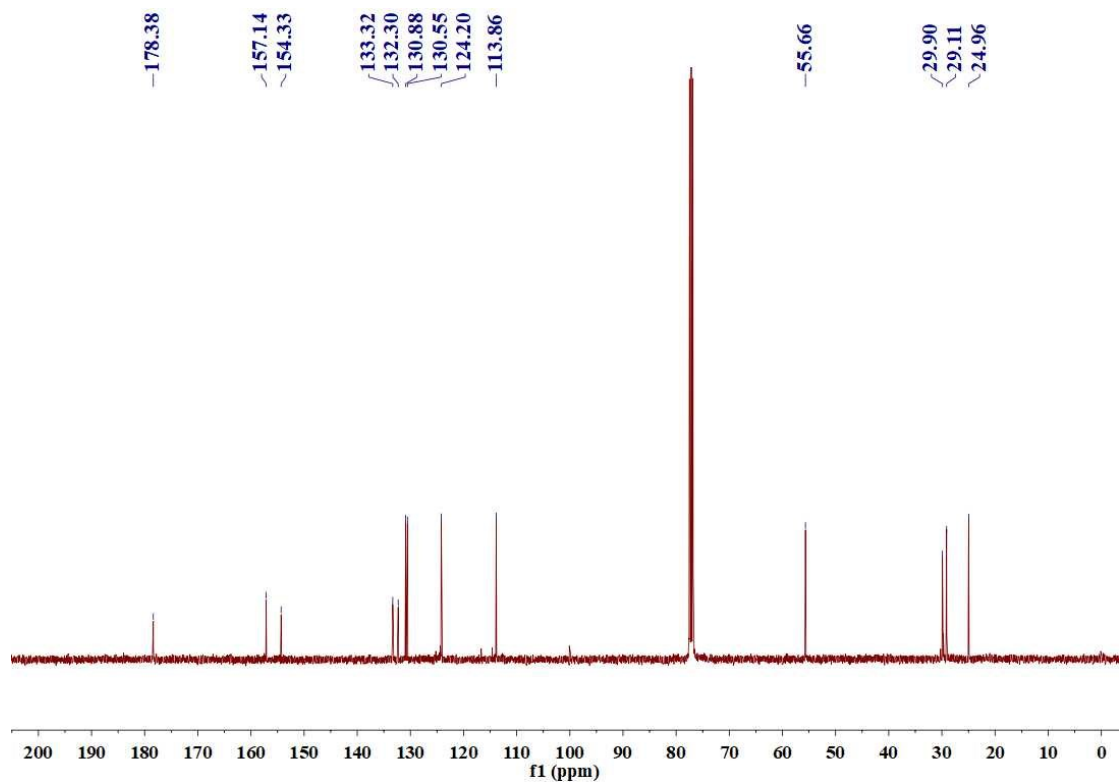
¹H NMR (400 MHz, DMSO-*d*₆) spectrum of **3af**



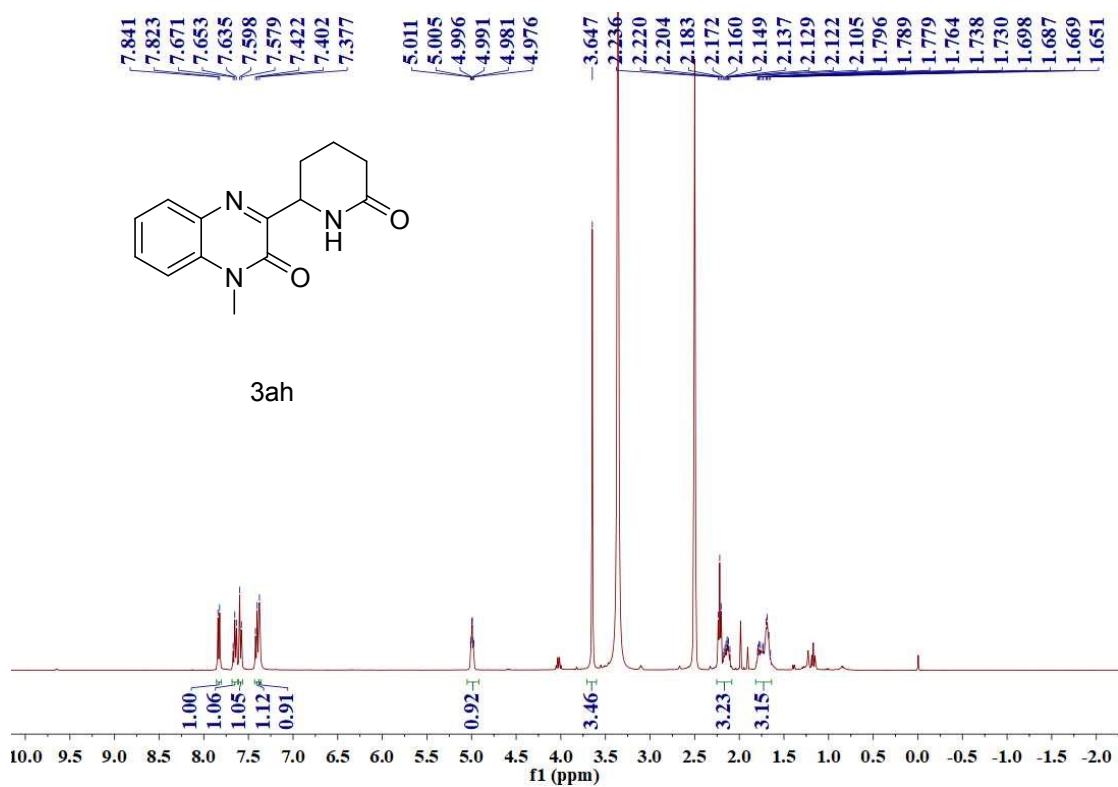
¹³C NMR (101 MHz, DMSO-*d*₆) spectrum of **3af**



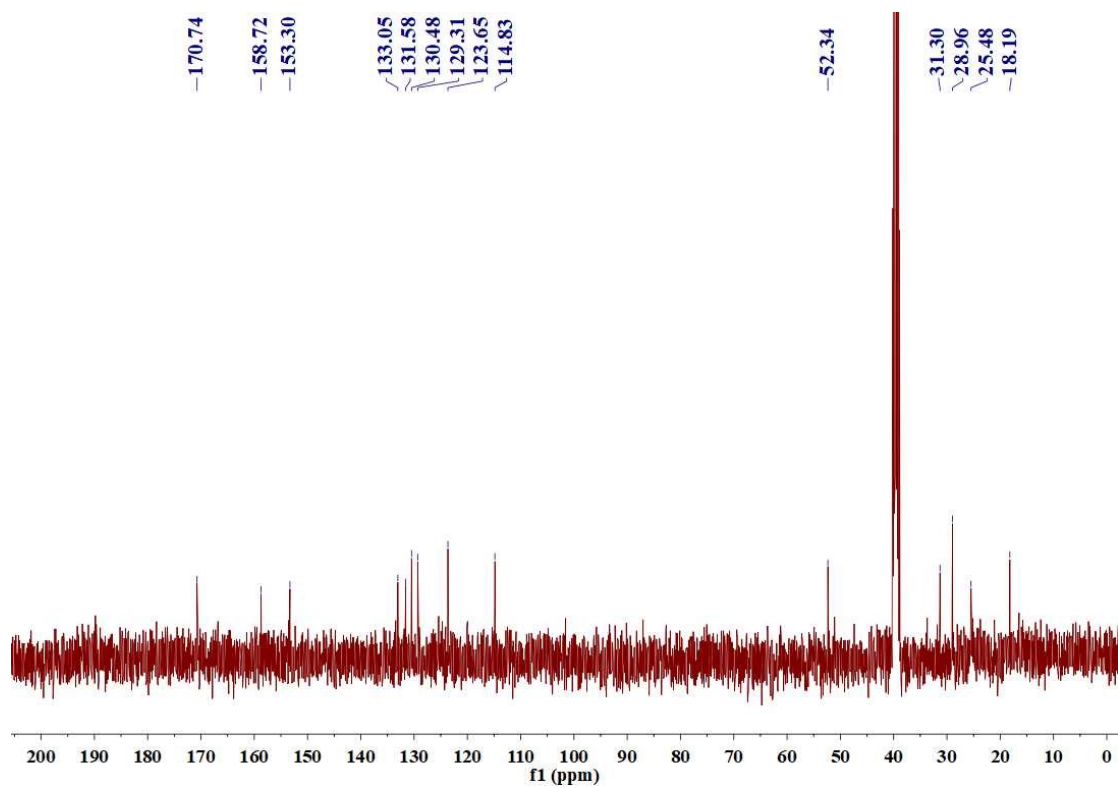
¹H NMR (400 MHz, CDCl₃) spectrum of **3ag**



