Supplementary Information (SI) for Organic Chemistry Frontiers.
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Supporting Information

Catalyst-Free C-H Methylation of Heteroarenes Enabled by Electron Donor-Acceptor Complex Photoactivation

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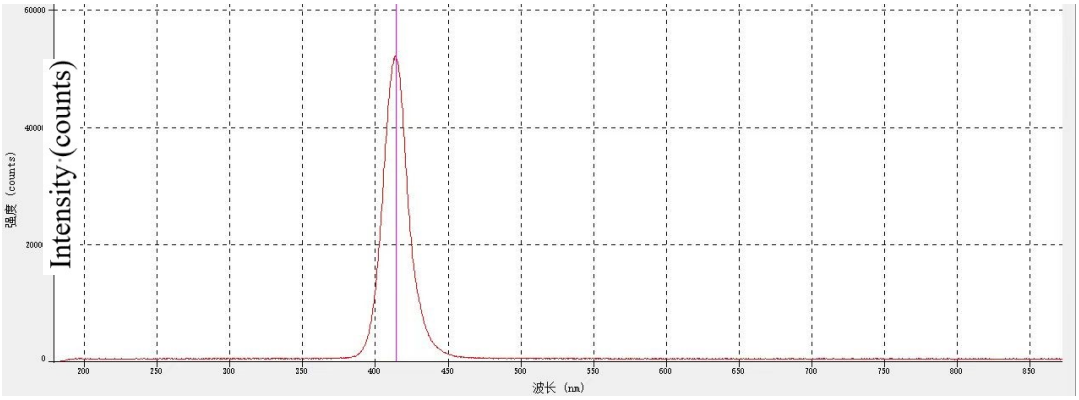
1. General consideration

Melting points were determined using a Büchi B-540 capillary melting point apparatus. NMR spectra were mostly recorded for ^{1}H NMR at 400 MHz, for ^{13}C NMR at 100 MHz and for ^{19}F NMR at 376 MHz. $CDCl_3$, DMSO-d_6 and DMF-d_7 were used as solvents. The following abbreviations are used to describe peak patterns where appropriate: br = broad, s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet. Coupling constants (J) are reported in Hertz (Hz). High-resolution mass spectra (HRMS) were determined with a Bruker Impact II UHR-QTOF mass spectrometer using an ESI source, or Agilent 1290-6545 UPLC-Q-TOF-HRMS liquid chromatography-mass spectrometry by ESI on a TOF mass analyzer. UV-Vis absorption spectra were recorded using a Shimadzu UV-2600i UV/Vis spectrometer. Column chromatography purification was performed using 200-300 mesh silica gel.

The Material of the Irradiation Vessel

Manufacturer: Beijing Newbit Technology Co., Ltd.
Model: LED 4810
Broadband source: λ = 410 nm
Material of the irradiation vessel: borosilicate reaction tube
Distance from the light source to the irradiation vessel: 1.0 cm
Not use any filters



Wavelength (nm)

Figure S1. The emission spectra of the blue LEDs

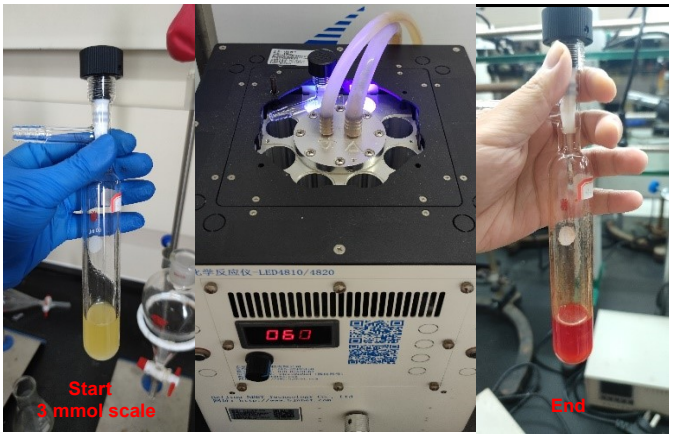
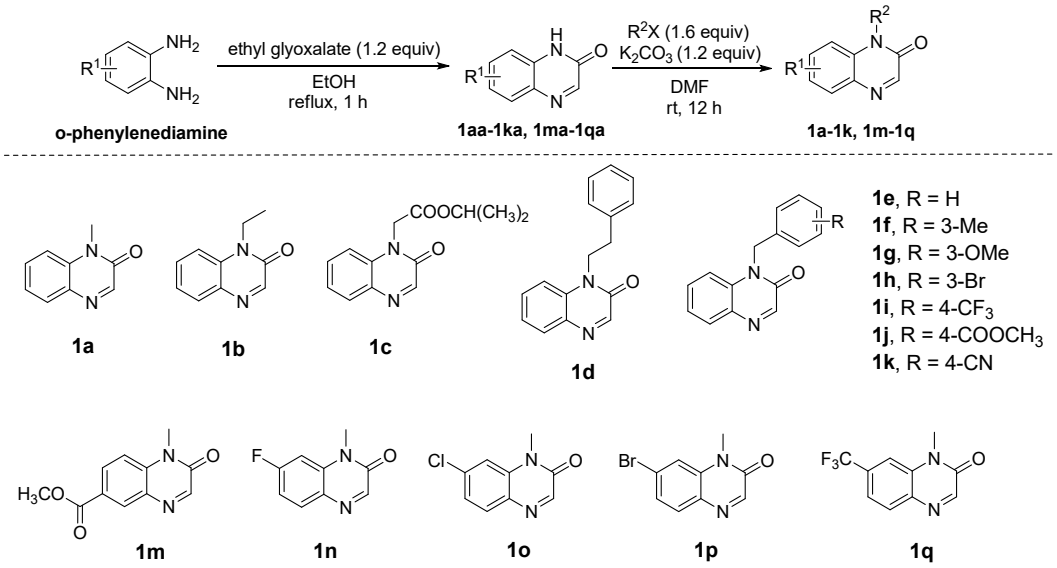


Figure S2. The set-up for the reaction (photographed by Jiayang Wang)

2. General procedure

2.1 General procedure for the preparation of the starting materials

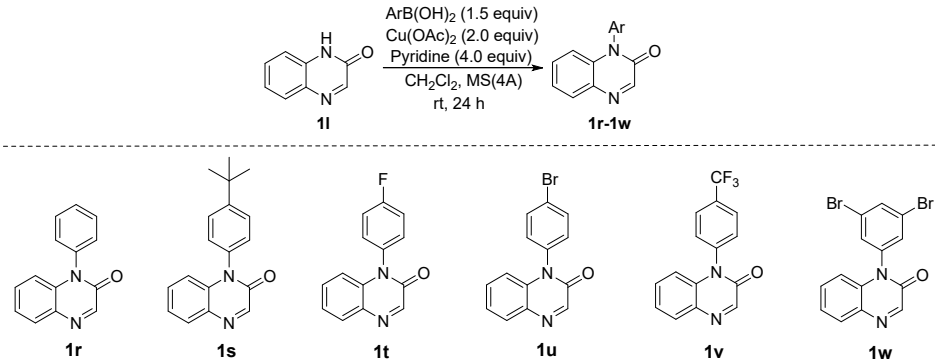
General procedure for the preparation of *N*-alkyl quinoxalinones[1] (1a-1k, 1m-1q)



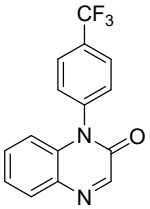
To a dried round-bottom flask charged with a magnetic stirring bar, *o*-phenylenediamine (5 mmol, 1.0 equiv), ethyl glyoxalate (6 mmol, 1.2 equiv) and ethanol (20 mL) were added successively. The mixture was stirred at reflux for 1 h. After completion of the reaction, the reaction mixture was filtered, washed with ethanol and then dried to give the corresponding quinoxalinones **1aa-1ka, 1ma-1qa**.

A 50 mL oven-dried reaction vessel equipped with a magnetic stirrer bar was charged with quinoxalinones **1aa-1ka, 1ma-1qa** (3 mmol, 1.0 equiv), potassium carbonate (3.6 mmol, 1.2 equiv), corresponding halogenoalkane (4.8 mmol, 1.6 equiv) and DMF (20 ml). The resulting solution was stirred in the air at room temperature overnight. Then the reaction mixture was diluted with ethyl acetate, washed with water, and the organic layer was separated and dried over anhydrous $MgSO_4$. The solvent was concentrated under reduced pressure and the residue was purified by column chromatography on silica gel to afford the desired substrate **1a-1k, 1m-1q**. NMR data for the known compounds can be found in the following references: **1a-1c**[2], **1d**[3], **1e-1f**[2], **1g**[6], **1h**[4], **1i**[3], **1j**[8], **1k**[3], **1m**[3], **1n**[5], **1o-1p**[4], **1q**[5].

General procedure for the preparation of *N*-aryl quinoxalinones (1r-1w)[11]

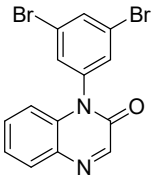


To an oven-dried round bottom flask charged with 2-quinoxalinone **1l** (5.0 mmol, 1.0 equiv), corresponding phenylboronic acid (7.5 mmol, 1.5 equiv), $Cu(OAc)_2$ (10.0 mmol, 2.0 equiv), molecular sieves (1.0 g, 4Å), CH_2Cl_2 (20 mL) was added pyridine (20.0 mmol, 4.0 equiv) under air. The reaction mixture was allowed to stir at room temperature for 24 h and then filtered through a Celite pad. The filtrate was concentrated under reduced pressure. The residue was purified by flash chromatography to afford the corresponding *N*-aryl quinoxalinones **1r-1w**. NMR data for the known compounds can be found in the following references: **1r**[7], **1s**[9], **1t**[7], **1u**[10]. For new compounds **1v** and **1w**, melting points, NMR and HRMS data are listed below:



1-(4-(trifluoromethyl)phenyl)quinoxalin-2(1*H*)-one (1v)

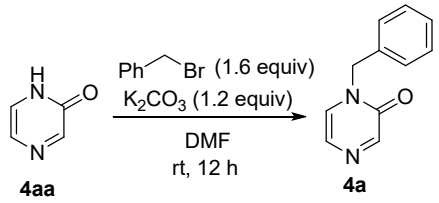
Purified by column chromatography (petroleum ether/ethyl acetate = 8:1), light yellow solid, 491 mg, yield 34%; m.p. 211-213 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.44 (s, 1H), 7.99 (dd, *J* = 7.7, 1.6 Hz, 1H), 7.95 (d, *J* = 8.3 Hz, 2H), 7.51 (d, *J* = 8.2 Hz, 2H), 7.43 (dqd, *J* = 14.8, 7.4, 1.4 Hz, 2H), 6.72 (dd, *J* = 8.1, 1.2 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 154.4, 150.7, 138.4, 133.4, 133.2, 132.0 (q, *J* = 33.2 Hz), 131.0, 130.5, 129.1, 127.6 (q, *J* = 7.1, 3.5 Hz), 124.4, 115.2. 123.6 (q, *J* = 271.1Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.8; HRMS (ESI): $C_{15}H_{10}F_3N_2O$ $[M+H]^+$; calculated: 291.0940, found: 291.0946.



1-(3,5-dibromophenyl)quinoxalin-2(1*H*)-one (1w)

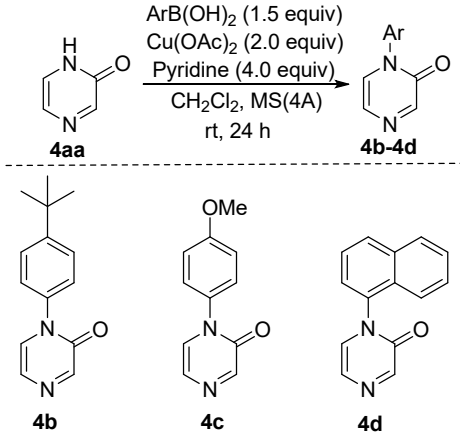
Purified by column chromatography (petroleum ether/ethyl acetate = 10:1), brown solid, 565 mg, yield 30%; m.p. 171-173 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (s, 1H), 7.97 (d, *J* = 7.9 Hz, 1H), 7.91 (m, 1H), 7.52 – 7.45 (m, 3H), 7.44 – 7.38 (m, 1H), 6.76 (d, *J* = 8.3 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 154.2, 150.6, 137.2, 135.7, 133.2, 133.1, 131.2, 130.6, 130.5, 124.5, 124.3, 115.2; HRMS (ESI): $C_{14}H_9Br_2N_2O$ $[M+H]^+$; calculated: 380.9056, found: 380.9062.

General procedure for the preparation of 1-benzylpyrazin-2(1*H*)-one 4a

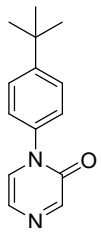


1-benzylpyrazin-2(1*H*)-one **4a** was prepared from **4aa** according to the protocol described for **1a-1k, 1m-1q**. NMR data for the known compounds can be found in the following references: **4a**[12].

General procedure for the preparation of *N*-aryl pyrazinones (4b-4d)

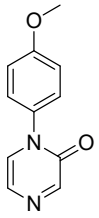


pyrazin-2(1*H*)-one **4aa** was used to prepare the corresponding *N*-aryl pyrazinones **4b-4d** according to the protocol as described for **1r-1w**. For new compounds **4b-4d**, melting points, NMR and HRMS data are listed below:



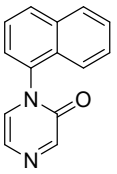
1-(4-(*tert*-butyl)phenyl)-3-methylpyrazin-2(1*H*)-one (4b)

Purified by column chromatography (petroleum ether/ethyl acetate = 4:1), yellow solid, 398 mg, yield 35%; m.p. 117-119 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.28 (d, *J* = 0.8 Hz, 1H), 7.58 – 7.54 (m, 2H), 7.41 (d, *J* = 4.5 Hz, 1H), 7.39 – 7.34 (m, 2H), 7.22 (dd, *J* = 4.5, 1.0 Hz, 1H), 1.39 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.7, 152.5, 150.8, 136.3, 128.7, 126.6, 125.1, 123.6, 34.9, 31.3; HRMS (ESI): $C_{14}H_{17}N_2O$ $[M+H]^+$; calculated: 229.1335, found: 229.1336.



1-(4-methoxyphenyl)pyrazin-2(1*H*)-one (4c)

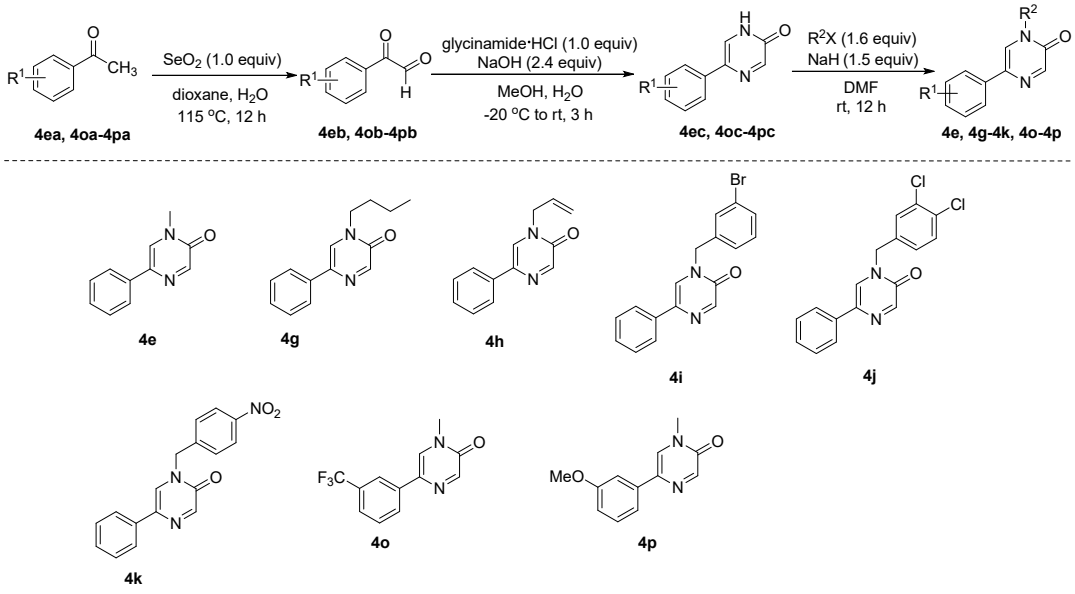
Purified by column chromatography (petroleum ether/ethyl acetate = 4:1), brown solid, 562 mg, yield 56%; m.p. 150-152 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.27 (d, *J* = 1.1 Hz, 1H), 7.40 (d, *J* = 4.4 Hz, 1H), 7.38 – 7.33 (m, 2H), 7.20 (d, *J* = 4.4 Hz, 1H), 7.07 – 7.02 (m, 2H), 3.88 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.0, 155.9, 150.7, 131.7, 128.9, 126.9, 123.6, 114.8, 55.6; HRMS (ESI): $C_{11}H_{11}N_2O_2$ $[M+H]^+$; calculated: 203.0815, found: 203.0818.



1-(naphthalen-1-yl)pyrazin-2(1*H*)-one (4d)

Purified by column chromatography (petroleum ether/ethyl acetate = 5:1), yellow solid, 389 mg, yield 35%; m.p. 206-208 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (s, 1H), 8.02 (d, *J* = 8.7 Hz, 1H), 7.97 – 7.88 (m, 3H), 7.64 – 7.58 (m, 2H), 7.55 (dd, *J* = 8.7, 2.0 Hz, 1H), 7.47 (d, *J* = 4.5 Hz, 1H), 7.33 (d, *J* = 4.4 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.8, 150.9, 136.5, 133.3, 133.1, 129.7, 128.8, 128.2, 127.9, 127.5, 127.2, 124.5, 123.7, 123.2; HRMS (ESI): $C_{14}H_{11}N_2O$ $[M+H]^+$; calculated: 223.0866, found: 223.0869.

General procedure for the preparation of *N*-alkyl-5-aryl pyrazinones (4e, 4g-4k, 4o-4p)[11]

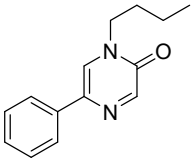


In an oven-dried round bottom flask charged with substituted acetophenones **4ea, 4oa-4pa** (10.0 mmol, 1.0 equiv), dioxane (25 mL) and water (2.5 mL), SeO_2 (10.0 mmol, 1.0 equiv) was added in one portion under an N_2 atmosphere. The reaction mixture was allowed to stir at 115 °C for 12 h. The reaction mixture was cooled to room temperature and filtered through a Celite pad. The filtrate was concentrated under reduced pressure. The residue was purified by flash chromatography to afford the corresponding aryl glyoxal compounds **4eb, 4ob-4pb**.

In an oven-dried round bottom flask, glycinamide hydrochloride (5.0 mmol, 1.0 equiv), MeOH (10 mL) and water (2.5 mL) were added. The above suspension was stirred at -20 °C, and then 12.5

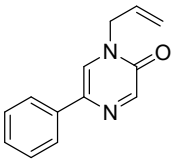
M aqueous NaOH solution (587 uL, 7 mmol, 1.4 equiv), a solution of NaOH (200 mg, 5.0 mmol, 1.0 equiv) in MeOH (3 mL) and phenyl glyoxals **4eb, 4ob-4pb** (5.0 mmol, 1.0 equiv) were added sequentially. The resulting mixture was allowed to stir at -20 °C for 2 h, then raised to room temperature and stirred for 1 h. After the reaction was completed, the mixture was cooled in an ice bath and acidified with AcOH. The solvent was removed by filtration and the residue was dried to afford 5-phenyl pyrazinones **4ec, 4oc-4pc**.

In an oven-dried round bottom flask, sodium hydride (3 mmol, 1.5 equiv, 60% dispersion in mineral oil) and DMF (2 mL) were added under an N_2 atmosphere. Then a solution of **4ec, 4oc-4pc** (2 mmol, 1.0 equiv) in DMF (2 mL) was added dropwise to the above suspension at 0 °C. A solution of the corresponding alkyl halide (3.2 mmol, 1.6 equiv) in DMF (2 mL) was added dropwise after 15 minutes at 0 °C. The reaction mixture was allowed to stir at room temperature for 3 h. After the reaction was completed, ice water was added and the mixture was extracted with CH_2Cl_2. The combined organic layer was washed with brine, dried over Na_2SO_4 and concentrated under reduced pressure, and then the residue was purified by flash column chromatography to afford the desired *N*-alkyl-5-aryl pyrazinones **4e, 4g-4k, 4o-4p.** NMR data for the known compounds can be found in the following references: **4e**[11]and **4o**[11]. For the new compounds **4g-4k** and **4p**, melting points, NMR and HRMS data are listed below:



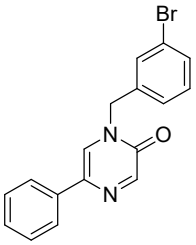
1-butyl-5-phenylpyrazin-2(1*H*)-one (4g)

Purified by column chromatography (petroleum ether/ethyl acetate = 5:1), red solid, 502 mg, yield 73%; m.p. 130-132 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.28 (d, *J* = 1.0 Hz, 1H), 7.77 (d, *J* = 7.6 Hz, 2H), 7.51 (s, 1H), 7.46 (t, *J* = 7.4 Hz, 2H), 7.37 (t, *J* = 7.4 Hz, 1H), 3.99 (t, *J* = 7.4 Hz, 2H), 1.82 (p, *J* = 7.4 Hz, 2H), 1.44 (dt, *J* = 14.8, 7.4 Hz, 2H), 1.01 (t, *J* = 7.3 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.4, 148.6, 135.6, 133.8, 128.9, 128.1, 125.0, 124.9, 49.8, 30.9, 19.9, 13.7; HRMS (ESI): $C_{14}H_{17}N_2O$ $[M+H]^+$; calculated: 229.1335, found: 229.1338.



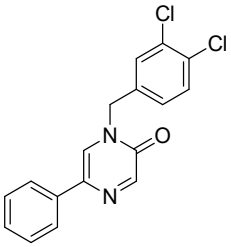
1-allyl-5-phenylpyrazin-2(1*H*)-one (4h)

Purified by column chromatography (petroleum ether/ethyl acetate = 6:1), yellow solid, 422 mg, yield 66%; m.p. 99-101 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (d, *J* = 0.9 Hz, 1H), 7.77 (dd, *J* = 5.3, 3.4 Hz, 2H), 7.52 (d, *J* = 1.1 Hz, 1H), 7.46 (dd, *J* = 10.3, 4.8 Hz, 2H), 7.40 – 7.35 (m, 1H), 6.00 (ddt, *J* = 16.4, 10.2, 6.0 Hz, 1H), 5.39 (ddd, *J* = 17.9, 13.7, 0.8 Hz, 2H), 4.64 (d, *J* = 6.0 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.2, 148.7, 135.5, 134.0, 131.0, 128.9, 128.1, 125.0, 124.1, 120.3, 51.0; HRMS (ESI): $C_{13}H_{13}N_2O$ $[M+6H]^+$; calculated: 213.1022, found: 213.1027.



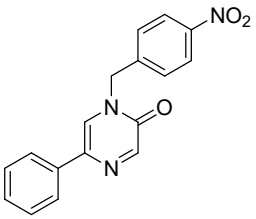
1-(3-bromobenzyl)-5-phenylpyrazin-2(1*H*)-one (4i)

Purified by column chromatography (petroleum ether/ethyl acetate = 5:1), brown oil, 755 mg, yield 74%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.34 (s, 1H), 7.73 (d, *J* = 7.4 Hz, 2H), 7.51 (t, *J* = 8.7 Hz, 3H), 7.44 (t, *J* = 7.5 Hz, 2H), 7.32 (ddd, *J* = 23.3, 15.0, 7.5 Hz, 3H), 5.13 (s, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.2, 149.0, 137.1, 135.3, 134.3, 131.9, 131.3, 130.7, 128.9, 128.3, 126.9, 125.1, 124.1, 123.2, 51.7; HRMS (ESI): $C_{17}H_{14}BrN_2O$ $[M+H]^+$; calculated: 341.0284, found: 341.0288.



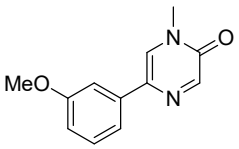
1-(3,4-dichlorobenzyl)-5-phenylpyrazin-2(1*H*)-one (4j)

Purified by column chromatography (petroleum ether/ethyl acetate = 6:1), yellow solid, 782 mg, yield 79%; m.p. 141-143 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (s, 1H), 7.77 – 7.68 (m, 2H), 7.51 – 7.42 (m, 5H), 7.41 – 7.34 (m, 1H), 7.24 (dd, *J* = 8.3, 2.0 Hz, 1H), 5.12 (s, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.1, 149.1, 135.2, 135.0, 134.4, 133.4, 133.1, 131.2, 130.3, 129.0, 128.4, 127.6, 125.1, 123.9, 51.4; HRMS (ESI): $C_{17}H_{13}Cl_2N_2O$ $[M+H]^+$; calculated: 331.0399, found: 331.0405.



1-(4-nitrobenzyl)-5-phenylpyrazin-2(1*H*)-one (4k)

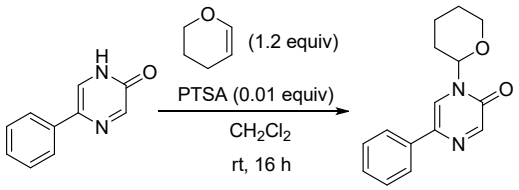
Purified by column chromatography (petroleum ether/ethyl acetate = 4:1), yellow solid, 598 mg, yield 65%; m.p. 148-150 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.37 (d, *J* = 0.8 Hz, 1H), 8.26 (d, *J* = 8.7 Hz, 2H), 7.76 – 7.72 (m, 2H), 7.55 (dd, *J* = 9.0, 4.8 Hz, 3H), 7.46 (dd, *J* = 10.2, 4.7 Hz, 2H), 7.41 – 7.36 (m, 1H), 5.28 (s, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.1, 149.2, 148.0, 141.9, 135.0, 134.5, 128.99, 128.98, 128.5, 125.1, 124.3, 124.0, 51.9; HRMS (ESI): $C_{17}H_{14}N_3O_3$ $[M+H]^+$; calculated: 308.1030, found: 308.1034.



5-(3-methoxyphenyl)-1-methylpyrazin-2(1*H*)-one (4p)

Purified by column chromatography (petroleum ether/ethyl acetate = 4:1), yellow solid, 432 mg, yield 67%; m.p. 140-142 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.27 (d, *J* = 0.8 Hz, 1H), 7.54 (s, 1H), 7.36 (t, *J* = 7.9 Hz, 2H), 7.31 – 7.27 (m, 1H), 6.92 (dd, *J* = 8.0, 1.8 Hz, 1H), 3.89 (s, 3H), 3.63 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.3, 155.9, 148.1, 136.9, 133.6, 129.9, 126.0, 117.1, 113.9, 110.6, 55.4, 37.5; HRMS (ESI): $C_{12}H_{13}N_2O_2$ $[M+H]^+$; calculated: 217.0972, found: 217.0973.

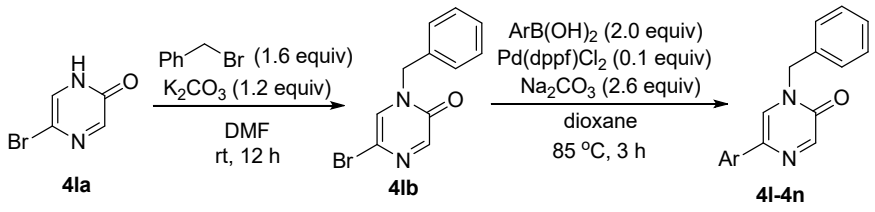
General procedure for the preparation of 5-phenyl-1-(tetrahydro-2*H*-pyran-2-yl) pyrazin-2(1*H*)-one (4f)[11]



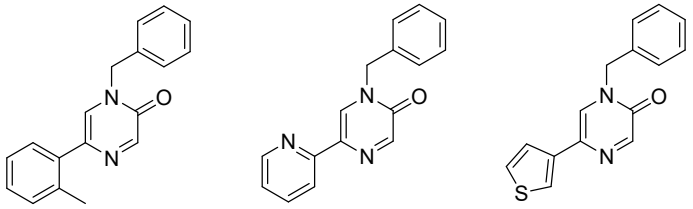
4ec **4f**

To an oven-dried round bottom flask, 5-phenyl pyrazinone **4ec** (3 mmol, 1.0 equiv), 3,4-dihydro-2*H*-pyran (3.6 mmol, 1.2 equiv), *p*-toluenesulfonic acid (0.03 mmol, 0.01 equiv) and CH_2Cl_2 (5 mL) were added. The above suspension was stirred at room temperature for 16 h, The progress of the reaction was monitored by TLC. After consumption of the starting material, the mixture was concentrated under reduced pressure. The residue was purified by flash column chromatography to afford 5-phenyl-1-(tetrahydro-2*H*-pyran-2-yl) pyrazin-2(1*H*)-one **4f**. NMR data for the known compounds can be found in the following references: **4f**[11].

General procedure for the preparation of *N*-benzyl-5-aryl pyrazinones (4l-4n)



4la **4lb** **4l-4n**

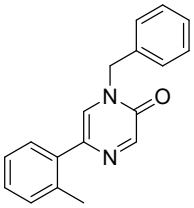


4l **4m** **4n**

1-benzyl-5-bromopyrazin-2(1*H*)-one **4lb** was prepared from **4la** according to the protocol described for **1a-1k, 1m-1q**.

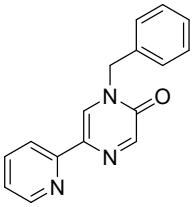
To an oven-dried round bottom flask, 1-benzyl-5-bromopyrazin-2(1*H*)-one **4lb** (5 mmol, 1.0 equiv)**,** $ArB(OH)_2$ (10 mmol, 2.0 equiv), $Pd(dppf)Cl_2$ (0.5 mmol, 0.1 equiv), 2 M aqueous Na_2CO_3 solution (6.5 mL, 13 mmol, 2.6 equiv) and dioxane (5 mL) were added at room temperature. The reaction mixture was allowed to stir at 85 °C for 3 h under a nitrogen atmosphere. After the reaction was completed, the mixture was cooled to room temperature, quenched with saturated $NaHCO_3$, and extracted with CH_2Cl_2. The combined organic layer was dried over Na_2SO_4, filtered and

concentrated under reduced pressure. The residue was purified by column chromatography to afford the corresponding *N*-benzyl-5-aryl pyrazinones **4l-4n**. For the new compounds **4l-4n**, melting points, NMR and HRMS data are listed below:



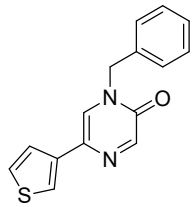
1-benzyl-5-(*o*-tolyl)pyrazin-2(1*H*)-one (4l)

Purified by column chromatography (petroleum ether/ethyl acetate = 6:1), yellow solid, 322 mg, yield 23%; m.p. 101-103 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (d, *J* = 0.8 Hz, 1H), 7.41 (tt, *J* = 9.3, 4.5 Hz, 5H), 7.32 – 7.24 (m, 4H), 7.19 (d, *J* = 0.8 Hz, 1H), 5.17 (s, 2H), 2.33 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.1, 148.3, 136.2, 135.5, 134.7, 131.0, 129.3, 129.2, 128.8, 128.7, 128.5, 127.0, 126.1, 52.0, 20.4; HRMS (ESI): $C_{18}H_{17}N_2O$ $[M+H]^+$; calculated: 277.1335, found: 277.1339.



1-benzyl-5-(pyridin-2-yl)pyrazin-2(1*H*)-one (4m)

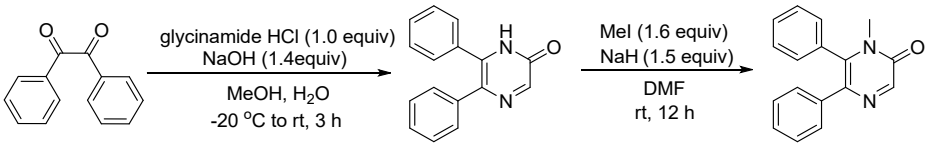
Purified by column chromatography (petroleum ether/ethyl acetate = 4:1), brown solid, 307 mg, yield 23%; m.p. 125-127 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.91 (s, 1H), 8.59 (d, *J* = 3.2 Hz, 1H), 8.35 (s, 1H), 8.08 (d, *J* = 8.0 Hz, 1H), 7.57 (s, 1H), 7.45 – 7.36 (m, 6H), 5.21 (s, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.3, 149.4, 148.9, 146.0, 134.5, 132.7, 131.4, 131.1, 129.3, 128.9, 128.6, 124.7, 123.7, 52.2; HRMS (ESI): $C_{16}H_{14}N_3O$ $[M+H]^+$; calculated: 264.1131, found: 264.1134.



1-benzyl-5-(thiophen-3-yl)pyrazin-2(1*H*)-one (4n)

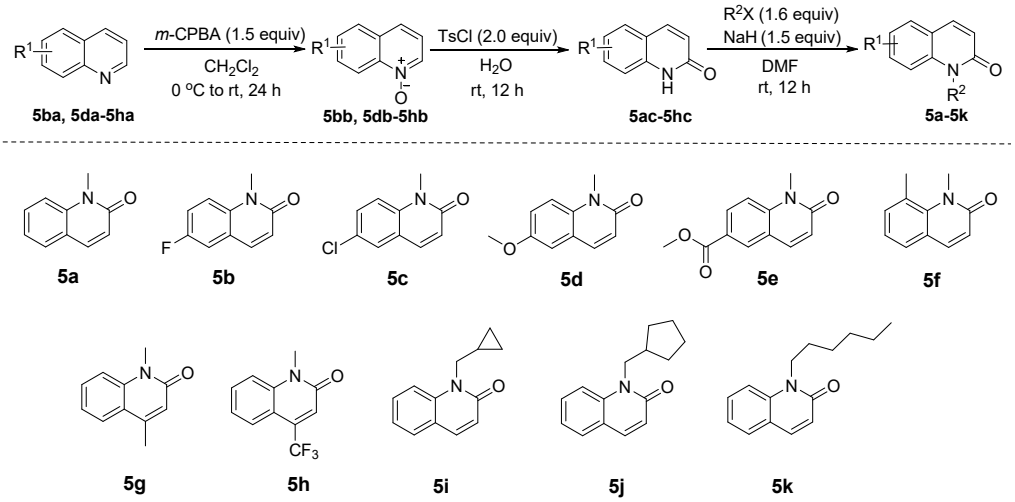
Purified by column chromatography (petroleum ether/ethyl acetate = 6:1), brown solid, 450 mg, yield 34%; m.p. 168-170 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.28 (d, *J* = 0.8 Hz, 1H), 7.45 – 7.38 (m, 6H), 7.31 (d, *J* = 5.3 Hz, 1H), 7.25 – 7.23 (m, 1H), 7.06 (dd, *J* = 5.0, 3.7 Hz, 1H), 5.17 (s, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.2, 148.9, 140.1, 134.6, 130.4, 129.3, 128.8, 128.5, 128.0, 125.6, 122.7, 122.3, 52.2; HRMS (ESI): $C_{15}H_{13}N_2OS$ $[M+H]^+$; calculated: 269.0743, found: 269.0747.

General procedure for the preparation of 1-methyl-5,6-diphenylpyrazin-2(1*H*)-one (4q)



Diphenyl pyrazinone **4qb** was prepared from benzil **4qa** according to the protocol described for **4ec, 4oc-4pc.** 1-methyl-5,6-diphenylpyrazin-2(1*H*)-one **4q** was prepared from **4qb** according to the protocol described for **4e, 4g-4k, 4o-4p**. NMR data for the known compounds can be found in the following references: **4q**[11].

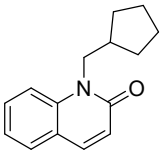
General procedure for the preparation of *N*-alkyl quinolinones (5b-5k)[13]



To an oven-dried round bottom flask, quinolines **5ba, 5da-5ha** (10 mmol, 1.0 equiv), *m*-chloroperbenzoic acid (*m*-CPBA, 15 mmol, 1.5 equiv) and CH_2Cl_2 (50 mL) were added at 0 °C. The reaction mixture was allowed to stir at room temperature for 24 h. After the reaction was completed, the mixture was quenched with saturated $NaHCO_3$, and extracted with CH_2Cl_2. The combined organic layer was dried over Na_2SO_4, filtered and concentrated under reduced pressure. The residue was purified by column chromatography to afford the corresponding quinoline *N*-oxides **5bb, 5db-5hb.**

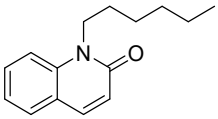
To an oven-dried round bottom flask, quinoline *N*-oxides **5bb, 5db-5hb** (5 mmol, 1.0 equiv), TsCl (10 mmol, 2.0 equiv) and H_2O (30 mL) were added. The reaction mixture was allowed to stir at room temperature for 12 h. Upon completion, the reaction was filtered and vacuum dried to afford the desired quinolin-2(1*H*)-ones **5bc, 5dc-5hc**.

N-alkyl quinolinones **5a-5k** were prepared from quinolin-2(1*H*)-ones **5ac-5hc** according to the protocol described for **4e, 4g-4k, 4o-4p.** NMR data for the known compounds can be found in the following references: **5b-5e**[14]**, 5f**[15]**, 5g-5h**[16] and **5i**[17]. For the new compounds **5j** and **5k**, melting points, NMR and HRMS data are listed below:



1-(cyclopentylmethyl)quinolin-2(1*H*)-one (5j)

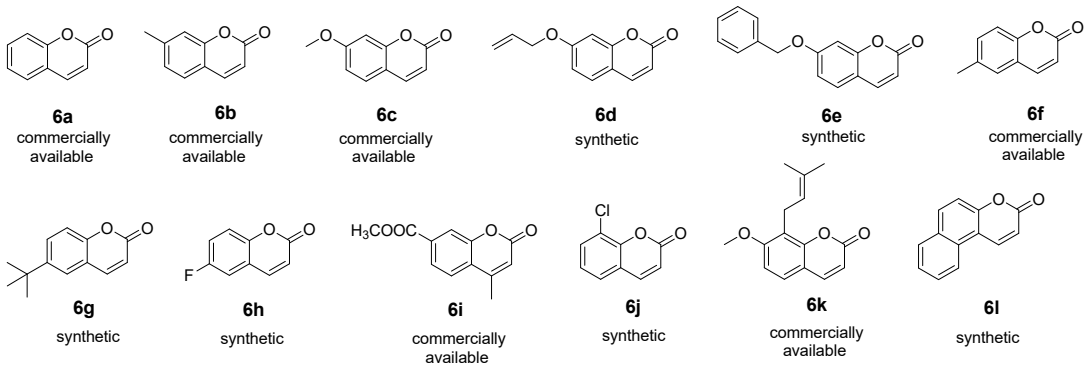
Purified by column chromatography (petroleum ether/ethyl acetate = 15:1), colorless oil, 388 mg, yield 57%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.67 (d, *J* = 9.4 Hz, 1H), 7.60 – 7.54 (m, 2H), 7.44 (d, *J* = 8.9 Hz, 1H), 7.26 – 7.21 (m, 1H), 6.73 (d, *J* = 9.4 Hz, 1H), 4.36 (d, *J* = 7.5 Hz, 2H), 2.48 (dt, *J* = 14.5, 7.4 Hz, 1H), 1.80 – 1.69 (m, 4H), 1.59 – 1.44 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.6, 139.5, 138.9, 130.3, 129.0, 121.9, 121.8, 121.0, 114.6, 46.1, 38.9, 30.4, 24.9; HRMS (ESI): $C_{15}H_{18}NO$ $[M+H]^+$; calculated: 228.1383, found: 228.1386.



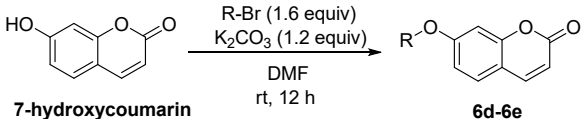
1-hexylquinolin-2(1*H*)-one (5k)

Purified by column chromatography (petroleum ether/ethyl acetate = 15:1), colorless oil, 402 mg, yield 59%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (d, *J* = 8.8 Hz, 1H), 7.89 (d, *J* = 8.4 Hz, 1H), 7.74 (dd, *J* = 8.0, 1.0 Hz, 1H), 7.65 (ddd, *J* = 8.4, 7.0, 1.4 Hz, 1H), 7.43 – 7.37 (m, 1H), 6.93 (d, *J* = 8.8 Hz, 1H), 4.53 (t, *J* = 6.7 Hz, 2H), 1.92 – 1.85 (m, 2H), 1.58 – 1.51 (m, 2H), 1.45 – 1.40 (m, 4H), 0.99 – 0.95 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.4, 146.7, 138.6, 129.4, 127.4, 127.3, 125.1, 123.8, 113.3, 66.1, 31.7, 29.1, 25.86 (s), 22.7, 14.1; HRMS (ESI): $C_{15}H_{20}NO$ $[M+H]^+$; calculated: 230.1539, found: 230.1545.

Starting coumarin derivatives

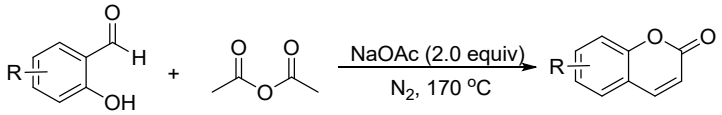


General experimental procedure for the synthesis of coumarins (6d-6e)



A 50 mL oven-dried reaction vessel equipped with a magnetic stirrer bar was charged with 7-hydroxycoumarin (5 mmol, 1.0 equiv), potassium carbonate (6 mmol, 1.2 equiv), corresponding bromo-hydrocarbons (8 mmol, 1.6 equiv) and DMF (20 mL). The resulting solution was stirred in the air at room temperature overnight. Then the reaction mixture was diluted with CH_2Cl_2, washed with water, and the organic layer was separated and dried over anhydrous $MgSO_4$. The solvent was concentrated under reduced pressure and the residue was purified by column chromatography on silica gel to afford the desired substrate **6d-6e**. NMR data for the known compounds can be found in the following references: **6d**[18] and **6e**[19].

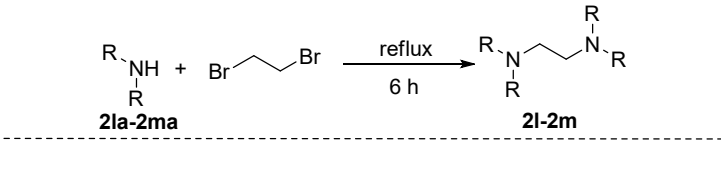
General experimental procedure for the synthesis of coumarins (6g-6h, 6j, 6l)[20]



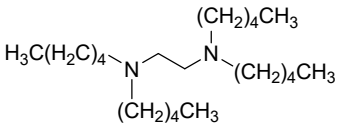
salicylaldehyde **acetic anhydride** **6g-6j**

A 35 mL oven-dried sealed tube equipped with a magnetic stirrer bar was charged with the appropriate salicylaldehyde (5 mmol, 1.0 equiv), acetic anhydride (10 mmol, 2.0 equiv) and sodium acetate (10 mmol, 2.0 equiv). The resulting mixture was heated to 170 °C and then stirred for 6 h. Then the reaction mixture was diluted with CH_2Cl_2, washed with water, and the organic layer was separated and dried over anhydrous $MgSO_4$. The solvent was concentrated under reduced pressure and the residue was purified by column chromatography on silica gel to afford the desired substrate **1g-1j**. NMR data for the known compounds can be found in the following references: **6g**[21], **6h**[22], **6j**[22] and **6l**[19].

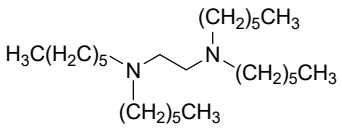
General procedure for the preparation of tetraalkylethylenediamines (2l-2m)[23]



2la-2ma **2l-2m**



2l

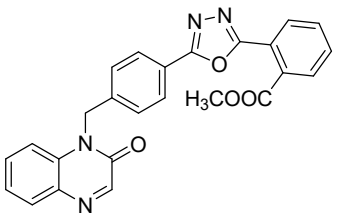


2m

To an oven-dried round bottom flask charged with dialkylamine **2la-2ma** (20 mmol, 4.0 equiv) was added 1,2-dibromoethane (5 mmol, 1.0 equiv) via syringe slowly under an N_2 atmosphere. The reaction mixture was allowed to stir reflux (the boiling point of the amine) for 6 h and a solid was formed. The reaction mixture was diluted with ether, and the solid was filtrated. The filtrate was concentrated to give a crude product, which was purified by distillation under reduced pressure to afford the desired tetraalkylethylenediamines **2l-2m**. NMR data for the known compounds can be found in the following references: **2l-2m**[28].

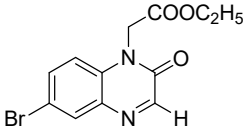
General procedure for the preparation of 11 and 12

Substrates methyl 2-(5-(4-((2-oxoquinoxalin-1(2*H*)-yl)methyl)phenyl)-1,3,4-oxadiazol-2-yl)benzoate **11** and ethyl 2-(6-bromo-2-oxoquinoxalin-1(2*H*)-yl)acetate **12** were prepared according to the previously reported literature procedures[39-41].



methyl 2-(5-(4-((2-oxoquinoxalin-1(2H)-yl)methyl)phenyl)-1,3,4-oxadiazol-2-yl)benzoate (11)

brown solid, m.p. 205-207 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.61 (s, 1H), 8.18 – 8.14 (m, 2H), 8.08 (dd, *J* = 8.2, 1.5 Hz, 1H), 7.97 (ddd, *J* = 7.1, 5.3, 2.2 Hz, 2H), 7.90 (dd, *J* = 8.3, 1.4 Hz, 1H), 7.75 – 7.68 (m, 5H), 7.63 (ddd, *J* = 8.4, 7.0, 1.4 Hz, 1H), 5.67 (s, 2H), 3.87 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.3, 164.8, 164.0, 156.8, 140.4, 140.2, 139.5, 139.2 131.8, 131.5, 130.5, 130.4, 130.1, 129.1, 128.8, 127.3, 127.2, 126.9, 123.8, 123.6, 67.4, 52.8; HRMS (ESI): $C_{25}H_{19}N_4O_4$ $[M+H]^+$; calculated: 439.1401, found: 439.1403.

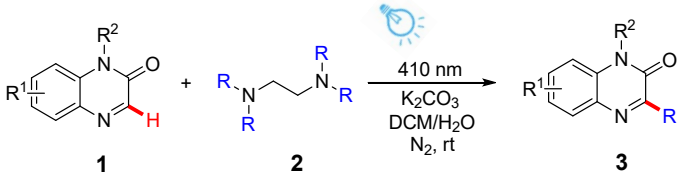


ethyl 2-(6-bromo-2-oxoquinoxalin-1(2H)-yl)acetate (12)

brown solid, m.p. 137–139 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.37 (s, 1H), 8.09 (d, *J* = 2.2 Hz, 1H), 7.68 (dd, *J* = 8.9, 2.3 Hz, 1H), 7.01 (d, *J* = 8.9 Hz, 1H), 5.01 (s, 2H), 4.28 (q, *J* = 7.2 Hz, 2H), 1.31 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.6, 154.1, 151.2, 134.3, 134.0, 133.3, 131.6, 116.7, 114.8, 62.4, 43.3, 14.1. HRMS (ESI): $C_{12}H_{12}BrN_2O_3$ $[M+H]^+$; calculated: 311.0026, found: 311.0032.

2.2 General procedure for C-H methylation of heteroarenes

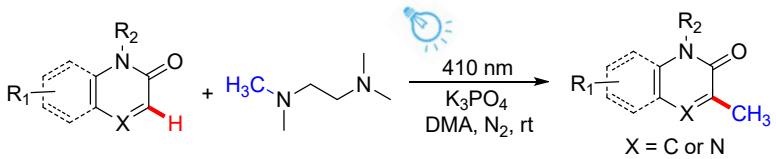
General Procedure A: Synthesis of 3



1 **2** **3**

In an oven-dried reaction tube equipped with a magnetic stirrer bar was charged with quinoxalinones **1** (0.3 mmol, 1.0 equiv), tetraalkylethylenediamines **2** (0.9 mmol, 3.0 equiv), potassium carbonate (0.9 mmol, 3.0 equiv) and a mixed solvent of DCM/H_2O (DCM:H_2O = 4:1, 2.0 mL in total). The tube was then exposed to 10 W 410 nm irradiation at room temperature under an N_2 atmosphere with stirring for 16 h. After completion of the reaction, the mixture was quenched with water (20 mL) and extracted with CH_2Cl_2 (3 × 5 mL) and dried over anhydrous Na_2SO_4. After the removal of the combined CH_2Cl_2 under vacuum, the residue was purified by flash chromatography on silica gel to afford the corresponding products **3**.

General Procedure B: Synthesis of 7-8

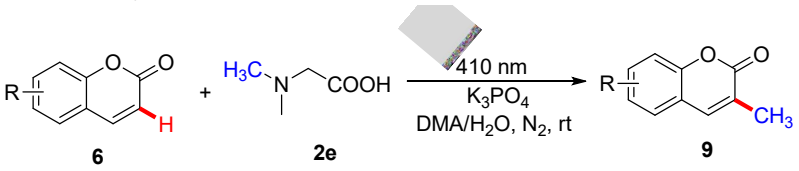


4-5 **2a** **7-8**

In an oven-dried reaction tube equipped with a magnetic stirrer bar was charged with heterocycles **4-5** (0.3 mmol, 1.0 equiv), *N,N,N′,N′*-tetramethylethylenediamine(TMEDA) **2** (0.9 mmol, 3.0 equiv), potassium phosphate (0.9 mmol, 3.0 equiv) and *N,N*-dimethylacetamide (DMA, 2.0 mL). The tube was then exposed to 10 W 410 nm irradiation at room temperature under an N_2 atmosphere

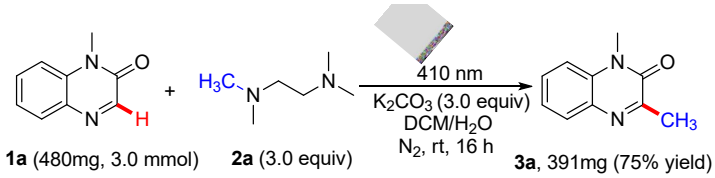
with stirring for 16 h. After completion of the reaction, the mixture was quenched with water (20 mL) and extracted with CH_2Cl_2 (3 × 5 mL) and dried over anhydrous Na_2SO_4. After the removal of the combined CH_2Cl_2 under vacuum, the residue was purified by flash chromatography on silica gel to afford the corresponding products **7-8**.

General Procedure C: Synthesis of 9



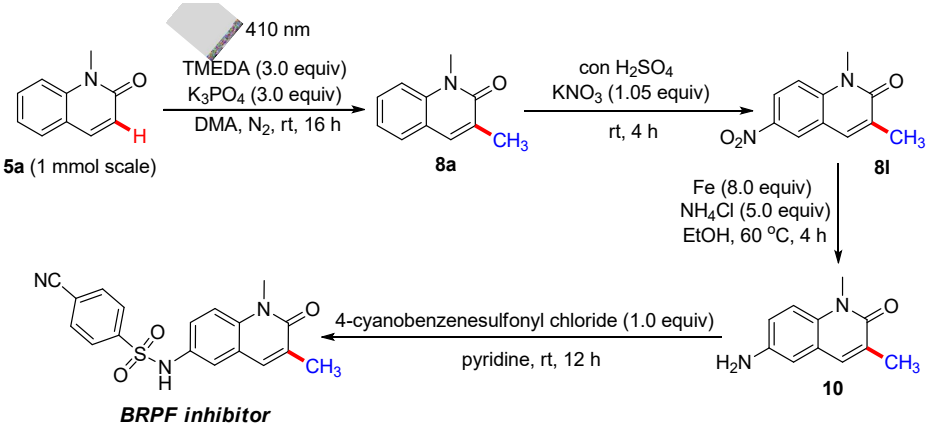
In an oven-dried reaction tube equipped with a magnetic stirrer bar was charged with coumarins **6** (0.3 mmol, 1.0 equiv), *N,N*-dimethylglycine **2e** (0.9 mmol, 3.0 equiv), potassium phosphate (0.9 mmol, 3.0 equiv) and a mixed solvent of DMA/H_2O (DMA:H_2O = 1:1, 2.0 mL in total). The tube was then exposed to 10 W 410 nm irradiation at room temperature under an N_2 atmosphere with stirring for 20 h. After completion of the reaction, the mixture was quenched with water (20 mL) and extracted with CH_2Cl_2 (3 × 5 mL) and dried over anhydrous Na_2SO_4. After the removal of the combined CH_2Cl_2 under vacuum, the residue was purified by flash chromatography on silica gel to afford the corresponding products **9**.

2.3 3 mmol synthesis of the product 3a



In an oven-dried reaction tube equipped with a magnetic stirrer bar was charged with 1-methylquinoxalin-2(1*H*)-one **1a** (3.0 mmol, 480 mg, 1.0 equiv), *N,N,N′,N′*-tetramethylethylenediamine(TMEDA) **2a** (9 mmol, 1.05 g, 3.0 equiv), potassium carbonate (9 mmol, 1.24 g, 3.0 equiv) and a mixed solvent of DCM/H_2O (DCM:H_2O = 4:1, 10 mL in total). The tube was then exposed to 10 W 410 nm irradiation at room temperature under an N_2 atmosphere with stirring for 16 h. After completion of the reaction, the mixture was quenched with water (50 mL) and extracted with CH_2Cl_2 (3 × 10 mL) and dried over anhydrous Na_2SO_4. After the removal of the combined CH_2Cl_2 under vacuum, the residue was purified by flash chromatography on silica gel (petroleum ether/ethyl acetate = 8/1) to afford the corresponding product **3a** as a white solid (391 mg, 75% yield).

2.4 General procedure for the synthesis of BRPF inhibitor[24,25]



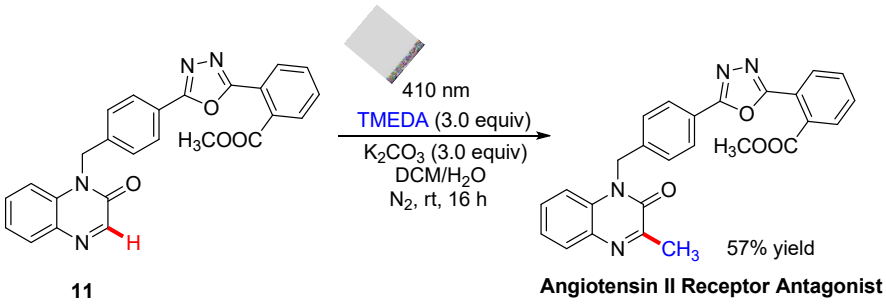
In an oven-dried reaction tube equipped with a magnetic stirrer bar was charged with 1-methylquinolin-2(1*H*)-one **5a** (1.0 mmol, 159mg, 1.0 equiv), *N,N,N′,N′*-tetramethylethylenediamine(TMEDA) **2a** (3.0 mmol, 349mg, 3.0 equiv), potassium phosphate (3.0 mmol, 637mg, 3.0 equiv) and *N,N*-dimethylacetamide (DMA, 6.0 mL). The tube was then exposed to 10 W 410 nm irradiation at room temperature under N_2 atmosphere with stirring for 16 h. After completion of the reaction, the mixture was quenched with water (30 mL) and extracted with CH_2Cl_2 (3 × 10 mL) and dried over anhydrous Na_2SO_4. After the removal of the combined CH_2Cl_2 under vacuum, the residue was purified by flash chromatography on silica gel (petroleum ether/ethyl acetate = 8/1) to afford 1,3-dimethylquinolin-2(1*H*)-one **8a** as a white solid (116 mg, 67% yield).

An oven-dried round bottom flask was charged with 1,3-dimethylquinolin-2(1*H*)-one **8a** (0.6 mmol, 104 mg, 1.0 equiv) and cooled to 0 °C. Concentrated sulfuric acid (0.8 mL) was added and the reaction mixture was stirred for 0.5 h, during which time most of the solids dissolved. To the resultant pale-red solution, potassium nitrate (0.63 mmol, 64 mg, 1.05 equiv) was added. The reaction mixture was stirred for another 4 h. After completion of the reaction, the mixture was quenched with ice water and stirred rapidly for 0.5 h. The yellow precipitate was collected by filtration and washed with water (2 × 5 mL). The resultant filter cake was slurried with hot ethanol (2 mL) and the solid was collected by filtration to give 1,3-dimethyl-6-nitroquinolin-2-(1*H*)-one **8l** as a yellow solid (105 mg, 80% yield).

To an oven-dried round bottom flask, 1,3-dimethyl-6-nitroquinolin-2-(1*H*)-one **8l** (0.45 mmol, 98 mg, 1.0 equiv), iron powder (3.6 mmol, 202 mg, 8.0 equiv), NH_4Cl (2.25 mmol, 120 mg, 5.0 equiv) and a mixed solvent of THF/EtOH/H_2O (4:2:1, 14 mL) were added. The above suspension was stirred at 50 °C for 3 h. The reaction mixture was filtered through a short pad of celite. The filtrate was evaporated and the residue was diluted with ethyl acetate, washed with water, brine, dried over Na_2SO_4 and filtered. The solvent was evaporated under reduced pressure to afford 6-amino-1,3-dimethylquinolin-2(1*H*)-one **10** as a yellow solid (69 mg, 82% yield).

To an oven-dried round bottom flask, 6-amino-1,3-dimethyl-quinolin-2(1*H*)-one **10** (0.3 mmol, 56 mg, 1.0 equiv), 4-cyanobenzenesulfonyl chloride (0.3 mmol, 61 mg, 1.0 equiv) and pyridine (2 mL) were added at room temperature. The reaction mixture was stirred overnight. After completion of the reaction, the solvent was then removed under vacuum, and the residue obtained was dissolved in EtOAc and washed successively with aqueous 1M HCl, water, brine, dried over Na_2SO_4 and filtered. The organic layer was concentrated in vacuo. The residue was purified by flash chromatography on silica gel (petroleum ether/ethyl acetate = 2/1) to afford the **BRPF inhibitor** as a yellow solid (53 mg, 50% yield).

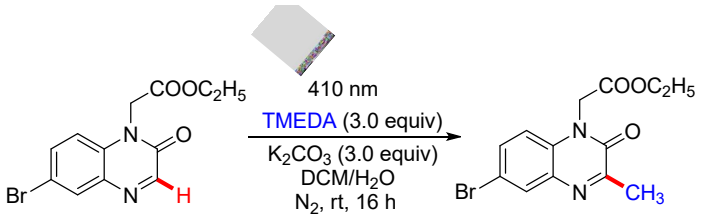
2.5 General procedure for the synthesis of Angiotensin II Receptor Antagonist



11 **Angiotensin II Receptor Antagonist**

In an oven-dried reaction tube equipped with a magnetic stirrer bar was charged with methyl 2-(5-(4-((2-oxoquinoxalin-1(2*H*)-yl)methyl)phenyl)-1,3,4-oxadiazol-2-yl)benzoate **11** (0.3 mmol, 1.0 equiv), *N,N,N′,N′*-tetramethylethylenediamine(TMEDA) **2** (0.9 mmol, 3.0 equiv), potassium phosphate (0.9 mmol, 3.0 equiv) and a mixed solvent of DCM/H_2O (DCM:H_2O = 4:1, 2.0 mL in total). The tube was then exposed to 10 W 410 nm irradiation at room temperature under an N_2 atmosphere with stirring for 16 h. After completion of the reaction, the mixture was quenched with water (20 mL) and extracted with CH_2Cl_2 (3 × 5 mL) and dried over anhydrous Na_2SO_4. After the removal of the combined CH_2Cl_2 under vacuum, the residue was purified by flash chromatography on silica gel to afford the corresponding products **Angiotensin II Receptor Antagonist**.

2.6 General procedure for the synthesis of 13



12 **13**

In an oven-dried reaction tube equipped with a magnetic stirrer bar was charged with ethyl 2-(6-bromo-2-oxoquinoxalin-1(2*H*)-yl)acetate **12** (0.3 mmol, 1.0 equiv), *N,N,N′,N′*-tetramethylethylenediamine(TMEDA) **2** (0.9 mmol, 3.0 equiv), potassium phosphate (0.9 mmol, 3.0 equiv) and a mixed solvent of DCM/H_2O (DCM:H_2O = 4:1, 2.0 mL in total). The tube was then exposed to 10 W 410 nm irradiation at room temperature under an N_2 atmosphere with stirring for 16 h. After completion of the reaction, the mixture was quenched with water (20 mL) and extracted with CH_2Cl_2 (3 × 5 mL) and dried over anhydrous Na_2SO_4. After the removal of the combined CH_2Cl_2 under vacuum, the residue was purified by flash chromatography on silica gel to afford the corresponding products ethyl 2-(6-bromo-3-methyl-2-oxoquinoxalin-1(2*H*)-yl)acetate **13**.

3. Mechanistic investigation

3.1 High resolution mass spectrometer analysis

In order to ensure whether the corresponding intermediate was generated, ESI-MS analysis of the model reaction mixture was performed. The resulting mass spectrum clearly shows peaks corresponding to intermediate **D** HRMS (ESI): $C_{15}H_{25}N_4O$ $[M+H]^+$ Calcd 277.2023, Found 277.2007 (**Figure S3**).

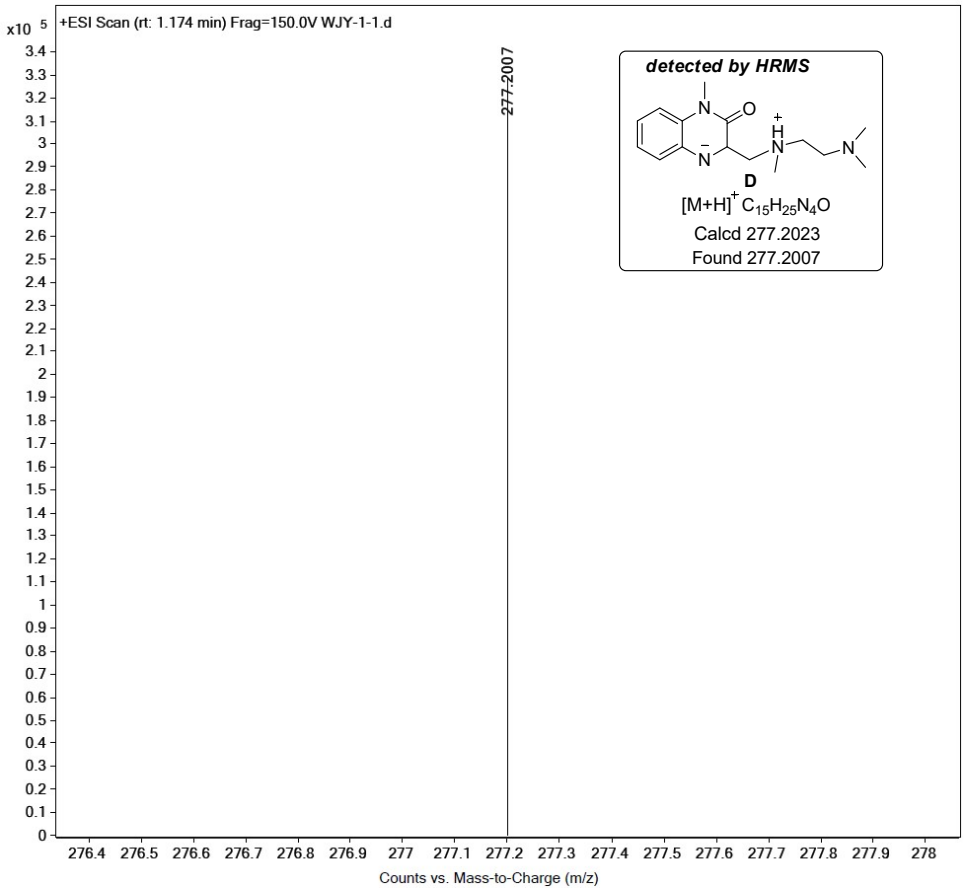
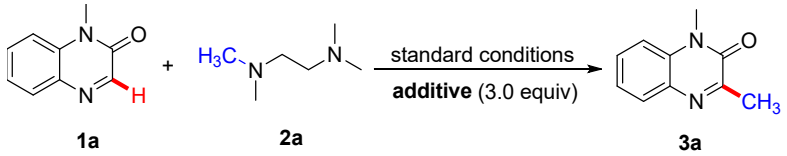


Figure S3. ESI-MS of the intermediate **D**

3.2 Radical trapping experiments



1a **2a** **3a**

additive	3a
TEMPO	0%
BHT	34%
1,1-Diphenylrthylene	trace

The resulting mass spectrum clearly shows a peak corresponding to the coupled product between 1,1-diphenylethylene radical and the expected free radical intermediate, **1,1-diphenylethylene-1** HRMS (ESI): $C_{20}H_{28}N_2$ $[M+H]^+$ Calcd 296.2242, Found 393. 296.2249 **(Figure S4)**.

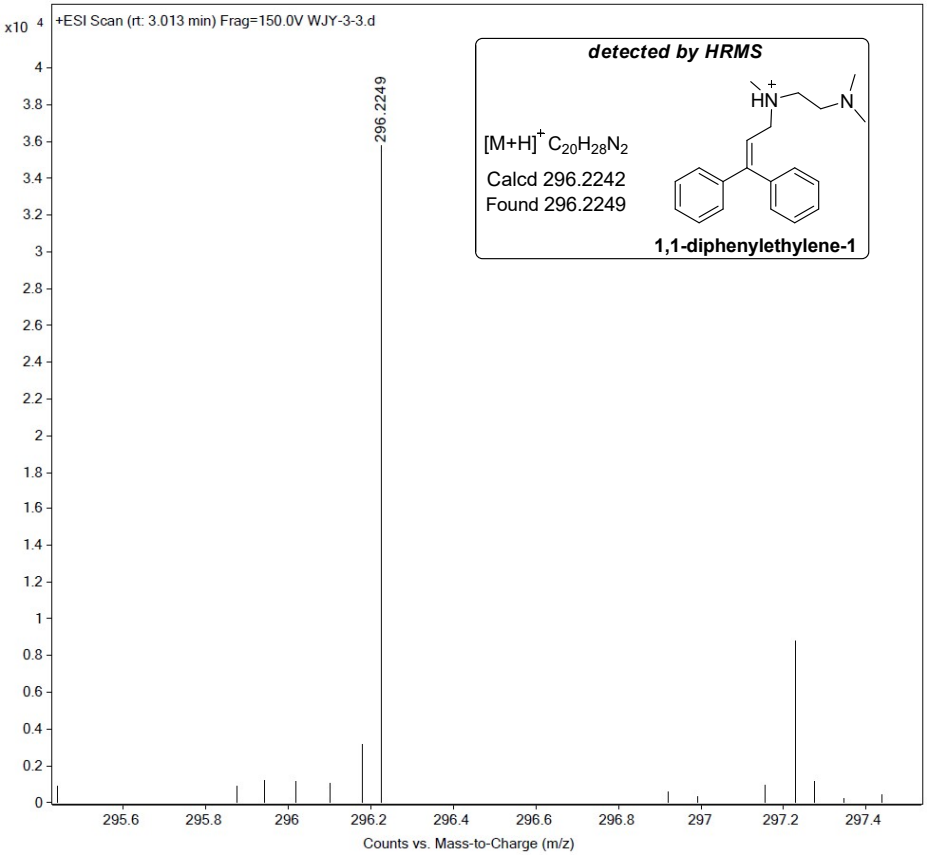


Figure S4. ESI-MS of the **1,1-diphenylethylene-1** adduct

3.3 UV/vis absorption spectrometry

UV/vis absorption spectra between **1a** (0.1 M), **2a** (0.3 M) and K_2CO_3 (0.3 M) in 2 mL (DMA:H_2O = 4:1) were recorded in 1 cm path quartz cuvettes using a Shimadzu UV-2600i UV/Vis spectrometer **(Figure S5)**.

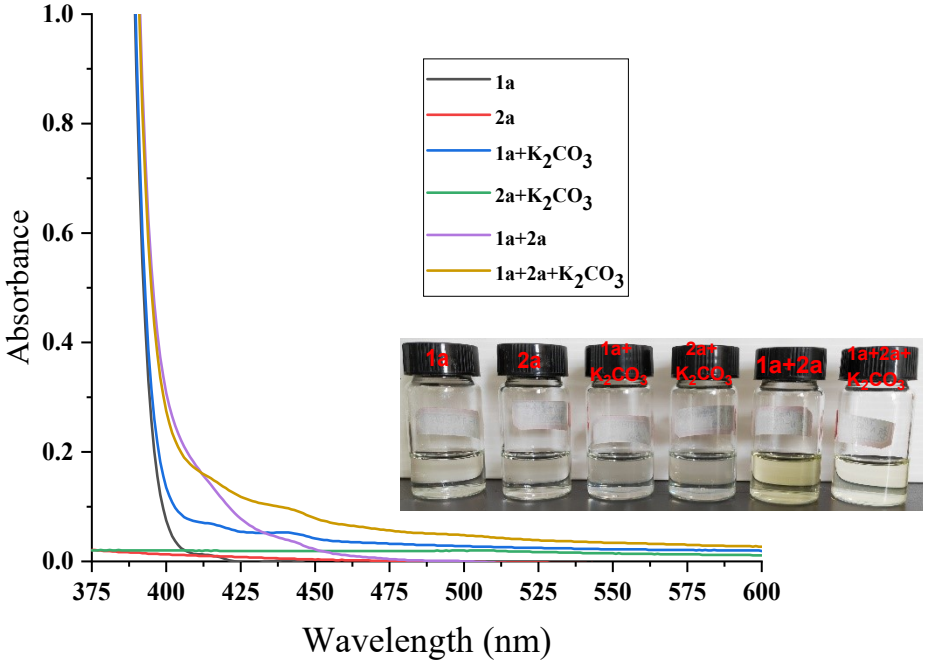


Figure S5. UV/vis absorption spectra.

3.4 NMR titration experiments

Solutions containing equal molar concentrations of the acceptor (**1a**, 0.3 M in $CDCl_3$) and the donor (**2a**, 0.3 M in $CDCl_3$) were prepared and mixed to cover the acceptor/donor ratio from 0:10, 2:8, 4:6, 6:4, 8:2 and 10:0 **(Figures S6-S8)**.

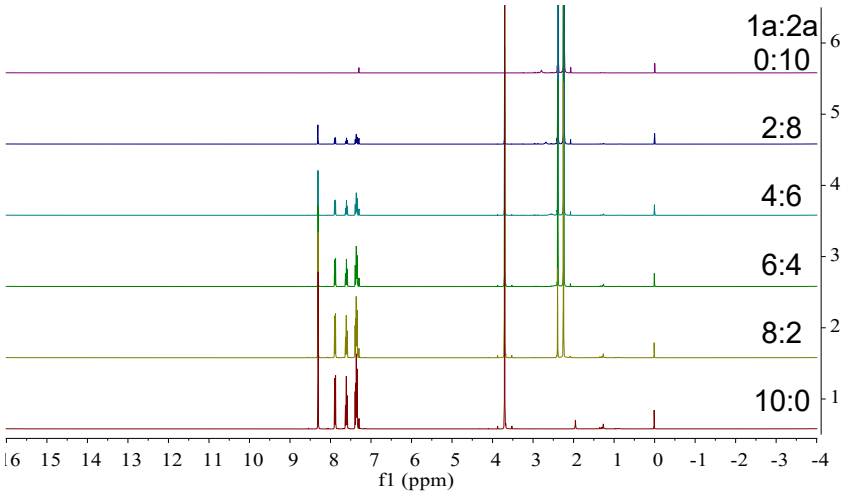


Figure S6

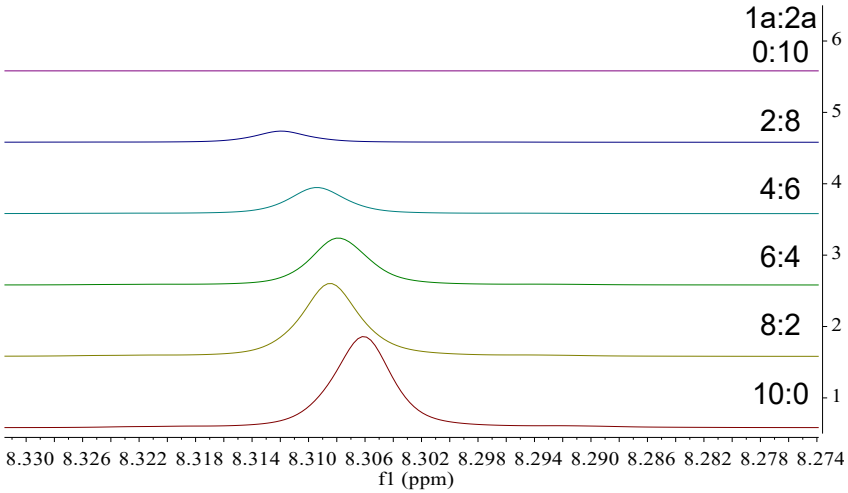


Figure S7

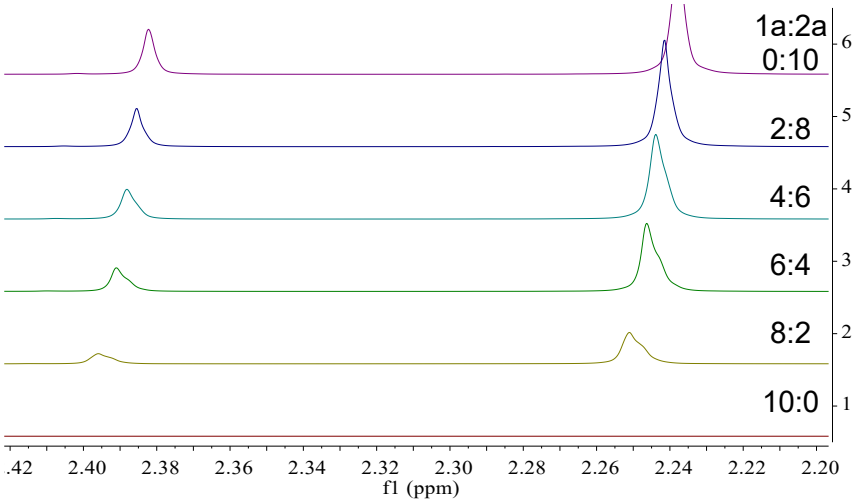
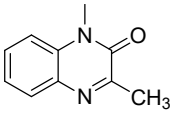


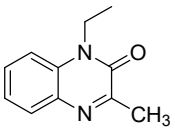
Figure S8

4. Characterization data for the products



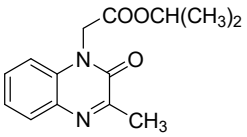
1,3-dimethylquinoxalin-2(1*H*)-one (3a)[26]

Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), yellow solid, 42 mg, yield 81%; m.p. 90-92 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, *J* = 8.0 Hz, 1H), 7.53 (t, *J* = 7.8 Hz, 1H), 7.37 – 7.28 (m, 2H), 3.70 (d, *J* = 0.9 Hz, 3H), 2.60 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.4, 155.2, 133.3, 132.7, 129.5, 129.4, 123.6, 113.6, 29.0, 21.6; HRMS (ESI): $C_{10}H_{11}N_2O$ $[M+H]^+$; calculated: 175.0866, found: 175.0872.



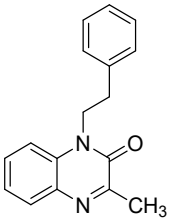
1-ethyl-3-methylquinoxalin-2(1*H*)-one (3b)[26]

Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), brown solid, 44 mg, yield 78%; m.p. 95-97 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, *J* = 8.2 Hz, 1H), 7.52 (t, *J* = 7.8 Hz, 1H), 7.38 – 7.29 (m, 2H), 4.32 (q, *J* = 7.2 Hz, 2H), 2.60 (s, 3H), 1.38 (td, *J* = 7.2, 0.6 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.4, 154.7, 133.0, 132.2, 129.7, 129.5, 123.4, 113.4, 37.2, 21.4, 12.4; HRMS (ESI): $C_{11}H_{13}N_2O$ $[M+H]^+$; calculated: 189.1022, found: 189.1028.



isopropyl 2-(3-methyl-2-oxoquinoxalin-1(2*H*)-yl)acetate (3c)

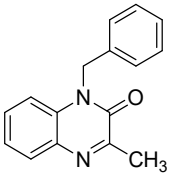
Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), yellow solid, 66 mg, yield 85%; m.p. 114-116 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.53 – 7.47 (m, 1H), 7.38 – 7.33 (m, 1H), 7.06 (d, *J* = 8.4 Hz, 1H), 5.12 (dt, *J* = 12.5, 6.3 Hz, 1H), 5.01 (s, 2H), 2.63 (s, 3H), 1.28 (d, *J* = 6.3 Hz, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.6, 158.2, 154.7, 132.7, 132.5, 129.7 (d, *J* = 4.5 Hz), 123.8, 113.1, 70.0, 43.7, 21.7, 21.4; HRMS (ESI): $C_{14}H_{17}N_2O_3$ $[M+H]^+$; calculated: 261.1233, found: 261.1240.



3-methyl-1-phenethylquinoxalin-2(1*H*)-one (3d)

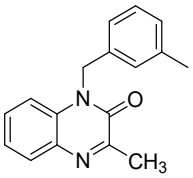
Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), yellow solid, 62 mg, yield 78%; m.p. 117-119 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 8.1 Hz, 1H), 7.54 (t, *J* =

7.8 Hz, 1H), 7.39 – 7.25 (m, 7H), 4.51 – 4.45 (m, 2H), 3.09 – 3.03 (m, 2H), 2.63 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.4, 154.8, 137.8, 132.9, 132.3, 129.8, 129.6, 128.8, 126.9, 123.5, 113.4, 43.7, 33.4, 21.4; HRMS (ESI): $C_{17}H_{17}N_2O$ $[M+H]^+$; calculated: 265.1335, found: 265.1343.



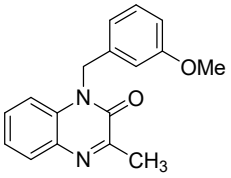
1-benzyl-3-methylquinoxalin-2(1*H*)-one (3e)[26]

Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), yellow solid, 48 mg, yield 64%; m.p. 103-105 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 (dd, *J* = 7.9, 1.3 Hz, 1H), 7.45 – 7.39 (m, 1H), 7.36 – 7.24 (m, 7H), 5.52 (s, 2H), 2.69 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.5, 155.3, 135.3, 132.9, 132.6, 129.6, 128.9, 127.7, 126.9, 123.6, 114.4, 45.9, 21.6; HRMS (ESI): $C_{16}H_{15}N_2O$ $[M+H]^+$; calculated: 251.1179, found: 251.1182.



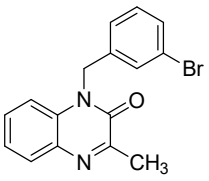
3-methyl-1-(3-methylbenzyl)quinoxalin-2(1*H*)-one (3f)[27]

Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), yellow solid, 52 mg, yield 66%; m.p. 112-114 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (dd, *J* = 7.9, 1.4 Hz, 1H), 7.45 – 7.39 (m, 1H), 7.34 – 7.25 (m, 2H), 7.22 (t, *J* = 7.6 Hz, 1H), 7.04 – 7.10 (m, 3H), 5.48 (s, 2H), 2.69 (s, 3H), 2.32 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.5, 155.3, 138.7, 135.2, 132.9, 132.7, 129.6, 129.5, 128.8, 128.5, 127.5, 123.9, 123.6, 114.5, 46.0, 21.6, 21.4; HRMS (ESI): $C_{17}H_{17}N_2O$ $[M+H]^+$; calculated: 265.1335, found: 265.1343.



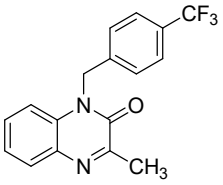
1-(3-methoxybenzyl)-3-methylquinoxalin-2(1*H*)-one (3g)[26]

Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), yellow solid, 60 mg, yield 71%; m.p. 123-125 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 (dd, *J* = 7.9, 1.0 Hz, 1H), 7.42 (t, *J* = 7.8 Hz, 1H), 7.23 – 7.33 (m, 3H), 6.85 – 6.78 (m, 3H), 5.49 (s, 2H), 3.77 (s, 3H), 2.68 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.1, 158.5, 155.2, 136.9, 132.9, 132.7, 130.0, 129.6, 129.5, 123.6, 119.1, 114.4, 113.0, 112.7, 55.2, 45.9, 21.6; HRMS (ESI): $C_{17}H_{17}N_2O_2$ $[M+H]^+$; calculated: 281.1285, found: 281.1286.



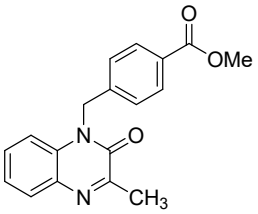
1-(3-bromobenzyl)-3-methylquinoxalin-2(1*H*)-one (3h)[26]

Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), brown solid, 54 mg, yield 55%; m.p. 120-122 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 7.9 Hz, 1H), 7.41 – 7.46 (m, 3H), 7.33 (t, *J* = 7.6 Hz, 1H), 7.26 – 7.13 (m, 3H), 5.47 (s, 2H), 2.68 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.5, 155.2, 137.6, 132.9, 132.4, 131.0, 130.5, 129.9, 129.7, 125.5, 123.9, 123.1, 114.1, 45.4, 21.6; HRMS (ESI): $C_{16}H_{14}BrN_2O$ $[M+H]^+$; calculated: 329.0284, found: 329.0291.



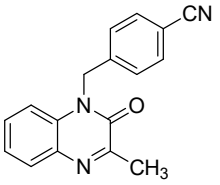
3-methyl-1-(4-(trifluoromethyl)benzyl)quinoxalin-2(1*H*)-one (3i)[29]

Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), yellow solid, 46 mg, yield 48%; m.p. 131-133 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.60 (d, *J* = 8.2 Hz, 2H), 7.32 – 7.46 (m, 4H), 7.17 (dd, *J* = 8.2, 1.0 Hz, 1H), 5.56 (s, 2H), 2.68 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.5, 155.2, 139.3, 133.0, 132.4, 130.1 (q, *J* = 32.7 Hz), 129.8, 129.7, 127.2, 125.9 (q, *J* = 3.7 Hz), 123.92, 123.90 (q, *J* = 273.1 Hz), 114.0, 45.5, 21.6; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.7; HRMS (ESI): $C_{17}H_{14}F_3N_2O$ $[M+H]^+$; calculated: 319.1053, found: 319.1062.



