Supplementary Information

# Visible-light induced 1,2-dicarbofunctionalizations of alkenes with quinoxalin-2(1H)-ones and malonic esters

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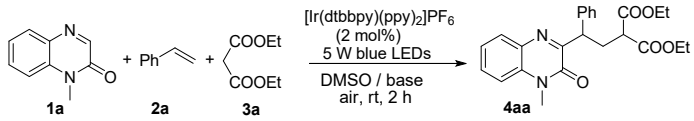
## 1. General information

All commercially available reagent grade chemicals were purchased from Aldrich, Acros, Bidepharm and Energy Chemical Company and used as received without further purification unless otherwise stated. $^{1}H$ NMR and $^{13}C$ NMR were recorded in $CDCl_3$ on a Bruker Avance III spectrometer with TMS as internal standard (500 MHz $^{1}H$, 125 MHz $^{13}C$) at room temperature, the chemical shifts ($\delta$) were expressed in ppm and *J* values were given in Hz. The following abbreviations are used to indicate the multiplicity: singlet (s), doublet (d), triplet (t), quartet (q), doublet of doublets (dd), doublet of triplets (dt), and multiplet (m). All first order splitting patterns were assigned on the basis of the appearance of the multiplet. Splitting patterns that could not be easily interpreted were designated as multiplet (m). Mass analyses and HRMS were obtained on a Finnigan-LCQDECA mass spectrometer and a Bruker Daltonics Bio-TOF-Q mass spectrometer by the ESI method, respectively. Column chromatography was performed on silica gel (200-300 mesh). We use RLH-18 8-position Photo Reaction System, which manufactured by Beijing Rogertech Co.ltd base in Beijing PRC. This Photo reactor has equipped 8 blue light 10 W LEDs, other LEDs could be selected and replaced each position. Irradiation vessel is borosilicate glass test tube, LED irradiate through a high-reflection channel to the test tube, path length is 2cm. Center wavelength: 453.6 nm, Peak wavelength: 452.6nm. No filter between LED and test tube. The reaction was cooled by circulating water.

## 2. Optimization of bases.




| Entry | base | yield (%) |
|---|---|---|
| 1 | $K_3PO_4$ | 11% |
| 2 | KOH | trace |
| 3 | $K_2CO_3$ | 36% |
| 4 | $^tBuOK$ | 0% |
| 5 | NaOH | trace |
| 6 | $NaHCO_3$ | 52% |
| 7 | DIPEA | 0% |
| 8 | DABCO | 50% |
| 9 | $Et_3N$ | 36% |
| 10 | DBU | 23% |
| 11 | $Na_2CO_3$ | 81% |

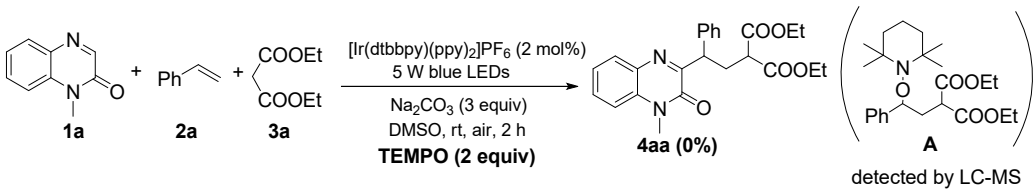
a) Conditions: **1a** (0.1 mmol), **2** (0.2 mmol), **3a** (0.25 mmol), $[Ir(dtbbpy)(ppy)_2]PF_6$ (2 mol%), base (0.3 mmol), DMSO (2 mL), 5 W blue LEDs, air, r.t., 2 h; [b)] Isolated yields.

## 3. General procedure for visible-Light induced 1,2-dicarbofunctionalizations of alkenes with quinoxalin-2(1H)-ones and malonic esters.

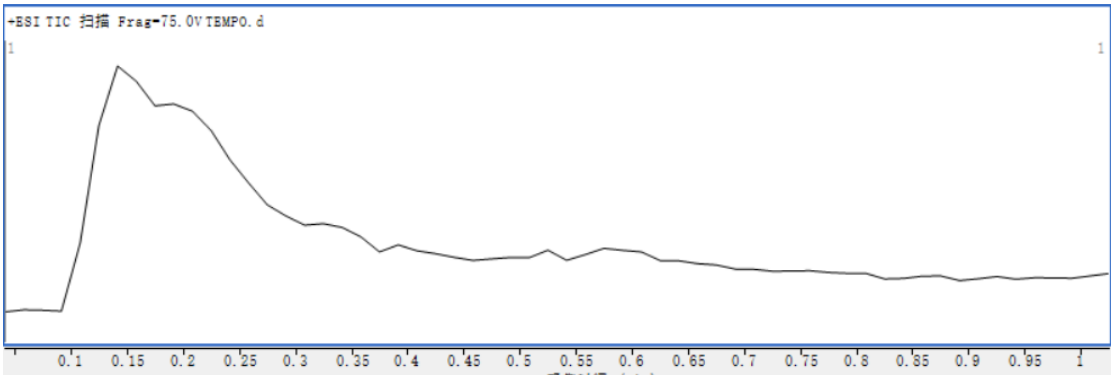

To a mixture of quinoxalin-2(*H*)-one **1** (0.1 mmol), alkene **2** (0.2 mmol), malonic ester **3** (0.25 mmol), $Na_2CO_3$ (0.3 mmol), $[Ir(dtbbpy)(ppy)_2]PF_6$ (0.002 mmol, 1.8 mg, 2 mol %) was added DMSO (2mL). The reaction mixture was open to air and stirred under the irradiation of 5 W blue LEDs at room temperature for 2 h. After completion of the reaction, the solution was concentrated in vacuum. The residue was purified by flash column chromatography using a mixture of petroleum ether and ethyl acetate as eluent to give the desired product **4**.

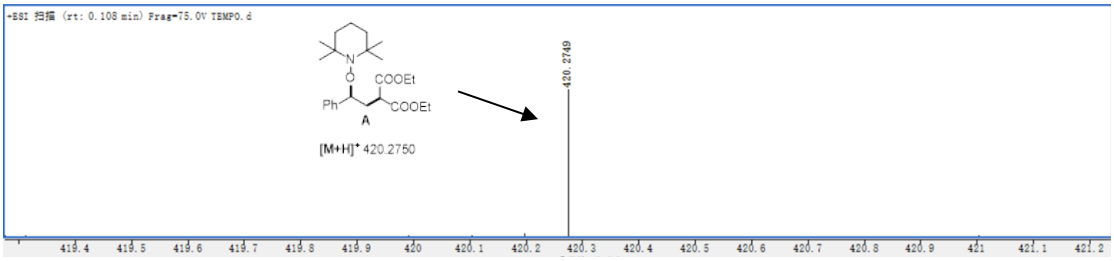
## 4. Preliminary mechanistic studies

### 4.1 The addition of TEMPO in the model reaction system.

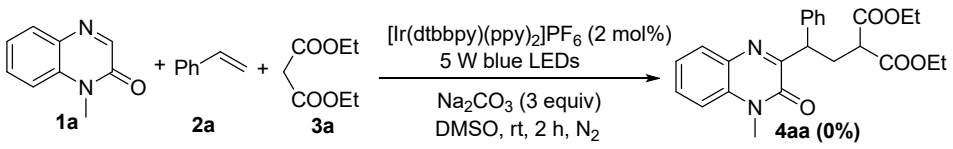



To a mixture of quinoxalin-2(*H*)-one **1a** (0.1 mmol, 16 mg), styrene **2a** (0.2 mmol, 24 μL), diethyl malonate **3a** (0.25 mmol, 39μL), $Na_2CO_3$ (0.3 mmol, 31.8mg), $[Ir(dtbbpy)(ppy)_2]PF_6$ (0.002 mmol, 1.8 mg, 2 mol %), and TEMPO (0.2 mmol, 31.3mg) was added DMSO (2 mL). The reaction mixture was open to air and stirred under the irradiation of 5 W blue LEDs at room temperature for 2 h. After completion of the reaction, the solution was concentrated in vacuum. Product **4aa** was not detected and TEMPO trapped complex **A** was detected by LC-MS.



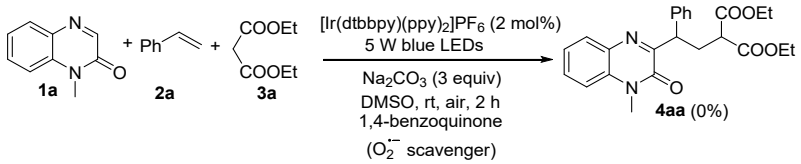

## 4.2 The model reaction was carried out under $N_2$.




Quinoxalin-2(*H*)-one **1a** (0.1 mmol, 16 mg), $Na_2CO_3$ (0.3 mmol), and $[Ir(dtbbpy)(ppy)_2]PF_6$ (0.002 mmol, 1.8 mg, 2 mol %), were added in a 15 mL

reaction tube. The reaction tube was protected under $N_2$. Then, styrene (**2a**) (0.2 mmol, 24 μL), diethyl malonate **3a** (0.25 mmol, 39μL) and DMSO (2 mL) were successively added in reaction tube under $N_2$. The reaction mixture was stirred under the irradiation of 5 W blue LEDs at room temperature for 2 h. After completion of the reaction, the solution was concentrated in vacuum. Only a trace amount of product **4aa** was observed. This result indicated that air ($O_2$) is indispensable for this transformation.

**4.3 The model reaction was carried out in the presence of 1,4-benzoquinone.**




To a mixture of quinoxalin-2(*H*)-one **1a** (0.1 mmol, 16 mg), styrene **2a** (0.2 mmol, 24 μL), diethyl malonate **3a** (0.25 mmol, 39μL), $Na_2CO_3$ (0.3 mmol, 31.8mg), $[Ir(dtbbpy)(ppy)_2]PF_6$ (0.002 mmol, 1.8 mg, 2 mol %), and 1,4-benzoquinone (0.2 mmol, 21.6 mg) was added DMSO (2 mL). The reaction mixture was open to air and stirred under the irradiation of 5 W blue LEDs at room temperature for 2 h. After completion of the reaction, the solution was concentrated in vacuum. Only a trace amount of product **4aa** was observed. This result indicated that $O_2^{\bullet-}$ played a significant role in this reaction.

**4.4 The UV-visible spectroscopy and Fluorescence quenching studies (Stern–Volmer Studies)**

UV-visible spectroscopy of reaction solution was recorded on a SHIMADZU UV-3600 UV-visible spectrophotometer. The sample was prepared by mixing $[Ir(dtbbpy)(ppy)_2]PF_6$, styrene, diethyl malonate, and quinoxalin-2(H)-one with solvent (DMSO), (M[$[Ir(dtbbpy)(ppy)_2]PF_6$] = $1.0\times10^{-5}$ mol/L, M[diethyl malonate] = $1.25\times10^{-3}$ mol/L, M[quinoxalin-2(H)-one] = $5\times10^{-4}$ mol/L, M[styrene] = $1\times10^{-3}$ mol/L) in a light path quartz UV cuvette. The UV-visible spectroscopy indicated that the maximum absorption wavelength of reaction solution was found to be 360 nm. The absorption was collected and the result was listed in Figure S1.

The fluorescence emission intensity of reaction solution was recorded on a

Fluoromax-4600 spectrofluorimeter. The excitation wavelength was fixed at 360 nm, and the emission wavelength was measured at 551 nm. The sample was prepared by mixing $[Ir(dtbbpy)(ppy)_2]PF_6$, diethyl malonate, quinoxalin-2(H)-one and styrene with solvent (DMSO), (M[$[Ir(dtbbpy)(ppy)_2]PF_6$]) = $1.0\times10^{-5}$ mol/L, M[diethyl malonate] = $1.25\times10^{-3}$ mol/L, M[quinoxalin-2(H)-one] = $5\times10^{-4}$ mol/L, M[styrene] = $1\times10^{-3}$ mol/L) in a light path quartz fluoresence cuvette. The emission intensity was collected and the result was listed in Figure S2.

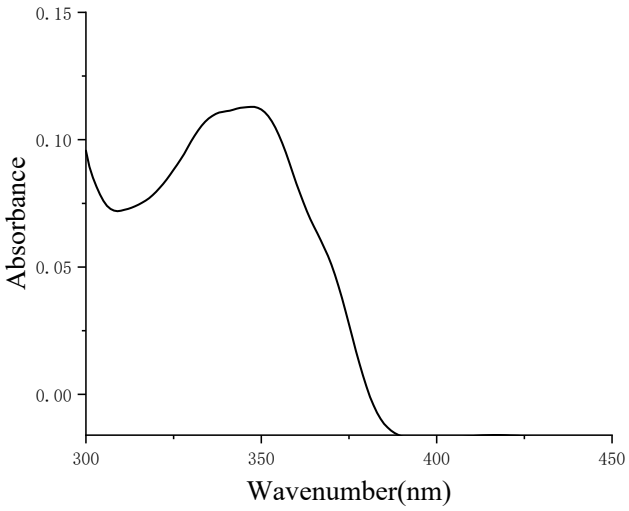



**Figure S1.** UV–vis spectrum of the reaction mixture.

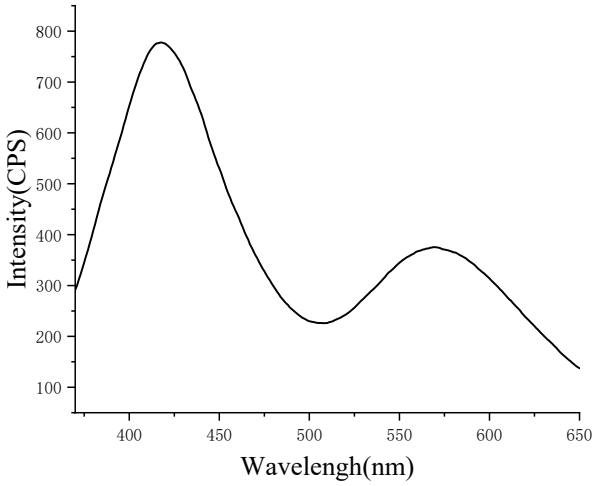



**Figure S2.** Fluorescence spectrum of the reaction mixture.

The fluorescence emission intensities were recorded on a Fluormax-4600 spespectrofluorimeter. The excitation wavelength was fixed at 360 nm, and the emission wavelength was measured at 551 nm (emission maximum). The samples were prepared by mixing by $[Ir(dtbbpy)(ppy)_2]PF_6$ ($1.0\times10^{-5}$ mol/L) and different amount of **Na$^+$3a$^-$** in DMSO (total volume = 2 mL) in a light path quartz fluorescence cuvette. The concentration of **Na$^+$3a$^-$** stock solution is $1.0\times10^{-4}$ mol/L in DMSO. A fluorescence quenching phenomenon of $[Ir(dtbbpy)(ppy)_2]PF_6$ under various concentrations of diethyl malonate was shown in Figure S3 (Stern-Volmer plots).

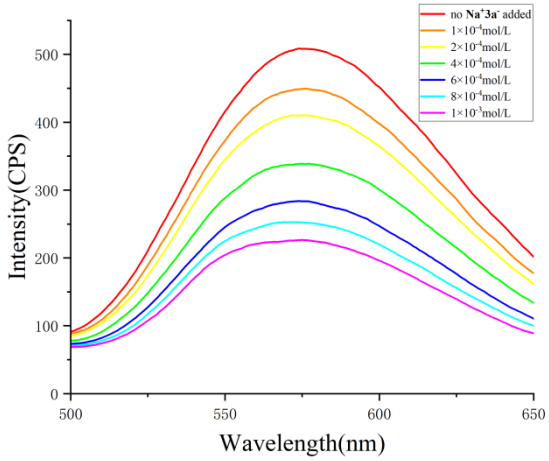



**Figure S3.** Quenching of $[Ir(dtbbpy)(ppy)_2]PF_6$ fluorescence emission in the presence of **Na$^+$3a$^-$**.

An indeed fluorescence quenching phenomenon of $[Ir(dtbbpy)(ppy)_2]PF_6$ under various concentrations of **Na$^+$3a$^-$** was demonstrated in a curve of $[I_0/I]$ vs C[**Na$^+$3a$^-$**], as shown in Figure S4 (Stern-Volmer plots). For example, when C[**Na$^+$3a$^-$**] is $2\times10^{-4}$ mol/L, the non-liner Stern-Volmer plots indicated energy transfer process occurred between the excited state of $[Ir(dtbbpy)(ppy)_2]PF_6$ * and diethyl malonate **Na$^+$3a$^-$**. The emission intensity was collected and the result was listed in Figure S4.

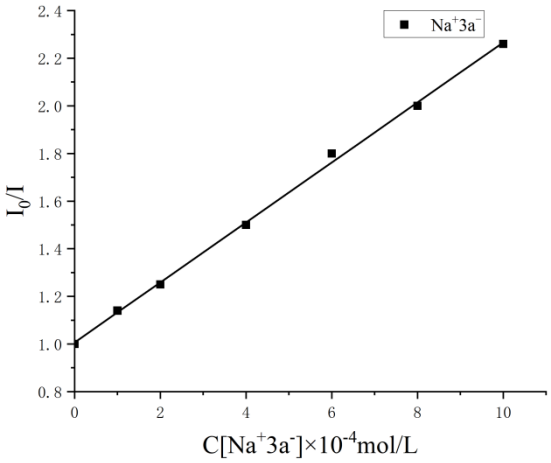



**Figure S4.** Stern-volmer plots.

The fluorescence emission intensities were recorded on a Fluormax-4600 spespectrofluorimeter. The excitation wavelength was fixed at 360 nm, and the emission wavelength was measured at 551 nm (emission maximum). The samples were prepared by mixing by $[Ir(dtbbpy)(ppy)_2]PF_6$ ($1.0\times10^{-5}$ mol/L) and different amount of styrene **2a** in DMSO (total volume = 2 mL) in a light path quartz fluorescence cuvette. The concentration of styrene **2a** stock solution is $1.0\times10^{-4}$ mol/L in DMSO. A fluorescence quenching phenomenon of $[Ir(dtbbpy)(ppy)_2]PF_6$ under various concentrations of styrene was shown in Figure S5.

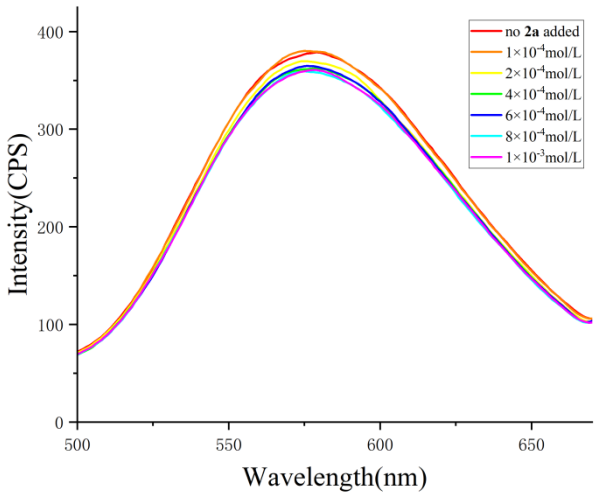



**Figure S5.** Quenching of $[Ir(dtbbpy)(ppy)_2]PF_6$ fluorescence emission in the presence of styrene

$[Ir(dtbbpy)(ppy)_2]PF_6$ does not have fluorescence quenching at different concentrations of styrene **2a**, which is confirmed in the curve of $[I_0/I]$ vs C[**2a**], as shown in Figure S6 (Stern-Volmer diagram). For example, when C[**2a**] is $2\times10^{-4}$ mol/L, the non-liner Stern-Volmer plots indicated energy transfer process do not occurred between the excited state of $[Ir(dtbbpy)(ppy)_2]PF_6$ * and styrene **2a**. The emission intensity was collected and the result was listed in Figure S6.

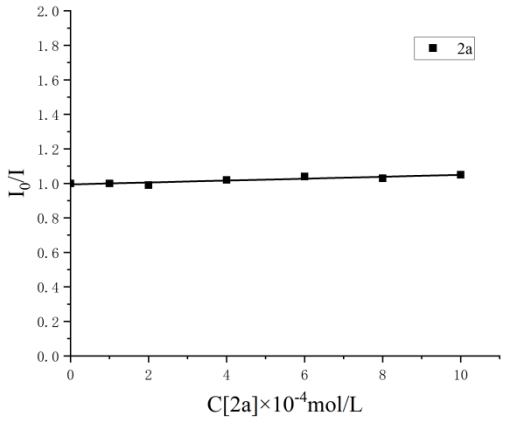



**Figure S6.** Stern-volmer plots.

The fluorescence emission intensities were recorded on a Fluormax-4600 spespectrofluorimeter. The excitation wavelength was fixed at 360 nm, and the emission wavelength was measured at 551 nm (emission maximum). The samples were prepared by mixing by $[Ir(dtbbpy)(ppy)_2]PF_6$ ($1.0\times10^{-5}$ mol/L) and different amount of diethyl malonate **3a** in DMSO (total volume = 2 mL) in a light path quartz fluorescence cuvette. The concentration of diethyl malonate **3a** stock solution is $1.0\times10^{-4}$ mol/L in DMSO. A fluorescence quenching phenomenon of $[Ir(dtbbpy)(ppy)_2]PF_6$ under various concentrations of diethyl malonate was shown in Figure S7 (Stern-Volmer plots).