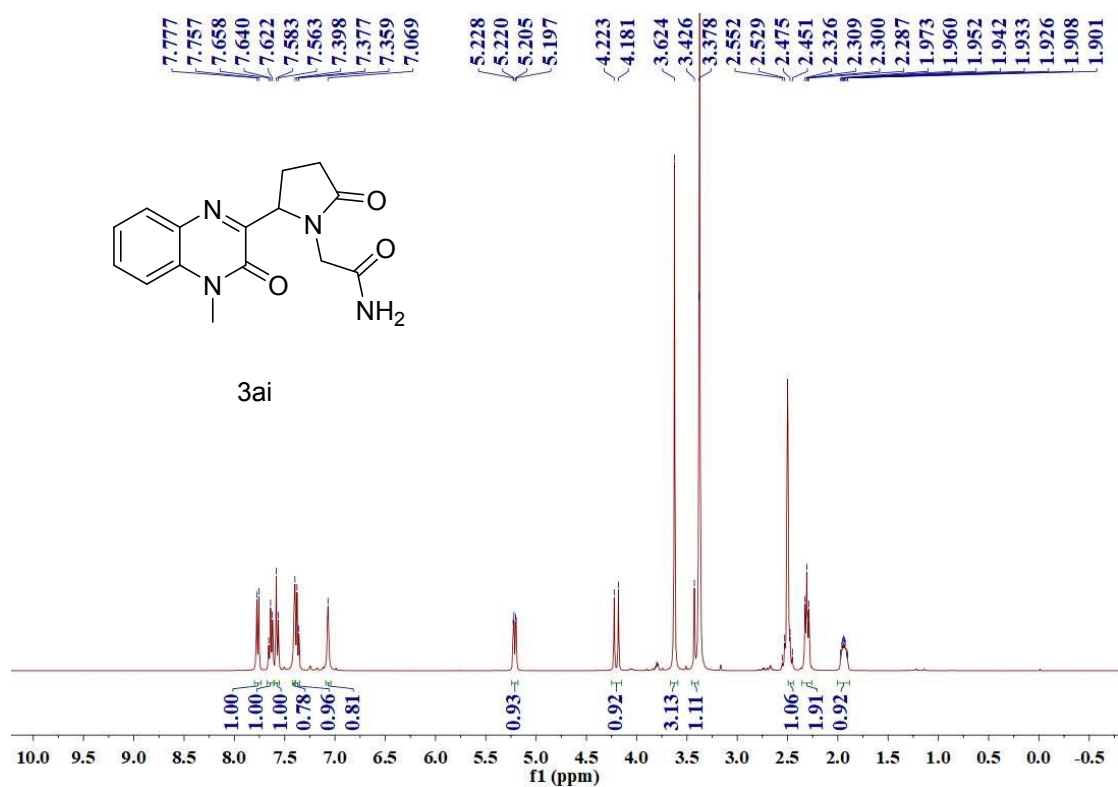
¹³C NMR (101 MHz, CDCl₃) spectrum of **3ag**



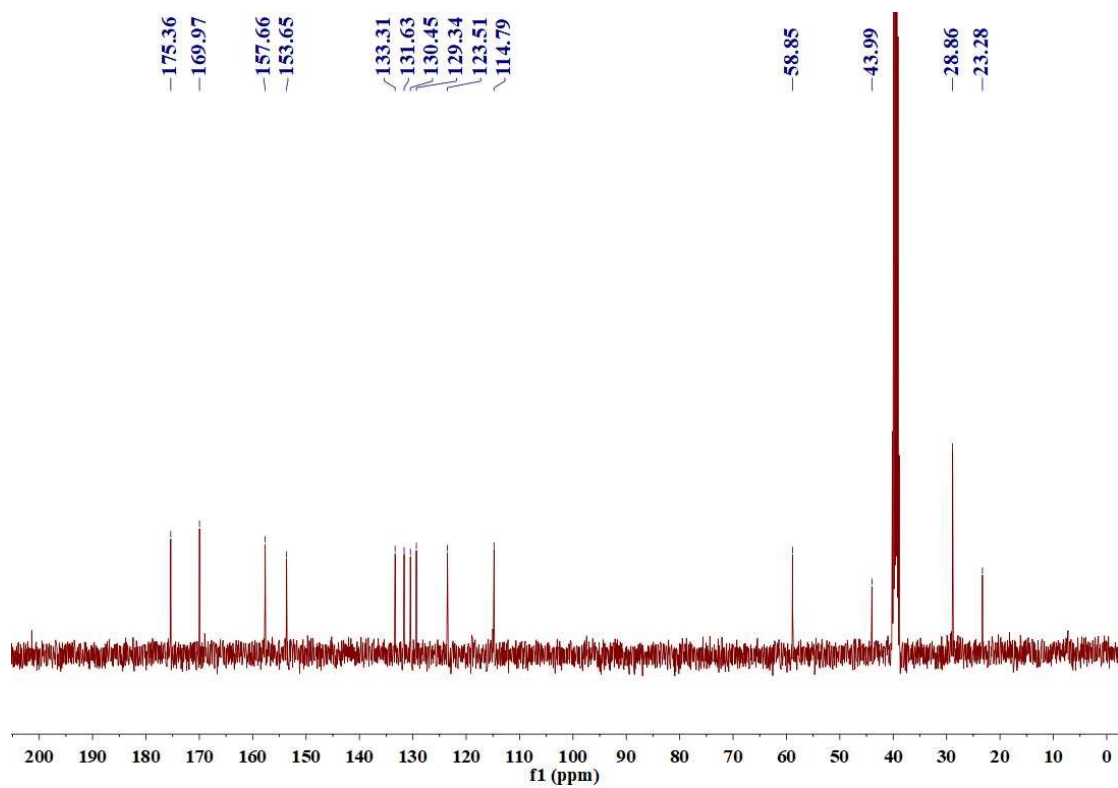
¹H NMR (400 MHz, CDCl₃) spectrum of **3ah**



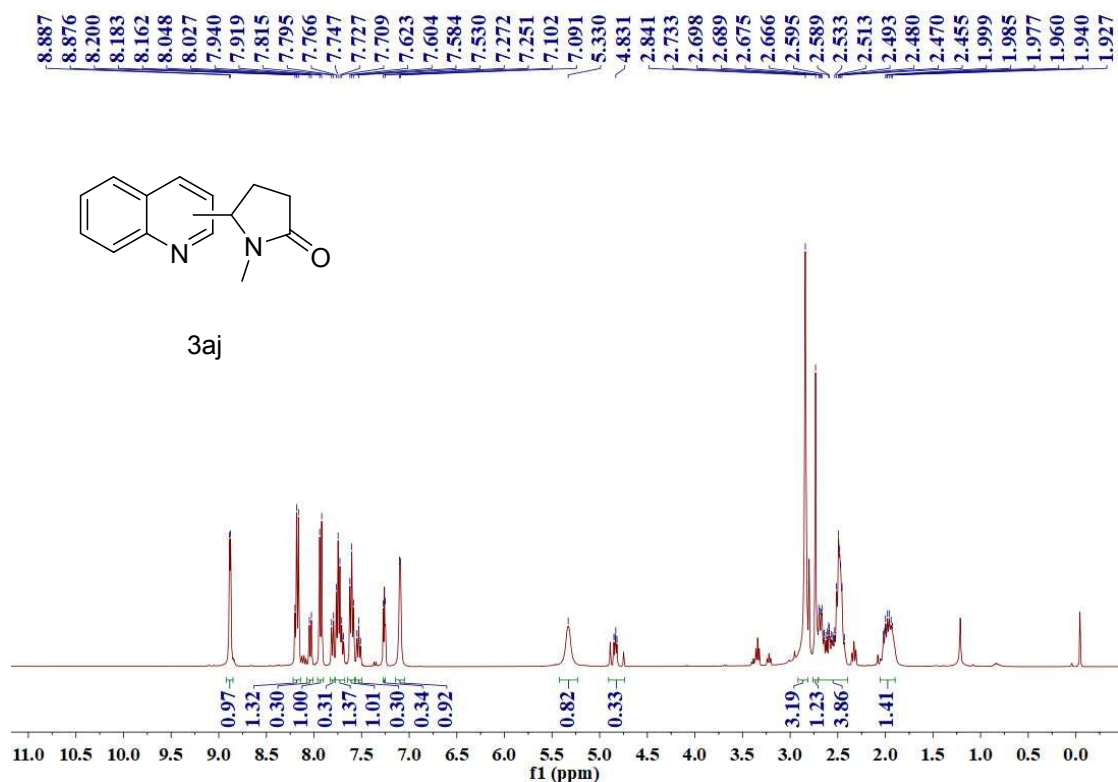
¹³C NMR (101 MHz, CDCl₃) spectrum of **3ah**



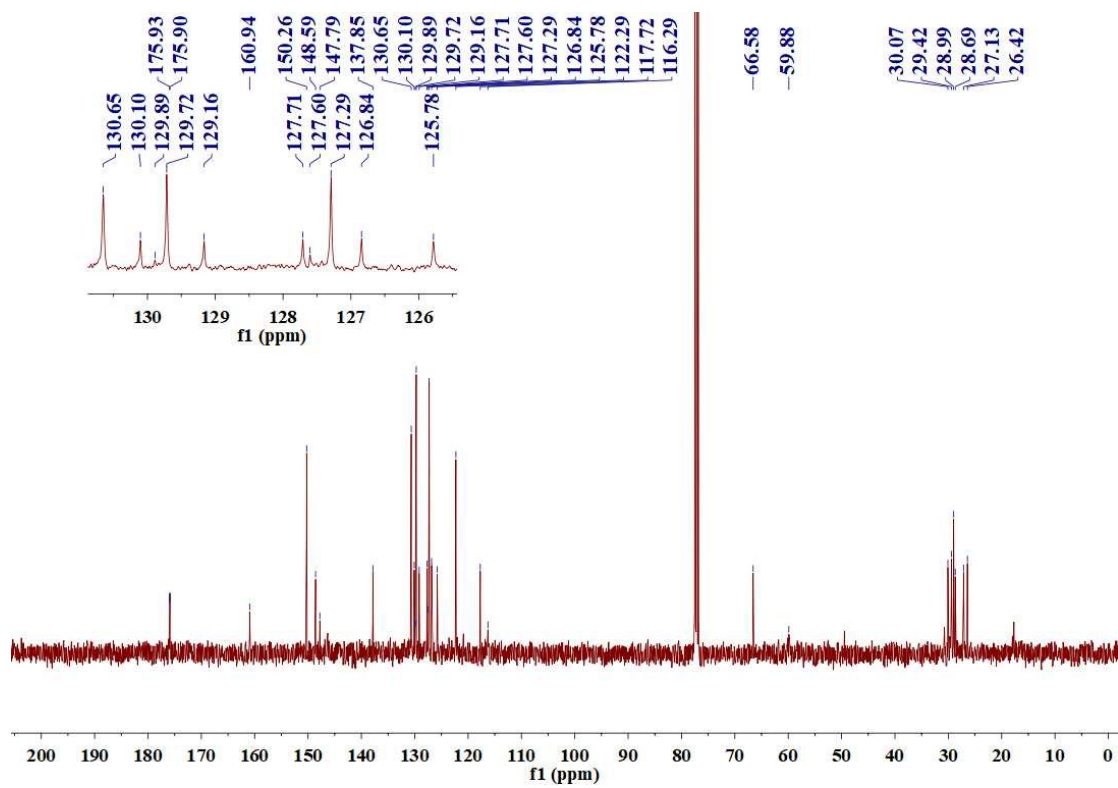
¹H NMR (101 MHz, DMSO-*d*₆) spectrum of **3ai**