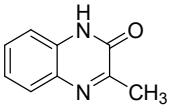
methyl 4-((3-methyl-2-oxoquinoxalin-1(2*H*)-yl)methyl)benzoate (3j)

Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), yellow solid, 48 mg, yield 52%; m.p. 151-153 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (d, *J* = 8.2 Hz, 2H), 7.85 (dd, *J* = 7.9, 1.0 Hz, 1H), 7.37 – 7.44 (m, 1H), 7.32 (t, *J* = 7.8 Hz, 3H), 7.16 (d, *J* = 8.2 Hz, 1H), 5.56 (s, 2H), 3.90 (s, 3H), 2.68 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.5, 158.5, 155.2, 140.4, 132.9, 132.4, 130.2, 129.72, 129.66, 126.8, 123.9, 114.2, 52.1, 45.7, 21.6; HRMS (ESI): $C_{18}H_{17}N_2O_3$ $[M+H]^+$; calculated: 309.1234, found: 309.1241.



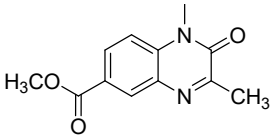
4-((3-methyl-2-oxoquinoxalin-1(2*H*)-yl)methyl)benzonitrile (3k)

Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), yellow solid, 40 mg, yield 48%; m.p. 192-194 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.66 – 7.61 (m, 2H), 7.47 – 7.41 (m, 1H), 7.40 – 7.30 (m, 3H), 7.12 (dd, *J* = 8.3, 1.0 Hz, 1H), 5.55 (s, 2H), 2.67 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.4, 155.1, 140.7, 132.9, 132.8, 132.2, 129.9, 129.8, 127.6, 124.1, 118.3, 113.8, 111.9, 45.6, 21.6; HRMS (ESI): $C_{17}H_{14}N_3O$ $[M+H]^+$; calculated: 276.1131, found: 276.1133.



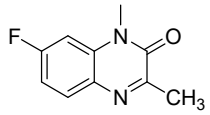
3-methylquinoxalin-2(1*H*)-one (3l)[28]

Purified by column chromatography (petroleum ether/ethyl acetate = 6:1), yellow solid, 28 mg, yield 58%; m.p. 250-252 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.31 (s, 1H), 7.69 (d, *J* = 7.8 Hz, 1H), 7.50 – 7.43 (m, 1H), 7.24 – 7.29 (m, 2H), 2.40 (s, 3H); ^{13}C NMR (100 MHz, DMSO-d_6) δ 159.7, 155.4, 132.4, 132.1, 129.7, 128.3, 123.5, 115.7, 21.0; HRMS (ESI): $C_9H_9N_2O$ $[M+H]^+$; calculated: 161.0709, found: 161.0711.



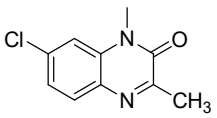
methyl 1,3-dimethyl-2-oxo-1,2-dihydroquinoxaline-6-carboxylate (3m)[26]

Purified by column chromatography (petroleum ether/ethyl acetate = 15:1), yellow solid, 36 mg, yield 52%; m.p. 158-160 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.53 (s, 1H), 8.21 (d, *J* = 8.7 Hz, 1H), 7.36 (d, *J* = 8.7 Hz, 1H), 3.98 (s, 3H), 3.75 (s, 3H), 2.64 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.1, 159.4, 155.1, 136.6, 131.8, 131.2, 130.5, 125.6, 113.7, 52.4, 29.4, 21.6; HRMS (ESI): $C_{12}H_{13}N_2O_3$ $[M+H]^+$; calculated: 233.0921, found: 233.0922.



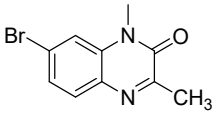
7-fluoro-1,3-dimethylquinoxalin-2(1*H*)-one (3n)[28]

Purified by column chromatography (petroleum ether/ethyl acetate = 15:1), yellow solid, 30 mg, yield 52%; m.p. 125-127 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 – 7.75 (m, 1H), 7.06 (t, *J* = 8.4 Hz, 1H), 6.99 (d, *J* = 10.0 Hz, 1H), 3.67 (s, 3H), 2.58 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.9 (d, *J* = 249.9 Hz), 157.2 (d, *J* = 3.3 Hz), 155.1, 134.6 (d, *J* = 11.5 Hz), 131.3 (d, *J* = 10.4 Hz), 129.3 (d, *J* = 2.2 Hz), 111.4 (d, *J* = 23.3 Hz), 100.6 (d, *J* = 27.8 Hz), 29.3, 21.4; ^{19}F NMR (376 MHz, $CDCl_3$) δ -108.2; HRMS (ESI): $C_{10}H_{10}FN_2O$ $[M+H]^+$; calculated: 193.0772, found: 193.0777.



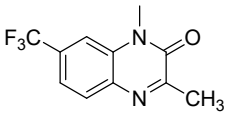
7-chloro-1,3-dimethylquinoxalin-2(1*H*)-one (3o)[30]

Purified by column chromatography (petroleum ether/ethyl acetate = 15:1), yellow solid, 33 mg, yield 53%; m.p. 145-147 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.77 – 7.72 (m, 1H), 7.34 – 7.30 (m, 2H), 3.69 (s, 3H), 2.60 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.6, 154.9, 135.5, 134.1, 131.1, 130.5, 124.0, 113.7, 29.2, 21.6 ; HRMS (ESI): $C_{10}H_{10}ClN_2O$ $[M+H]^+$; calculated: 209.0476, found: 209.0479.



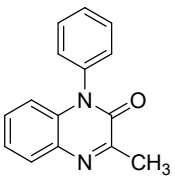
7-bromo-1,3-dimethylquinoxalin-2(1*H*)-one (3p)[28]

Purified by column chromatography (petroleum ether/ethyl acetate = 15:1), yellow solid, 43 mg, yield 57%; m.p. 143-145 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.66 (d, J = 8.1 Hz, 1H), 7.49 – 7.39 (m, 2H), 3.68 (s, 3H), 2.59 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.8, 154.8, 134.3, 131.5, 130.7, 126.8, 123.5, 116.6, 29.2, 21.6 ; HRMS (ESI): $C_{10}H_{10}BrN_2O$ $[M+H]^+$; calculated: 252.9971, found: 252.9977.



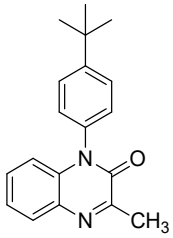
1,3-dimethyl-7-(trifluoromethyl)quinoxalin-2(1*H*)-one (3q)[31]

Purified by column chromatography (petroleum ether/ethyl acetate = 15:1), yellow solid, 33 mg, yield 45%; m.p. 136-138 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (d, J = 8.3 Hz, 1H), 7.59 (d, J = 8.4 Hz, 1H), 7.55 (s, 1H), 3.75 (s, 3H), 2.64 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.2, 155.0, 135.6, 132.1, 126.9 (q, J = 3.8 Hz), 125.9 (q, J = 3.4 Hz), 125.9 (q, J = 33.6 Hz), 123.7 (q, J = 271.7 Hz), 114.2, 29.3, 21.6; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.0; HRMS (ESI): $C_{11}H_{10}F_3N_2O$ $[M+H]^+$; calculated: 243.0740, found: 243.0744.



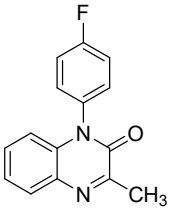
3-methyl-1-phenylquinoxalin-2(1*H*)-one (3r)[29]

Purified by column chromatography (petroleum ether/ethyl acetate = 8:1), white solid, 38 mg, yield 54%; m.p. 168-170 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 – 7.82 (m, 1H), 7.72 – 7.52 (m, 3H), 7.41 – 7.25 (m, 4H), 6.81 – 6.58 (m, 1H), 2.67 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.2, 154.9, 135.8, 134.1, 132.4 (d, J = 2.2 Hz), 130.3, 129.5, 129.3, 129.0, 128.2, 123.8, 115.5, 21.4; HRMS (ESI): $C_{15}H_{13}N_2O$ $[M+H]^+$; calculated: 237.1022, found: 237.1028.



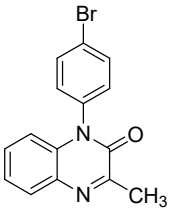
1-(4-(*tert*-butyl)phenyl)-3-methylquinoxalin-2(1*H*)-one (3s)

Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), yellow solid, 48 mg, yield 55%; m.p. 172-174 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 – 7.89 (m, 1H), 7.63 (d, *J* = 8.4 Hz, 2H), 7.36 – 7.29 (m, 2H), 7.22 (d, *J* = 8.4 Hz, 2H), 6.78 – 6.68 (m, 1H), 2.66 (s, 3H), 1.42 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.2, 155.0, 152.5, 134.3, 133.1, 132.5, 129.1, 129.0, 127.6, 127.2, 123.6, 115.6, 34.9, 31.4, 21.4; HRMS (ESI): $C_{19}H_{21}N_2O$ $[M+H]^+$; calculated: 293.1648, found: 293.1656.



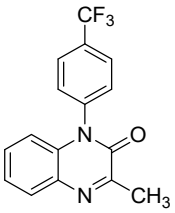
1-(4-fluorophenyl)-3-methylquinoxalin-2(1*H*)-one (3t)[31]

Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), yellow solid, 32 mg, yield 42%; m.p. 150-152 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 – 7.81 (m, 1H), 7.45 – 7.20 (m, 6H), 6.77 – 6.61 (m, 1H), 2.65 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.8 (d, *J* = 249.7 Hz), 159.1, 154.9, 134.1, 132.5, 131.7 (d, *J* = 3.4 Hz), 130.2 (d, *J* = 8.8 Hz), 129.3 (d, *J* = 14.5 Hz), 123.9, 117.5, 117.2, 115.2, 21.4; ^{19}F NMR (376 MHz, $CDCl_3$) δ -111.3; HRMS (ESI): $C_{15}H_{12}FN_2O$ $[M+H]^+$; calculated: 255.0928, found: 255.0935.



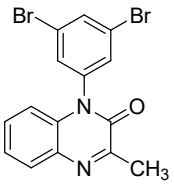
1-(4-bromophenyl)-3-methylquinoxalin-2(1*H*)-one (3u)

Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), brown solid, 50 mg, yield 53%; m.p. 200-202 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 – 7.82 (m, 1H), 7.82 – 7.55 (m, 2H), 7.42 – 7.29 (m, 2H), 7.27 – 7.06 (m, 2H), 6.80 – 6.59 (m, 1H), 2.65 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.1, 154.7, 134.8, 133.8, 133.6, 132.5, 130.1, 129.4, 129.2, 124.0, 123.5, 115.1, 21.4; HRMS (ESI): $C_{15}H_{12}BrN_2O$ $[M+H]^+$; calculated: 315.0128, found: 315.0128.



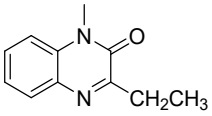
3-methyl-1-(4-(trifluoromethyl)phenyl)quinoxalin-2(1*H*)-one (3v)

Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), yellow solid, 36 mg, yield 39%; m.p. 204-206 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 – 7.86 (m, 3H), 7.48 (d, *J* = 8.3 Hz, 2H), 7.41 – 7.31 (m, 2H), 6.69 – 6.59 (m, 1H), 2.66 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.1, 154.6, 139.1, 133.5, 132.5, 131.7 (q, *J* = 33.1 Hz), 129.5, 129.4, 129.2, 127.5 (q, *J* = 3.6 Hz), 124.1, 123.6 (q, *J* = 273.5 Hz), 115.0, 21.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.8; HRMS (ESI): $C_{16}H_{12}F_3N_2O$ $[M+H]^+$; calculated: 305.0896, found: 305.0905.



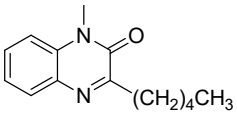
1-(3,5-dibromophenyl)-3-methylquinoxalin-2(1*H*)-one (3w)

Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), brown solid, 39 mg, yield 33%; m.p. 218-220 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (t, *J* = 1.7 Hz, 1H), 7.89 – 7.84 (m, 1H), 7.45 (d, *J* = 1.7 Hz, 2H), 7.41 – 7.33 (m, 2H), 6.72 – 6.64 (m, 1H), 2.64 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.9, 154.4, 137.8, 135.4, 133.3, 132.4, 130.6, 129.6, 129.4, 124.3, 124.1, 114.9, 21.3; HRMS (ESI): $C_{15}H_{11}Br_2N_2O$ $[M+H]^+$; calculated: 292.9233, found: 292.9235.



3-ethyl-1-methylquinoxalin-2(1*H*)-one (3x)[31]

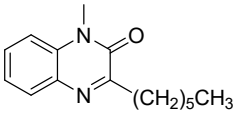
Purified by column chromatography (petroleum ether/ethyl acetate = 10:1), white solid, 42 mg, yield 74%; m.p. 100-102 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.57 – 7.50 (m, 1H), 7.34 (ddd, *J* = 14.5, 10.9, 4.8 Hz, 2H), 3.72 (s, 3H), 3.00 (q, *J* = 7.4 Hz, 2H), 1.35 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.0, 154.8, 133.1, 132.7, 129.6, 129.5, 123.5, 113.6, 29.0, 27.5, 10.9; HRMS (ESI): $C_{11}H_{13}N_2O$ $[M+H]^+$; calculated: 189.1022, found: 189.1026.



1-methyl-3-pentylquinoxalin-2(1*H*)-one (3y)[30]

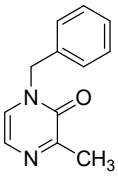
Purified by column chromatography (petroleum ether/ethyl acetate = 20:1), white solid, 45 mg, yield 65%; m.p. 66-68 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 – 7.82 (m, 1H), 7.57 – 7.50 (m, 1H), 7.37 – 7.29 (m, 2H), 3.72 (s, 3H), 2.99 – 2.93 (m, 2H), 1.86 – 1.78 (m, 2H), 1.49 – 1.38 (m, 4H), 0.94 (t, *J* = 7.0 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.4, 155.0, 133.1, 132.8, 129.6, 129.5, 123.5,

113.5, 34.3, 31.8, 29.0, 26.6, 22.5, 14.0; HRMS (ESI): $C_{14}H_{19}N_2O$ $[M+H]^+$; calculated: 231.1492, found: 231.1495.



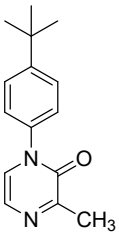
3-hexyl-1-methylquinoxalin-2(1*H*)-one (3z)[30]

Purified by column chromatography (petroleum ether/ethyl acetate = 20:1), white solid, 44 mg, yield 60%; m.p. 91-93 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, *J* = 8.0 Hz, 1H), 7.52 (t, *J* = 7.8 Hz, 1H), 7.37 – 7.26 (m, 2H), 3.70 (s, 3H), 2.97 – 2.92 (m, 2H), 1.79 (dd, *J* = 15.4, 7.8 Hz, 2H), 1.45 (dd, *J* = 14.7, 6.8 Hz, 2H), 1.39 – 1.31 (m, 4H), 0.91 (t, *J* = 7.0 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.6, 154.2, 132.4, 132.0, 128.9, 128.7, 122.7, 112.8, 33.6, 30.9, 28.5, 28.3, 26.1, 21.8, 13.3; HRMS (ESI): $C_{15}H_{21}N_2O$ $[M+H]^+$; calculated: 245.1648, found: 245.1651.



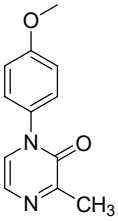
1-benzyl-3-methylpyrazin-2(1*H*)-one (7a)

Purified by column chromatography (petroleum ether/ethyl acetate = 8:1), brown oil, 43 mg, yield 72%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.39 – 7.30 (m, 5H), 7.16 (d, *J* = 4.5 Hz, 1H), 7.03 – 6.98 (m, 1H), 5.07 (s, 2H), 2.49 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.6, 156.2, 135.0, 129.1, 128.52, 128.49, 126.5, 122.6, 52.0, 21.0; HRMS (ESI): $C_{12}H_{13}N_2O$ $[M+H]^+$; calculated: 201.1022, found: 201.1028.



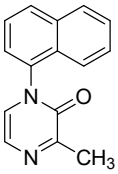
1-(4-(*tert*-butyl)phenyl)-3-methylpyrazin-2(1*H*)-one (7b)

Purified by column chromatography (petroleum ether/ethyl acetate = 8:1), red solid, 46 mg, yield 63%; m.p. 119-121 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 (dt, *J* = 11.2, 8.7 Hz, 2H), 7.42 – 7.26 (m, 3H), 7.16 (dt, *J* = 11.4, 4.1 Hz, 1H), 2.64 – 2.53 (m, 3H), 1.45 – 1.37 (m, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.6, 155.8, 152.1, 136.8, 127.2, 126.5, 125.3, 122.2, 34.8, 31.3, 21.1; HRMS (ESI): $C_{15}H_{19}N_2O$ $[M+H]^+$; calculated: 243.1492, found: 243.1497.



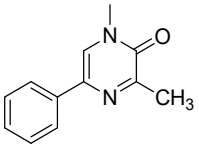
1-(4-methoxyphenyl)-3-methylpyrazin-2(1*H*)-one (7c)

Purified by column chromatography (petroleum ether/ethyl acetate = 8:1), brown solid, 43 mg, yield 67%; m.p. 174-176 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 (d, *J* = 8.9 Hz, 2H), 7.26 (d, *J* = 4.3 Hz, 1H), 7.11 (d, *J* = 4.3 Hz, 1H), 7.03 (d, *J* = 8.9 Hz, 2H), 3.88 (s, 3H), 2.54 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.7, 159.5, 155.9, 132.2, 127.4, 127.0, 122.1, 114.7, 55.6, 21.1; HRMS (ESI): $C_{12}H_{13}N_2O_2$ $[M+H]^+$; calculated: 217.0972, found: 217.0975.



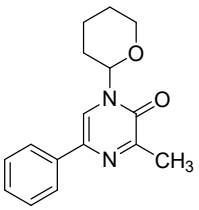
3-methyl-1-(naphthalen-1-yl)pyrazin-2(1*H*)-one (7d)

Purified by column chromatography (petroleum ether/ethyl acetate = 8:1), brown solid, 36 mg, yield 51%; m.p. 146-148 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (d, *J* = 8.7 Hz, 1H), 7.96 – 7.86 (m, 3H), 7.65 – 7.57 (m, 2H), 7.55 (dd, *J* = 8.7, 1.9 Hz, 1H), 7.33 (d, *J* = 4.5 Hz, 1H), 7.24 (d, *J* = 4.5 Hz, 1H), 2.59 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.8, 155.9, 137.0, 133.3, 133.0, 129.5, 128.2, 127.9, 127.3, 127.2, 127.1, 124.6, 123.5, 122.3, 21.1; HRMS (ESI): $C_{15}H_{13}N_2O$ $[M+H]^+$; calculated: 237.1022, found: 237.1026.



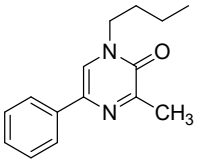
1,3-dimethyl-5-phenylpyrazin-2(1*H*)-one (7e)[11]

Purified by column chromatography (petroleum ether/ethyl acetate = 8:1), red solid, 42 mg, yield 70%; m.p. 101-103 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 7.9 Hz, 2H), 7.46 – 7.41 (m, 3H), 7.34 (t, *J* = 7.3 Hz, 1H), 3.60 (s, 3H), 2.58 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.0, 156.0, 136.0, 132.3, 128.8, 127.8, 125.0, 124.1, 37.6, 21.1; HRMS (ESI): $C_{12}H_{13}N_2O$ $[M+H]^+$; calculated: 201.1022, found: 201.1026.



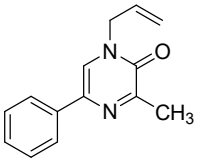
3-methyl-5-phenyl-1-(tetrahydro-2*H*-pyran-2-yl)pyrazin-2(1*H*)-one (7f)[11]

Purified by column chromatography (petroleum ether/ethyl acetate = 10:1), brown solid, 48 mg, yield 60%; m.p. 111-113 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (dd, *J* = 8.3, 0.9 Hz, 2H), 7.75 (s, 1H), 7.45 (t, *J* = 7.6 Hz, 2H), 7.38 – 7.32 (m, 1H), 5.87 (dd, *J* = 10.5, 2.1 Hz, 1H), 4.28 – 4.22 (m, 1H), 3.78 (td, *J* = 11.6, 2.3 Hz, 1H), 2.59 (s, 3H), 2.15 – 2.01 (m, 2H), 1.86 – 1.66 (m, 3H), 1.56 – 1.46 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.7, 153.9, 136.5, 132.6, 128.7, 127.7, 125.2, 117.9, 82.9, 69.2, 31.5, 25.0, 22.6, 21.0; HRMS (ESI): $C_{16}H_{19}N_2O_2$ $[M+H]^+$; calculated: 271.1441, found: 271.1446.



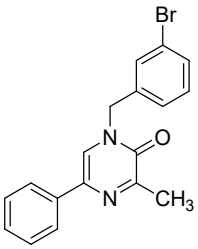
1-butyl-3-methyl-5-phenylpyrazin-2(1*H*)-one (7g)

Purified by column chromatography (petroleum ether/ethyl acetate = 7:1), red solid, 50 mg, yield 68%; m4.p. 142-144 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.78 (d, *J* = 7.4 Hz, 2H), 7.45 (dd, *J* = 14.4, 6.5 Hz, 3H), 7.36 (t, *J* = 7.3 Hz, 1H), 4.01 – 3.97 (m, 2H), 2.59 (s, 3H), 1.86 – 1.78 (m, 2H), 1.50 – 1.40 (m, 2H), 1.01 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.4, 155.5, 136.1, 132.3, 128.8, 127.8, 125.1, 123.2, 49.9, 30.9, 21.1, 20.0, 13.7; HRMS (ESI): $C_{15}H_{19}N_2O$ $[M+H]^+$; calculated: 243.1492, found: 243.1498.



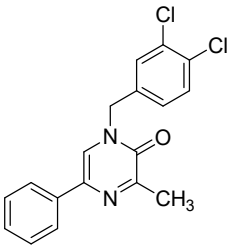
1-allyl-3-methyl-5-phenylpyrazin-2(1*H*)-one (7h)

Purified by column chromatography (petroleum ether/ethyl acetate = 6:1), yellow solid, 40 mg, yield 59%; m.p. 90-92 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.78 (d, *J* = 7.8 Hz, 2H), 7.48 – 7.42 (m, 3H), 7.36 (t, *J* = 7.3 Hz, 1H), 6.00 (dq, *J* = 10.5, 6.0 Hz, 1H), 5.37 (t, *J* = 14.5 Hz, 2H), 4.63 (d, *J* = 6.0 Hz, 2H), 2.61 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.5, 155.2, 136.0, 132.5, 131.3, 128.8, 127.9, 125.1, 122.4, 120.0, 51.3, 21.2; HRMS (ESI): $C_{14}H_{15}N_2O$ $[M+H]^+$; calculated: 227.1179, found: 227.1182.



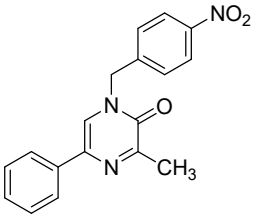
1-(3-bromobenzyl)-3-methyl-5-phenylpyrazin-2(1*H*)-one (7i)

Purified by column chromatography (petroleum ether/ethyl acetate = 6:1), brown oil, 55 mg, yield 52%; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (d, *J* = 8.1 Hz, 2H), 7.53 (s, 1H), 7.48 (d, *J* = 7.9 Hz, 1H), 7.43 (t, *J* = 7.5 Hz, 3H), 7.37 – 7.29 (m, 2H), 7.25 (t, *J* = 7.8 Hz, 1H), 5.10 (s, 2H), 2.61 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.8, 155.3, 137.4, 135.8, 132.7, 131.7, 131.3, 130.6, 128.8, 128.0, 126.9, 125.2, 123.1, 122.5, 52.0, 21.3; HRMS (ESI): $C_{18}H_{16}BrN_3O$ $[M+H]^+$; calculated: 355.0441, found: 355.0443.



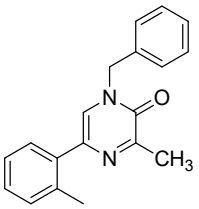
1-(3,4-dichlorobenzyl)-3-methyl-5-phenylpyrazin-2(1*H*)-one (7j)

Purified by column chromatography (petroleum ether/ethyl acetate = 6:1), yellow solid, 43 mg, yield 42%; m.p. 154-156 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (d, *J* = 7.8 Hz, 2H), 7.50 – 7.41 (m, 5H), 7.35 (t, *J* = 7.3 Hz, 1H), 7.23 (d, *J* = 8.2 Hz, 1H), 5.09 (s, 2H), 2.61 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.9, 155.2, 135.7, 135.3, 133.2, 132.93, 132.85, 131.0, 130.2, 128.9, 128.1, 127.6, 125.2, 122.3, 51.7, 21.3; HRMS (ESI): $C_{18}H_{15}Cl_2N_2O$ $[M+H]^+$; calculated: 345.0556, found: 345.0559.



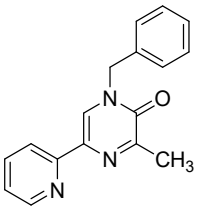
3-methyl-1-(4-nitrobenzyl)-5-phenylpyrazin-2(1*H*)-one (7k)

Purified by column chromatography (petroleum ether/ethyl acetate = 5:1), brown solid, 33 mg, yield 34%; m.p. 91-93 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.26 (d, *J* = 8.4 Hz, 2H), 7.75 (d, *J* = 7.8 Hz, 2H), 7.56 (d, *J* = 8.4 Hz, 2H), 7.48 – 7.42 (m, 3H), 7.37 (t, *J* = 7.3 Hz, 1H), 5.26 (s, 2H), 2.62 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.2, 155.2, 148.0, 142.2, 135.6, 133.1, 129.0, 128.9, 128.2, 125.2, 124.3, 122.3, 52.3, 21.2; HRMS (ESI): $C_{18}H_{16}N_3O_3$ $[M+H]^+$; calculated: 322.1186, found: 322.1193.



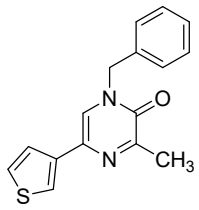
1-benzyl-3-methyl-5-(*o*-tolyl)pyrazin-2(1*H*)-one (7l)

Purified by column chromatography (petroleum ether/ethyl acetate = 6:1), yellow solid, 48 mg, yield 55%; m.p. 152-154 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 – 7.35 (m, 5H), 7.32 – 7.23 (m, 4H), 7.10 (s, 1H), 5.17 (s, 2H), 2.60 (s, 3H), 2.34 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.2, 155.2, 136.2, 136.0, 135.1, 134.0, 130.9, 129.3, 129.2, 128.64, 128.60, 128.3, 126.1, 125.3, 52.3, 21.1, 20.4; HRMS (ESI): $C_{19}H_{19}N_2O$ $[M+H]^+$; calculated: 291.1492, found: 291.1495.



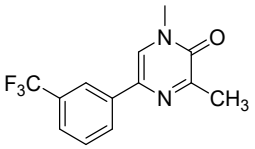
1-benzyl-3-methyl-5-(pyridin-2-yl)pyrazin-2(1*H*)-one (7m)

Purified by column chromatography (petroleum ether/ethyl acetate = 5:1), brown solid, 30 mg, yield 36%; m.p. 134-136 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.92 (s, 1H), 8.58 (s, 1H), 8.11 (d, *J* = 8.0 Hz, 1H), 7.48 (s, 1H), 7.45 – 7.35 (m, 6H), 5.20 (s, 2H), 2.62 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.4, 155.4, 148.7, 146.2, 134.8, 132.8, 129.6, 129.2, 128.8, 128.6, 123.6, 123.0, 52.5, 29.7, 21.3; HRMS (ESI): $C_{17}H_{16}N_3O$ $[M+H]^+$; calculated: 278.1288, found: 278.1291.



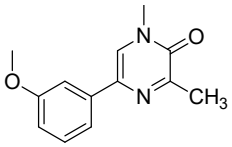
1-benzyl-3-methyl-5-(thiophen-3-yl)pyrazin-2(1*H*)-one (7n)

Purified by column chromatography (petroleum ether/ethyl acetate = 6:1), brown solid, 41 mg, yield 48%; m.p. 199-201 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.39 (dd, *J* = 10.9, 6.9 Hz, 6H), 7.30 – 7.27 (m, 1H), 7.24 (d, *J* = 3.6 Hz, 1H), 7.05 (dd, *J* = 6.5, 2.2 Hz, 1H), 5.16 (s, 2H), 2.60 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.0, 155.3, 140.8, 135.0, 129.2, 128.8, 128.6, 128.4, 127.9, 125.2, 122.1, 121.1, 52.4, 21.1; HRMS (ESI): $C_{16}H_{15}N_2OS$ $[M+H]^+$; calculated: 283.0900, found: 283.0906.



1,3-dimethyl-5-(3-(trifluoromethyl)phenyl)pyrazin-2(1*H*)-one (7o)[11]

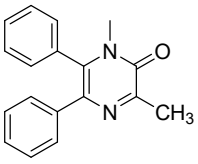
Purified by column chromatography (petroleum ether/ethyl acetate = 6:1), brown solid, 42 mg, yield 52%; m.p. 120-122 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.05 (s, 1H), 7.98 (d, *J* = 7.5 Hz, 1H), 7.62 – 7.51 (m, 3H), 3.65 (s, 3H), 2.60 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.7 (d, *J* = 156.4 Hz), 136.8, 131.3 (q, *J* = 32.2 Hz), 130.7, 129.3, 128.1, 125.5, 124.6, 124.4 (q, *J* = 3.4 Hz), 122.8, 121.8 (q, *J* = 3.7 Hz), 37.7, 21.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.7; HRMS (ESI): $C_{13}H_{12}F_3N_2O$ $[M+H]^+$; calculated: 269.0896, found: 269.0899.



5-(3-methoxyphenyl)-1,3-dimethylpyrazin-2(1*H*)-one (7p)

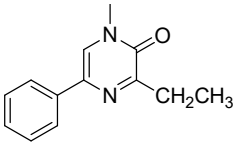
Purified by column chromatography (petroleum ether/ethyl acetate = 6:1), yellow solid, 38 mg, yield 55%; m.p. 154-156 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44 (s, 1H), 7.39 – 7.30 (m, 3H), 6.90 (dd,

J = 7.7, 1.2 Hz, 1H), 3.90 (s, 3H), 3.62 (d, *J* = 1.2 Hz, 3H), 2.59 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.2, 157.0, 156.0, 137.4, 132.1, 129.8, 124.3, 117.3, 113.5, 110.8, 55.4, 37.6, 21.0; HRMS (ESI): $C_{13}H_{15}N_2O_2$ $[M+H]^+$; calculated: 231.1128, found: 231.1132.



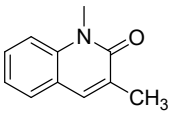
1,3-dimethyl-5,6-diphenylpyrazin-2(1*H*)-one (7q)[11]

Purified by column chromatography (petroleum ether/ethyl acetate = 6:1), light yellow solid, 42 mg, yield 51%; m.p. 162-164 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44 – 7.37 (m, 3H), 7.23 (dd, *J* = 6.5, 3.1 Hz, 2H), 7.19 – 7.13 (m, 5H), 3.35 (s, 3H), 2.64 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.1, 155.4, 137.9, 136.7, 132.6, 132.4, 130.2, 129.38, 129.35, 129.0, 127.8, 127.0, 34.0, 21.1; HRMS (ESI): $C_{18}H_{17}N_2O$ $[M+H]^+$; calculated: 277.1335, found: 277.1339.



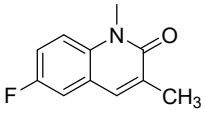
3-ethyl-1-methyl-5-phenylpyrazin-2(1*H*)-one (7r)[11]

Purified by column chromatography (petroleum ether/ethyl acetate = 8:1), yellow solid, 36 mg, yield 56%; m.p. 135-137 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, *J* = 7.4 Hz, 2H), 7.47 – 7.42 (m, 3H), 7.35 (t, *J* = 7.3 Hz, 1H), 3.62 (s, 3H), 2.97 (q, *J* = 7.4 Hz, 2H), 1.37 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.5, 155.7, 136.1, 132.1, 128.8, 127.8, 125.0, 123.6, 37.6, 26.8, 10.6; HRMS (ESI): $C_{13}H_{15}N_2O$ $[M+H]^+$; calculated: 215.1179, found: 215.1181.



1,3-dimethylquinolin-2(1*H*)-one (8a)[32]

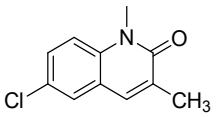
Purified by column chromatography (petroleum ether/ethyl acetate = 8:1), white solid, 37 mg, yield 73%; m.p. 81-83 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 (d, *J* = 6.5 Hz, 1H), 7.56 – 7.50 (m, 2H), 7.36 (d, *J* = 8.7 Hz, 1H), 7.24 (dd, *J* = 7.7, 7.2 Hz, 1H), 3.80 – 3.75 (m, 3H), 2.30 (s, 3H).; ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.9, 139.1, 135.6, 130.1, 129.3, 127.8, 122.0, 120.8, 113.9, 29.7, 17.8; HRMS (ESI): $C_{11}H_{12}NO$ $[M+H]^+$; calculated: 174.0913, found: 174.0916.



6-fluoro-1,3-dimethylquinolin-2(1*H*)-one (8b)

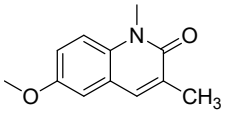
Purified by column chromatography (petroleum ether/ethyl acetate = 8:1), white solid, 34 mg, yield 59%; m.p. 139-141 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (s, 1H), 7.33 – 7.22 (m, 2H), 7.20 (dd, *J* = 8.4, 2.7 Hz, 1H), 3.76 (s, 3H), 2.29 (d, *J* = 0.8 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.5, 157.8 (d, *J* = 241.7 Hz), 135.7, 134.6 (d, *J* = 2.9 Hz), 131.8, 121.6 (d, *J* = 8.5 Hz), 116.9 (d, *J* = 23.8

Hz), 115.4 (d, J = 8.1 Hz), 112.7 (d, J = 22.4 Hz), 30.0, 17.8; ^{19}F NMR (376 MHz, $CDCl_3$) δ -121.6; HRMS (ESI): $C_{11}H_{11}FNO$ $[M+H]^+$; calculated: 192.0819, found: 192.0822.



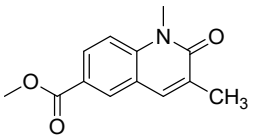
6-chloro-1,3-dimethylquinolin-2(1*H*)-one (8c)[33]

Purified by column chromatography (petroleum ether/ethyl acetate = 8:1), white solid, 33 mg, yield 53%; m.p. 166-168 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 – 7.45 (m, 3H), 7.28 (d, J = 8.9 Hz, 1H), 3.75 (s, 3H), 2.29 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.6, 137.7, 134.4, 131.7, 129.2, 127.4, 126.9, 121.8, 115.3, 29.9, 17.8; HRMS (ESI): $C_{11}H_{11}ClNO$ $[M+H]^+$; calculated: 208.0524, found: 208.0528.



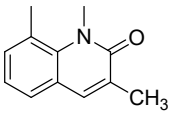
6-methoxy-1,3-dimethylquinolin-2(1*H*)-one (8d)

Purified by column chromatography (petroleum ether/ethyl acetate = 8:1), light yellow solid, 36 mg, yield 59%; m.p. 88-90 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.53 (s, 1H), 7.30 (d, J = 2.7 Hz, 1H), 7.15 (dd, J = 9.1, 2.8 Hz, 1H), 6.99 (d, J = 2.8 Hz, 1H), 3.89 (s, 3H), 3.76 (s, 3H), 2.29 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 154.7, 135.2, 133.7, 130.8, 121.5, 117.7, 115.2, 109.8, 55.7, 29.8, 17.9; HRMS (ESI): $C_{12}H_{14}NO_2$ $[M+H]^+$; calculated: 204.1019, found: 204.1023.



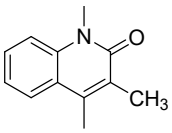
methyl 1,3-dimethyl-2-oxo-1,2-dihydroquinoline-6-carboxylate (8e)

Purified by column chromatography (petroleum ether/ethyl acetate = 10:1), white solid, 40 mg, yield 55%; m.p. 179-181 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 (s, 1H), 8.15 (dd, J = 8.8, 1.8 Hz, 1H), 7.61 (s, 1H), 7.37 (d, J = 8.8 Hz, 1H), 3.97 (s, 3H), 3.78 (s, 3H), 2.29 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.4, 163.0, 142.1, 135.7, 131.1, 130.1, 129.9, 123.8, 120.2, 113.9, 52.2, 30.0, 17.7; HRMS (ESI): $C_{13}H_{14}NO_3$ $[M+H]^+$; calculated: 232.0968, found: 232.0973.



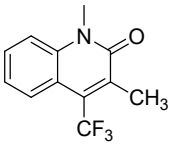
1,3,8-trimethylquinolin-2(1*H*)-one (8f)

Purified by column chromatography (petroleum ether/ethyl acetate = 10:1), light yellow solid, 22 mg, yield 39%; m.p. 95-97 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.59 (s, 1H), 7.43 – 7.36 (m, 2H), 7.19 (t, J = 7.5 Hz, 1H), 3.96 (s, 3H), 2.82 (s, 3H), 2.34 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.2, 140.4, 136.6, 133.9, 129.4, 126.4, 124.7, 122.6, 122.4, 36.9, 24.0, 17.5; HRMS (ESI): $C_{12}H_{14}NO$ $[M+H]^+$; calculated: 188.1070, found: 188.1073.



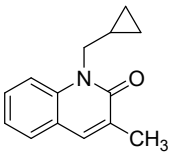
1,3,4-trimethylquinolin-2(1*H*)-one (8g)[32]

Purified by column chromatography (petroleum ether/ethyl acetate = 10:1), white solid, 26 mg, yield 46%; m.p. 102-104 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.77 (d, *J* = 8.1 Hz, 1H), 7.53 (dd, *J* = 11.4, 4.1 Hz, 1H), 7.37 (d, *J* = 8.4 Hz, 1H), 7.27 (t, *J* = 7.6 Hz, 1H), 3.77 (s, 3H), 2.48 (s, 3H), 2.32 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.4, 140.8, 138.3, 129.2, 127.2, 124.8, 121.8, 121.6, 114.1, 29.9, 15.3, 13.9; HRMS (ESI): $C_{12}H_{14}NO$ $[M+H]^+$; calculated: 188.1070, found: 188.1073.



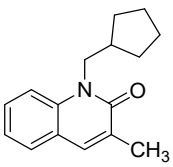
1,3-dimethyl-4-(trifluoromethyl)quinolin-2(1*H*)-one (8h)

Purified by column chromatography (petroleum ether/ethyl acetate = 10:1), white solid, 44 mg, yield 61%; m.p. 85-87 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 (d, *J* = 8.3 Hz, 1H), 7.61 (t, *J* = 7.8 Hz, 1H), 7.44 (d, *J* = 8.5 Hz, 1H), 7.32 (dd, *J* = 12.3, 4.9 Hz, 1H), 3.82 (s, 3H), 2.54 (q, *J* = 3.9 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.8, 138.5, 132.4, 132.1, 130.1, 125.8 (q, *J* = 4.5 Hz), 124.2 (q, *J* = 278.5 Hz), 122.6, 116.2, 114.4, 30.9, 14.3 (q, *J* = 4.6 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ -54.3; HRMS (ESI): $C_{12}H_{11}F_3NO$ $[M+H]^+$; calculated: 242.0787, found: 242.0789.



1-(cyclopropylmethyl)-3-methylquinolin-2(1*H*)-one (8i)

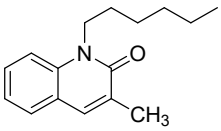
Purified by column chromatography (petroleum ether/ethyl acetate = 15:1), white solid, 51 mg, yield 80%; m.p. 80-82 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 (s, 1H), 7.51 (dt, *J* = 13.9, 7.5 Hz, 3H), 7.22 (t, *J* = 7.2 Hz, 1H), 4.30 (d, *J* = 6.8 Hz, 2H), 2.29 (s, 3H), 1.28 – 1.33 (m, 1H), 0.62 – 0.52 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.9, 138.6, 135.8, 130.2, 129.1, 128.0, 121.8, 121.0, 114.2, 46.2, 17.8, 9.9, 4.1; HRMS (ESI): $C_{14}H_{16}NO$ $[M+H]^+$; calculated: 214.1226, found: 214.1230.



1-(cyclopentylmethyl)-3-methylquinolin-2(1*H*)-one (8j)

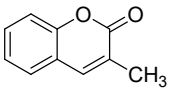
Purified by column chromatography (petroleum ether/ethyl acetate = 15:1), white solid, 55 mg, yield 76%; m.p. 126-128 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 (s, 1H), 7.51 (t, *J* = 8.5 Hz, 2H), 7.41 (d, *J* = 8.4 Hz, 1H), 7.21 (t, *J* = 7.4 Hz, 1H), 4.37 (d, *J* = 7.4 Hz, 2H), 2.49 (hept, *J* = 7.5 Hz, 1H), 2.29 (s, 3H), 1.81 – 1.69 (m, 4H), 1.45 – 1.60 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 163.1, 138.6, 135.7, 130.1, 129.0, 128.0, 121.7, 121.1, 114.3, 46.5, 39.0, 30.5, 24.9, 17.8; HRMS (ESI):

$C_{16}H_{20}NO$ $[M+H]^+$; calculated: 242.1539, found: 242.1542.



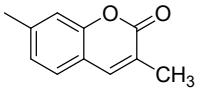
1-hexyl-3-methylquinolin-2(1*H*)-one (8k)

Purified by column chromatography (petroleum ether/ethyl acetate = 15:1), light yellow solid, 40 mg, yield 55%; m.p. 78-80 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 (s, 1H), 7.52 (t, *J* = 7.5 Hz, 2H), 7.35 (d, *J* = 8.7 Hz, 1H), 7.22 (t, *J* = 7.4 Hz, 1H), 4.35 – 4.30 (m, 2H), 2.29 (s, 3H), 1.81 – 1.74 (m, 2H), 1.50 (dd, *J* = 14.6, 7.1 Hz, 2H), 1.42 – 1.37 (m, 4H), 0.94 (t, *J* = 7.0 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.6, 138.4, 135.6, 130.1, 129.2 128.0, 121.7, 121.1, 113.9, 42.8, 31.6, 27.5, 26.8, 22.6, 17.6, 14.0; HRMS (ESI): $C_{16}H_{22}NO$ $[M+H]^+$; calculated: 244.1696, found: 244.1701.



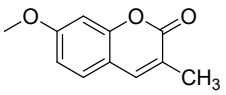
3-methyl-2*H*-chromen-2-one (9a)[17]

Purified by column chromatography (petroleum ether/ethyl acetate = 20:1), white solid, 35 mg, yield 73%; m.p. 83-85 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.55 (s, 1H), 7.52 – 7.43 (m, 2H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.29 (d, *J* = 7.6 Hz, 1H), 2.25 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.2, 153.3, 139.2, 130.5, 127.0, 125.9, 124.3, 119.6, 116.5, 17.2; HRMS (ESI): $C_{10}H_8KO_2$ $[M+K]^+$; calculated: 199.0161, found: 199.0163.



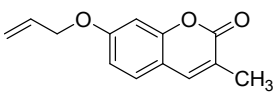
3,7-dimethyl-2*H*-chromen-2-one (9b)[17]

Purified by column chromatography (petroleum ether/ethyl acetate = 20:1), white solid, 40 mg, yield 77%; m.p. 108-110 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (s, 1H), 7.32 (d, *J* = 7.8 Hz, 1H), 7.14 (s, 1H), 7.09 (d, *J* = 7.9 Hz, 1H), 2.47 (s, 3H), 2.23 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.5, 153.4, 141.5, 139.2, 126.6, 125.4, 124.5, 117.2, 116.7, 21.7, 17.1; HRMS (ESI): $C_{11}H_{10}NaO_2$ $[M+Na]^+$; calculated: 197.0578, found: 197.0573.



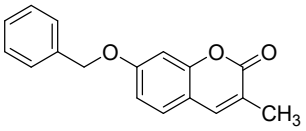
7-methoxy-3-methyl-2*H*-chromen-2-one (9c)[34]

Purified by column chromatography (petroleum ether/ethyl acetate = 20:1), white solid, 39 mg, yield 68%; m.p. 143-145 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 (s, 1H), 7.33 (d, *J* = 8.2 Hz, 1H), 6.87 – 6.83 (m, 2H), 3.89 (s, 3H), 2.20 (d, *J* = 1.0 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.6, 161.8, 154.9, 139.3, 127.8, 122.2, 113.3, 112.4, 100.6, 55.7, 17.0; HRMS (ESI): $C_{11}H_{11}O_3$ $[M+H]^+$; calculated: 191.0703, found: 191.0703.



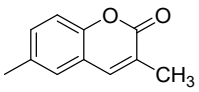
7-(allyloxy)-3-methyl-2*H*-chromen-2-one (9d)[35]

Purified by column chromatography (petroleum ether/ethyl acetate = 30:1), light yellow solid, 40 mg, yield 62%; m.p. 88-90 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (s, 1H), 7.32 (d, J = 7.8 Hz, 1H), 7.14 (s, 1H), 7.09 (d, J = 7.9 Hz, 1H), 2.47 (s, 3H), 2.23 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.6, 160.7, 154.8, 139.3, 132.4, 127.8, 122.3, 118.4, 113.4, 112.9, 101.5, 69.2, 17.0; HRMS (ESI): $C_{13}H_{13}O_3$ $[M+H]^+$; calculated: 217.0859, found: 217.0859.



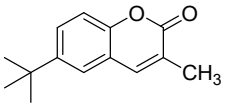
7-(benzyloxy)-3-methyl-2*H*-chromen-2-one (9e)[36]

Purified by column chromatography (petroleum ether/ethyl acetate = 30:1), white solid, 46 mg, yield 58%; m.p. 129-131 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 – 7.37 (m, 6H), 7.34 (d, J = 8.4 Hz, 1H), 6.95 – 6.90 (m, 2H), 5.15 (s, 2H), 2.21 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.5, 160.8, 154.8, 139.3, 136.0, 128.8, 128.3, 127.8, 127.5, 122.3, 113.5, 113.0, 101.7, 70.5, 17.0; HRMS (ESI): $C_{17}H_{15}O_3$ $[M+H]^+$; calculated: 267.1016, found: 267.1016.



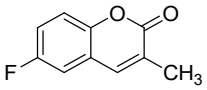
3,6-dimethyl-2*H*-chromen-2-one (9f)[34]

Purified by column chromatography (petroleum ether/ethyl acetate = 20:1), white solid, 39 mg, yield 75%; m.p. 102-104 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 (s, 1H), 7.29 (d, J = 9.3 Hz, 1H), 7.23 (d, J = 6.9 Hz, 2H), 2.42 (s, 3H), 2.24 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.4, 151.4, 139.2, 133.9, 131.4, 126.8, 125.7, 119.4, 116.2, 20.8, 17.2; HRMS (ESI): $C_{11}H_{10}NaO_2$ $[M+Na]^+$; calculated: 197.0578, found: 197.0573.



6-(*tert*-butyl)-3-methyl-2*H*-chromen-2-one (9g)[37]

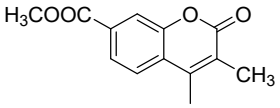
Purified by column chromatography (petroleum ether/ethyl acetate = 30:1), white solid, 45 mg, yield 69%; m.p. 152-154 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.55 – 7.52 (m, 2H), 7.41 (d, J = 2.2 Hz, 1H), 7.28 (d, J = 8.7 Hz, 1H), 2.24 (s, 3H), 1.38 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.5, 151.3, 147.4, 139.7, 128.1, 125.5, 123.3, 119.0, 116.0, 34.5, 31.44, 17.2; HRMS (ESI): $C_{14}H_{16}NaO_2$ $[M+Na]^+$; calculated: 239.1048, found: 239.1043.



6-fluoro-3-methyl-2*H*-chromen-2-one (9h)[38]

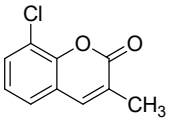
Purified by column chromatography (petroleum ether/ethyl acetate = 15:1), white solid, 33 mg, yield 62%; m.p. 125-127 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 (s, 1H), 7.35 – 7.30 (m, 1H), 7.20 (t, J = 8.5 Hz, 1H), 7.14 (d, J = 7.9 Hz, 1H), 2.26 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.8, 158.8

(d, J = 243.6 Hz), 149.4, 138.2, 127.3, 120.3 (d, J = 9.0 Hz), 117.9, 117.9 (d, J = 33.4 Hz), 112.3 (d, J = 23.9 Hz), 17.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -117.9; HRMS (ESI): $C_{10}H_7FNaO_2$ $[M+Na]^+$; calculated: 201.0328, found: 201.0326.



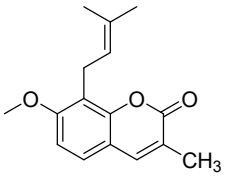
3,4-dimethyl-2-oxo-2*H*-chromen-7-yl acetate (9i)[34]

Purified by column chromatography (petroleum ether/ethyl acetate = 10:1), white solid, 27 mg, yield 39%; m.p. 164-166 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 (d, J = 8.6 Hz, 1H), 7.13 – 7.06 (m, 2H), 2.43 (s, 3H), 2.36 (s, 3H), 2.25 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.3, 161.2, 152.0, 151.4, 145.0, 124.6, 121.3, 117.9, 117.4, 109.5, 20.6, 14.6, 12.9; HRMS (ESI): $C_{13}H_{13}O_4$ $[M+H]^+$; calculated: 233.0808, found: 233.0808.



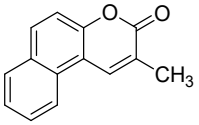
8-chloro-3-methyl-2*H*-chromen-2-one (9j)

Purified by column chromatography (petroleum ether/ethyl acetate = 15:1), white solid, 26 mg, yield 45%; m.p. 96-98 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.56 – 7.52 (m, 2H), 7.38 – 7.33 (m, 1H), 7.22 (t, J = 7.8 Hz, 1H), 2.27 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.0, 149.0, 138.8, 130.9, 126.8, 125.4, 124.5, 121.4, 120.9, 17.2; HRMS (ESI): $C_{10}H_7ClNaO_2$ $[M+Na]^+$; calculated: 217.0032, found: 217.0034.



7-methoxy-3-methyl-8-(3-methylbut-2-en-1-yl)-2*H*-chromen-2-one (9k)

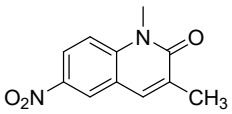
Purified by column chromatography (petroleum ether/ethyl acetate = 15:1), white solid, 37 mg, yield 48%; m.p. 105-107 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 (d, J = 1.0 Hz, 1H), 7.25 (d, J = 8.6 Hz, 1H), 6.84 (d, J = 8.6 Hz, 1H), 5.30 – 5.23 (m, 1H), 3.94 (s, 3H), 3.57 (d, J = 7.3 Hz, 2H), 2.20 (s, 3H), 1.88 (s, 3H), 1.70 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.7, 159.2, 152.1, 139.7, 132.5, 125.1, 122.0, 121.4, 117.7, 113.8, 107.3, 56.0, 25.8, 22.0, 18.0, 17.0; HRMS (ESI): $C_{16}H_{18}NaO_3$ $[M+Na]^+$; calculated: 281.1154, found: 281.1148.



2-methyl-3*H*-benzo[*f*]chromen-3-one (9l)[37]

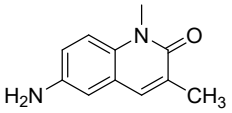
Purified by column chromatography (petroleum ether/ethyl acetate = 12:1), white solid, 30 mg, yield 48%; m.p. 113-115 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.31 (d, J = 5.3 Hz, 1H), 8.25 (dd, J = 8.1, 4.2 Hz, 1H), 7.93 (dd, J = 8.5, 4.4 Hz, 2H), 7.70 (t, J = 7.7 Hz, 1H), 7.58 (t, J = 7.5 Hz, 1H), 7.47

(dd, J = 8.9, 4.3 Hz, 1H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.3, 152.6, 135.1, 131.6, 130.3, 129.0, 128.8, 127.9, 125.8, 125.1, 121.5, 116.8, 113.5, 17.6; HRMS (ESI): $C_{14}H_{11}O_2$ $[M+H]^+$; calculated: 211.0754, found: 211.0754.



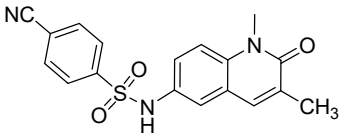
1,3-dimethyl-6-nitroquinolin-2(1*H*)-one (8l)[24]

Purified by column chromatography (petroleum ether/ethyl acetate = 2:1), yellow solid, 105 mg, yield 80%; m.p. 142-144 °C; ^{1}H NMR (400 MHz, DMF-d_7) δ 8.82 (s, 1H), 8.57 (d, J = 8.9 Hz, 1H), 8.23 (s, 1H), 7.95 (d, J = 9.2 Hz, 1H), 3.98 (s, 3H), 2.39 (s, 3H); ^{13}C NMR (100 MHz, DMF-d_7) δ 175.3, 144.0, 142.5, 136.0, 132.4, 124.4, 124.1, 120.7, 116.1, 17.5; HRMS (ESI): $C_{11}H_{11}N_2O_3$ $[M+H]^+$; calculated: 219.0764, found: 219.0768.



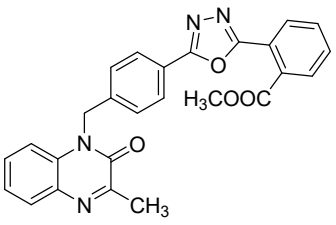
6-amino-1,3-dimethylquinolin-2(1*H*)-one (10)[24]

Purified by column chromatography (petroleum ether/ethyl acetate = 1:1), yellow solid, 69 mg, yield 82%; m.p. 274-276 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.54 (s, 1H), 7.21 (d, J = 8.9 Hz, 1H), 6.89 (dd, J = 8.9, 2.6 Hz, 1H), 6.73 (d, J = 2.5 Hz, 1H), 5.04 (s, 2H), 3.57 (s, 3H), 2.10 (d, J = 0.9 Hz, 3H); ^{13}C NMR (100 MHz, DMSO-d_6) δ 161.4, 144.1, 135.5, 131.1, 129.2, 121.5, 118.3, 115.4, 110.6, 29.7, 18.0; HRMS (ESI): $C_{11}H_{13}N_2O$ $[M+H]^+$; calculated: 189.1022, found: 189.1026.



4-cyano-*N*-(1,3-dimethyl-2-oxo-1,2-dihydroquinolin-6-yl)benzenesulfonamide (BRPF inhibitor)[24]

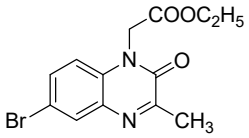
Purified by column chromatography (petroleum ether/ethyl acetate = 6:1), yellow solid, 53 mg, yield 50%; m.p. 268-270 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.55 (s, 1H), 8.04 (d, J = 8.3 Hz, 2H), 7.89 (d, J = 8.3 Hz, 2H), 7.72 (s, 1H), 7.41 (d, J = 9.0 Hz, 1H), 7.35 (d, J = 2.1 Hz, 1H), 7.24 (dd, J = 9.0, 2.1 Hz, 1H), 3.58 (s, 3H), 2.10 (s, 3H); ^{13}C NMR (100 MHz, DMSO-d_6) δ 161.9, 143.9, 136.8, 135.5, 133.9, 131.3, 130.5, 127.9, 124.1, 120.9, 120.4, 118.0, 116.0, 115.8, 29.9, 17.8; HRMS (ESI): $C_{18}H_{16}N_3O_3S$ $[M+H]^+$; calculated: 354.0907, found: 354.0911.



methyl 2-(5-(4-((3-methyl-2-oxoquinoxalin-1(2*H*)-yl)methyl)phenyl)-1,3,4-oxadiazol-2-

yl)benzoate (Angiotensin II Receptor Antagonist)[39]

Purified by column chromatography (petroleum ether/ethyl acetate = 6:1), white solid, 77 mg, yield 57%; m.p. 187-189 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (d, *J* = 8.3 Hz, 2H), 8.03 – 7.96 (m, 3H), 7.87 (dd, *J* = 8.2, 1.5 Hz, 1H), 7.74 – 7.64 (m, 5H), 7.60 (ddd, *J* = 8.4, 6.9, 1.5 Hz, 1H), 5.69 (s, 2H), 3.88 (s, 3H), 2.77 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.3, 164.0, 155.8, 147.9, 140.7, 139.7, 131.8, 131.5, 130.5, 130.1, 129.2, 128.5, 128.0, 127.2, 126.9, 126.8, 123.8, 123.5, 67.4, 52.8, 20.4; HRMS (ESI): $C_{26}H_{21}N_4O_4$ $[M+H]^+$; calculated: 453.1557, found: 453.1555.



ethyl 2-(6-bromo-3-methyl-2-oxoquinoxalin-1(2*H*)-yl)acetate (13)[41]

Purified by column chromatography (petroleum ether/ethyl acetate = 15:1), brown solid, 68 mg, yield 70%; m.p. 129-131 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 (d, *J* = 2.2 Hz, 1H), 7.60 (dd, *J* = 8.8, 2.2 Hz, 1H), 6.96 (d, *J* = 8.9 Hz, 1H), 5.01 (s, 2H), 4.28 (q, *J* = 7.1 Hz, 2H), 2.64 (s, 3H), 1.31 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.9, 159.7, 154.4, 133.6, 132.5, 132.3, 131.6, 116.5, 114.6, 62.3, 43.6, 21.6, 14.2; HRMS (ESI): $C_{13}H_{14}BrN_2O_3$ $[M+H]^+$; calculated: 325.0182, found: 325.0187.

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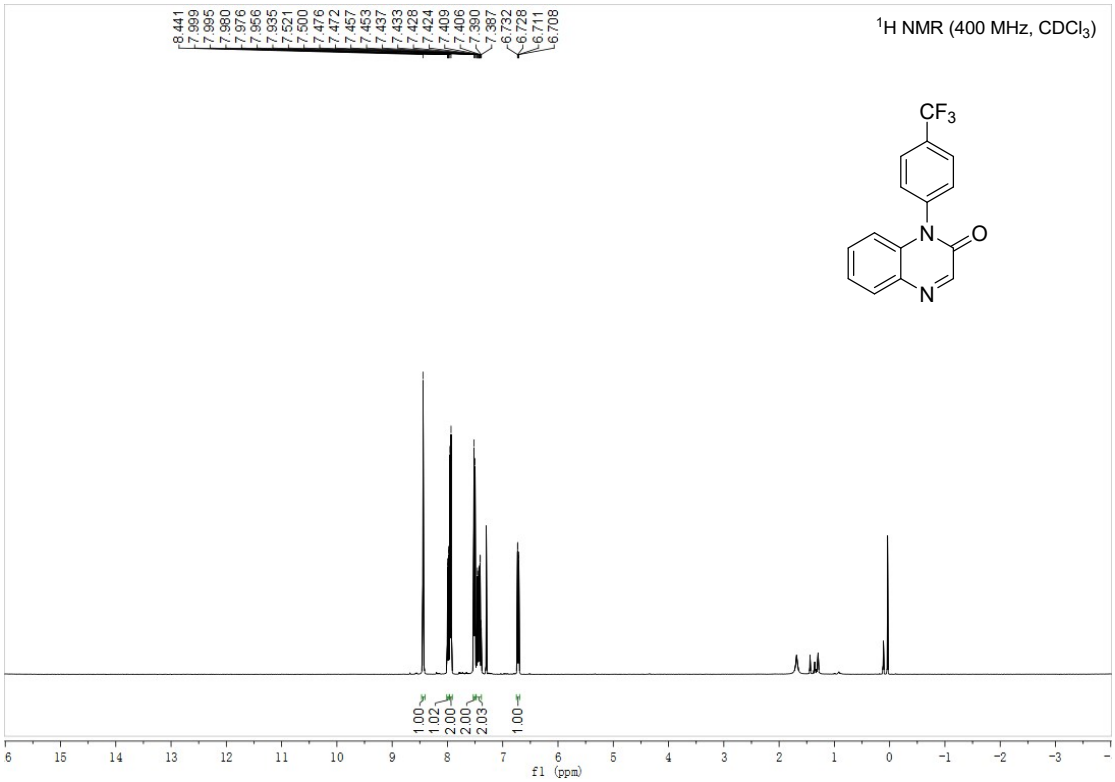
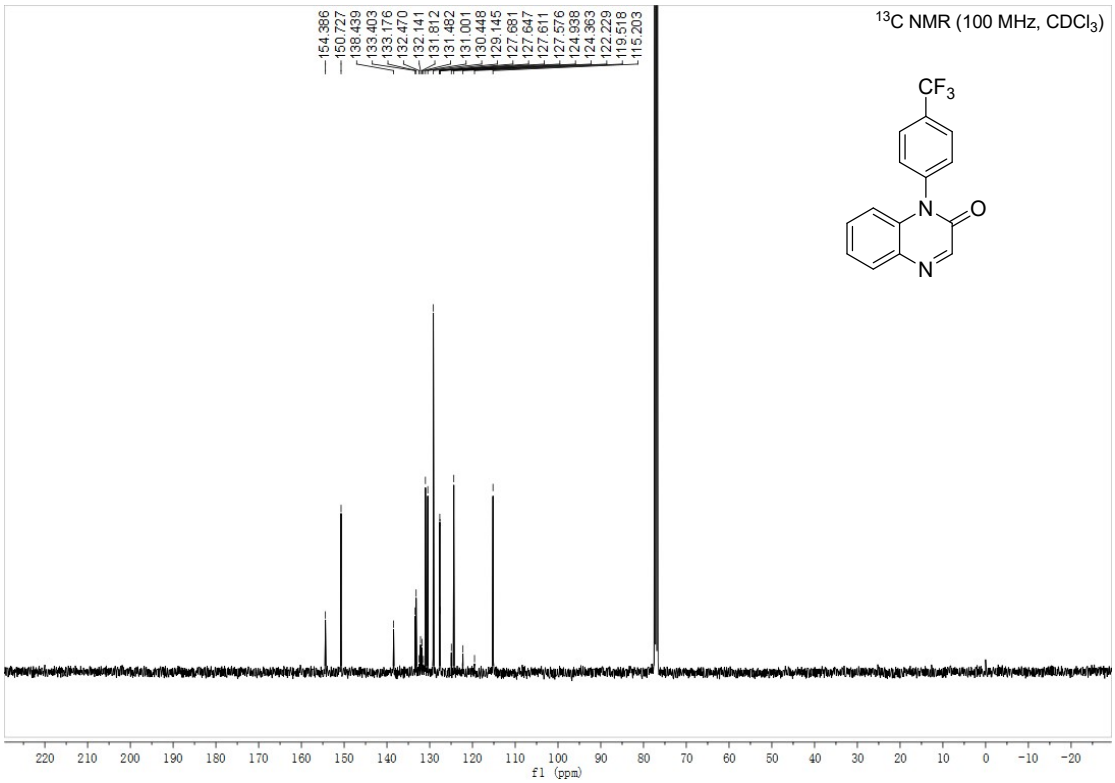
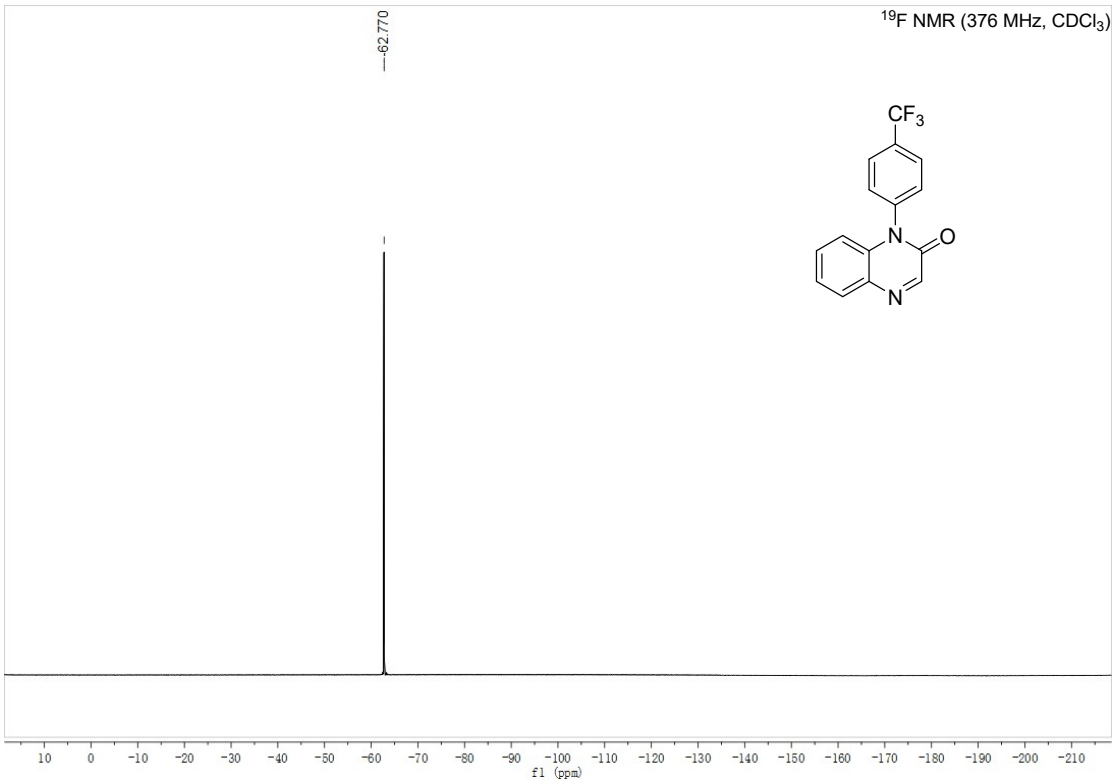
6. Copies of NMR spectra for products

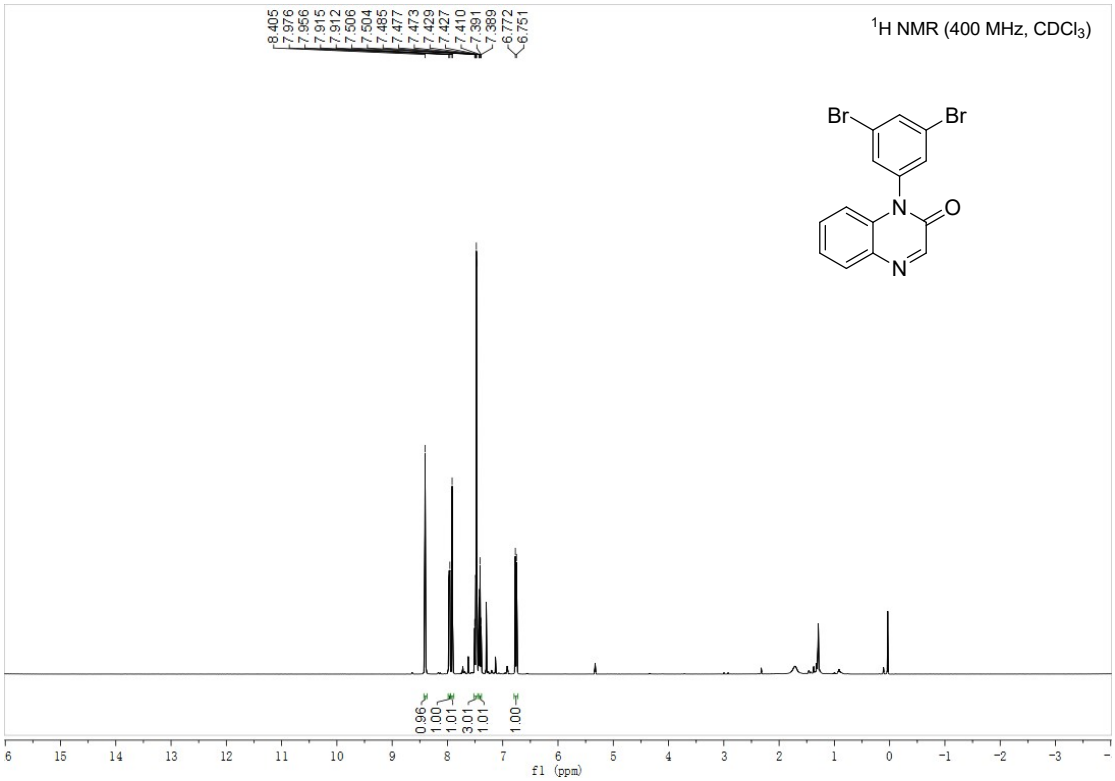
1-(4-(trifluoromethyl)phenyl)quinoxalin-2(1*H*)-one (1v)

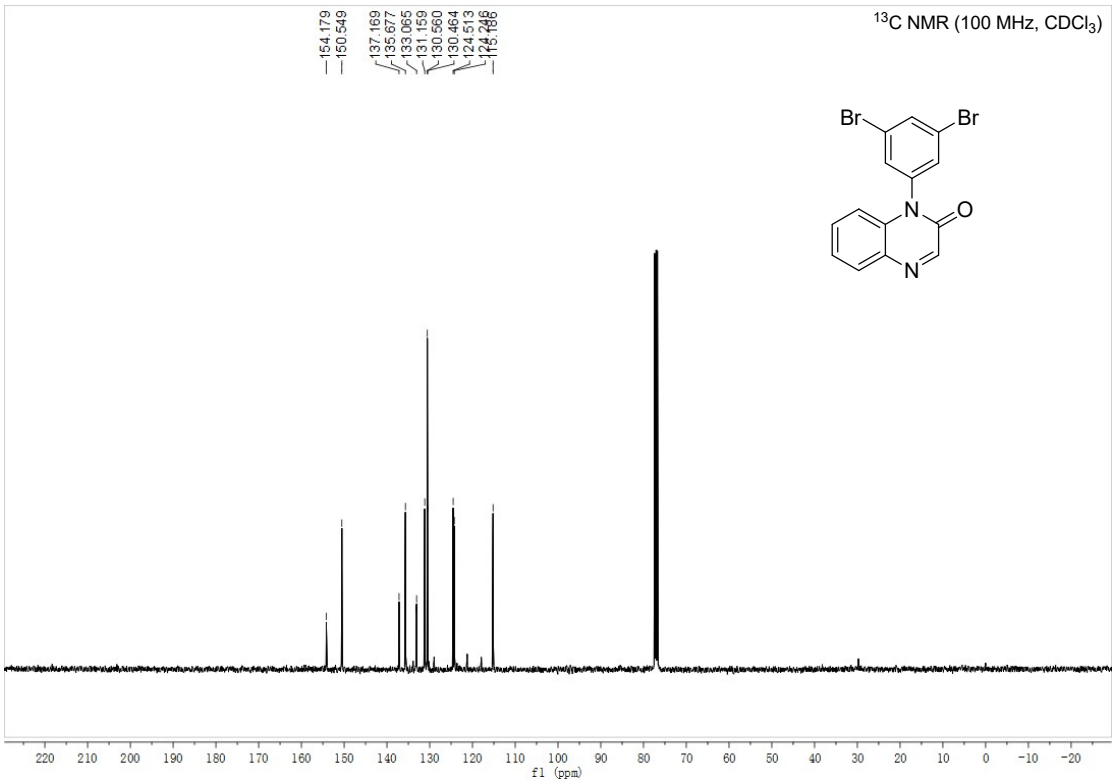




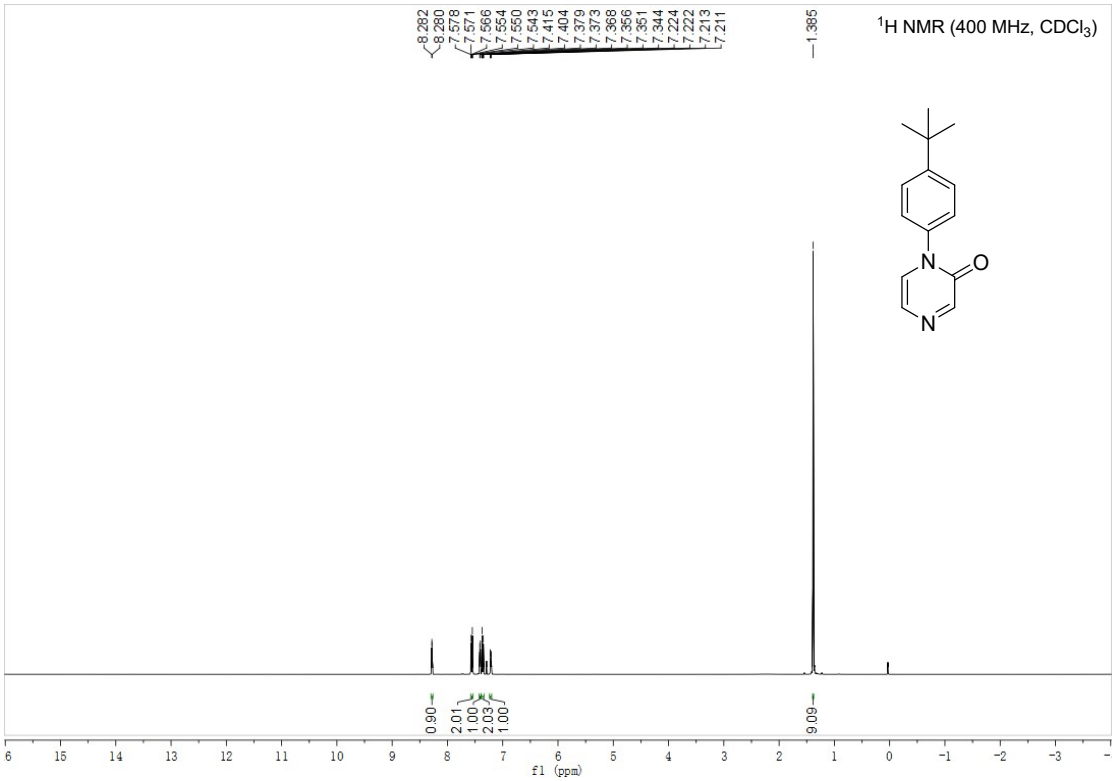


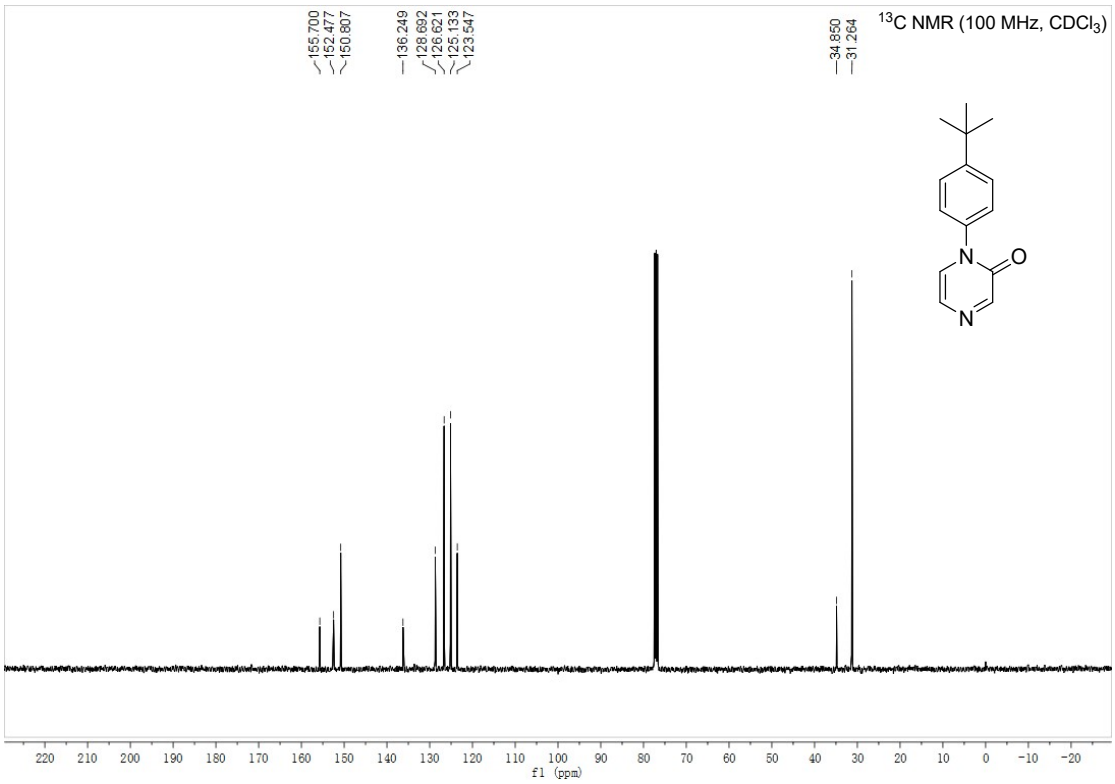
1-(3,5-dibromophenyl)quinoxalin-2(1*H*)-one (1w)





1-(4-(*tert*-butyl)phenyl)-3-methylpyrazin-2(1*H*)-one (4b)





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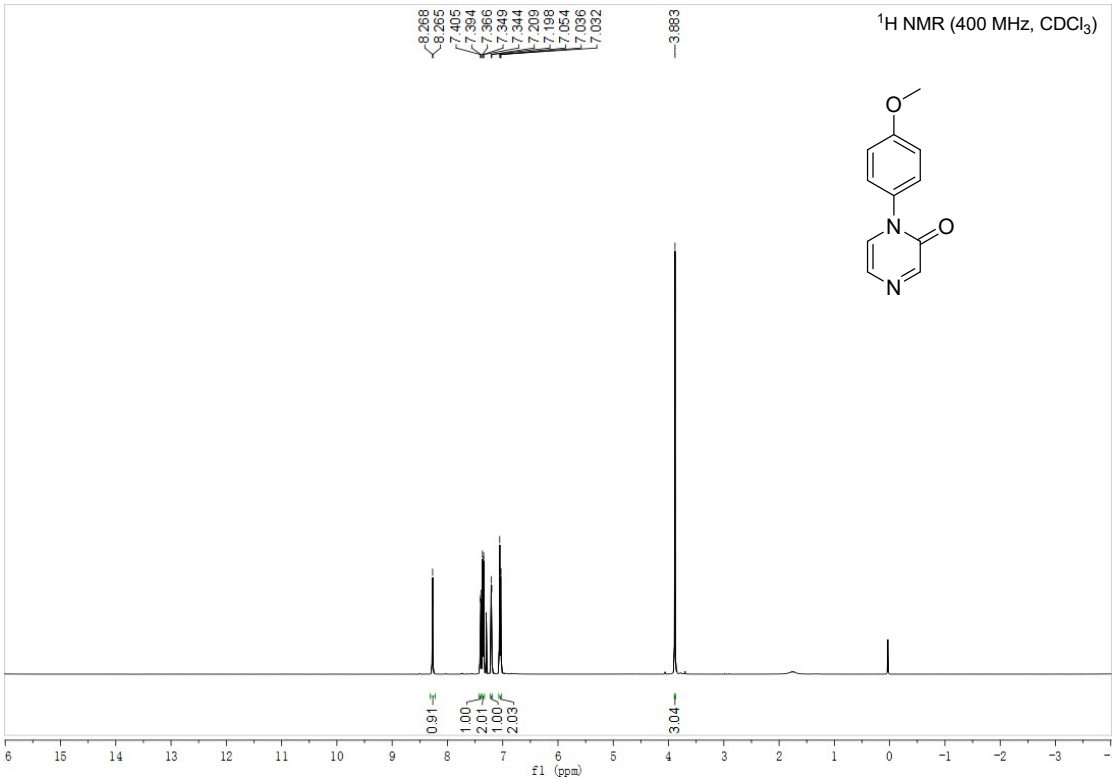
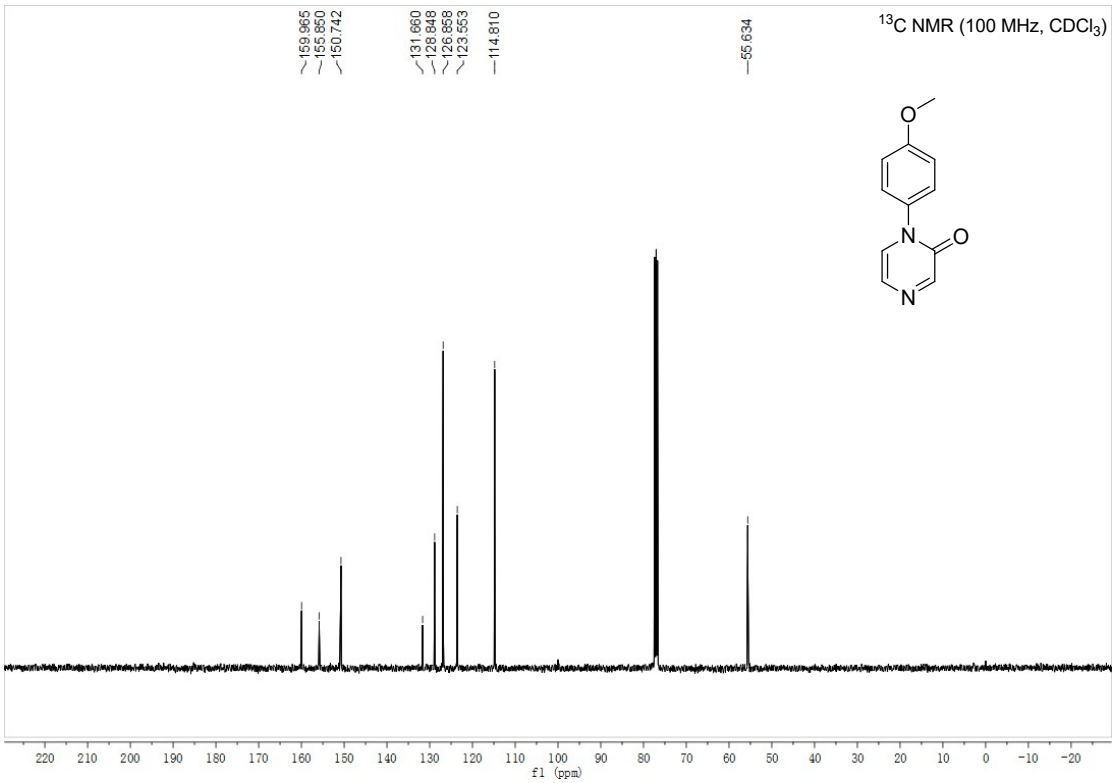
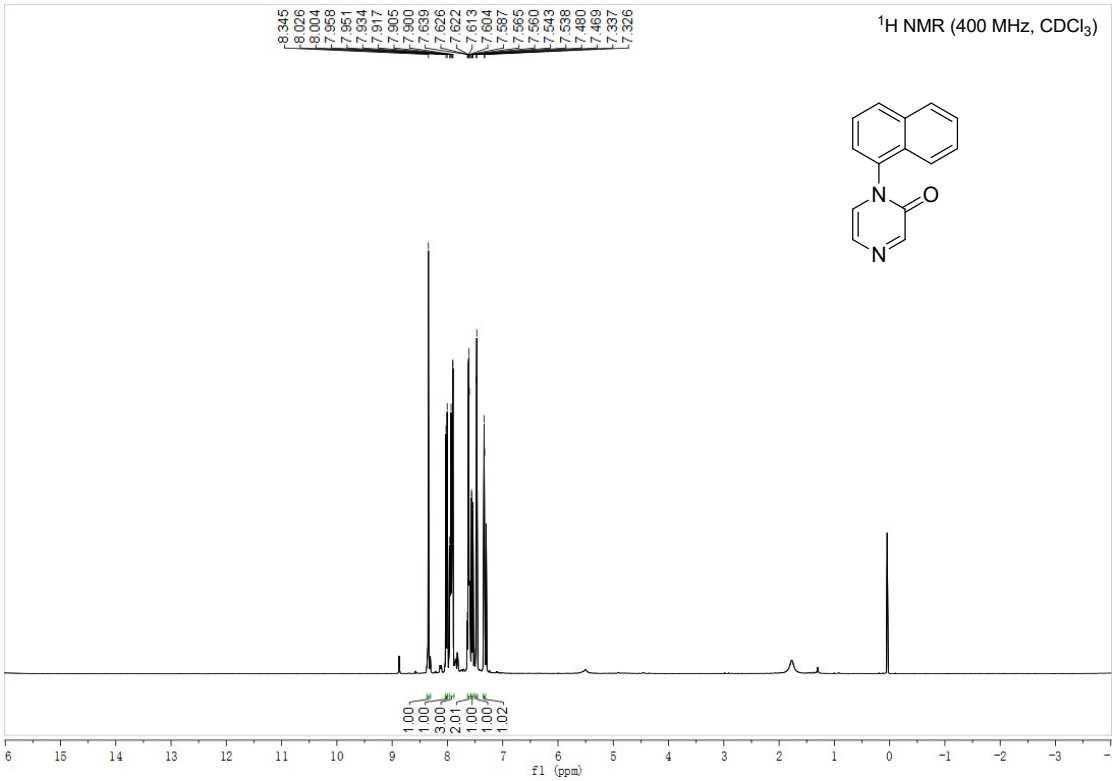
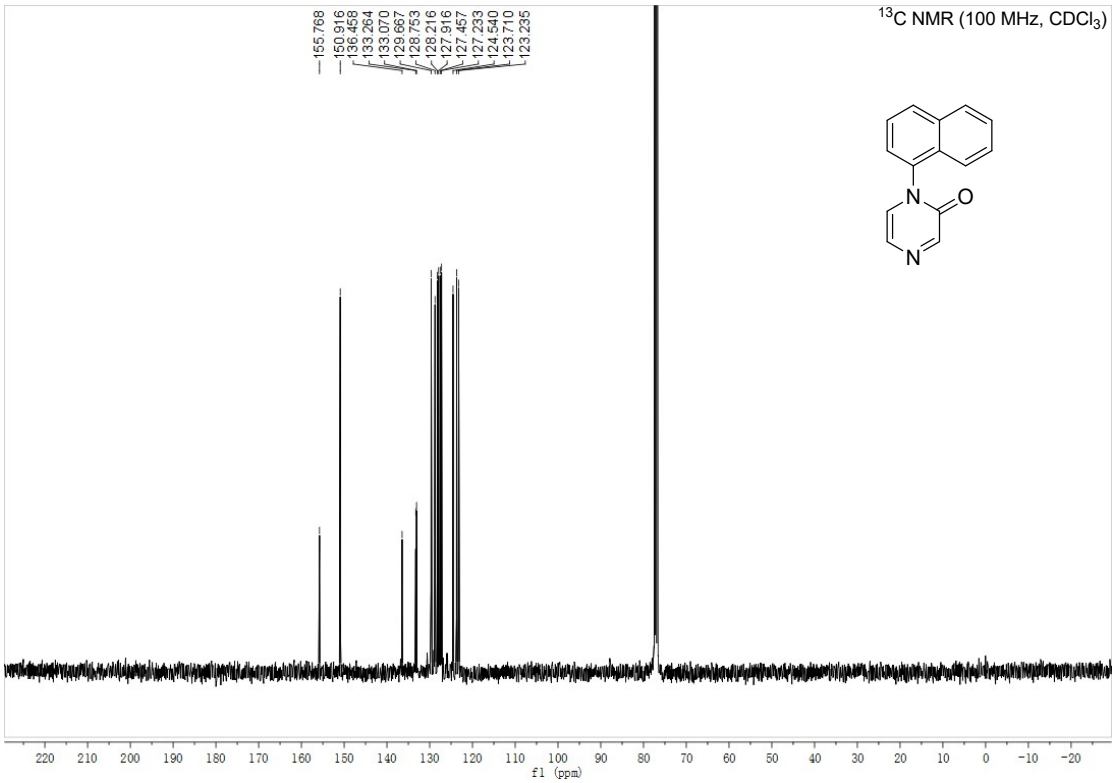