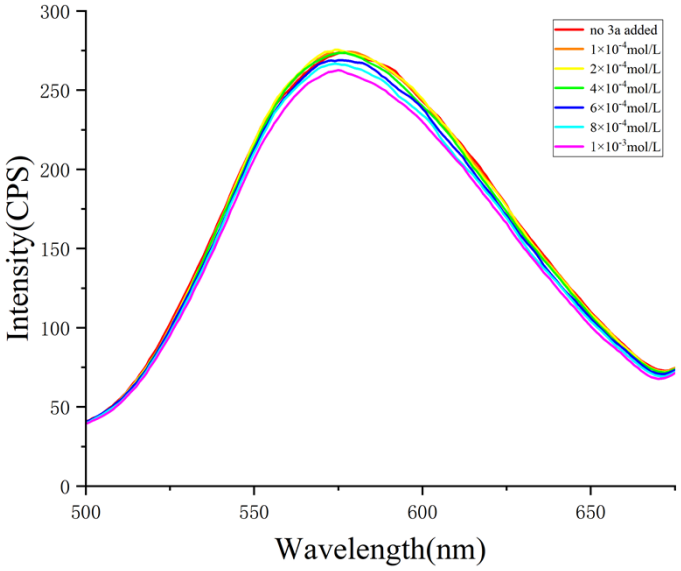



**Figure S7.** Quenching of $[Ir(dtbbpy)(ppy)_2]PF_6$ fluorescence emission in the presence of diethyl malonate **3a**

$[Ir(dtbbpy)(ppy)_2]PF_6$ does not have fluorescence quenching at different concentrations of styrene **3a**, which is confirmed in the curve of $[I_0/I]$ vs C[**3a**], as shown in Figure S6 (Stern-Volmer diagram)., as shown in Figure S8 (Stern-Volmer plots). For example, when C[**3a**] is $2\times10^{-4}$ mol/L, the non-liner Stern-Volmer plots indicated energy transfer process do not occurred between the excited state of $[Ir(dtbbpy)(ppy)_2]PF_6$ * and diethyl malonate **3a**. The emission intensity was collected and the result was listed in Figure S8.

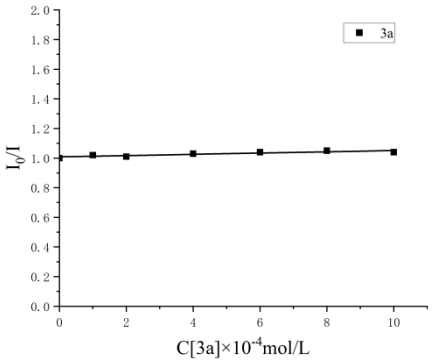
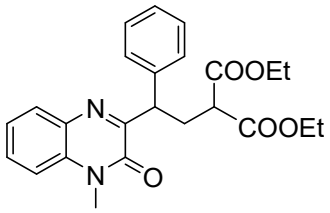


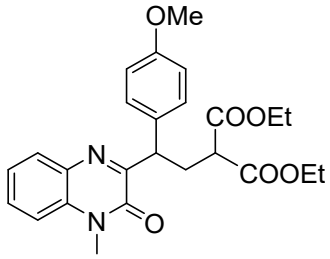

**Figure S8.** Stern-volmer plots.

## 5.Characterization data of products 4aa−4ma



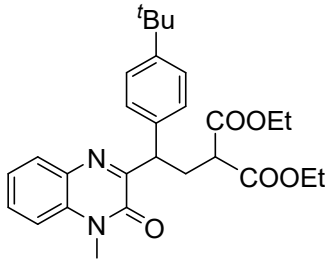

**4aa**

**Diethyl 2-(2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-phenylethyl)malonate (4aa)** Compound **4aa** was obtained in 81% yield (34.2 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.94 (d, *J* = 8.0, 1H), 7.52 (t, *J* = 7.8 Hz, 1H), 7.41 (d, *J* = 7.2 Hz, 2H), 7.35 (t, *J* = 7.6 Hz, 1H), 7.28-7.24 (m, 3H), 7.18 (t, *J* = 7.3 Hz, 1H), 4.69 (t, *J* = 7.7 Hz, 1H), 4.22-4.18 (m, 2H), 4.17-4.09 (m, 2H), 3.60 (s, 3H), 3.34 (t, *J* = 7.6 Hz, 1H), 2.88-2.83 (m, 1H), 2.73-2.71 (m, 1H), 1.27 (t, *J* = 7.1 Hz, 3H), 1.23 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.5, 169.4, 159.8, 154.2, 140.0, 133.1, 132.6, 130.3, 130.0, 128.8, 128.5, 127.1, 123.5, 113.5, 61.4, 61.3, 50.1, 44.9, 32.7, 29.1, 14.1, 14.0. ESI HRMS: calculated for $C_{24}H_{27}N_2O_5$ $[M+H]^+$ 423.1920, found 423.1921.



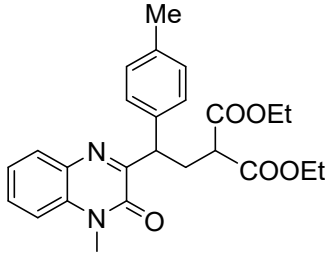

**4ab**

**Diethyl 2-(2-(4-methoxyphenyl)-2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)ethyl)malonate (4ab)** Compound **4ab** was obtained in 66% yield (29.8 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Orange oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.0 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 1H), 7.29-7.24 (m, 3H), 7.18 (d, *J* = 9.8 Hz, 1H), 6.74-6.72 (m, 2H), 4.55 (t, *J* = 7.9 Hz, 1H), 4.15-4.12 (m, 2H), 4.11-4.02 (m, 2H), 3.67 (s, 3H), 3.53 (s, 3H), 3.26-3.23 (m, 1H), 2.78-2.71 (m, 1H), 2.65-2.60 (m, 1H), 1.20 (t, *J* = 7.2 Hz, 3H), 1.15 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.6, 169.4, 160.0, 158.7, 154.2, 133.1, 132.6, 131.9, 130.2, 129.9, 129.8, 123.5, 114.0, 113.5, 61.4, 61.3, 55.2, 50.1, 44.1, 32.7, 29.1, 14.1, 14.0. ESI HRMS: calculated for $C_{25}H_{29}N_2O_6$ $[M+H]^+$ 453.2026, found 453.1023.



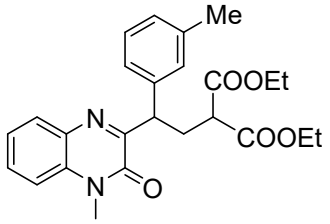

**4ac**

**Diethyl 2-(2-(4-(tert-butyl)phenyl)-2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)ethyl)malonate (4ac)** Compound **4ac** was obtained in 60% yield (28.8 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.0 Hz, 1H), 7.44 (t, *J* = 7.8 Hz, 1H), 7.28-7.25 (m, 3H), 7.21-7.18 (m, 2H), 7.17 (d, *J* = 8.3 Hz, 1H), 4.60 (t, *J* = 7.9 Hz, 1H), 4.14-4.10 (m, 2H), 4.09-4.00 (m, 2H), 3.53 (s, 3H), 3.27 (t, *J* = 7.5 Hz, 1H), 2.80-2.75 (m, 1H), 2.68-2.61 (m, 1H), 1.19 (t, *J* = 7.0 Hz, 3H), 1.18 (s, 9H), 1.16 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.5, 169.4, 160.0, 154.3, 149.7, 136.8, 133.1, 132.6, 130.2, 129.9, 128.3, 125.5, 123.5, 113.5, 61.4, 61.3, 50.2, 44.4, 34.4, 32.7, 31.3, 29.1, 14.1, 14.0. ESI HRMS: calculated for $C_{28}H_{35}N_2O_5$ $[M+H]^+$ 479.2546, found 479.2544.



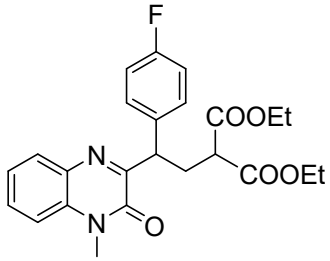

**4ad**

**Diethyl 2-(2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-(p-tolyl)ethyl)malonate (4ad)** Compound **4ad** was obtained in 63% yield (27.4 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.0 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 1H), 7.28 (t, *J* = 7.6 Hz, 1H), 7.22 (d, *J* = 8.0 Hz, 2H), 7.18 (d, *J* = 8.6 Hz, 1H), 7.00 (d, *J* = 7.9 Hz, 2H), 4.57 (t, *J* = 7.9 Hz, 1H), 4.15-4.10 (m, 2H), 4.09-4.01 (m, 2H), 3.52 (s, 3H), 3.27-3.24 (m, 1H), 2.78-2.74 (m, 1H), 2.66-2.61 (m, 1H), 2.20 (s, 3H), 1.21 (t, *J* = 7.1 Hz, 3H), 1.15 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.5, 169.4, 160.0, 154.2, 136.9, 136.7, 133.1, 132.6, 130.2, 129.9, 129.3, 128.6, 123.5, 113.5, 61.4, 61.3, 50.1, 44.6, 32.6, 29.1, 21.1, 14.1, 14.0. ESI HRMS: calculated for $C_{25}H_{29}N_2O_5$ $[M+H]^+$ 437.2076, found 437.2074.



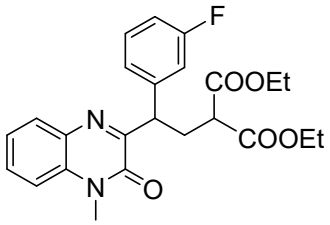

**Diethyl 2-(2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-(m-tolyl)ethyl)malonate (4ae)** Compound **4ae** was obtained in 68% yield (29.7 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.87 (d, *J* = 8.0 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 1H), 7.28 (t, *J* = 7.6 Hz, 1H), 7.18 (d, *J* = 7.8 Hz, 1H), 7.13-7.12 (m, 2H), 7.08 (t, *J* = 7.3 Hz, 1H), 6.92 (d, *J* = 7.4 Hz, 1H), 4.57 (t, *J* = 7.9 Hz, 1H), 4.15-4.10 (m, 2H), 4.09-4.02 (m, 2H), 3.53 (s, 3H), 3.27 (t, *J* = 7.6 Hz, 1H), 2.81-2.75 (m, 1H), 2.64-2.59 (m, 1H), 2.22 (s, 3H), 1.21 (t, *J* = 7.1 Hz, 3H), 1.15 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.5, 169.4, 159.9, 154.2, 139.9,

138.1, 133.1, 132.6, 130.3, 129.9, 129.4, 128.4, 127.9, 125.7, 123.5, 113.5, 61.4, 61.3, 50.1, 44.9, 32.7, 29.1, 21.7, 14.1, 14.0. ESI HRMS: calculated for $C_{25}H_{29}N_2O_5$ $[M+H]^+$ 437.2076, found 437.2078.



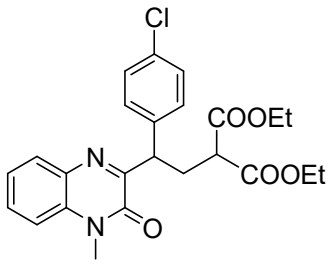

**4af**

**Diethyl 2-(2-(4-fluorophenyl)-2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)ethyl)malonate (4af)** Compound **4af** was obtained in 89% yield (39.1 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.0 Hz, 1H), 7.47 (t, *J* = 7.8 Hz, 1H), 7.32-7.27 (m, 3H), 7.19 (d, *J* = 8.6 Hz, 1H), 6.88 (t, *J* = 8.7 Hz, 2H), 4.61 (t, *J* = 7.9 Hz, 1H), 4.15-4.10 (m, 2H), 4.09-4.02 (m, 2H), 3.54 (s, 3H), 3.25-3.22 (m, 1H), 2.80-2.74(m, 1H), 2.65-2.60 (m, 1H), 1.20 (t, *J* = 7.1 Hz, 3H), 1.16 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.4, 169.3, 162.0 (d, *J* = 243.9 Hz), 159.6, 154.2, 135.7, 135.6, 133.1, 132.5, 130.3, 130.2, 130.1 (d, *J* = 20.0 Hz), 123.6, 115.4 (d, *J* = 21.2 Hz), 113.6, 61.5, 61.4, 50.0, 44.2, 32.6, 29.1, 14.1, 14.0. ESI HRMS: calculated for $C_{24}H_{26}FN_2O_5$ $[M+H]^+$ 441.1826, found 441.1818.



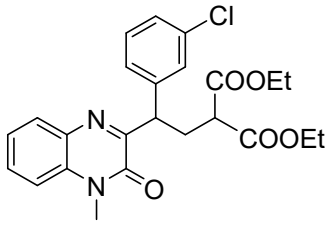

**4ag**

**Diethyl 2-(2-(3-fluorophenyl)-2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)ethyl)malonate (4ag)** Compound **4ag** was obtained in 70% yield (30.8 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.87 (d, *J* = 8.0 Hz, 1H), 7.47 (t, *J* = 7.8 Hz, 1H), 7.30 (t, *J* = 7.6 Hz, 1H), 7.20 (d, *J* = 7.4 Hz, 1H), 7.17-7.12 (m, 2H), 7.04-7.02 (m, 1H), 6.82 (t, *J* = 7.5 Hz, 1H), 4.63 (t, *J* = 7.8 Hz, 1H), 4.15-4.10 (m, 2H), 4.09-4.02 (m, 2H), 3.55 (s, 3H), 3.25 (t, *J* = 7.6 Hz, 1H), 2.81-2.75 (m, 1H), 2.63-2.58 (m, 1H), 1.20 (t, *J* = 7.2 Hz, 3H), 1.16 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.3, 169.2, 163.0 (d, *J* = 244.4 Hz), 159.2, 154.2, 142.7 (d, *J* = 3.3 Hz), 133.1, 132.5, 130.3, 130.2, 130.0 (d, *J* = 8.2 Hz), 124.6 (d, *J* = 2.7 Hz), 123.6, 115.4 (d, *J* = 21.5 Hz), 114.1 (d, *J* = 21.0 Hz), 113.6, 61.5, 61.4, 50.0, 44.6, 32.6, 29.1, 14.1, 14.0. ESI HRMS: calculated for $C_{24}H_{26}FN_2O_5$ $[M+H]^+$ 441.1826, found 441.1826.



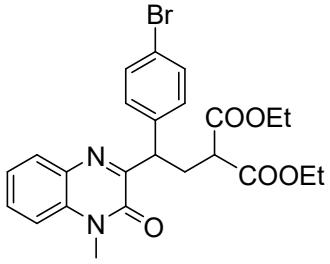

**4ah**

**Diethyl 2-(2-(4-chlorophenyl)-2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)ethyl)malonate (4ah)** Compound **4ah** was obtained in 67% yield (30.6 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.0 Hz, 1H), 7.47 (t, *J* = 7.8 Hz, 1H), 7.29 (d, *J* = 8.4 Hz, 1H), 7.28-7.26 (m, 2H), 7.19 (d, *J* = 8.5 Hz, 1H), 7.16 (d, *J* = 8.5 Hz, 2H), 4.59 (t, *J* = 7.9 Hz, 1H), 4.15-4.11 (m, 2H), 4.08-4.02 (m, 2H), 3.54 (s, 3H), 3.23 (t, *J* = 7.5 Hz, 1H), 2.80-2.74 (m, 1H), 2.63-2.57 (m, 1H), 1.20 (t, *J* = 7.1 Hz, 3H), 1.16 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.4, 169.2, 159.3, 154.2, 138.5, 133.1, 133.0, 132.5, 130.3, 130.2, 130.1, 128.7, 123.6, 113.6, 61.5, 61.4, 50.0, 44.4, 32.5, 29.1, 14.1, 14.0. ESI HRMS: calculated for $C_{24}H_{26}ClN_2O_5$ $[M+H]^+$ 457.1530, found 457.1528.




**4ai**

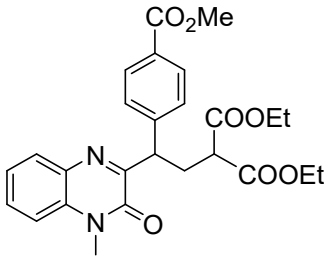
**Diethyl 2-(2-(3-chlorophenyl)-2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)ethyl)malonate (4ai)** Compound **4ai** was obtained in 56% yield (25.6 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.88 (d, *J* = 8.0 Hz, 1H), 7.48 (t, *J* = 7.8 Hz, 1H), 7.32-7.29 (m, 2H), 7.25-7.23 (m, 1H), 7.21 (d, *J* = 8.4 Hz, 1H), 7.14 (t, *J* = 7.6 Hz, 1H), 7.11-7.09 (m, 1H), 4.60 (t, *J* = 7.9 Hz, 1H), 4.16-4.11 (m, 2H), 4.09-4.03 (m, 2H), 3.55 (s, 3H), 3.25 (t, *J* = 7.6 Hz, 1H), 2.81-2.76 (m, 1H), 2.62-2.54 (m, 1H), 1.21 (t, *J* = 7.1 Hz, 3H), 1.16 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.3, 169.2, 159.1, 154.2, 142.2, 134.3, 133.1, 132.5, 130.4, 130.2, 129.8, 128.6, 127.4, 127.2, 123.7, 113.6, 61.5, 61.4, 50.0, 44.6, 32.5, 29.2, 14.1, 14.0. ESI HRMS: calculated for $C_{24}H_{26}ClN_2O_5$ $[M+H]^+$ 457.1530, found 457.1529.




**4aj**

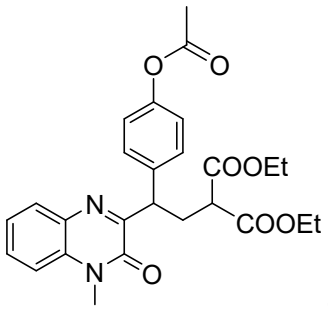
**Diethyl 2-(2-(4-bromophenyl)-2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-**

**yl)ethyl)malonate (4aj)** Compound **4aj** was obtained in 55% yield (27.5 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.0 Hz, 1H), 7.47 (t, *J* = 7.8 Hz, 1H), 7.32-7.30 (m, 2H), 7.29 (d, *J* = 8.0 Hz, 1H), 7.22 (d, *J* = 8.5 Hz, 2H), 7.19 (d, *J* = 7.2 Hz, 1H), 4.58 (t, *J* = 7.9 Hz, 1H), 4.16-4.10 (m, 2H), 4.09-4.03 (m, 2H), 3.55 (s, 3H), 3.23 (t, *J* = 7.6 Hz, 1H), 2.79-2.74 (m, 1H), 2.64-2.59 (m, 1H), 1.19 (t, *J* = 7.1 Hz, 3H), 1.17 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.3, 169.2, 159.3, 154.2, 139.1, 133.1, 132.5, 131.7, 130.5, 130.3, 130.2, 123.7, 121.1, 113.6, 61.5, 61.4, 50.0, 44.4, 32.4, 29.1, 14.1, 14.0. ESI HRMS: calculated for $C_{24}H_{26}BrN_2O_5$ $[M+H]^+$ 501.1025, found 501.1023.