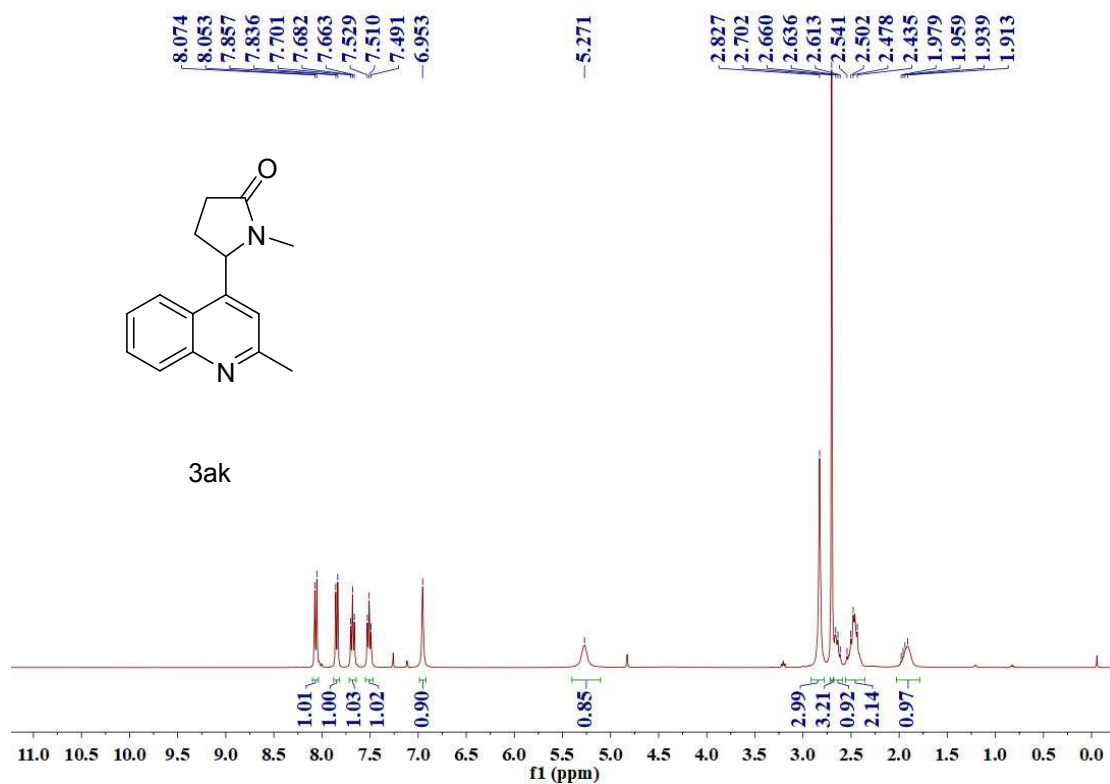
¹³C NMR (101 MHz, DMSO-*d*₆) spectrum of **3ai**



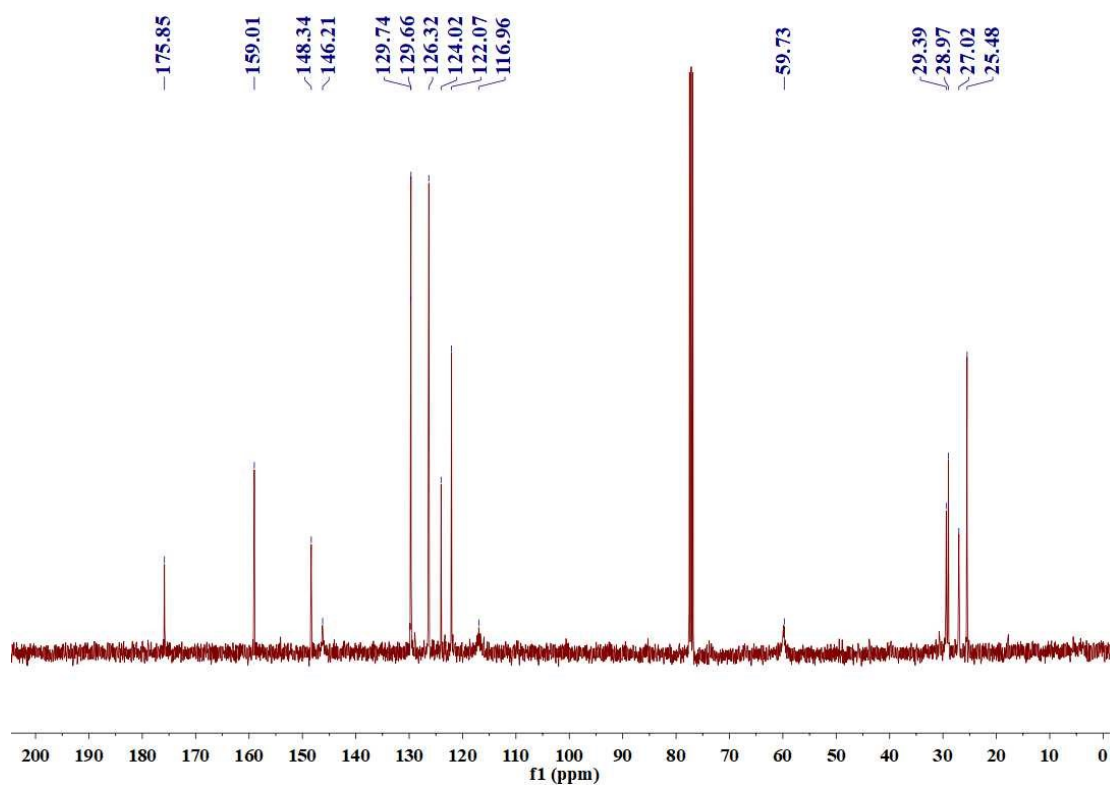
¹H NMR (400 MHz, CDCl₃) spectrum of **3aj**



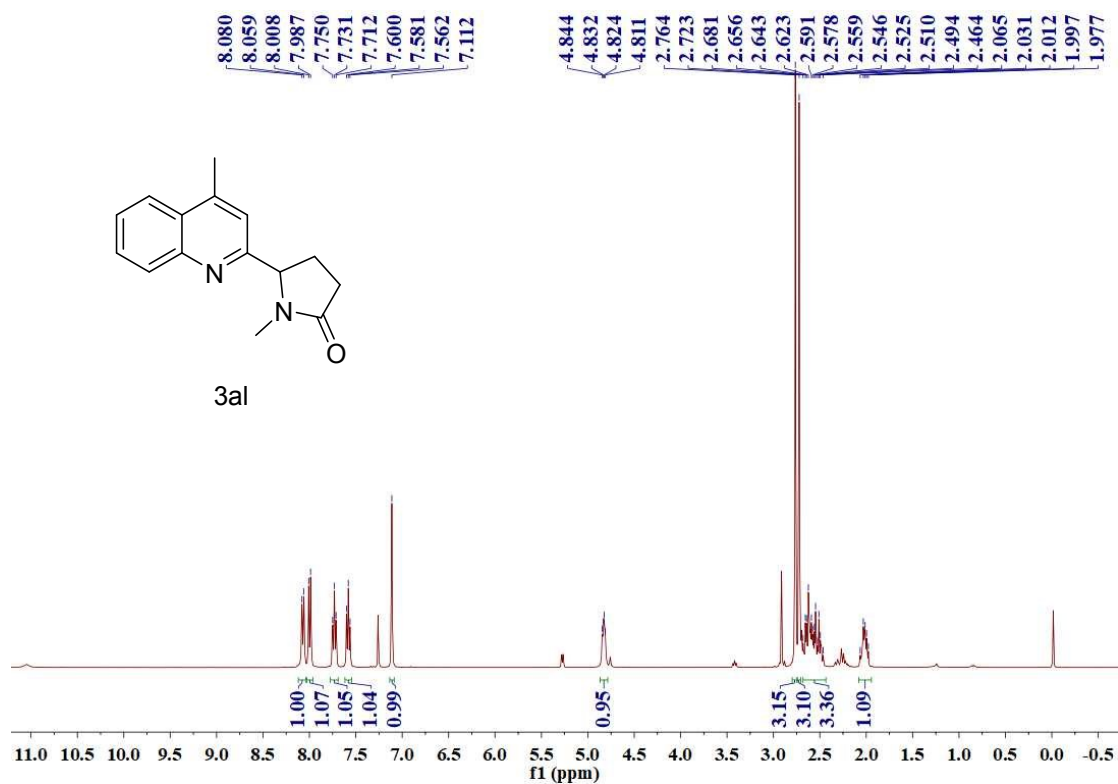
¹³C NMR (101 MHz, CDCl₃) spectrum of **3aj**