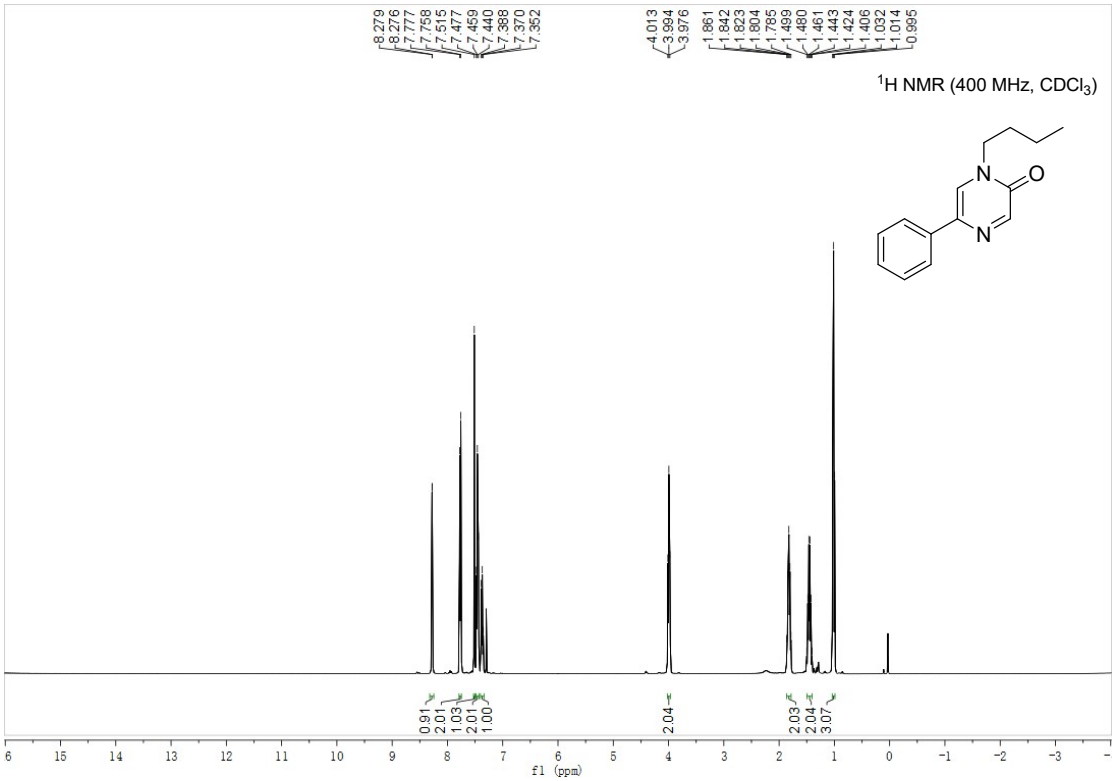


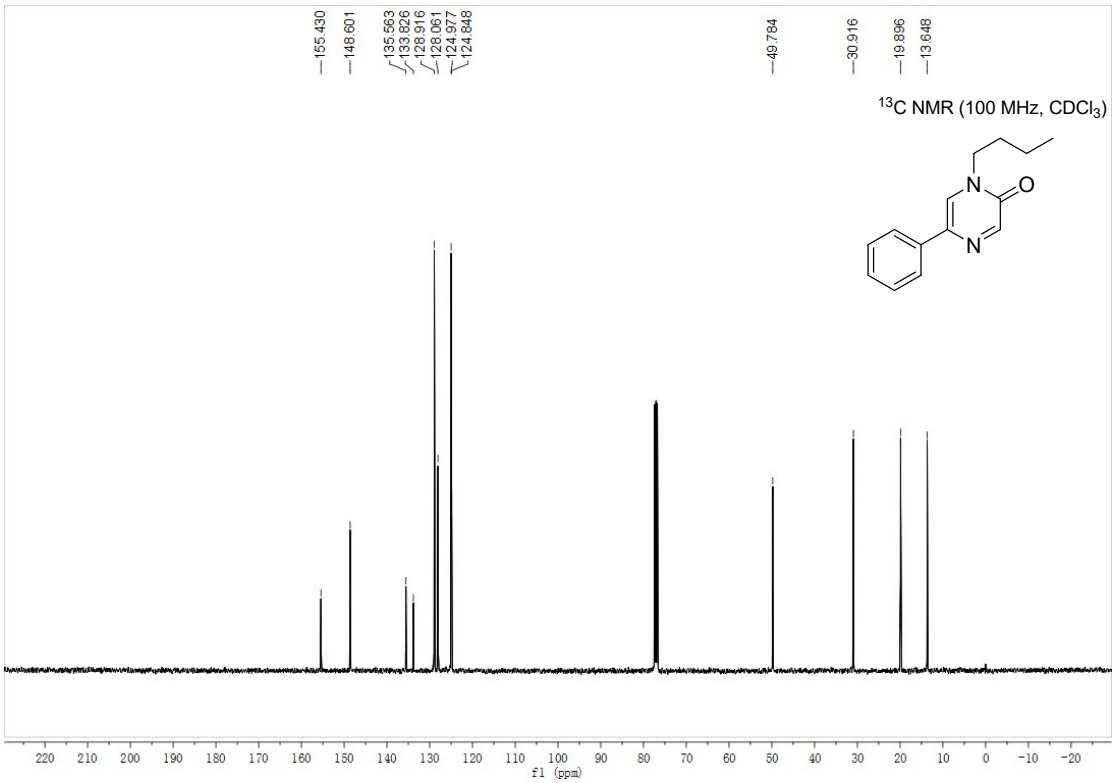
1-(naphthalen-1-yl)pyrazin-2(1*H*)-one (4d)



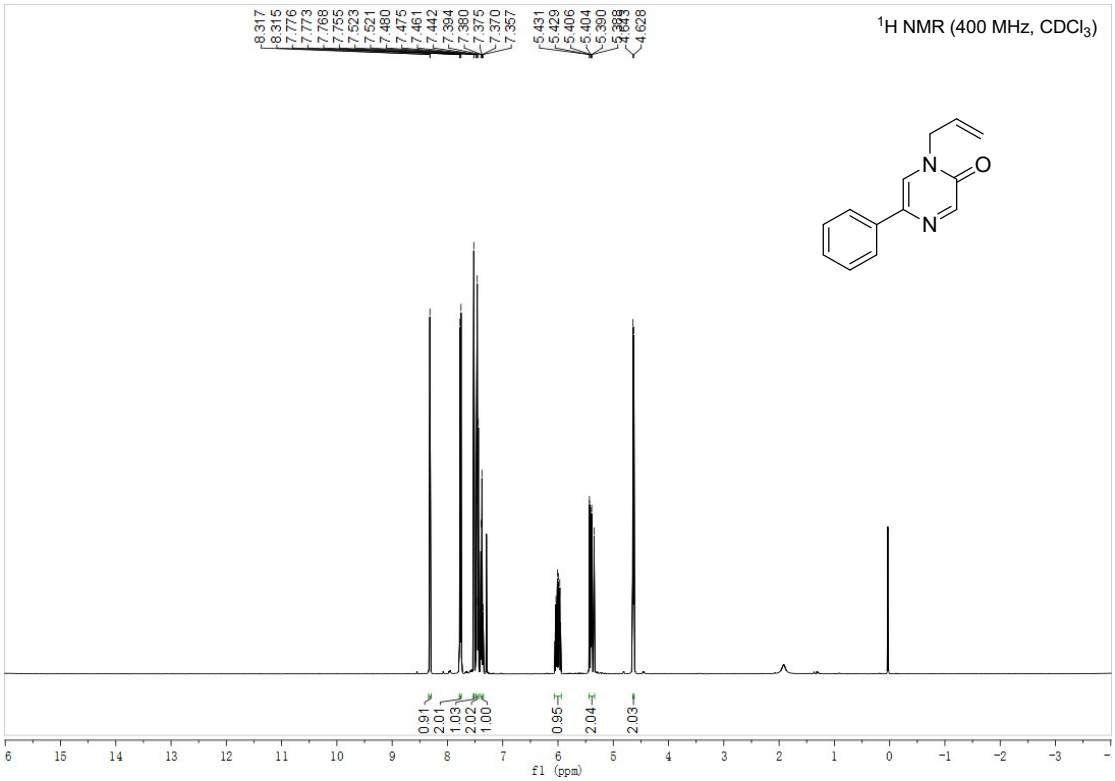


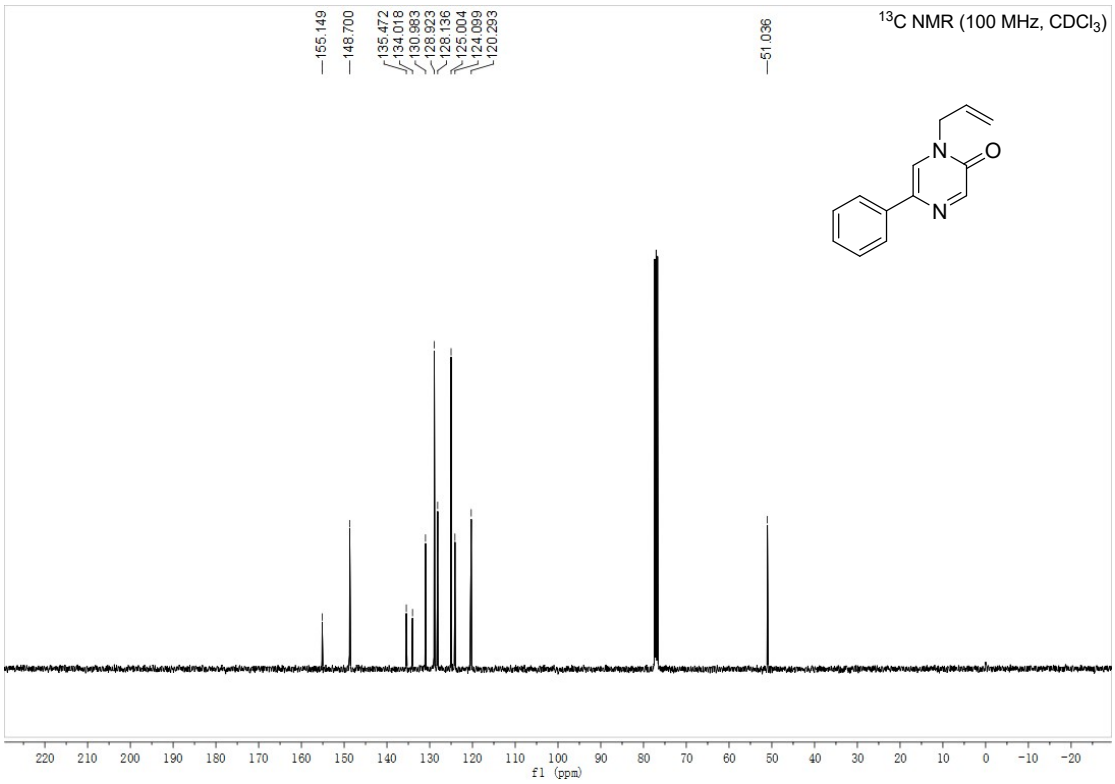
1-butyl-5-phenylpyrazin-2(1*H*)-one (4g)





1-allyl-5-phenylpyrazin-2(1*H*)-one (4h)





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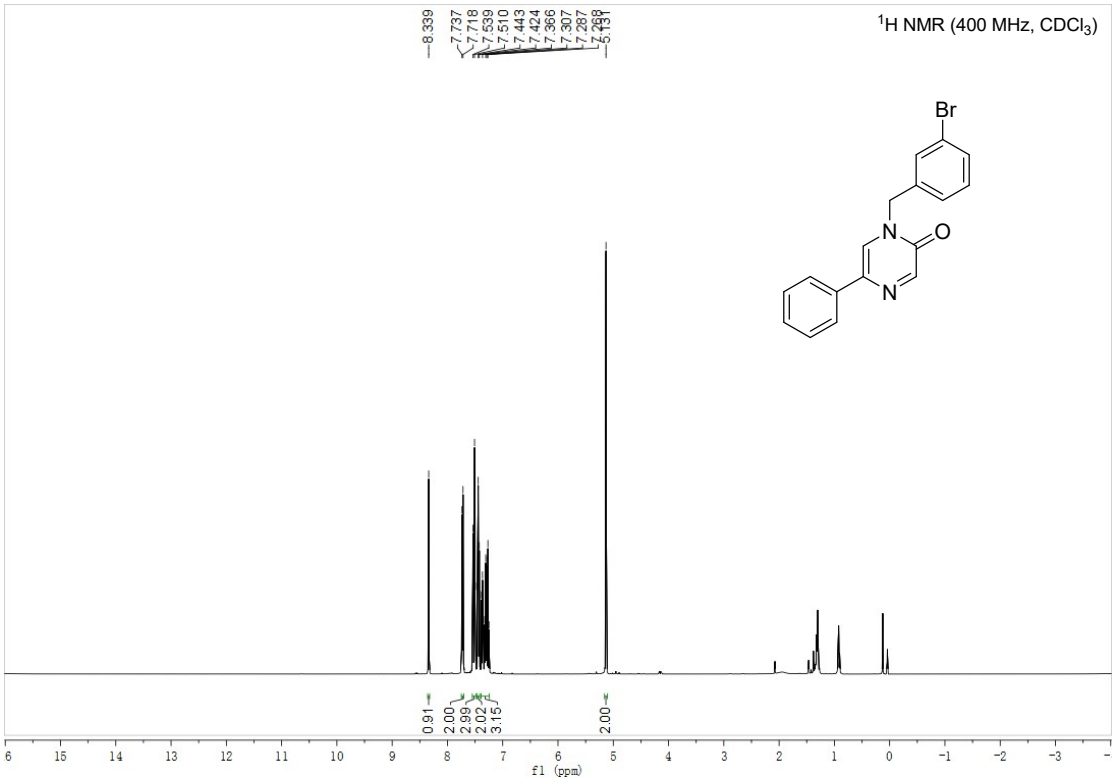

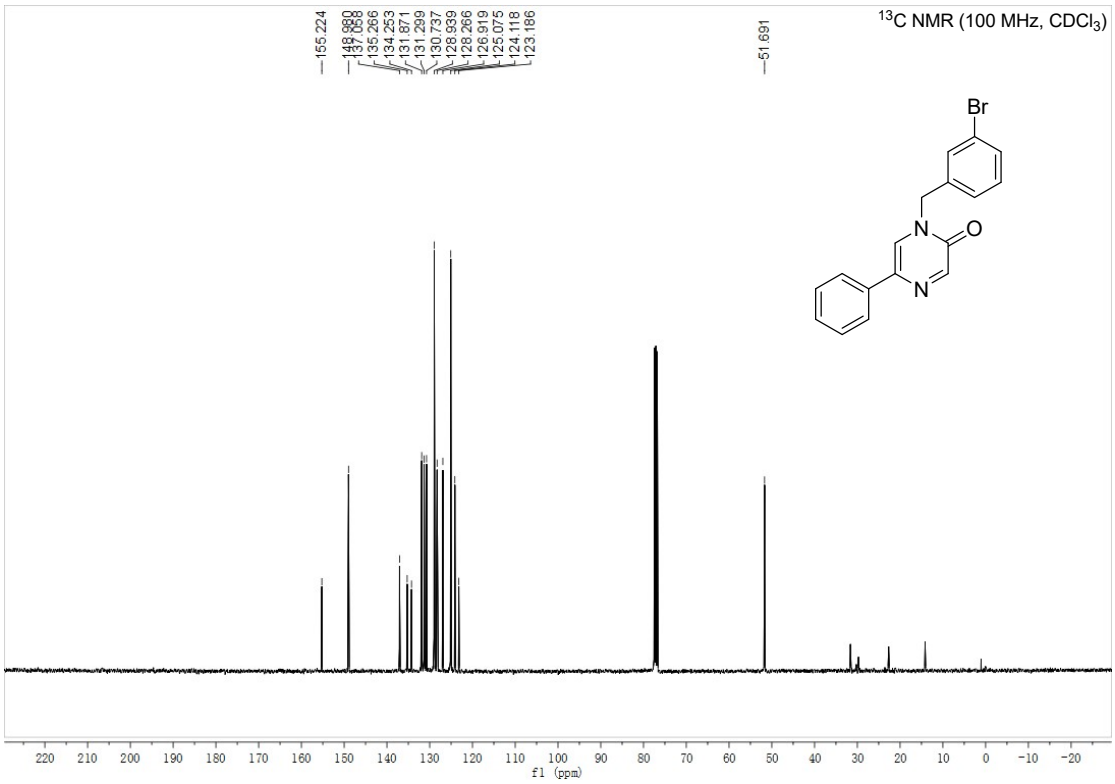

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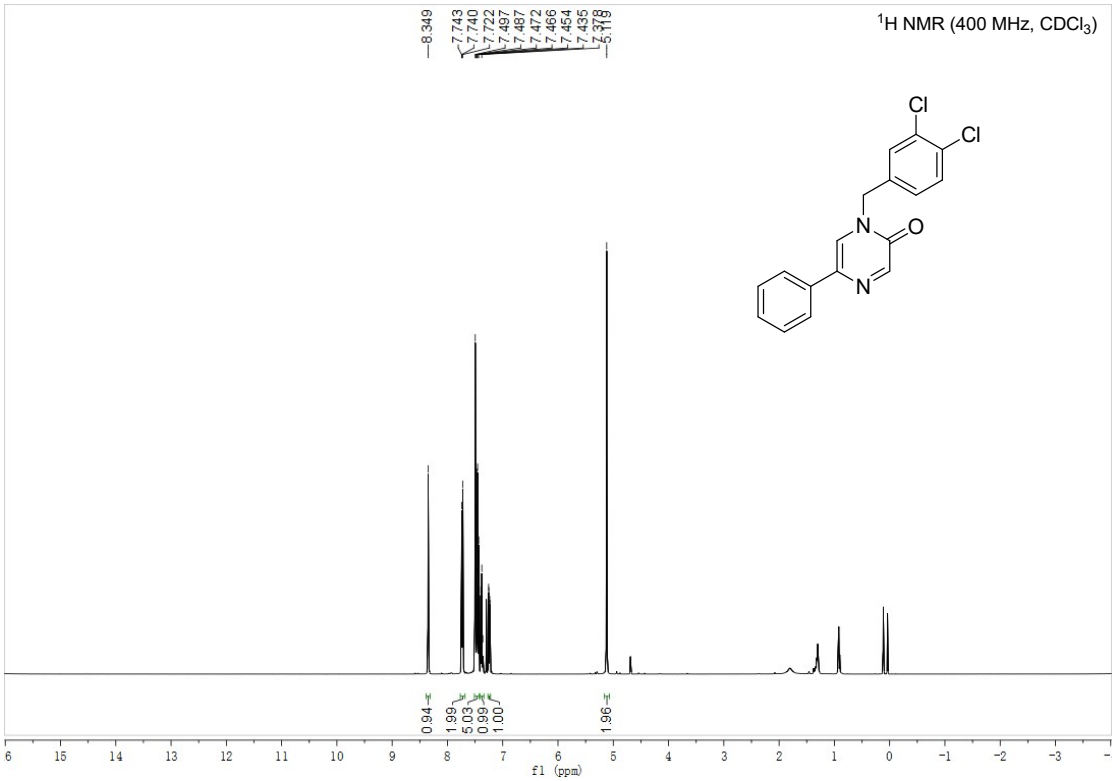
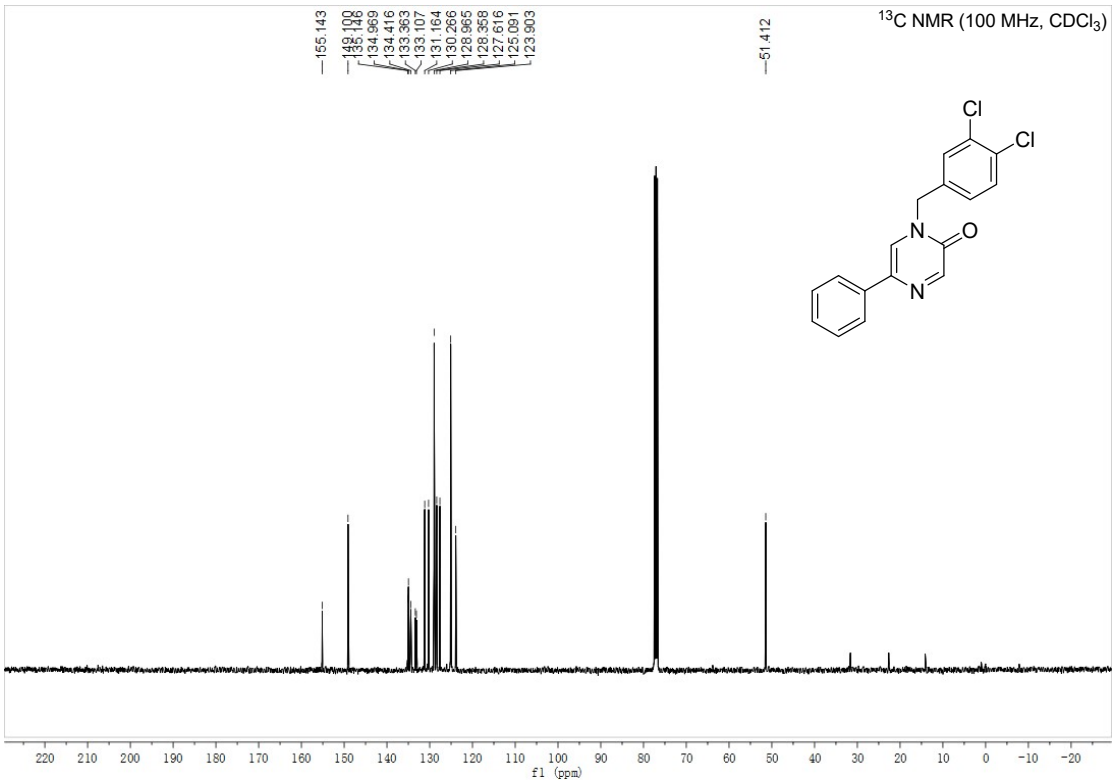
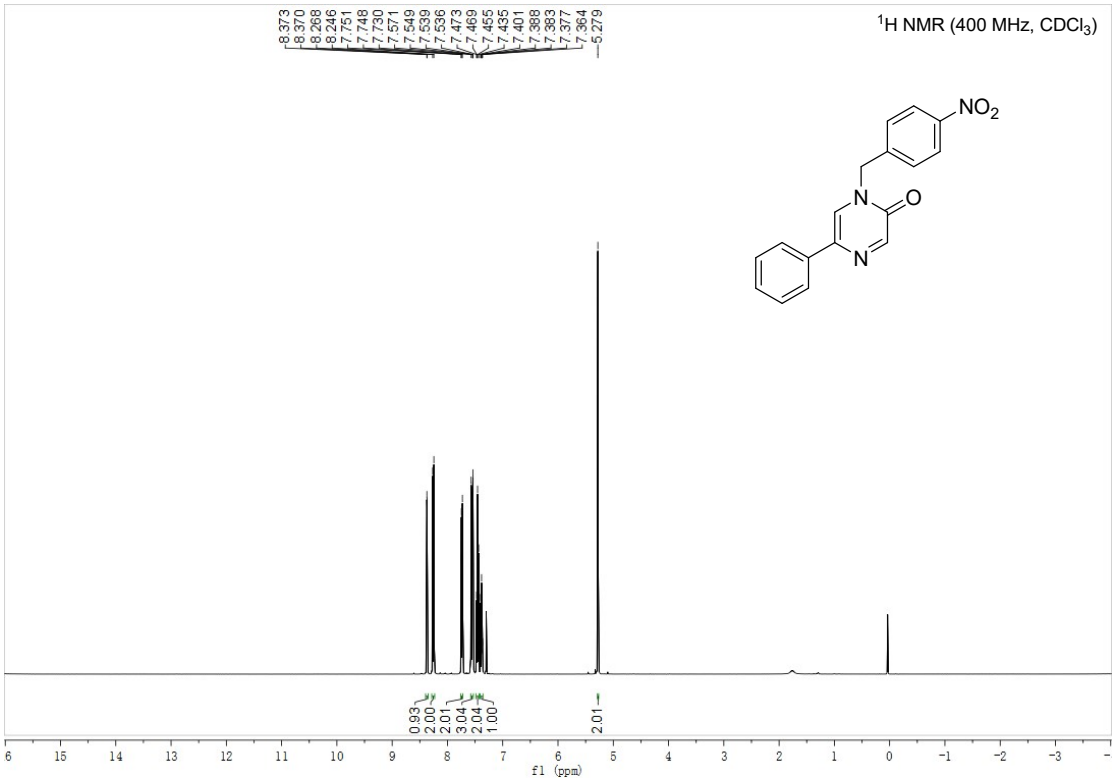
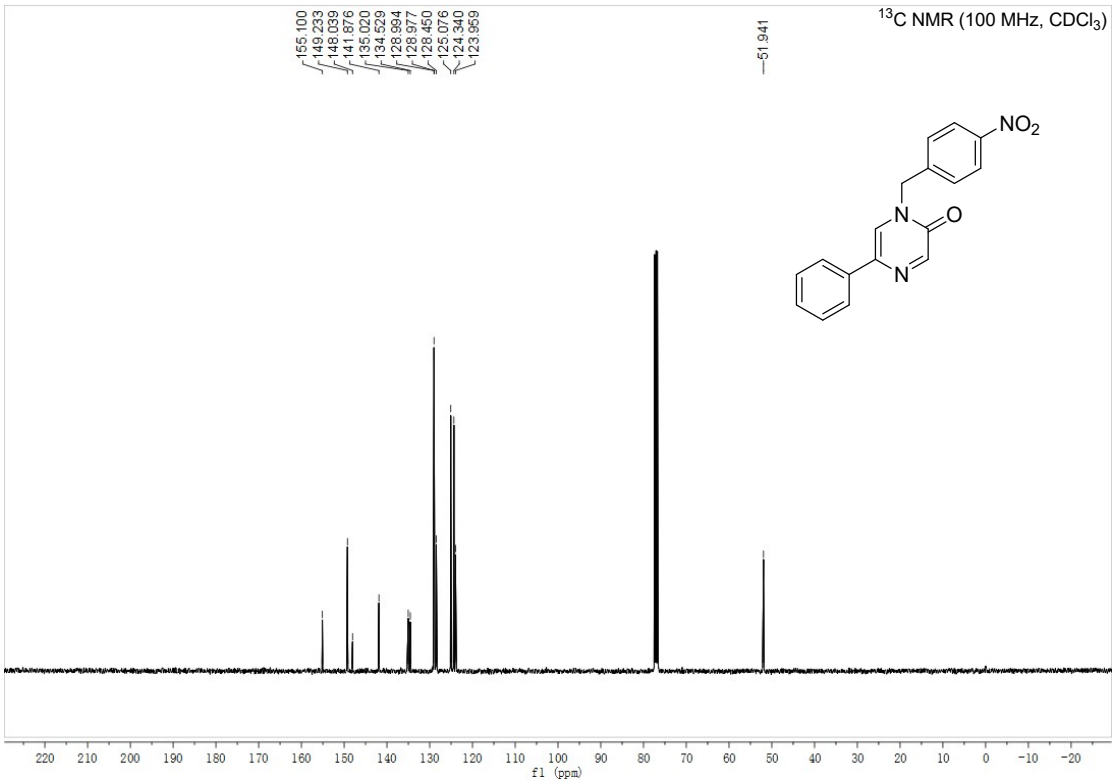


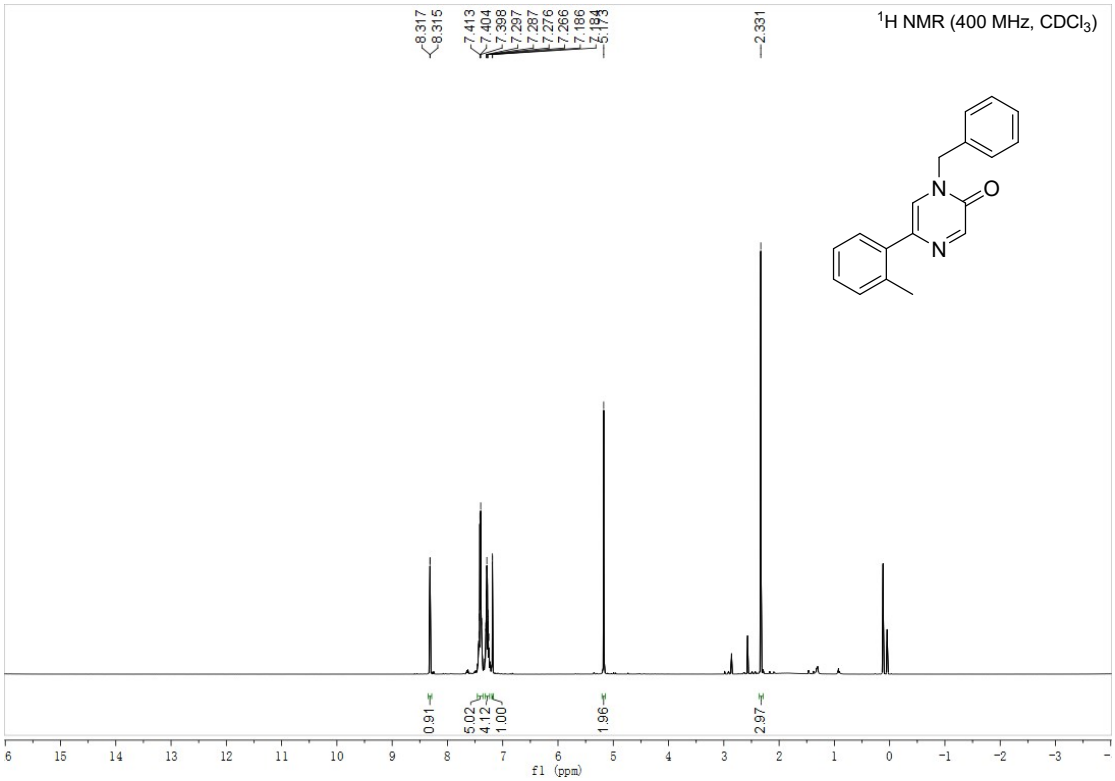


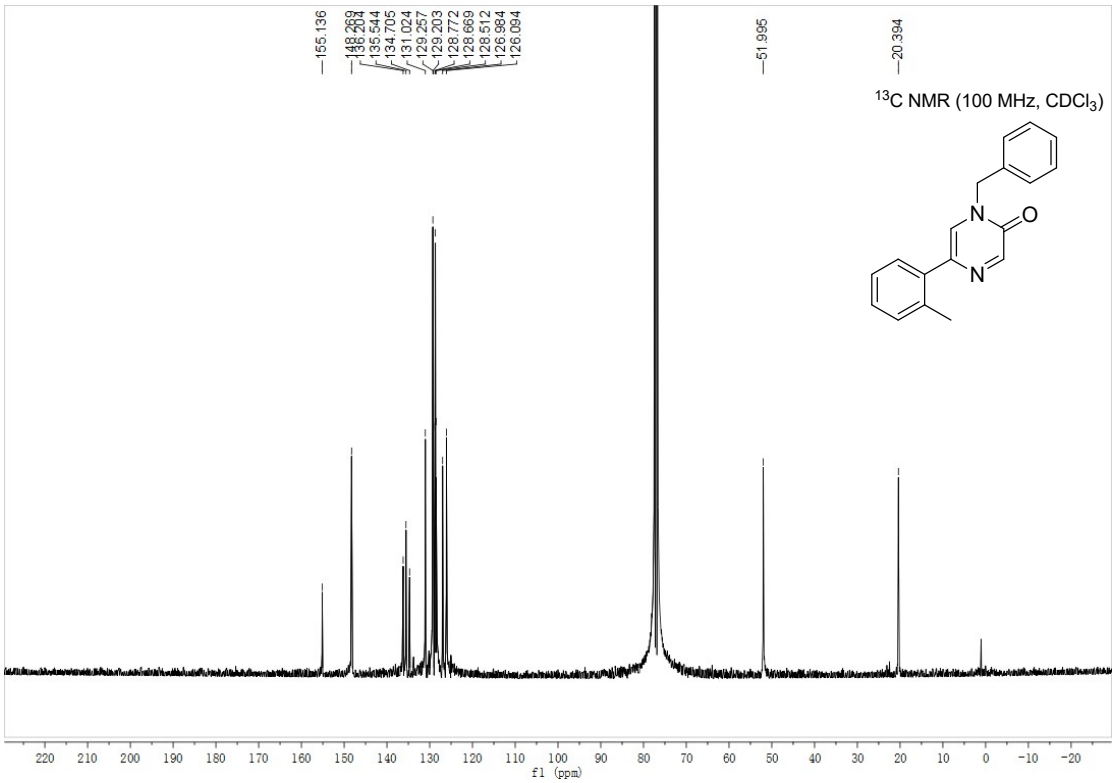
1-(4-nitrobenzyl)-5-phenylpyrazin-2(1*H*)-one (4k)



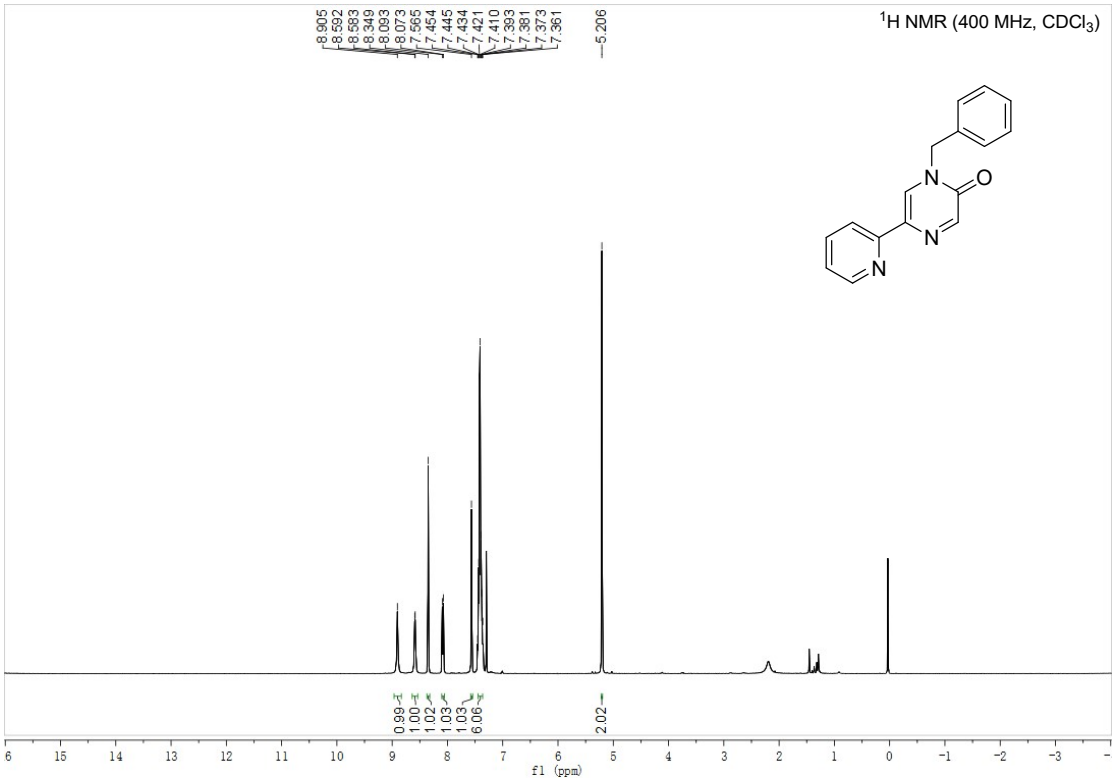


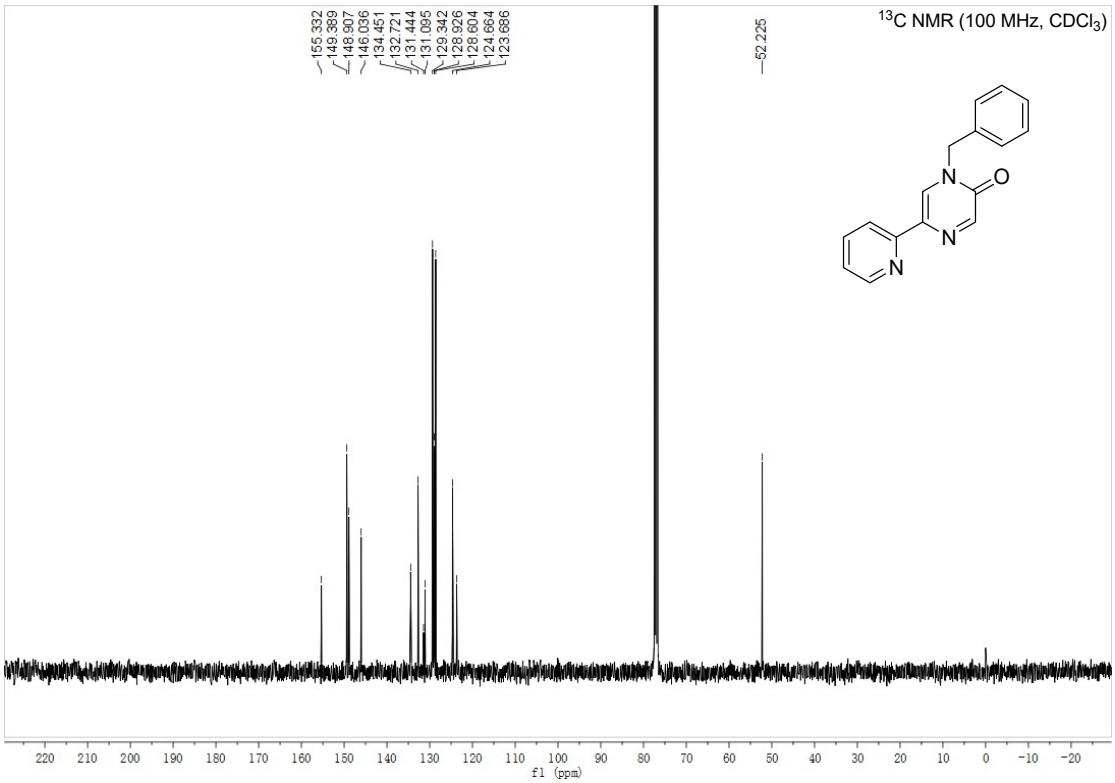
1-benzyl-5-(*o*-tolyl)pyrazin-2(1*H*)-one (4l)



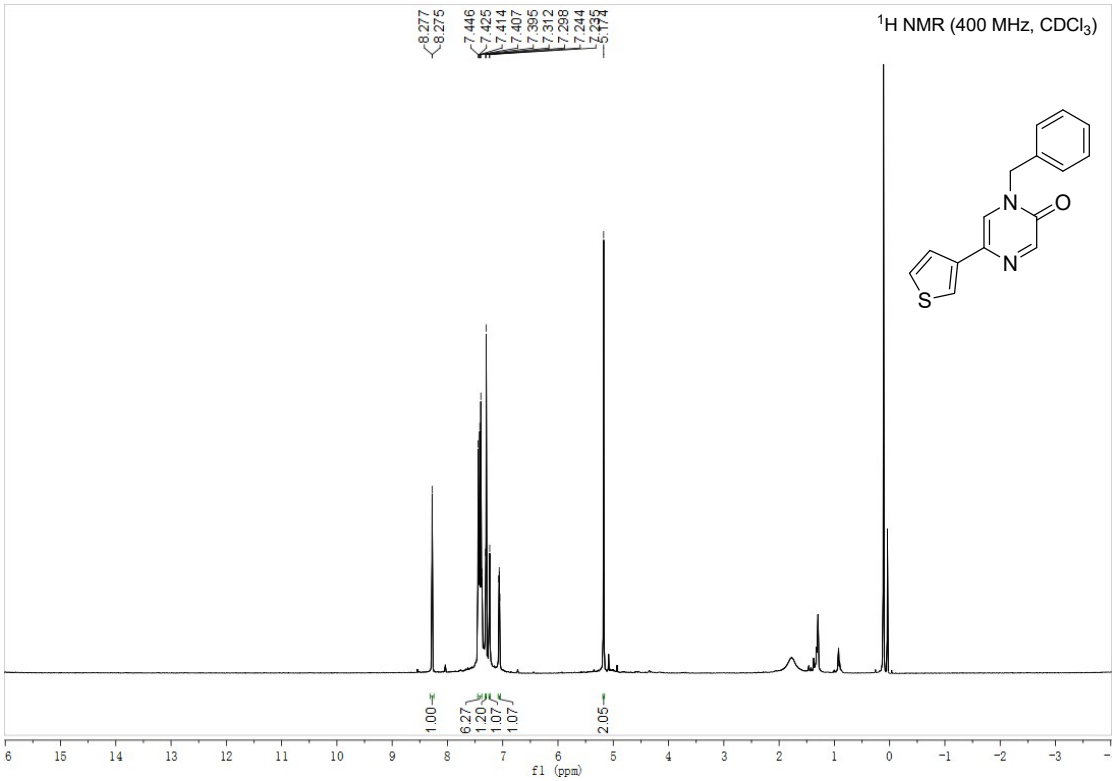


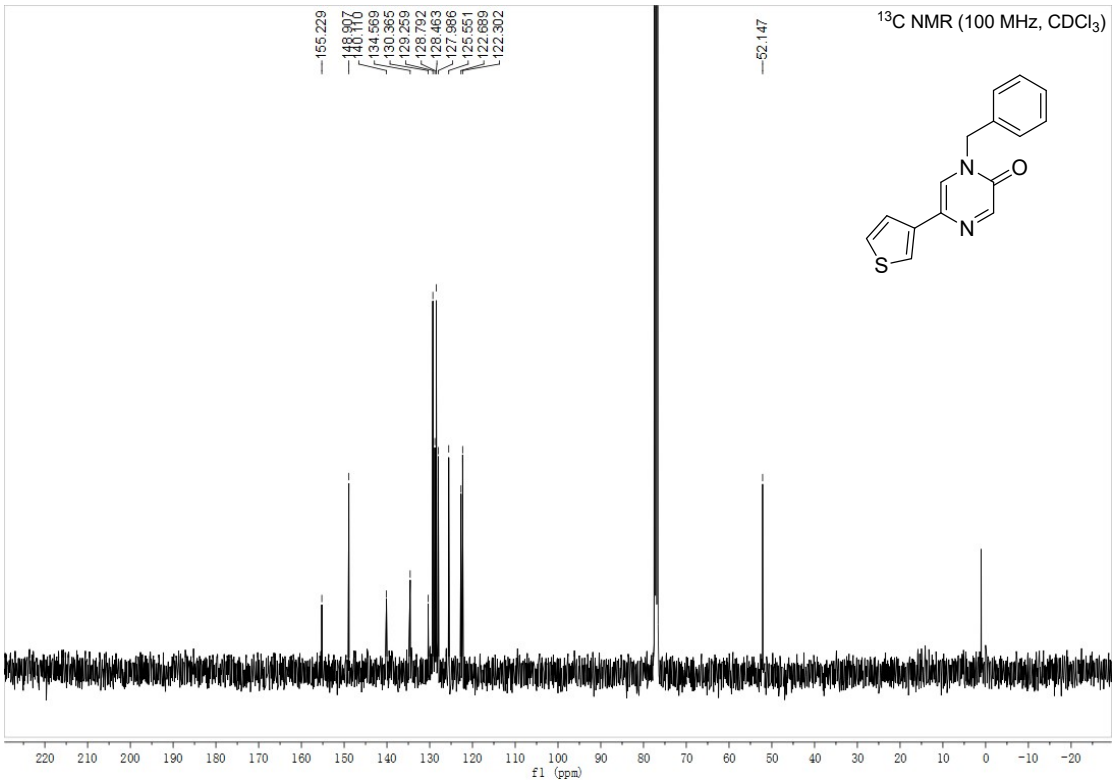
1-benzyl-5-(pyridin-2-yl)pyrazin-2(1*H*)-one (4m)



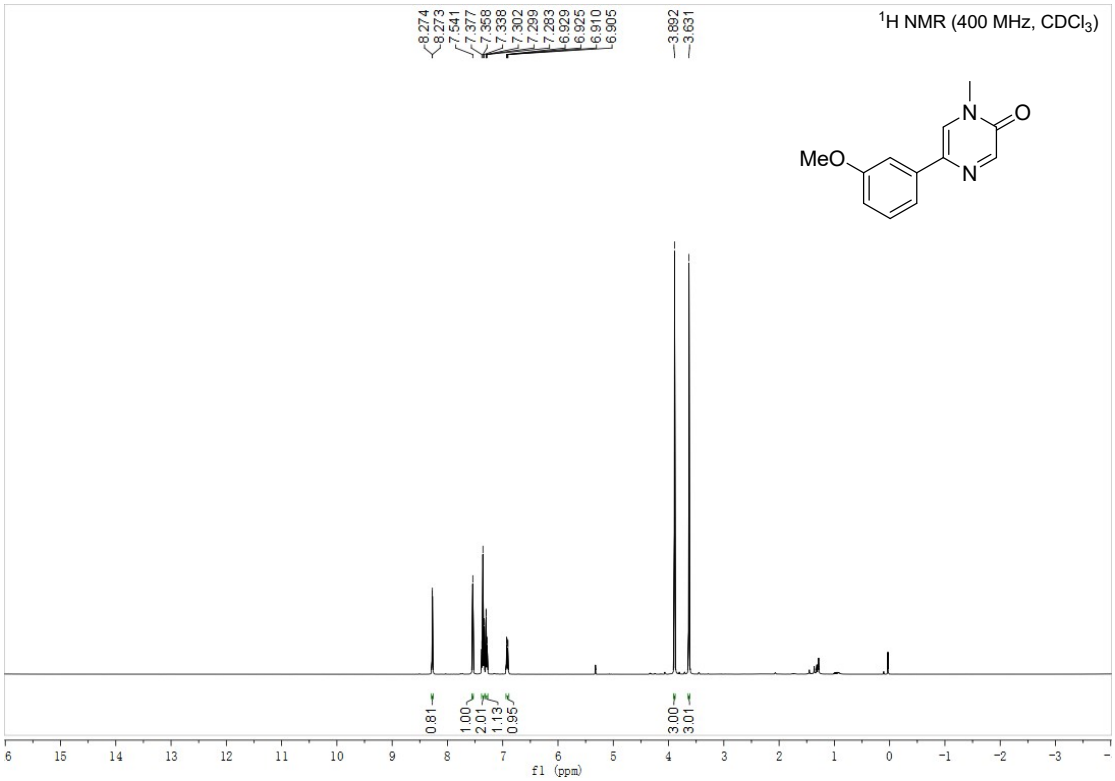


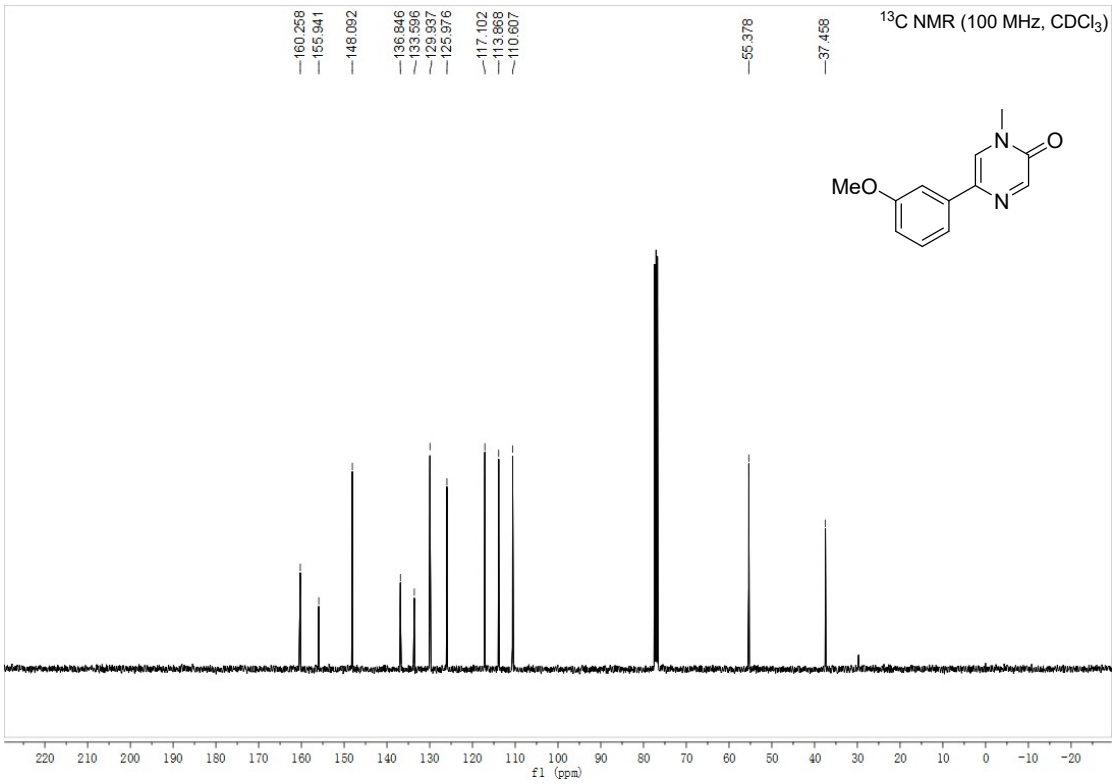
1-benzyl-5-(thiophen-3-yl)pyrazin-2(1*H*)-one (4n)



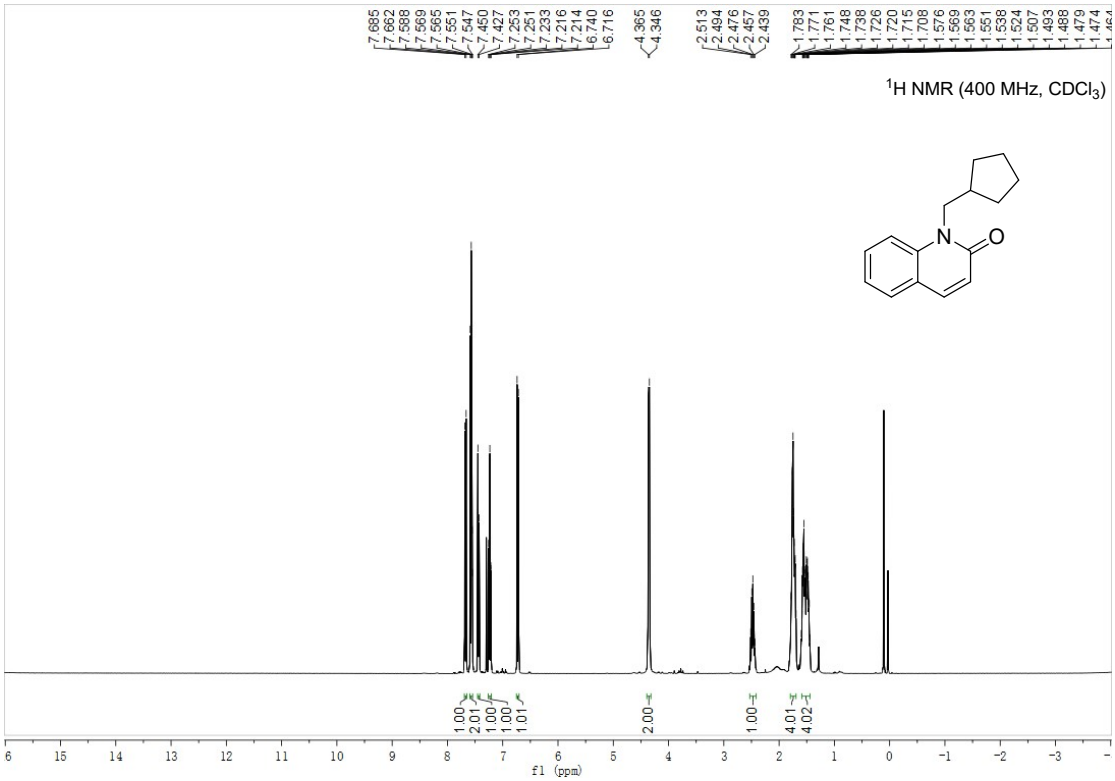


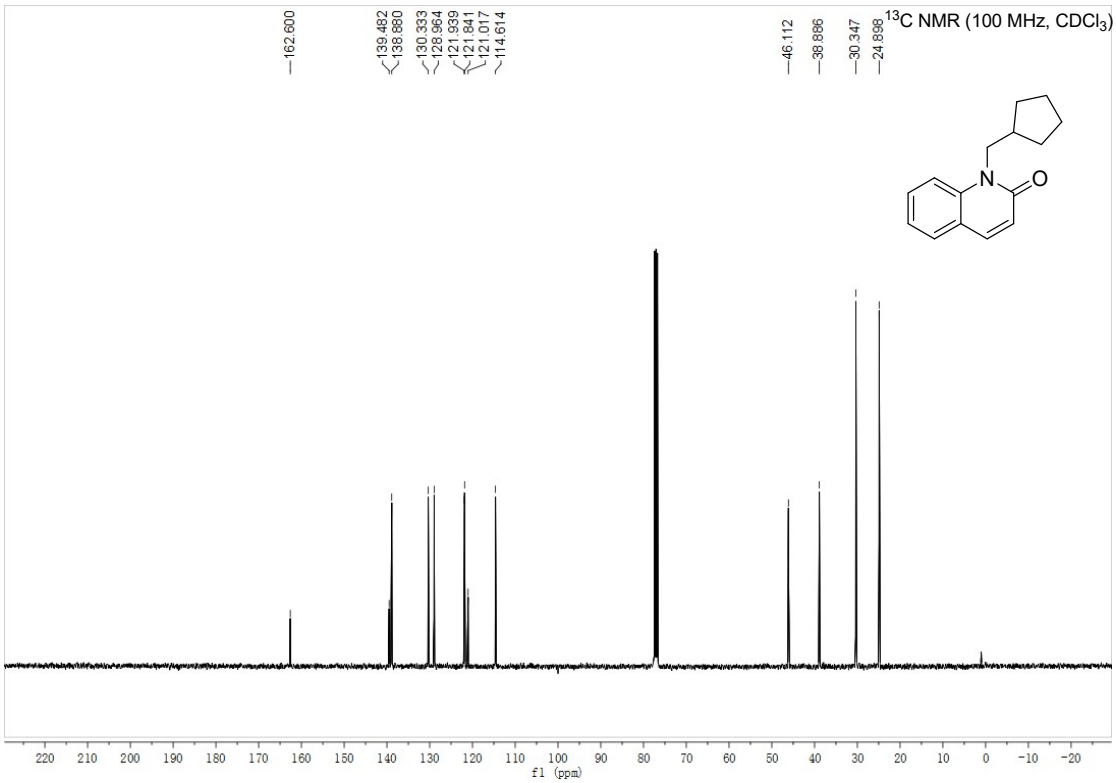
5-(3-methoxyphenyl)-1-methylpyrazin-2(1*H*)-one (4p)



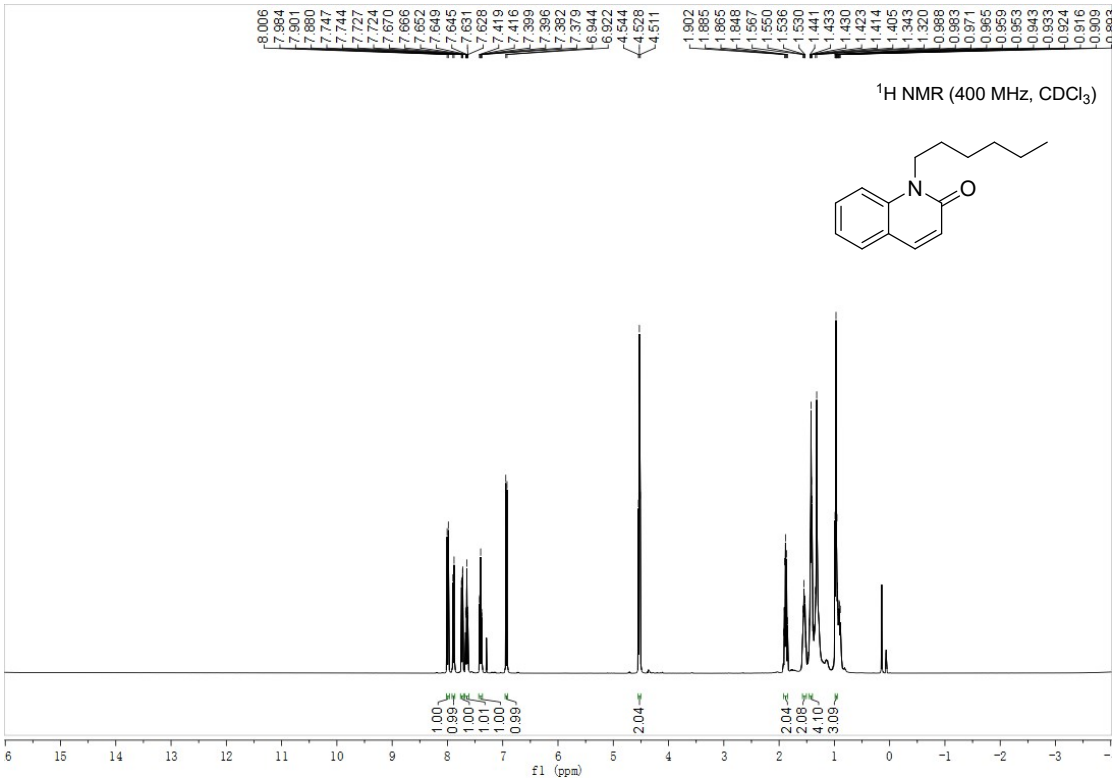


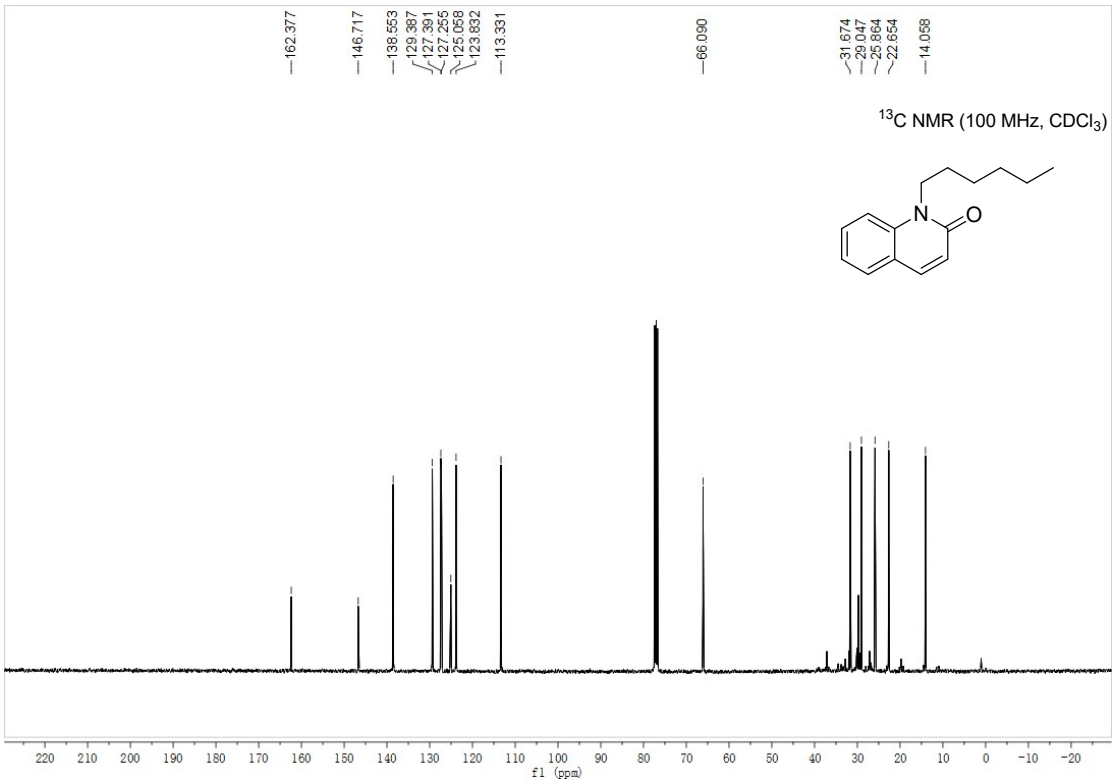
1-(cyclopentylmethyl)quinolin-2(1*H*)-one (5j)



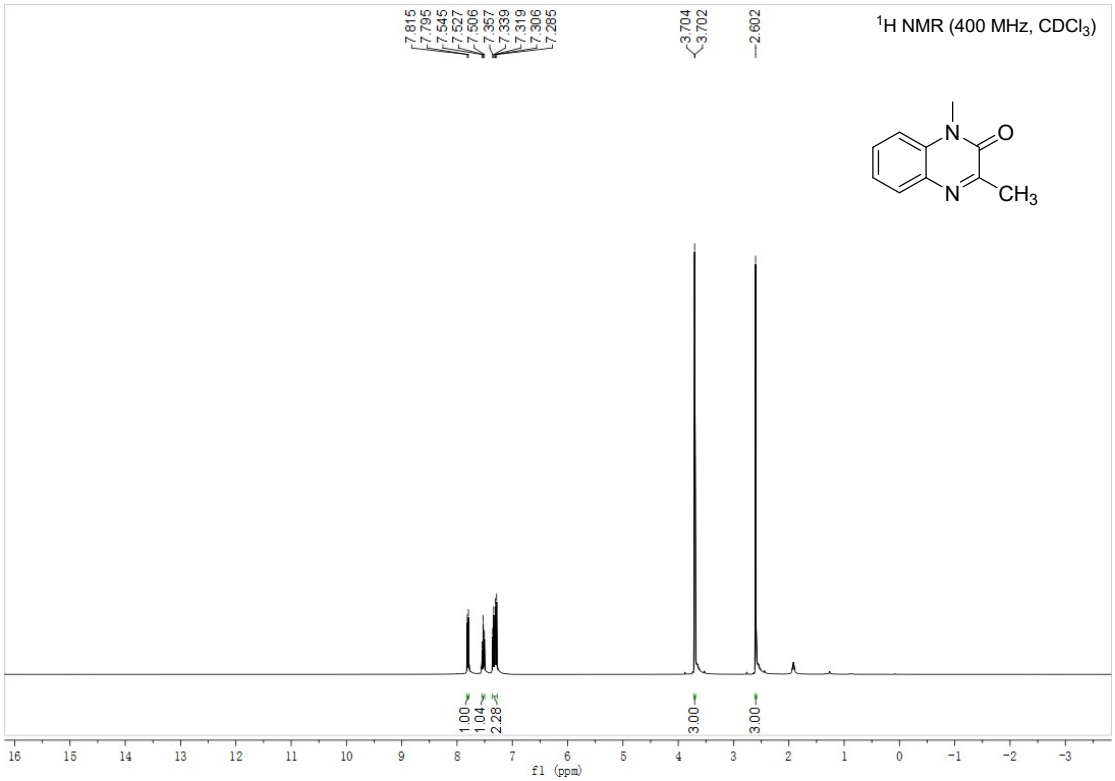


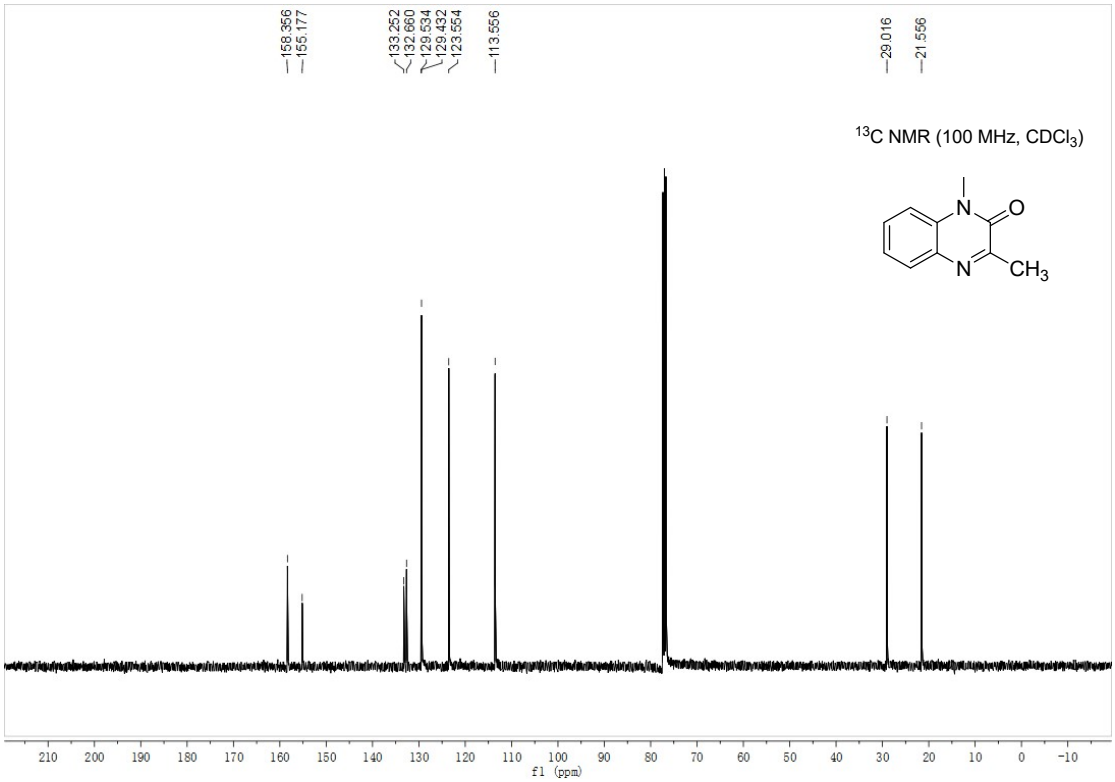
1-hexylquinolin-2(1*H*)-one (5k)



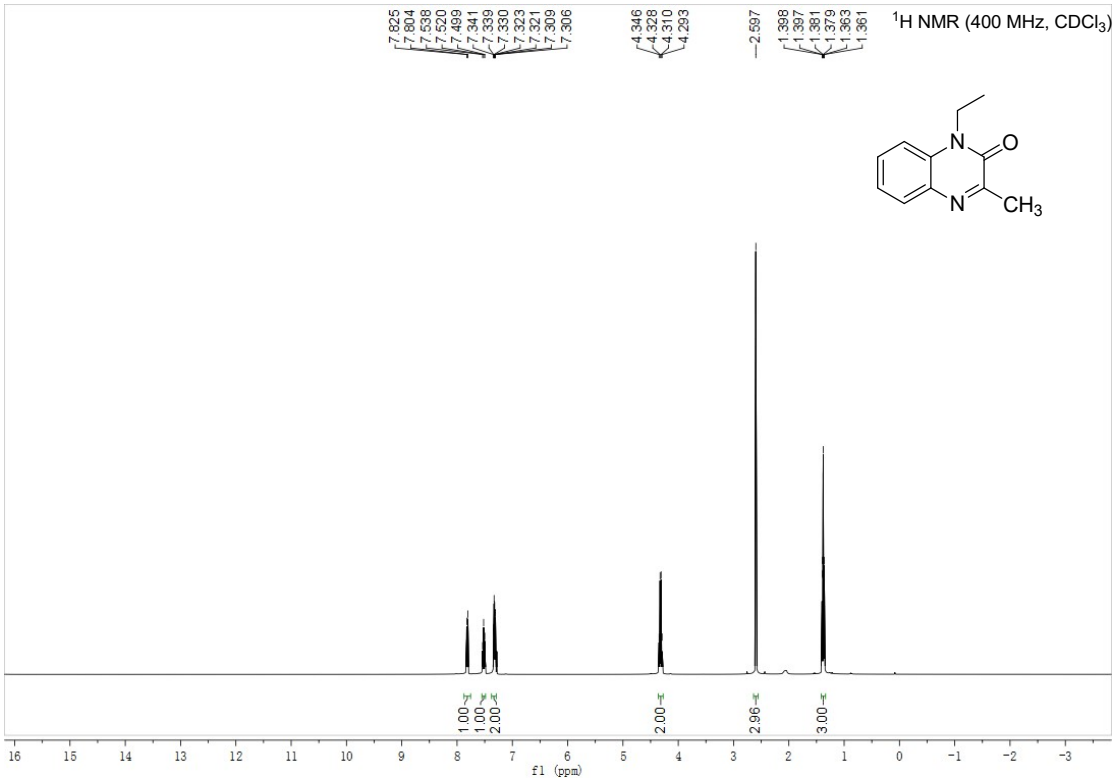


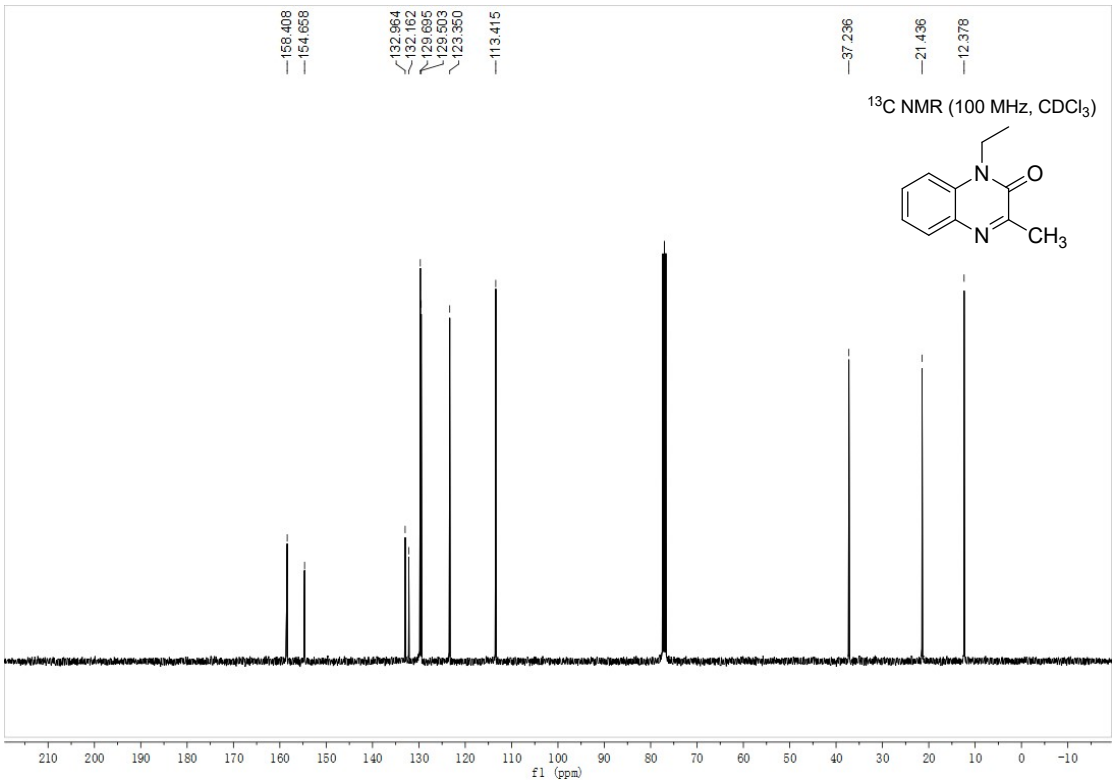
1,3-dimethylquinoxalin-2(1*H*)-one (3a)



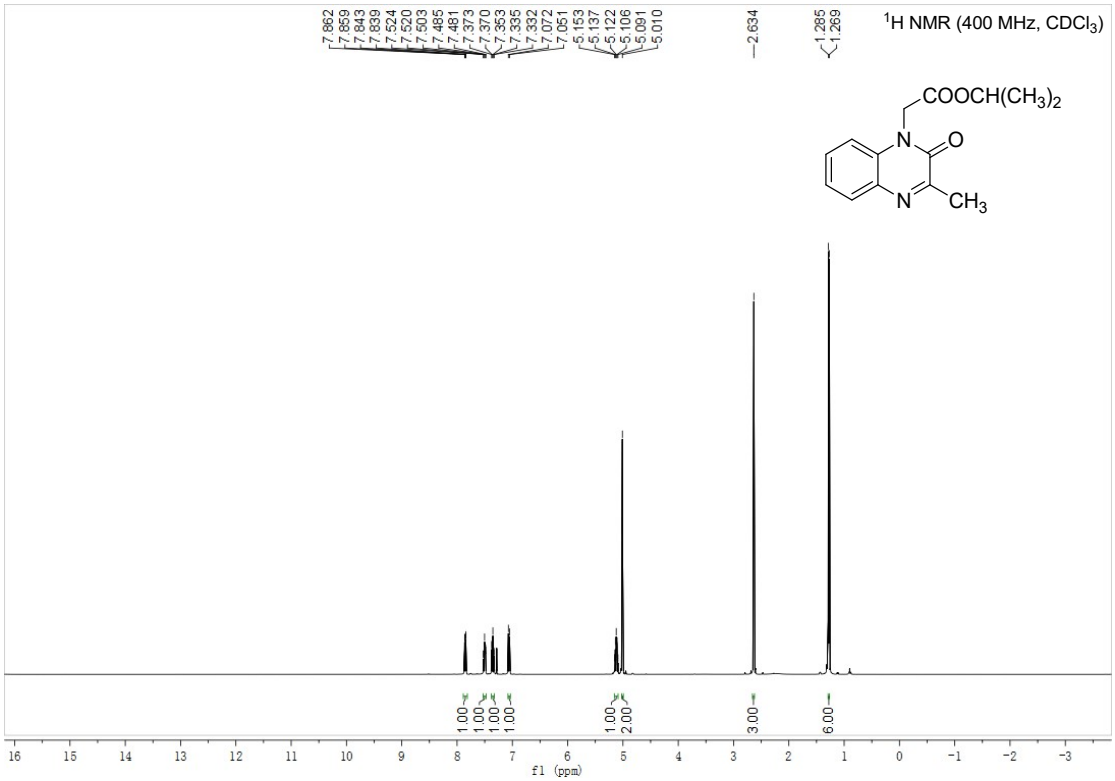


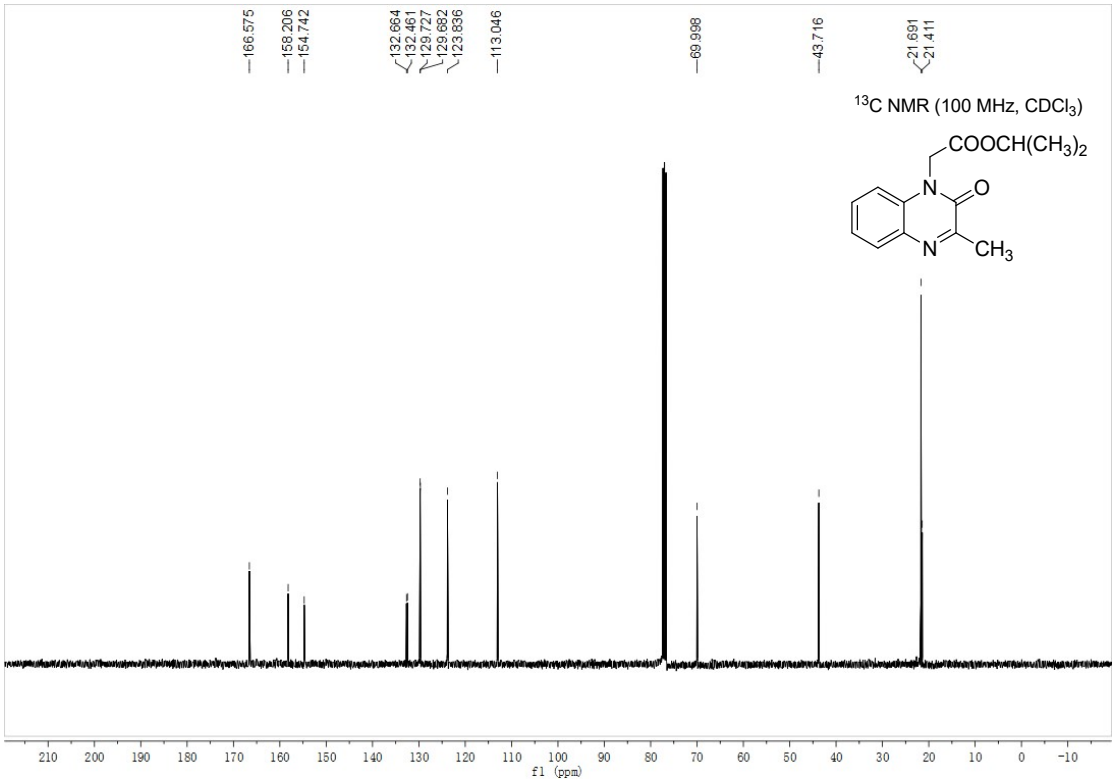
1-ethyl-3-methylquinoxalin-2(1*H*)-one (3b)