**4ak**

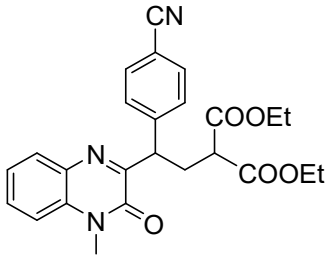
**Diethyl 2-(2-(4-(methoxycarbonyl)phenyl)-2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)ethyl)malonate (4ak)** Compound **4ak** was obtained in 66% yield (31.7 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.88-7.86 (m, 3H), 7.48 (t, *J* = 7.8 Hz, 1H), 7.41 (d, *J* = 8.3 Hz, 2H), 7.30 (t, *J* = 7.6 Hz, 1H), 7.20 (d, *J* = 8.8 Hz, 1H), 4.68 (t, *J* = 7.8 Hz, 1H), 4.15-4.11 (m, 2H), 4.10-4.02 (m, 2H), 3.80 (s, 3H), 3.54 (s, 3H), 3.24 (t, *J* = 7.5 Hz, 1H), 2.85-2.79 (m, 1H), 2.65-2.59 (m, 1H), 1.20 (t, *J* = 7.1 Hz, 3H), 1.15 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.3, 169.2, 166.9, 159.1, 154.2, 145.5, 133.1, 132.5, 130.3, 130.2, 129.9, 129.0, 128.8, 123.7, 113.6, 61.5, 61.4, 52.0, 49.9, 45.0, 32.4, 29.1, 14.1, 14.0.ESI HRMS: calculated for $C_{26}H_{29}N_2O_7$ $[M+H]^+$ 481.1975, found 481.1973.




**4al**

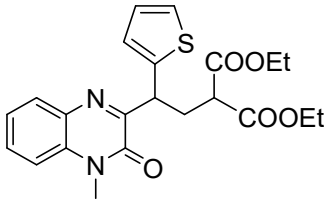
**Diethyl 2-(2-(4-acetoxyphenyl)-2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)ethyl)malonate (4al)** Compound **4al** was obtained in 76% yield (36.7 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.0 Hz, 1H), 7.46 (t, *J* = 7.8 Hz, 1H), 7.37-7.35 (m, 2H), 7.28 (t, *J* = 7.6 Hz, 1H), 7.20 (d, *J* = 8.2 Hz, 1H), 6.93-6.90 (m, 2H), 4.65 (t, *J* = 7.9 Hz, 1H), 4.16-4.11 (m, 2H), 4.10-4.01 (m, 2H), 3.54 (s, 3H), 3.26 (t, *J* = 7.6 Hz, 1H), 2.82-2.76 (m, 1H), 2.67-2.61 (m, 1H), 2.18 (s, 3H), 1.19 (t, *J* = 7.1 Hz, 3H), 1.16 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125

MHz, $CDCl_3$) δ 169.5, 169.4, 169.3, 159.6, 154.2, 149.7, 137.4, 133.1, 132.5, 130.2, 130.1, 129.8, 123.6, 121.5, 113.6, 61.5, 61.4, 50.1, 44.2, 32.6, 29.1, 21.2, 14.1, 14.0.ESI HRMS: calculated for $C_{26}H_{29}N_2O_7$ $[M+H]^+$ 481.1975, found 481.1972.



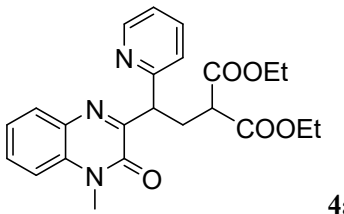

**4am**

**Diethyl 2-(2-(4-cyanophenyl)-2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)ethyl)malonate (4am)** Compound **4am** was obtained in 75% yield (33.4 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1H$ NMR (500 MHz, $CDCl_3$) δ 7.87 (d, *J* = 8.0 Hz, 1H), 7.51-7.45 (m, 5H), 7.32 (t, *J* = 7.6 Hz, 1H), 7.22 (d, *J* = 8.3 Hz, 1H), 4.67 (t, *J* = 7.8 Hz, 1H), 4.14-4.11 (m, 2H), 4.09-4.02 (m, 2H), 3.55 (s, 3H), 3.23 (t, *J* = 7.5 Hz, 1H), 2.83-2.80 (m, 1H), 2.63-2.56 (m, 1H), 1.19 (t, *J* = 7.1 Hz, 3H), 1.16 (t, *J* = 7.1 Hz, 3H). $^{13}C$ NMR (125 MHz, $CDCl_3$) δ 169.1, 169.0, 158.5, 154.2, 145.8, 133.1, 132.5, 132.4, 130.5, 130.4, 129.5, 123.8, 118.8, 113.7, 111.0, 61.6, 61.5, 49.9, 45.1, 32.3, 29.2, 14.1, 14.0.ESI HRMS: calculated for $C_{25}H_{26}N_3O_5$ $[M+H]^+$ 448.1872, found 448.1873.



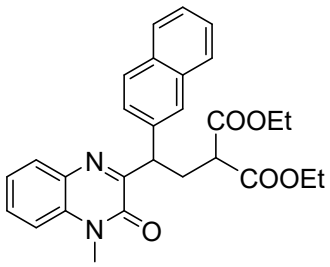

**4ao**

**Diethyl 2-(2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-(thiophen-2-yl)ethyl)malonate (4an)** Compound **4an** was obtained in 46% yield (19.7 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow solid, m.p. = 104.6°C-106.2°C. $^1H$ NMR (500 MHz, $CDCl_3$) δ 7.84 (d, *J* = 8.0 Hz, 1H), 7.46 (t, *J* = 7.8 Hz, 1H), 7.27 (t, *J* = 7.6 Hz, 1H), 7.20 (d, *J* = 6.7 Hz, 1H), 7.08 (d, *J* = 0.8 Hz, 1H), 6.98 (d, *J* = 3.4 Hz, 1H), 6.84-6.82 (m, 1H), 5.01 (t, *J* = 7.9 Hz, 1H), 4.15-4.11 (m, 2H), 4.09-4.04 (m, 2H), 3.58 (s, 3H), 3.35-3.32 (m, 1H), 2.82-2.76 (m, 1H), 2.73-2.68 (m, 1H), 1.20 (t, *J* = 7.1 Hz, 3H), 1.17 (t, *J* = 7.1 Hz, 3H). $^{13}C$ NMR (125 MHz, $CDCl_3$) δ 169.3, 169.2, 159.1, 154.1, 142.9, 133.2, 132.5, 130.3, 130.2, 126.7, 126.3, 124.8, 123.6, 113.6, 61.5, 61.4, 50.0, 40.0, 33.5, 29.2, 14.1, 14.0. ESI HRMS: calculated for $C_{22}H_{25}N_2O_5S$ $[M+H]^+$ 429.1484, found 429.1483.



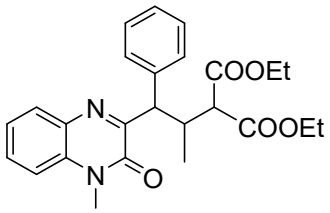

**4ao**

**Diethyl 2-(2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-(pyridin-2-yl)ethyl)malonate (4ao)** Compound **4ao** was obtained in 39% yield (16.5 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=2/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) 8.44 (d, *J* = 4.2 Hz, 1H), 7.91 (d, *J* = 8.0, Hz, 1H), 7.61 (t, *J* = 7.5 Hz, 1H), 7.46 (d, *J* = 8.2 Hz, 2H), 7.28 (t, *J* = 7.6 Hz, 1H), 7.20 (d, *J* = 8.0 Hz, 1H), 7.10-7.06 (m, 1H), 4.79 (t, *J* = 7.5 Hz, 1H), 4.13-4.11 (m, 2H), 4.10-4.04 (m, 2H), 3.54 (s, 1H), 3.41 (t, *J* = 7.5 Hz, 1H), 2.97-2.91 (m, 1H), 2.74-2.68 (m, 1H), 1.20 (t, *J* = 7.1 Hz, 3H), 1.17 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.4, 169.3, 159.7, 158.3, 154.4, 133.2, 132.6, 130.8, 130.7, 130.1, 124.7, 123.6, 123.4, 122.1, 113.5, 61.5, 61.4, 50.0, 47.4, 31.1, 29.1, 14.1, 14.0.ESI HRMS: calculated for $C_{23}H_{25}N_3O_5$ $[M+H]^+$ 424.1872, found 424.1871.




**4an**

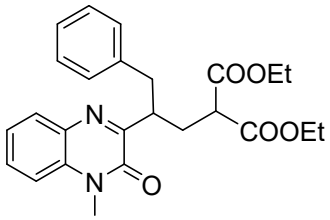
**Diethyl 2-(2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-(naphthalen-2-yl)ethyl)malonate (4ap)** Compound **4ap** was obtained in 70% yield (33.0 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Orange oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.91 (d, *J* = 8.0 Hz, 1H), 7.76 (s, 1H), 7.70-7.67 (m, 3H), 7.50 (d, *J* = 8.5 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 1H), 7.33-7.30 (m, 2H), 7.29 (t, *J* = 7.4 Hz, 1H), 7.17 (d, *J* = 8.8 Hz, 1H), 4.79 (t, *J* = 7.9 Hz, 1H), 4.16-4.12 (m, 2H), 4.07-3.98 (m, 2H), 3.51 (s, 3H), 3.29 (t, *J* = 7.5 Hz, 1H), 2.89-2.83 (m, 1H), 2.79-2.76 (m, 1H), 1.20 (t, *J* = 7.1 Hz, 3H), 1.12 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.5, 169.4, 159.8, 154.3, 137.4, 133.5, 133.2, 132.7, 132.6, 130.3, 130.0, 128.2, 127.9, 127.7, 127.6, 126.8, 125.9, 125.7, 123.6, 113.5, 61.5, 61.4, 50.1, 45.1, 32.6, 29.1, 14.1, 14.0. ESI HRMS: calculated for $C_{28}H_{29}N_2O_5$ $[M+H]^+$ 473.2076, found 473.2075.




**4aq**

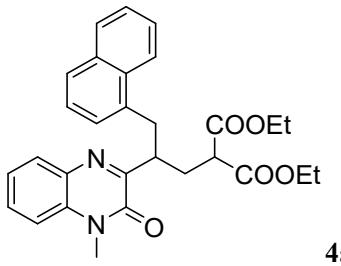
**Diethyl 2-(1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-1-phenylpropan-2-yl)malonate (4aq)** Compound **4aq** was obtained in 69% yield (30.1 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow solid m.p. = 134.6 °C -136.5 °C. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.87 (d, *J* = 8.0 Hz, 1H), 7.45-7.42 (m, 3H), 7.27 (d, *J* = 7.0 Hz, 1H), 7.19-7.16 (m, 3H), 7.11 (t, *J* = 7.4 Hz, 1H), 4.83 (d, *J* = 11.6 Hz, 1H), 4.19-4.12 (m, 2H), 4.10-4.03 (m, 2H), 3.54 (s, 3H), 3.21 (d, *J* = 3.6 Hz, 1H), 1.24 (t, *J* = 7.1 Hz, 3H),

1.16 (t, $J$ = 7.1 Hz, 3H), 1.09 (d, $J$ = 6.7 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.8, 168.6, 160.1, 154.6, 139.1, 132.9, 132.7, 130.2, 129.9, 129.6, 128.5, 127.2, 123.5, 113.5, 61.2, 60.1, 53.2, 50.6, 36.7, 29.2, 15.0, 14.2, 14.1.ESI HRMS: calculated for $C_{25}H_{29}N_2O_5$ $[M+H]^+$ 437.2076, found 437.2075.



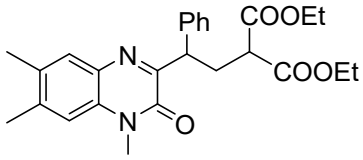

**4ar**

**Diethyl 2-(2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-3-phenylpropyl)malonate (4ar)** Compound **4ar** was obtained in 85% yield (37.1 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.77 (d, $J$ = 7.9 Hz, 1H), 7.46 (t, $J$ = 7.8 Hz, 1H), 7.26 (t, $J$ = 7.6 Hz, 1H), 7.21 (d, $J$ = 8.3 Hz, 1H), 7.18-7.15 (m, 4H), 7.07 (t, $J$ = 6.4 Hz, 1H), 4.03-4.00 (m, 2H), 3.99-3.90 (m, 2H), 3.81-3.77 (m, 1H), 3.60 (s, 3H), 3.31-3.29 (m, 1H), 3.18-3.14 (m, 1H), 2.73-2.69 (m, 1H), 2.48-2.42 (m, 1H), 2.27-2.21 (m, 1H), 1.11 (t, $J$ = 7.1 Hz, 3H), 1.06 (t, $J$ = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.5, 169.2, 161.3, 154.6, 139.6, 133.0, 132.5, 130.0, 129.9, 129.3, 128.3, 126.1, 123.5, 113.5, 61.3, 61.2, 49.9, 41.1, 39.5, 30.4, 29.1, 14.0, 13.9.ESI HRMS: calculated for $C_{25}H_{29}N_2O_5$ $[M+H]^+$ 437.2076, found 437.2068.



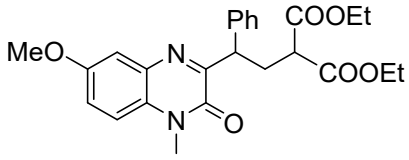

**4as**

**Diethyl 2-(2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-3-(naphthalen-1-yl)propyl)malonate (4as)** Compound **4as** was obtained in 83% yield (40.5 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 8.38 (d, $J$ = 8.5 Hz, 1H), 7.79 (d, $J$ = 7.9 Hz, 1H), 7.74 (d, $J$ = 8.1 Hz, 1H), 7.63 (d, $J$ = 7.7 Hz, 1H), 7.49-7.44 (m, 2H), 7.37 (t, $J$ = 7.4 Hz, 1H), 7.30-7.25 (m, 3H), 7.21 (d, $J$ = 8.3 Hz, 1H), 4.04-4.00 (m, 1H), 3.98-3.90 (m, 2H), 3.80-3.75 (m, 2H), 3.72 (d, $J$ = 5.5 Hz, 1H), 3.61 (s, 3H), 3.27-3.24 (m, 1H), 3.00-2.95 (m, 1H), 2.60-2.56 (m, 1H), 2.12-2.17 (m, 1H), 1.07 (t, $J$ = 7.1 Hz, 3H), 0.83 (t, $J$ = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.5, 169.1, 161.4, 154.8, 135.4, 133.9, 133.1, 132.6, 132.3, 130.0, 129.9, 128.6, 127.8, 127.2, 126.0, 125.5, 125.3, 124.4, 123.5, 113.6, 61.3, 61.2, 50.0, 40.1, 37.4, 30.2, 29.2, 14.0, 13.6.ESI HRMS: calculated for $C_{29}H_{31}N_2O_5$ $[M+H]^+$ 487.2233, found 487.2233.



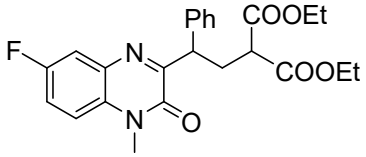
**4ba**

**Diethyl 2-(2-phenyl-2-(4,6,7-trimethyl-3-oxo-3,4-dihydroquinoxalin-2-yl)ethyl)malonate (4ba)** Compound **4ba** was obtained in 88% yield (39.6 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow solid m.p. = 125.4°C-127.2 °C. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.63 (s, 1H), 7.32 (d, *J* = 7.1 Hz, 2H), 7.19-7.16 (m, 2H), 7.10 (t, *J* = 7.3 Hz, 1H), 6.94 (s, 1H), 4.59 (t, *J* = 7.9 Hz, 1H), 4.14-4.10 (m, 2H), 4.09-4.02 (m, 2H), 3.50 (s, 3H), 3.26 (t, *J* = 7.6 Hz, 1H), 2.78-2.74 (m, 1H), 2.65-2.59 (m, 1H), 2.33 (s, 3H), 2.29 (s, 3H), 1.20 (t, *J* = 7.1 Hz, 3H), 1.15 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.5, 169.4, 158.5, 154.3, 140.4, 139.7, 132.4, 131.1, 131.0, 130.3, 128.7, 128.5, 127.0, 114.1, 61.4, 61.3, 50.1, 44.8, 32.7, 29.0, 20.5, 19.1, 14.1, 14.0. ESI HRMS: calculated for $C_{26}H_{31}N_2O_5$ $[M+H]^+$ 451.2233, found 451.2232.



**4ca**

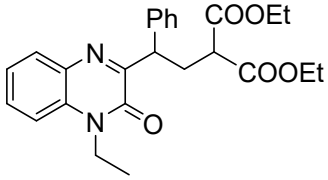
**Diethyl 2-(2-(7-methoxy-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-phenylethyl)malonate (4ca)** Compound **4ca** was obtained in 80% yield (36.1 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Orange solid, m.p. = 142.3°C-145.1°C. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.33-7.34 (m, 3H), 7.18-7.21 (m, 3H), 7.11-7.13 (m, 1H), 7.07-7.11 (m, 1H), 4.62 (t, *J* = 7.9 Hz, 1H), 4.15-4.10 (m, 2H), 4.09-4.02 (m, 2H), 3.85 (s, 3H), 3.52 (s, 3H), 3.25 (t, *J* = 7.6 Hz, 1H), 2.81-2.75 (m, 1H), 2.68-2.62 (m, 1H), 1.20 (t, *J* = 7.1 Hz, 3H), 1.15 (d, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.5, 169.4, 160.3, 155.9, 153.9, 140.0, 133.3, 128.8, 128.5, 127.4, 127.1, 119.1, 114.4, 111.7, 61.4, 61.3, 55.8, 50.1, 44.9, 32.7, 29.2, 14.1, 14.0. ESI HRMS: calculated for $C_{26}H_{31}N_2O_5$ $[M+H]^+$ 453.2026, found 453.2025.



**4da**

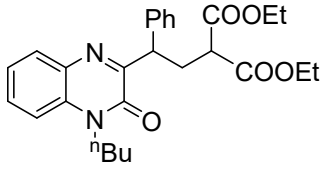
**Diethyl 2-(2-(7-fluoro-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-phenylethyl)malonate (4da)** Compound **4da** was obtained in 45% yield (19.8 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.57 (d, *J* = 8.7 Hz, 1H), 7.33 (d, *J* = 7.3 Hz, 2H), 7.21-7.18 (m, 3H), 7.15 (d, *J* = 4.9 Hz, 1H), 7.13 (d, *J* = 4.6 Hz, 1H), 4.62 (t, *J* = 7.9 Hz, 1H), 4.16-4.11 (m, 2H), 4.10-4.02 (m, 2H), 3.52 (s, 3H), 3.24 (t, *J* = 7.6 Hz, 1H), 2.76-2.73 (m, 1H), 2.66-2.63 (m, 1H), 1.20

(t, *J* = 7.1 Hz, 3H), 1.16 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.4, 169.3, 160.1 (d, *J* = 226.6 Hz), 157.7, 153.9, 139.6, 133.1 (d, *J* = 11.2 Hz), 129.8, 128.8, 128.6, 127.2, 117.7 (d, *J* = 23.8 Hz), 115.6 (d, *J* = 22.3 Hz), 114.6 (d, *J* = 8.7), 61.5, 61.4, 50.0, 45.0, 32.5, 29.4, 14.1, 14.0. ESI HRMS: calculated for $C_{24}H_{26}FN_2O_5$ $[M+H]^+$ 441.1826, found 441.1837.



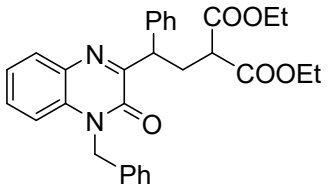

**4ea**

**Diethyl 2-(2-(4-ethyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-phenylethyl)malonate (4ea)** Compound **4ea** was obtained in 82% yield (36.1 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.88 (d, *J* = 8.0 Hz, 1H), 7.44 (t, *J* = 7.8 Hz, 1H), 7.34 (d, *J* = 7.4 Hz, 2H), 7.27 (t, *J* = 7.5 Hz, 1H), 7.21-7.18 (m, 3H), 7.11 (t, *J* = 7.3 Hz, 1H), 4.62 (t, *J* = 7.9 Hz, 1H), 4.23-4.18 (m, 2H), 4.15-4.10 (dm, 2H), 4.08-4.02 (m, 2H), 3.26 (t, *J* = 7.5 Hz, 1H), 2.78-2.76 (m, 1H), 2.68-2.63 (m, 1H), 1.22 (t, *J* = 6.9 Hz, 3H), 1.20 (t, *J* = 70 Hz, 3H), 1.15 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.5, 169.4, 159.9, 153.7, 140.1, 132.9, 132.0, 130.5, 129.9, 128.8, 128.5, 127.0, 123.3, 113.4, 61.4, 61.3, 50.1, 44.8, 37.4, 32.7, 14.1, 14.0, 12.4. ESI HRMS: calculated for $C_{25}H_{29}N_2O_5$ $[M+H]^+$ 437.2076, found 437.2073.