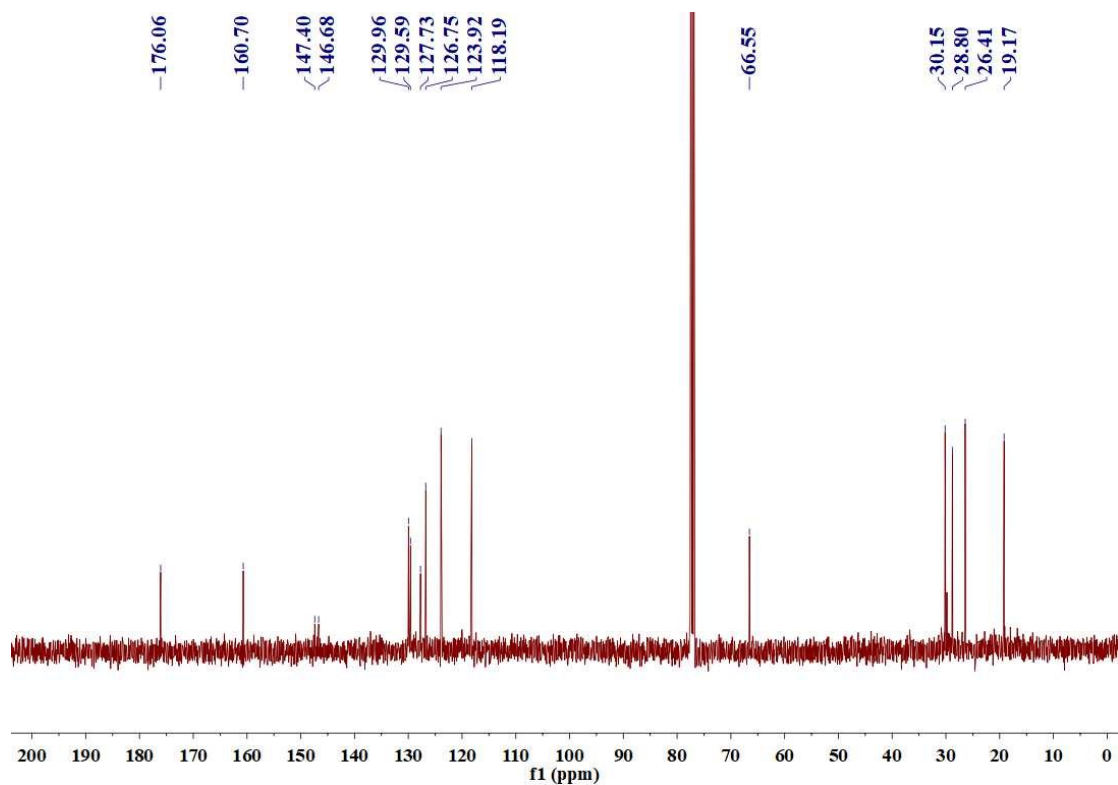
^1H NMR (400 MHz, CDCl_3) spectrum of **3ak**



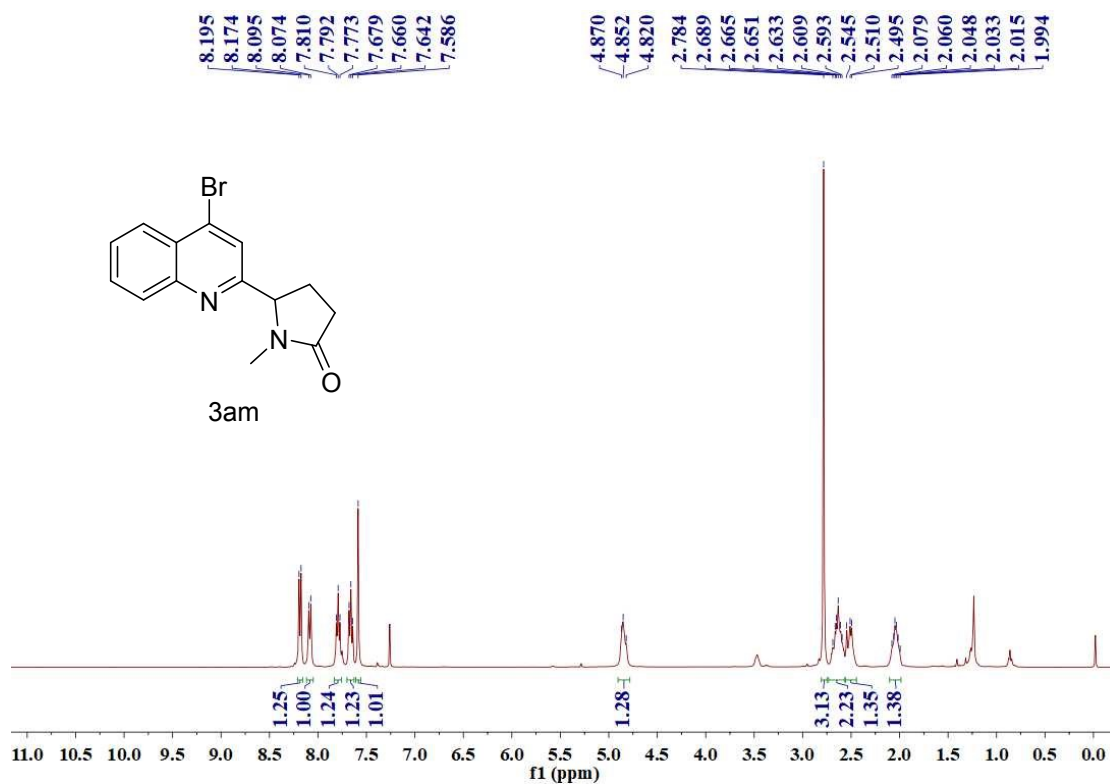
^{13}C NMR (101 MHz, CDCl_3) spectrum of **3ak**



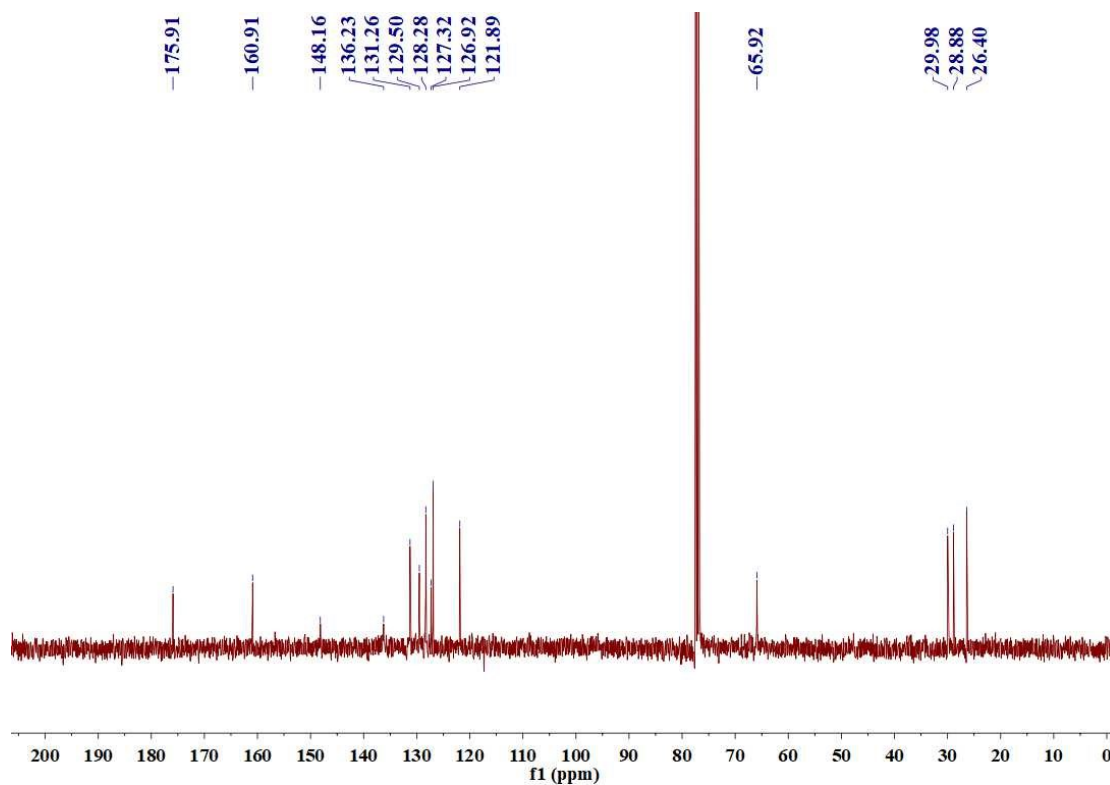
¹H NMR (400 MHz, CDCl₃) spectrum of 3al



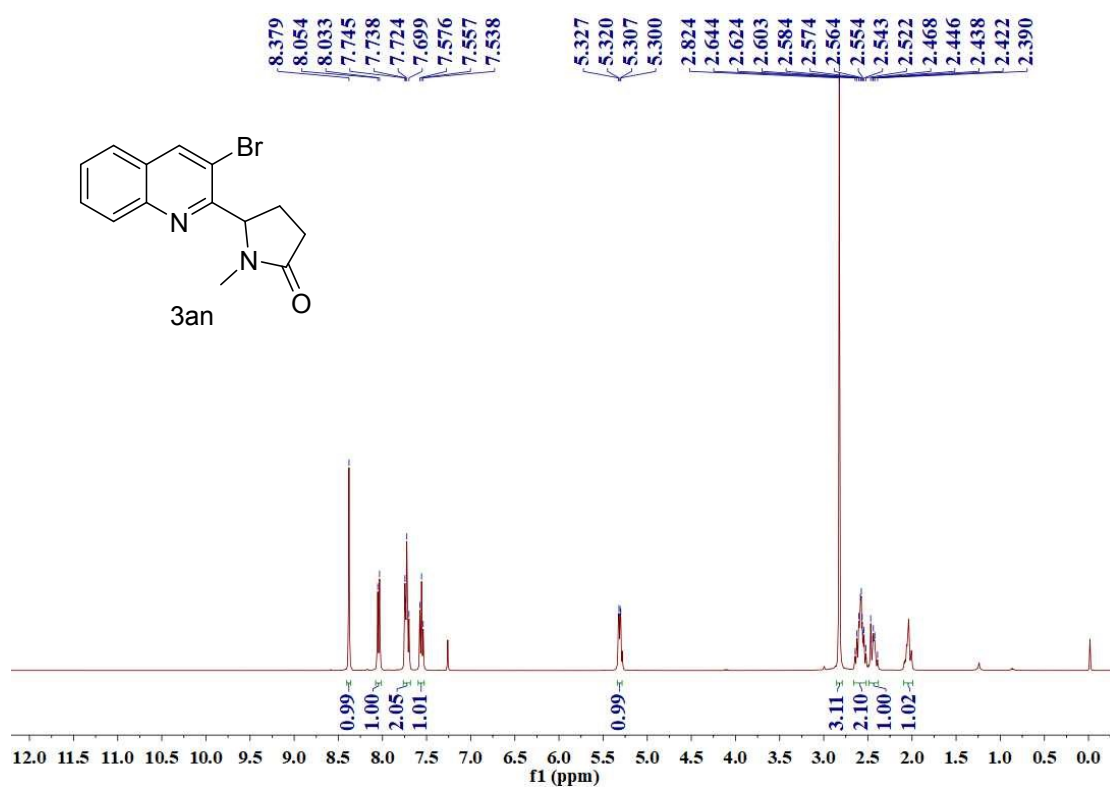
¹³C NMR (101 MHz, CDCl₃) spectrum of 3al