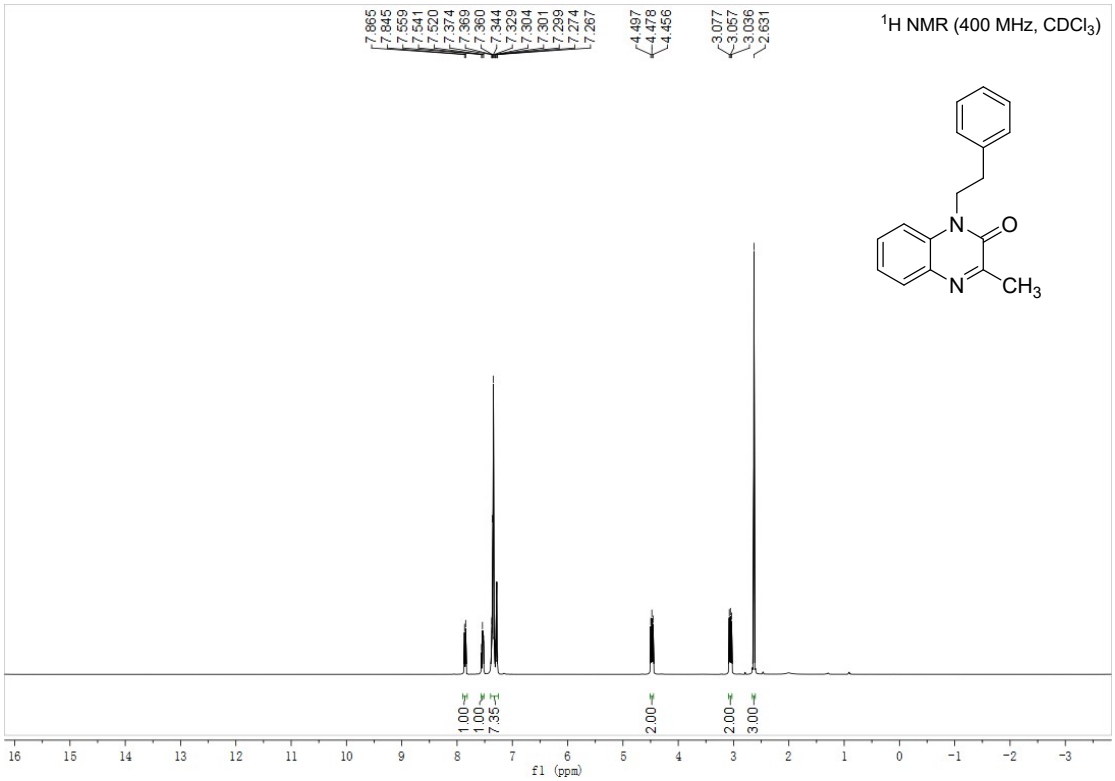


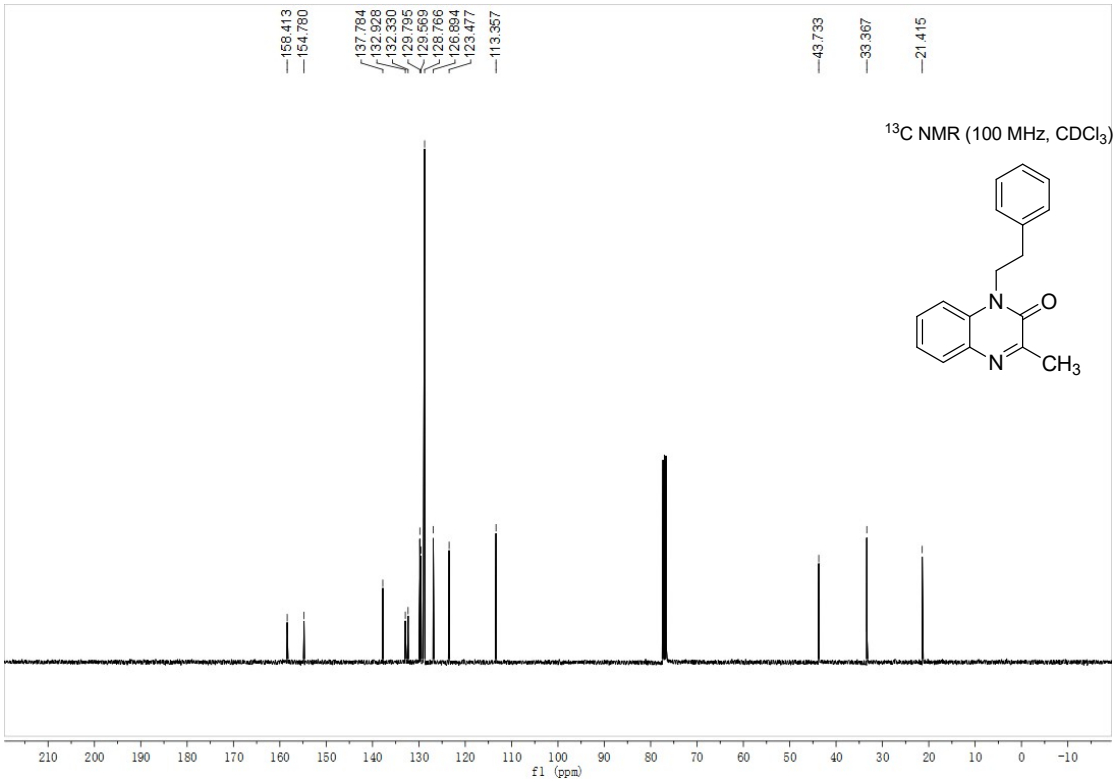
isopropyl 2-(3-methyl-2-oxoquinoxalin-1(2*H*)-yl)acetate (3c)



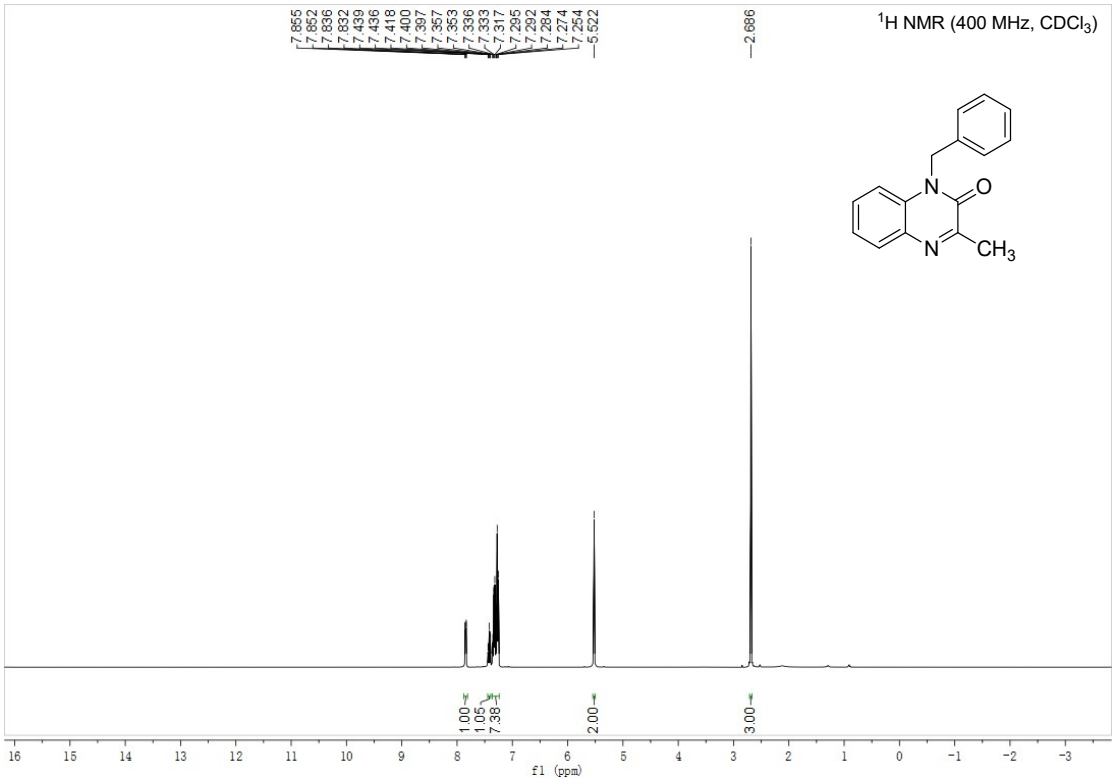


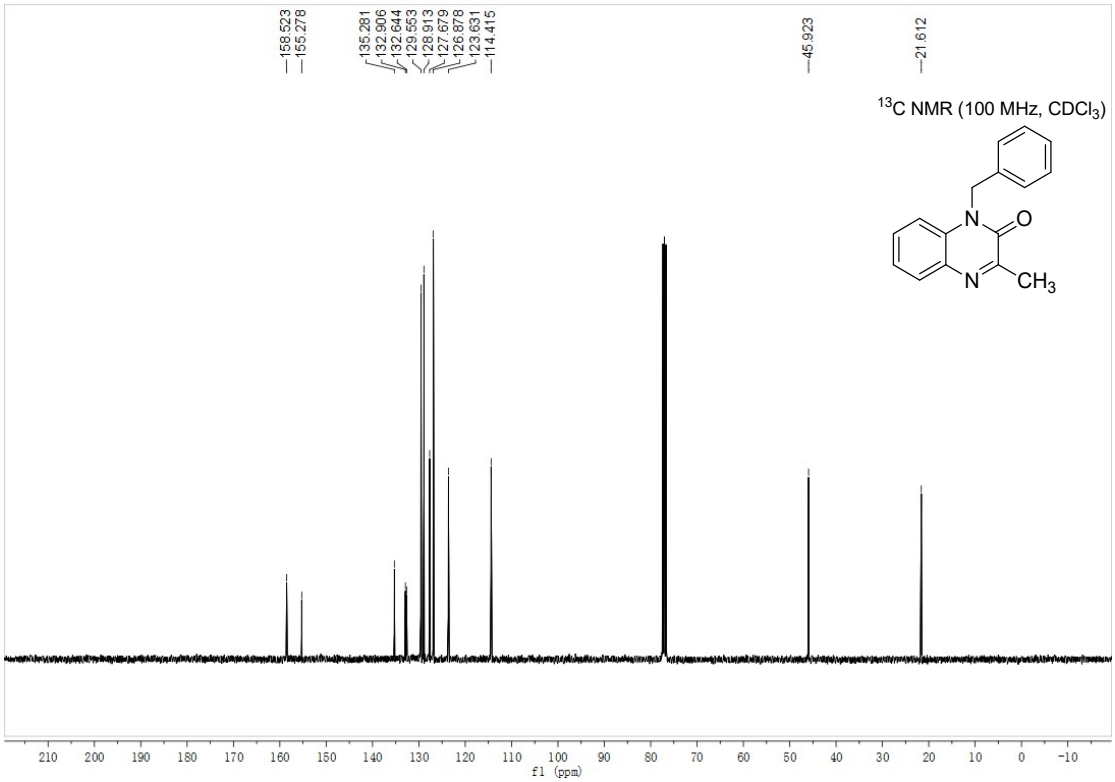
3-methyl-1-phenethylquinoxalin-2(1*H*)-one (3d)



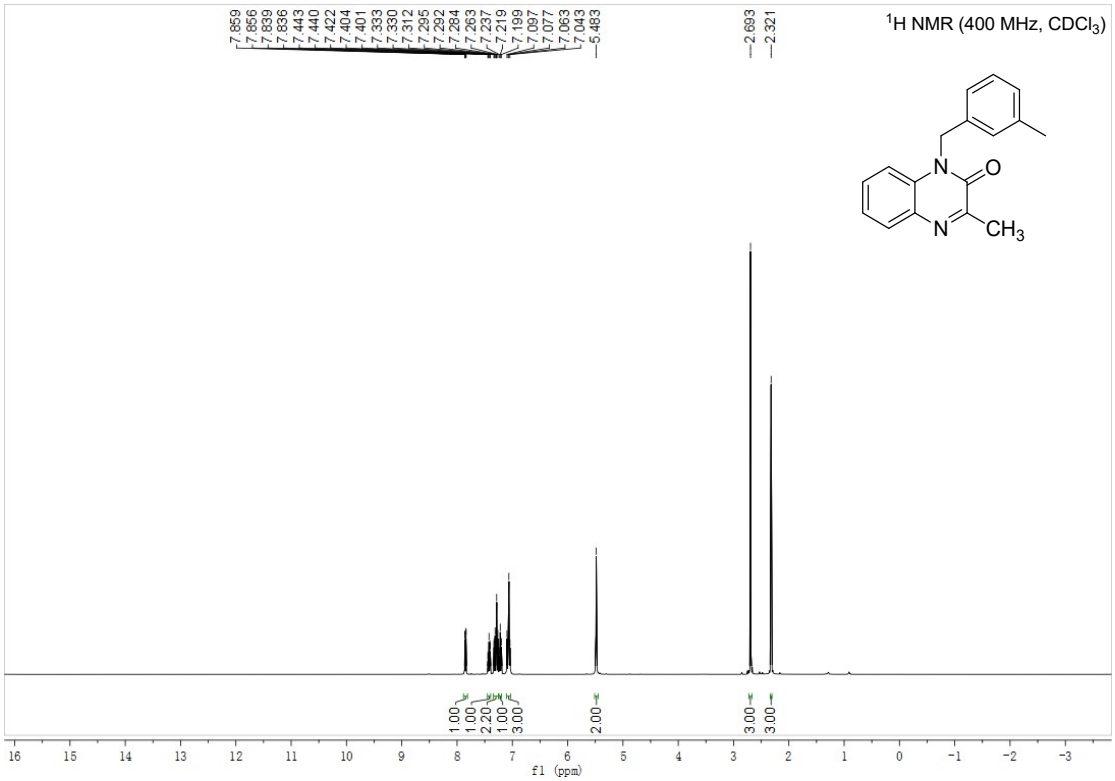


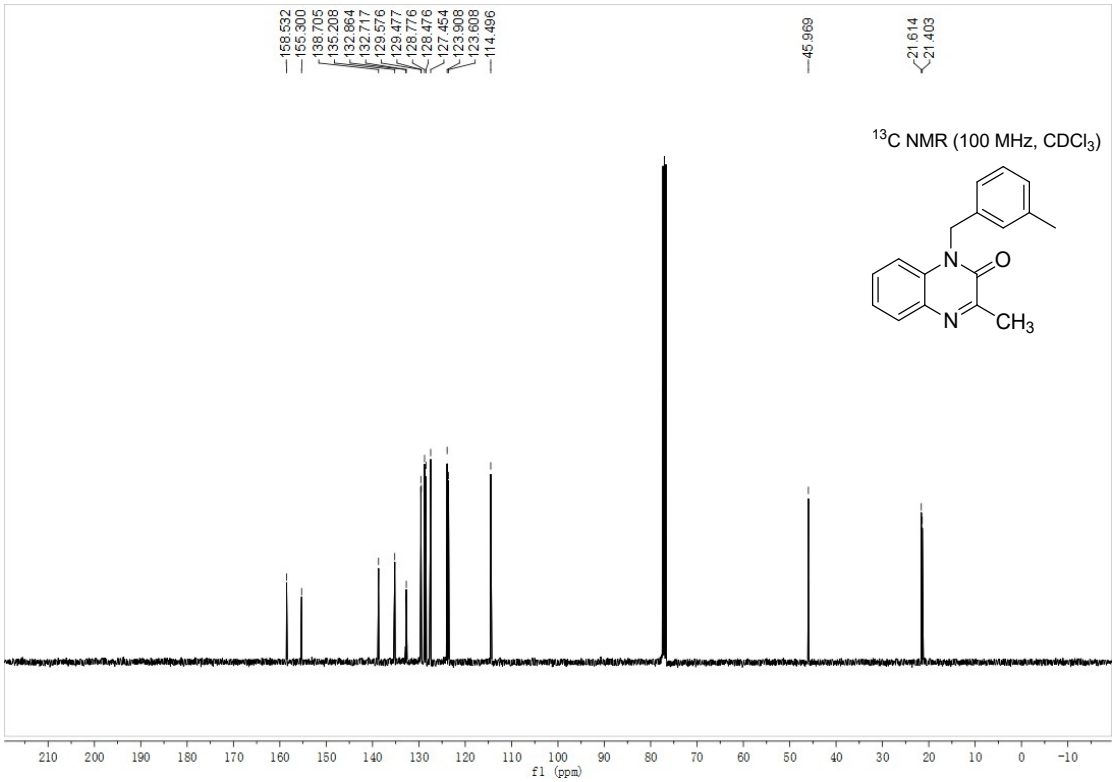
1-benzyl-3-methylquinoxalin-2(1*H*)-one (3e)



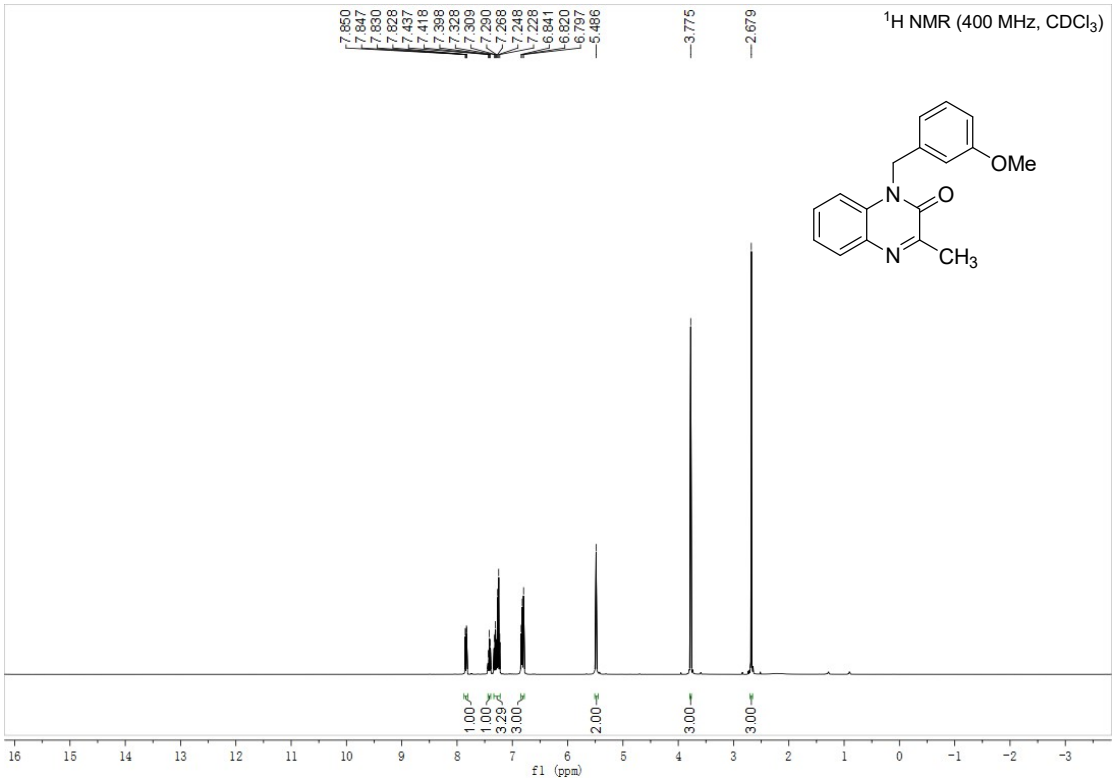


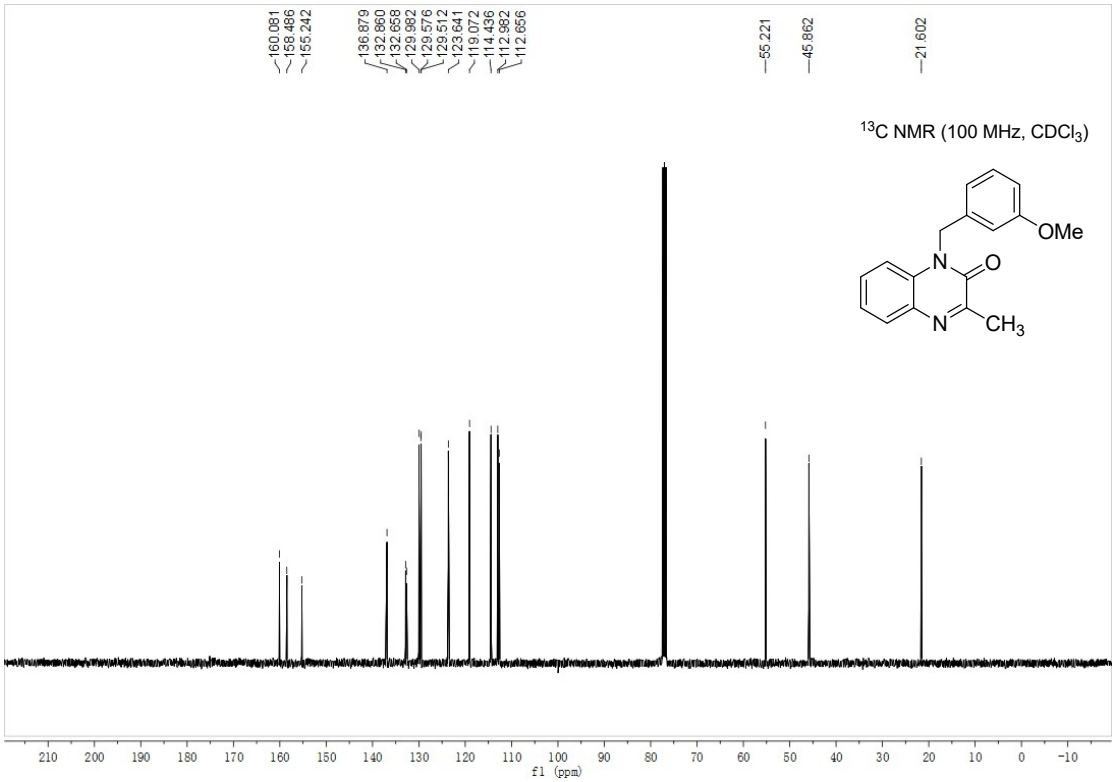
3-methyl-1-(3-methylbenzyl)quinoxalin-2(1*H*)-one (3f)



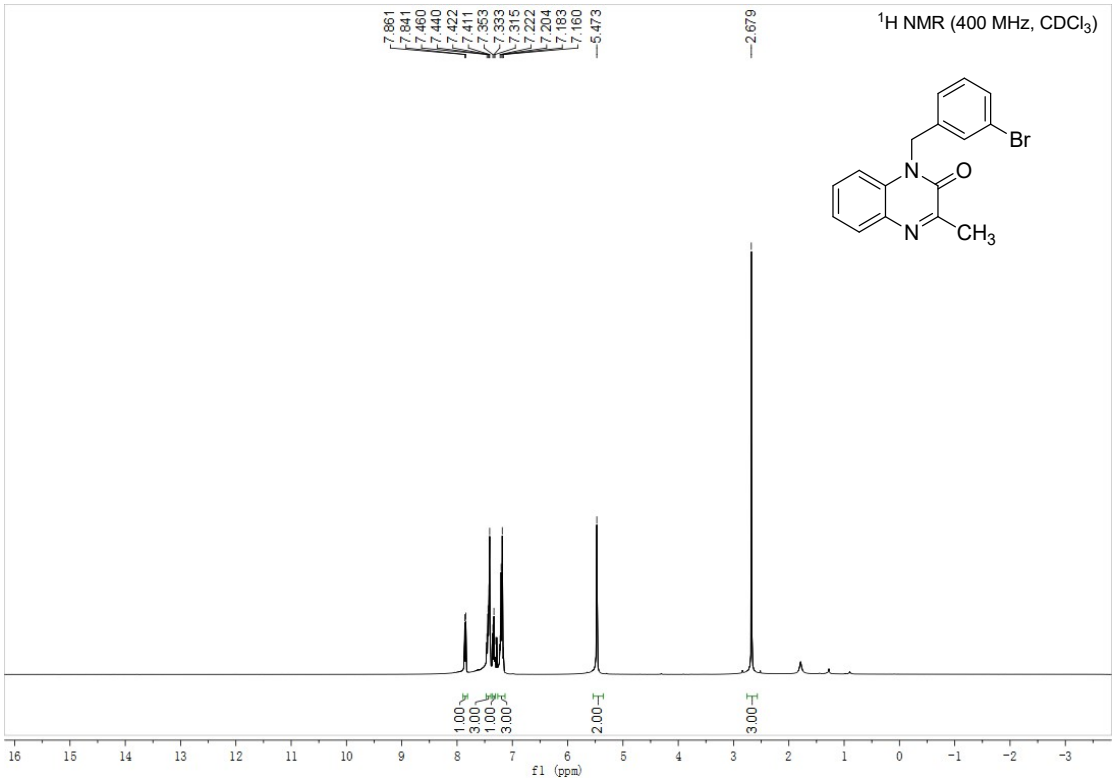


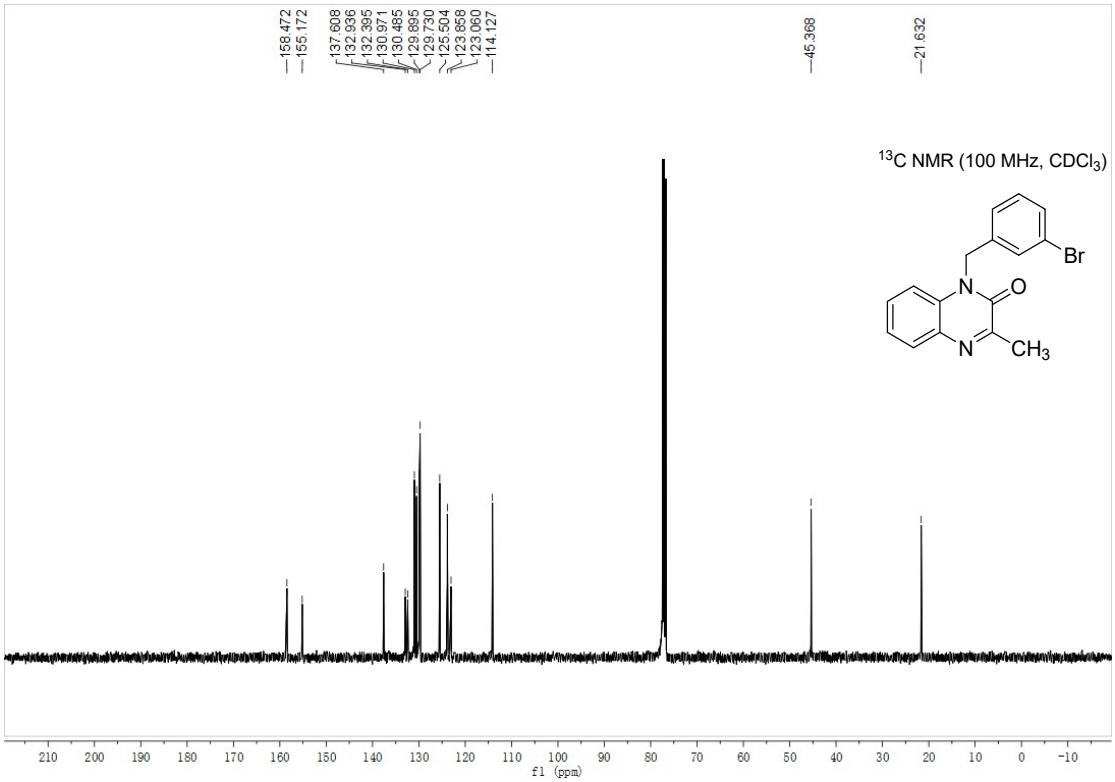
1-(3-methoxybenzyl)-3-methylquinoxalin-2(1*H*)-one (3g)



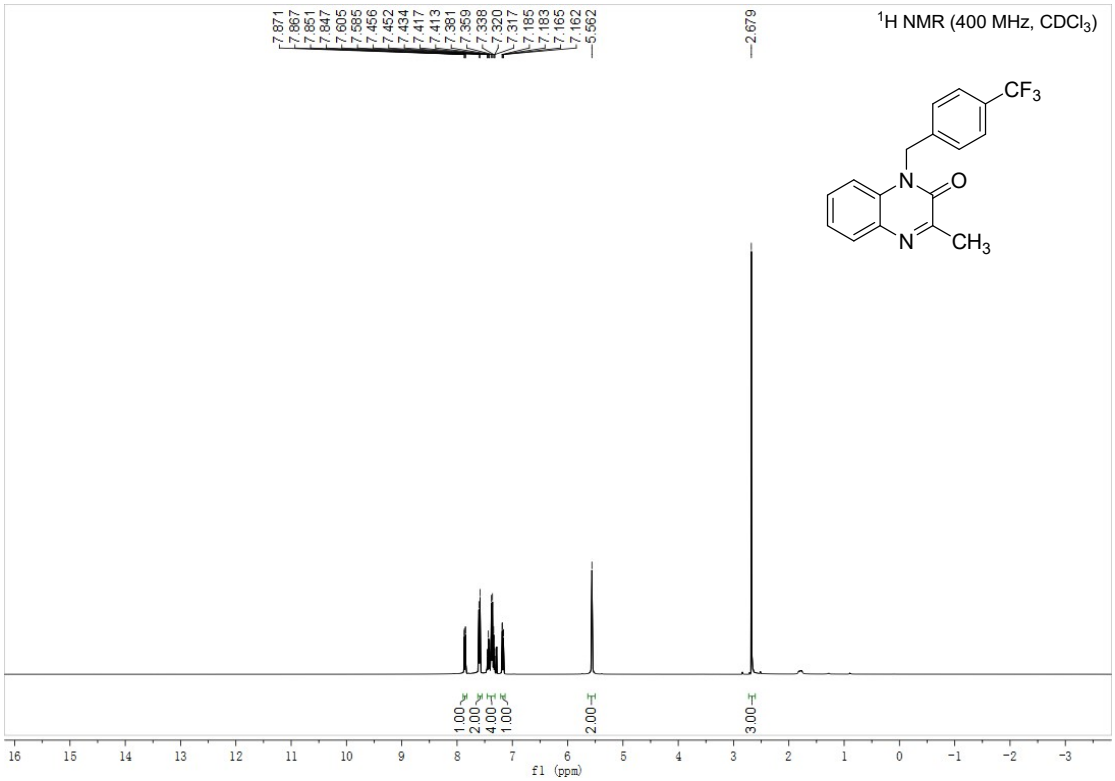


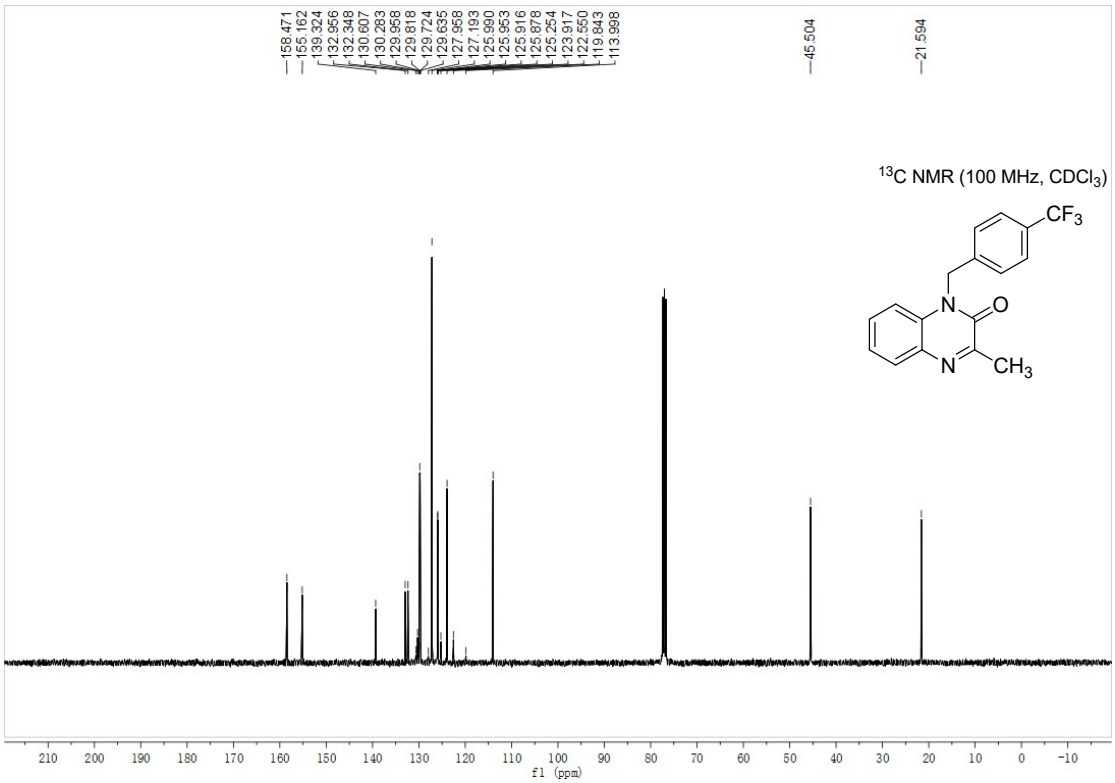
1-(3-bromobenzyl)-3-methylquinoxalin-2(1*H*)-one (3h)

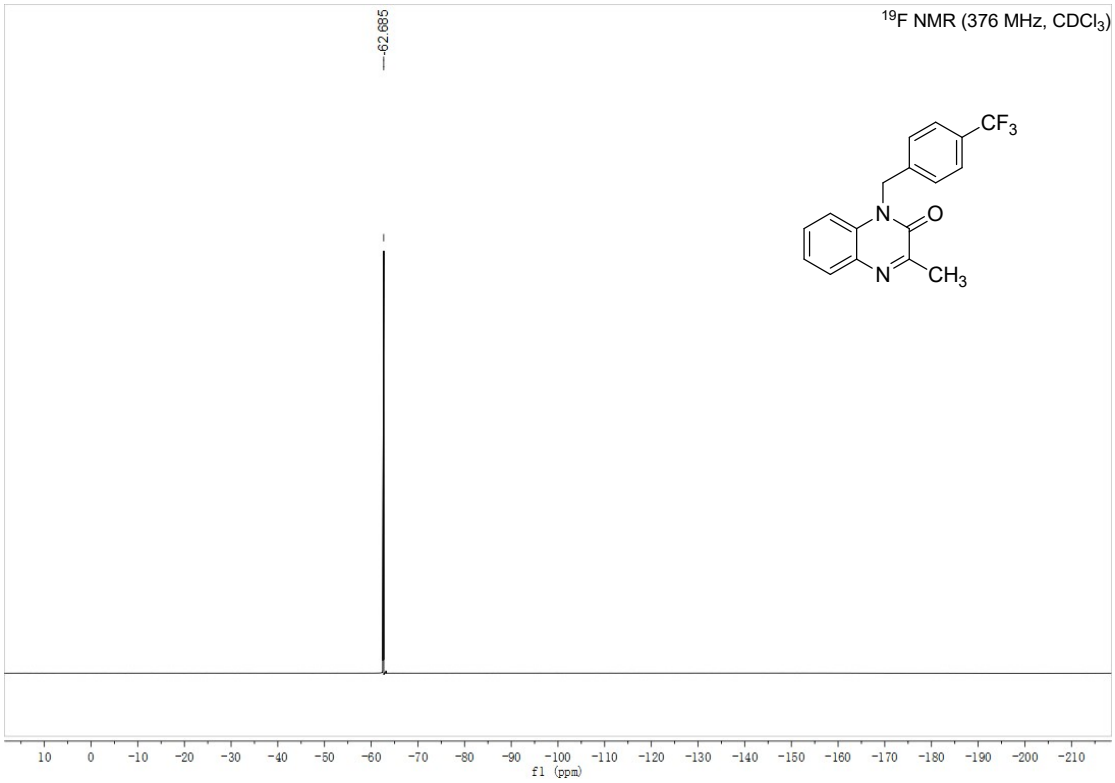




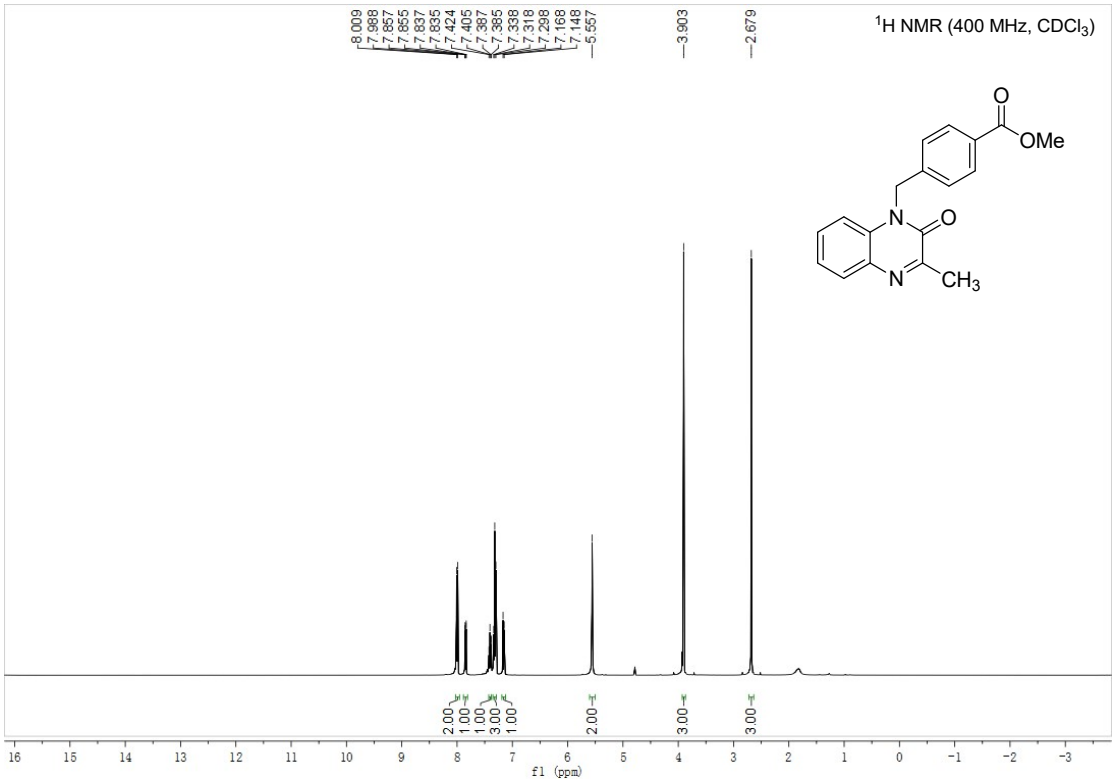
3-methyl-1-(4-(trifluoromethyl)benzyl)quinoxalin-2(1*H*)-one (3i)

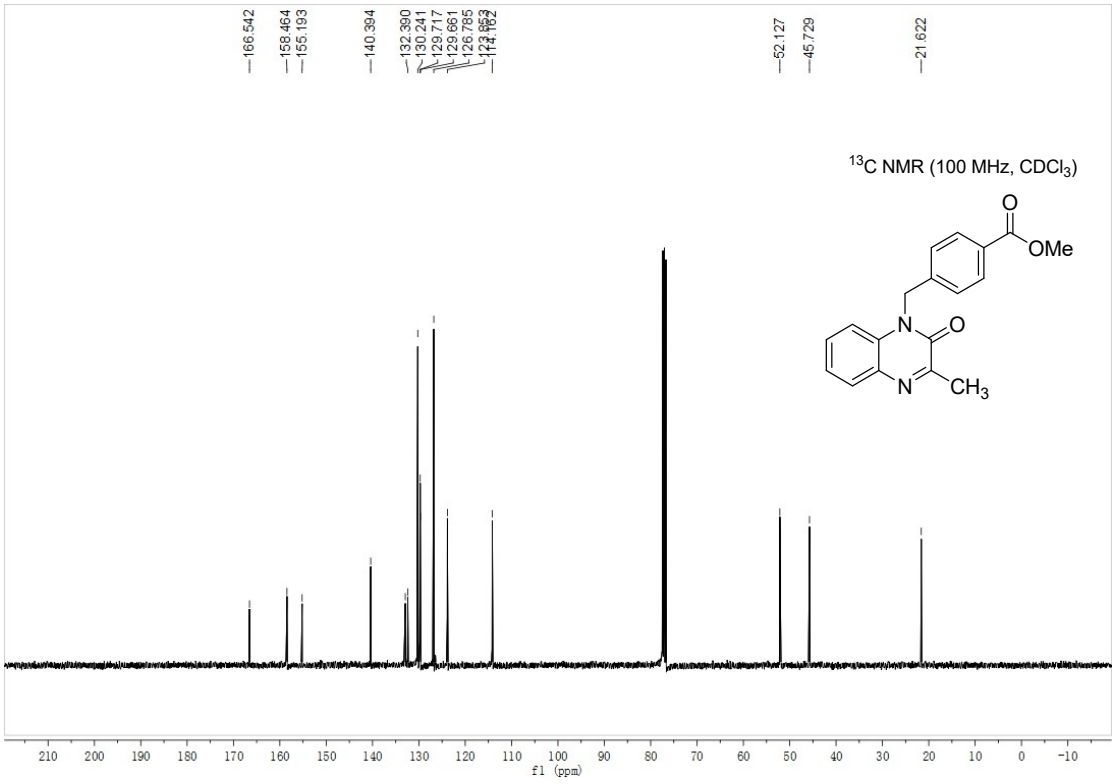



158.471
155.162
139.324
132.956
132.348
130.607
130.283
129.958
129.818
129.724
129.635
127.958
127.193
125.990
125.953
125.916
125.878
125.254
123.917
122.550
119.843
113.998
45.504
21.594
^{13}C NMR (100 MHz, CDCl$_3$)
CF$_3$
N
O
N
CH$_3$
f1 (ppm)

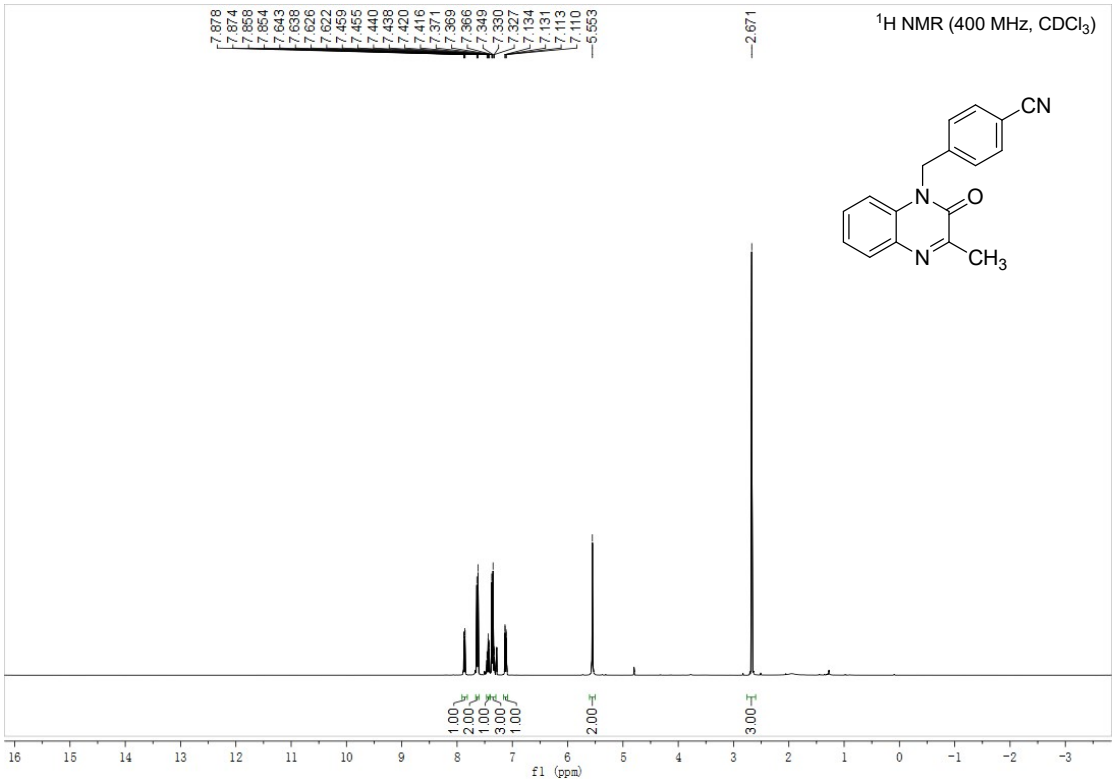

-62.685
^{19}F NMR (376 MHz, CDCl$_3$)
CF$_3$
N
O
N
CH$_3$
f1 (ppm)

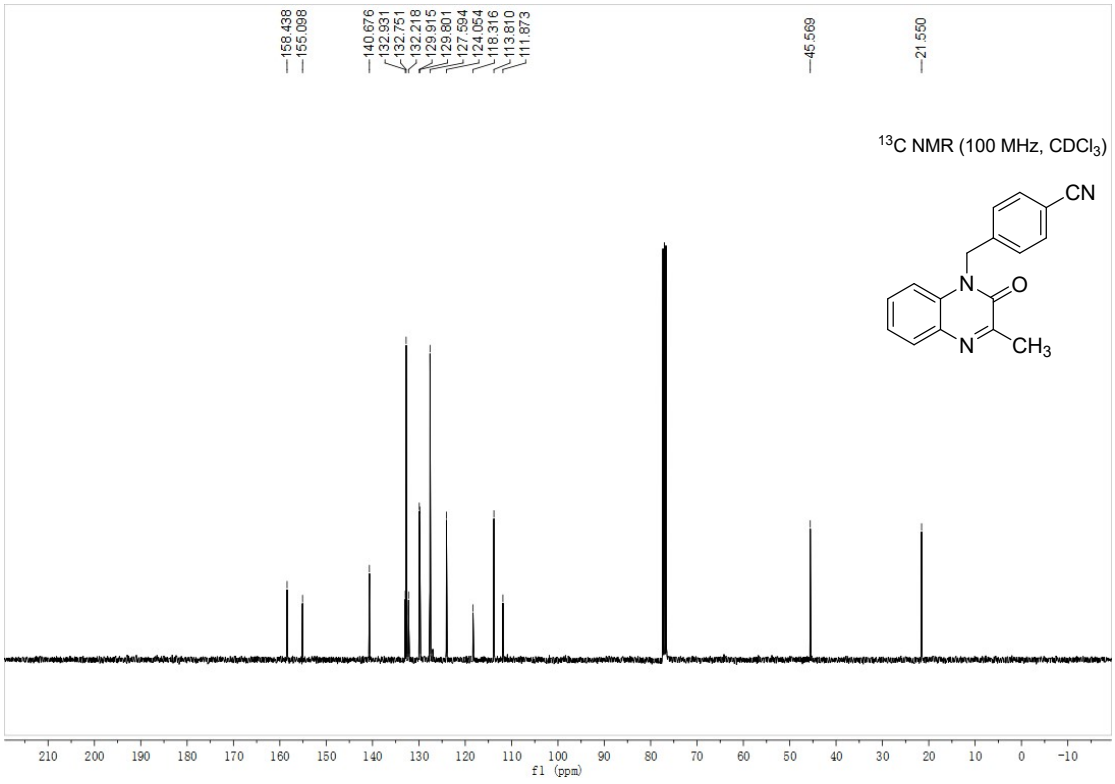
methyl 4-((3-methyl-2-oxoquinoxalin-1(2*H*)-yl)methyl)benzoate (3j)



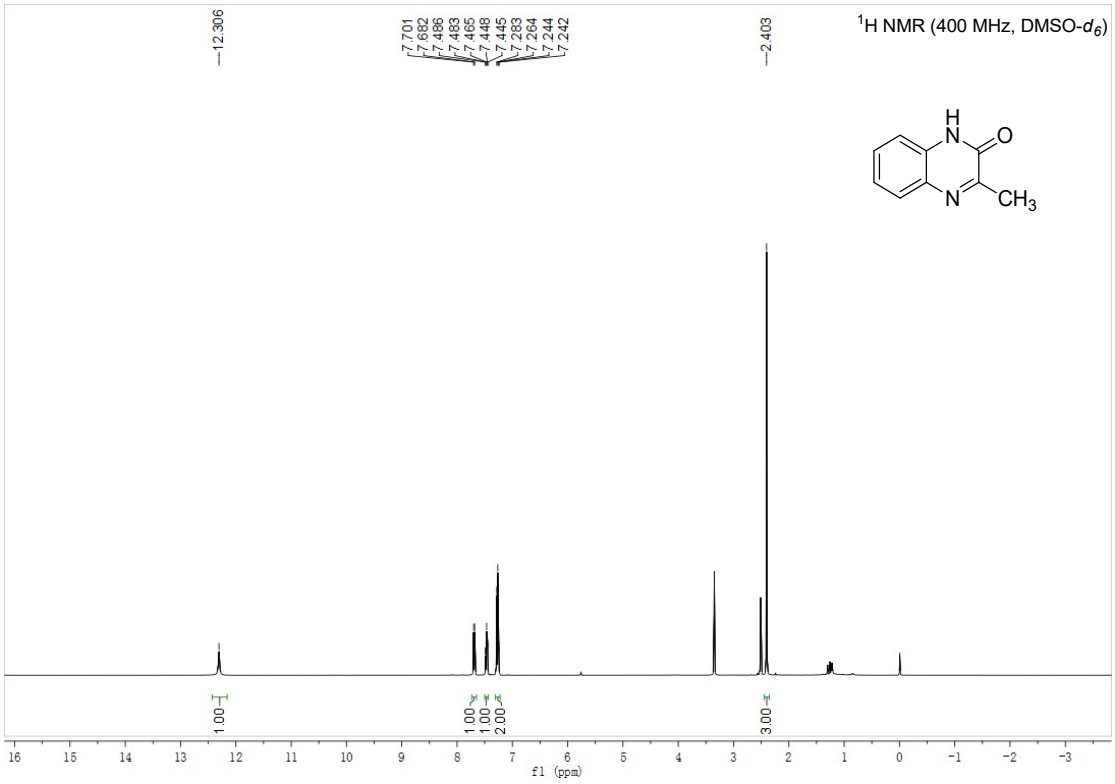


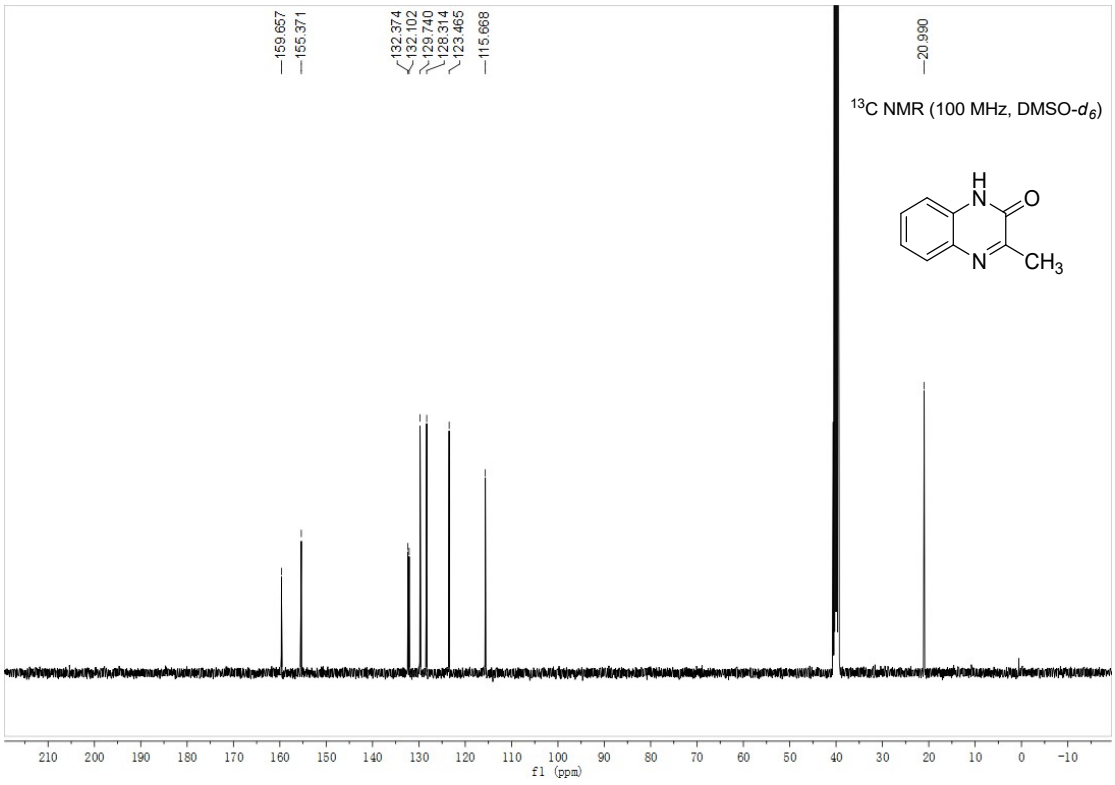
4-((3-methyl-2-oxoquinoxalin-1(2*H*)-yl)methyl)benzonitrile (3k)



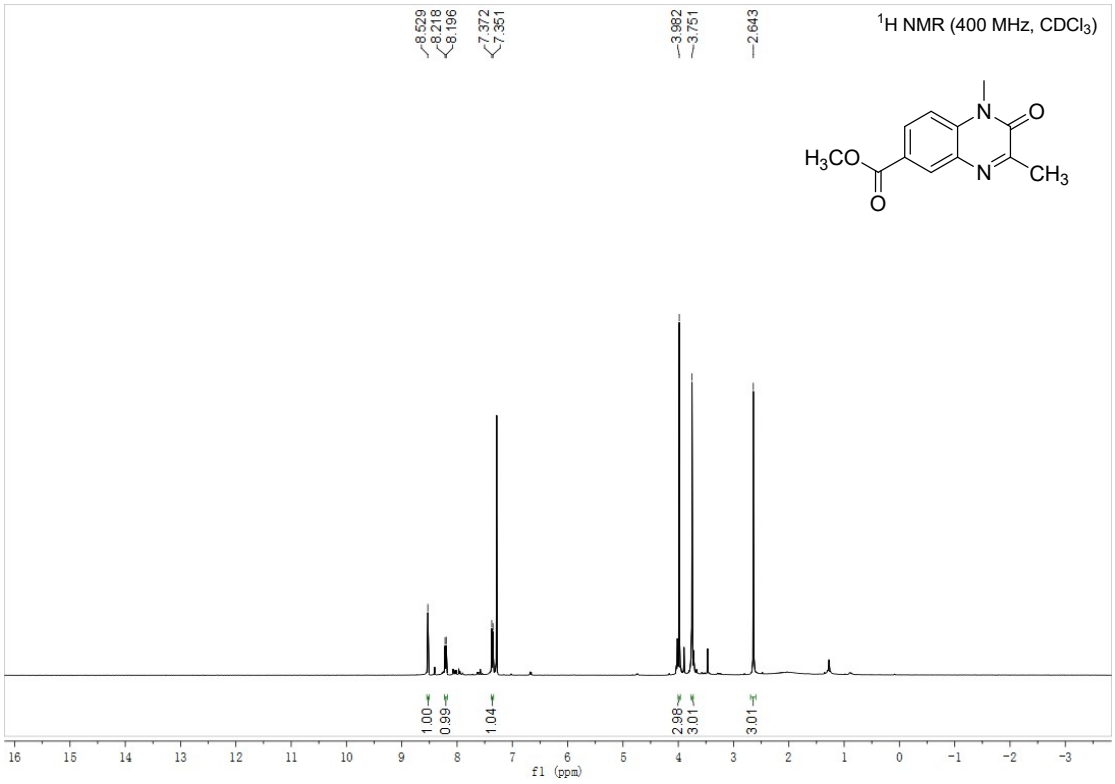


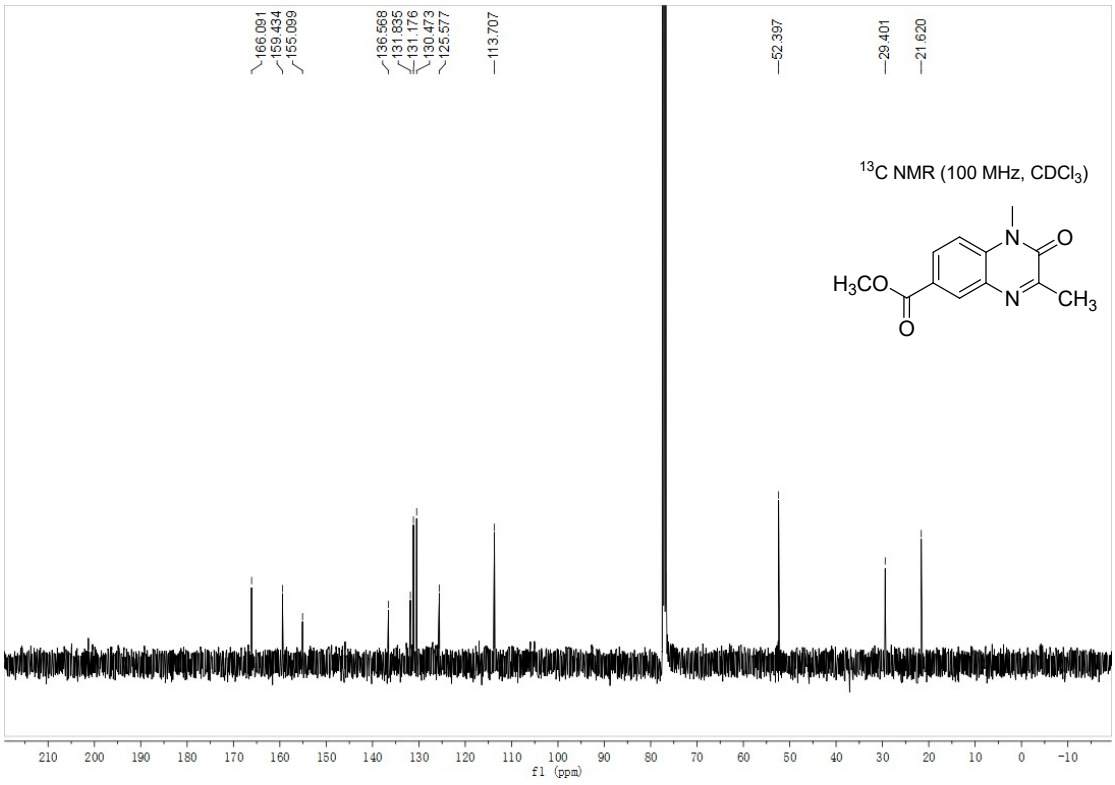
3-methylquinoxalin-2(1*H*)-one (3l)



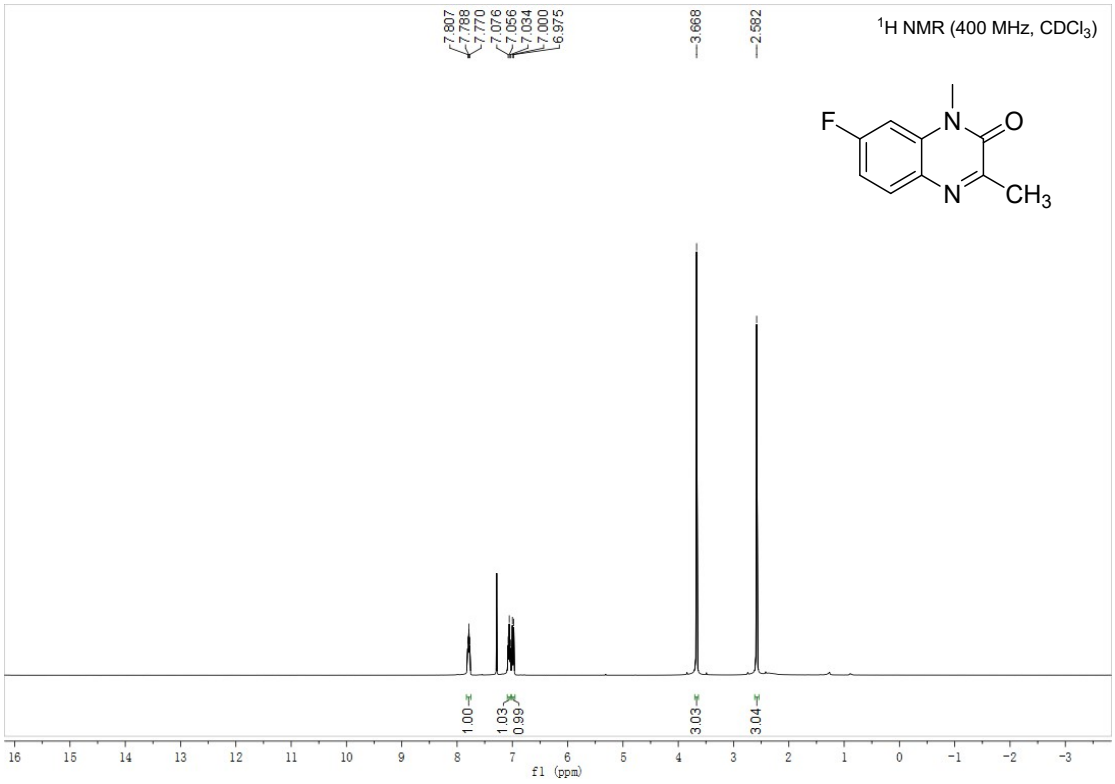


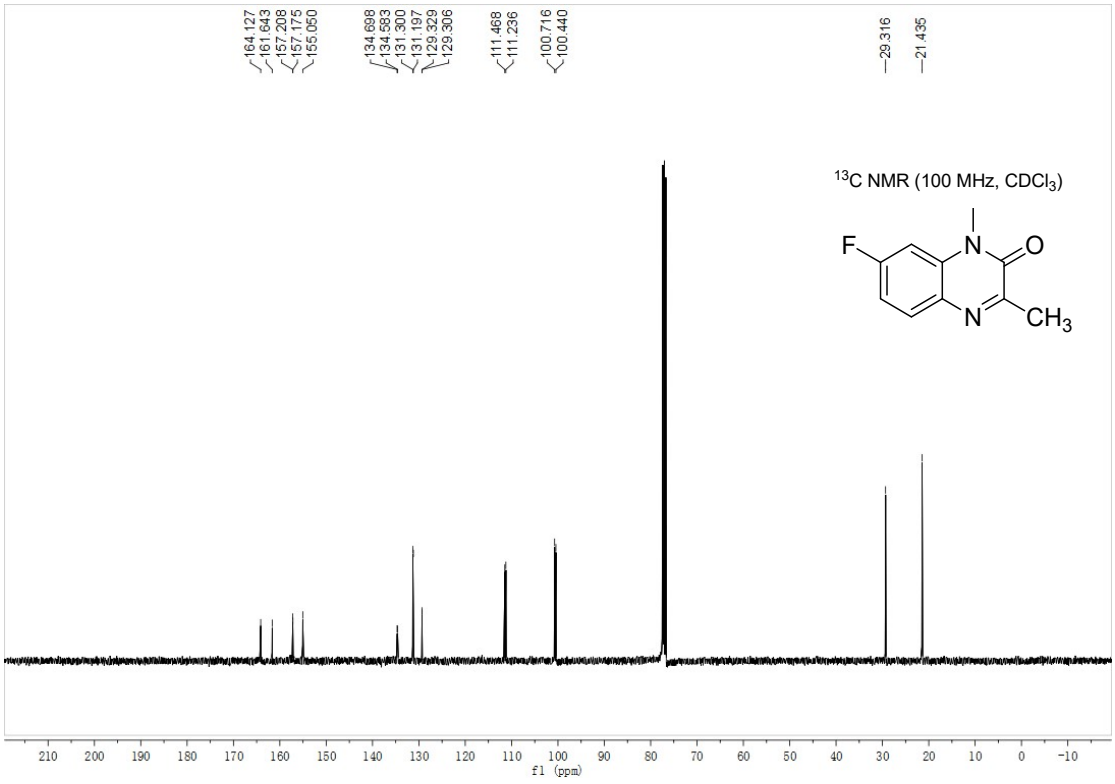
methyl 1,3-dimethyl-2-oxo-1,2-dihydroquinoxaline-6-carboxylate (3m)

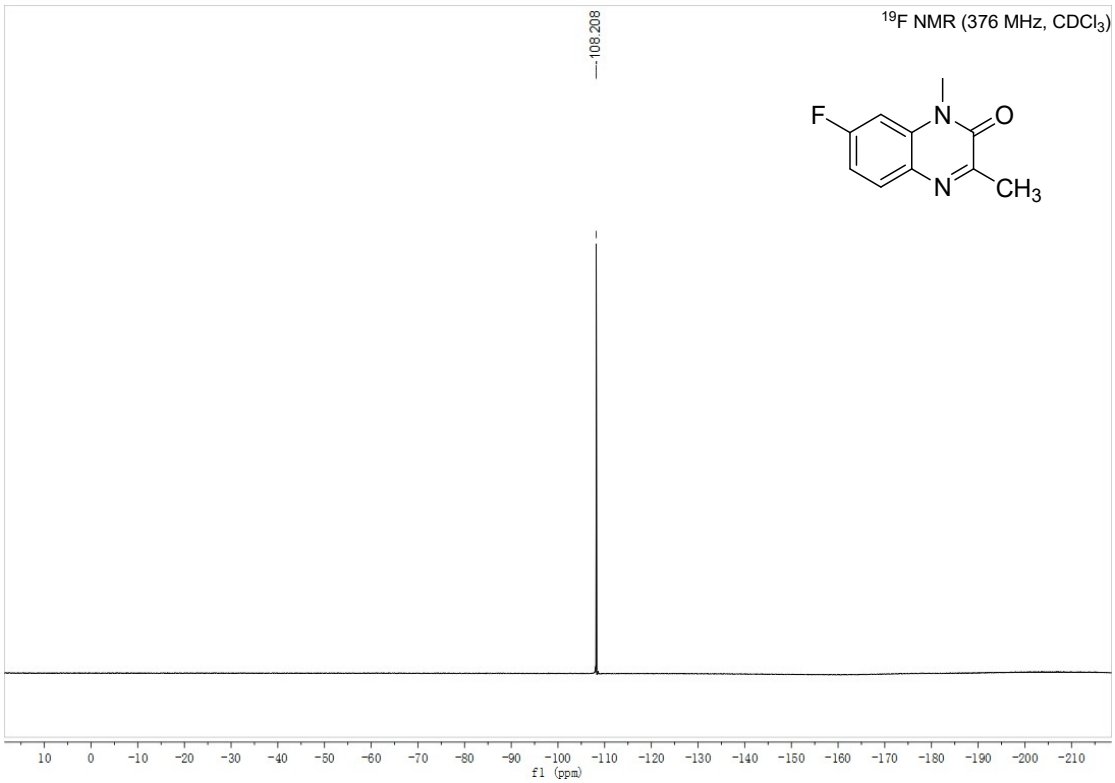




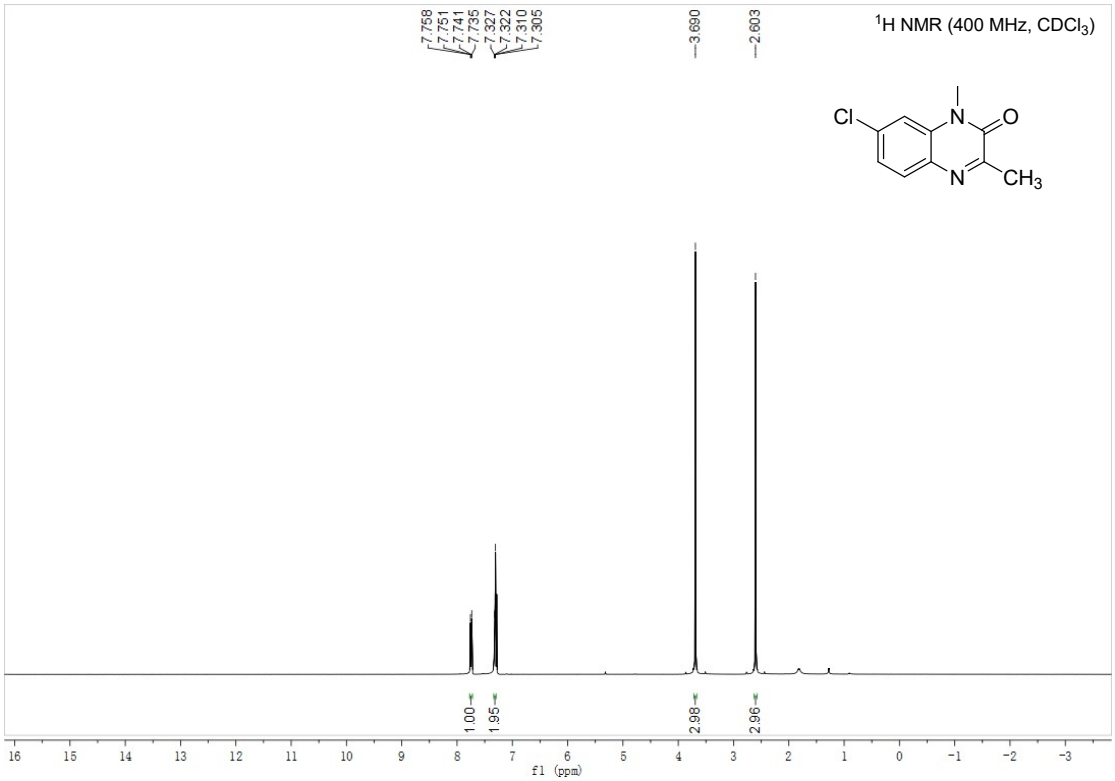
7-fluoro-1,3-dimethylquinoxalin-2(1*H*)-one (3n)

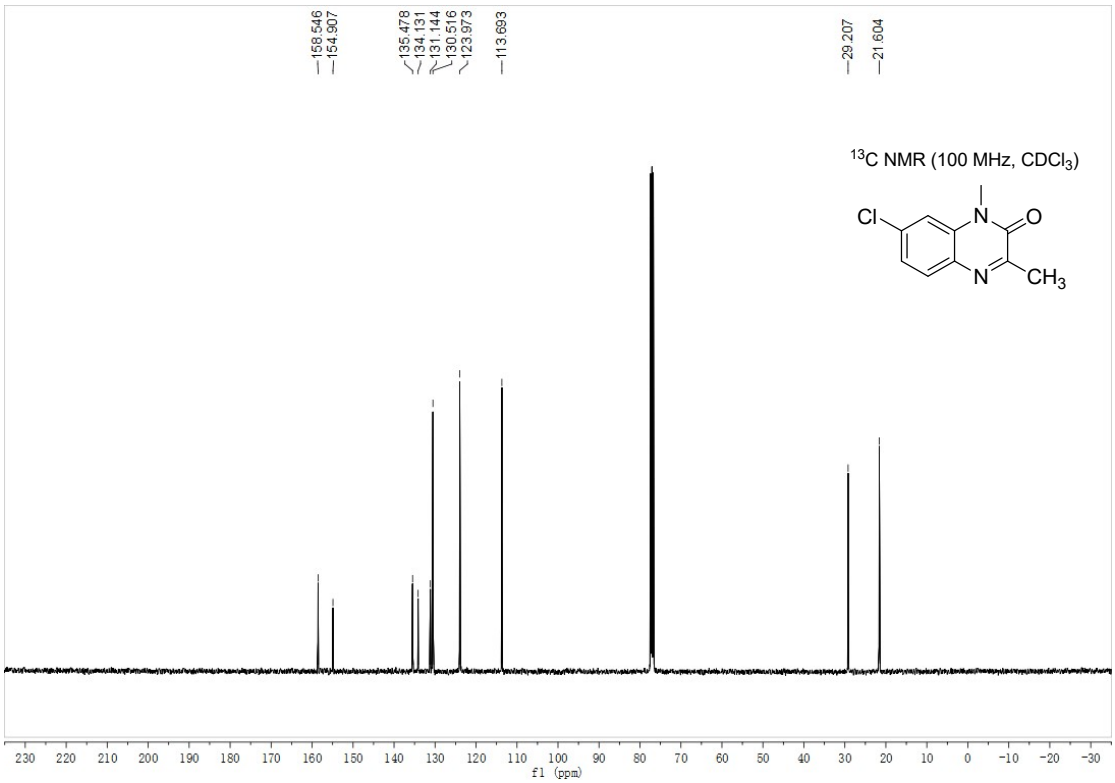




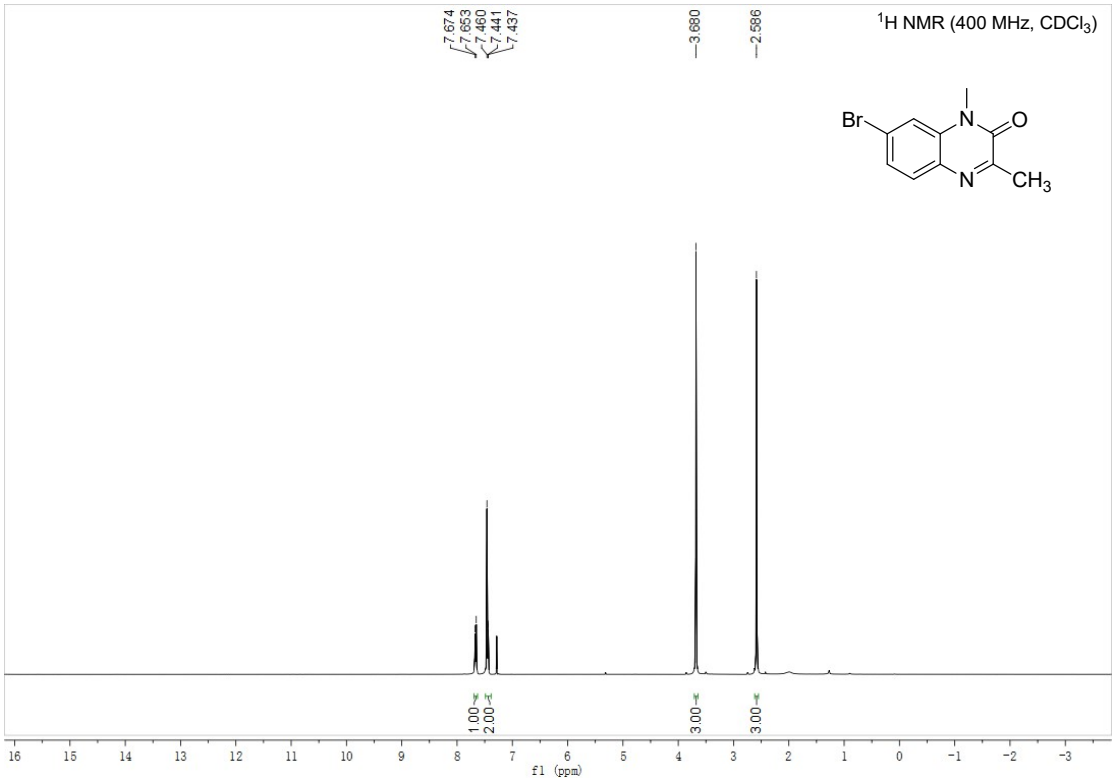


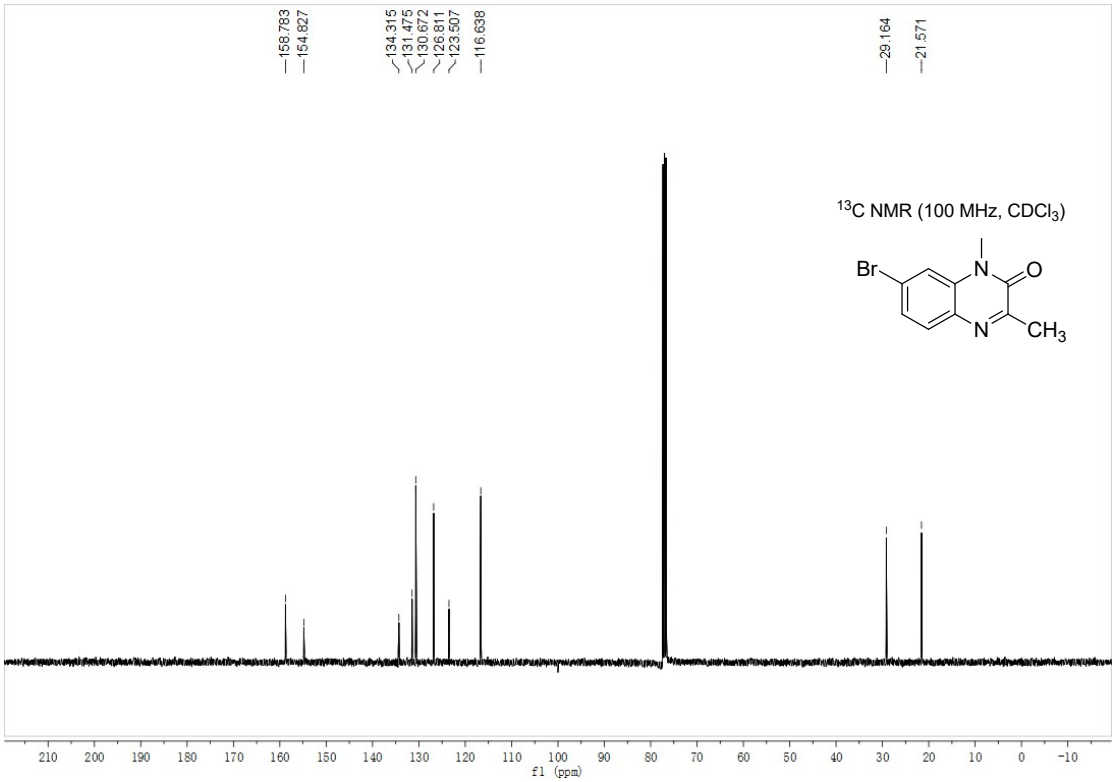
7-chloro-1,3-dimethylquinoxalin-2(1*H*)-one (3o)



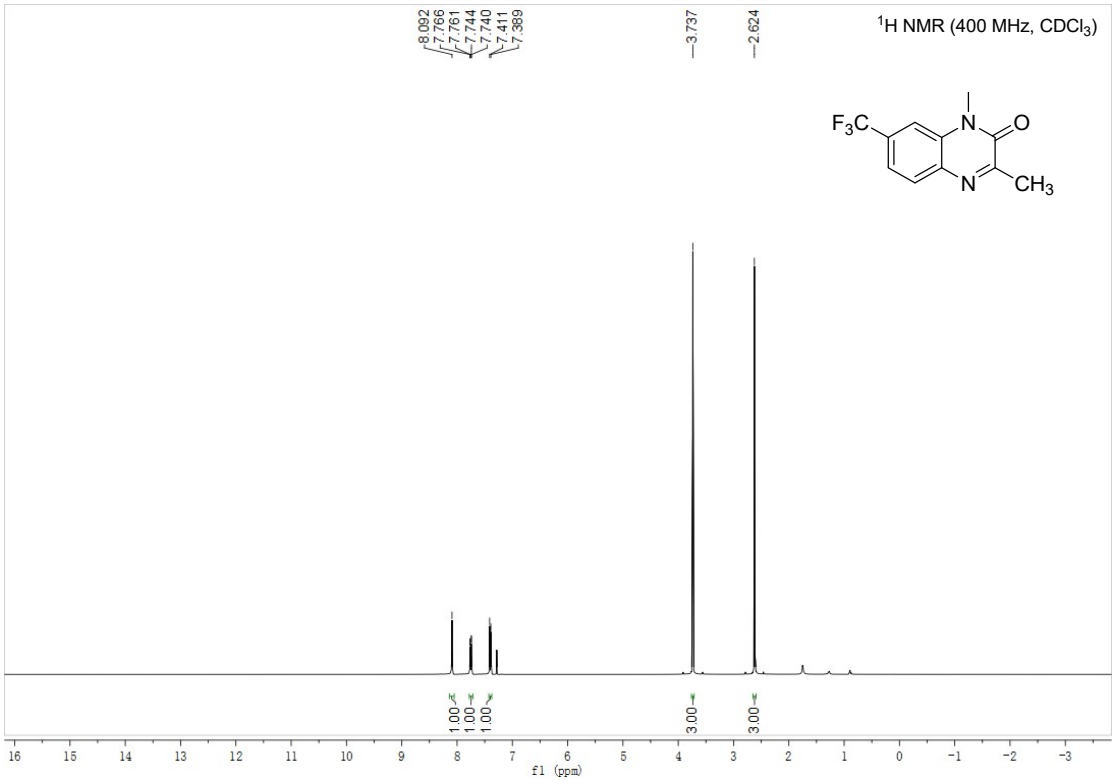


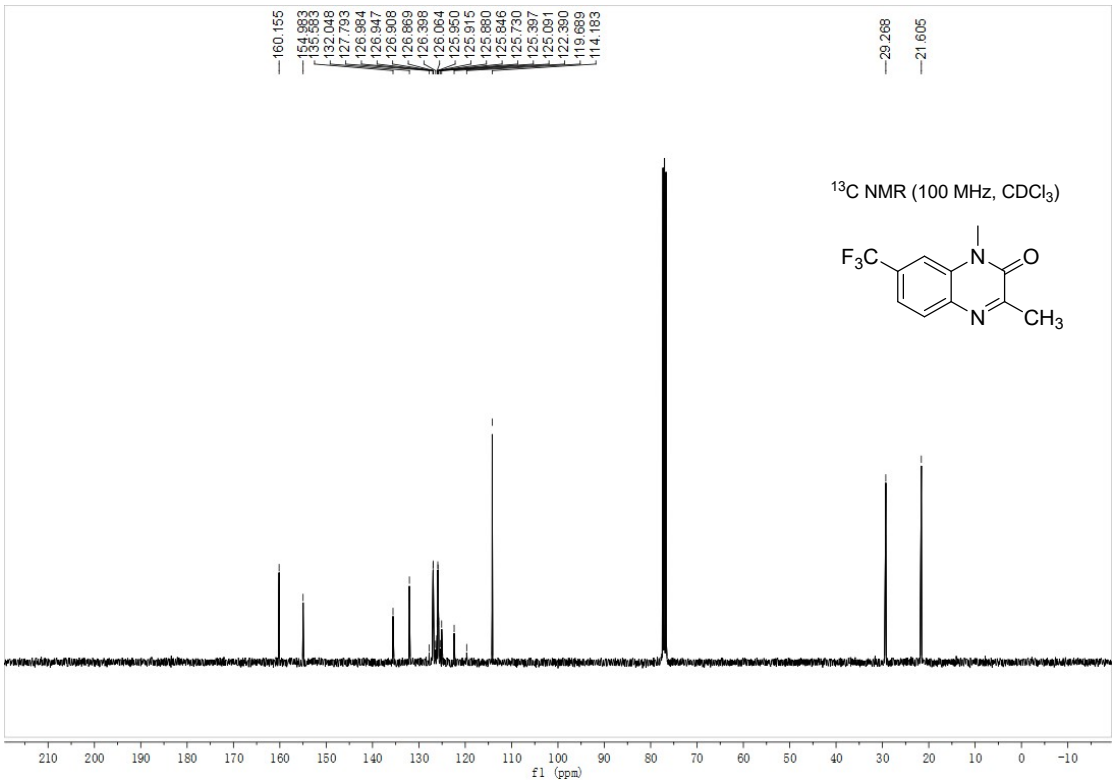
7-bromo-1,3-dimethylquinoxalin-2(1*H*)-one (3p)