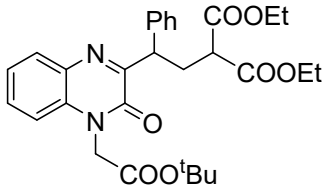

**4fa**

**Diethyl 2-(2-(4-butyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-phenylethyl)malonate (4fa)** Compound **4fa** was obtained in 73% yield (33.9 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.87 (d, *J* = 8.0 Hz, 1H), 7.44 (t, *J* = 7.8 Hz, 1H), 7.33 (d, *J* = 7.1 Hz, 2H), 7.26 (t, *J* = 7.6 Hz, 1H), 7.20-7.17 (m, 3H), 7.11 (t, *J* = 7.4 Hz, 1H), 4.61 (t, *J* = 7.9 Hz, 1H), 4.18 – 4.09 (m, 4H), 4.07-4.02 (m, 2H), 4.01-3.92 (m, 2H), 3.26 (t, *J* = 7.5 Hz, 1H), 2.81-2.76 (m, 1H), 2.67-2.61 (m, 1H), 1.61-1.56 (m, 2H), 1.35-1.30 (m, 2H), 1.20 (t, *J* = 7.1 Hz, 3H), 1.15 (t, *J* = 7.1 Hz, 3H), 0.87 (t, *J* = 7.4 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.5, 169.4, 159.8, 153.9, 140.1, 132.8, 132.3, 130.5, 129.8, 128.7, 128.5, 127.0, 123.3, 113.5, 61.4, 61.3, 50.1, 44.8, 42.2, 32.7, 29.2, 20.3, 14.1, 14.0, 13.7. ESI HRMS: calculated for $C_{27}H_{33}N_2O_5$ $[M+H]^+$ 468.2389, found 465.2388.



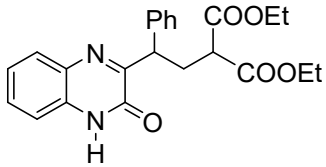

**4ga**

**Diethyl 2-(2-(4-benzyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-phenylethyl)malonate (4ga)** Compound **4ga** was obtained in 80% yield (39.9 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Orange oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.87 (d, *J* = 7.9 Hz, 1H), 7.36 (d, *J* = 7.2 Hz, 2H), 7.31 (t, *J* = 7.8 Hz, 1H), 7.21 (d, *J* = 7.5 Hz, 2H), 7.18 (t, *J* = 7.6 Hz, 2H), 7.15-7.13 (m, 2H), 7.11 (d, *J* = 8.3 Hz, 2H), 7.05 (d, *J* = 7.0 Hz, 2H), 5.45 (d, *J* = 15.7 Hz, 1H), 5.18 (d, *J* = 15.7 Hz, 1H), 4.68 (t, *J* = 7.9 Hz, 1H), 4.14-4.10 (m, 2H), 4.09-4.03 (m, 2H), 3.30 (t, *J* = 7.5 Hz, 1H), 2.87-2.81 (m, 1H), 2.70-2.64 (m, 1H), 1.20 (t, *J* = 7.1 Hz, 3H), 1.15 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.5, 169.4, 160.0, 154.3, 140.0, 135.2, 132.8, 132.4, 130.4, 130.0, 128.9, 128.8, 128.6, 127.6, 127.1, 126.8, 123.6, 114.4, 61.5, 61.4, 50.1, 45.9, 45.0, 32.7, 14.1, 14.0. ESI HRMS: calculated for $C_{30}H_{31}N_2O_5$ $[M+H]^+$ 499.2233, found 499.2234.




**4ha**

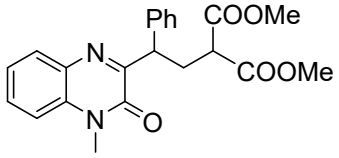
**Diethyl 2-(2-(4-(2-(tert-butoxy)-2-oxoethyl)-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-phenylethyl)malonate (4ha)** Compound **4ha** was obtained in 76% yield (39.8 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.98 (d, *J* = 8.0 Hz, 1H), 7.52 (t, *J* = 8.0 Hz, 1H), 7.41 (d, *J* = 7.1 Hz, 2H), 7.38 (d, *J* = 7.6 Hz, 1H), 7.29-7.26 (m, 2H), 7.20 (t, *J* = 7.3 Hz, 1H), 7.05 (d, *J* = 8.4 Hz, 1H), 4.95 (d, *J* = 15.7 Hz, 1H), 4.75 (d, *J* = 17.2 Hz, 1H), 4.71 (t, *J* = 7.9 Hz, 1H), 4.22-4.20 (m, 2H), 4.18-4.11 (m, 2H), 3.36 (t, *J* = 7.5 Hz, 1H), 2.90-2.86 (m, 1H), 2.78-2.72 (m, 1H), 1.39 (s, 9H), 1.29 (d, *J* = 7.1 Hz, 3H), 1.24 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.5, 169.4, 166.0, 159.7, 153.8, 139.9, 132.6, 132.3, 130.6, 130.0, 128.7, 128.6, 127.1, 123.7, 113.1, 83.0, 61.4, 61.3, 50.0, 45.0, 44.3, 32.6, 27.9, 14.1, 14.0. ESI HRMS: calculated for $C_{29}H_{35}N_2O_7$ $[M+H]^+$ 523.2444, found 523.24443.




**4ia**

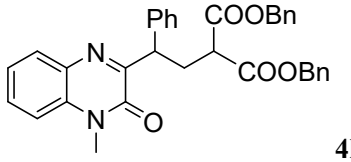
**Diethyl 2-(2-(3-oxo-3,4-dihydroquinoxalin-2-yl)-2-phenylethyl)malonate (4ia)** Compound **4ia** was obtained in 51% yield (20.9 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow solid, m.p. = 174.3-175.6$^o$C. $^1$H NMR (500 MHz, $CDCl_3$) δ 12.34 (s, 1H), 7.90 (d, *J* = 8.0 Hz, 1H), 7.46 (t, *J* = 7.7 Hz, 1H), 7.42 (d, *J* = 7.5 Hz, 2H), 7.33 (t, *J* = 7.7 Hz, 1H), 7.26 (t, *J* = 7.6 Hz, 2H), 7.18-7.14 (m, 2H), 4.71 (t, *J* = 7.8 Hz, 1H), 4.22-4.18 (m, 2H), 4.16-4.06 (m, 2H), 3.37 (t, *J* = 7.5 Hz, 1H), 2.92-2.86 (m, 1H), 2.77-2.70 (m, 1H), 1.27 (t, *J* = 7.0 Hz, 3H), 1.21 (t, *J* = 7.1 Hz, 3H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.5, 169.4, 160.2, 156.0, 139.9, 132.7, 130.9, 130.0, 129.2, 128.8,

128.5, 127.1, 124.1, 115.7, 61.5, 61.4, 50.1, 44.3, 32.5, 14.1, 14.0. ESI HRMS: calculated for $C_{23}H_{25}N_2O_5$ $[M+H]^+$ 409.1763, found 409.1763.



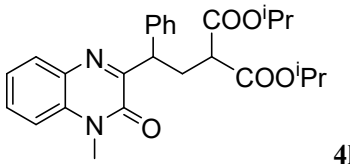

**4ja**

**Diethyl 2-(2-(3-oxo-3,4-dihydroquinoxalin-2-yl)-2-phenylethyl)malonate (4ja)** Compound **4ja** was obtained in 73% yield (28.8 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.87 (d, *J* = 8.0 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 1H), 7.33 (d, *J* = 7.6 Hz, 2H), 7.29 (d, *J* = 7.4 Hz, 1H), 7.20 (t, *J* = 7.7 Hz, 3H), 7.12 (t, *J* = 7.3 Hz, 1H), 4.59 (t, *J* = 7.8 Hz, 1H), 3.67 (s, 3H), 3.60 (s, 3H), 3.53 (s, 3H), 3.31 (t, *J* = 7.5 Hz, 1H), 2.83-2.77 (m, 1H), 2.69-2.63 (m, 1H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.9, 169.8, 159.7, 154.2, 139.9, 133.1, 132.5, 130.3, 130.0, 128.7, 128.6, 127.1, 123.6, 113.5, 52.6, 52.5, 49.8, 45.0, 32.8, 29.1. ESI HRMS: calculated for $C_{22}H_{23}N_2O_5$ $[M+H]^+$ 395.1607, found 395.1607.




**4ka**

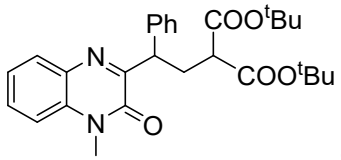
**Diethyl 2-(2-(3-oxo-3,4-dihydroquinoxalin-2-yl)-2-phenylethyl)malonate (4ka)** Compound **4ka** was obtained in 42% yield (23.0 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.82 (d, *J* = 8.0 Hz, 1H), 7.43 (t, *J* = 7.8 Hz, 1H), 7.29-7.26 (m, 3H), 7.24-7.19 (m, 8H), 7.17-7.13 (m, 5H), 7.09 (t, *J* = 7.3 Hz, 1H), 5.09-5.02 (m, 3H), 4.96 (t, *J* = 8.0 Hz, 1H), 4.63 (t, *J* = 8.0 Hz, 1H), 3.48 (s, 3H), 3.40 (t, *J* = 7.5 Hz, 1H), 2.89-2.83 (m, 1H), 2.72-2.65 (m, 1H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.2, 169.0, 159.7, 154.2, 139.9, 135.4, 135.3, 133.1, 132.5, 130.3, 130.0, 128.7, 128.6, 128.5, 128.4, 128.3, 128.2, 128.1, 128.0, 127.1, 123.5, 113.5, 67.1, 67.0, 50.1, 45.0, 32.7, 29.1. ESI HRMS: calculated for $C_{34}H_{31}N_2O_5$ $[M+H]^+$ 547.2233, found 547.2246.




**4la**

**Dipropyl 2-(2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-phenylethyl)malonate (4la)** Compound **4la** was obtained in 78% yield (35.1 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow solid m.p. = 140.9-142.1°C. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.87 (d, *J* = 8.0 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 1H), 7.34 (d, *J* = 7.2 Hz, 2H), 7.27 (t, *J* = 7.6 Hz, 1H), 7.21-7.17 (m, 3H), 7.11 (t, *J* = 7.3 Hz, 1H), 5.07-5.01 (m,

1H), 5.00-4.90 (m, 1H), 4.62 (t, *J* = 7.9 Hz, 1H), 3.52 (s, 3H), 3.18 (t, *J* = 7.6 Hz, 1H), 2.77-2.73 (m, 1H), 2.65-2.60 (m, 1H), 1.20-1.17 (m, 6H), 1.16-1.12 (m, 6H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 169.0, 168.9, 159.9, 154.2, 140.1, 133.1, 132.6, 130.3, 129.9, 128.8, 128.5, 127.4, 123.5, 113.5, 68.9, 68.8, 50.4, 44.9, 32.5, 29.1, 21.8, 21.7, 21.6, 21.5. ESI HRMS: calculated for $C_{26}H_{31}N_2O_5$ $[M+H]^+$ 451.2233, found 451.2235.

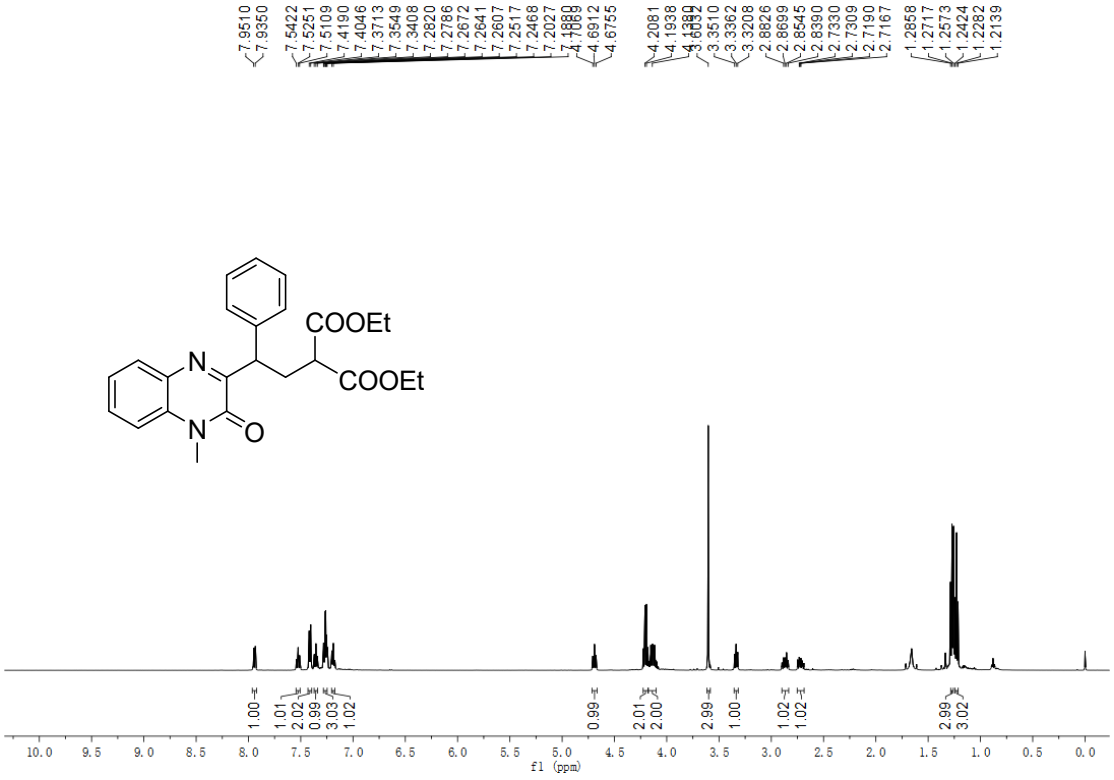



**4ma**

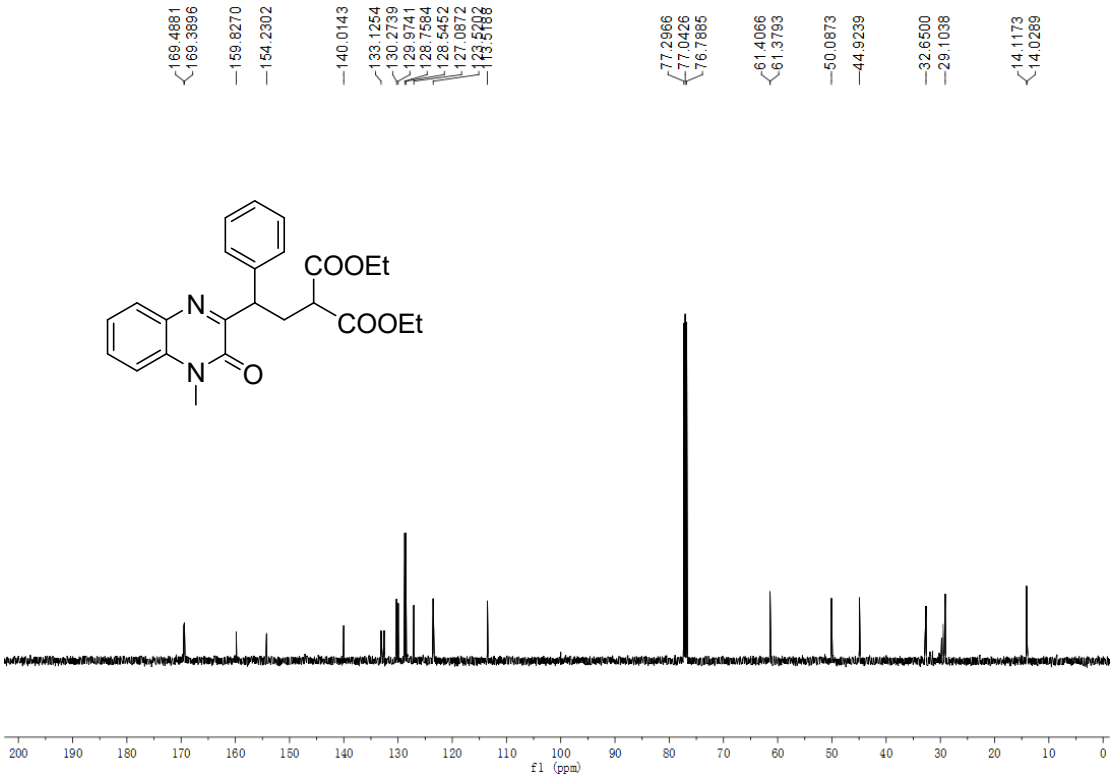
**Di-tert-butyl 2-(2-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-phenylethyl)malonate (4ma)** Compound **4ma** was obtained in 65% yield (31.2 mg) according to the general procedure (eluent ratio for column chromatography: petroleum ether/EtOAc=5/1), Yellow oil. $^1$H NMR (500 MHz, $CDCl_3$) δ 7.87 (d, *J* = 8.0 Hz, 1H), 7.44 (t, *J* = 7.1 Hz, 1H), 7.35 (d, *J* = 7.2 Hz, 2H), 7.27 (t, *J* = 8.0 Hz, 1H), 7.20-7.16 (m, 3H), 7.12 (t, *J* = 7.3 Hz, 1H), 4.63 (t, *J* = 7.9 Hz, 1H), 3.53 (s, 3H), 3.06 (t, *J* = 7.6 Hz, 1H), 2.72-2.66 (m, 1H), 2.59-2.53 (m, 1H), 1.41 (s, 9H), 1.37 (s, 9H). $^{13}$C NMR (125 MHz, $CDCl_3$) δ 168.9, 168.8, 160.1, 154.2, 140.3, 133.1, 132.6, 130.3, 129.9, 128.8, 128.5, 127.0, 123.4, 113.5, 81.5, 81.3, 51.8, 44.7, 32.6, 29.1, 28.0, 27.9. ESI HRMS: calculated for $C_{28}H_{35}N_2O_5$ $[M+H]^+$ 479.2546, found 479.2548.