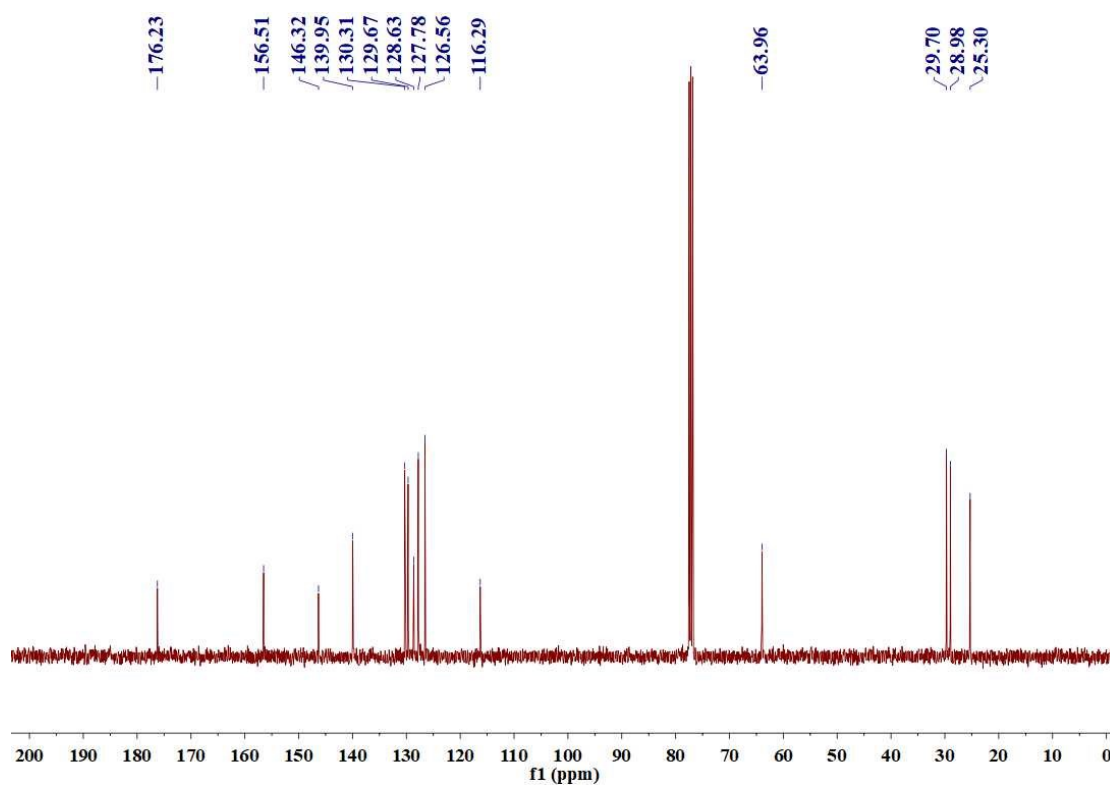
¹H NMR (400 MHz, CDCl₃) spectrum of **3am**



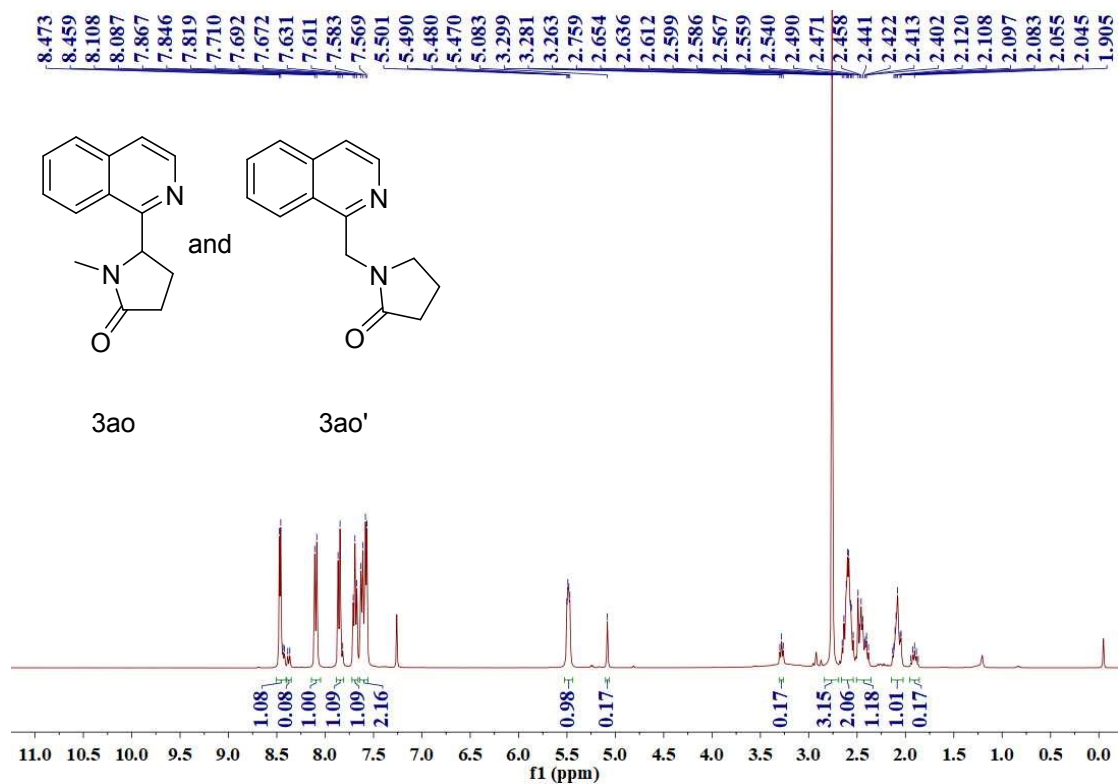
¹³C NMR (101 MHz, CDCl₃) spectrum of **3am**