1,3-dimethyl-7-(trifluoromethyl)quinoxalin-2(1*H*)-one (3q)

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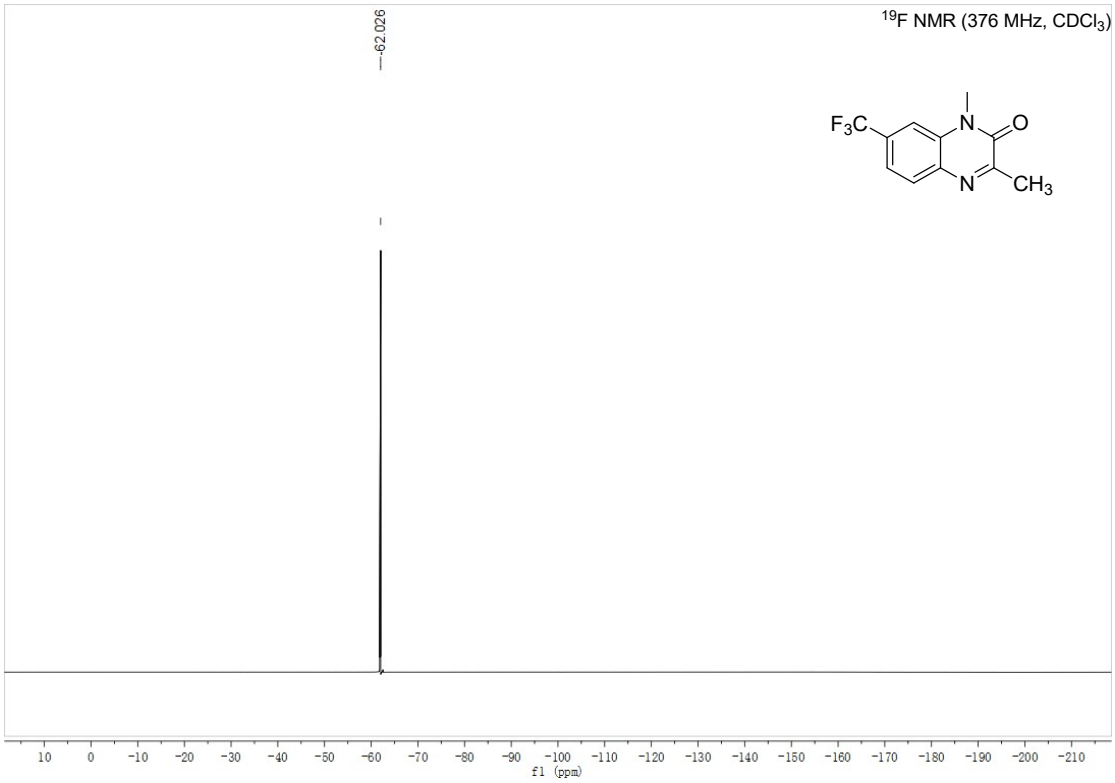
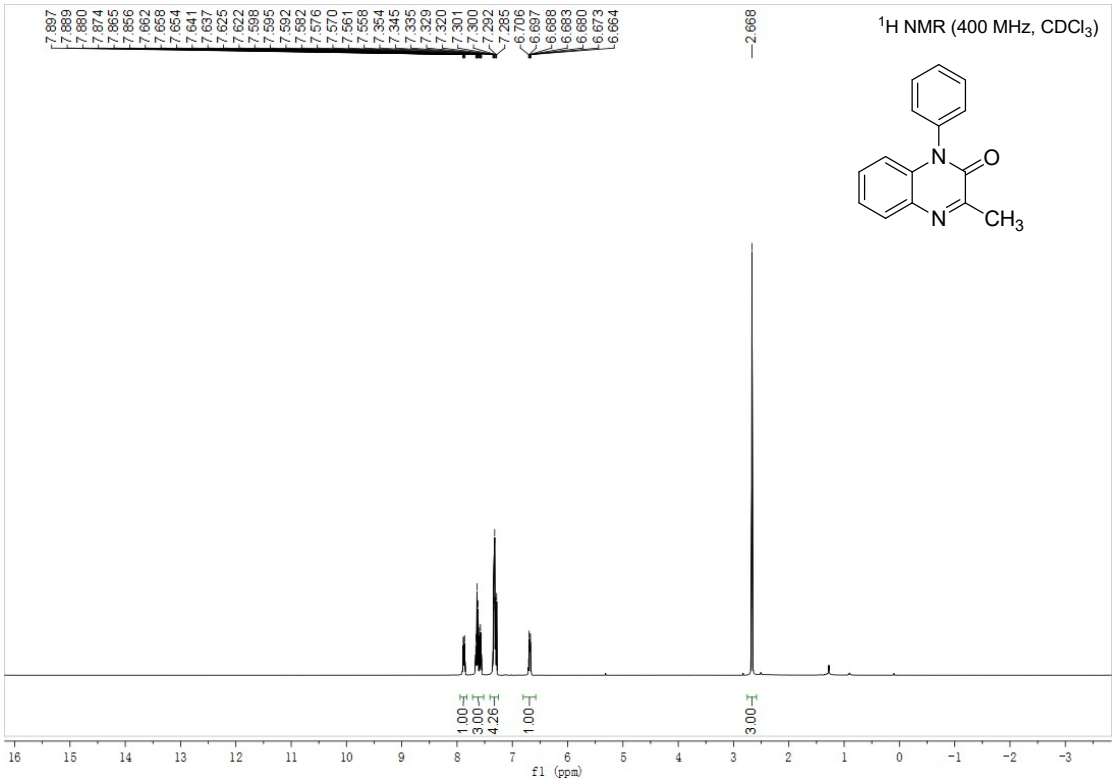
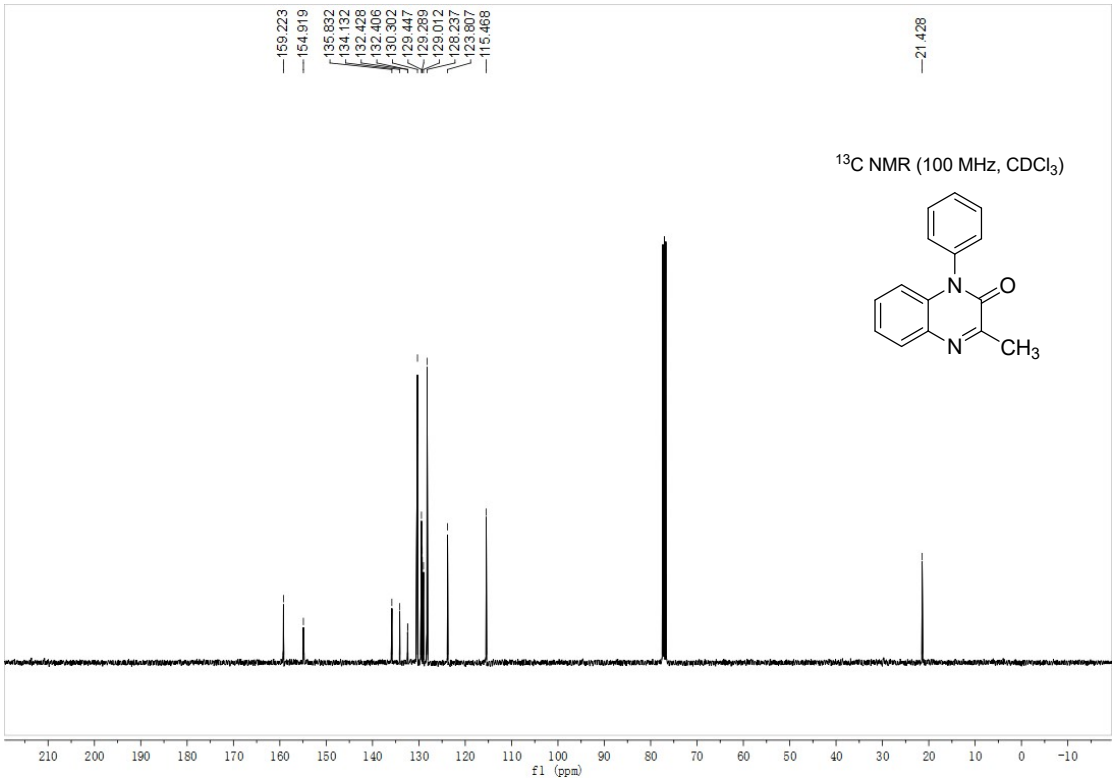
135.583
132.048
127.793
126.984
126.947
126.908
126.869
126.398
126.064
125.950
125.915
125.880
125.846
125.730
125.397
125.091
122.390
119.689
114.183
29.268
21.605
^{13}C NMR (100 MHz, $CDCl_3$)
F_3C
N
O
N
CH_3
f1 (ppm)

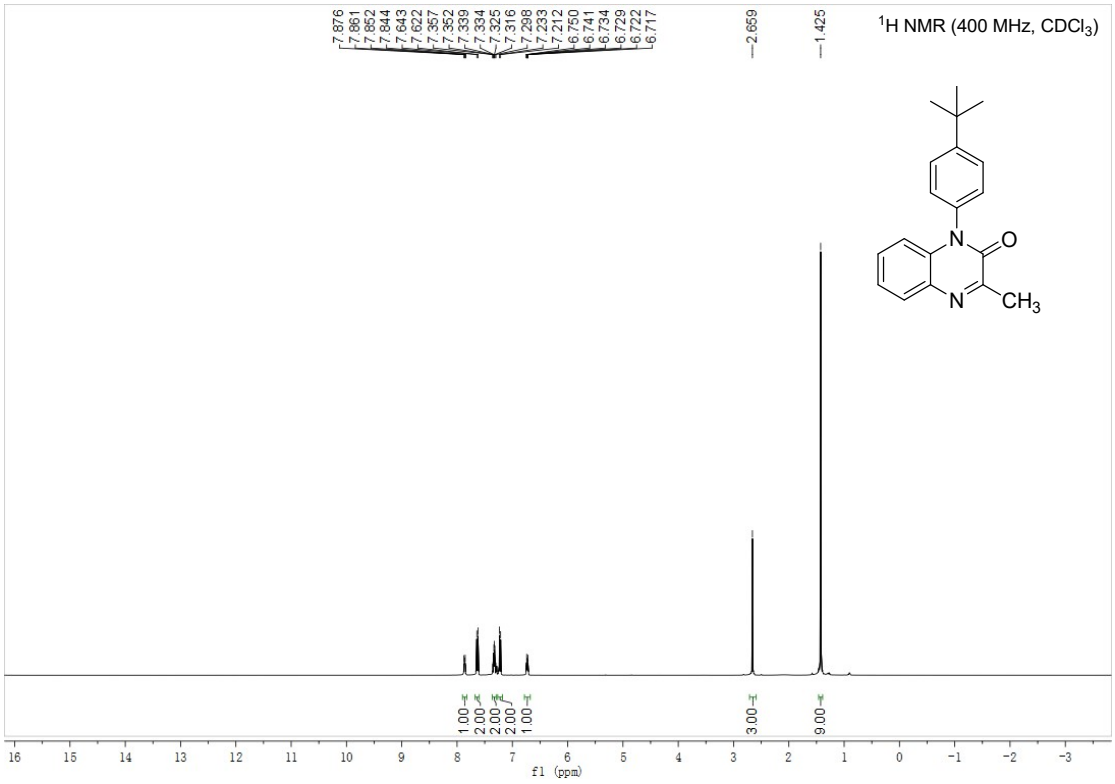

-62.026
^{19}F NMR (376 MHz, $CDCl_3$)
F_3C
N
O
N
CH_3
f1 (ppm)

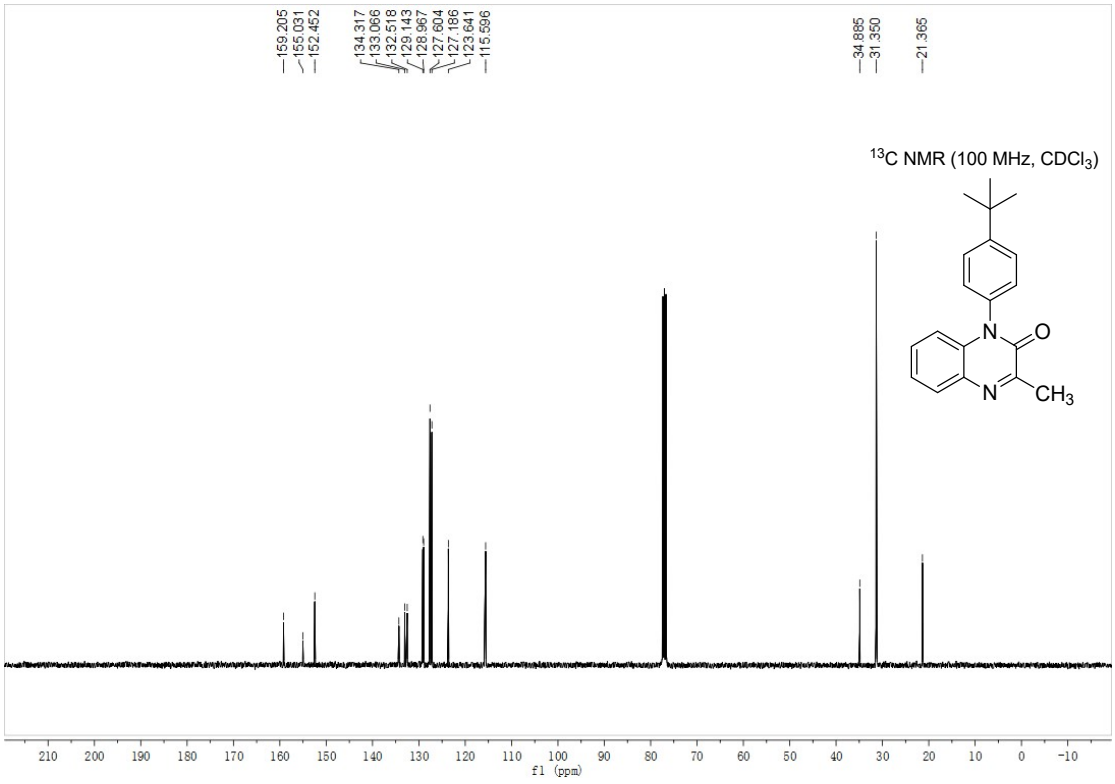
3-methyl-1-phenylquinoxalin-2(1*H*)-one (3r)



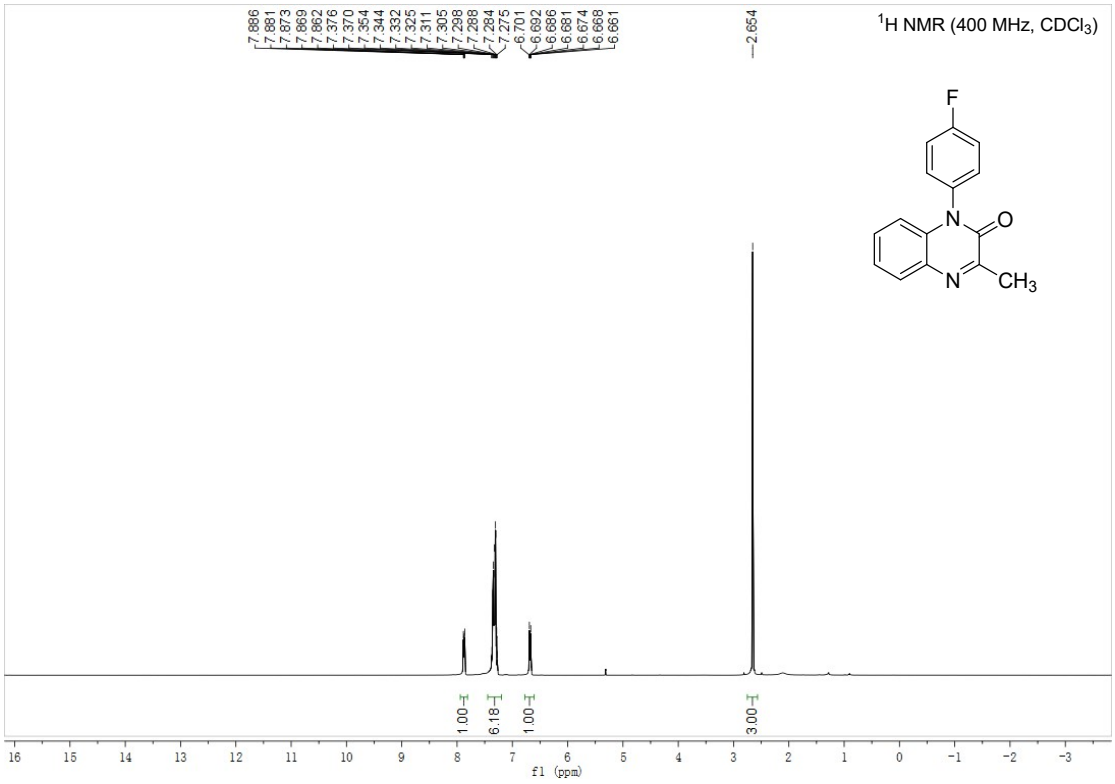


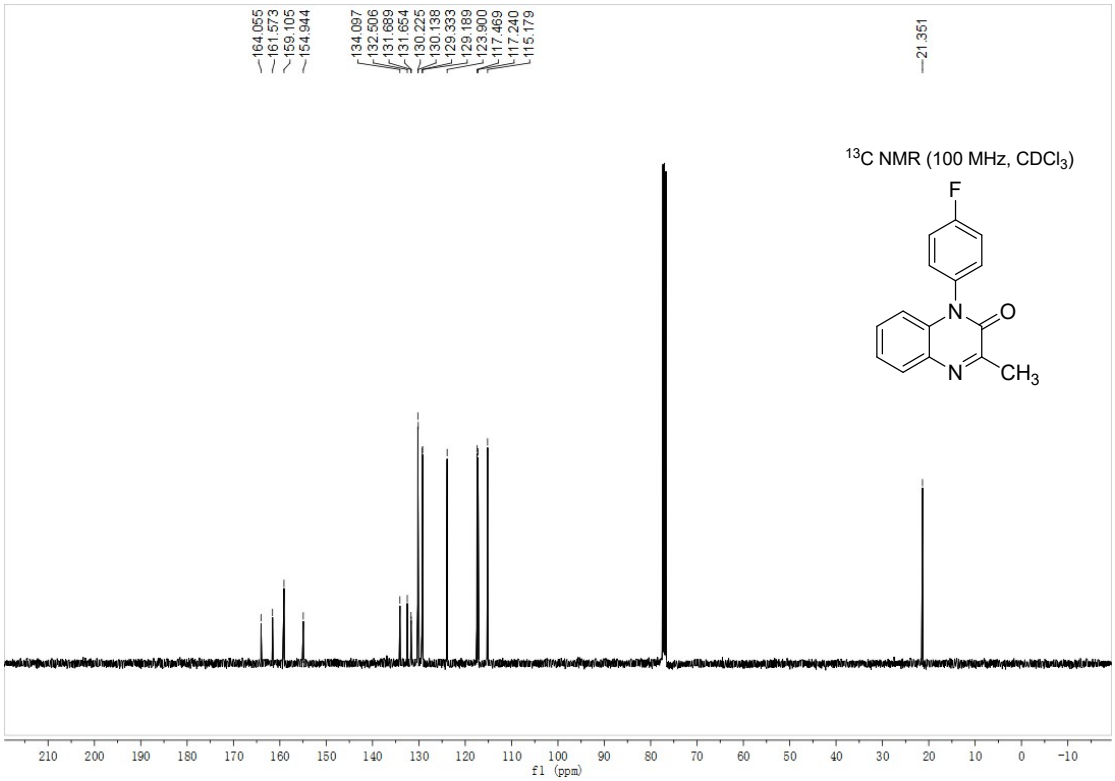
1-(4-(*tert*-butyl)phenyl)-3-methylquinoxalin-2(1*H*)-one (3s)

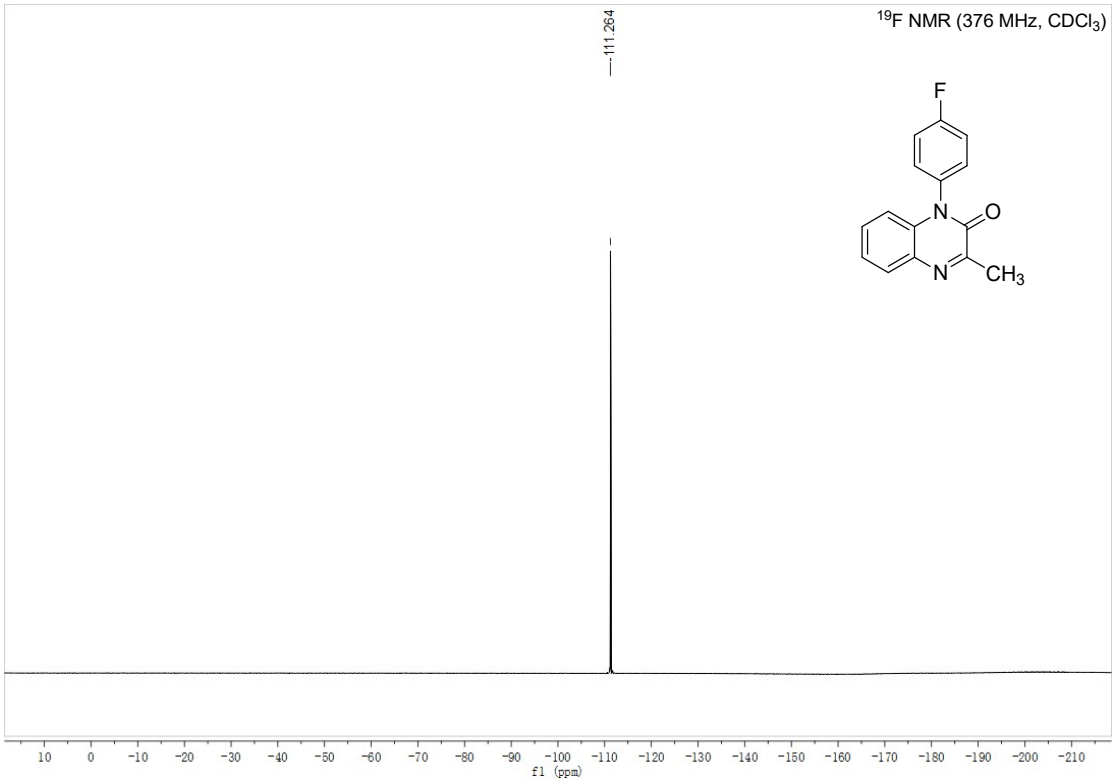




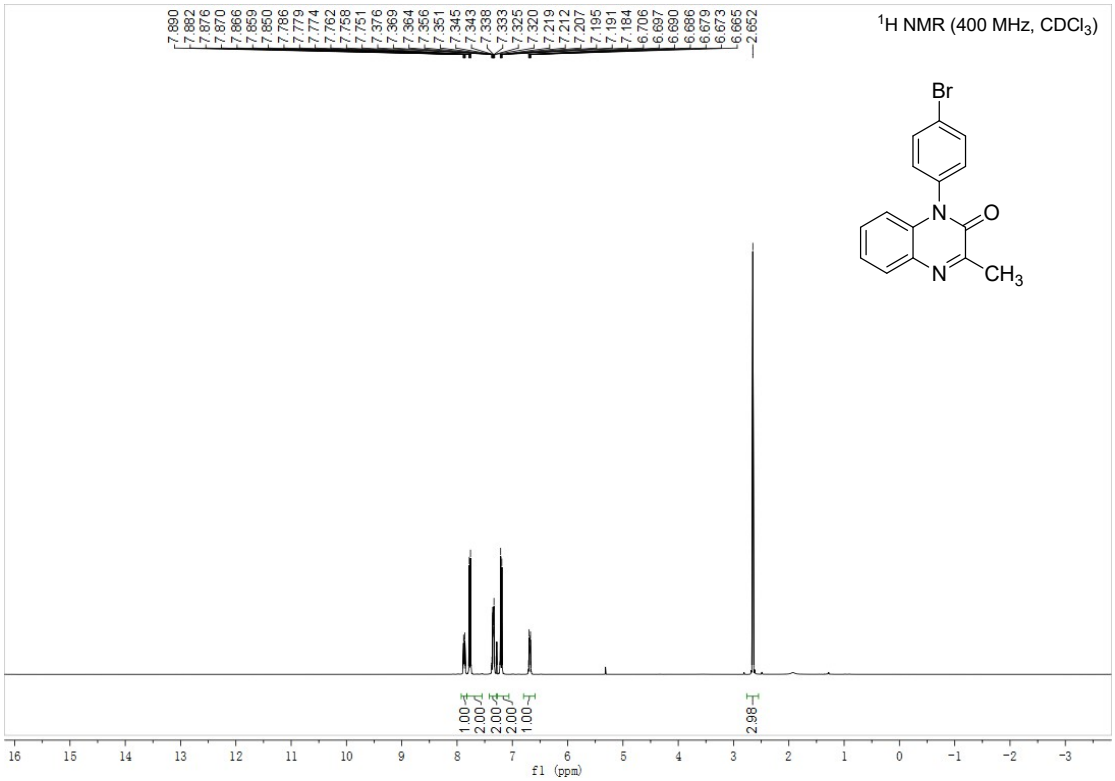
1-(4-fluorophenyl)-3-methylquinoxalin-2(1*H*)-one (3t)

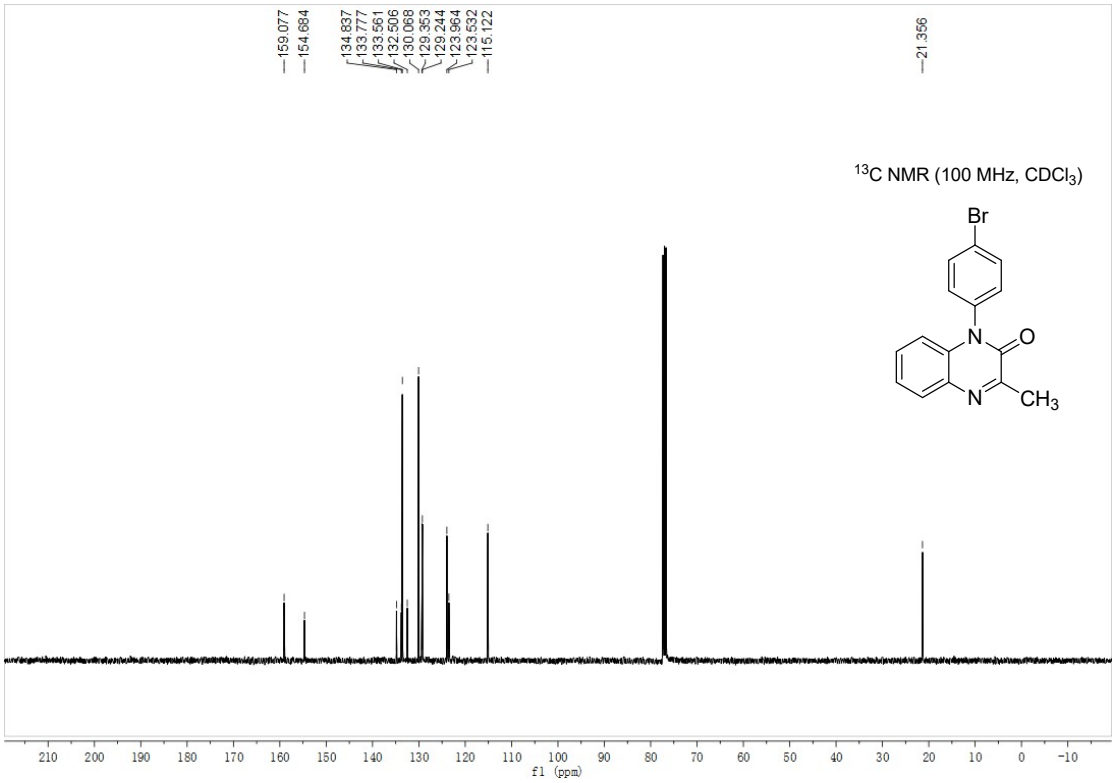




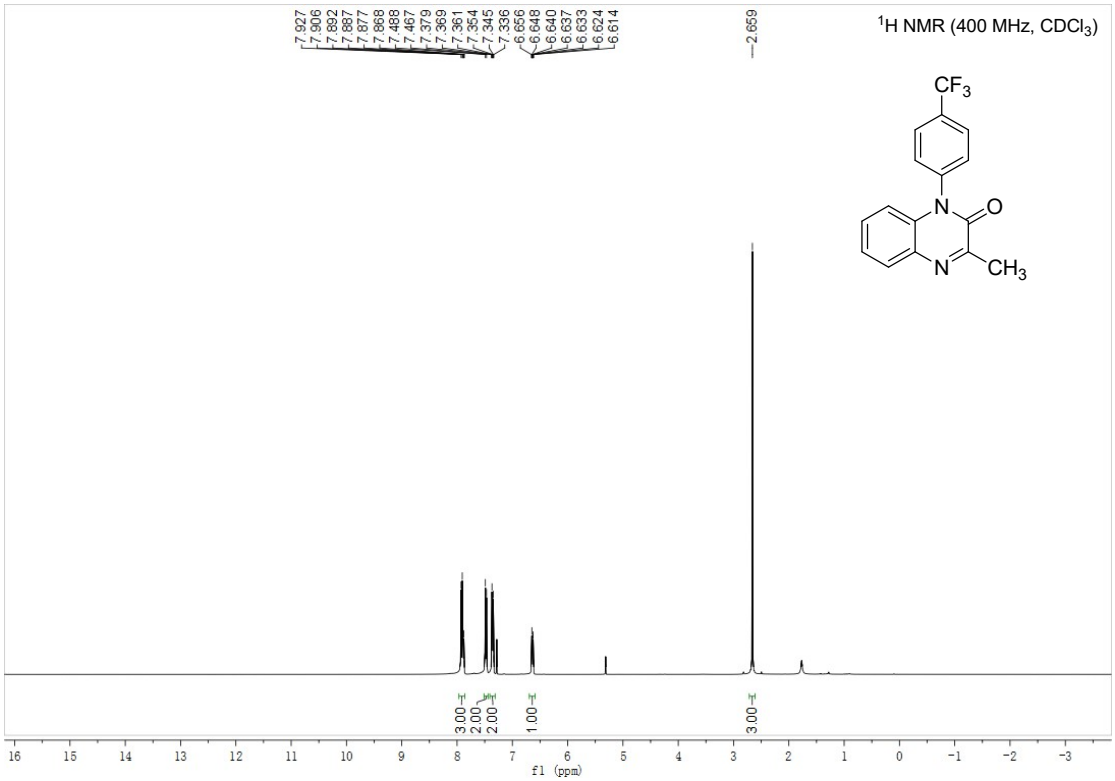


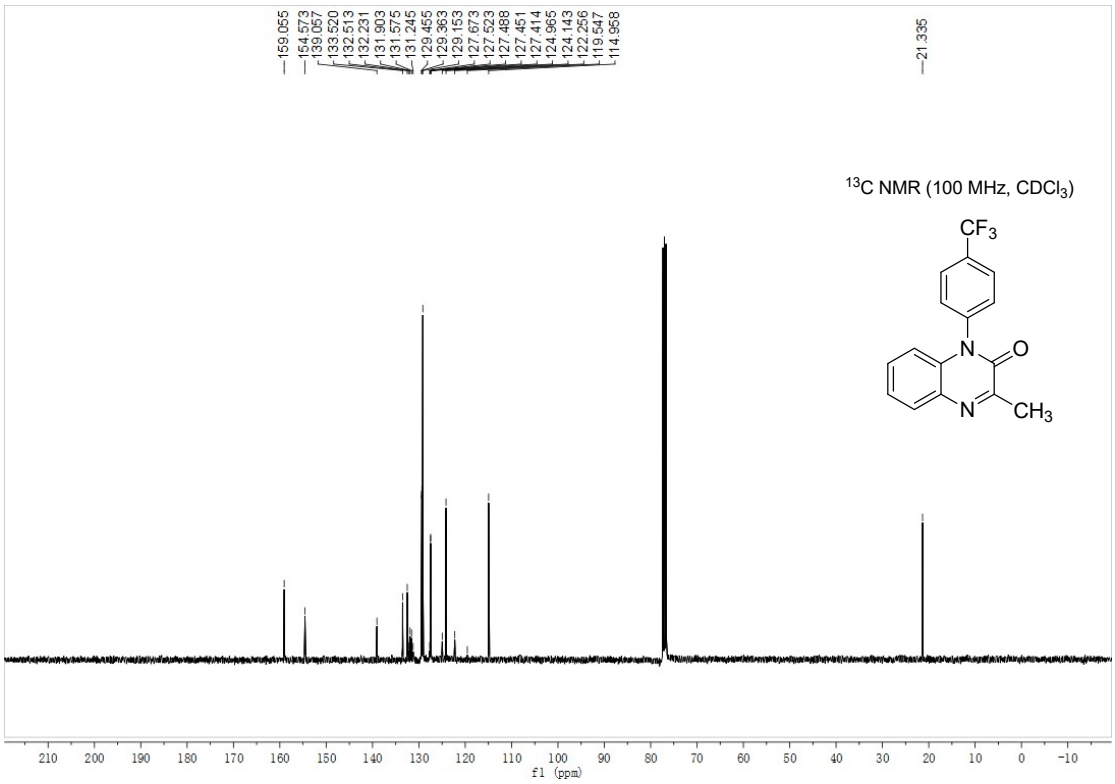
1-(4-bromophenyl)-3-methylquinoxalin-2(1*H*)-one (3u)





3-methyl-1-(4-(trifluoromethyl)phenyl)quinoxalin-2(1*H*)-one (3v)

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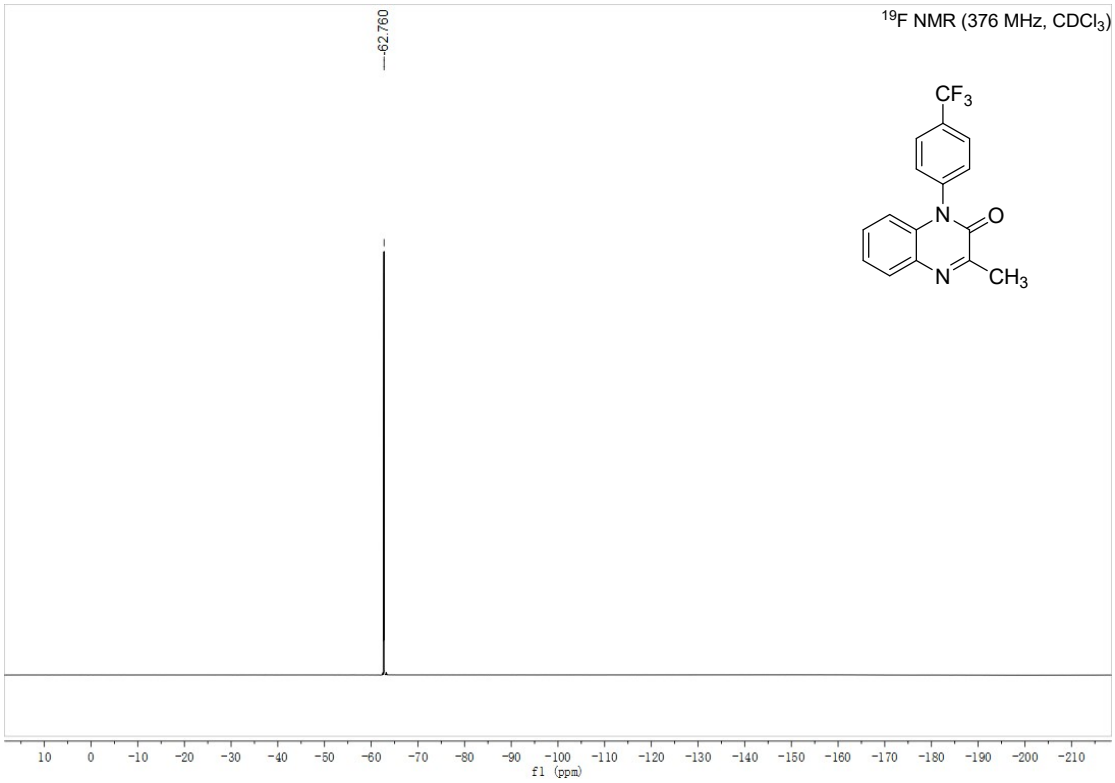
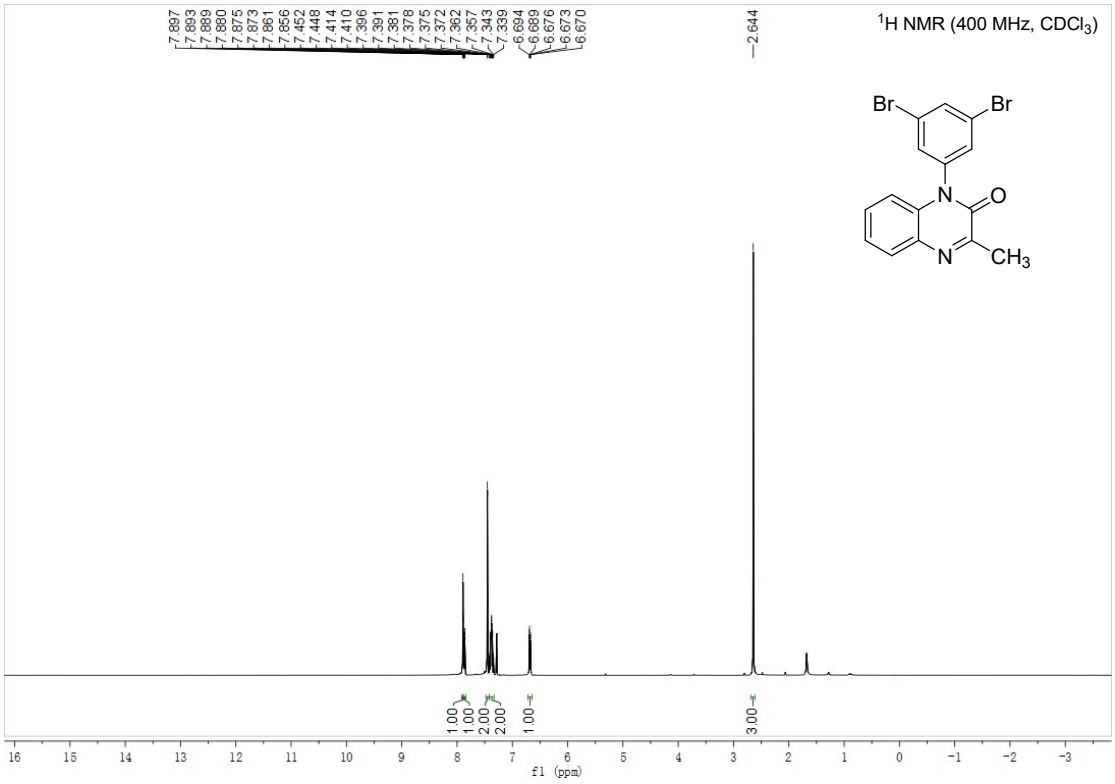
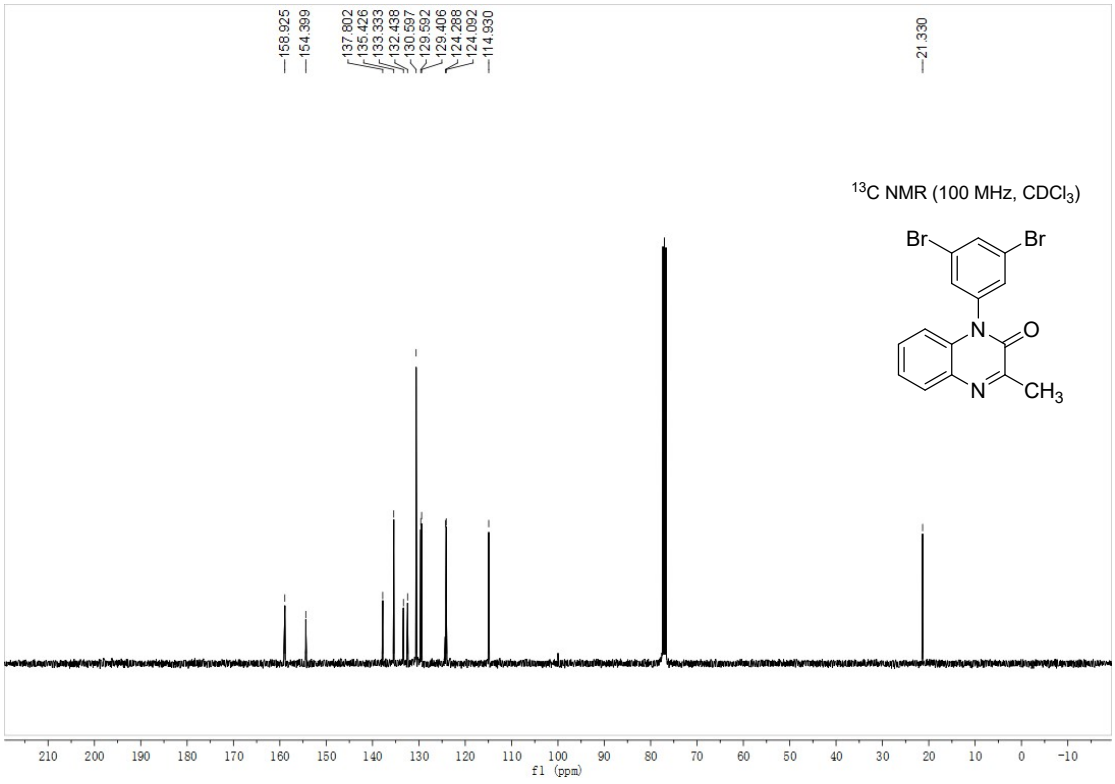
154.573
139.057
133.520
132.513
132.231
131.903
131.575
131.245
129.455
129.363
129.153
127.673
127.523
127.488
127.451
127.414
124.965
124.143
122.256
119.547
114.958
21.335
13C NMR (100 MHz, CDCl3)
CF3
N
O
N
CH3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

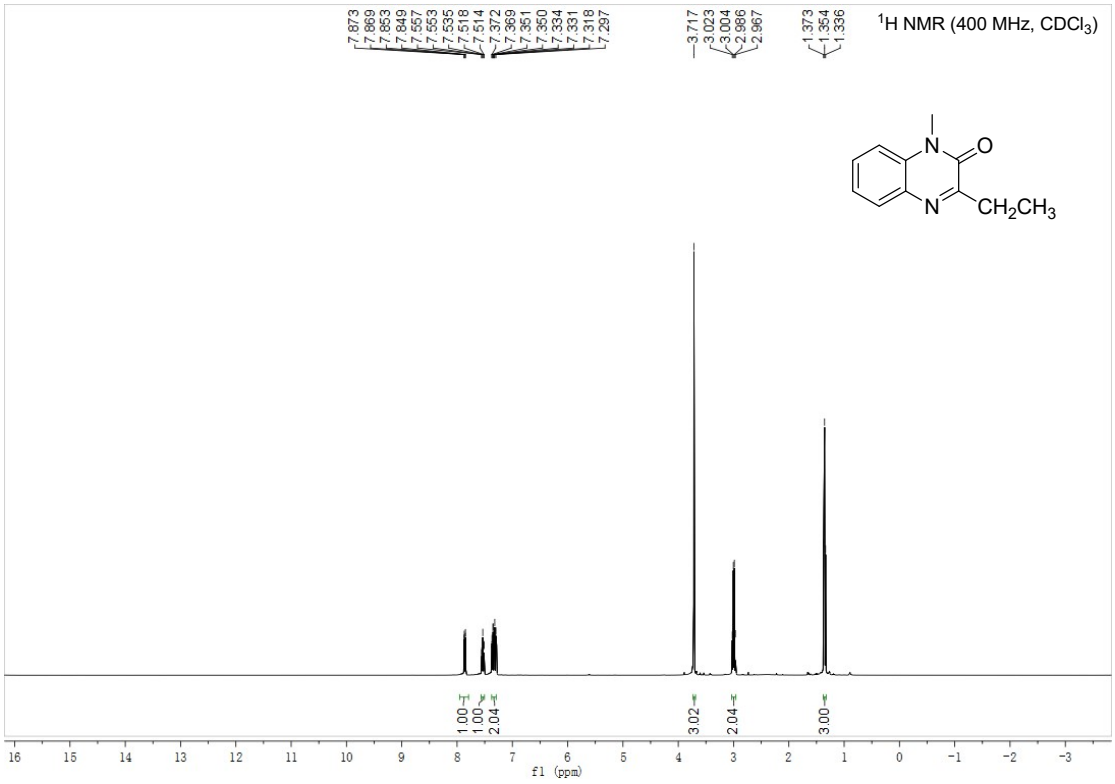

-62.760
19F NMR (376 MHz, CDCl3)
CF3
N
O
N
CH3
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

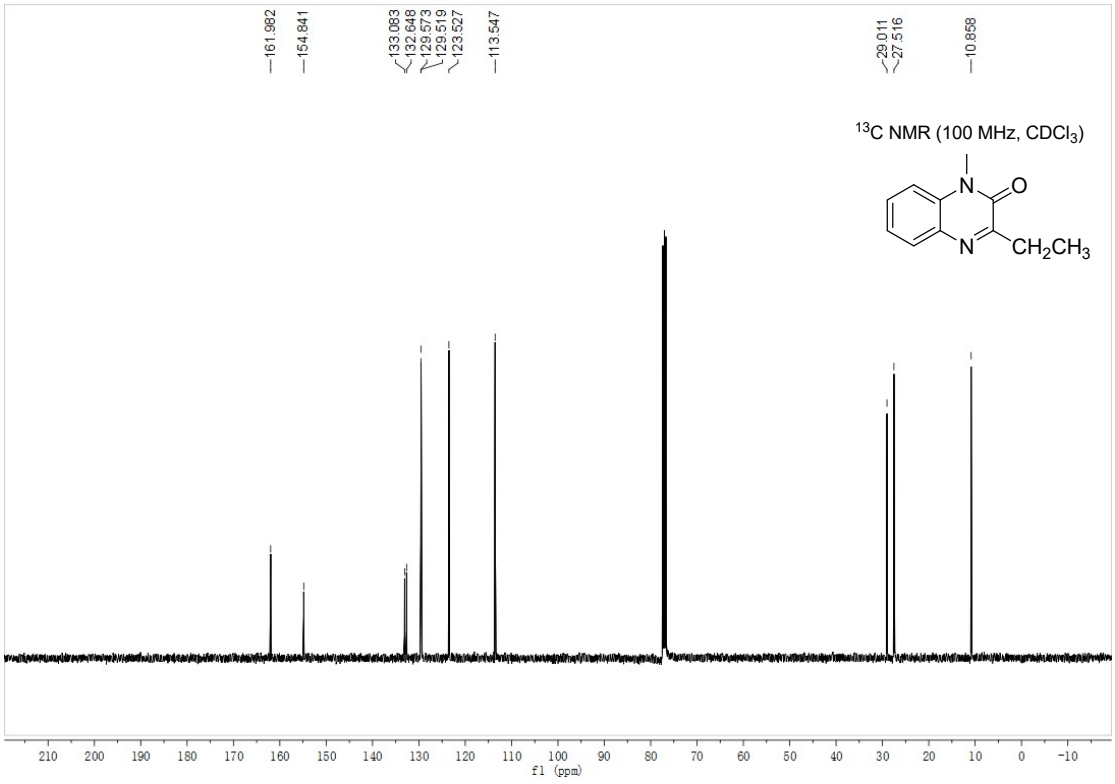
1-(3,5-dibromophenyl)-3-methylquinoxalin-2(1*H*)-one (3w)



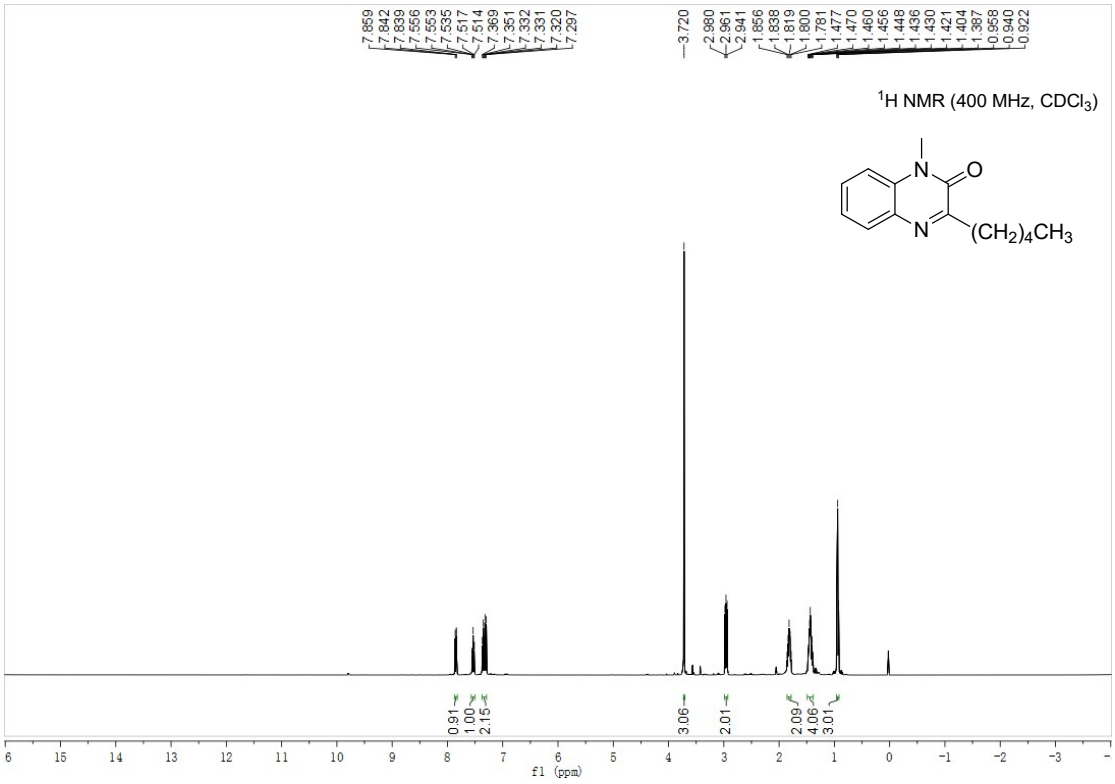


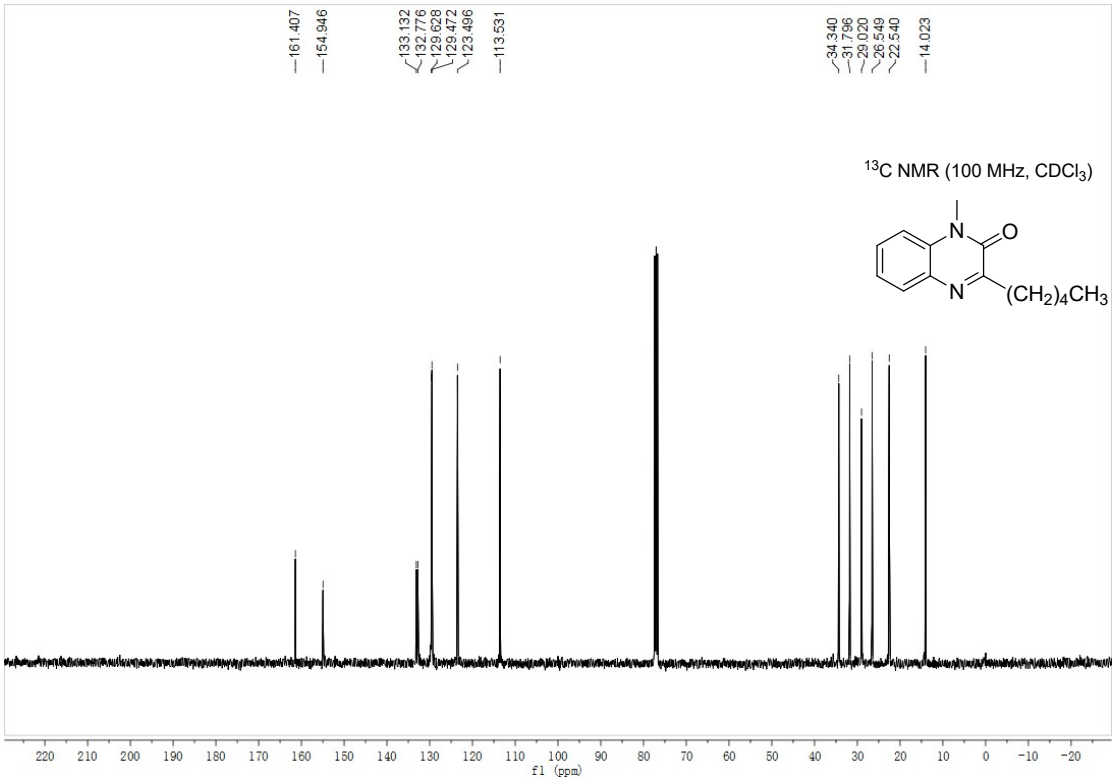
3-ethyl-1-methylquinoxalin-2(1*H*)-one (3x)



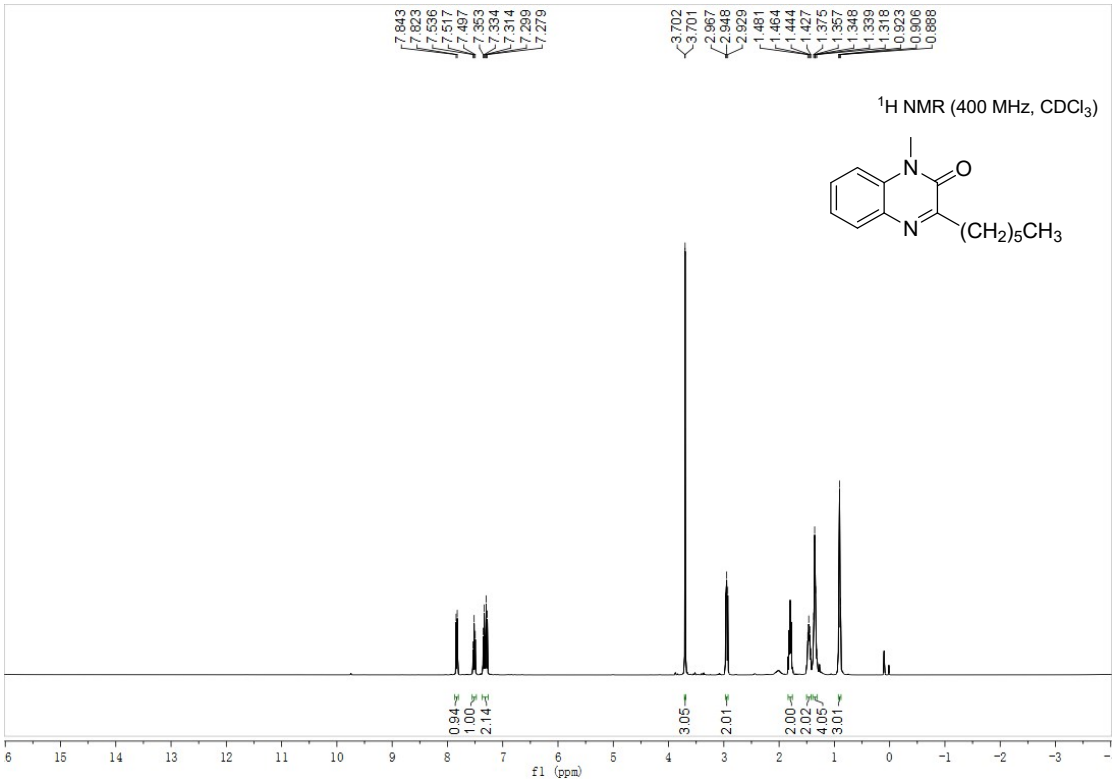


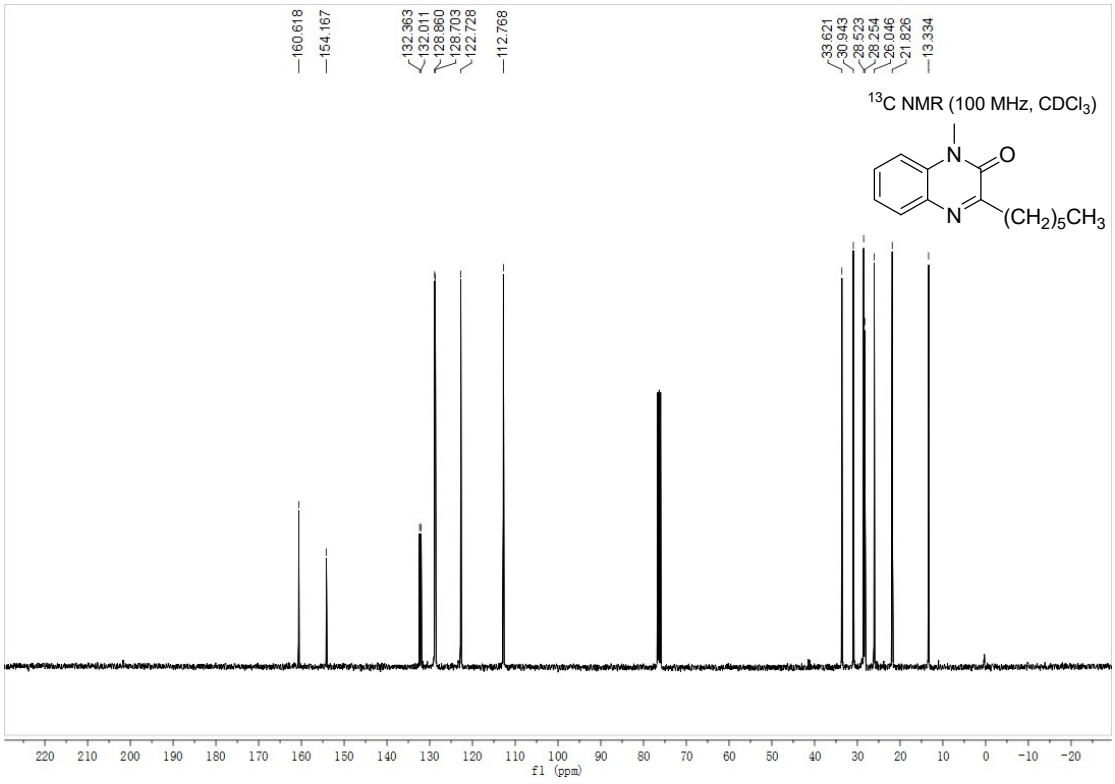
1-methyl-3-pentylquinoxalin-2(1*H*)-one (3y)



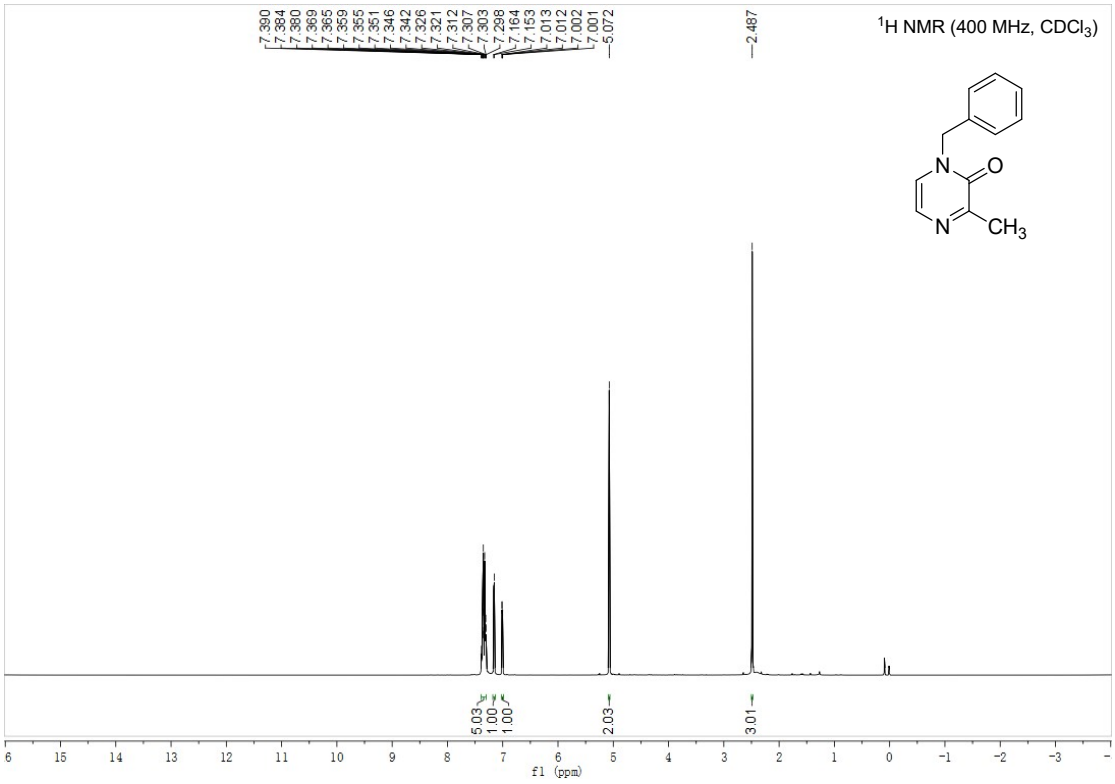


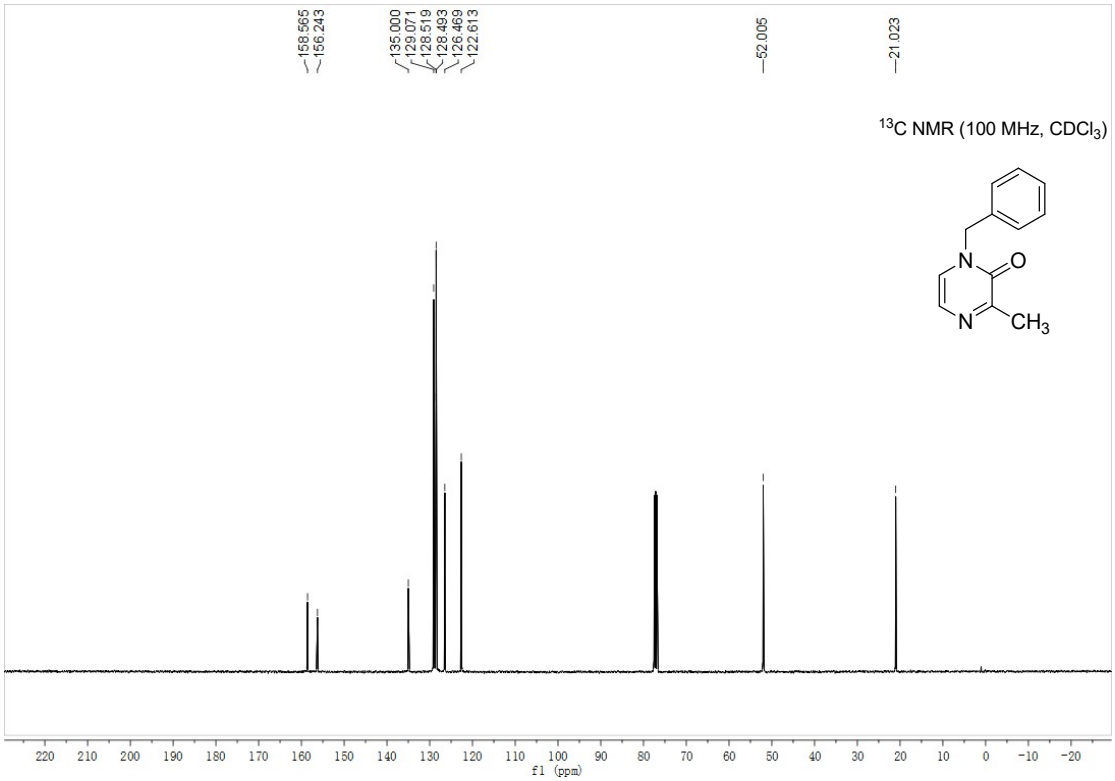
3-hexyl-1-methylquinoxalin-2(1*H*)-one (3z)



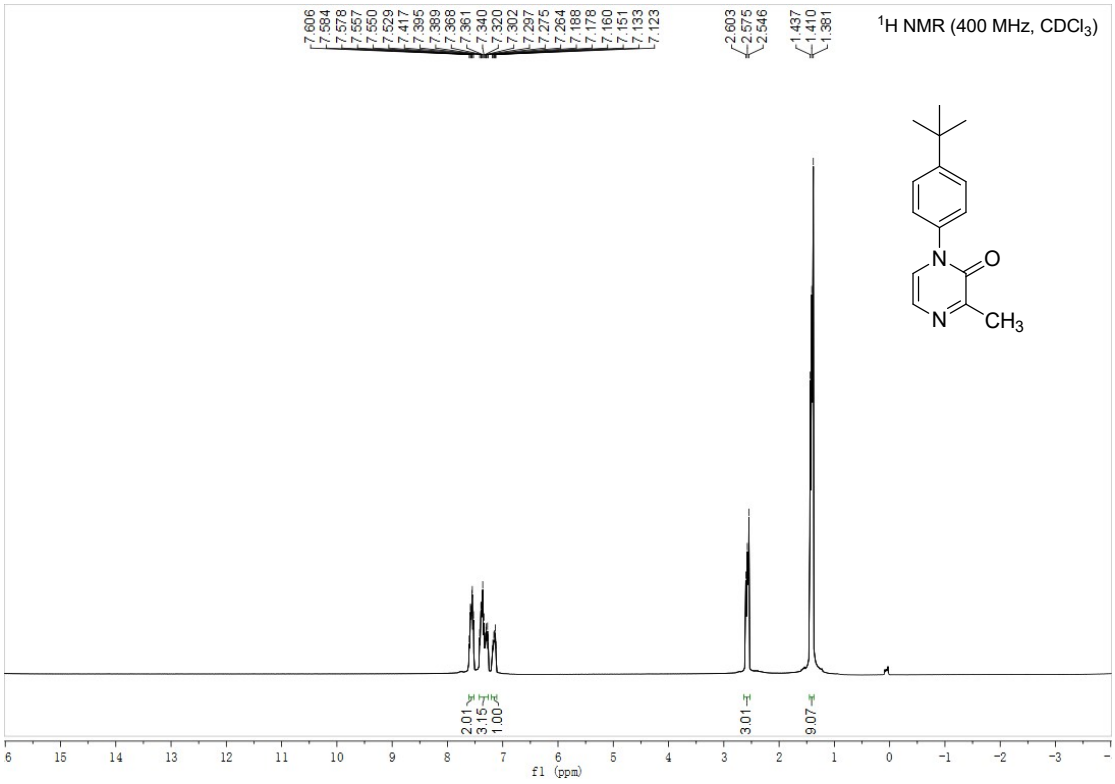


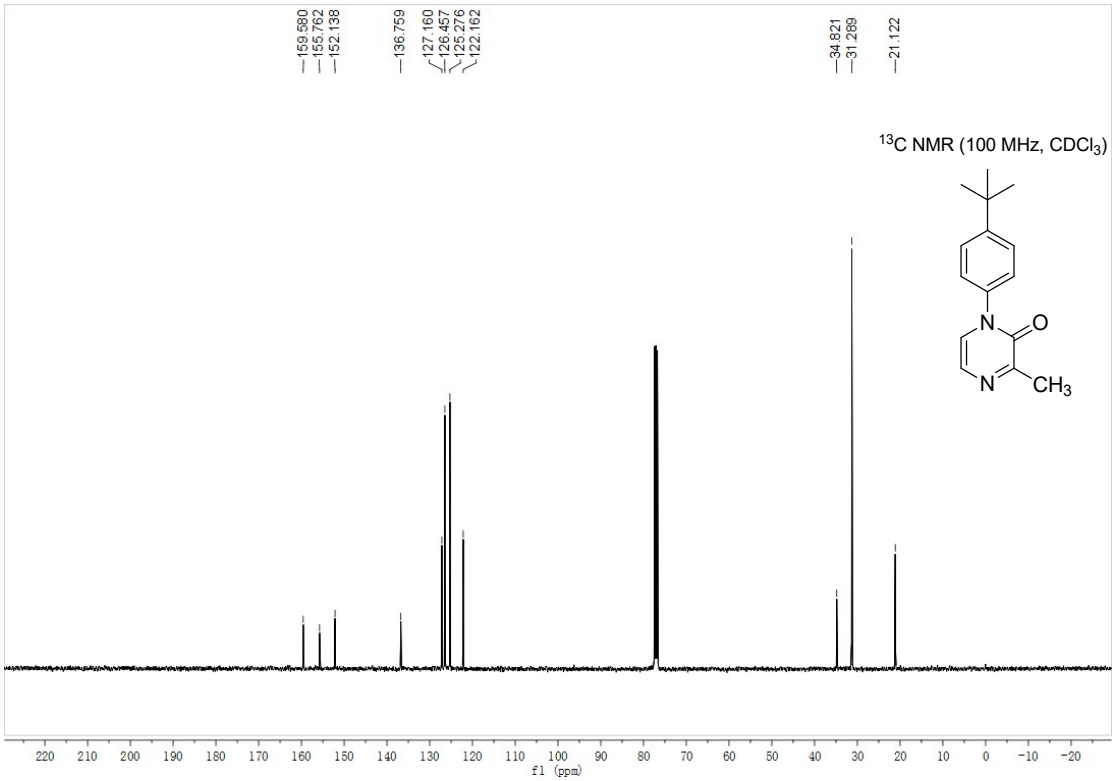
1-benzyl-3-methylpyrazin-2(1*H*)-one (7a)



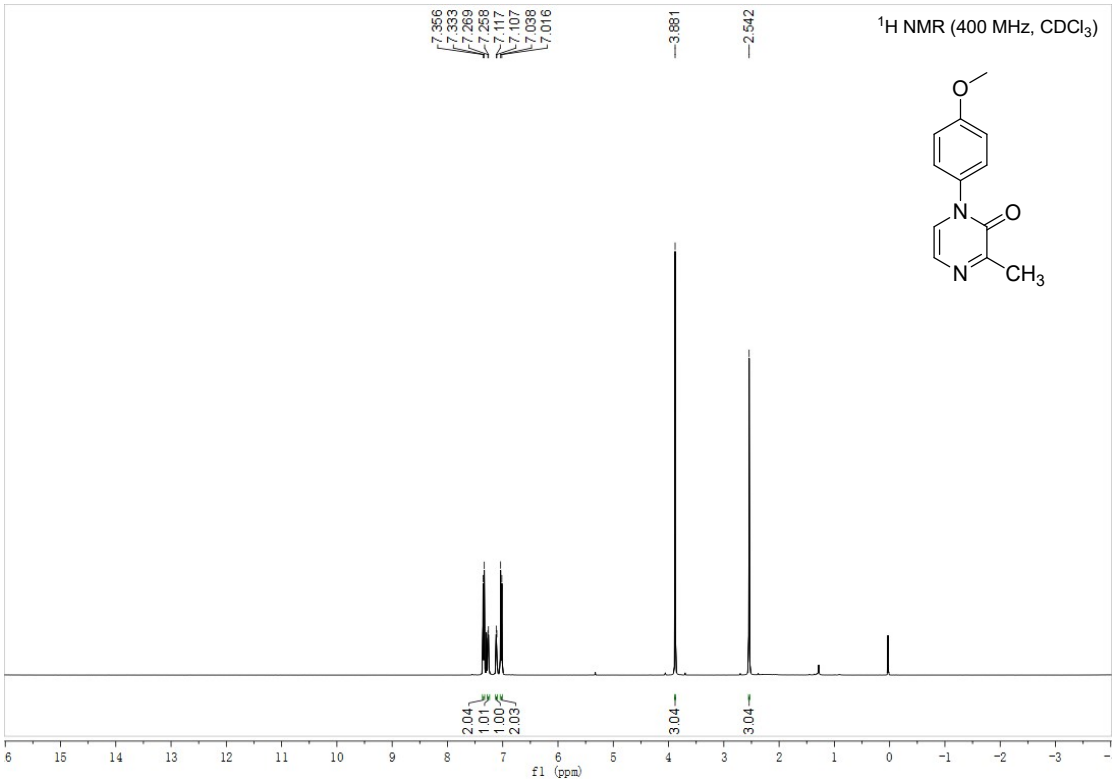


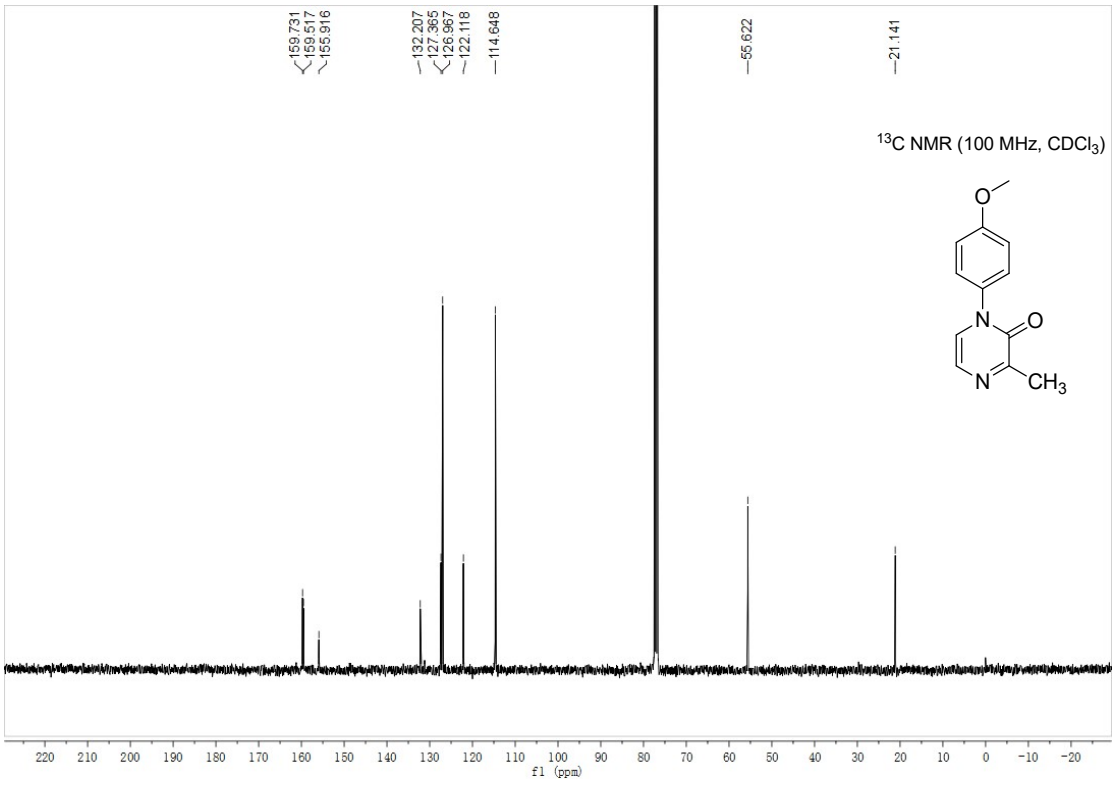
1-(4-(*tert*-butyl)phenyl)-3-methylpyrazin-2(1*H*)-one (7b)



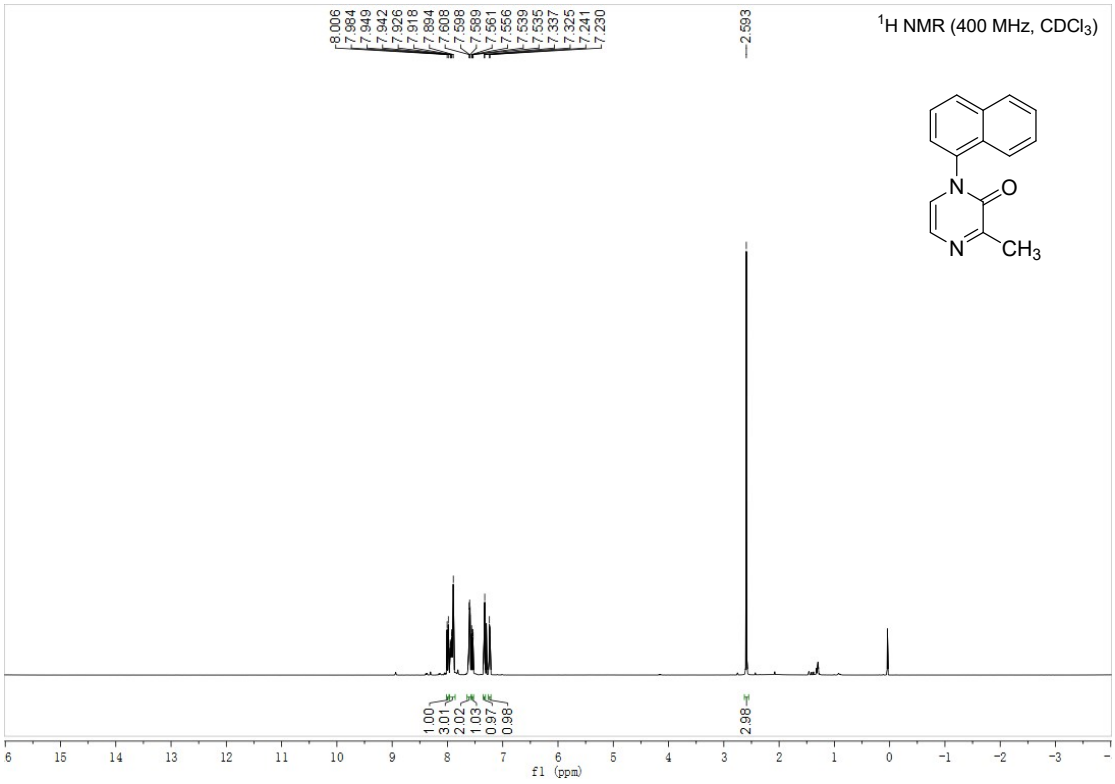


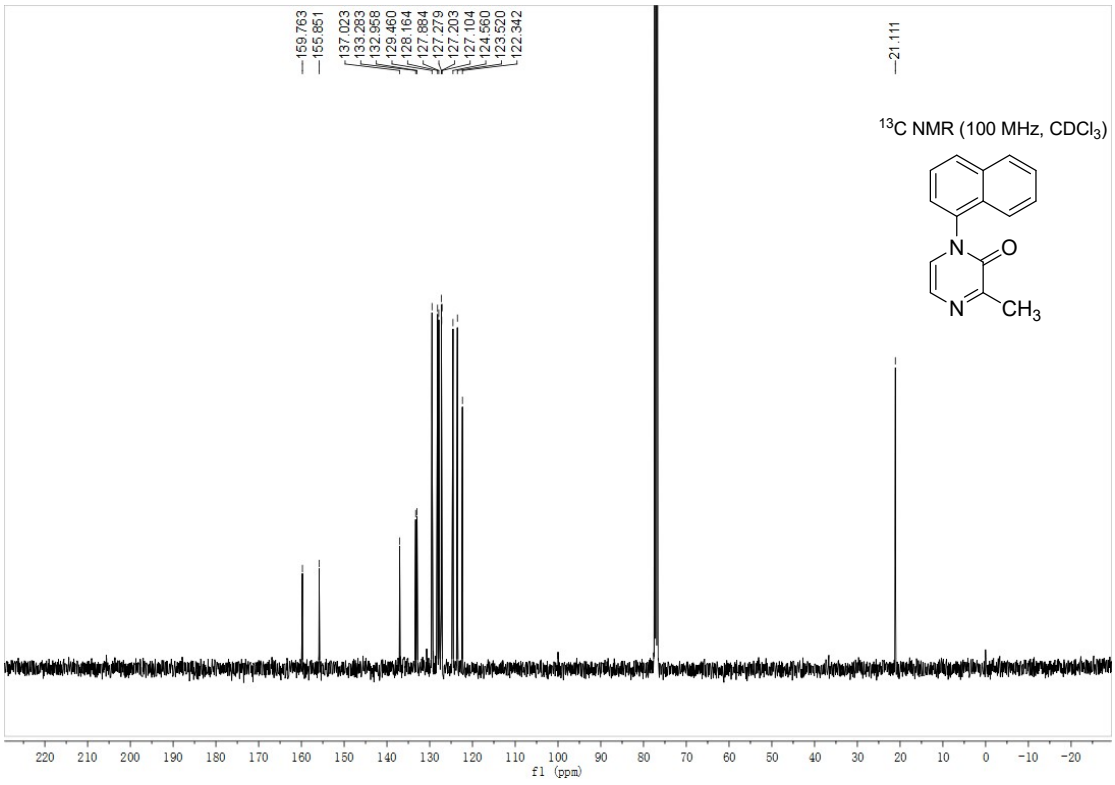
1-(4-methoxyphenyl)-3-methylpyrazin-2(1*H*)-one (7c)