## 6. Characterization data of products.

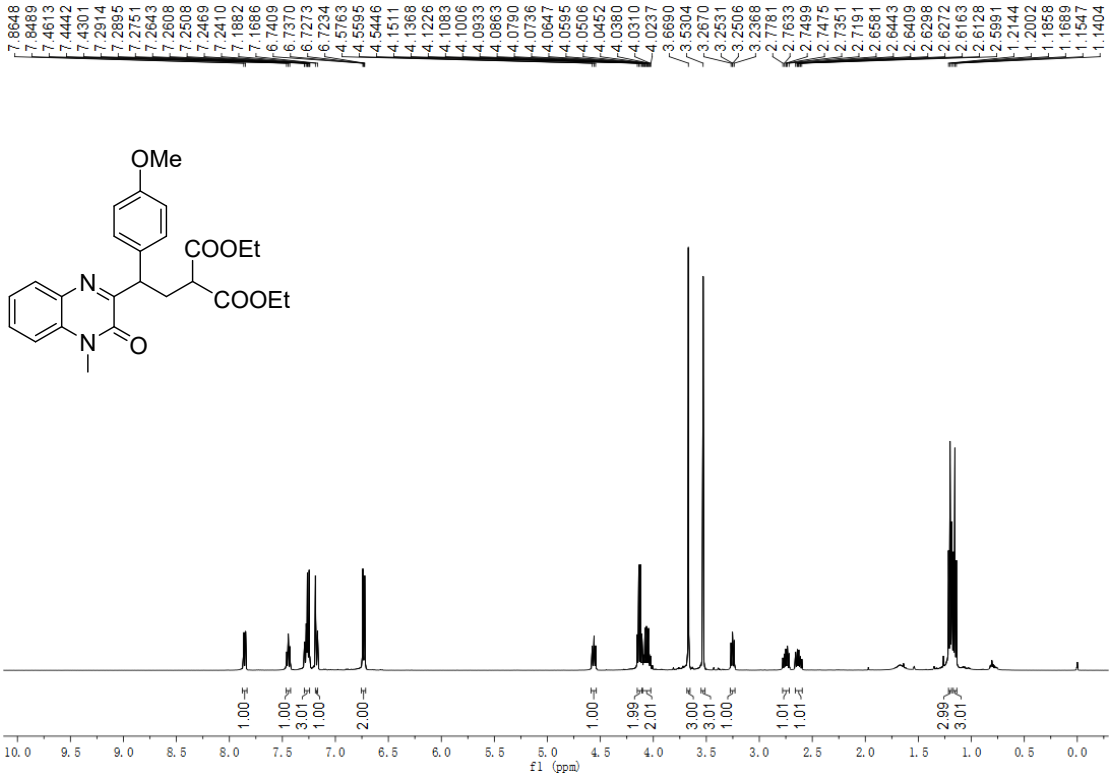
**4aa** $^{1}$H NMR (500 MHz, $CDCl_3$)



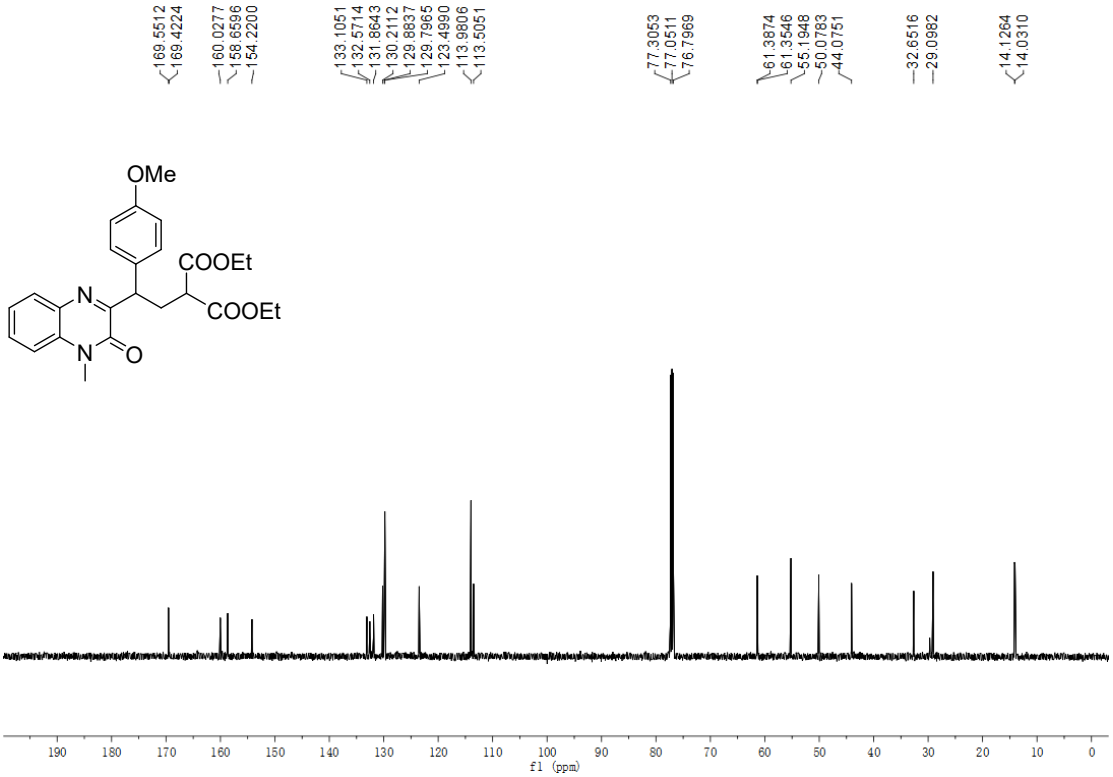

**4aa** $^{13}$C NMR (125 MHz, $CDCl_3$)



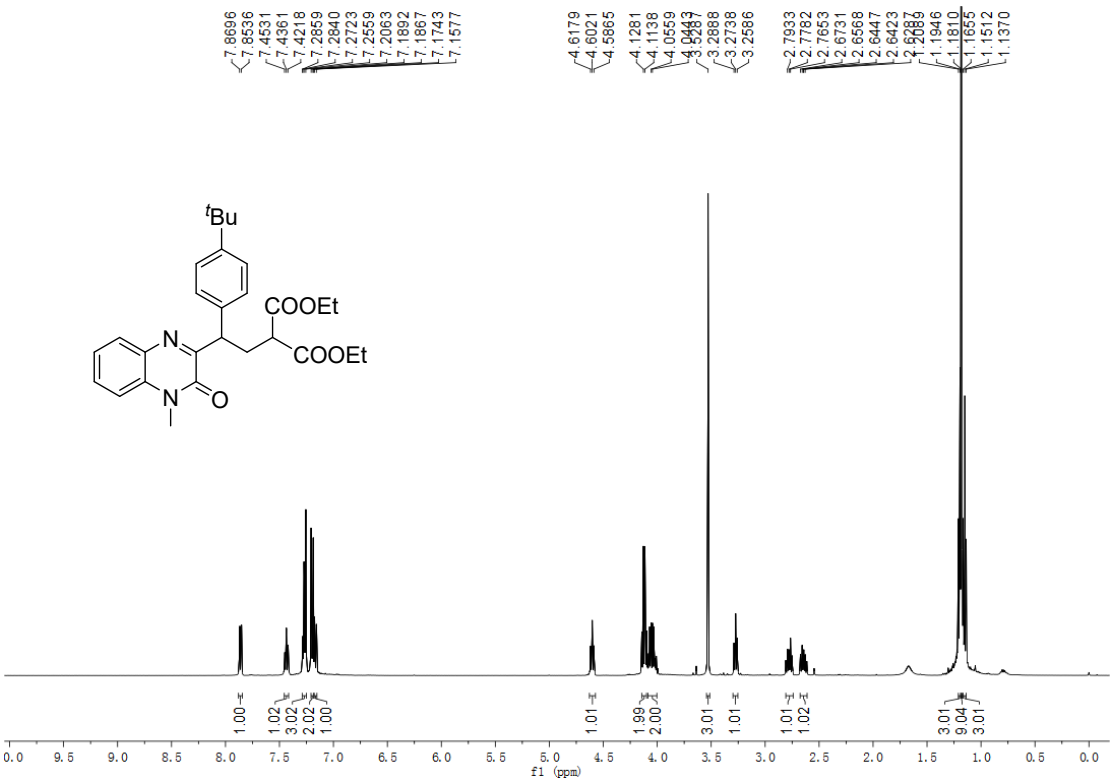
**4ab** $^{1}$H NMR (500 MHz, $CDCl_3$)



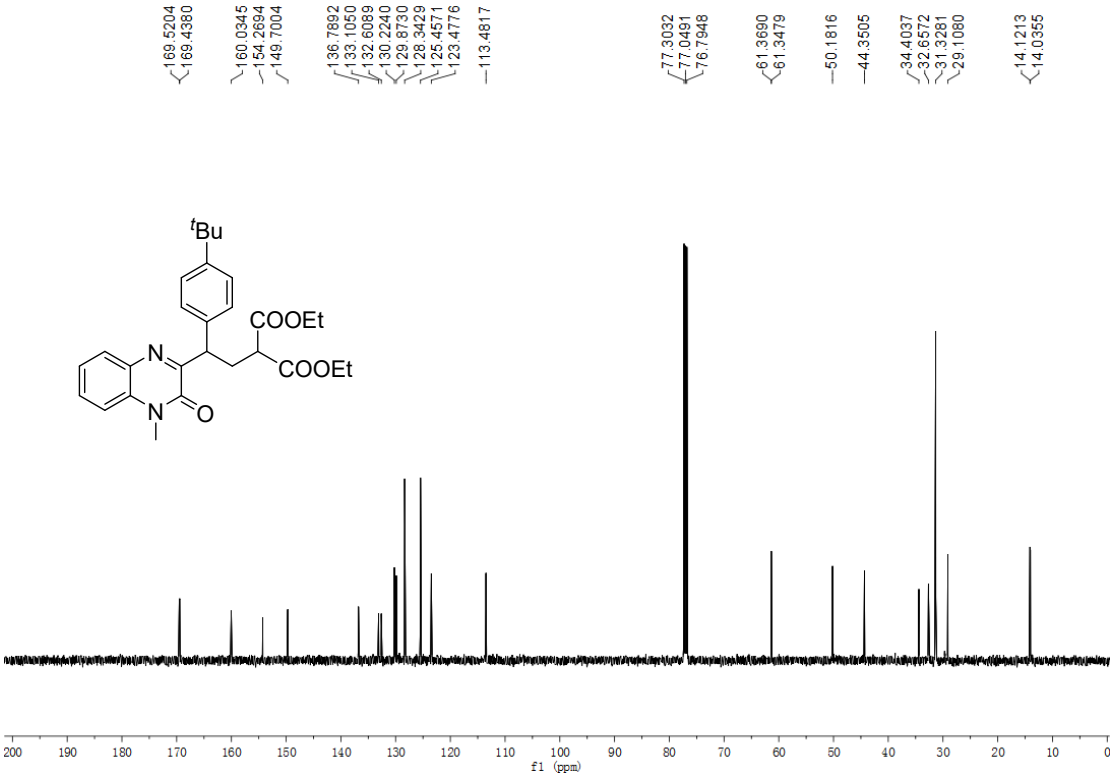

**4ba** $^{13}$C NMR (125 MHz, $CDCl_3$)



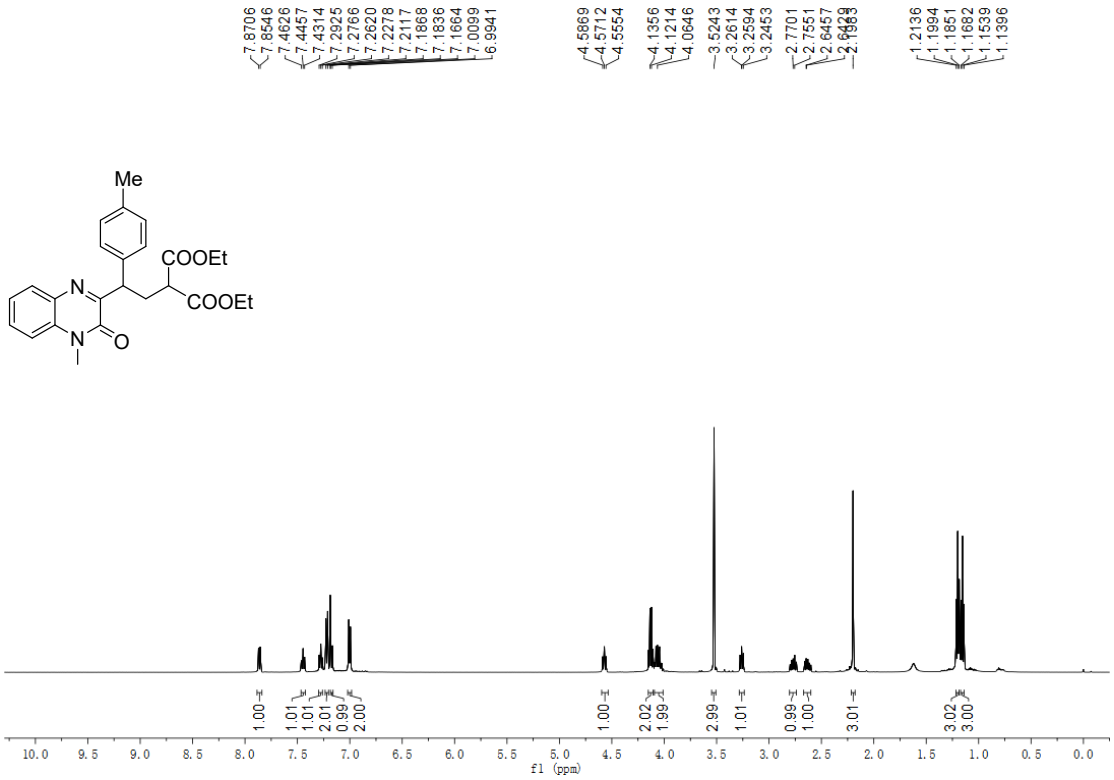
**4ac** $^{1}$H NMR (500 MHz, $CDCl_3$)



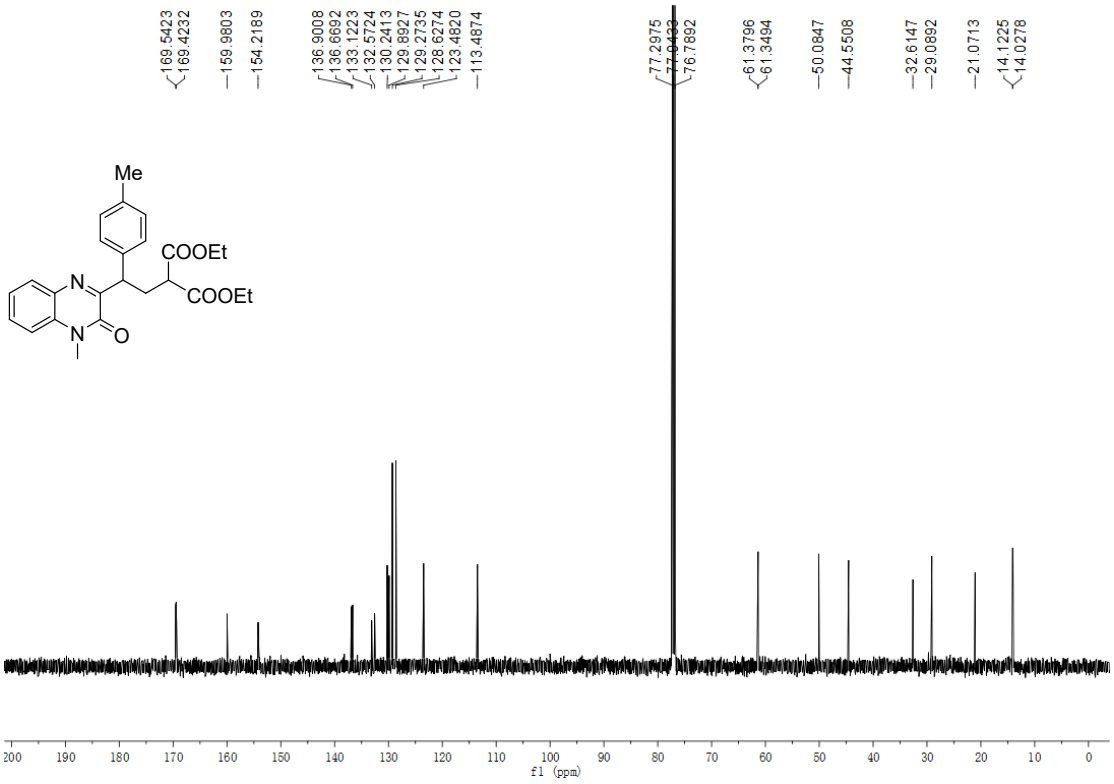

**4ac** $^{13}$C NMR (125 MHz, $CDCl_3$)



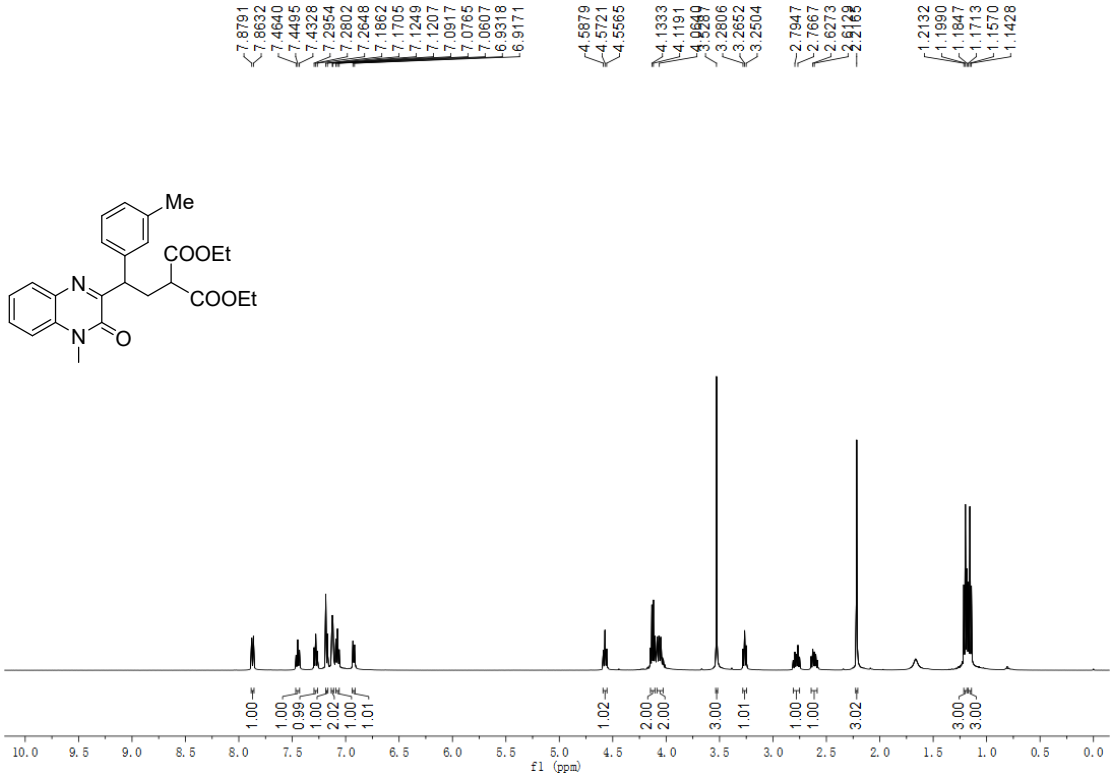
**4ad** $^{1}$H NMR (500 MHz, $CDCl_3$)



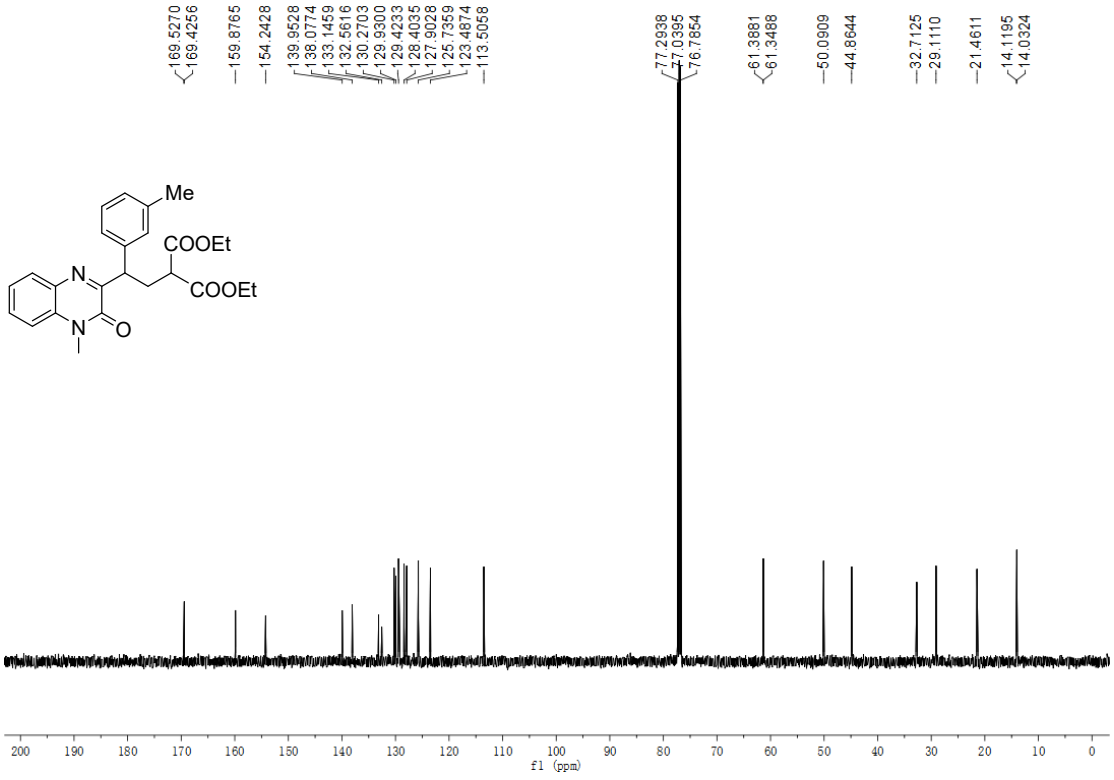

**4ad** $^{13}$C NMR (125 MHz, $CDCl_3$)



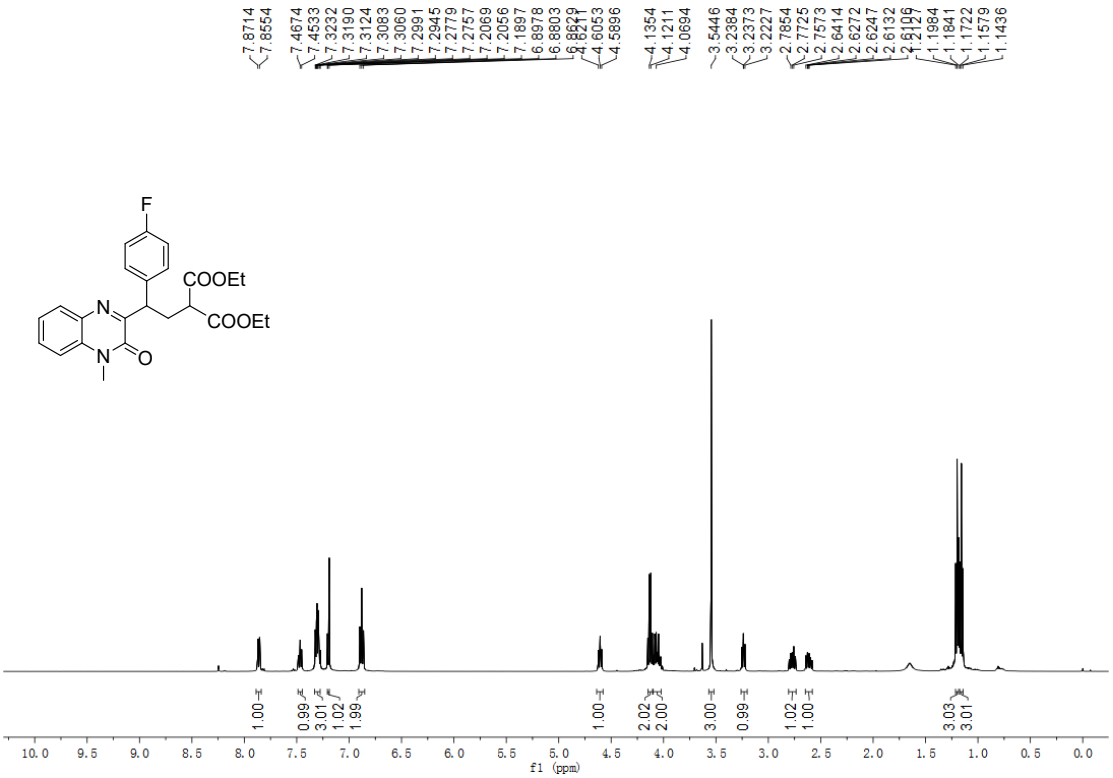
**4ae** $^{1}$H NMR (500 MHz, $CDCl_3$)