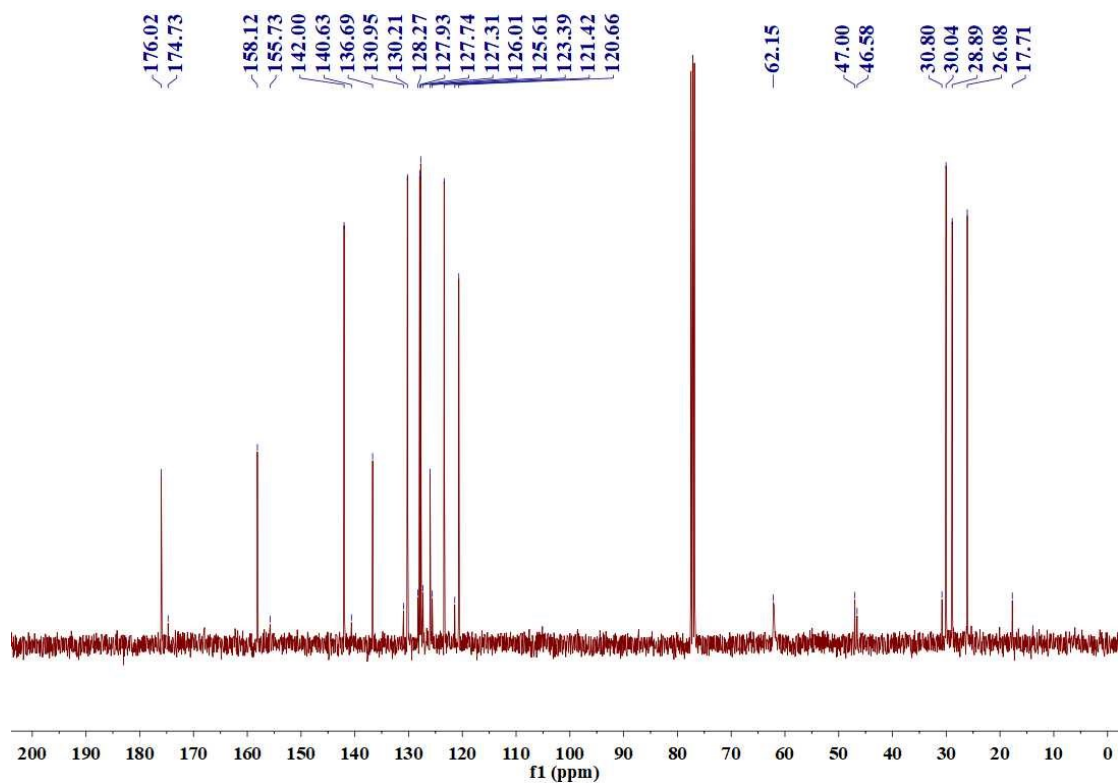
¹H NMR (400 MHz, CDCl₃) spectrum of 3an



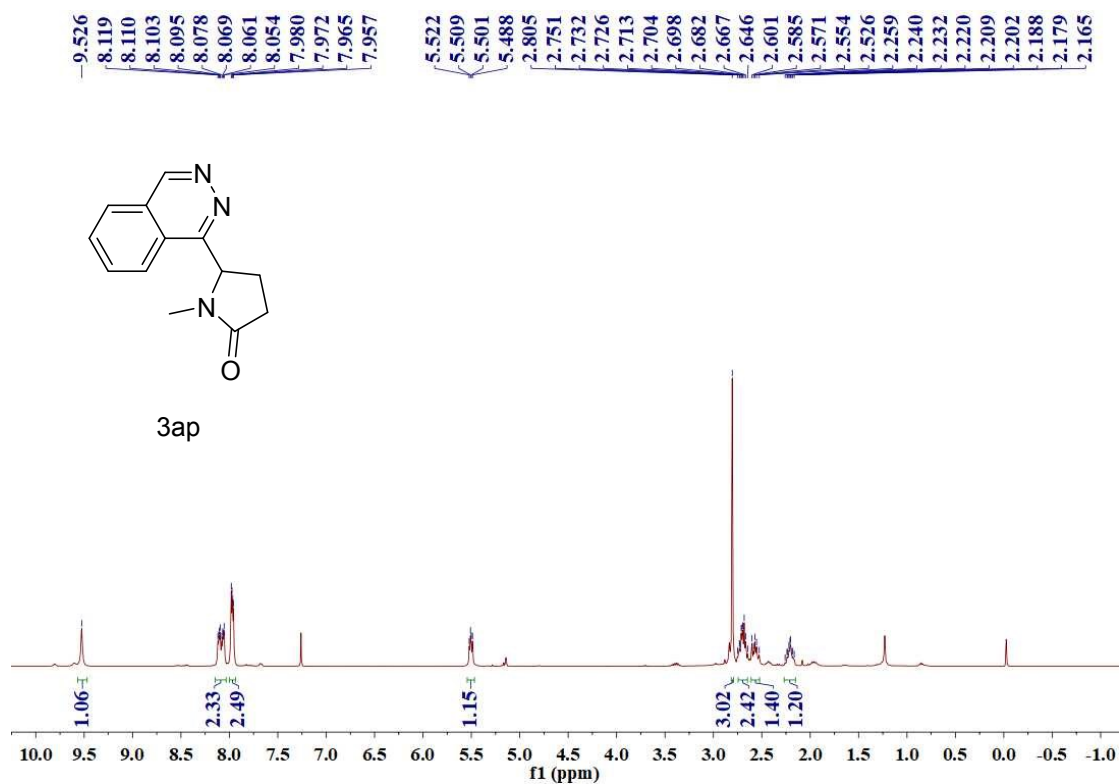
¹³C NMR (101 MHz, CDCl₃) spectrum of 3an



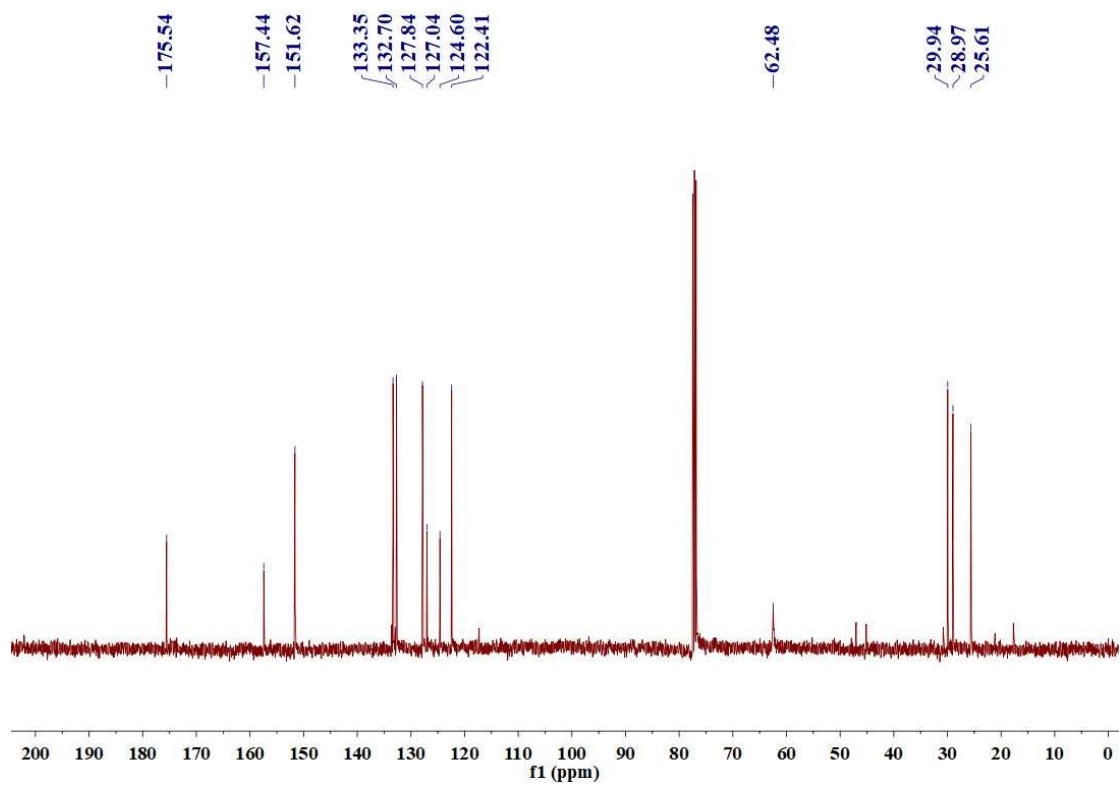
¹H NMR (400 MHz, CDCl₃) spectrum of 3ao and 3ao'



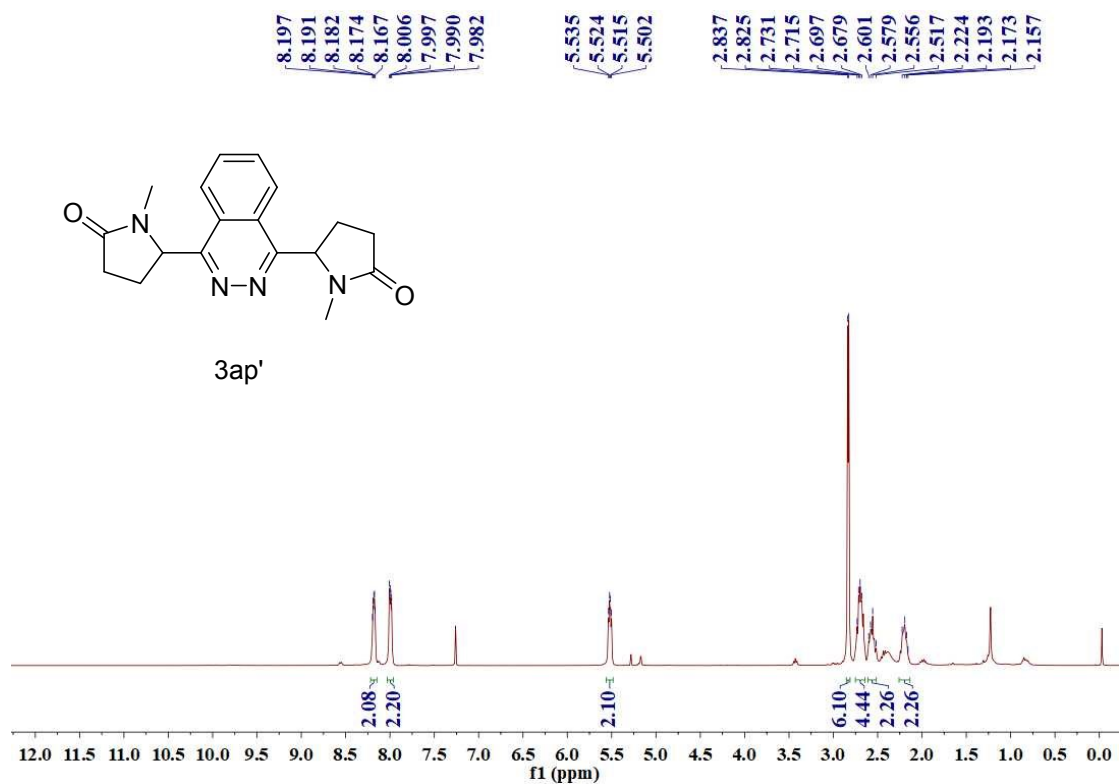
¹³C NMR (101 MHz, CDCl₃) spectrum of 3ao and 3ao'