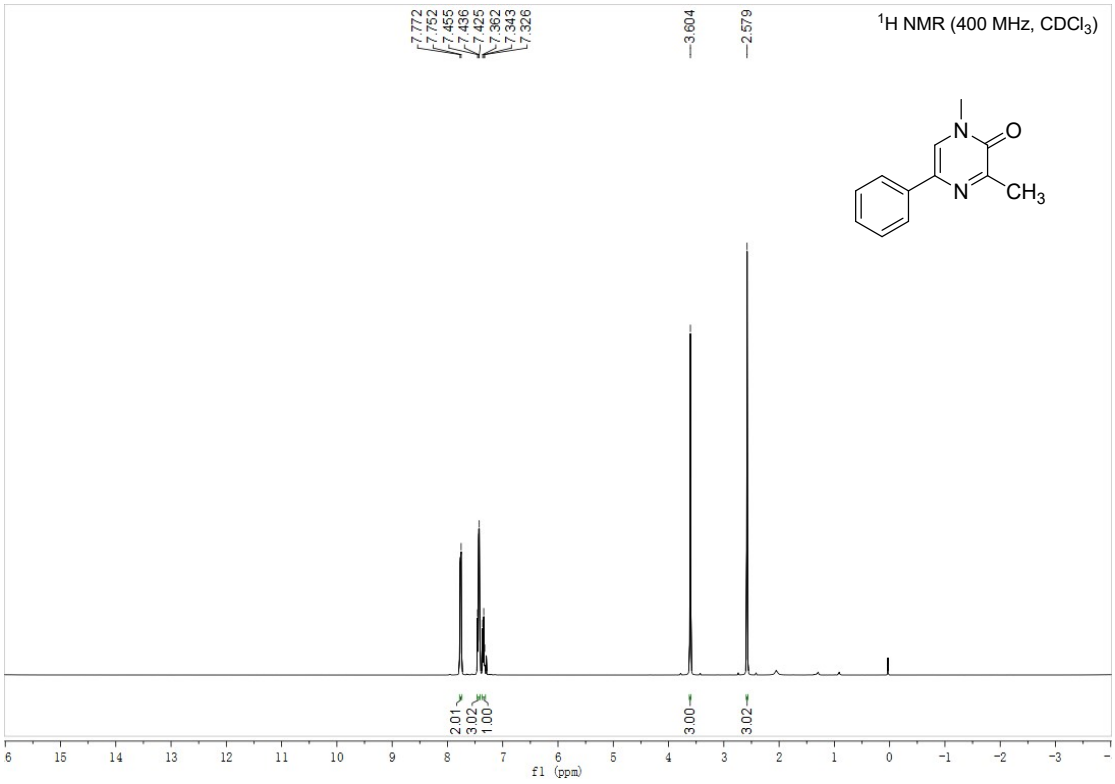


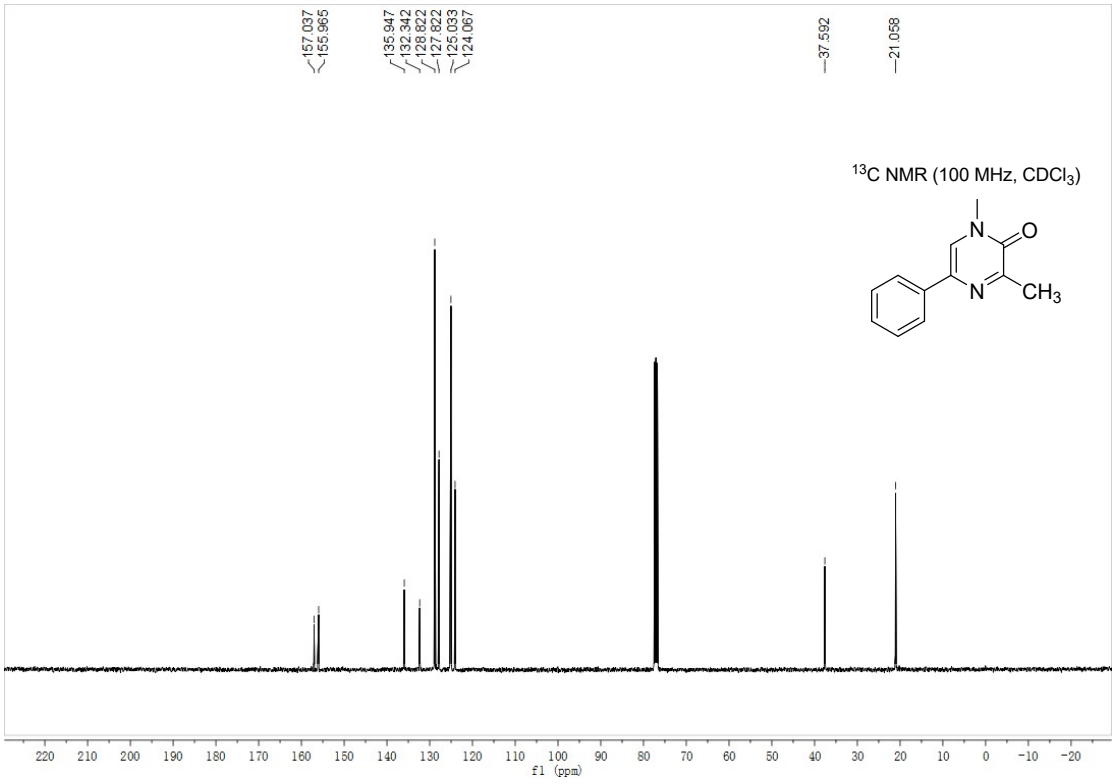
3-methyl-1-(naphthalen-1-yl)pyrazin-2(1*H*)-one (7d)



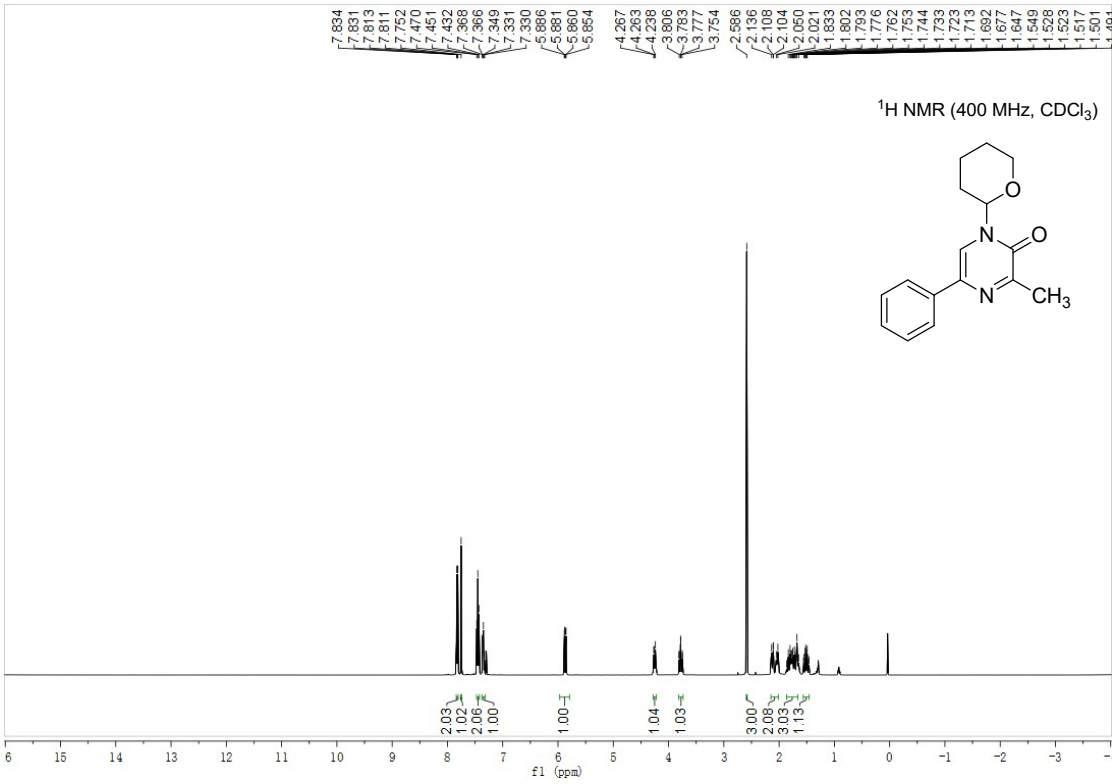


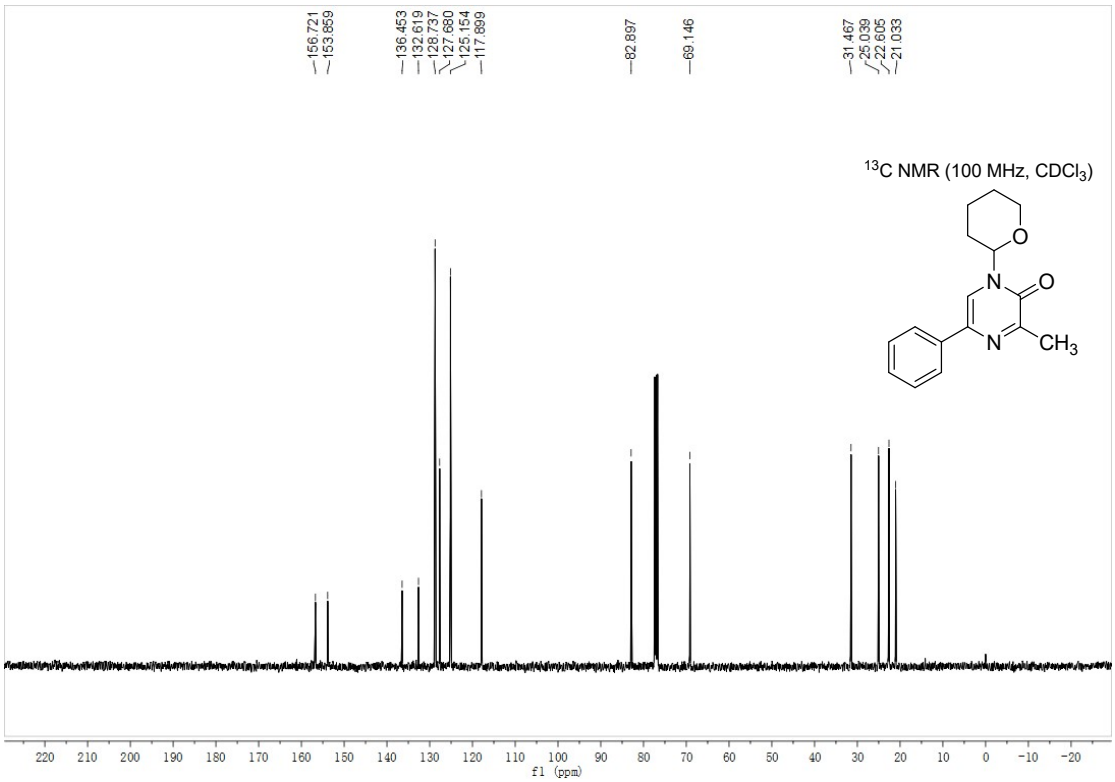
1,3-dimethyl-5-phenylpyrazin-2(1*H*)-one (7e)



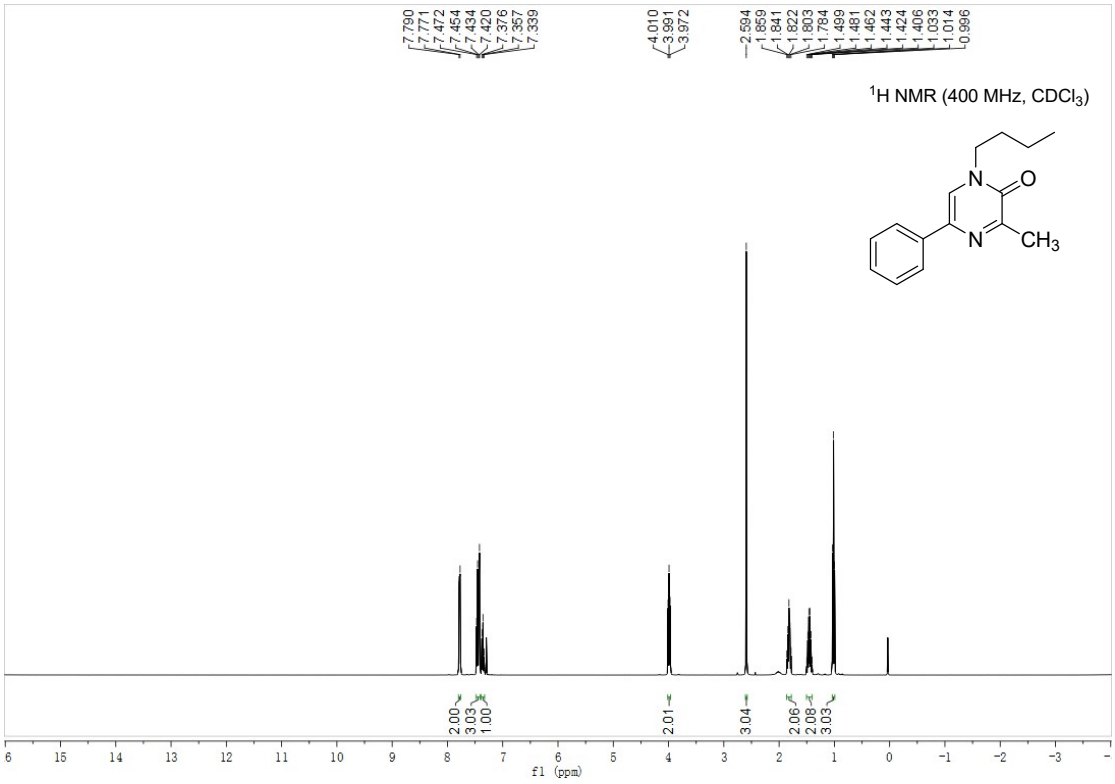


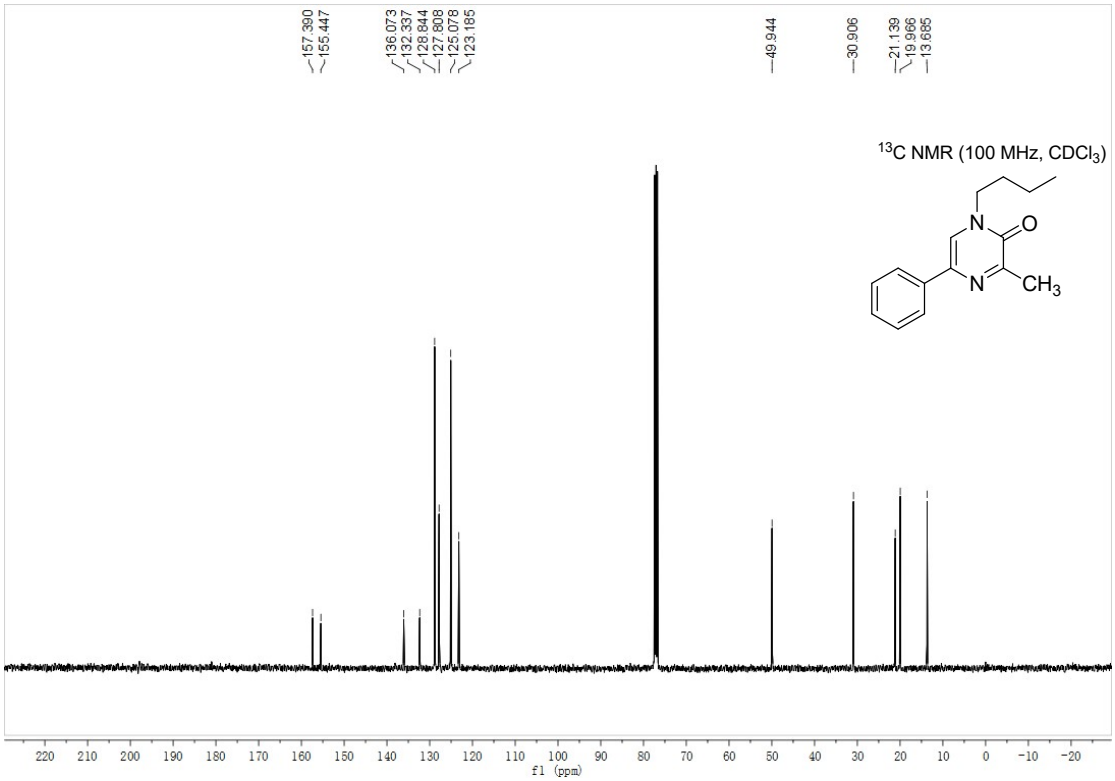
3-methyl-5-phenyl-1-(tetrahydro-2*H*-pyran-2-yl)pyrazin-2(1*H*)-one (7f)



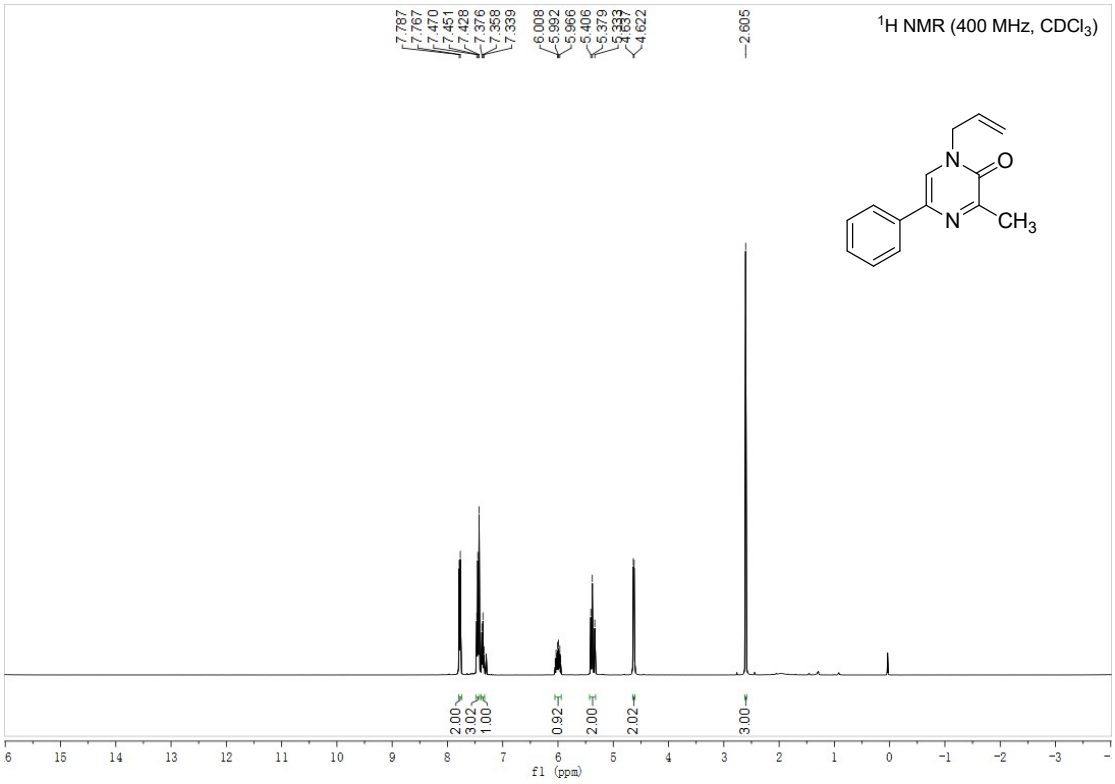


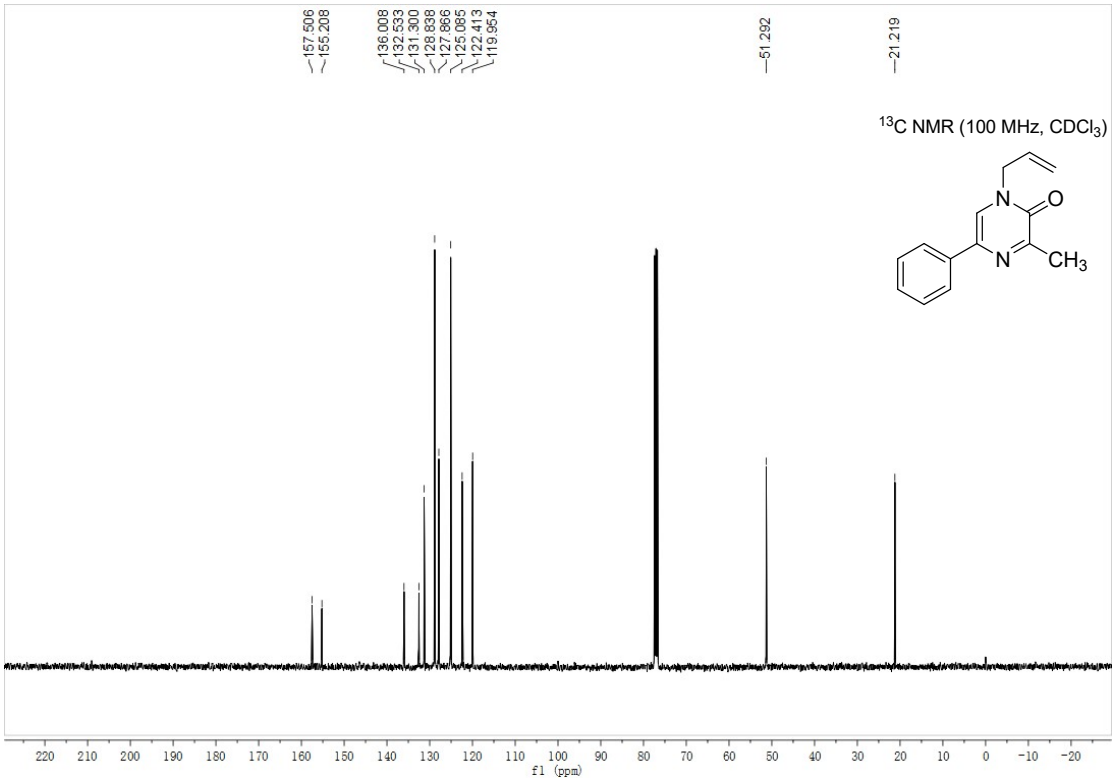
1-butyl-3-methyl-5-phenylpyrazin-2(1*H*)-one (7g)



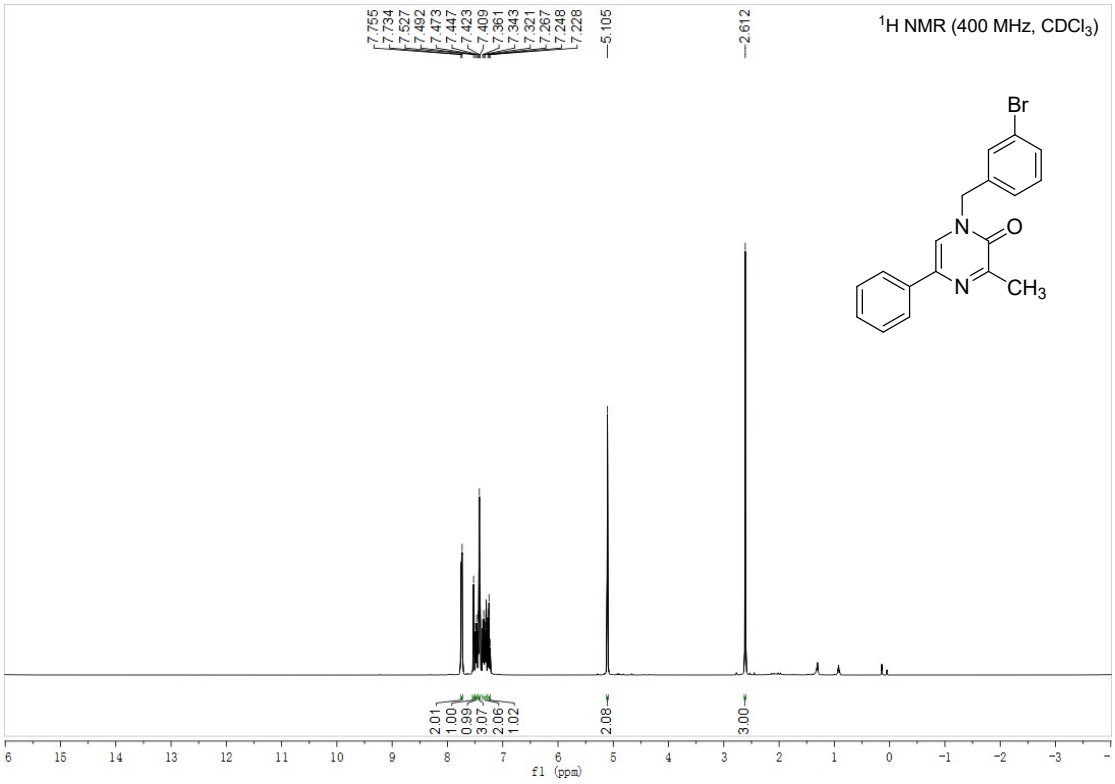


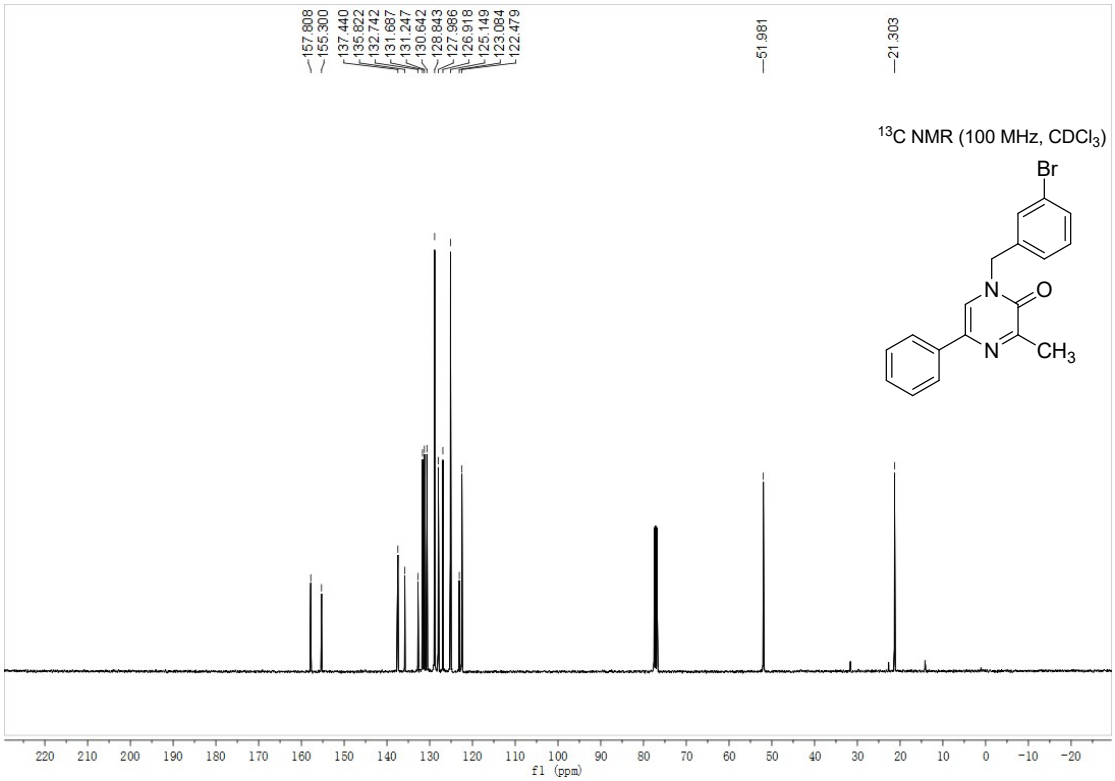
1-allyl-3-methyl-5-phenylpyrazin-2(1*H*)-one (7h)



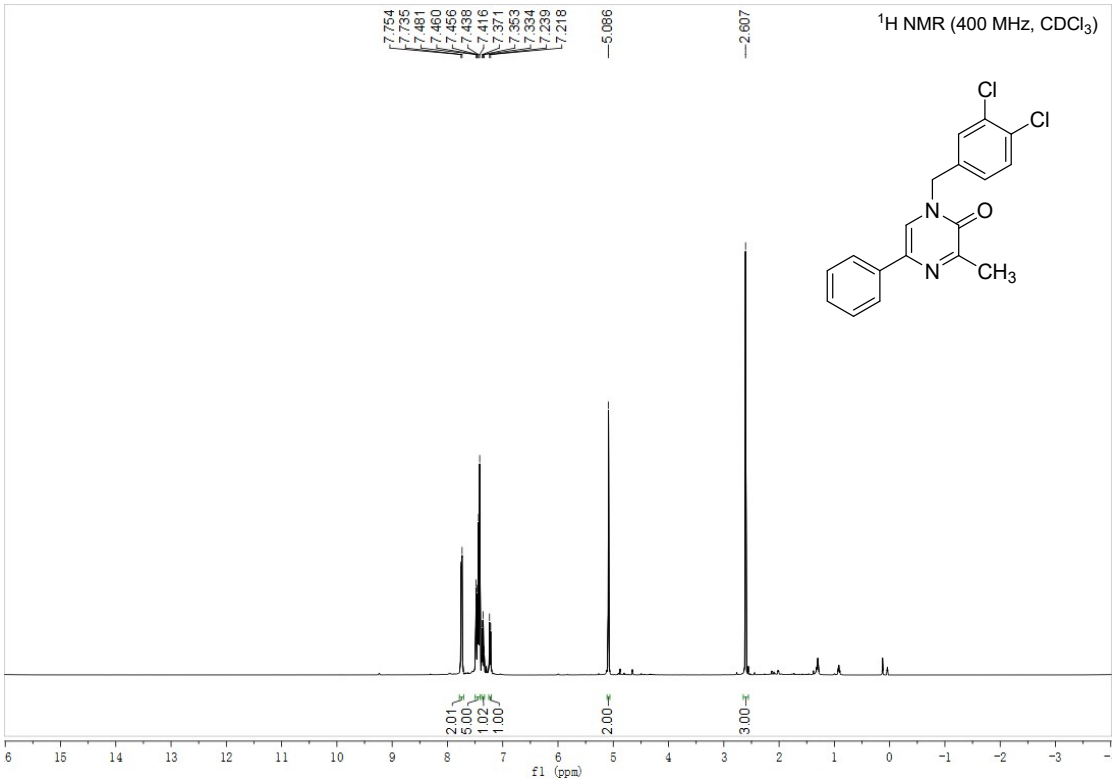


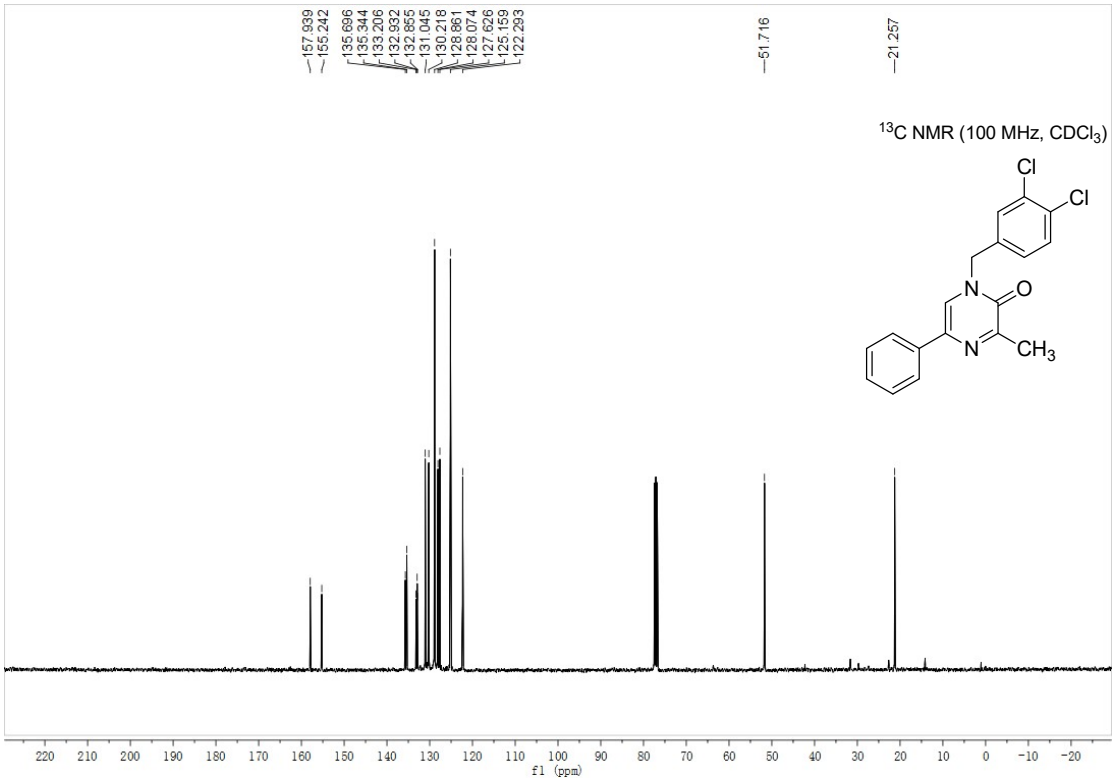
1-(3-bromobenzyl)-3-methyl-5-phenylpyrazin-2(1*H*)-one (7i)



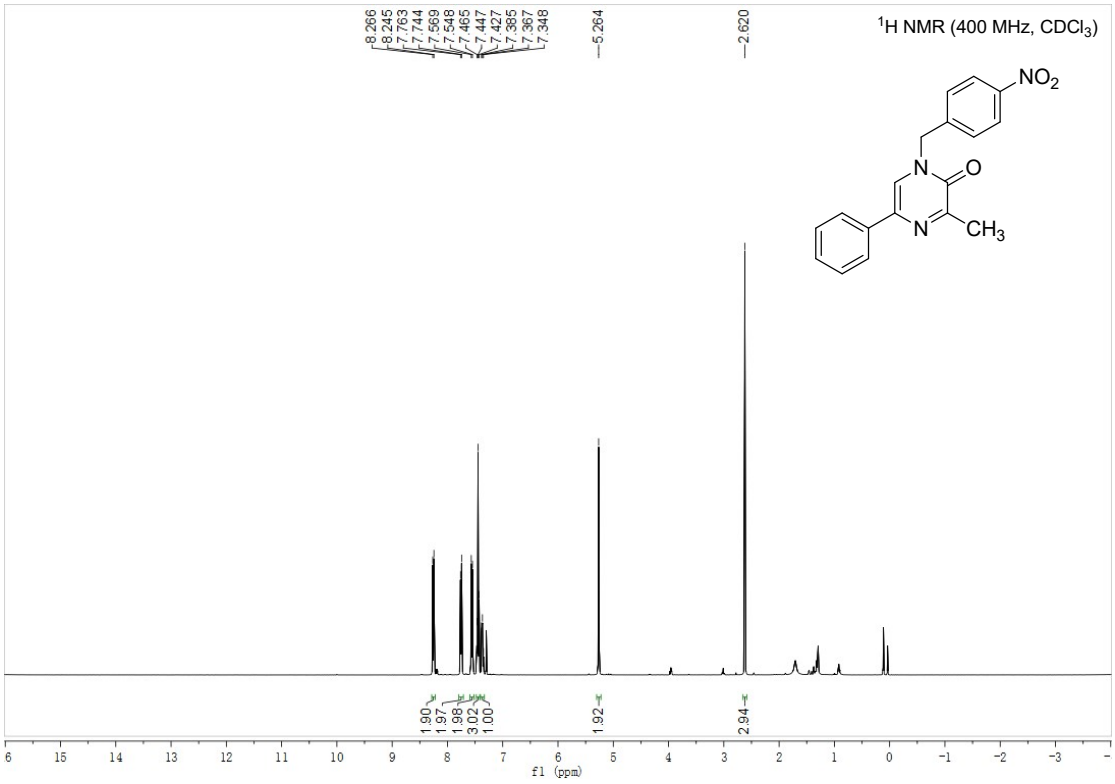


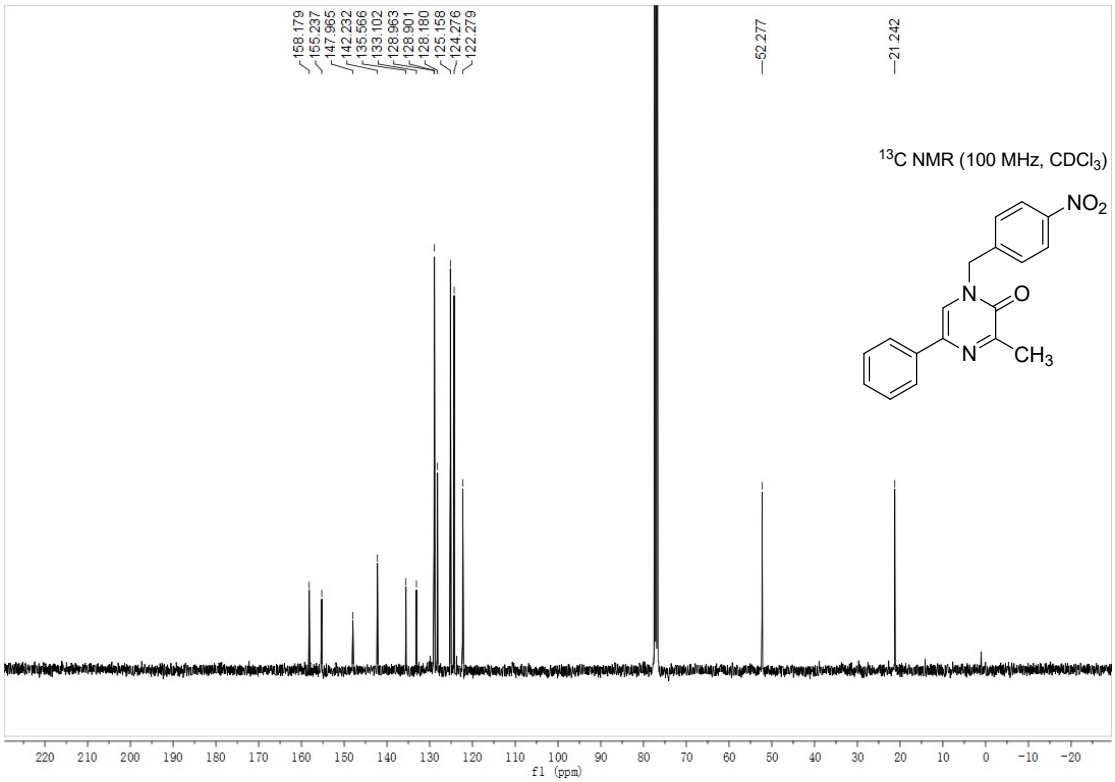
1-(3,4-dichlorobenzyl)-3-methyl-5-phenylpyrazin-2(1*H*)-one (7j)



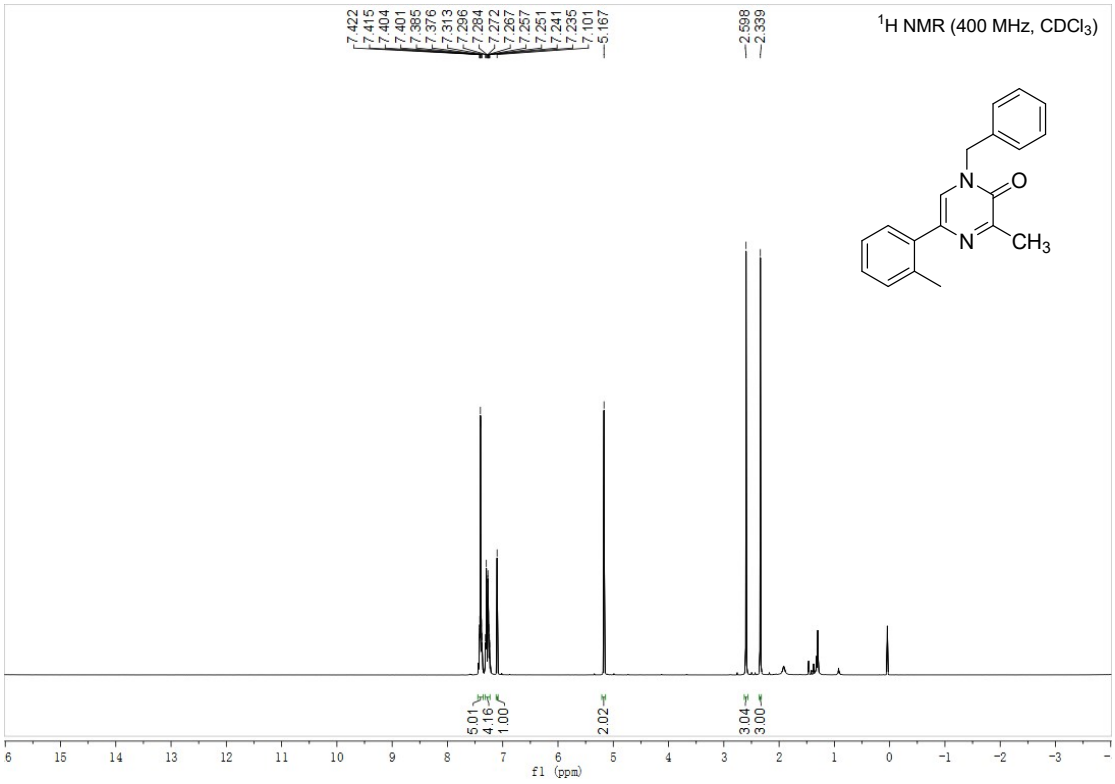


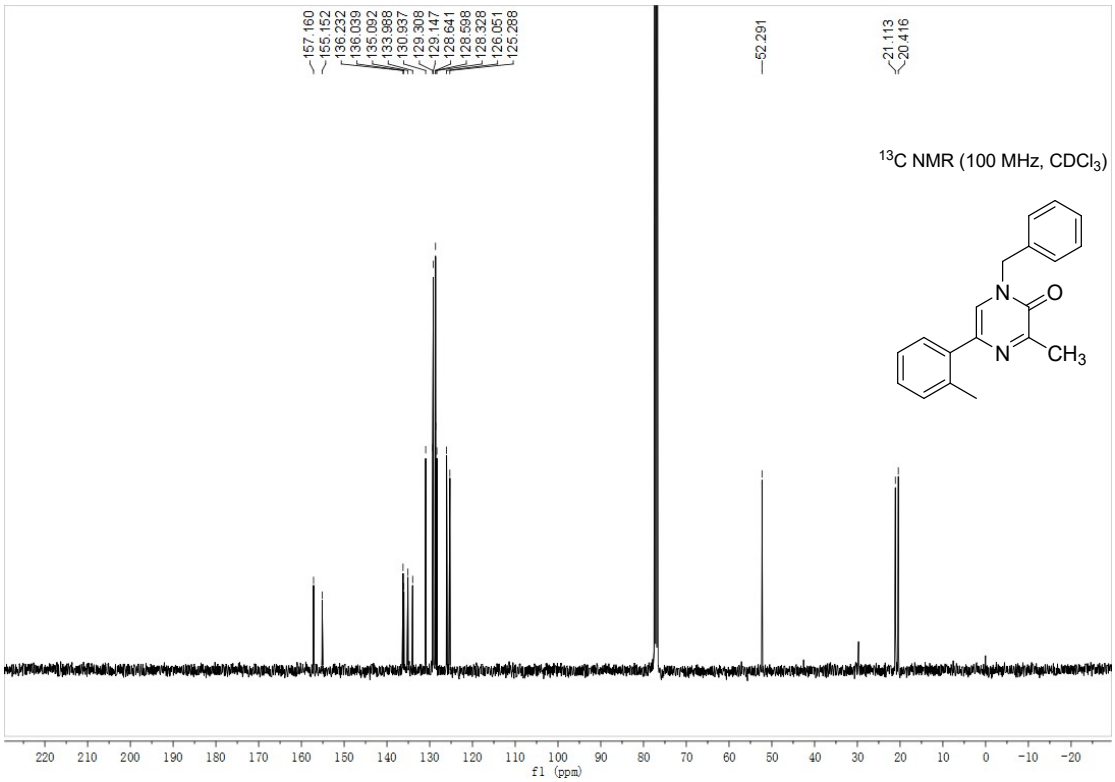
3-methyl-1-(4-nitrobenzyl)-5-phenylpyrazin-2(1*H*)-one (7k)



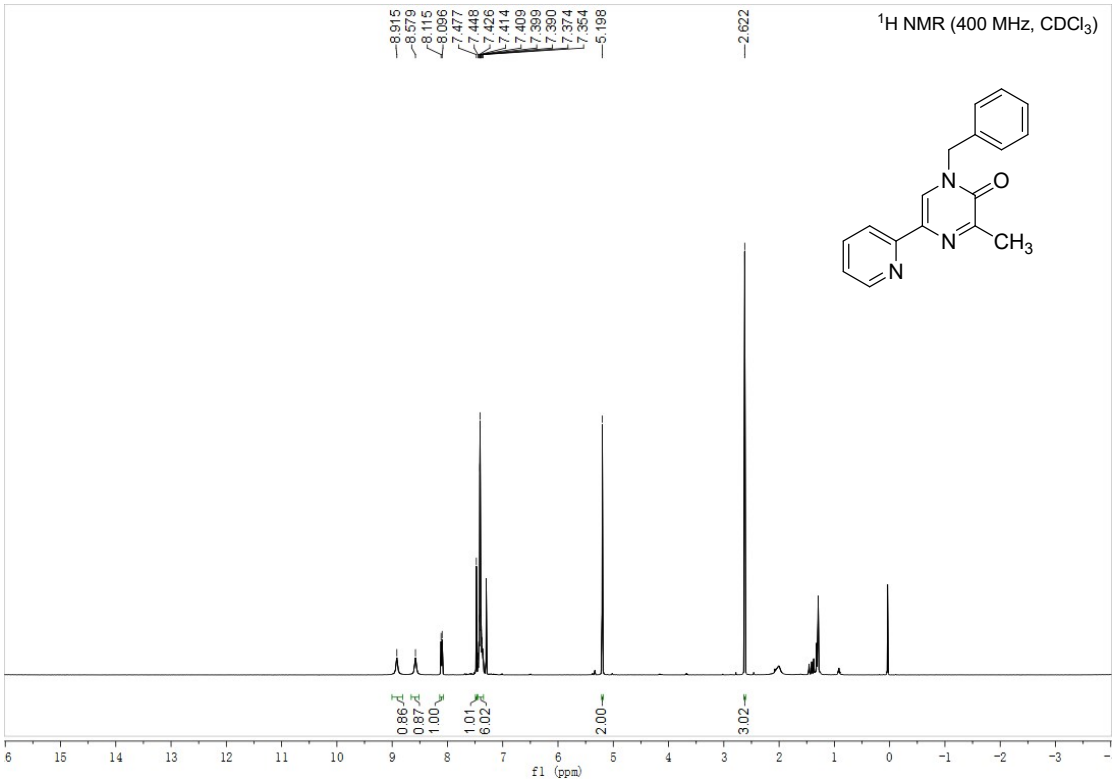


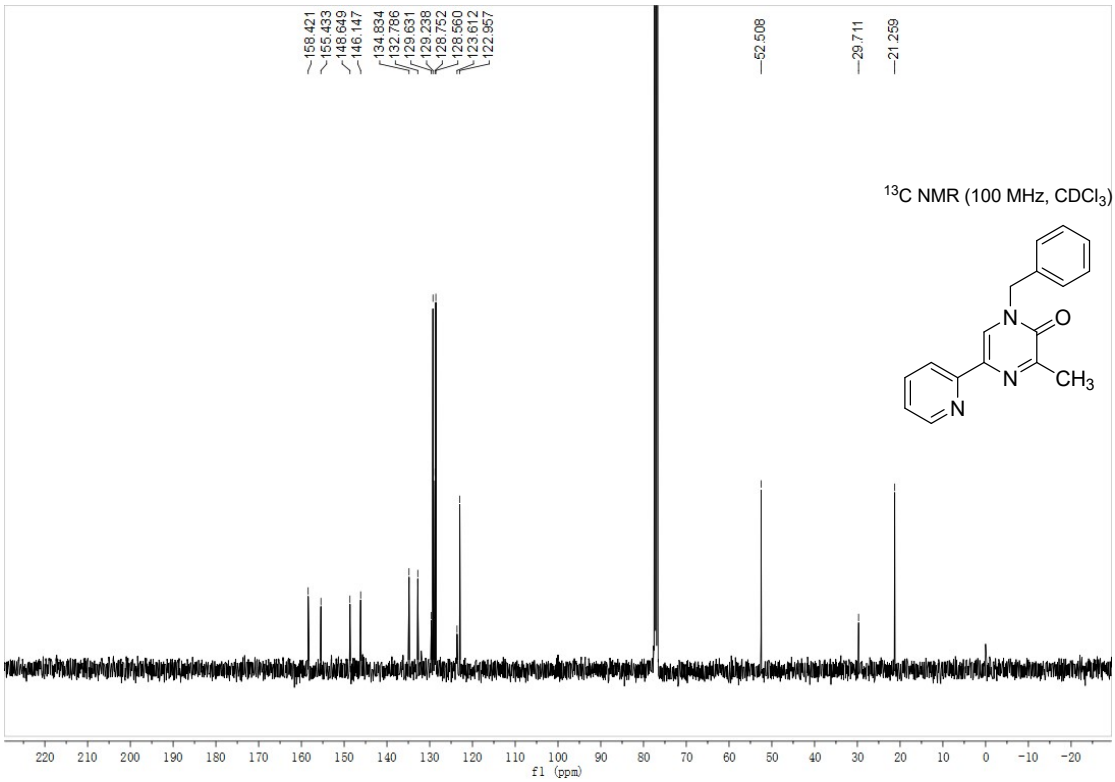
1-benzyl-3-methyl-5-(*o*-tolyl)pyrazin-2(1*H*)-one (7l)



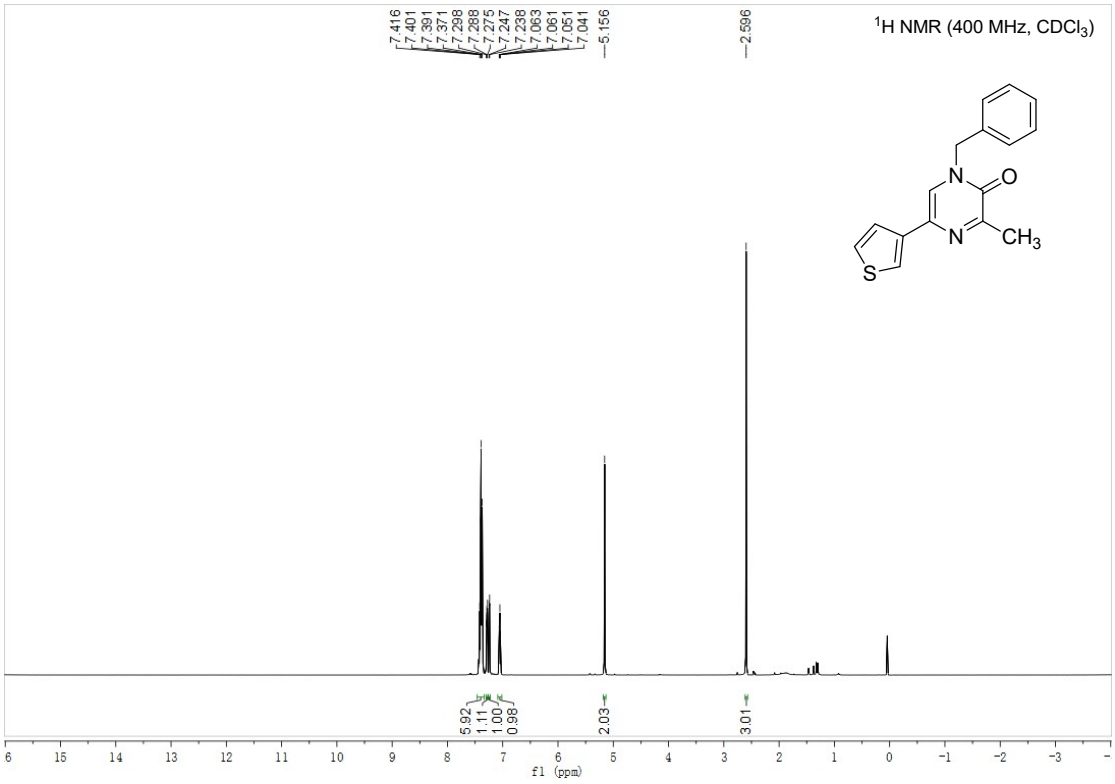


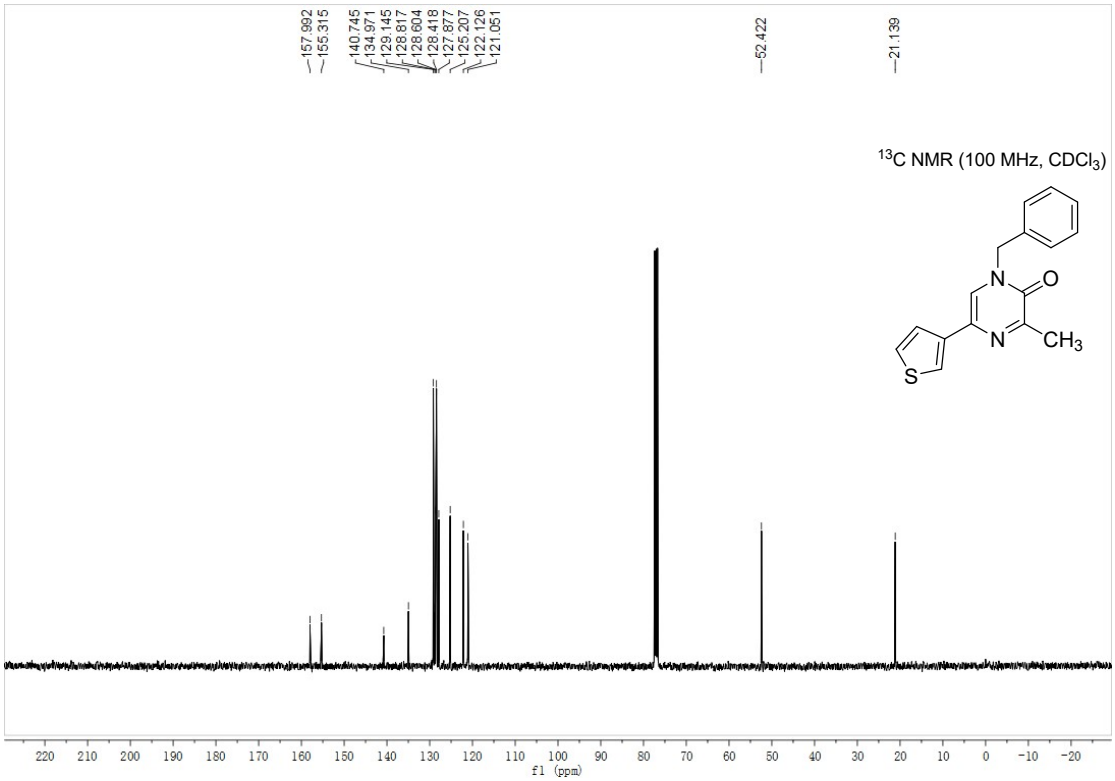
1-benzyl-3-methyl-5-(pyridin-2-yl)pyrazin-2(1*H*)-one (7m)



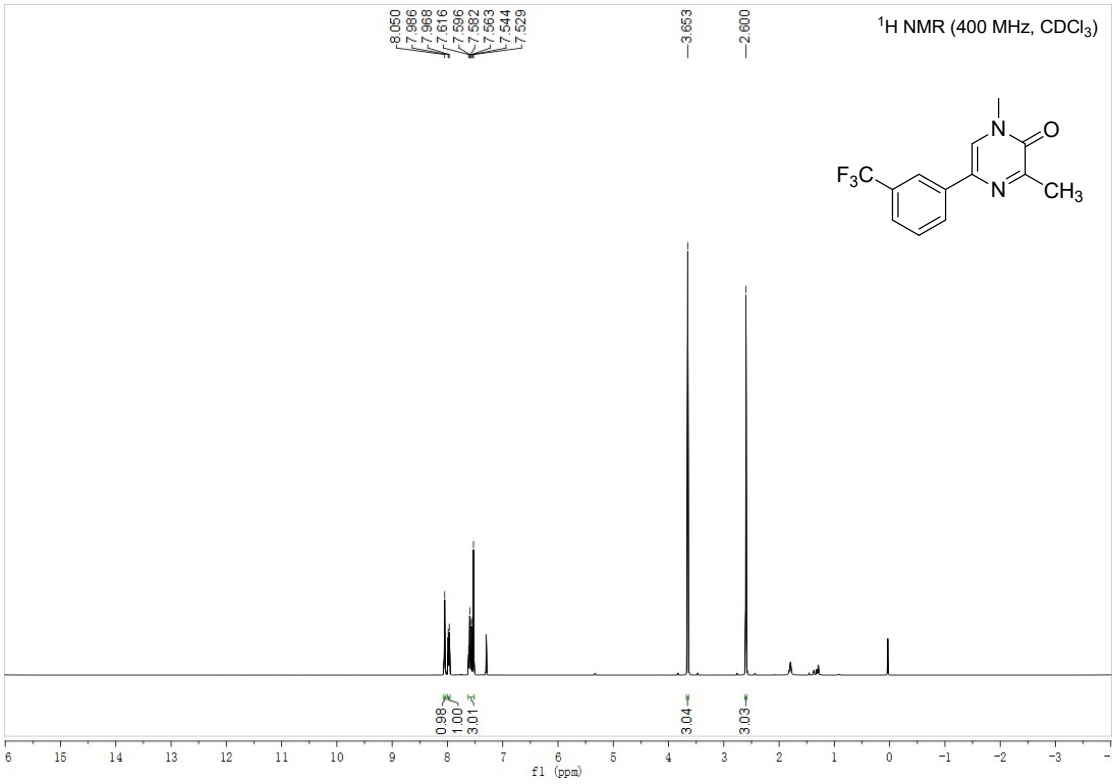


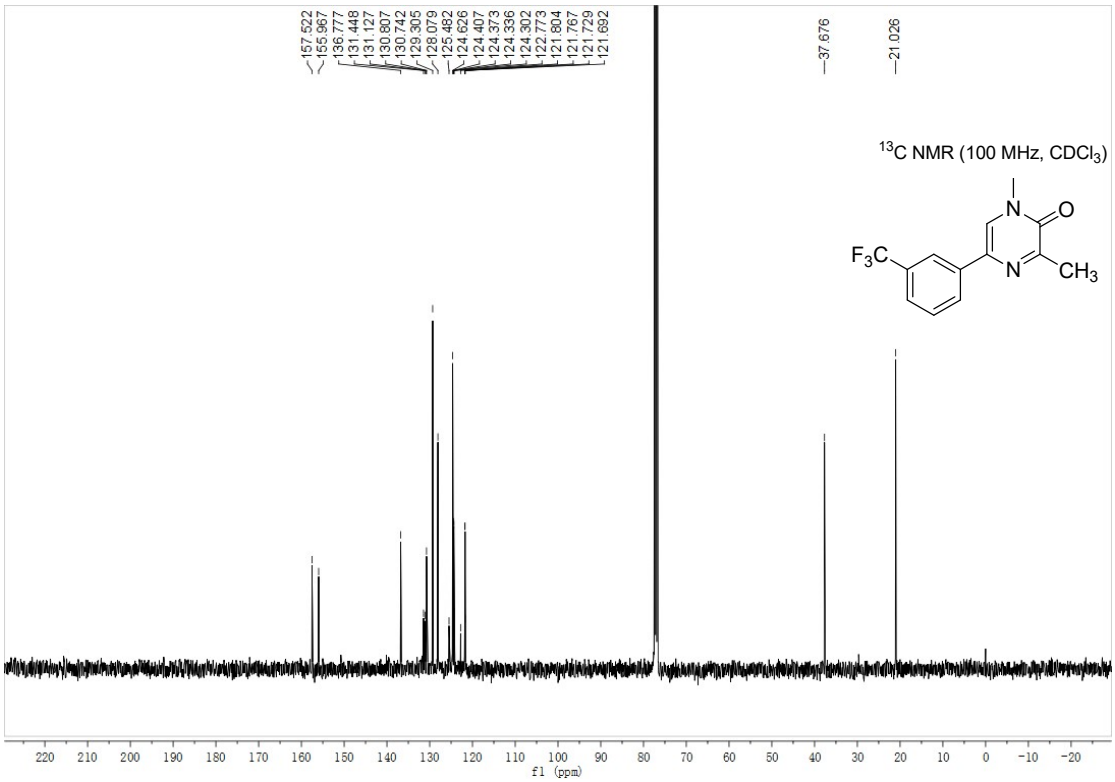
1-benzyl-3-methyl-5-(thiophen-3-yl)pyrazin-2(1*H*)-one (7n)

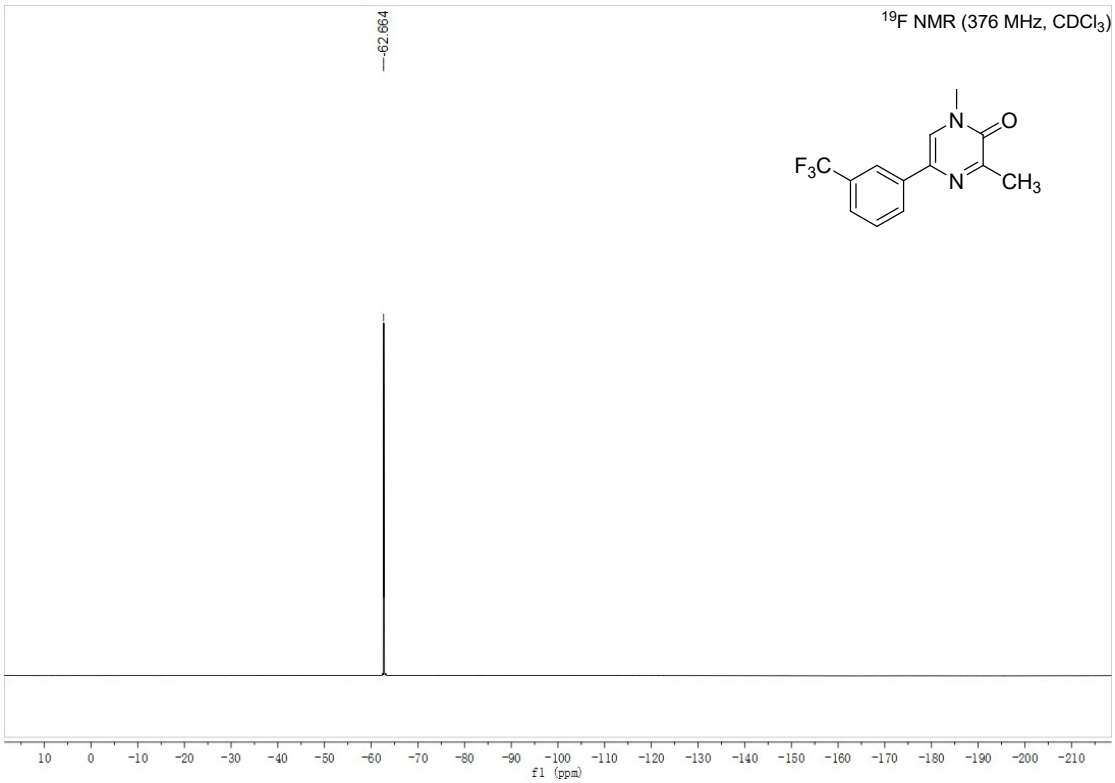




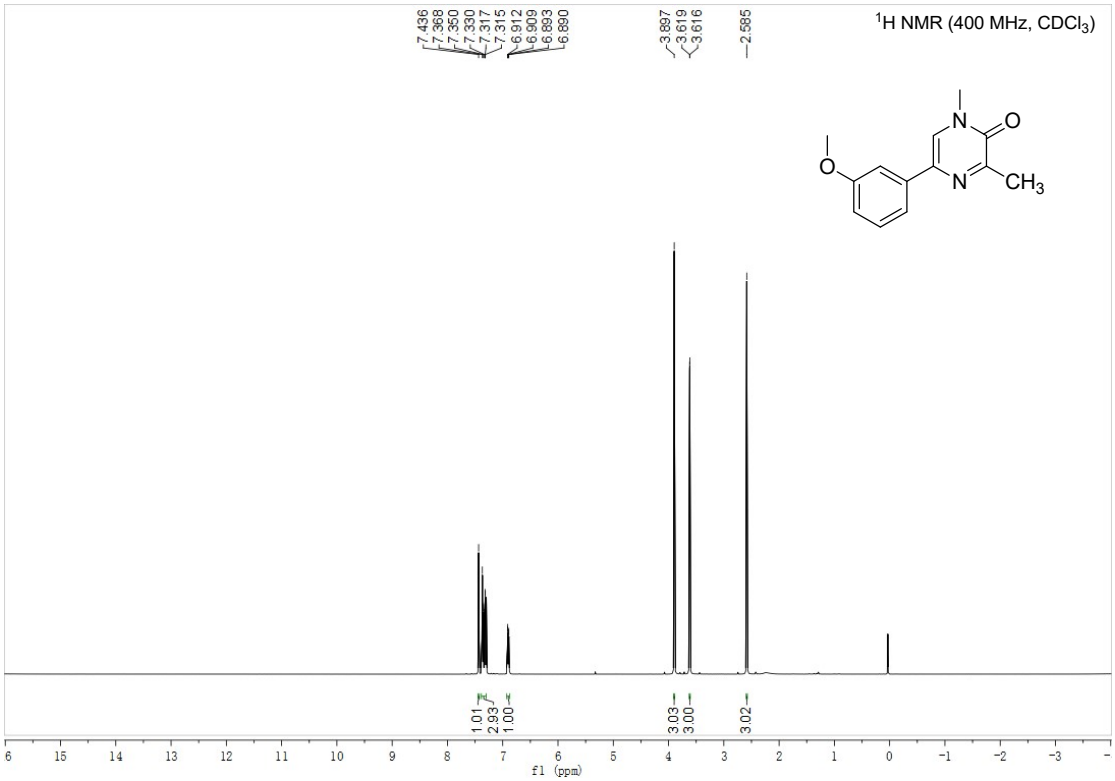
1,3-dimethyl-5-(3-(trifluoromethyl)phenyl)pyrazin-2(1*H*)-one (7o)

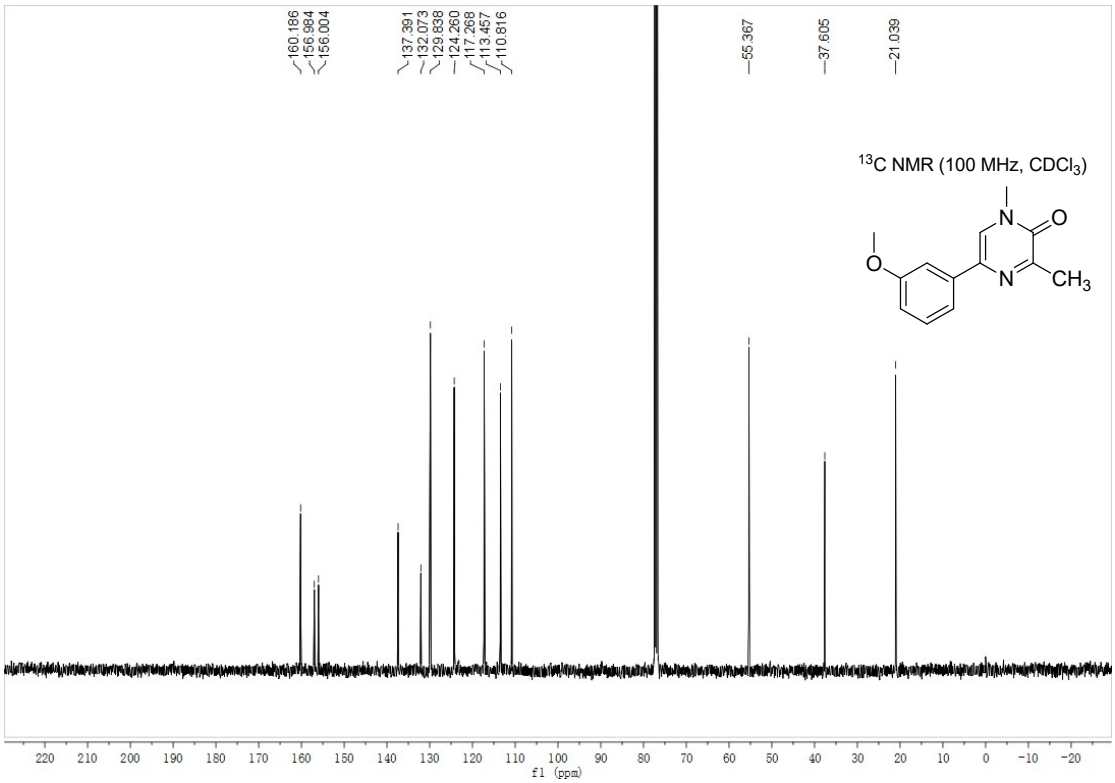




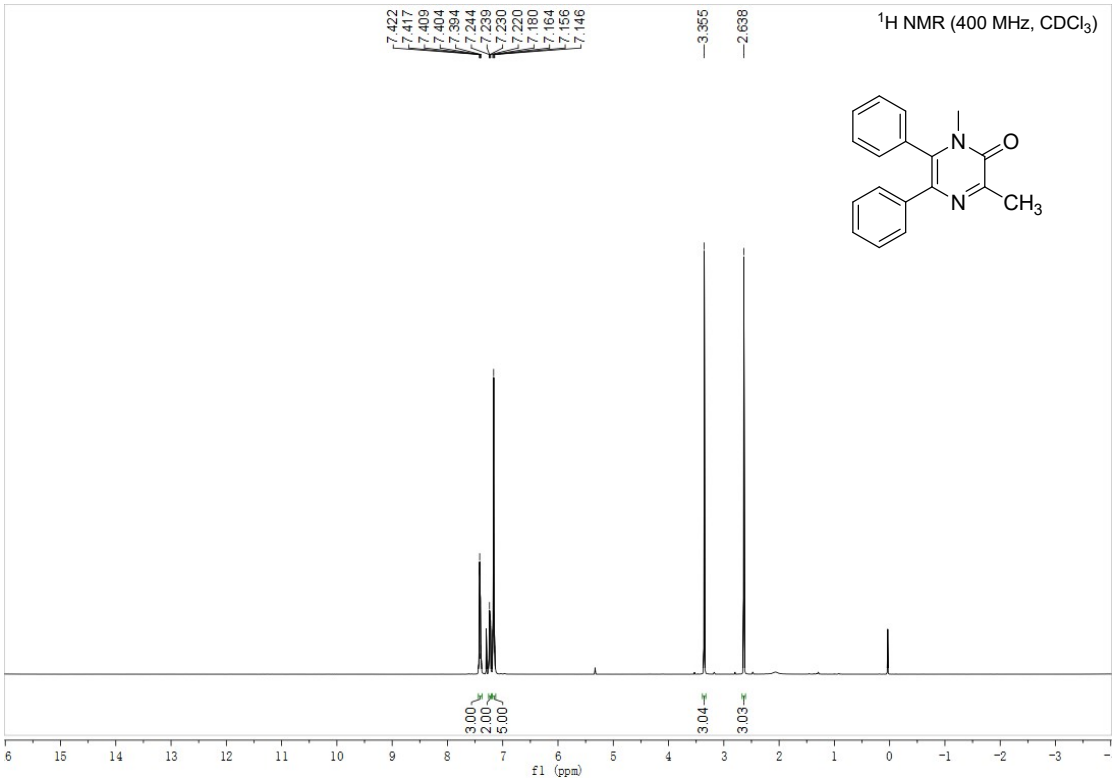


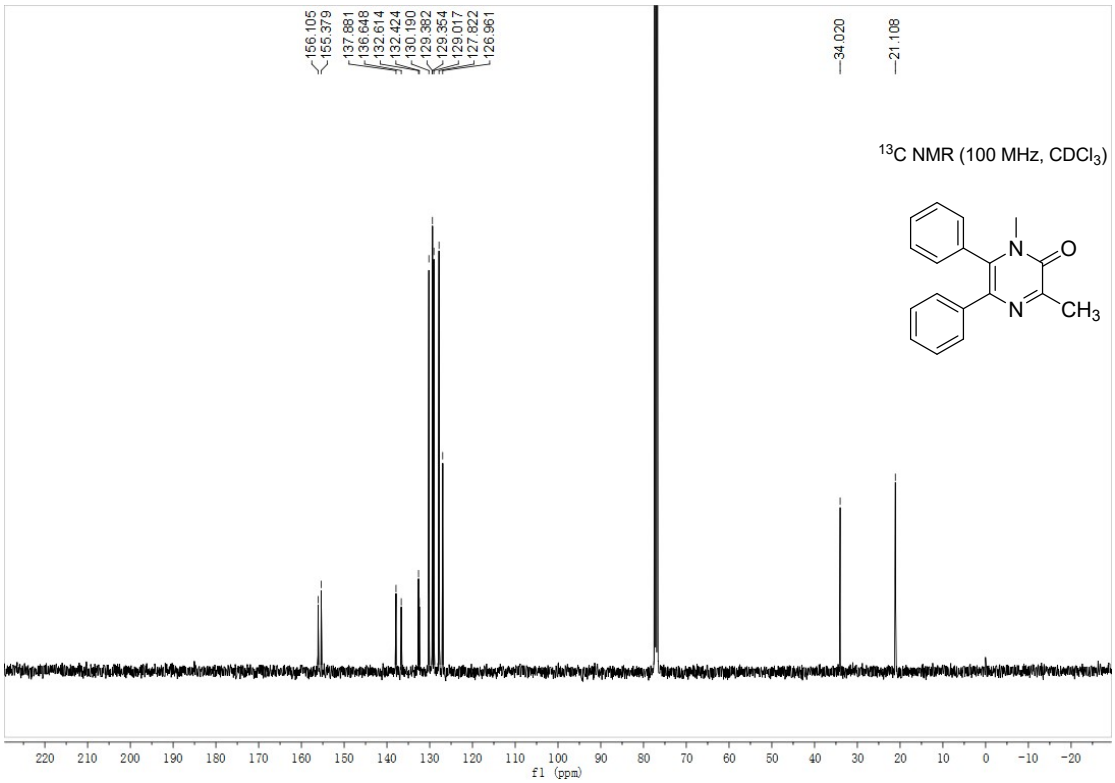
5-(3-methoxyphenyl)-1,3-dimethylpyrazin-2(1*H*)-one (7p)



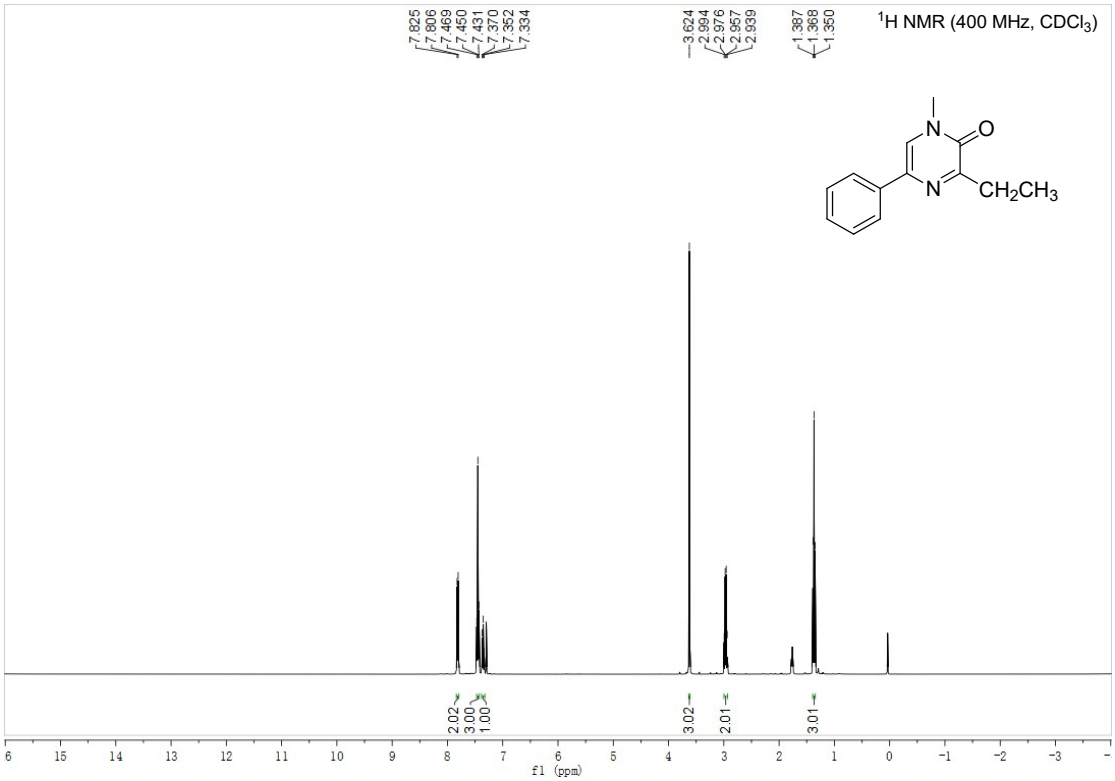


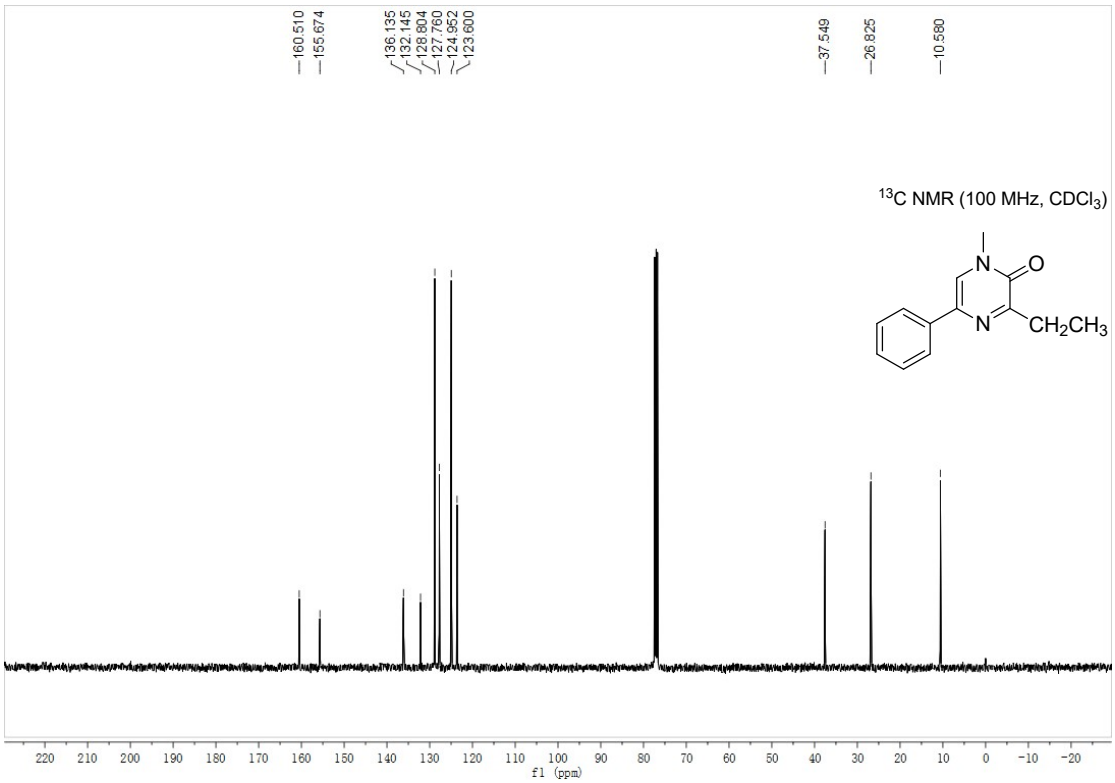
1,3-dimethyl-5,6-diphenylpyrazin-2(1*H*)-one (7q)



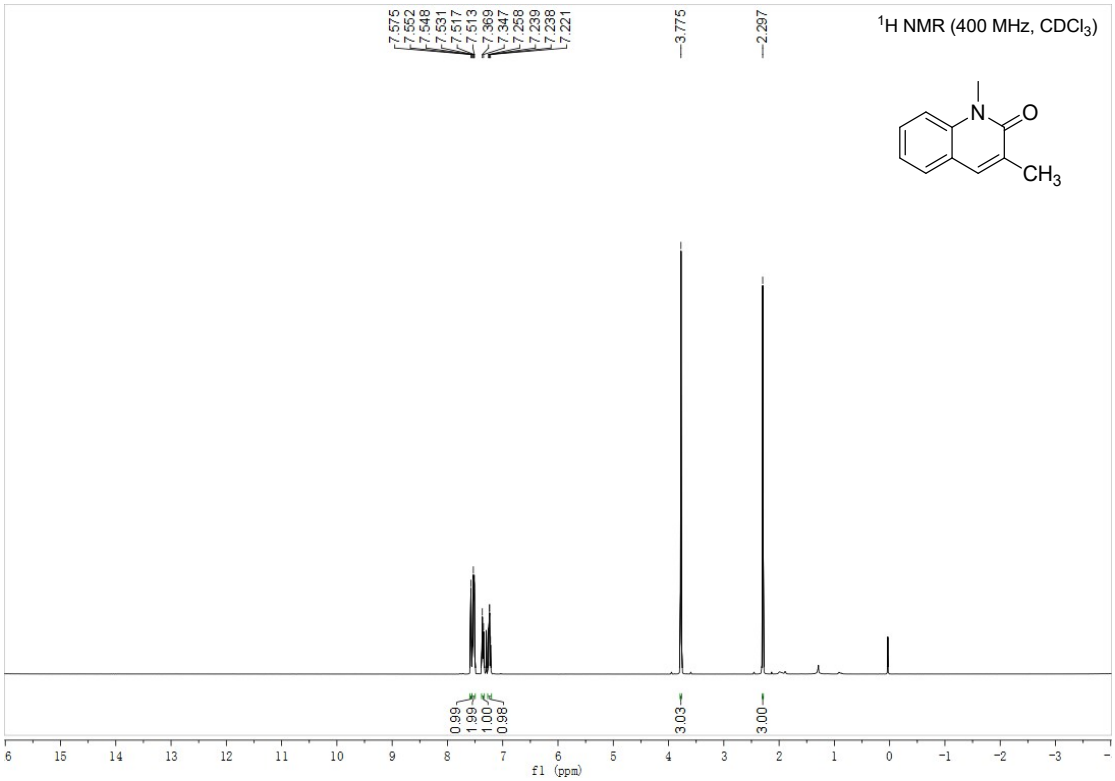


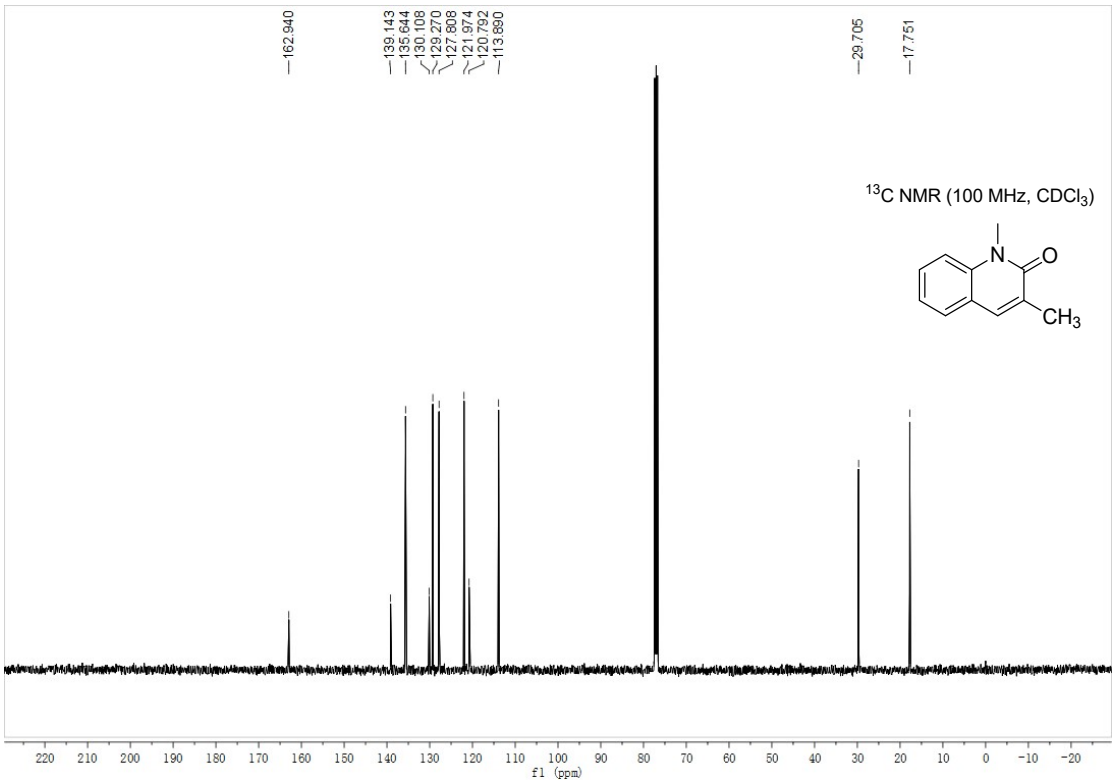
3-ethyl-1-methyl-5-phenylpyrazin-2(1*H*)-one (7r)