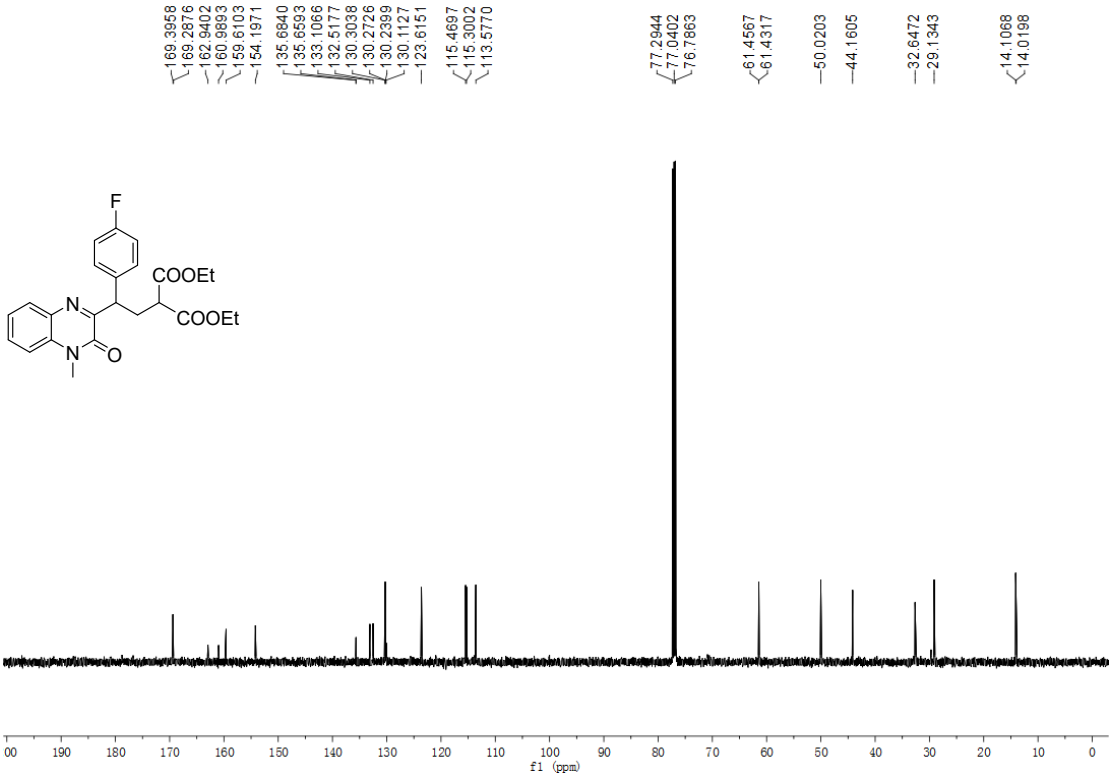

**4ae** $^{13}$C NMR (125 MHz, $CDCl_3$)



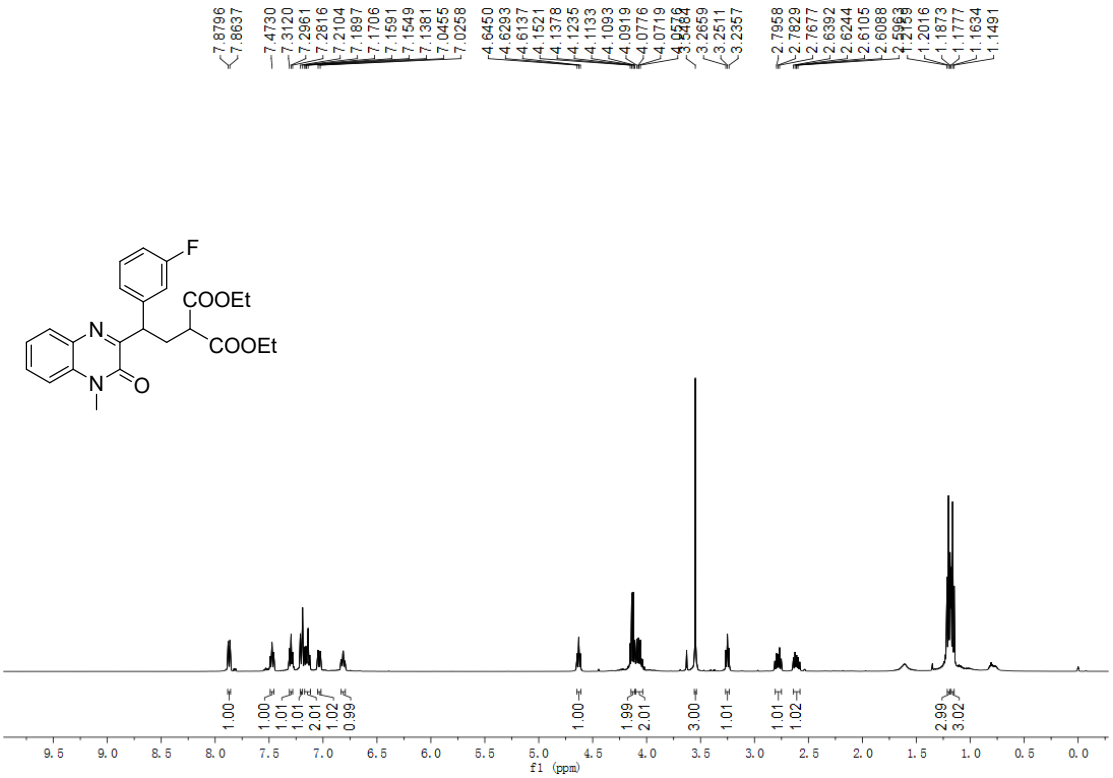
**4fa** $^{1}$H NMR (500 MHz, $CDCl_3$)



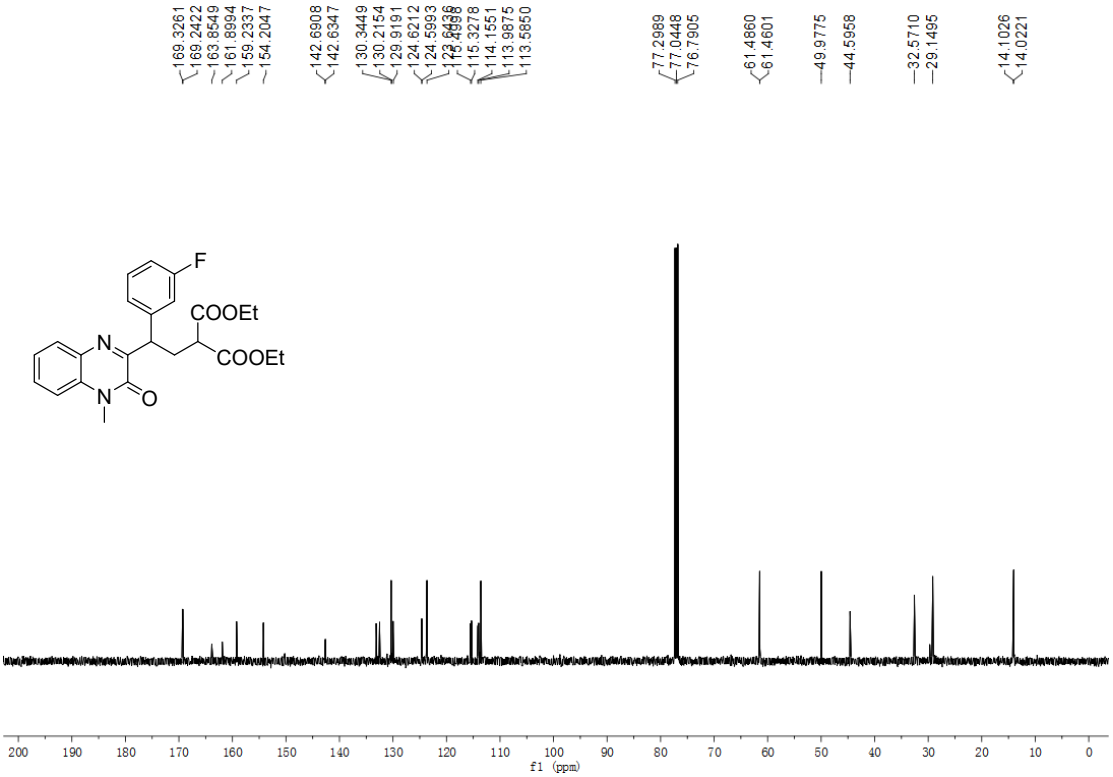

**4fa** $^{13}$C NMR (125 MHz, $CDCl_3$)



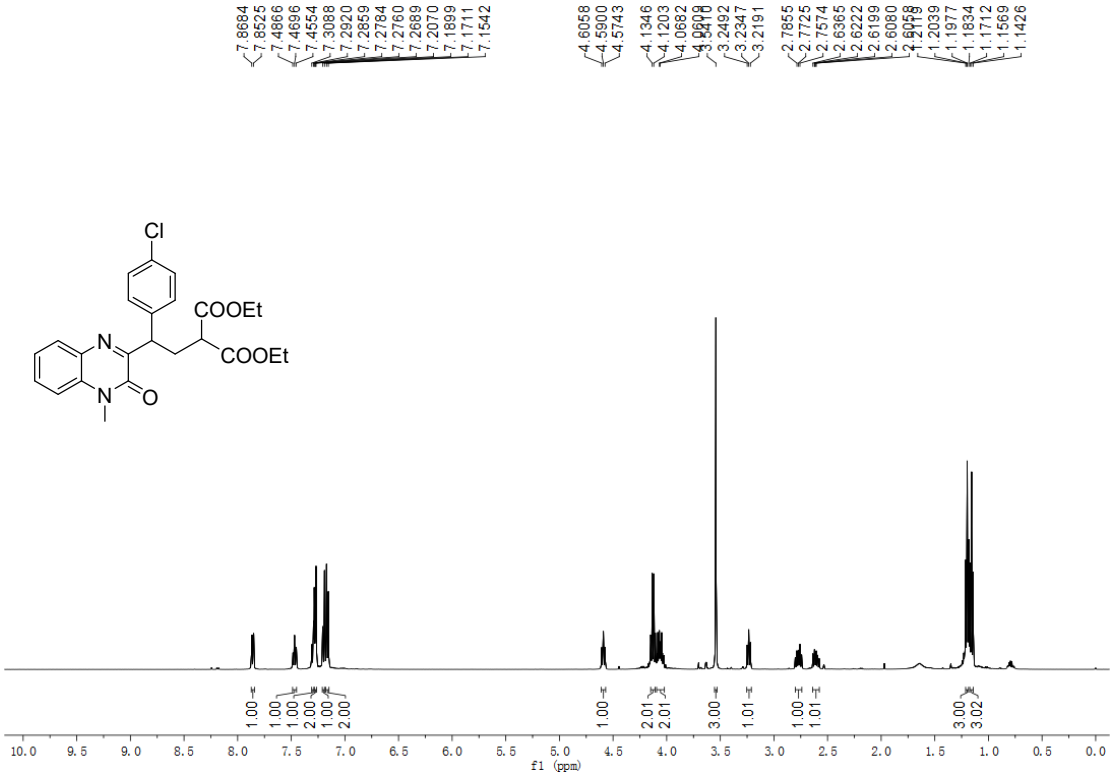
**4ag** $^{1}$H NMR (500 MHz, $CDCl_3$)



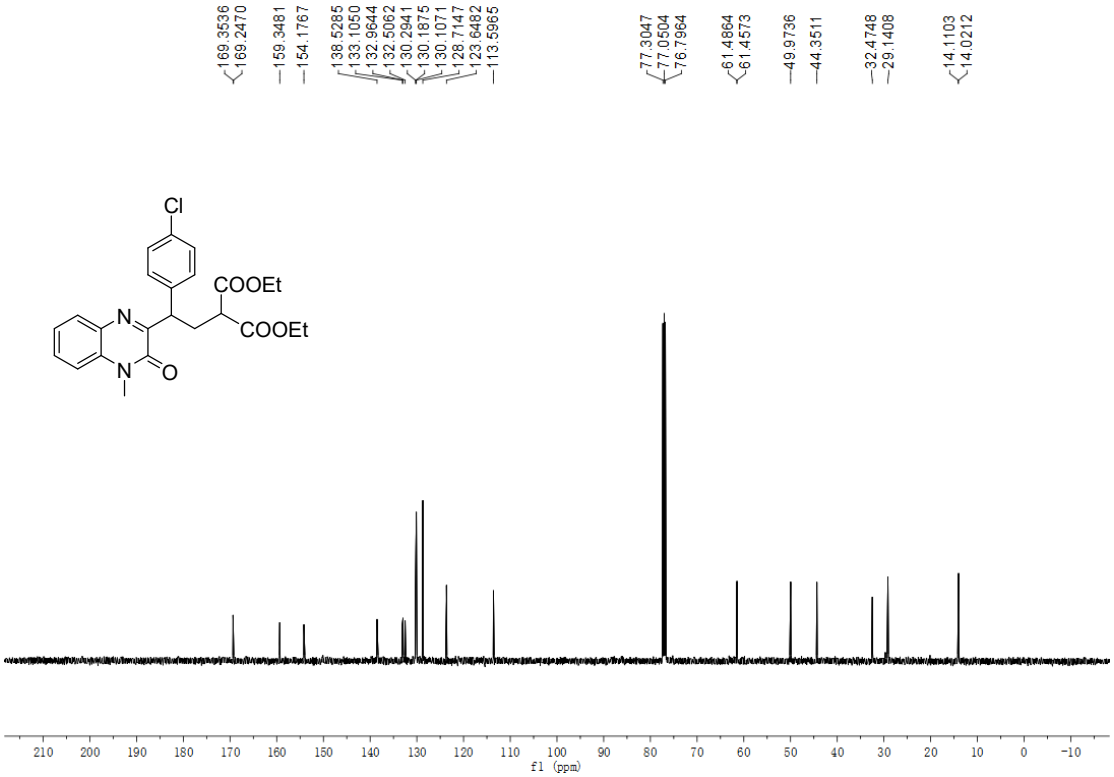

**4ag** $^{13}$C NMR (125 MHz, $CDCl_3$)



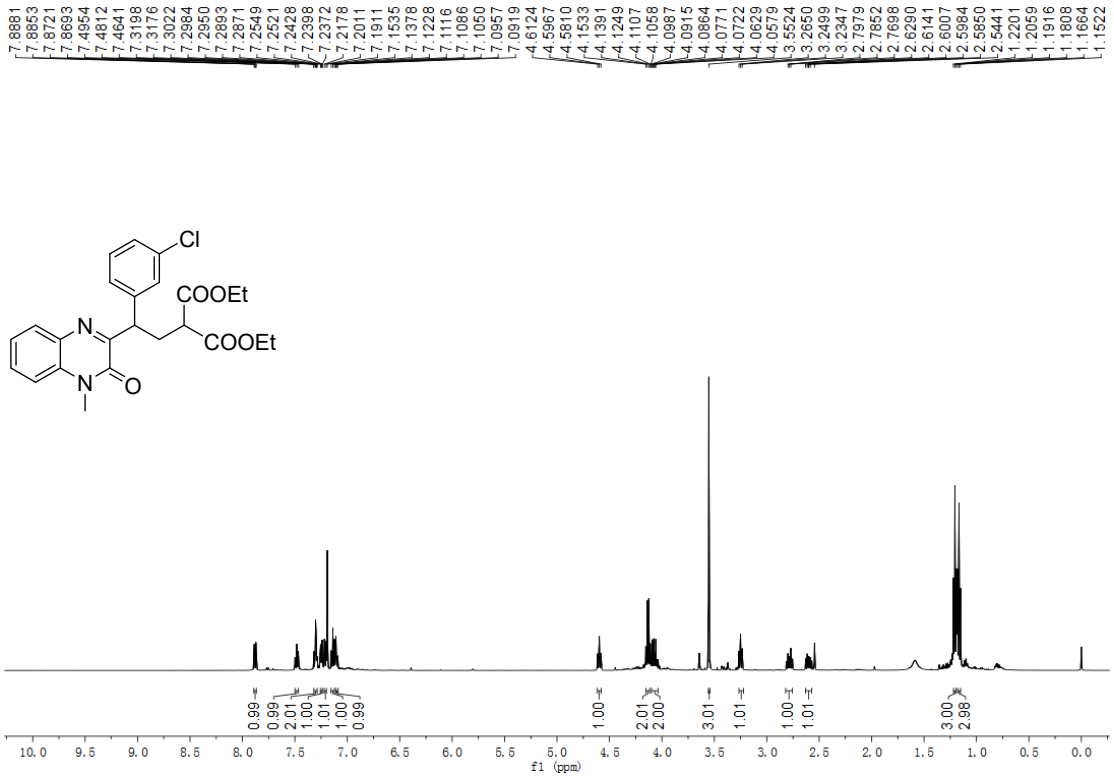
**4ah** $^{1}$H NMR (500 MHz, $CDCl_3$)