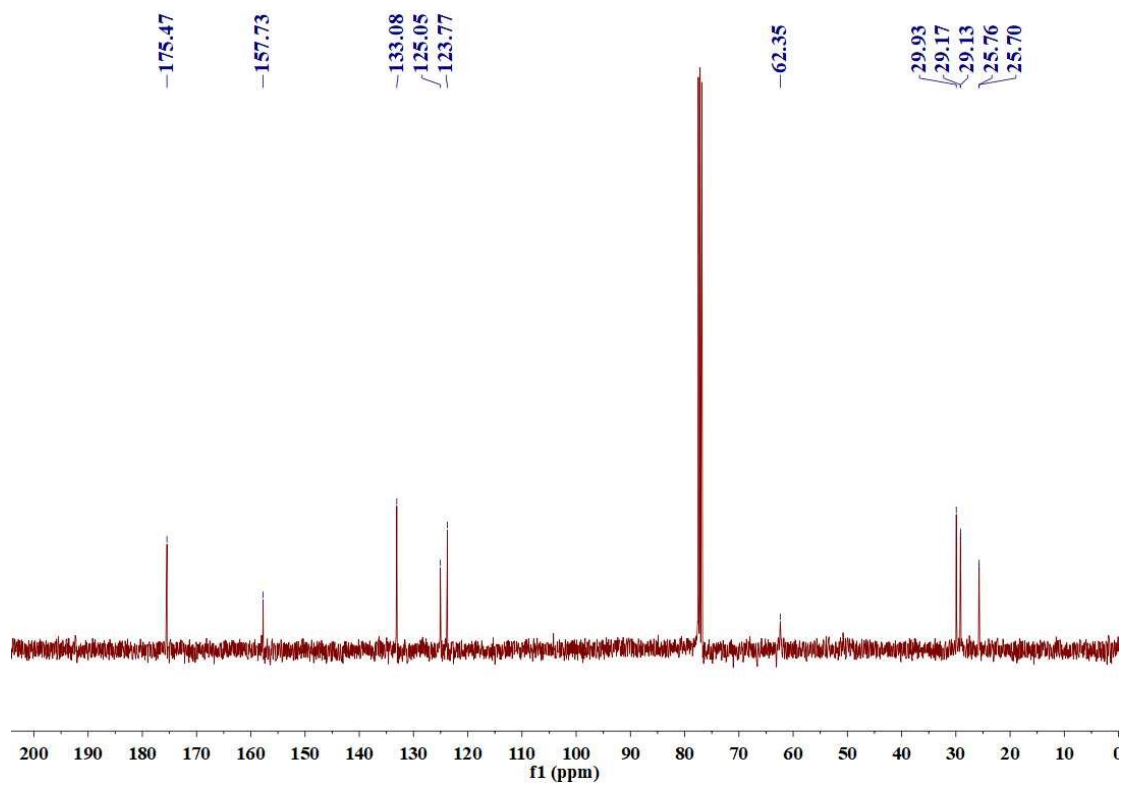
¹H NMR (400 MHz, CDCl₃) spectrum of 3ap



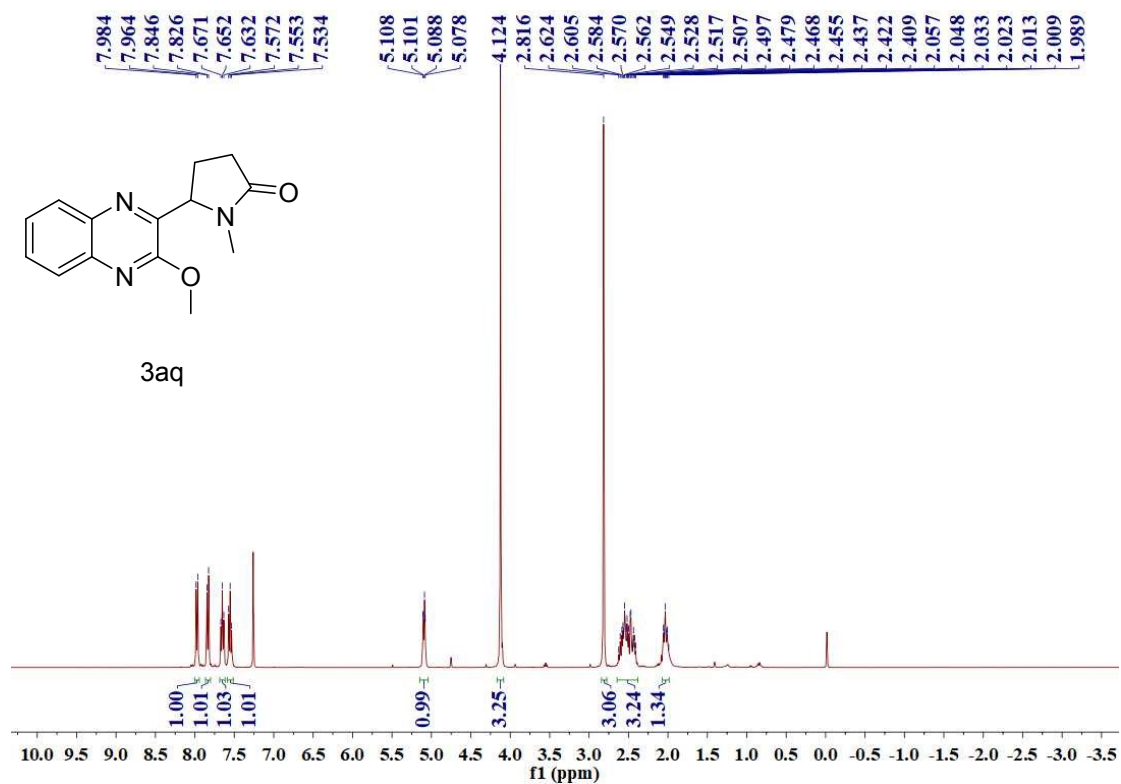
¹³C NMR (101 MHz, CDCl₃) spectrum of 3ap



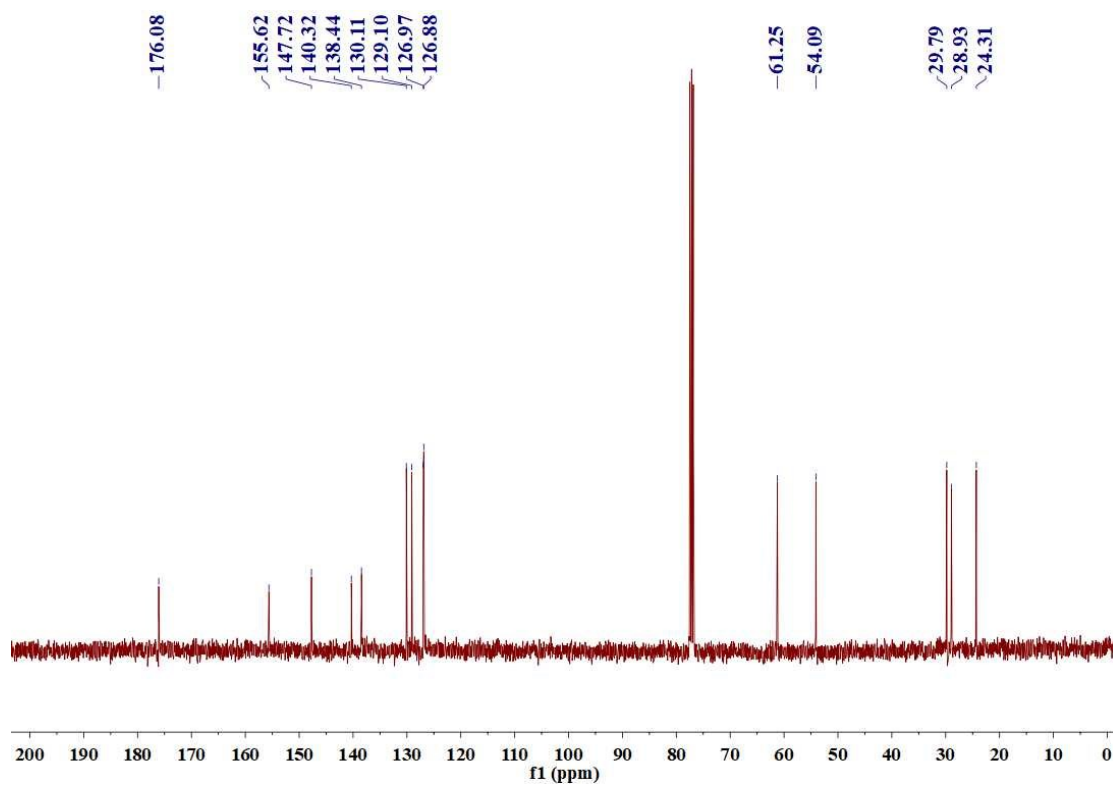
^1H NMR (400 MHz, CDCl_3) spectrum of **3ap'**



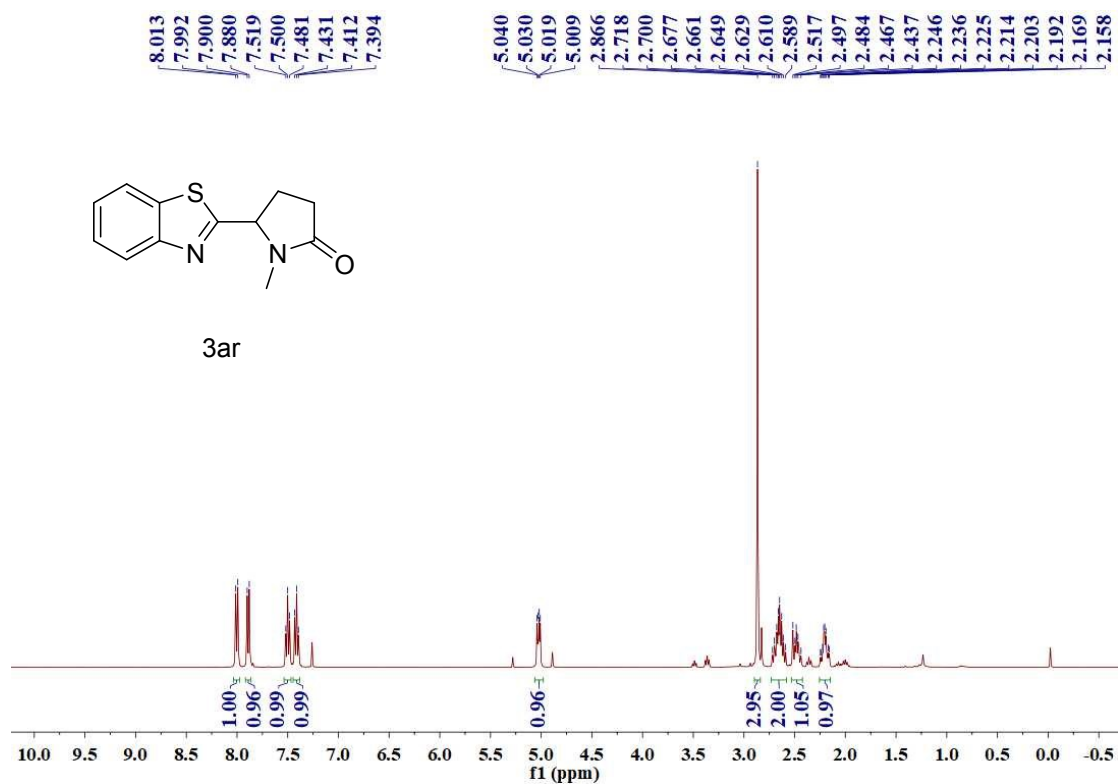
^{13}C NMR (101 MHz, CDCl_3) spectrum of **3ap'**