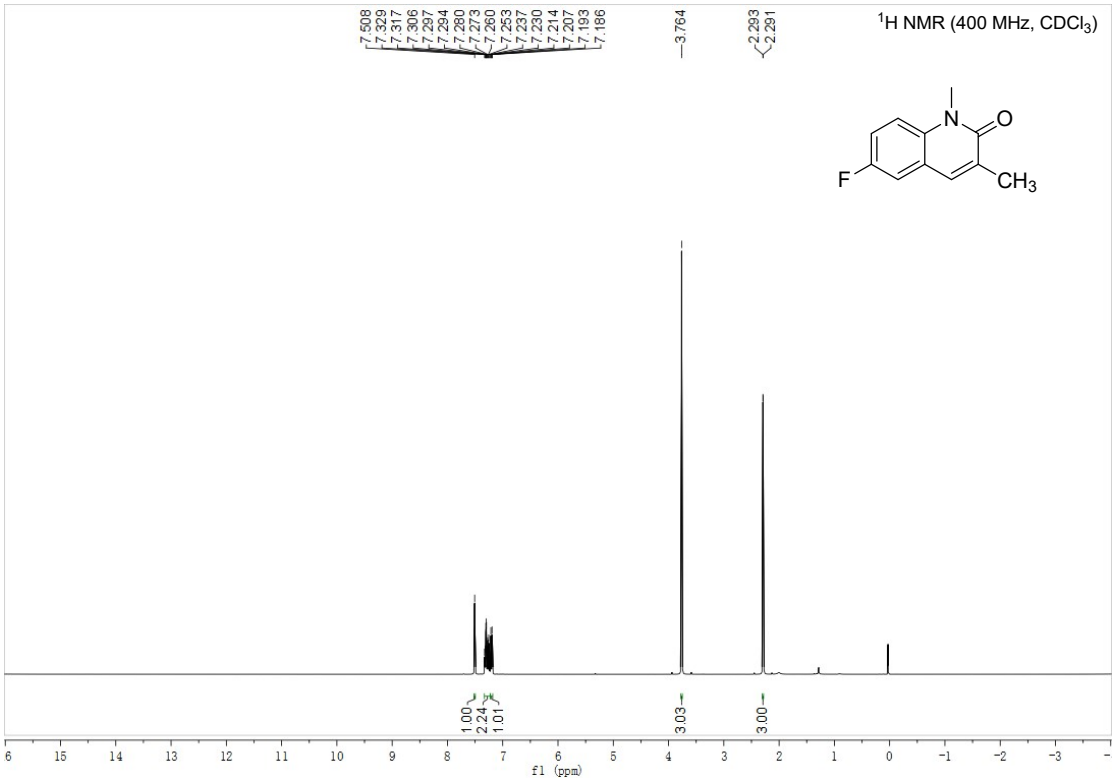


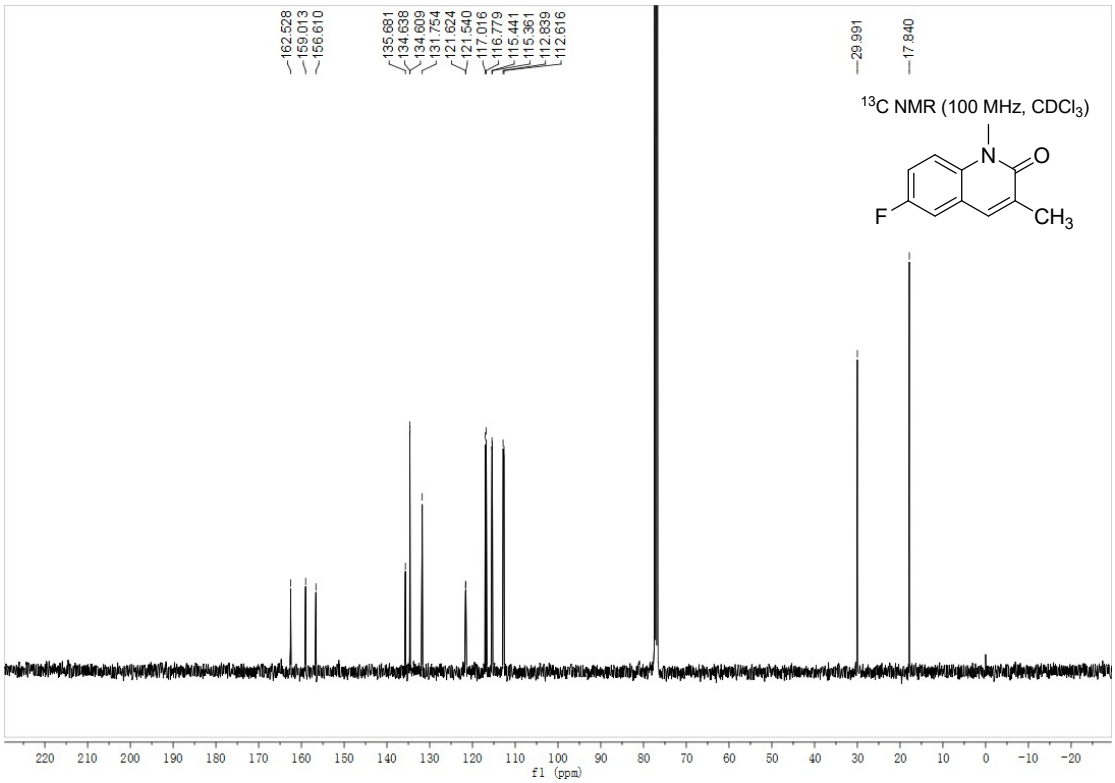
1,3-dimethylquinolin-2(1*H*)-one (8a)





6-fluoro-1,3-dimethylquinolin-2(1*H*)-one (8b)

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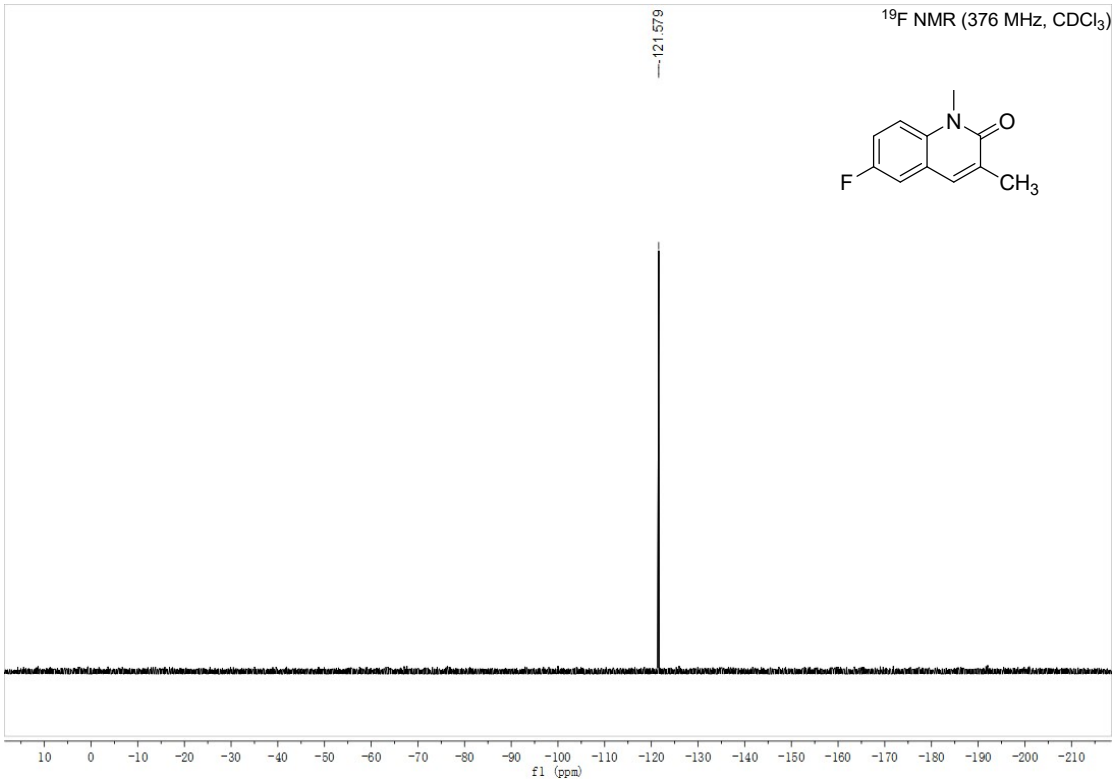
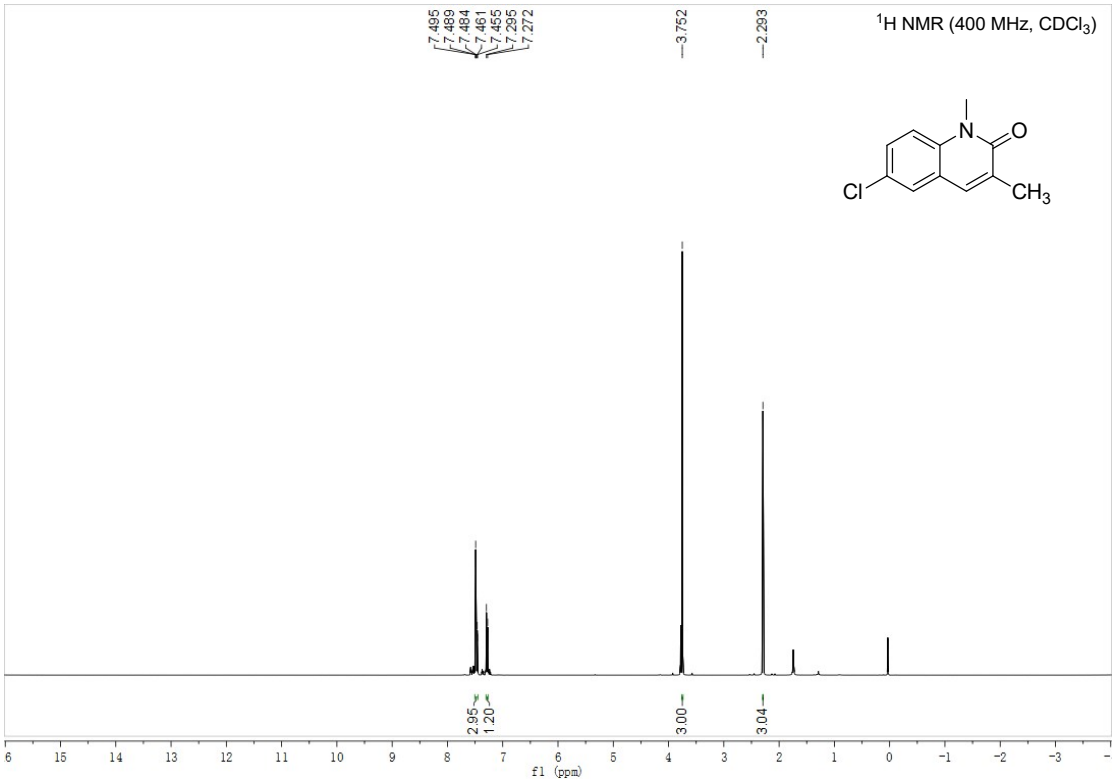
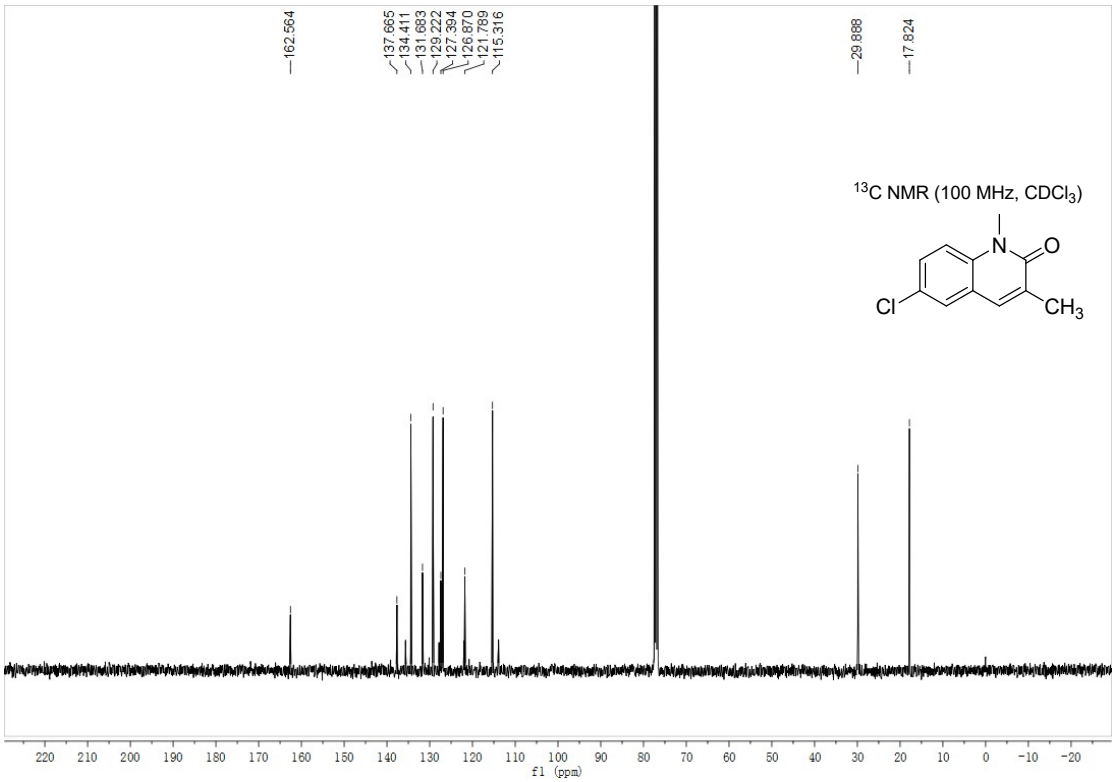
156.610
135.681
134.638
134.609
131.754
121.624
121.540
117.016
116.779
115.441
115.361
112.839
112.616
29.991
17.840
13C NMR (100 MHz, CDCl3)
N
O
F
CH3
220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 -20
f1 (ppm)

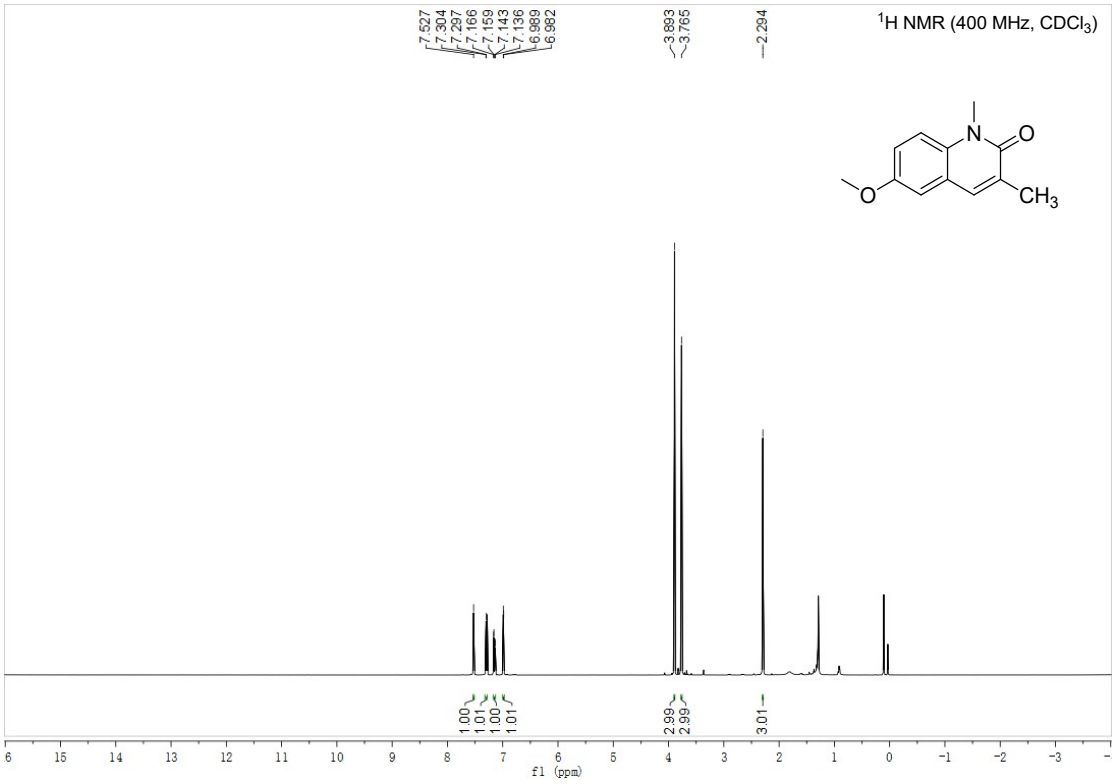

19F NMR (376 MHz, CDCl3)
-121.579
N
O
F
CH3
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

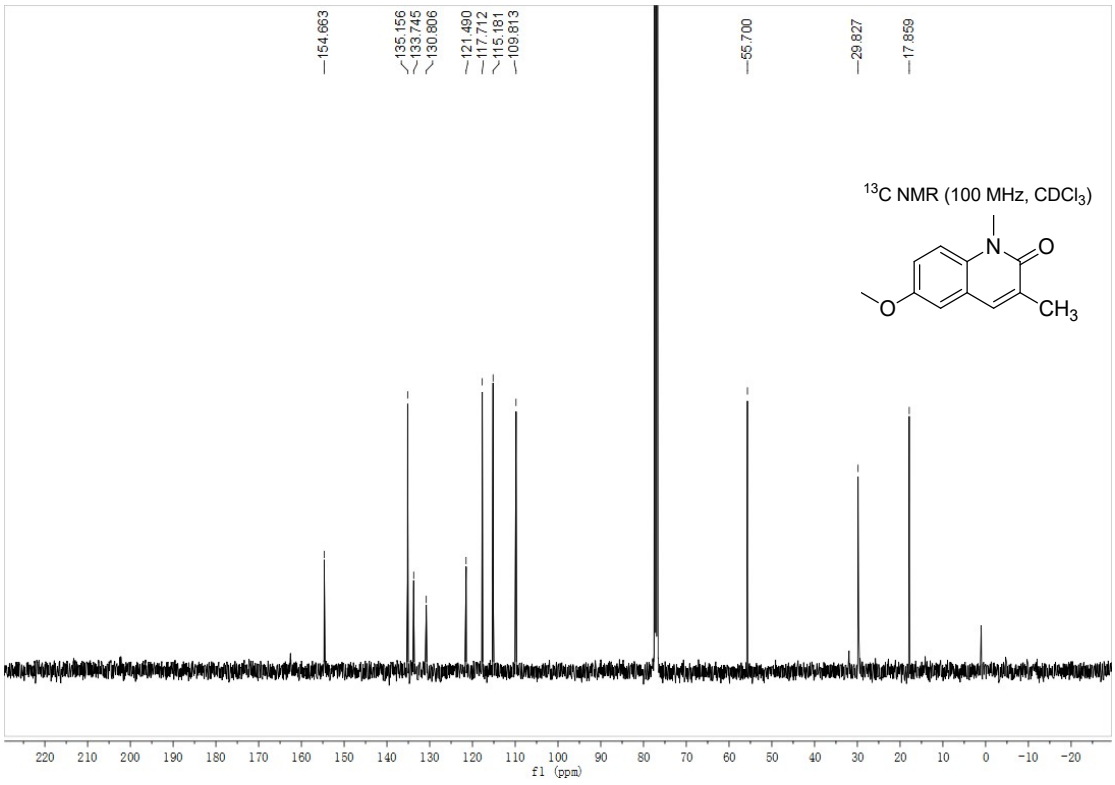
6-chloro-1,3-dimethylquinolin-2(1*H*)-one (8c)



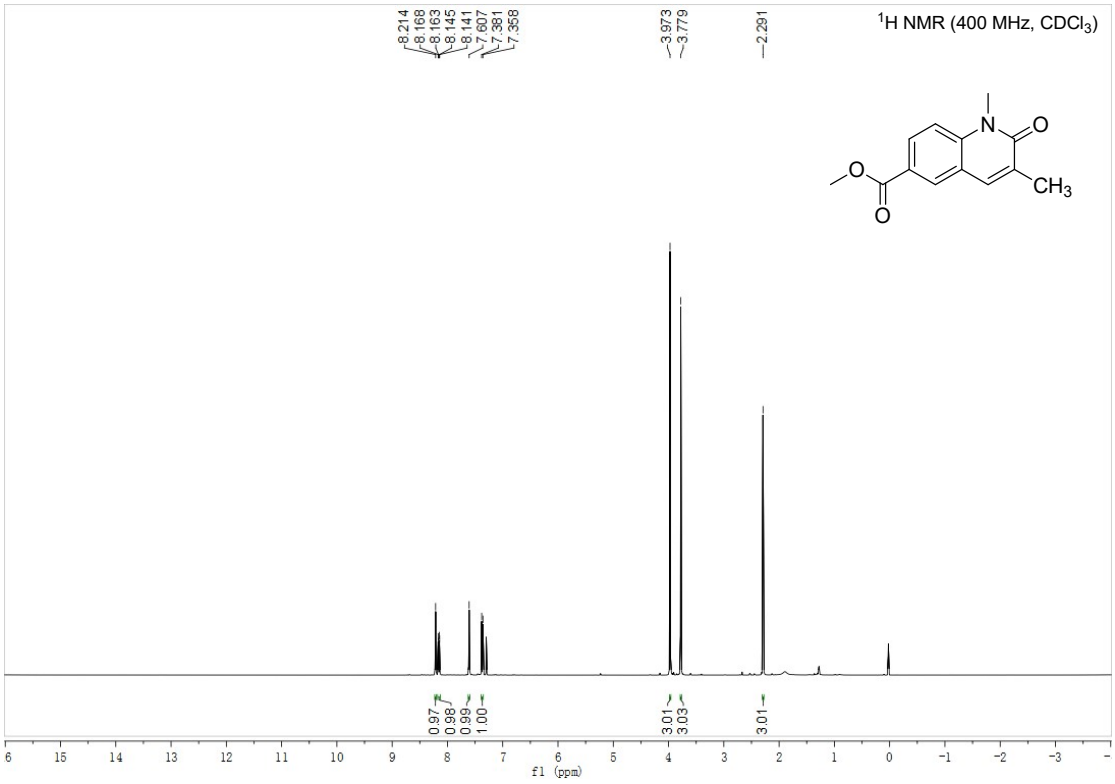


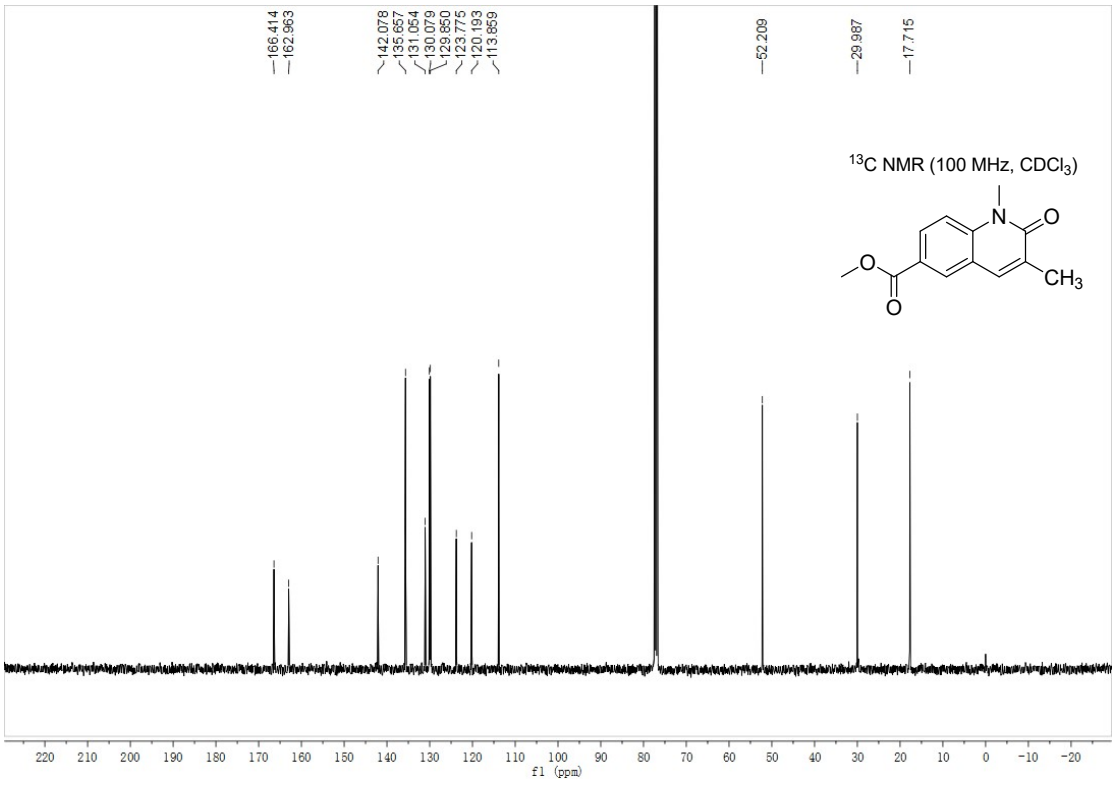
6-methoxy-1,3-dimethylquinolin-2(1*H*)-one (8d)



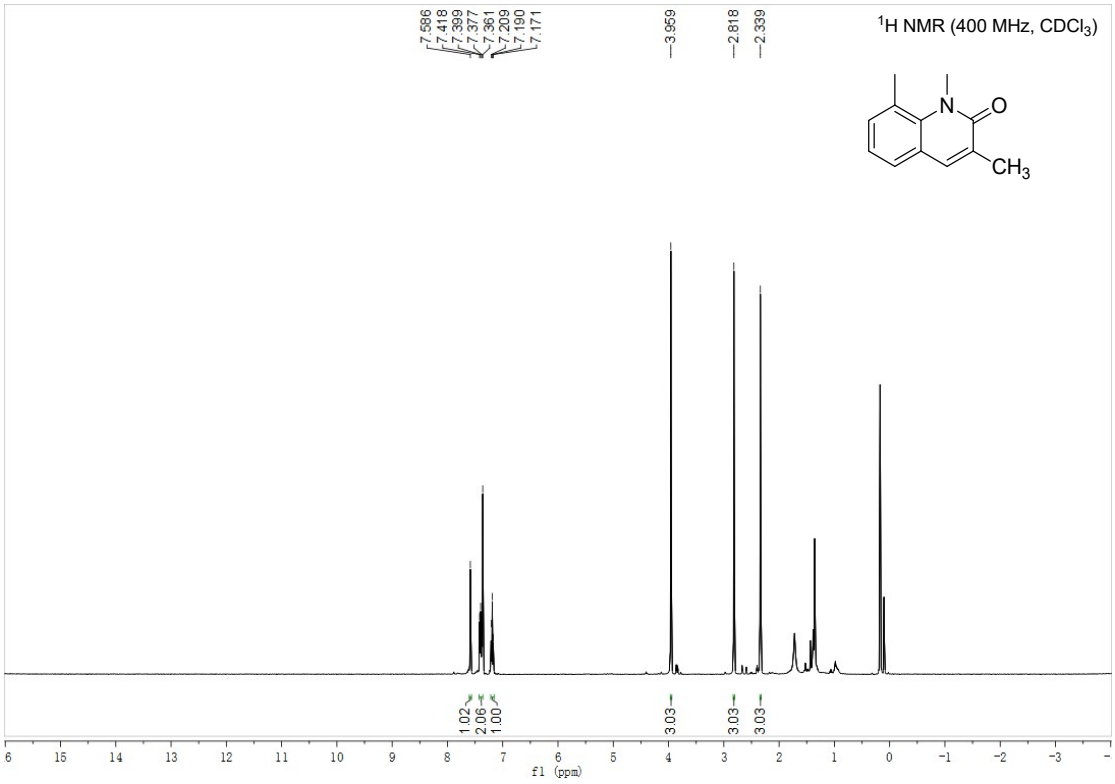


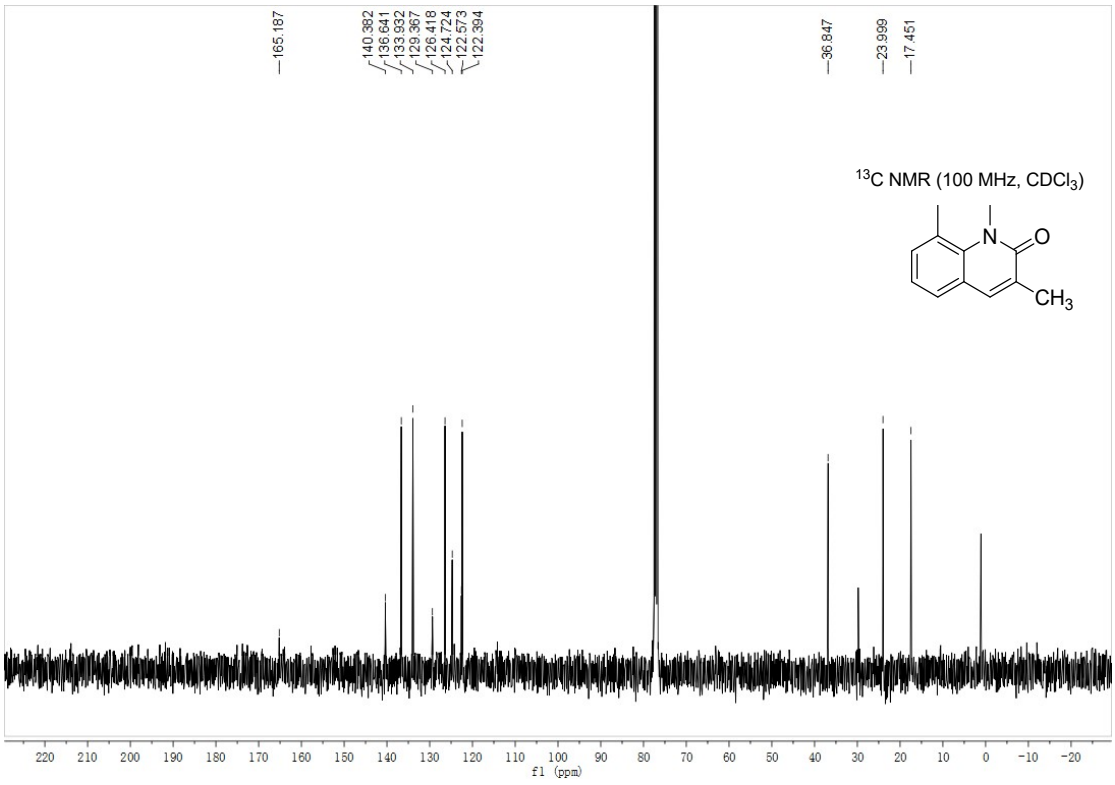
methyl 1,3-dimethyl-2-oxo-1,2-dihydroquinoline-6-carboxylate (8e)



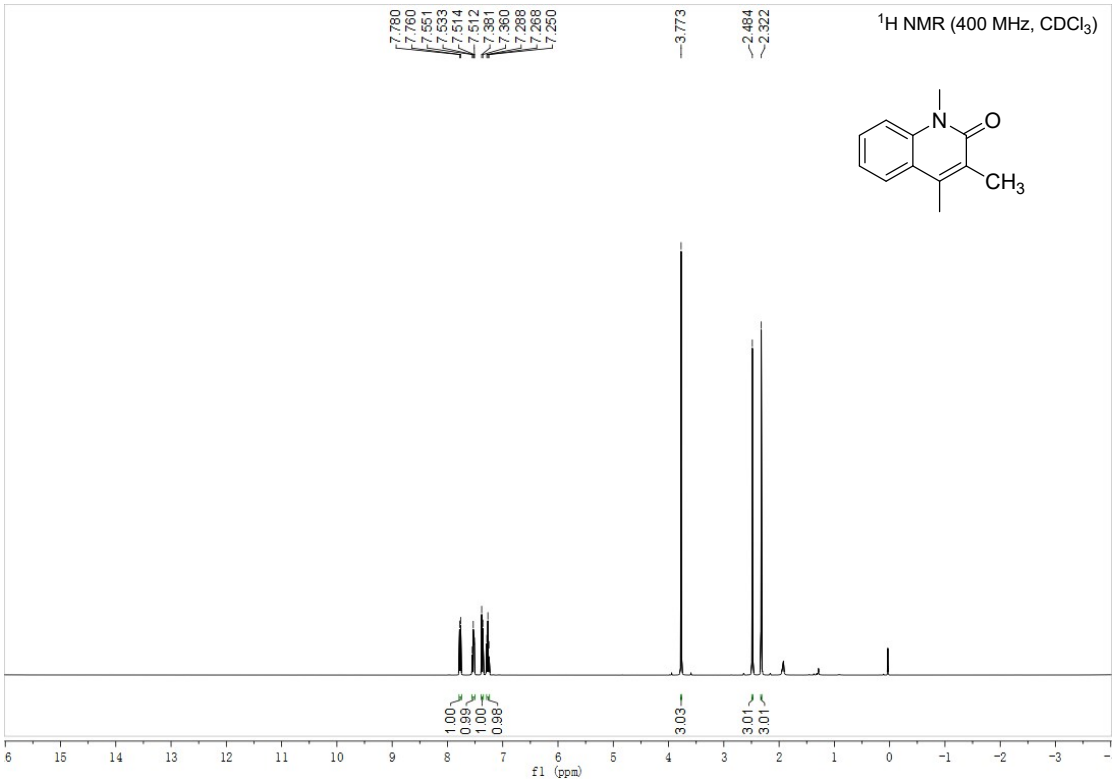


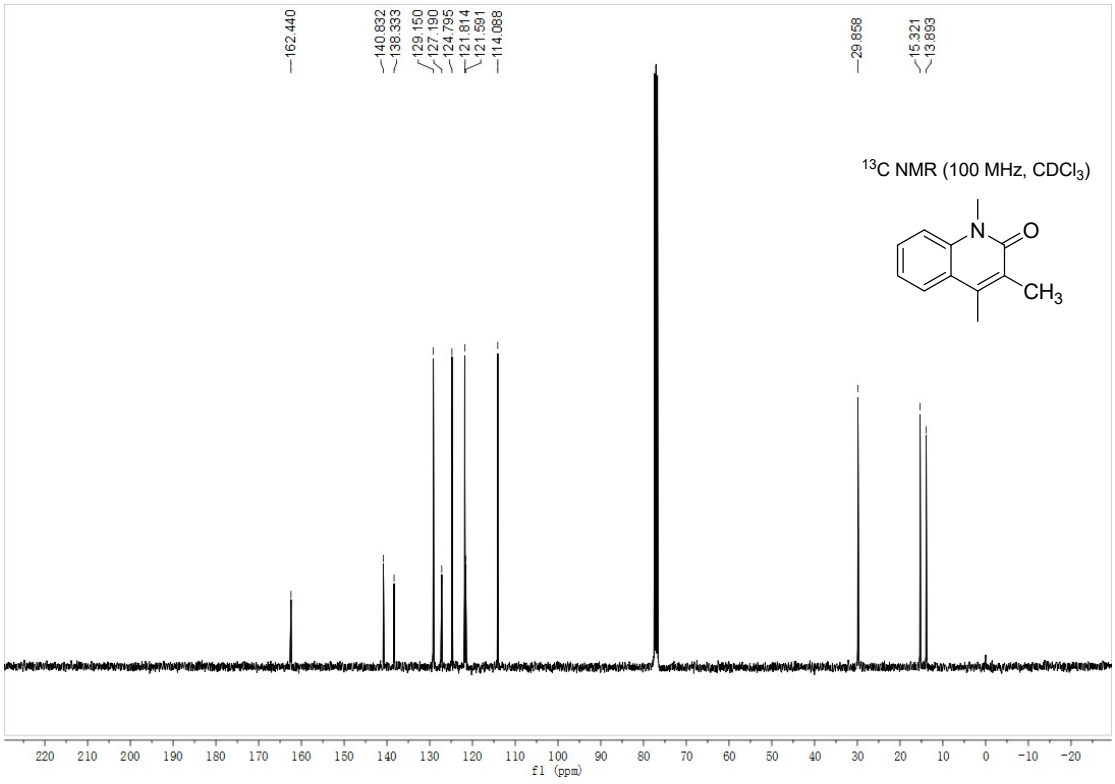
1,3,8-trimethylquinolin-2(1*H*)-one (8f)



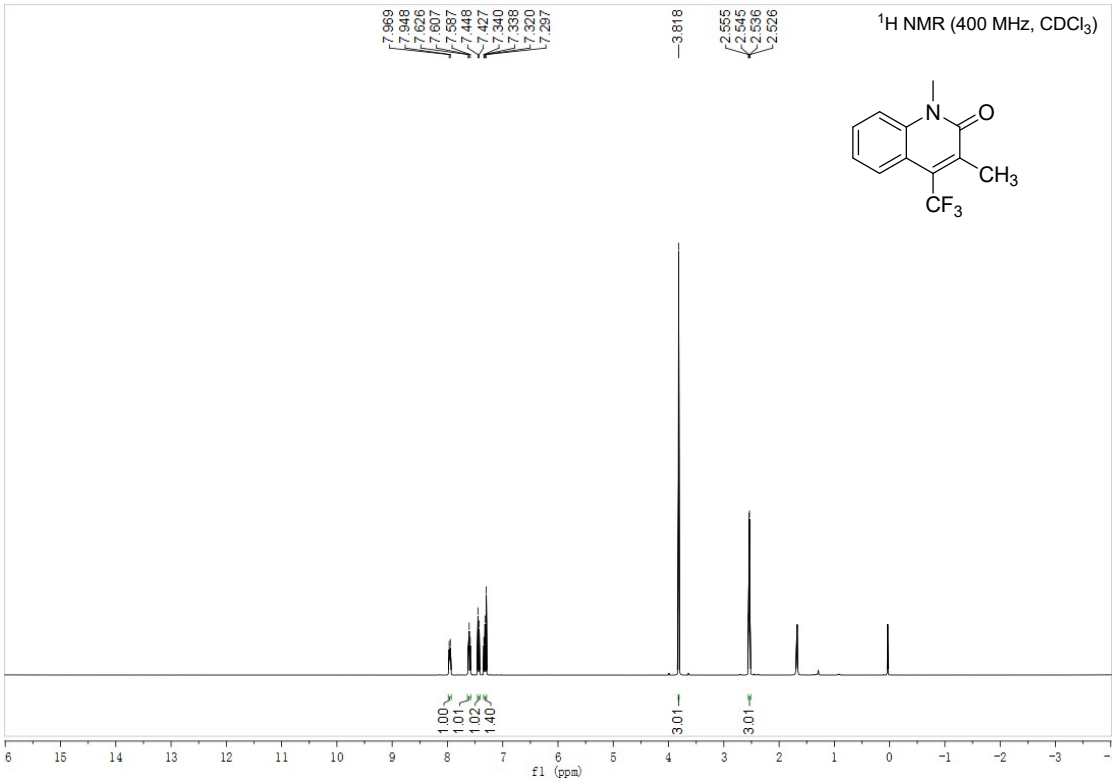


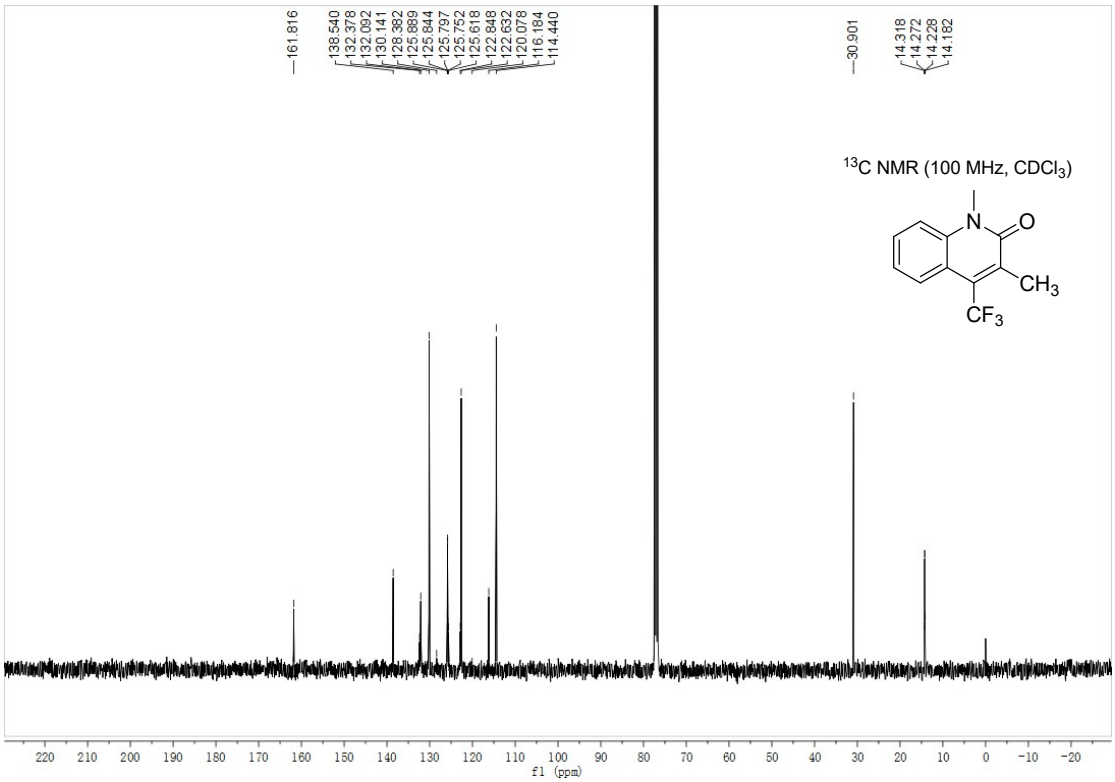
1,3,4-trimethylquinolin-2(1*H*)-one (8g)

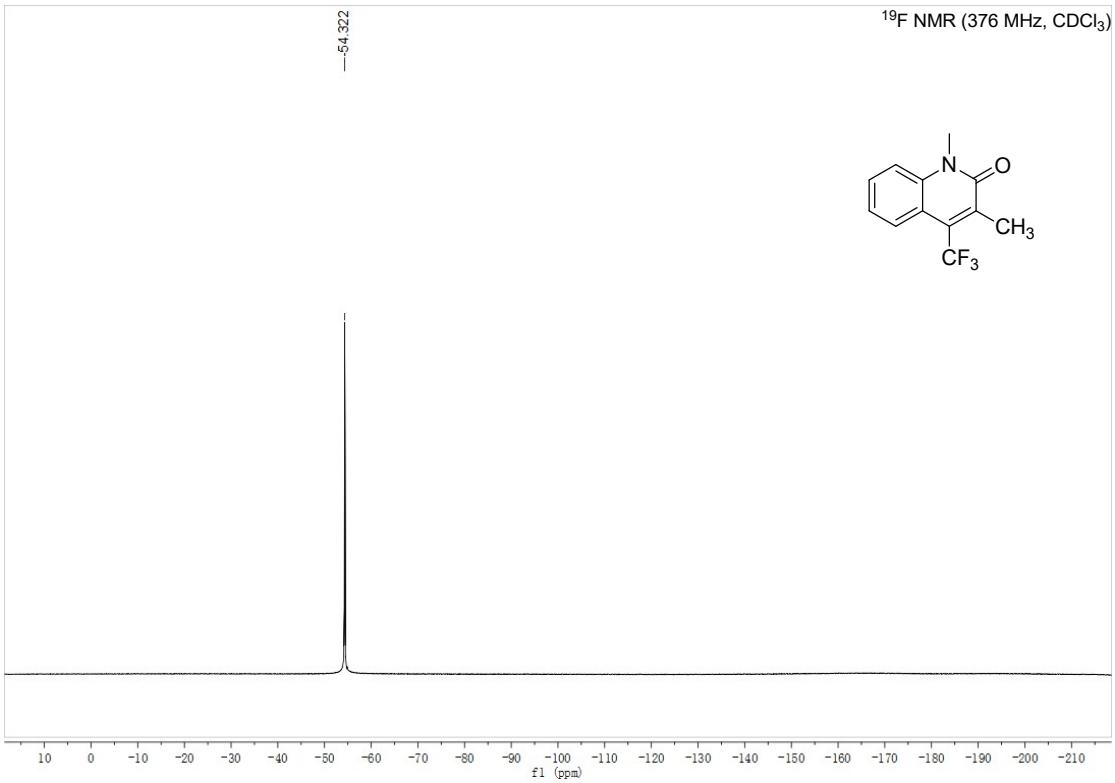




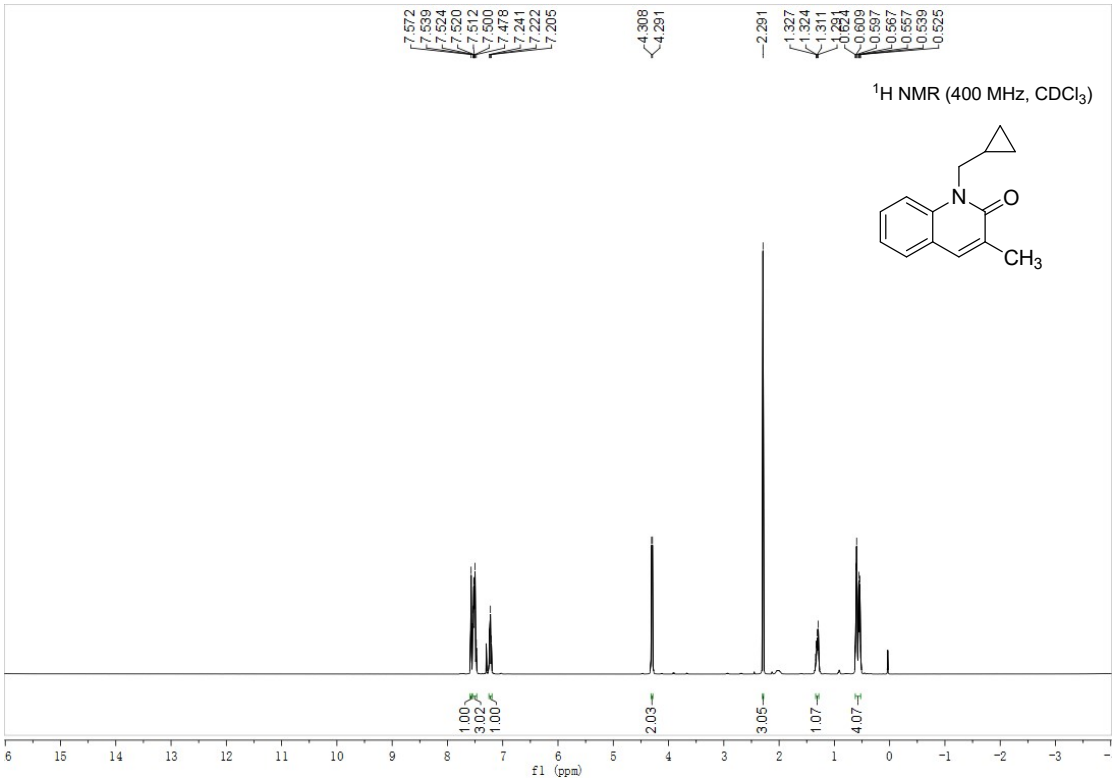
1,3-dimethyl-4-(trifluoromethyl)quinolin-2(1*H*)-one (8h)

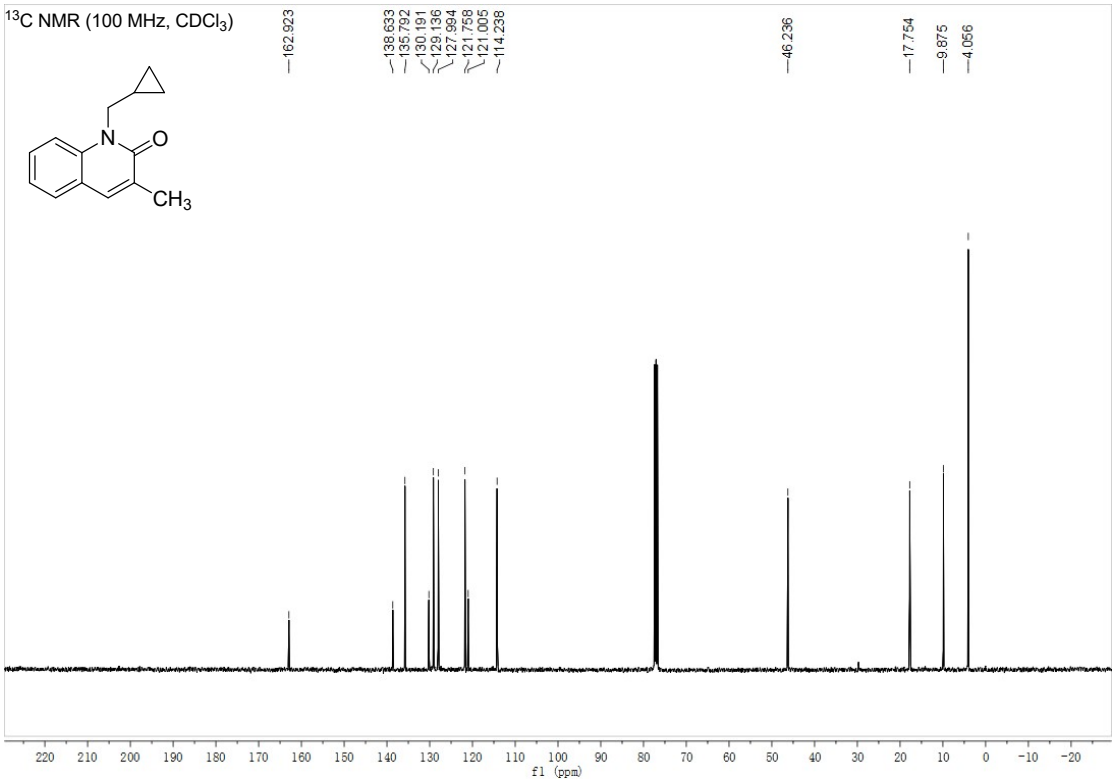




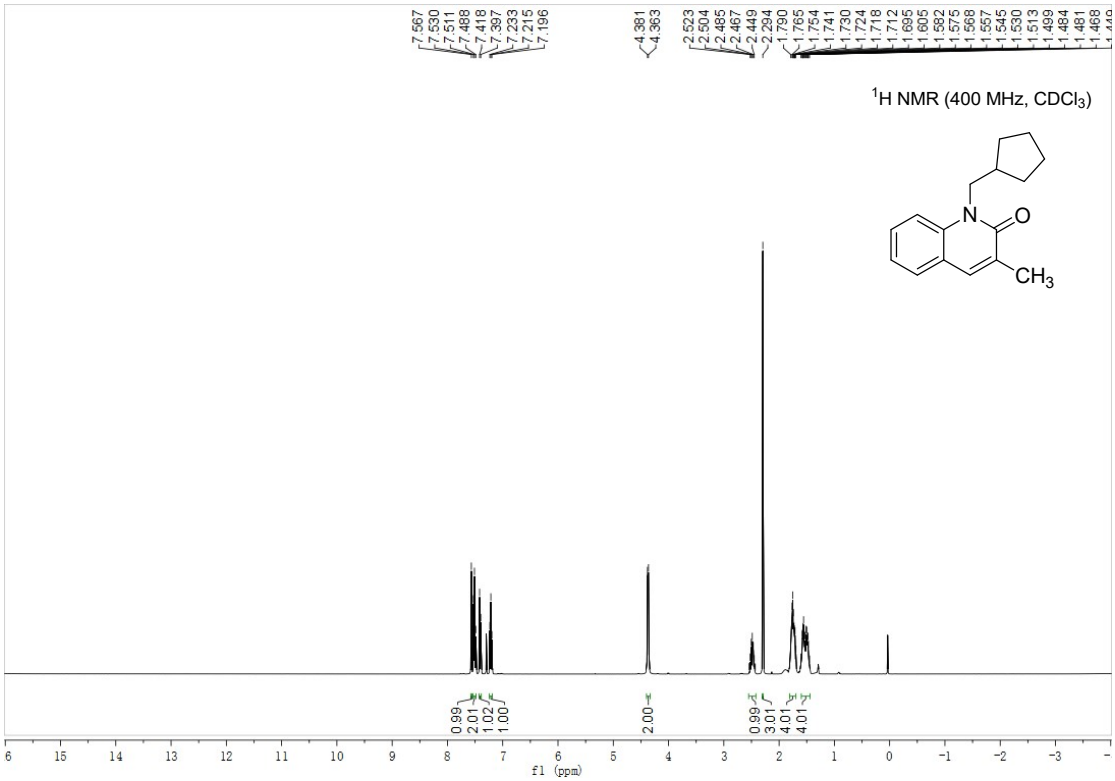


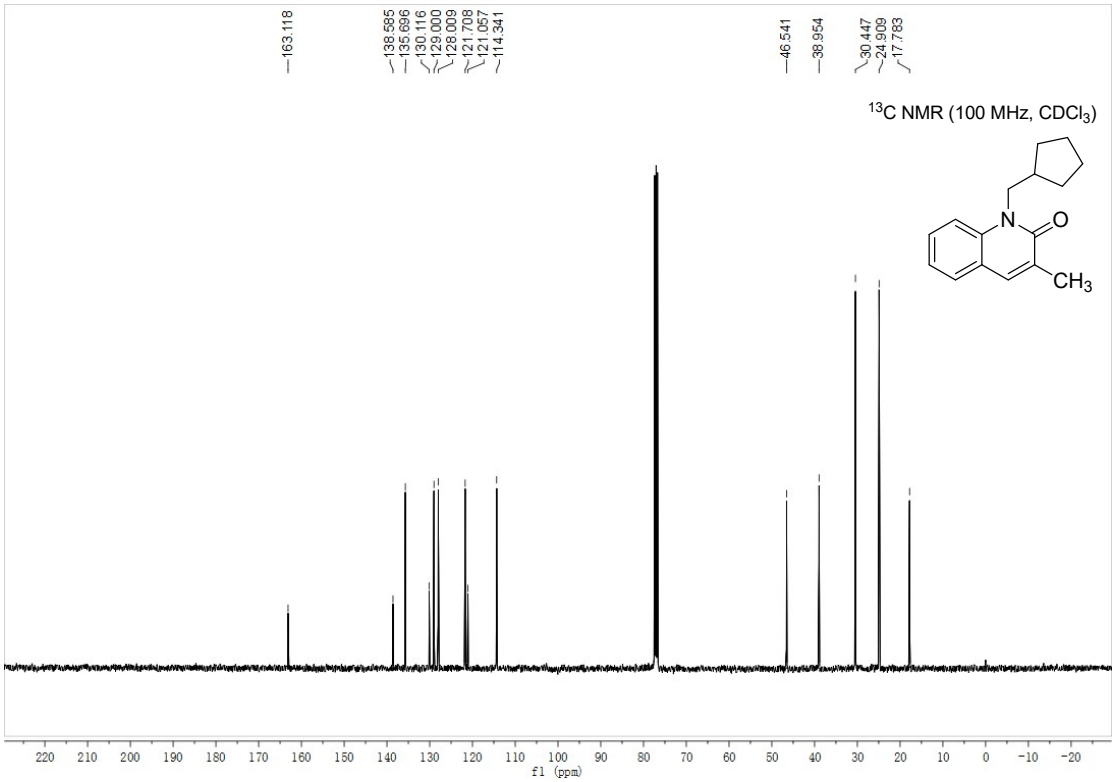
1-(cyclopropylmethyl)-3-methylquinolin-2(1*H*)-one (8i)



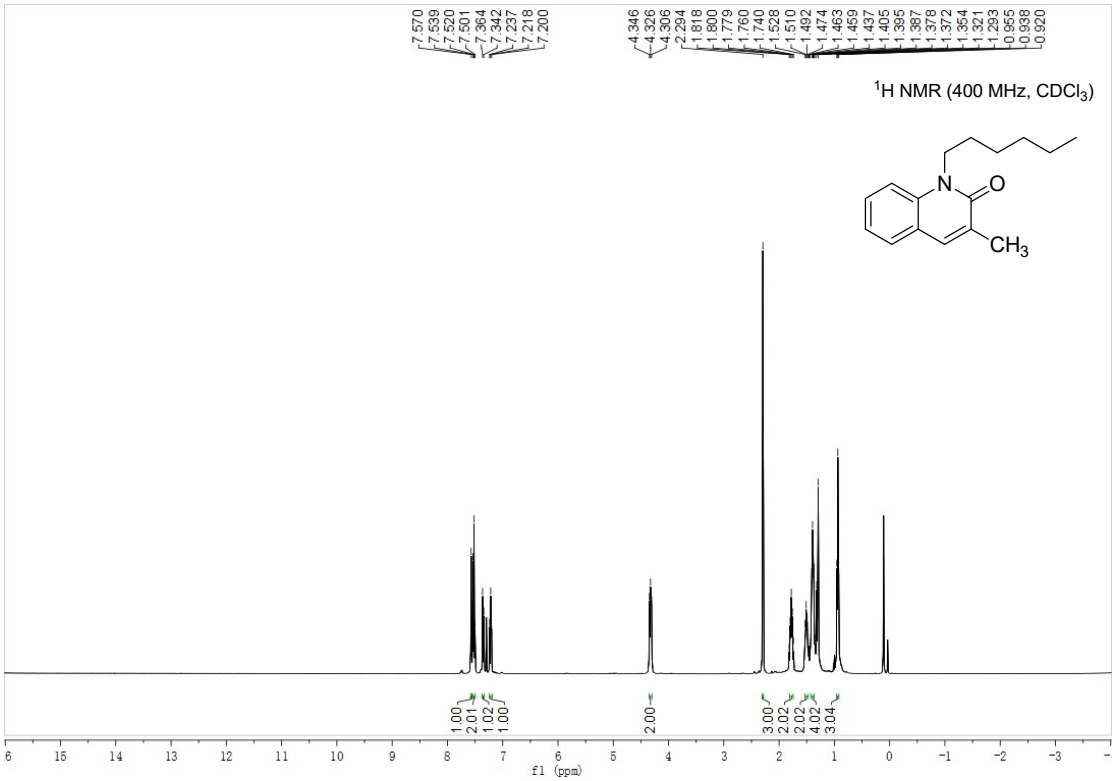


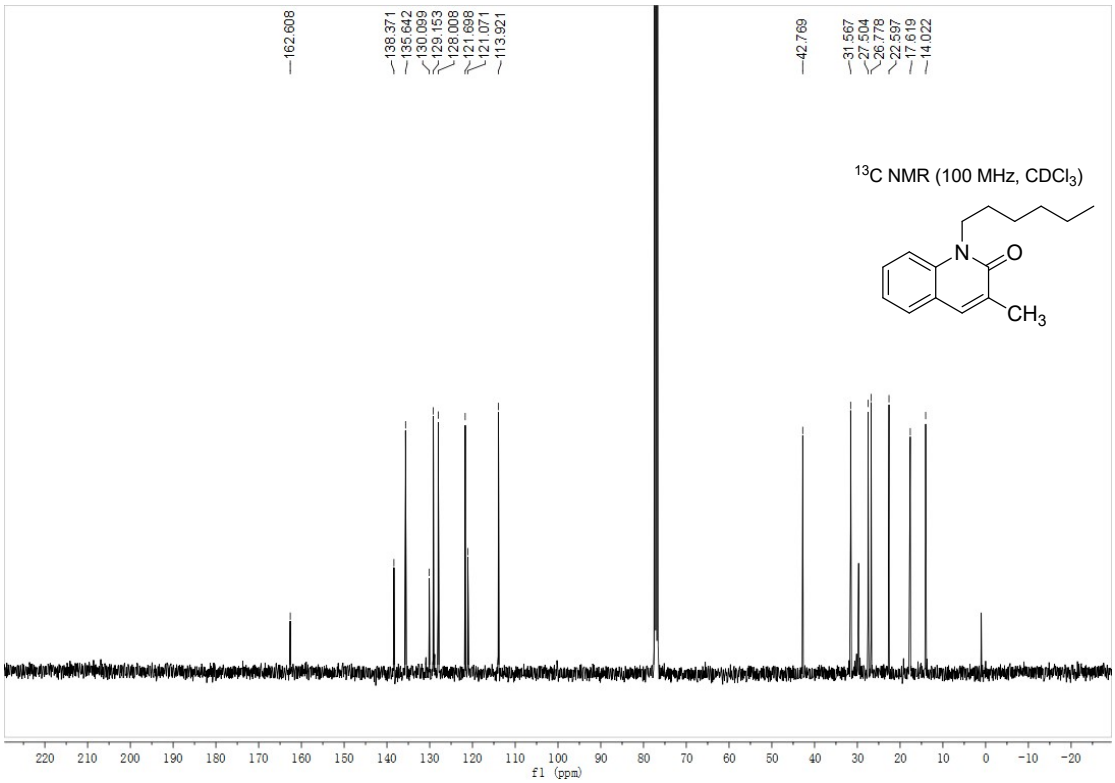
1-(cyclopentylmethyl)-3-methylquinolin-2(1*H*)-one (8j)



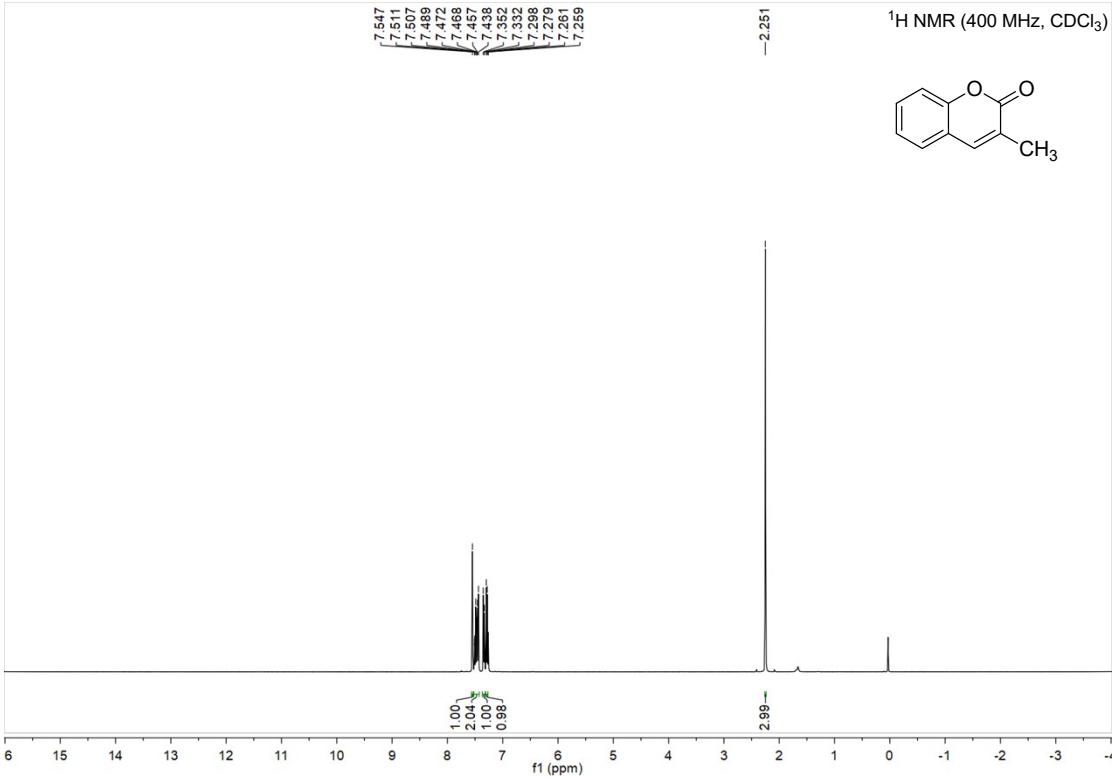


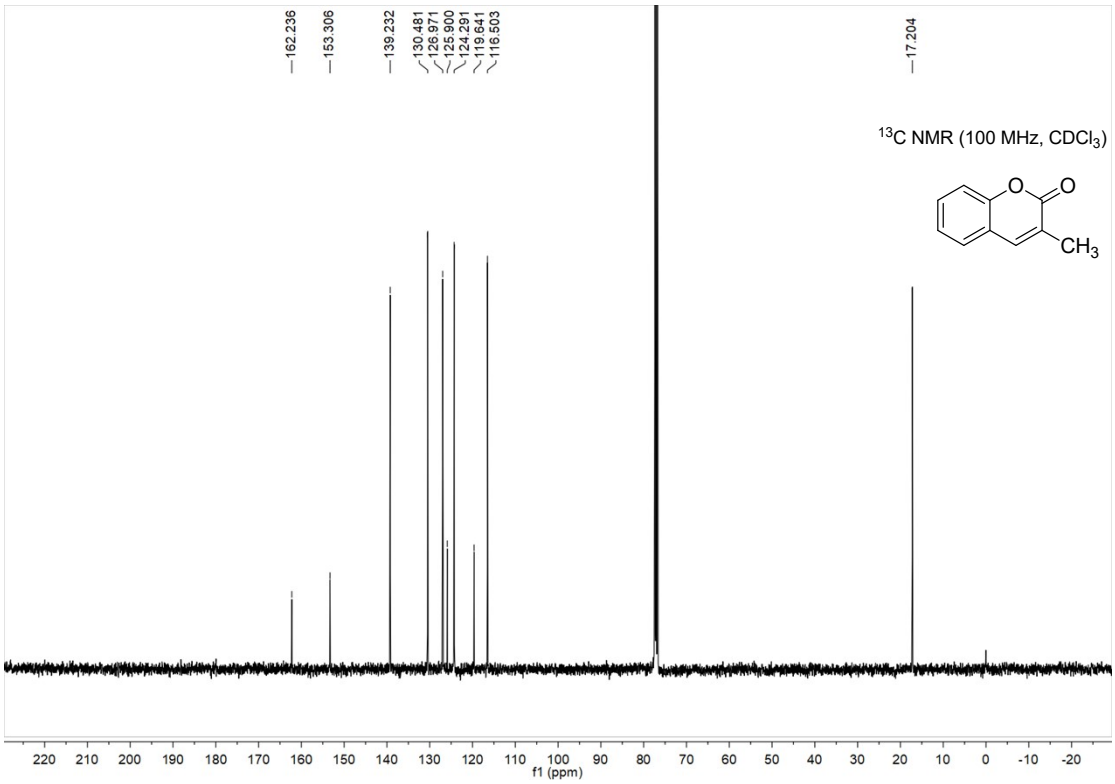
1-hexyl-3-methylquinolin-2(1*H*)-one (8k)



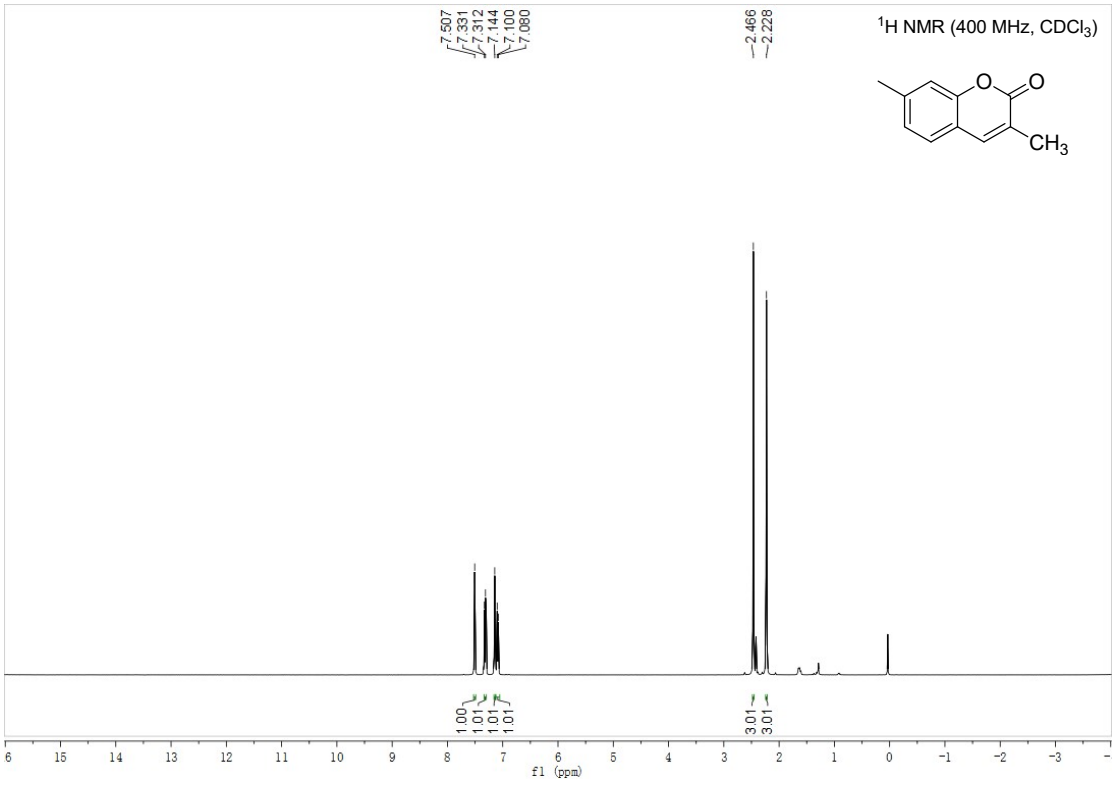


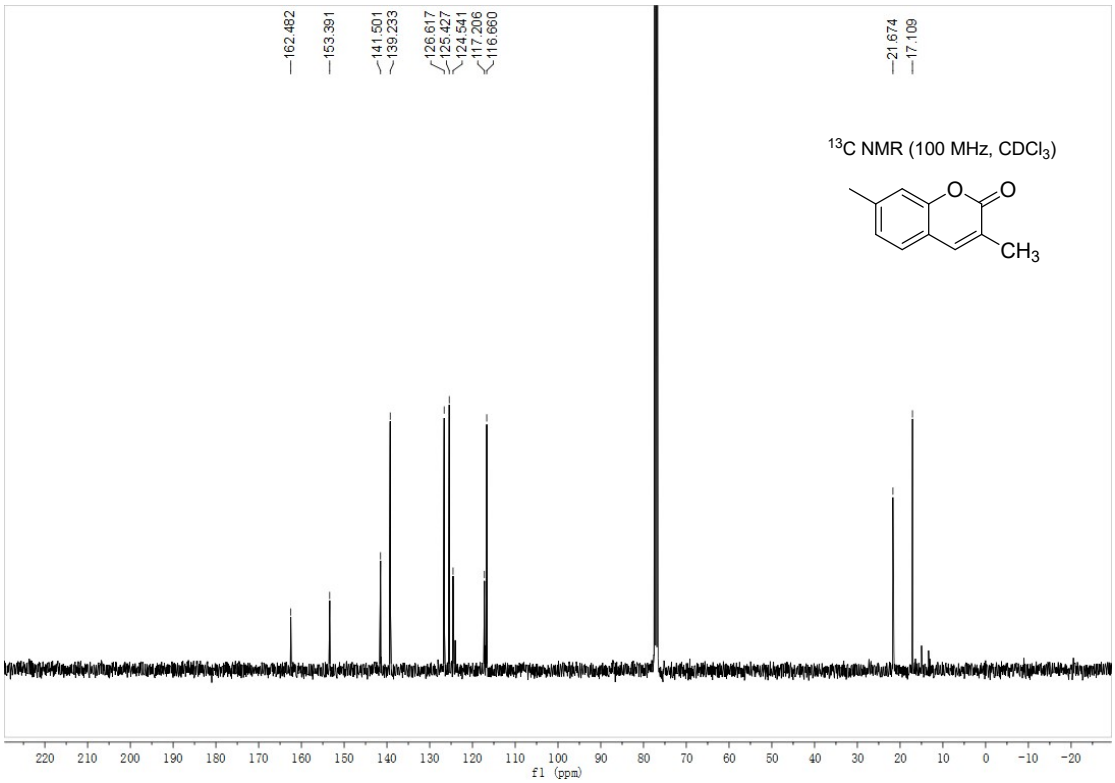
3-methyl-2*H*-chromen-2-one (9a)



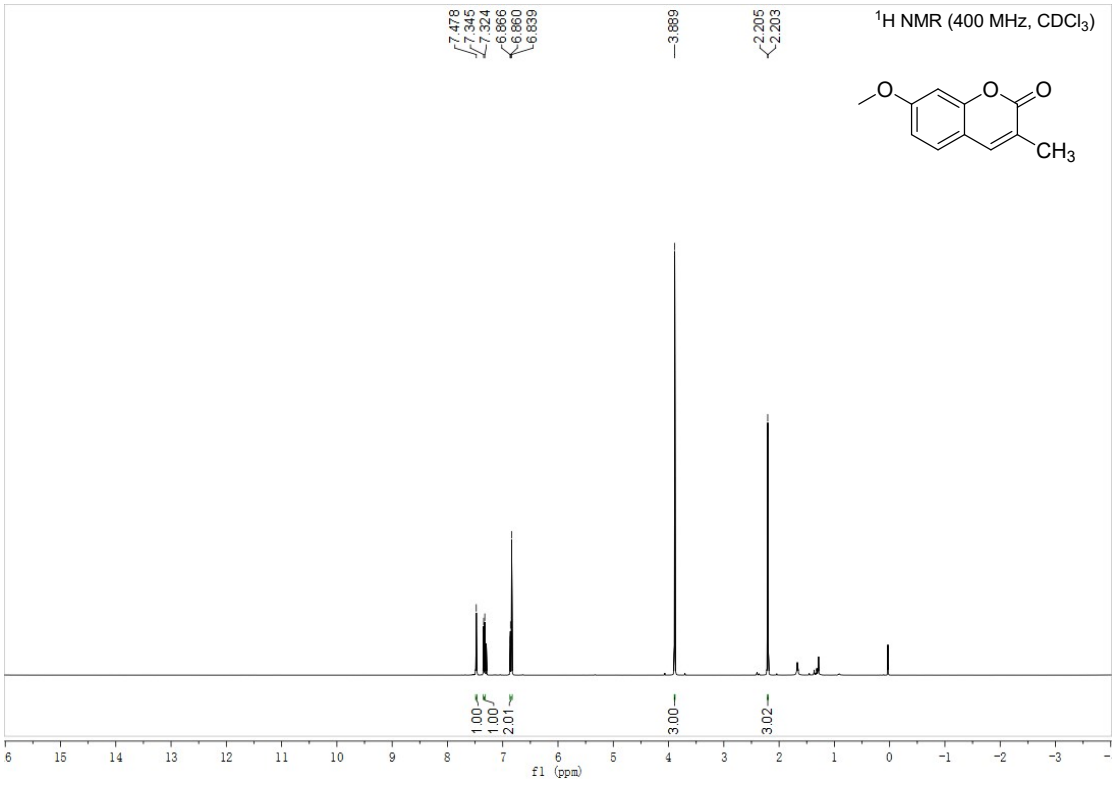


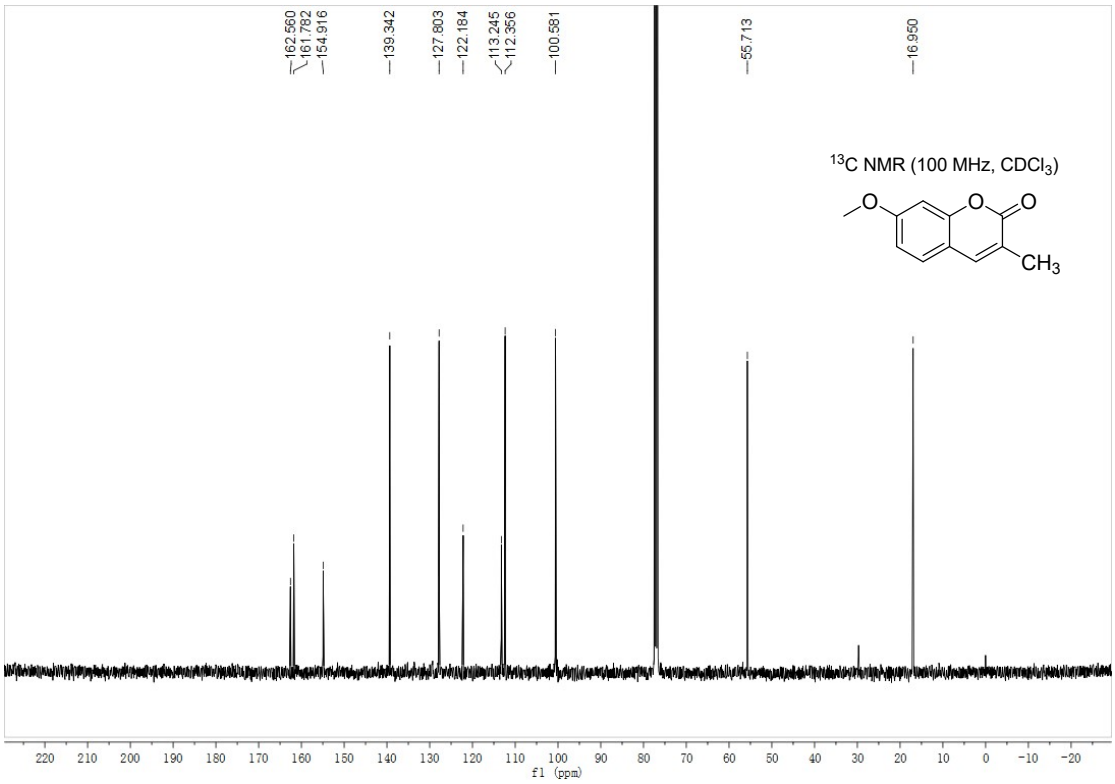
3,7-dimethyl-2*H*-chromen-2-one (9b)



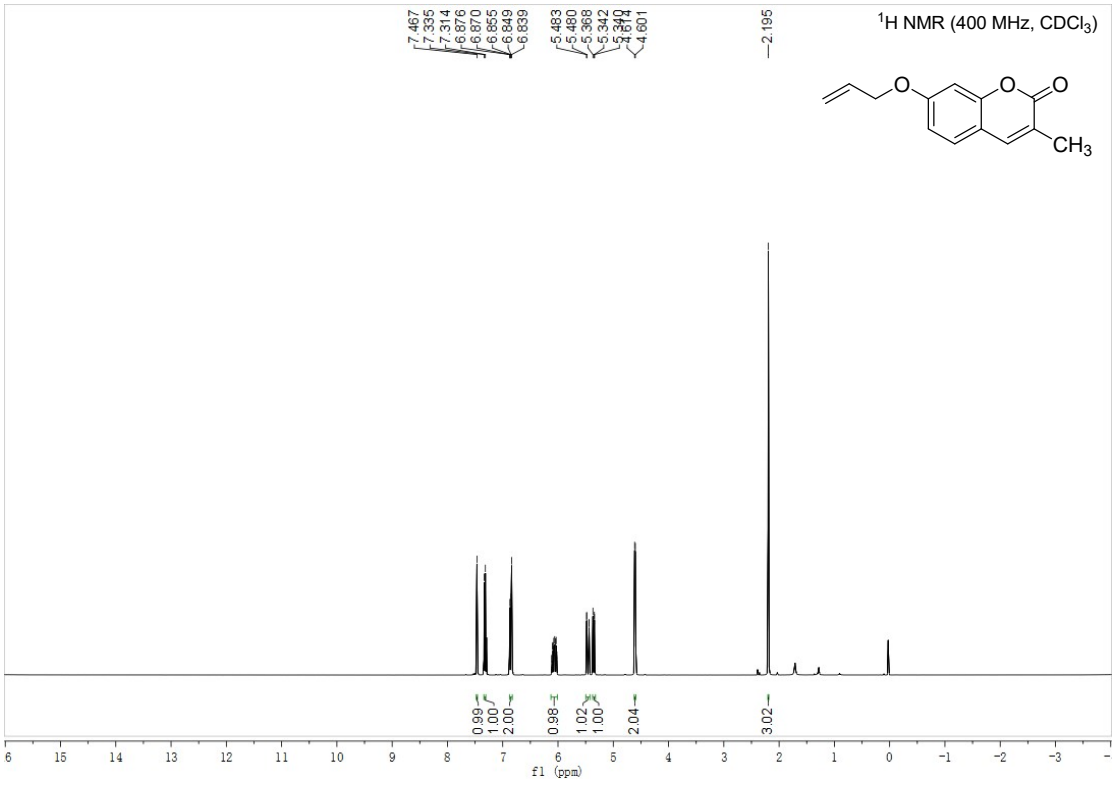


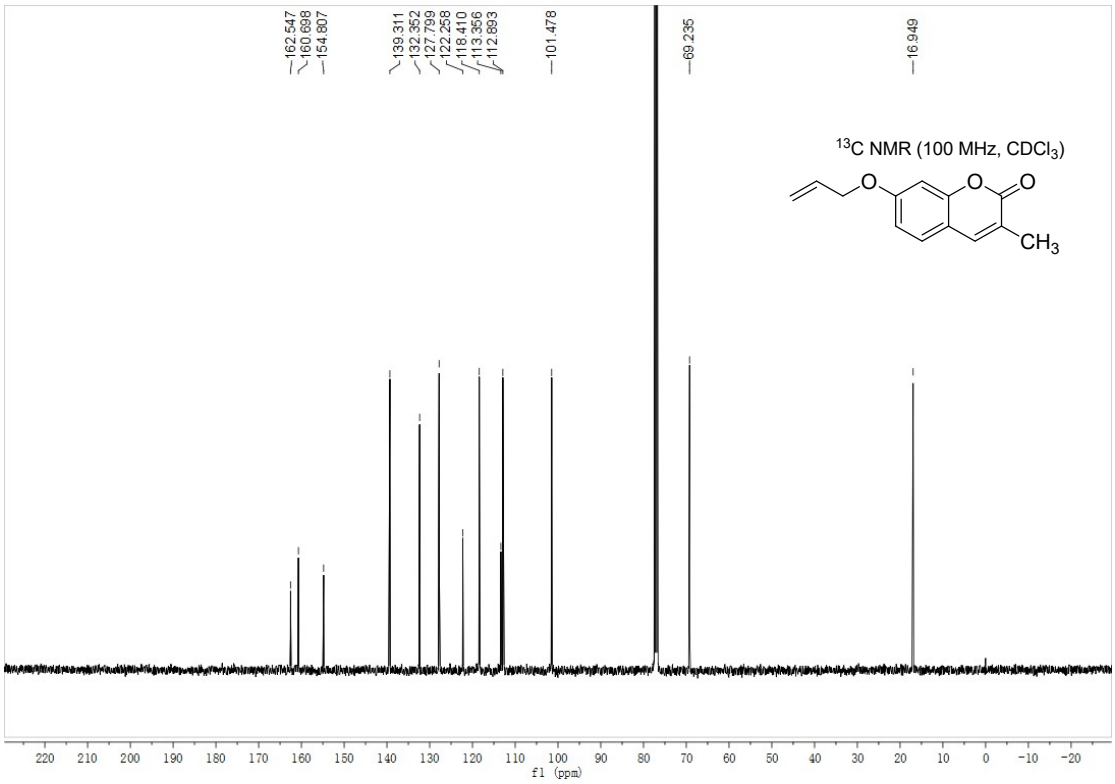
7-methoxy-3-methyl-2*H*-chromen-2-one (9c)