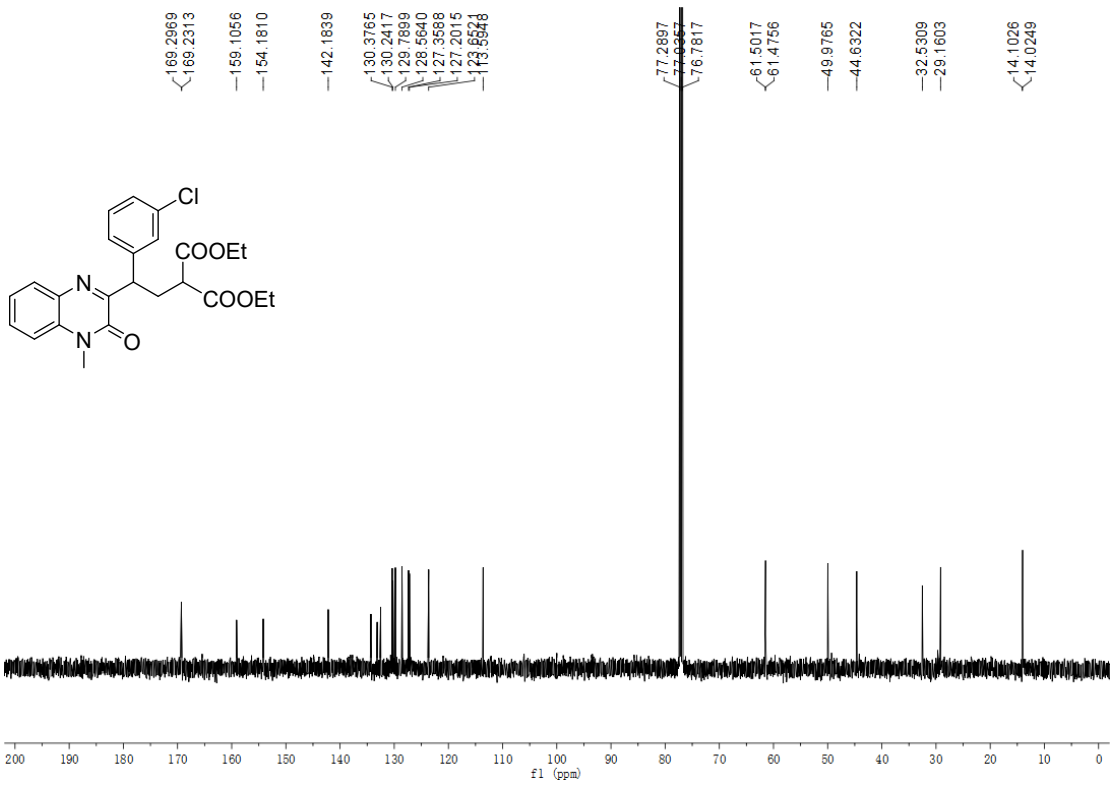

**4ah** $^{13}$C NMR (125 MHz, $CDCl_3$)



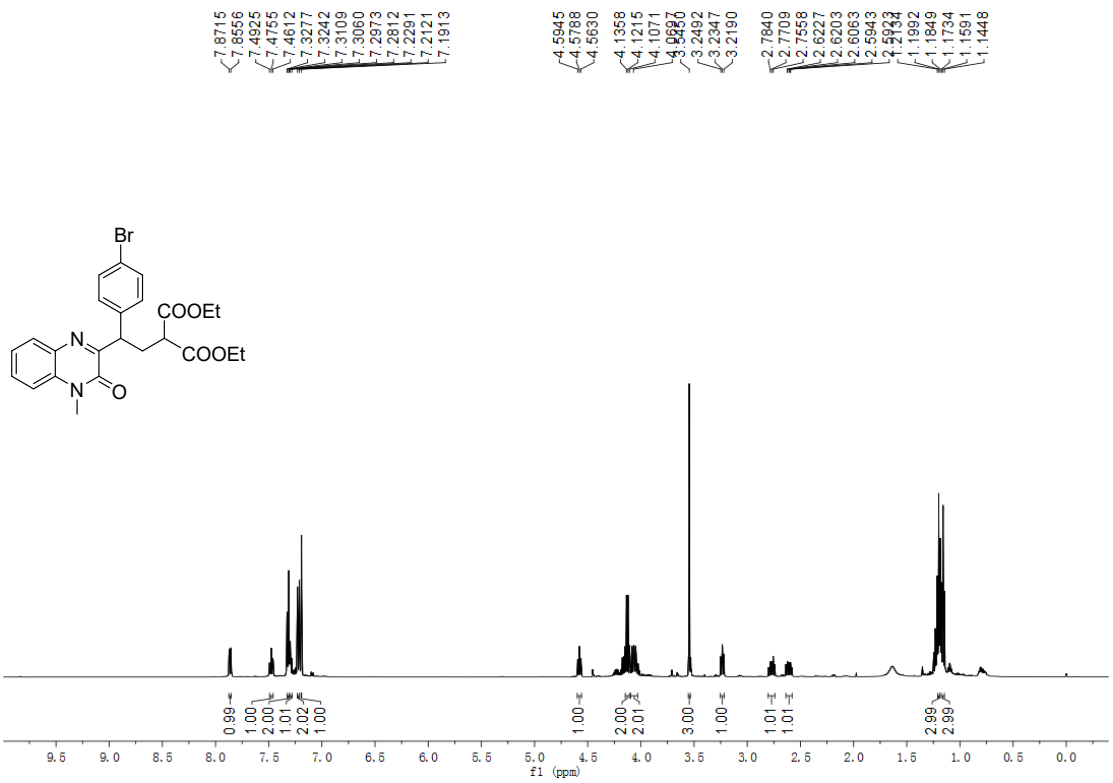
**4ai** $^{1}$H NMR (500 MHz, $CDCl_3$)



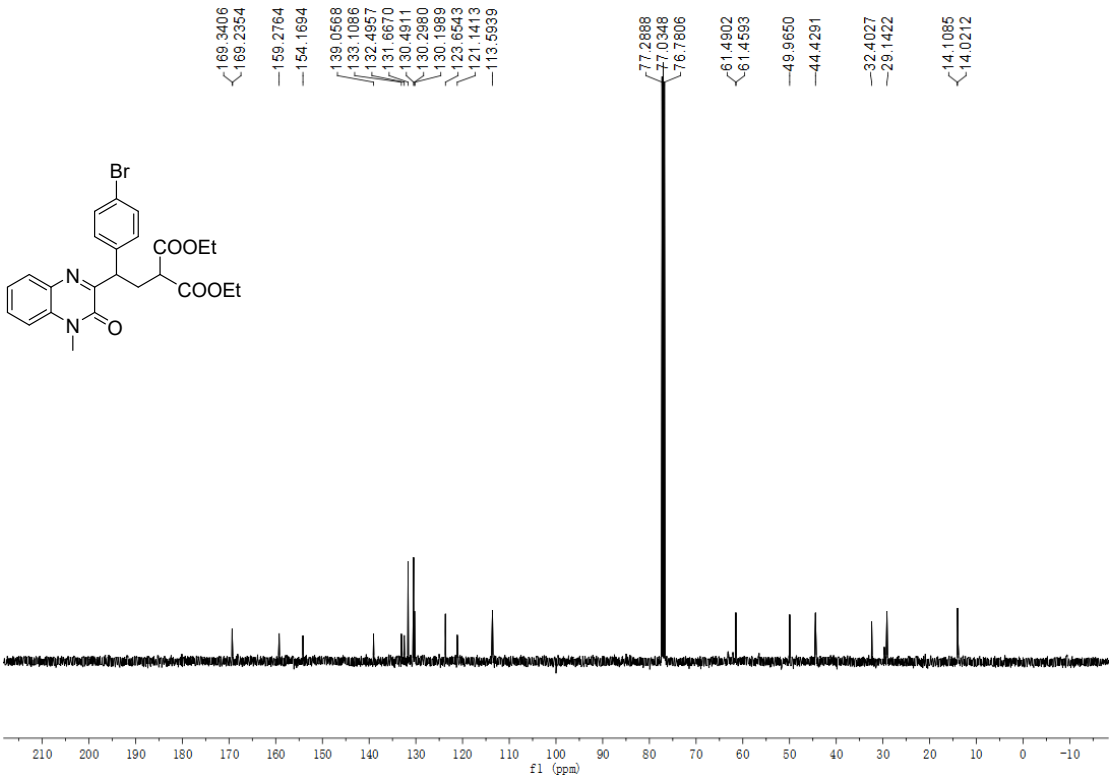

**4ai** $^{13}$C NMR (125 MHz, CDCl3)



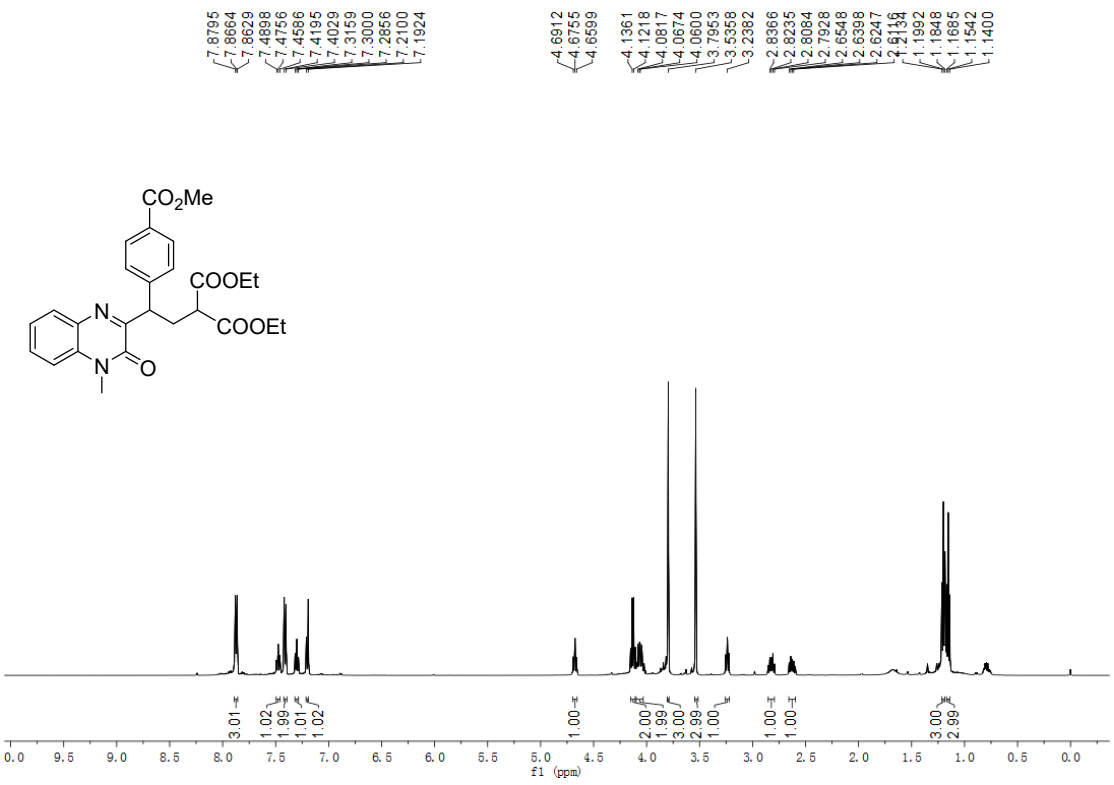
**4aj** $^{1}$H NMR (500 MHz, $CDCl_3$)



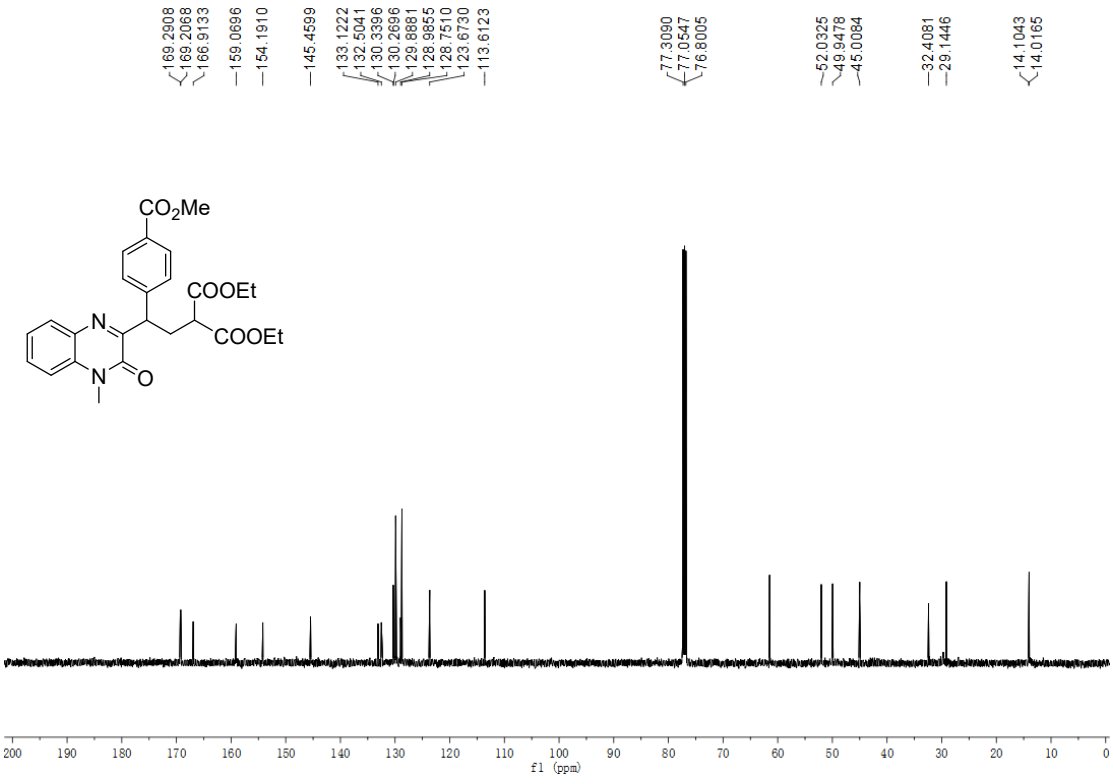

**4aj** $^{13}$C NMR (125 MHz, $CDCl_3$)



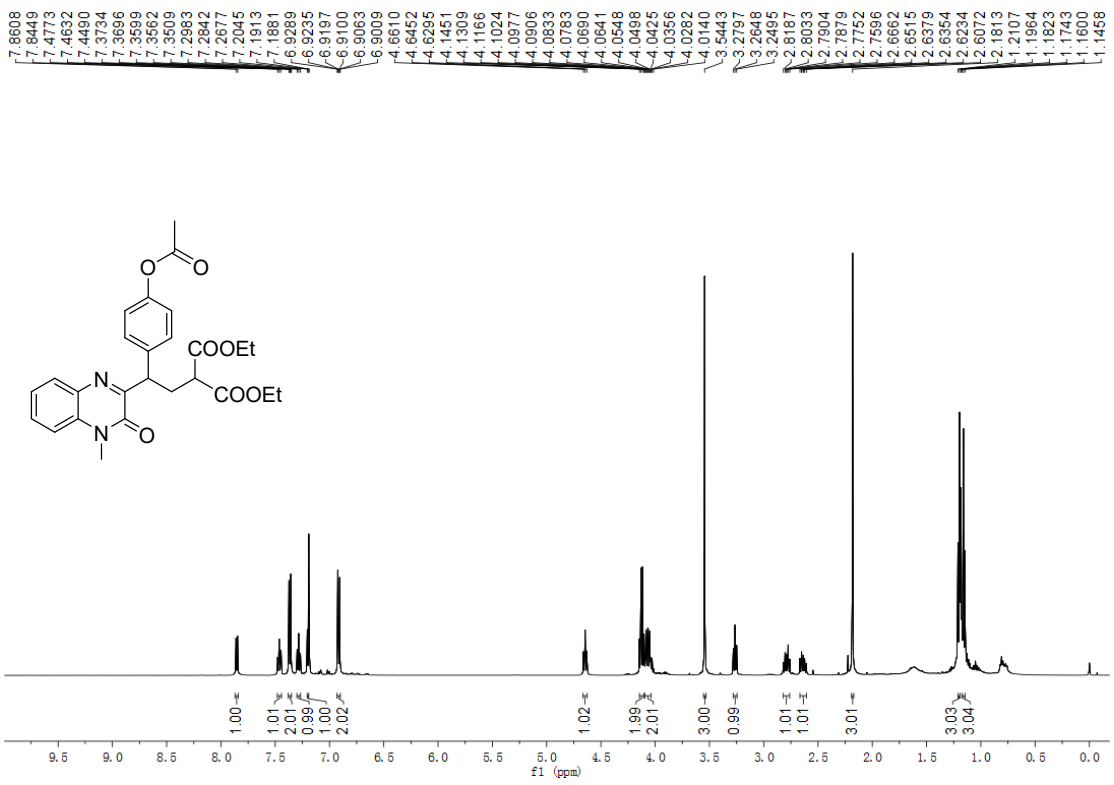
**4ak**$^{1}$H NMR (500 MHz, $CDCl_3$)



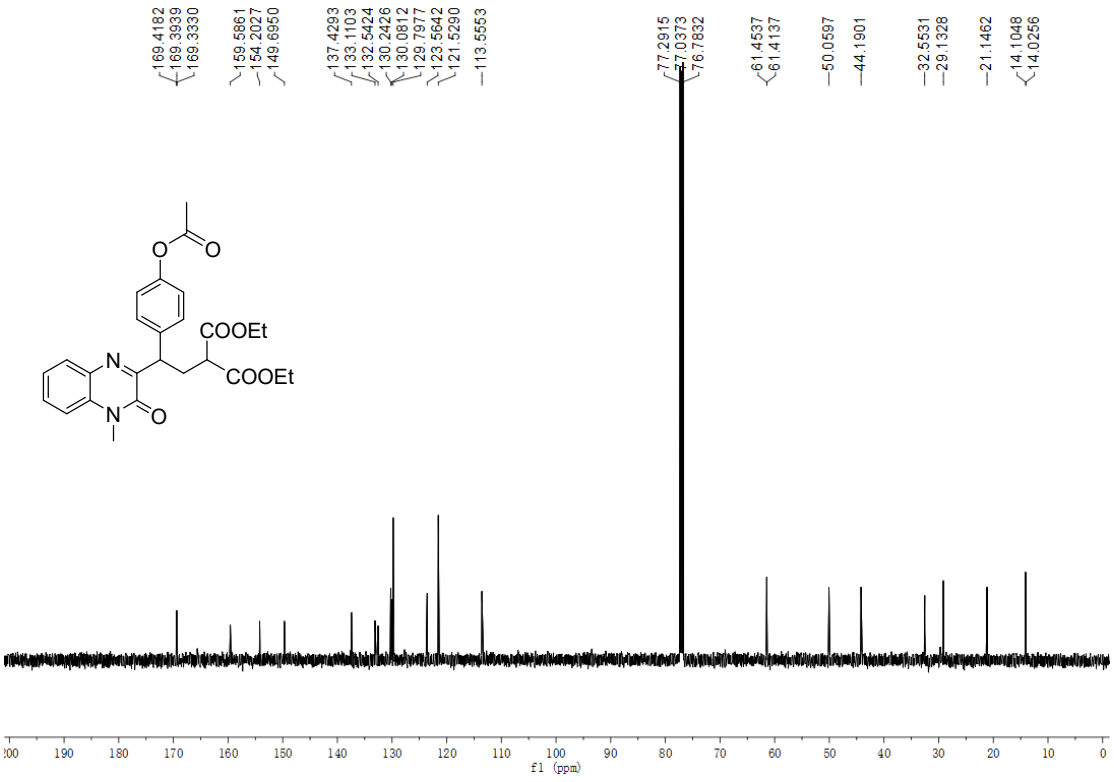

**4ak** $^{13}$C NMR (125 MHz, $CDCl_3$)



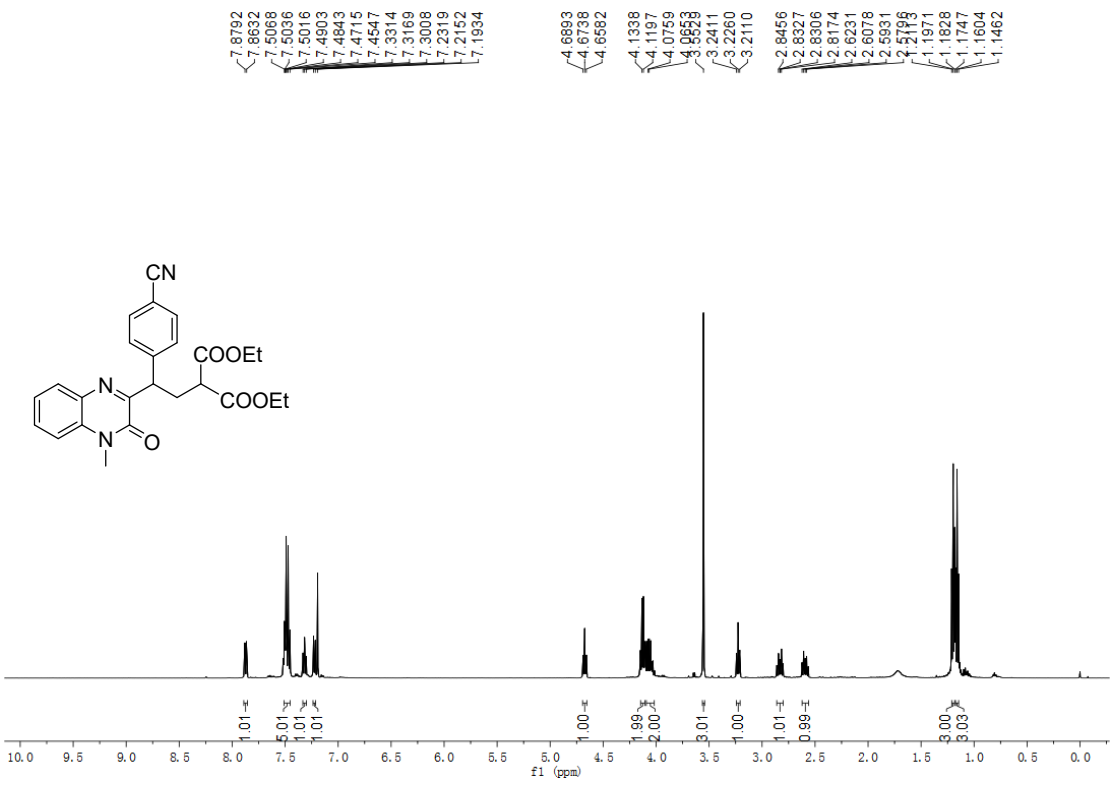
**4al** $^{1}$H NMR (500 MHz, $CDCl_3$)