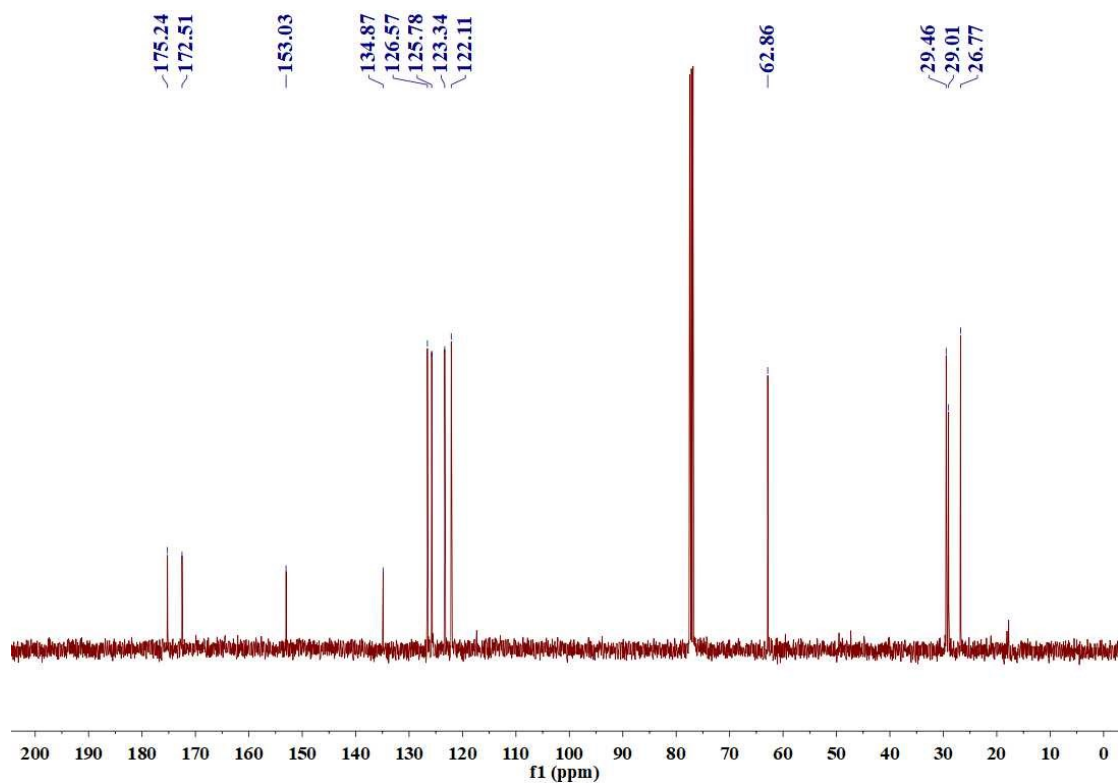
¹H NMR (400 MHz, CDCl₃) spectrum of **3aq**



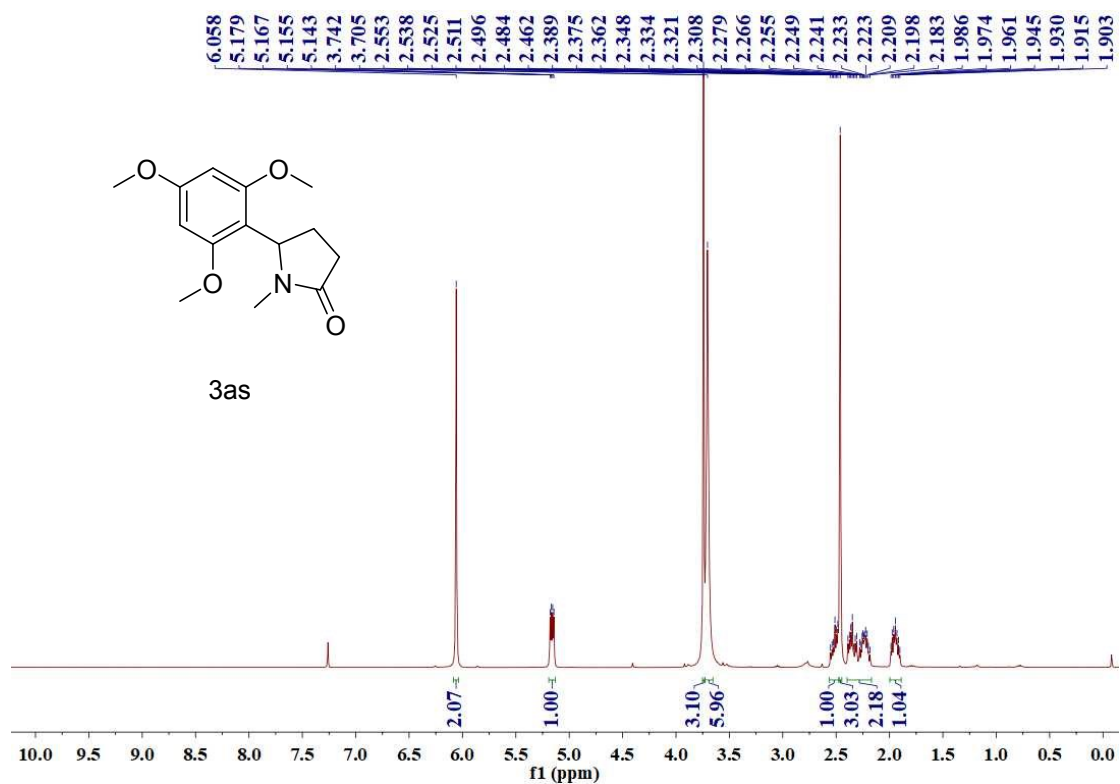
¹³C NMR (101 MHz, CDCl₃) spectrum of **3aq**



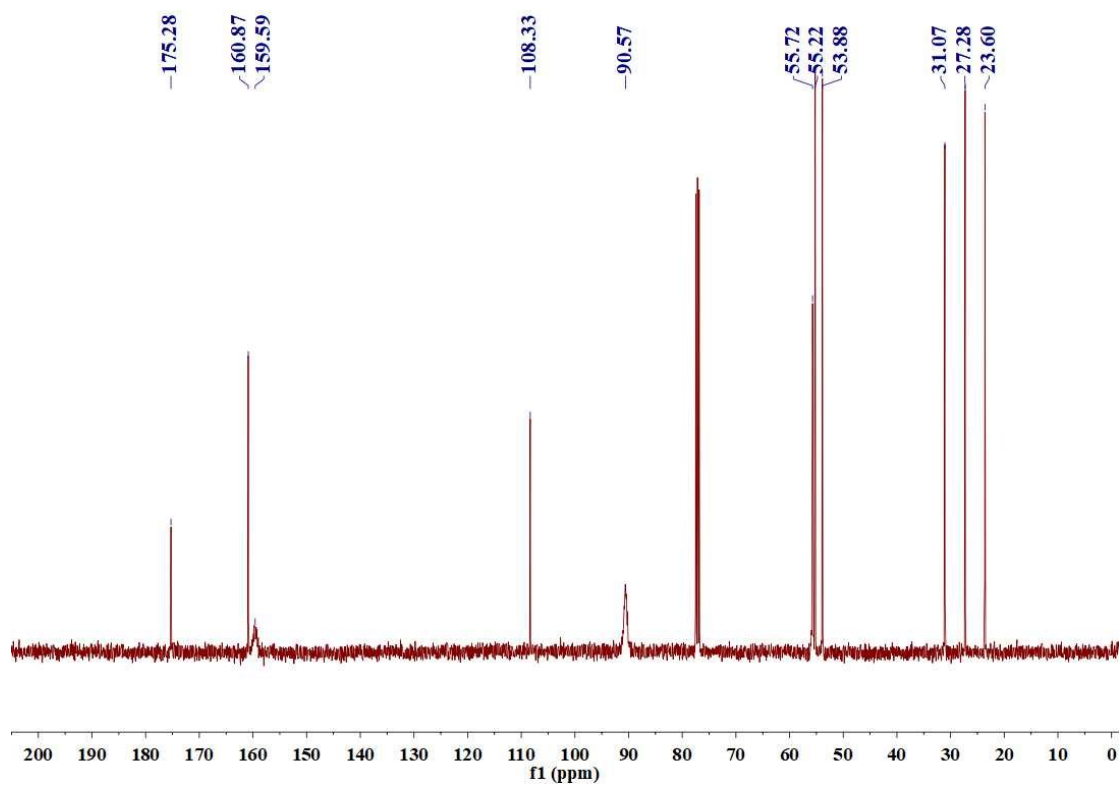
¹H NMR (400 MHz, CDCl₃) spectrum of **3ar**



¹³C NMR (101 MHz, CDCl₃) spectrum of **3ar**



¹H NMR (400 MHz, CDCl₃) spectrum of **3as**



¹³C NMR (101 MHz, CDCl₃) spectrum of **3as**

6. References

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- [2] (a) D. Wei and F. Liang, *Org. Lett.*, **2016**, *18*, 5860-5863. (b) A. S. Tsang, A. Kapat and F. Schoenebeck, *J. Am. Chem. Soc.*, **2016**, *138*, 518-526. (c) C.-L. Dong, L.-Q. Huang, Z. Guan, C.-S. Huang and Y.-H. He, *Adv. Synth. Catal.*, **2021**, *363*, 1-10.
- [3] M. Salamone, M. Milan, G. A. DiLabio and M. Bietti, *J. Org. Chem.*, **2014**, *79*, 7179-7184.