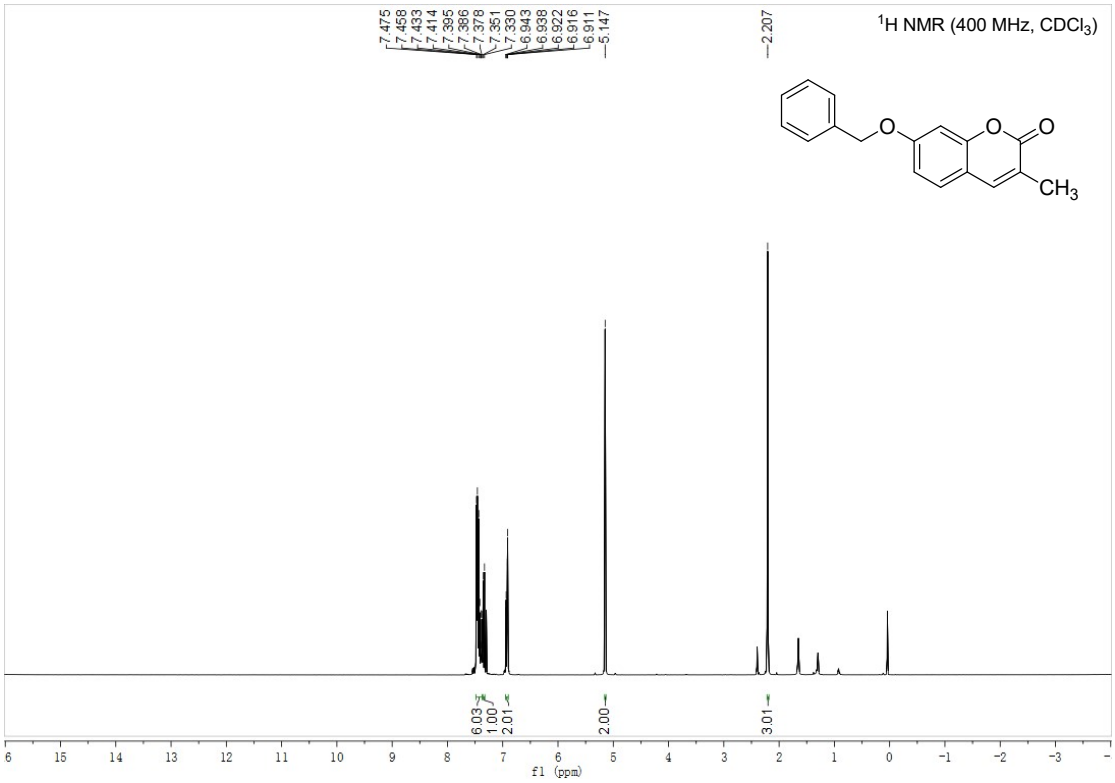


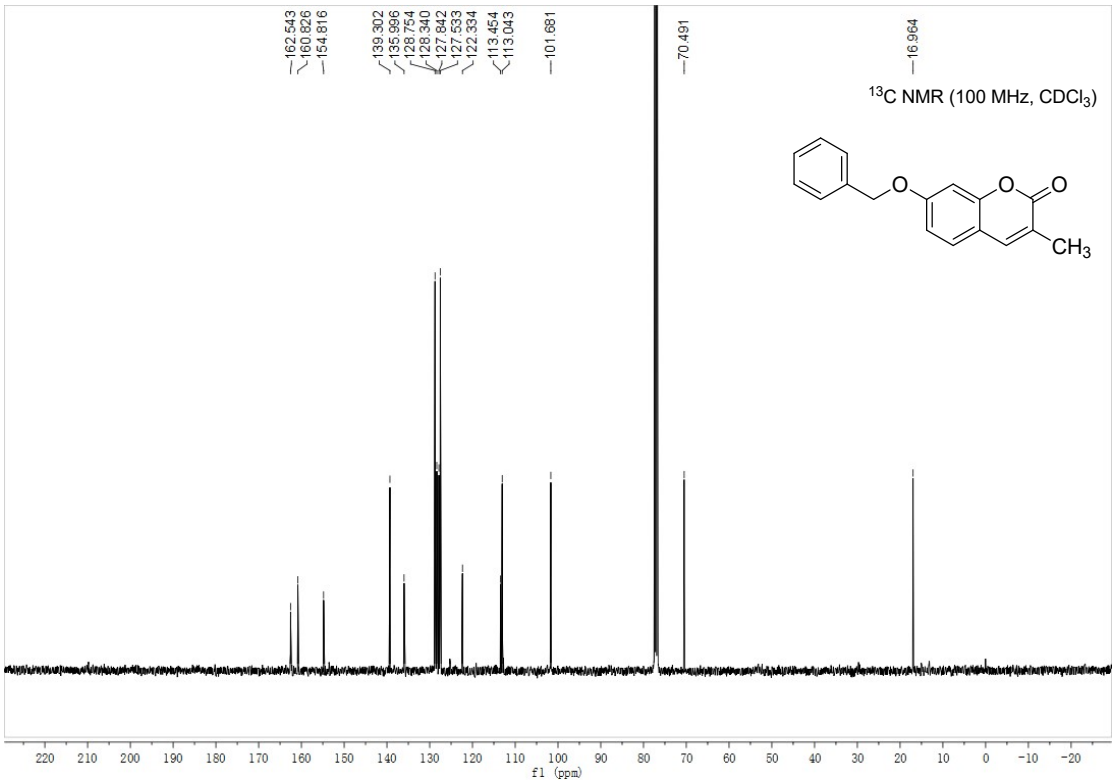
7-(allyloxy)-3-methyl-2*H*-chromen-2-one (9d)



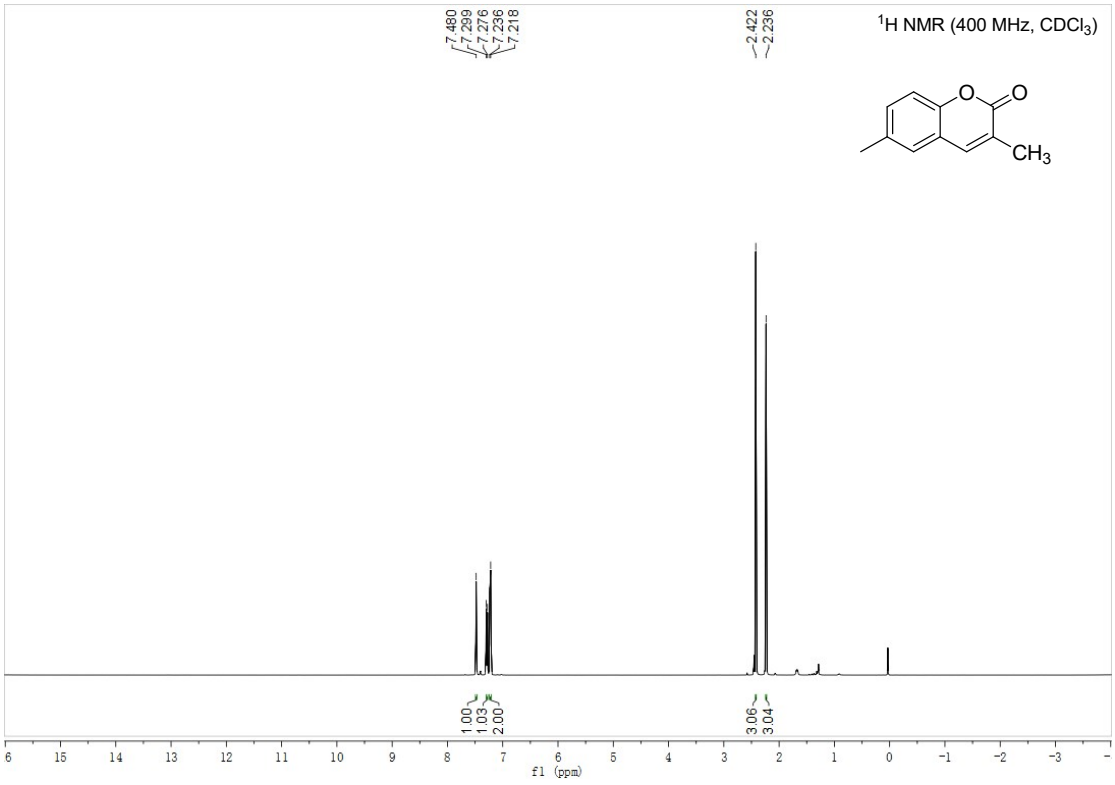


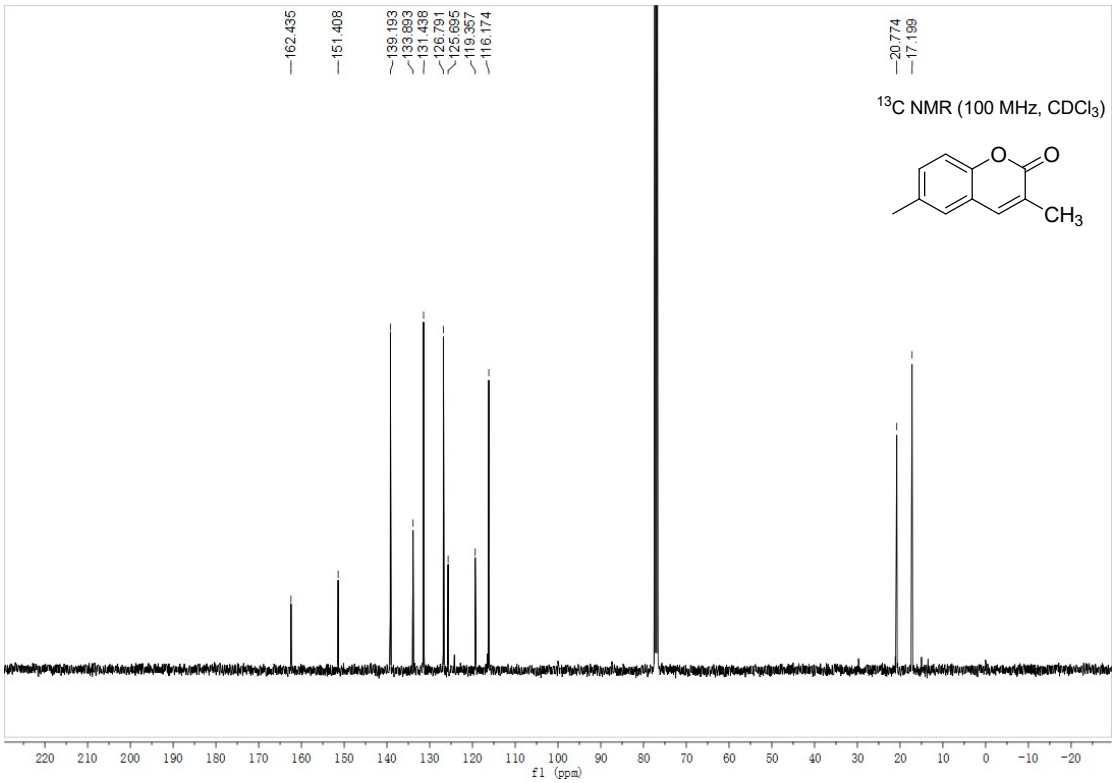
7-(benzyloxy)-3-methyl-2*H*-chromen-2-one (9e)



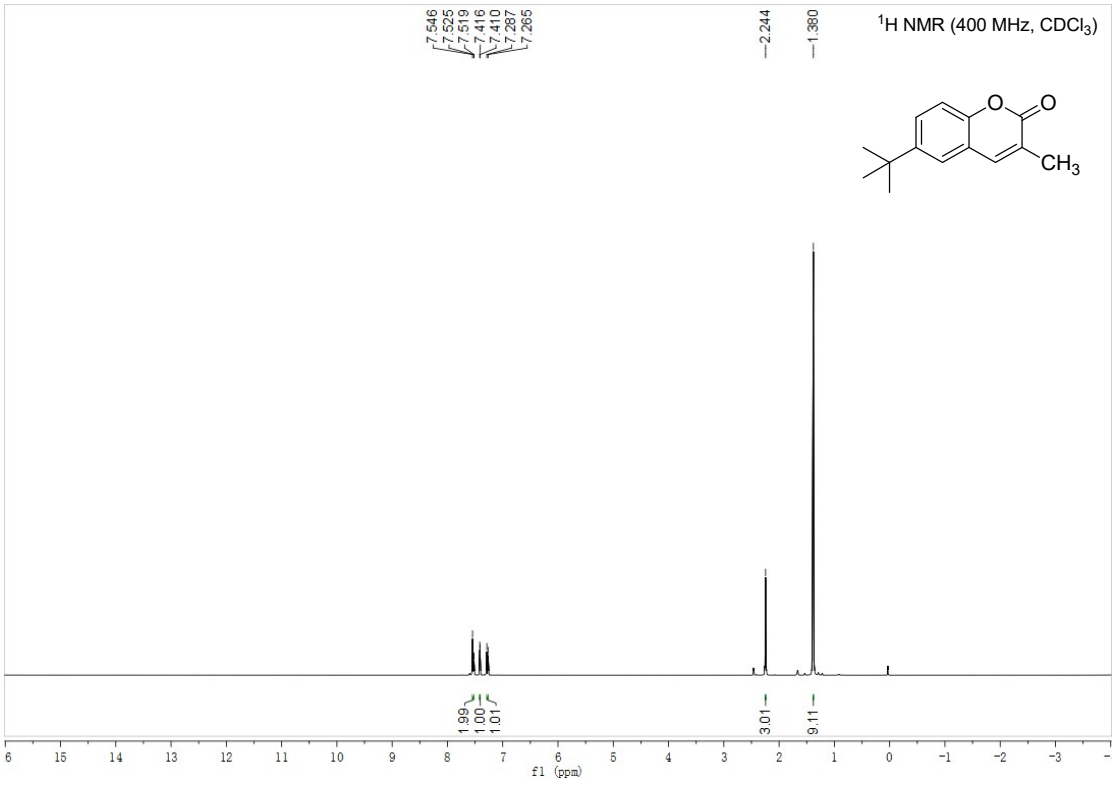


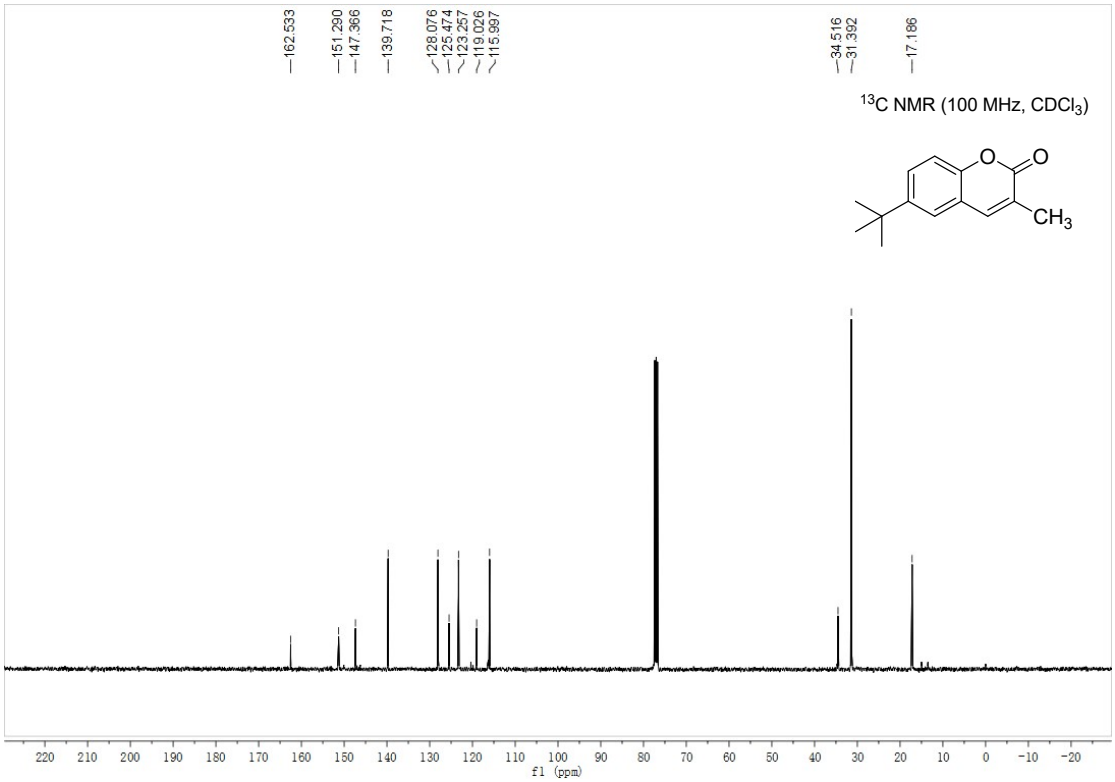
3,6-dimethyl-2*H*-chromen-2-one (9f)



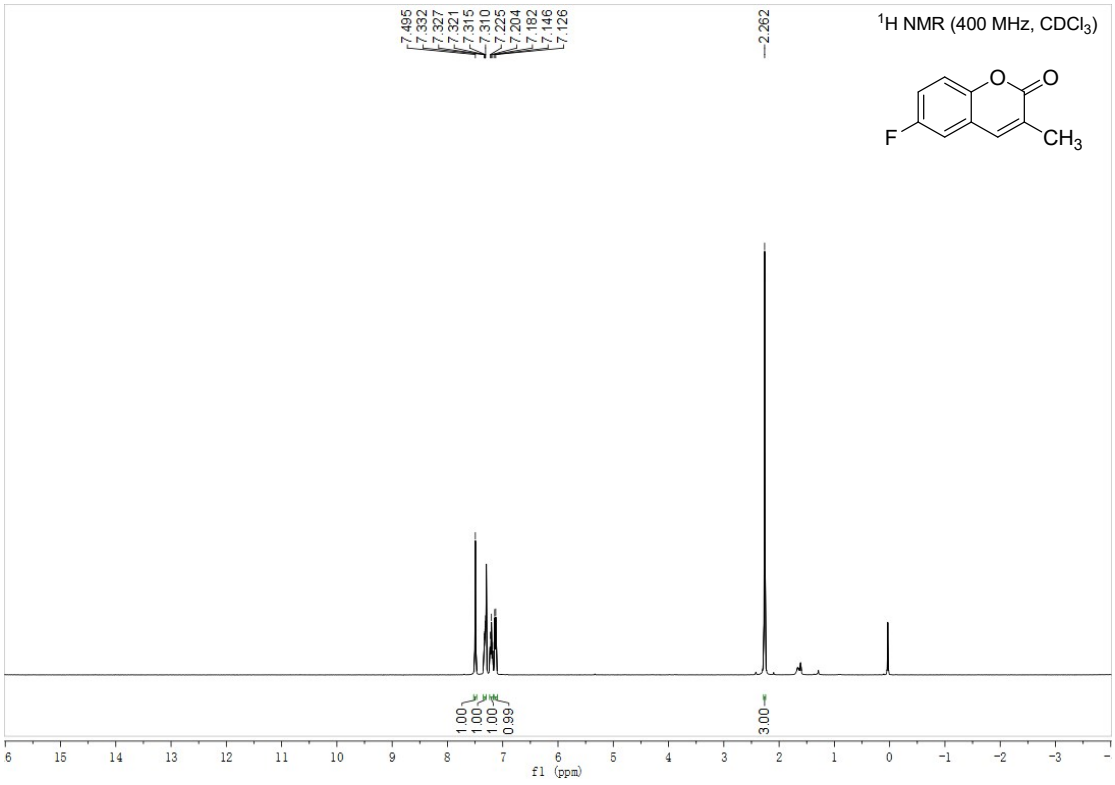


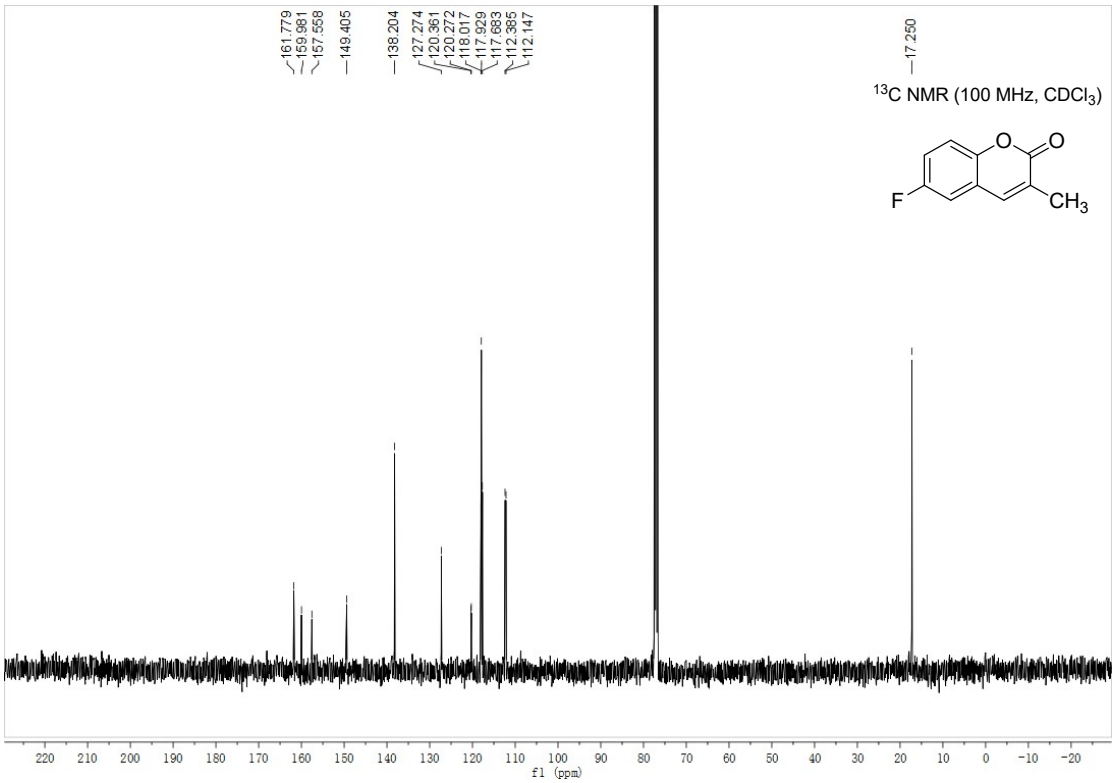
6-(*tert*-butyl)-3-methyl-2*H*-chromen-2-one (9g)

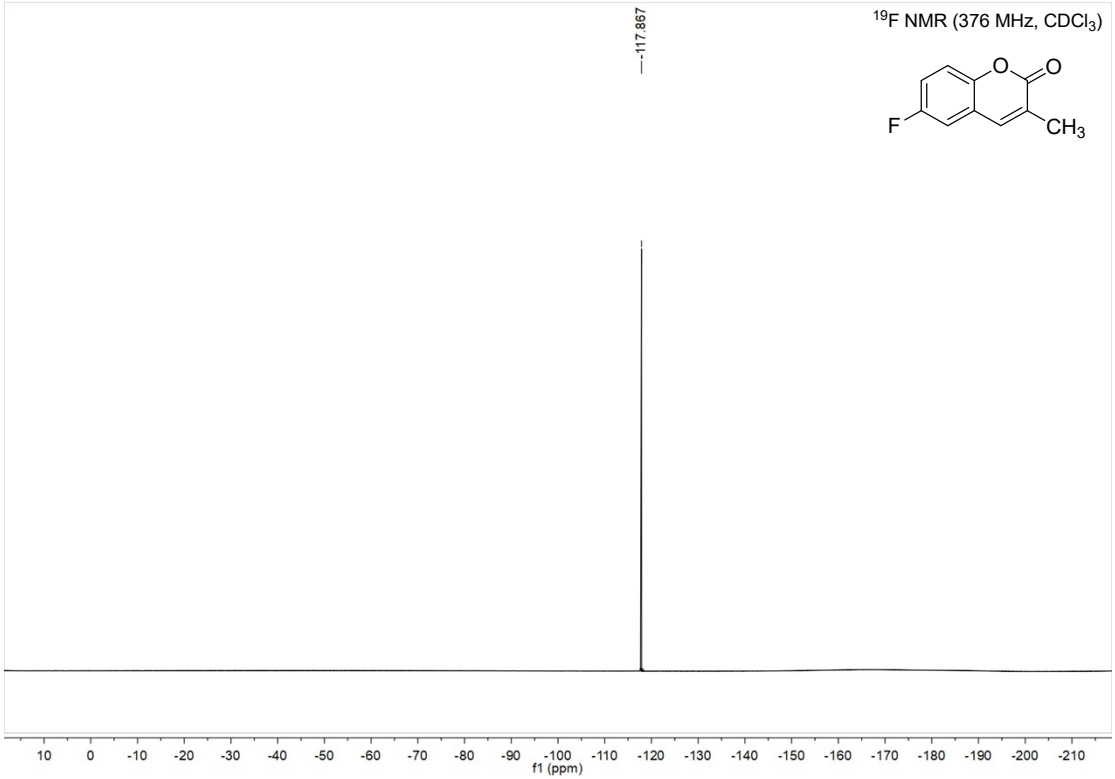




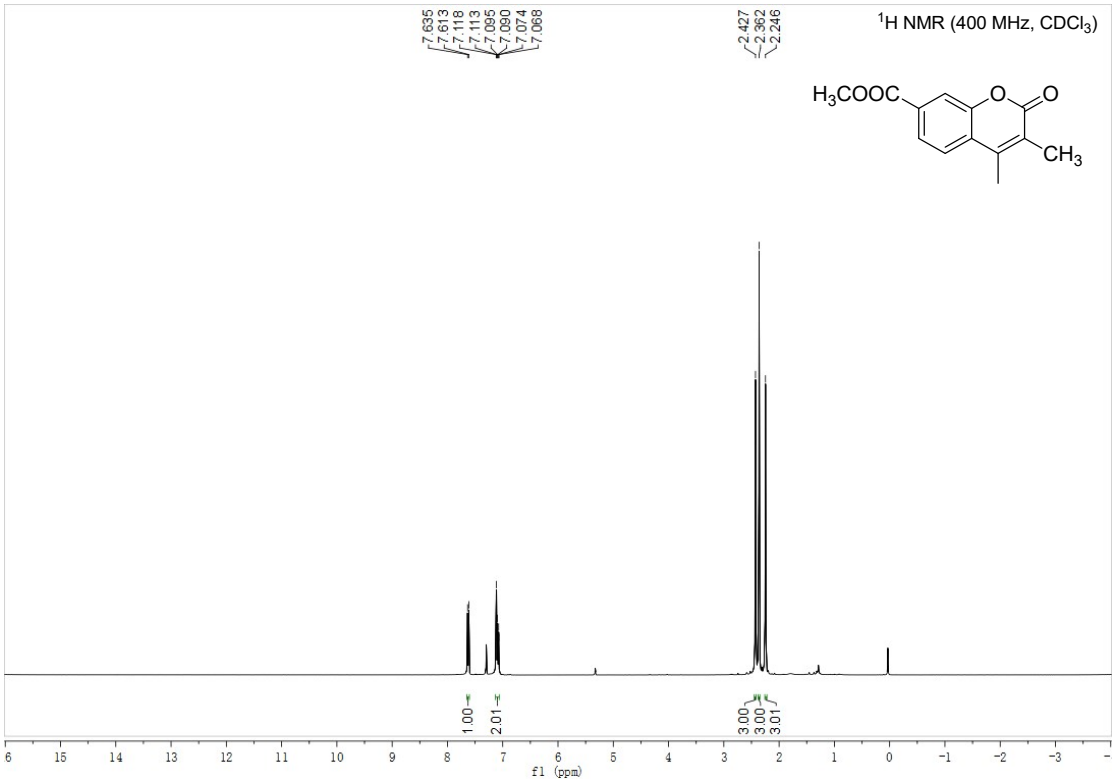
6-fluoro-3-methyl-2*H*-chromen-2-one (9h)

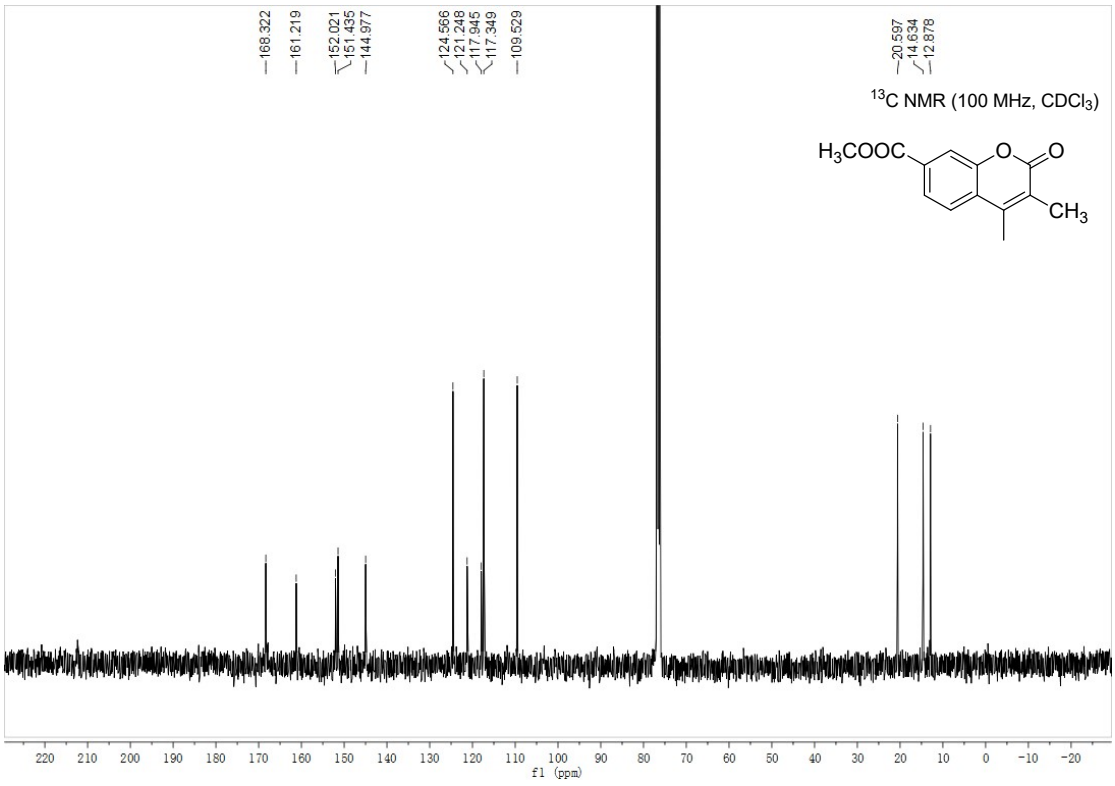



161.779
159.981
157.558
149.405
138.204
127.274
120.361
120.272
118.017
117.929
117.683
112.385
112.147
17.250
^{13}C NMR (100 MHz, $CDCl_3$)
O
O
F
CH_3
f1 (ppm)

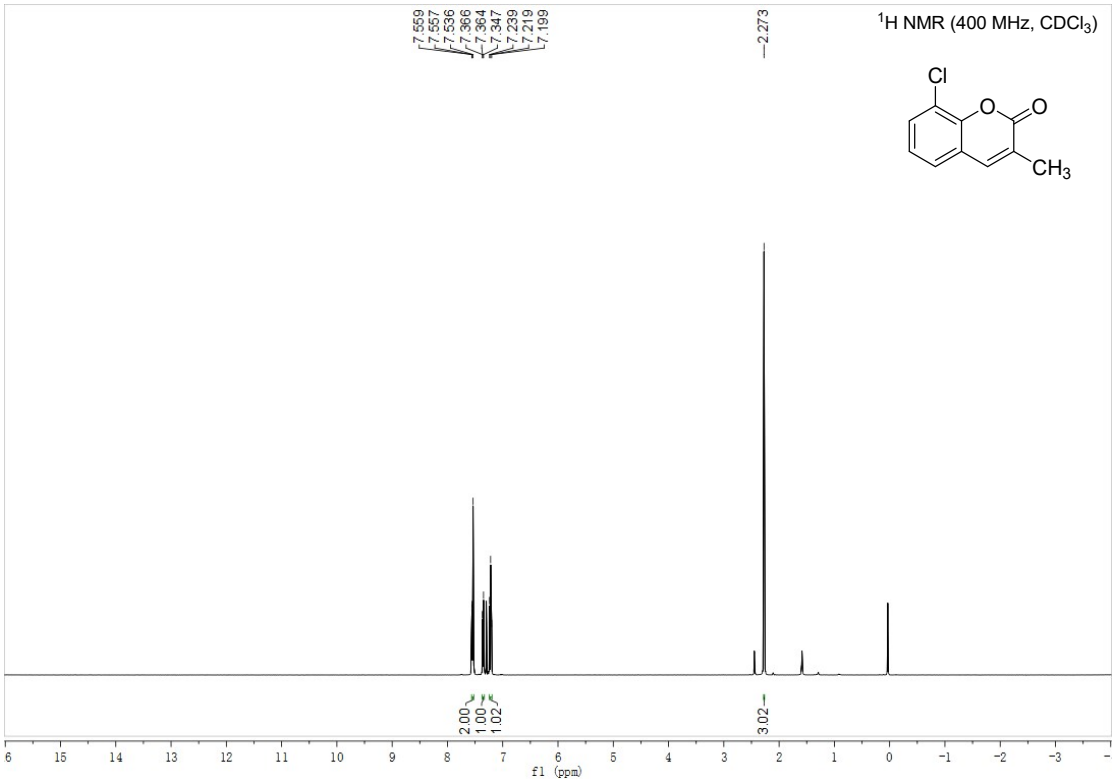

-117.867
^{19}F NMR (376 MHz, $CDCl_3$)
O
O
F
CH_3
f1 (ppm)

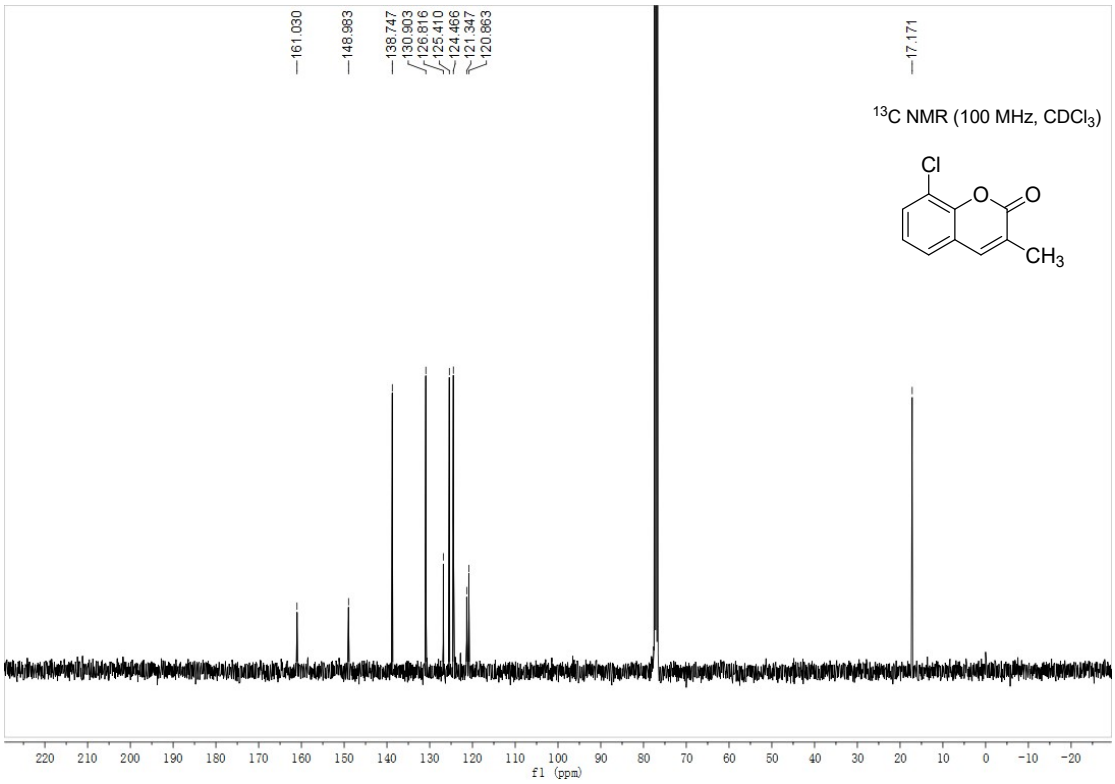
3,4-dimethyl-2-oxo-2*H*-chromen-7-yl acetate (9i)



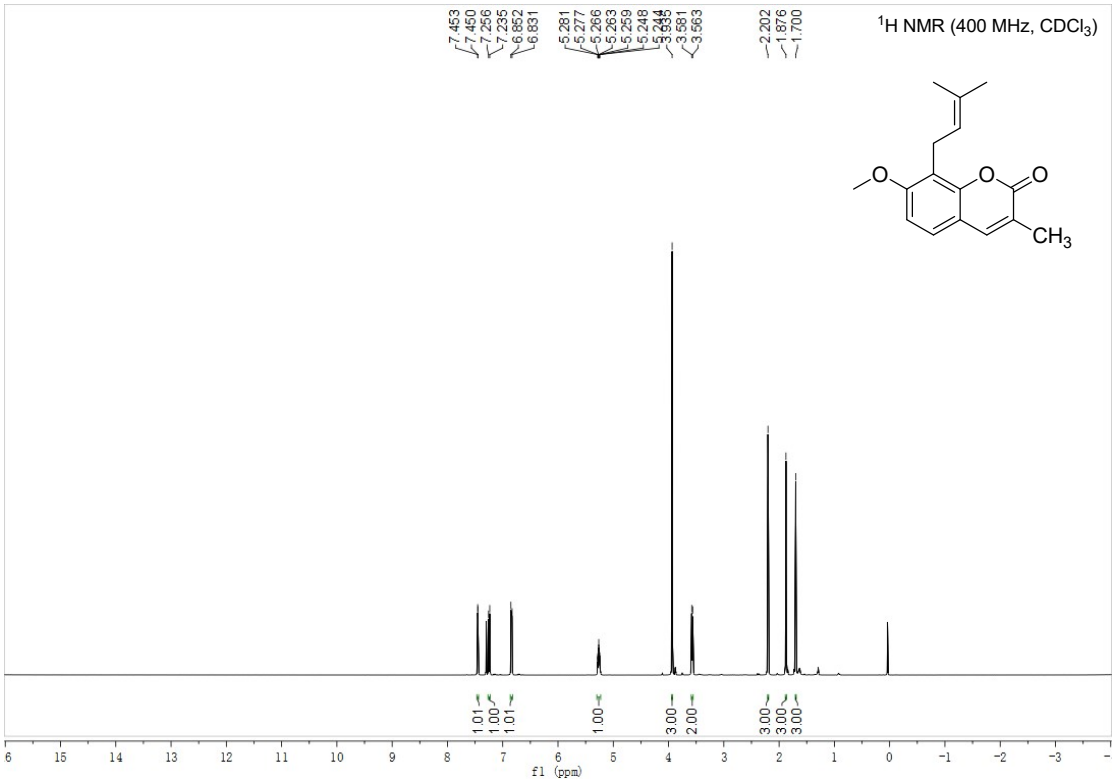


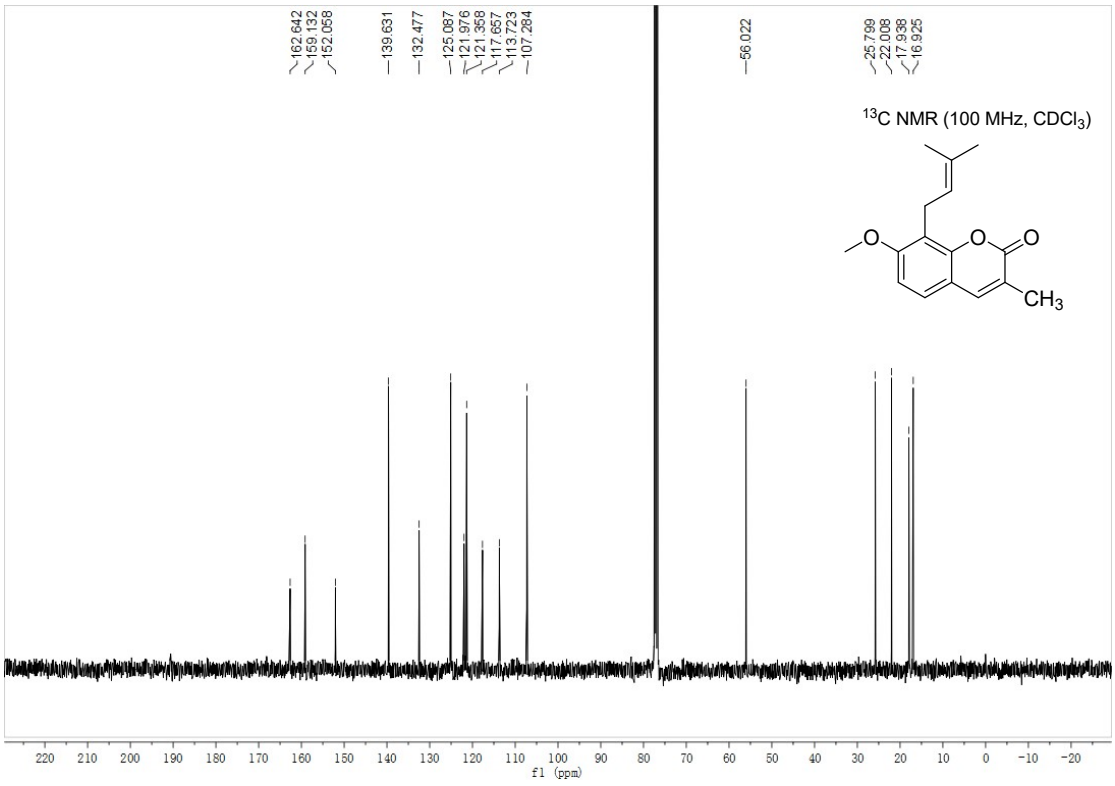
8-chloro-3-methyl-2*H*-chromen-2-one (9j)



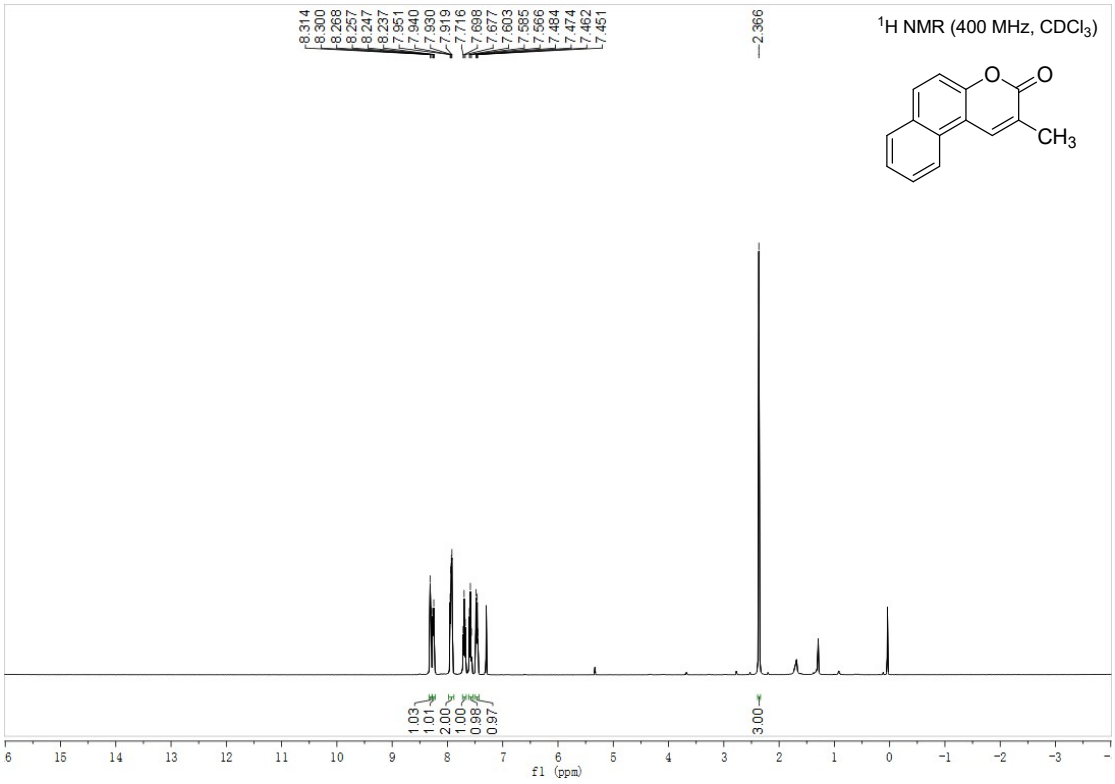


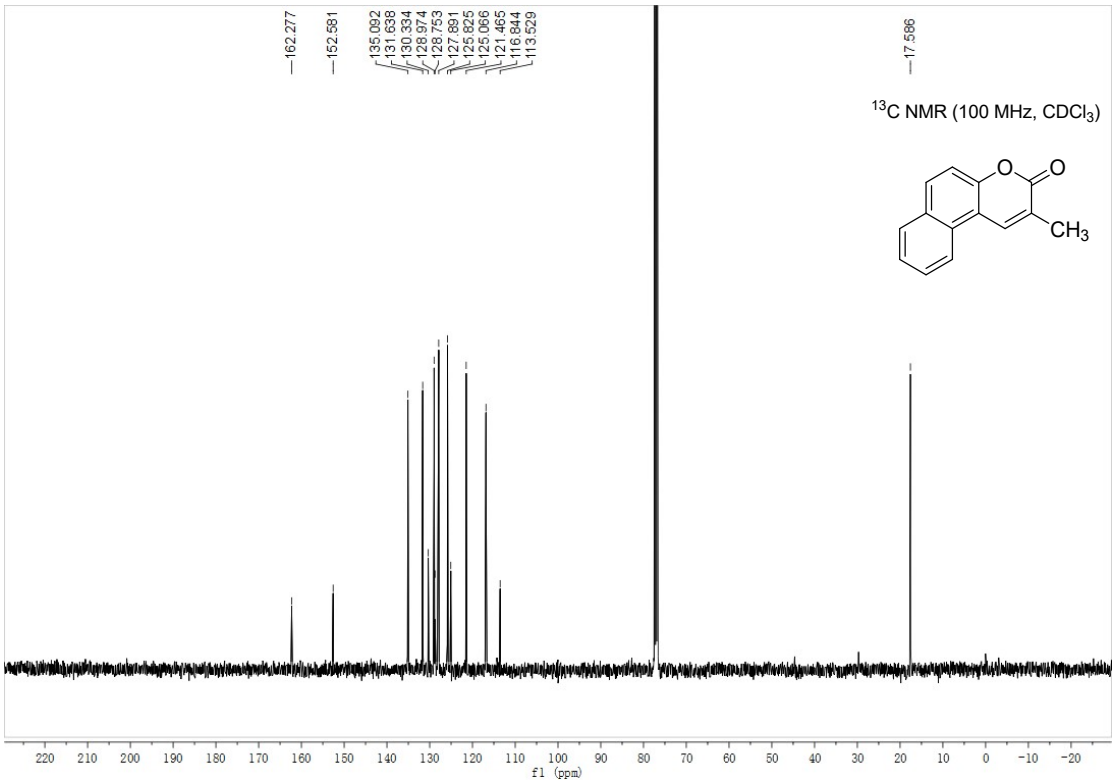
7-methoxy-3-methyl-8-(3-methylbut-2-en-1-yl)-2*H*-chromen-2-one (9k)



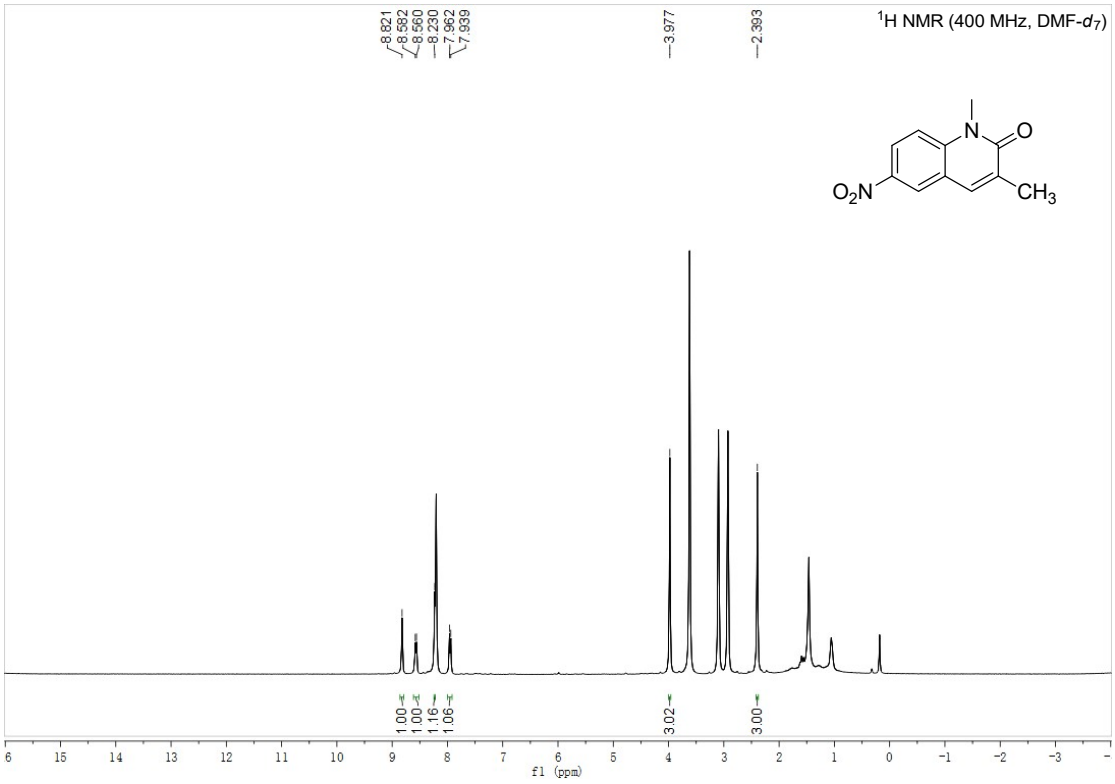


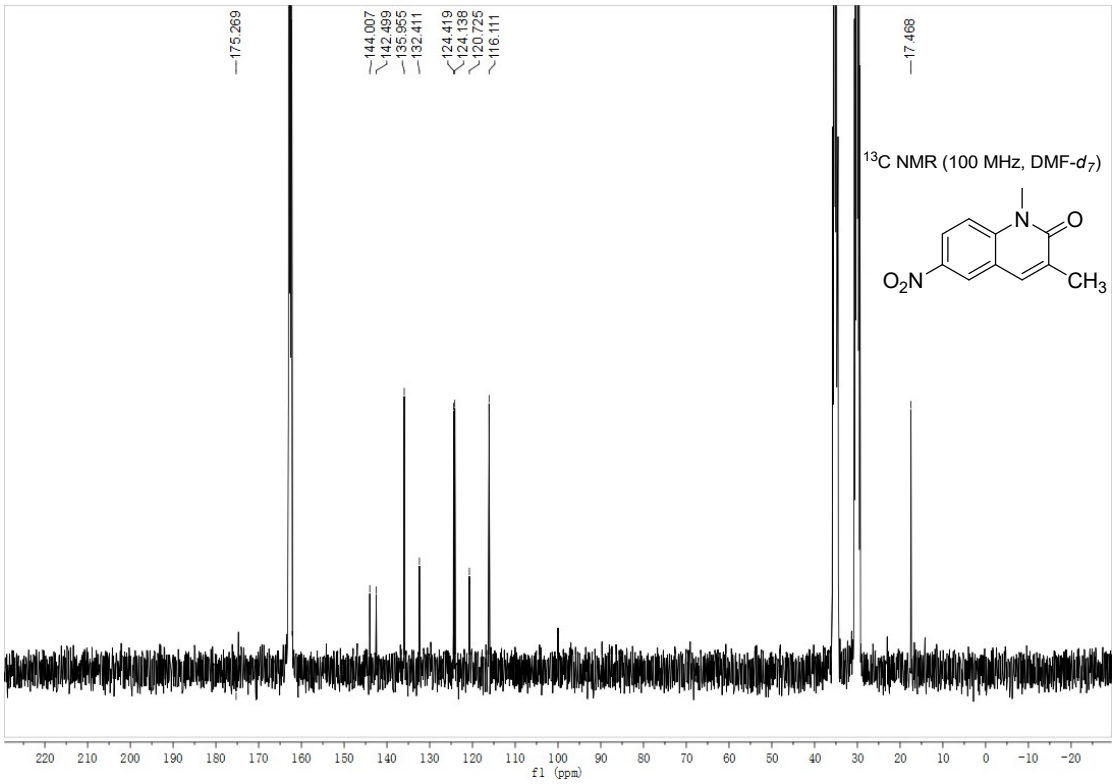
2-methyl-3*H*-benzo[*f*]chromen-3-one (9l)



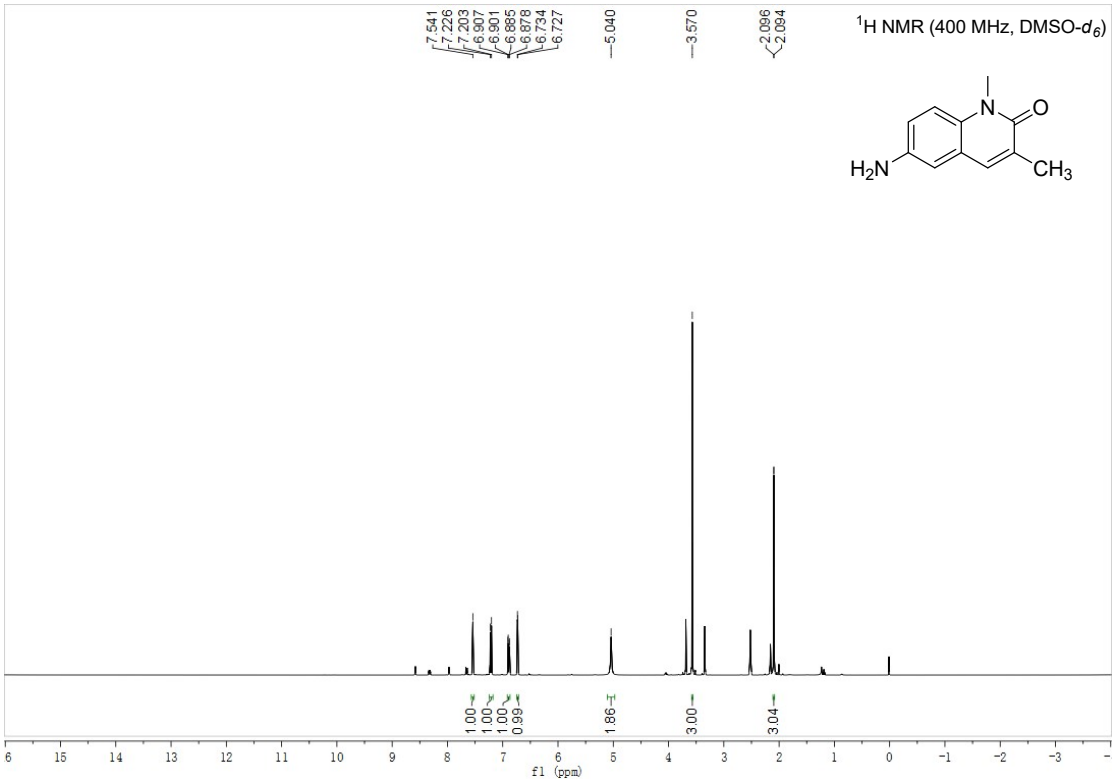


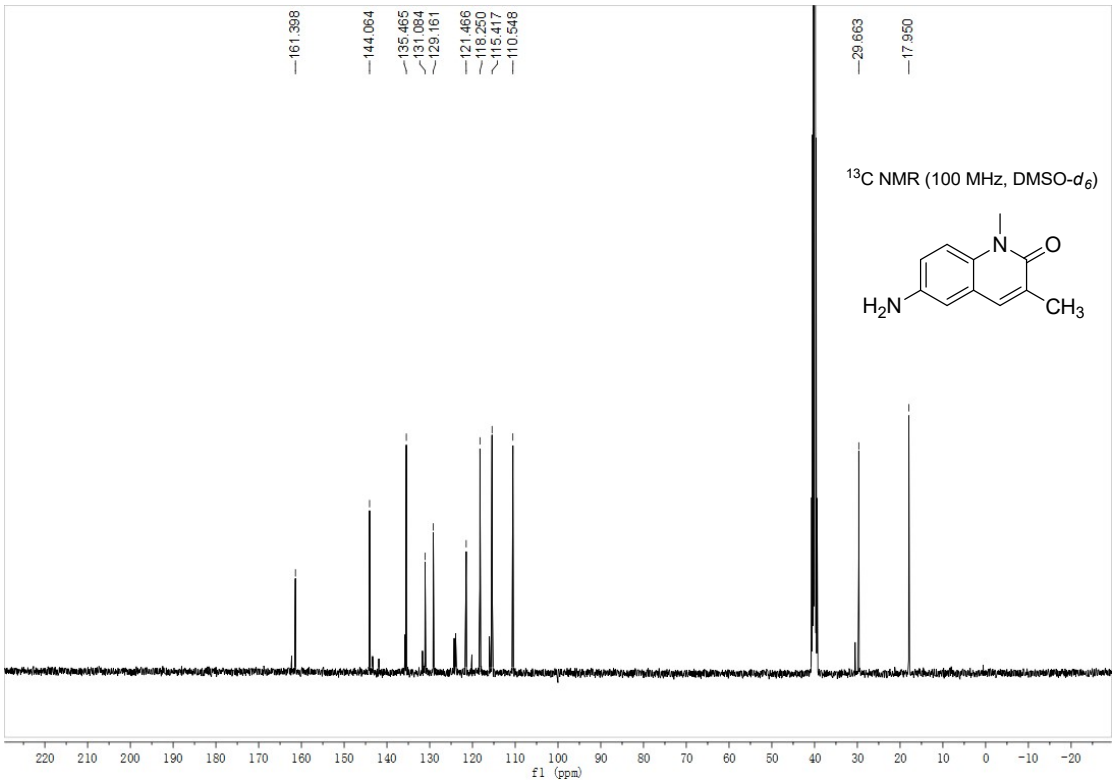
1,3-dimethyl-6-nitroquinolin-2(1*H*)-one (8l)



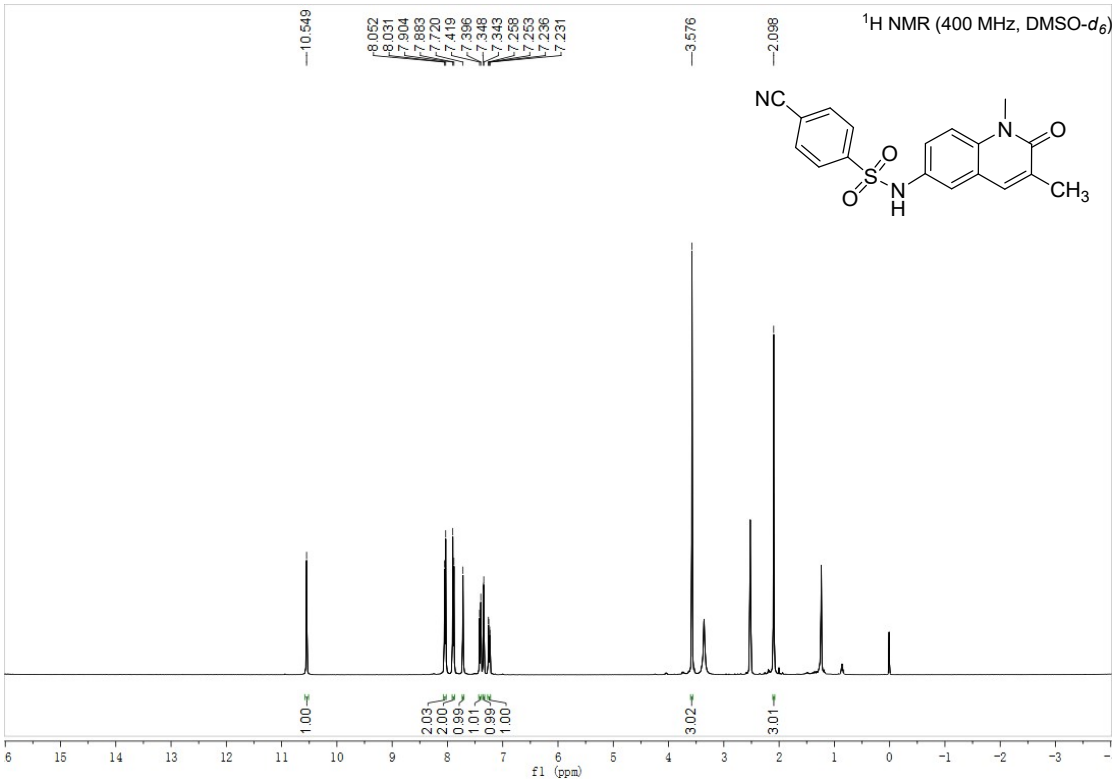


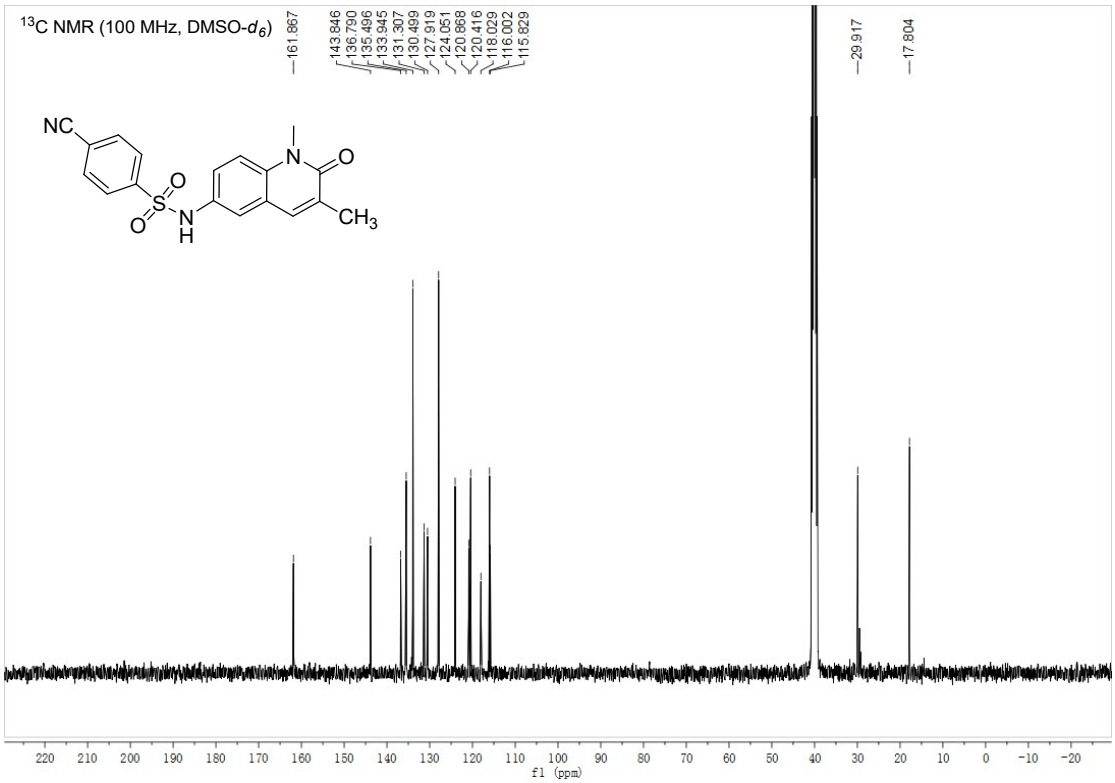
6-amino-1,3-dimethylquinolin-2(1*H*)-one (10)



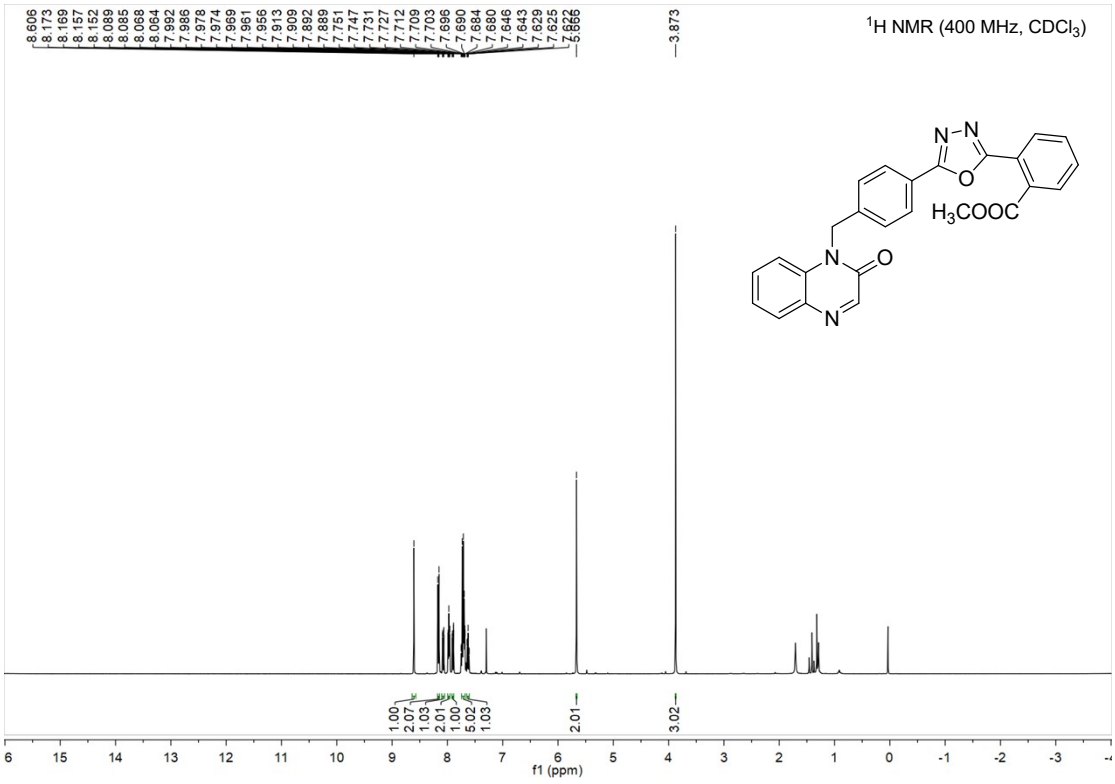


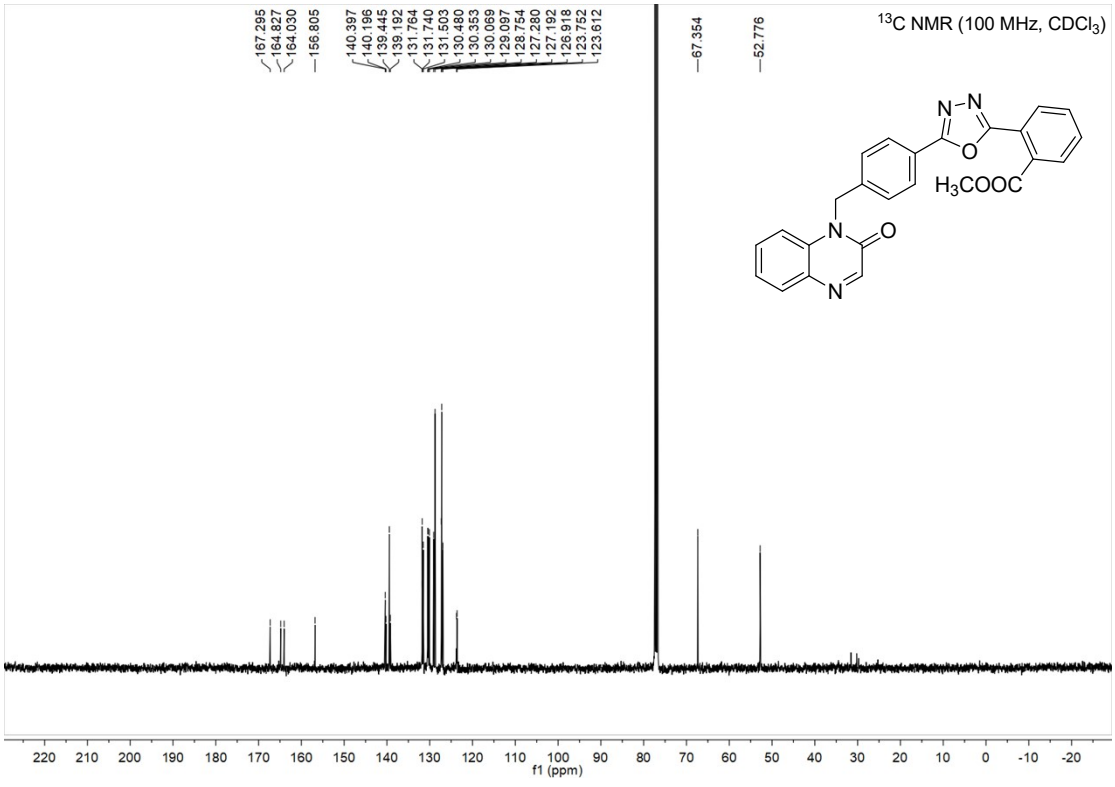
4-cyano-*N*-(1,3-dimethyl-2-oxo-1,2-dihydroquinolin-6-yl)benzenesulfonamide (BRPF inhibitor)



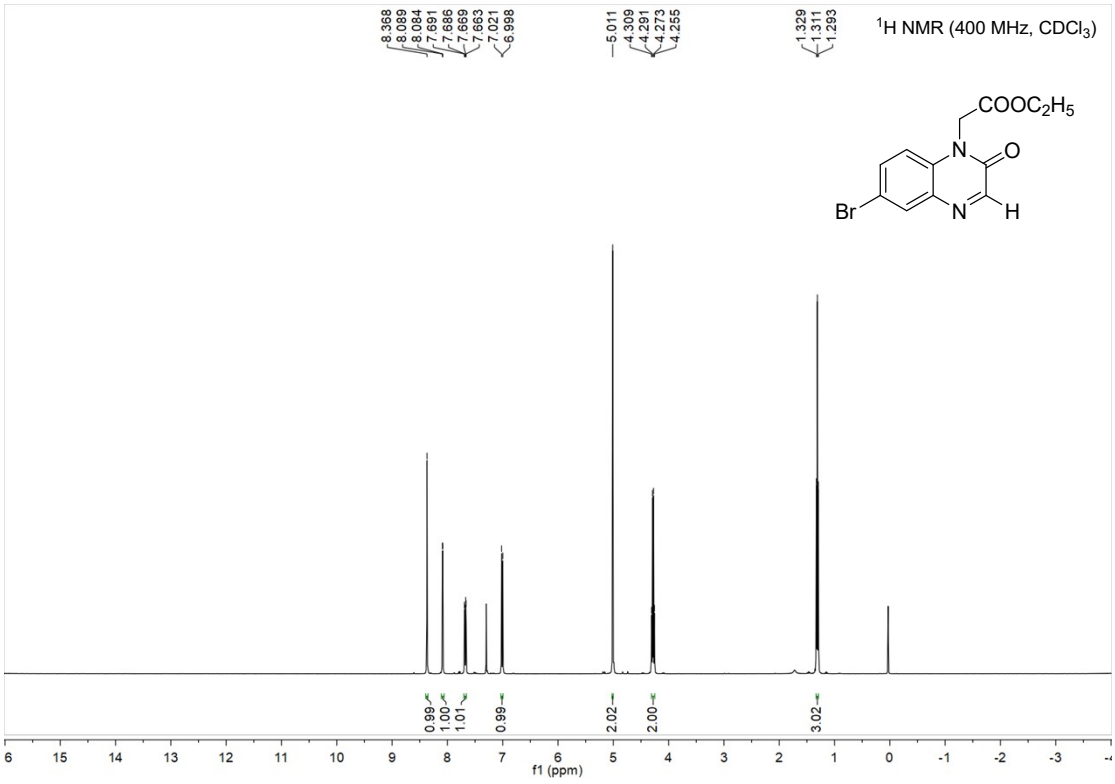


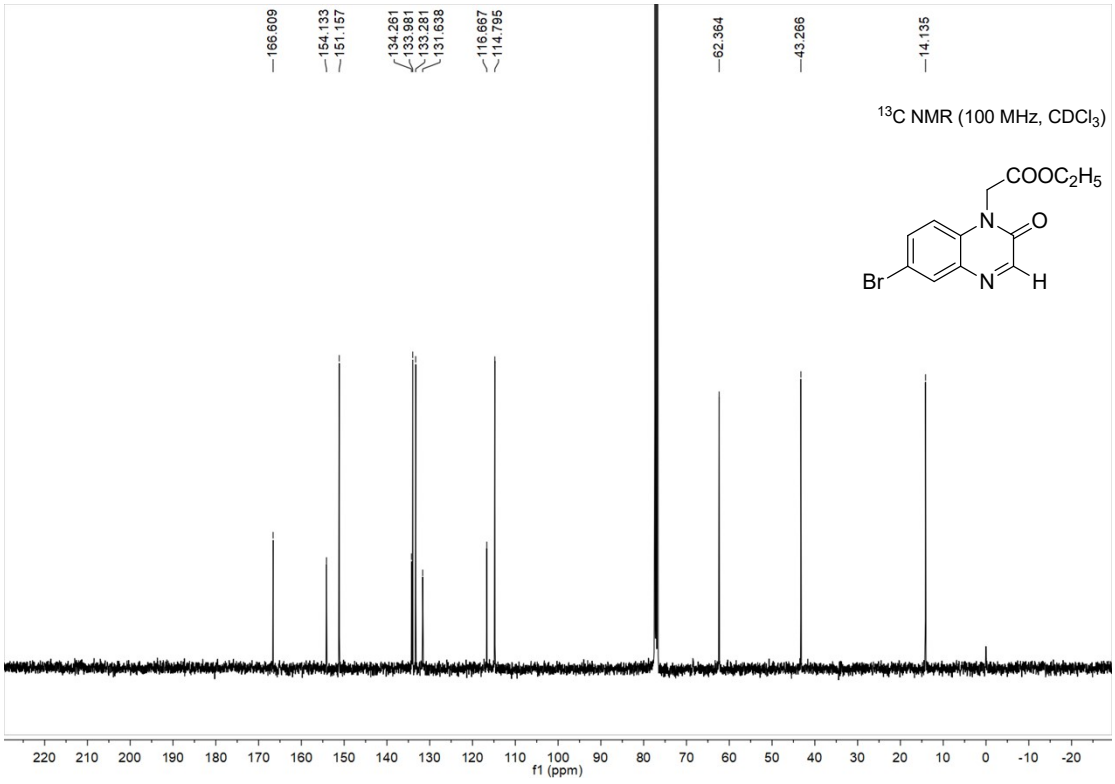
methyl 2-(5-(4-((2-oxoquinoxalin-1(2H)-yl)methyl)phenyl)-1,3,4-oxadiazol-2-yl)benzoate (11)



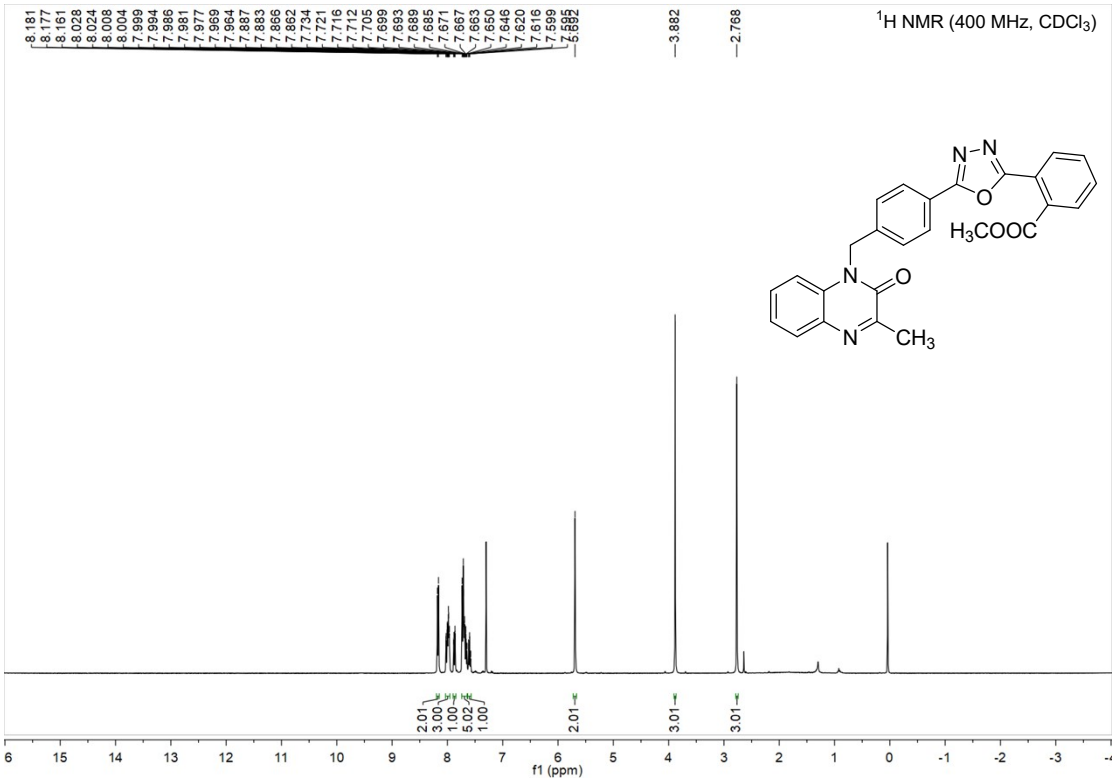


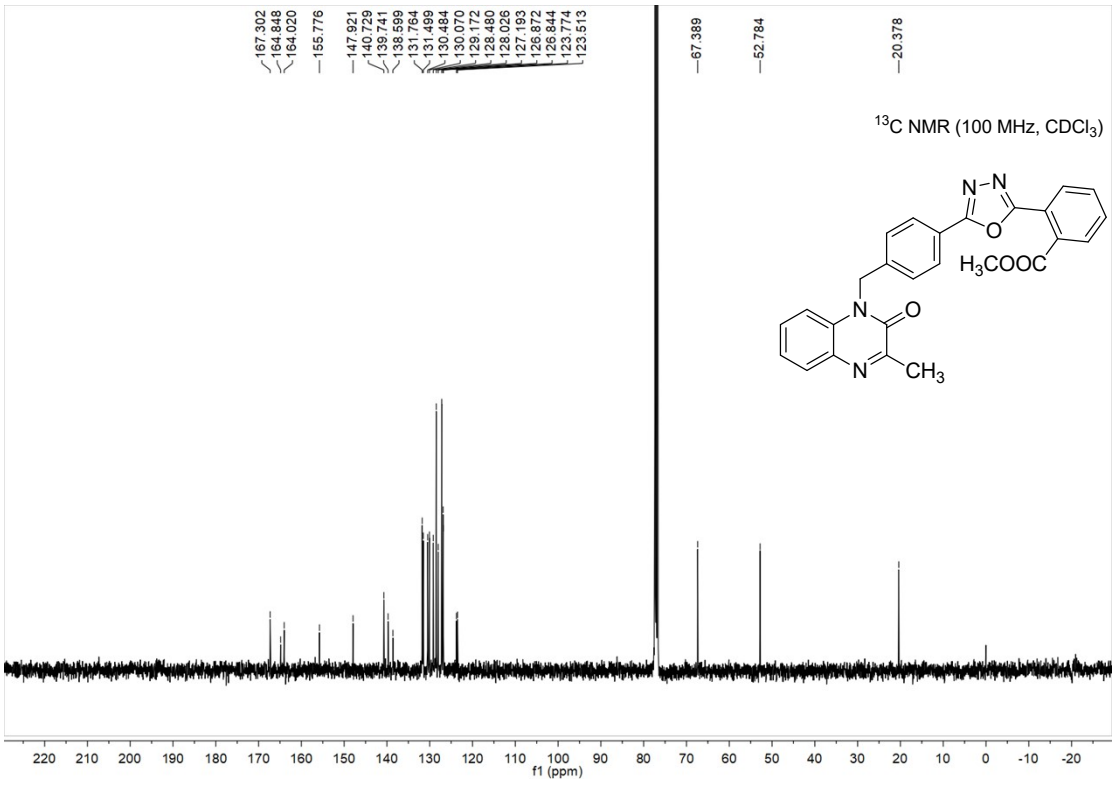
ethyl 2-(6-bromo-2-oxoquinoxalin-1(2H)-yl)acetate (12)





methyl 2-(5-(4-((3-methyl-2-oxoquinoxalin-1(2*H*)-yl)methyl)phenyl)-1,3,4-oxadiazol-2-yl)benzoate (Angiotensin II Receptor Antagonist)





ethyl 2-(6-bromo-3-methyl-2-oxoquinoxalin-1(2*H*)-yl)acetate (13)[41]