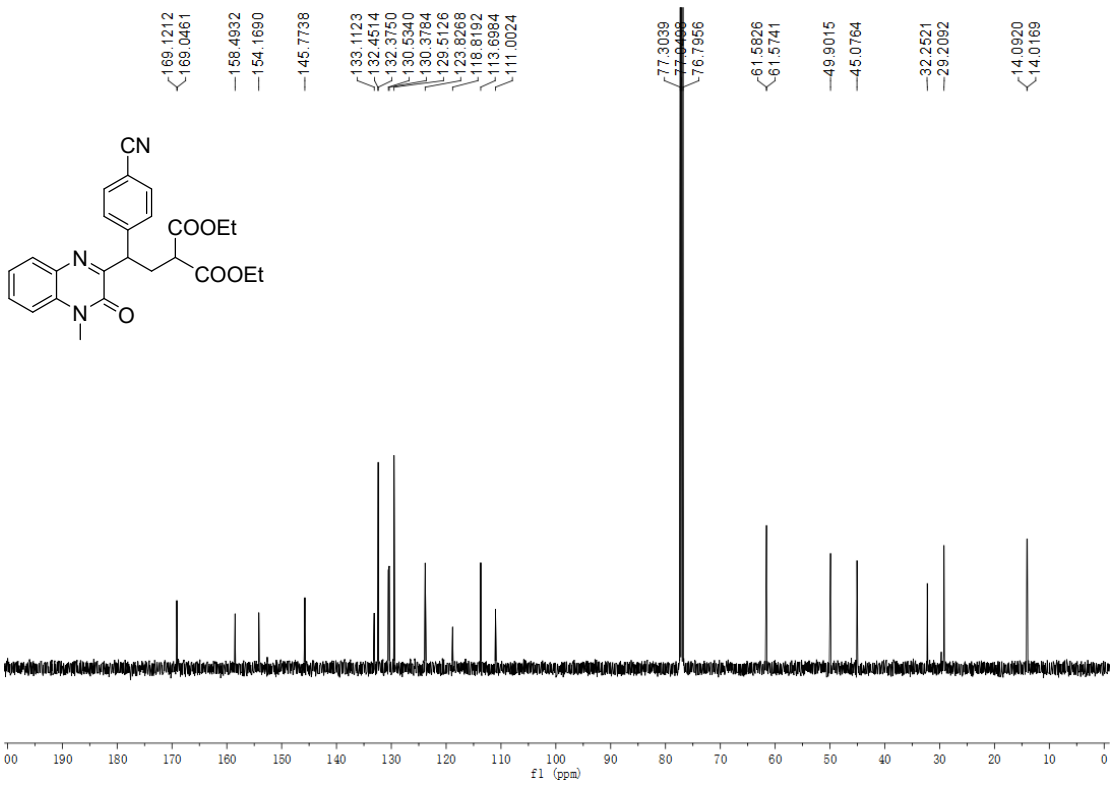

**4al** $^{13}$C NMR (125 MHz, $CDCl_3$)



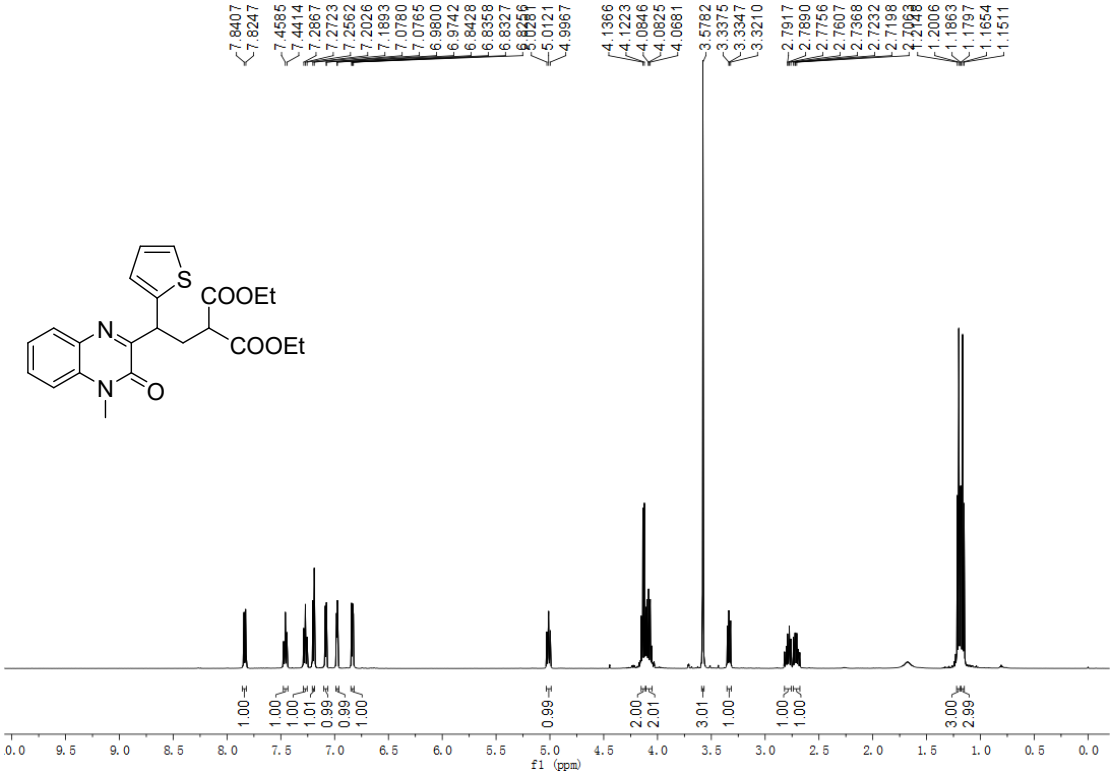
**4am** $^{1}$H NMR (500 MHz, $CDCl_3$)



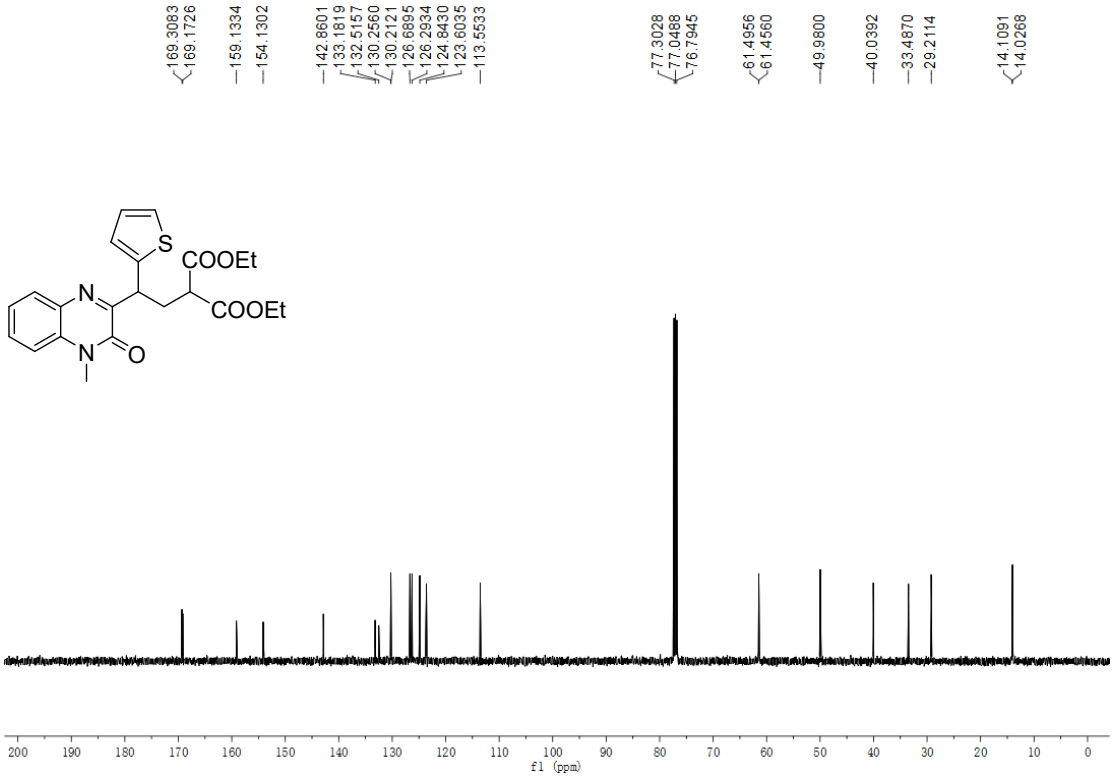

**4am** $^{13}$C NMR (125 MHz, $CDCl_3$)



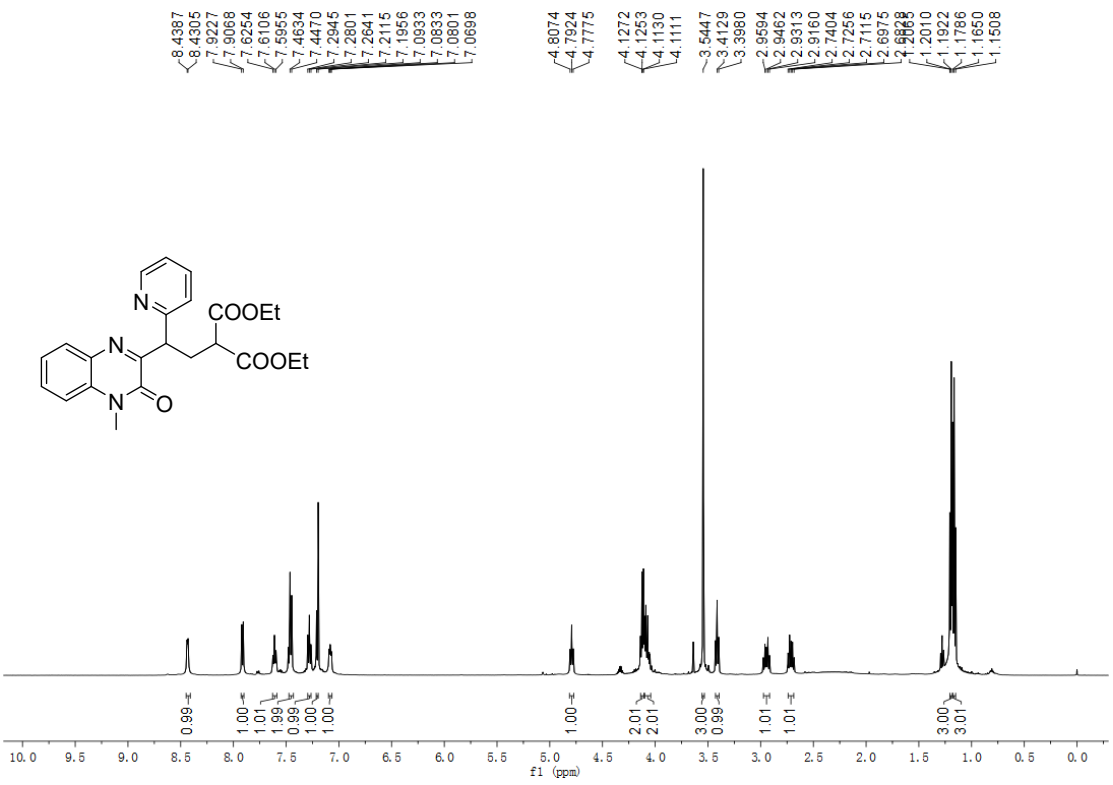
**4an** $^{1}$H NMR (500 MHz, $CDCl_3$)



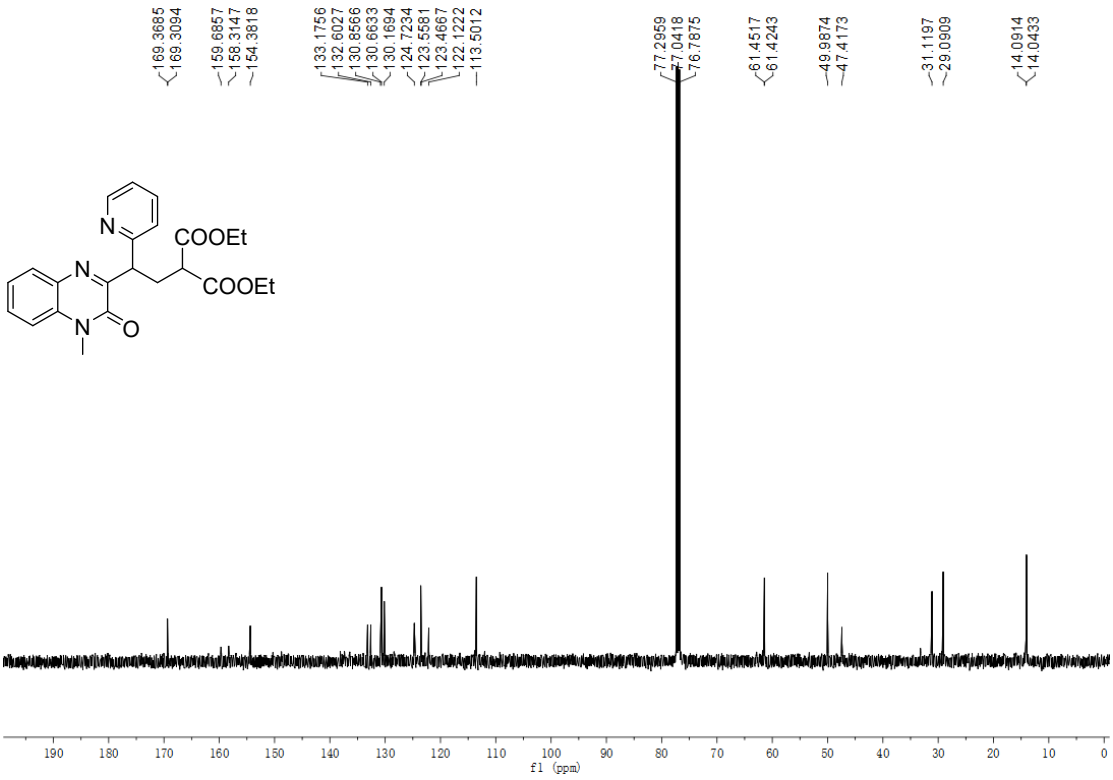

**4an** $^{13}$C NMR (125 MHz, $CDCl_3$)



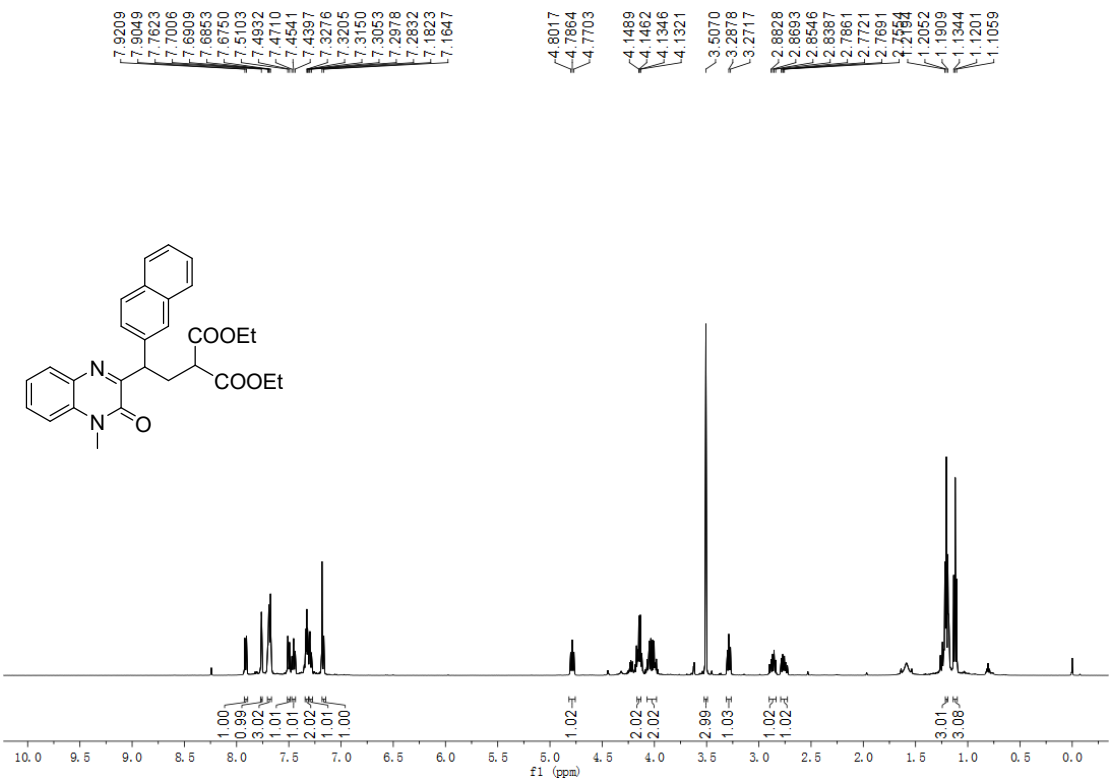
**4ao** $^{1}$H NMR (500 MHz, $CDCl_3$)



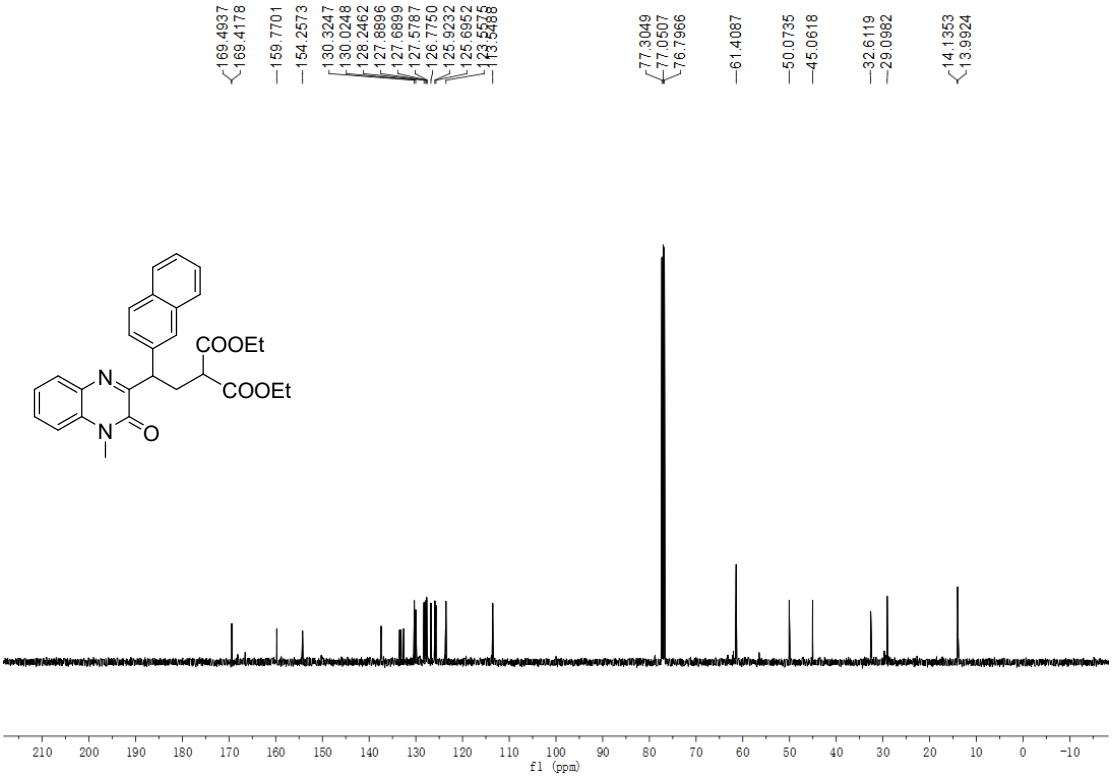

**4ao** $^{13}$C NMR (125 MHz, $CDCl_3$)



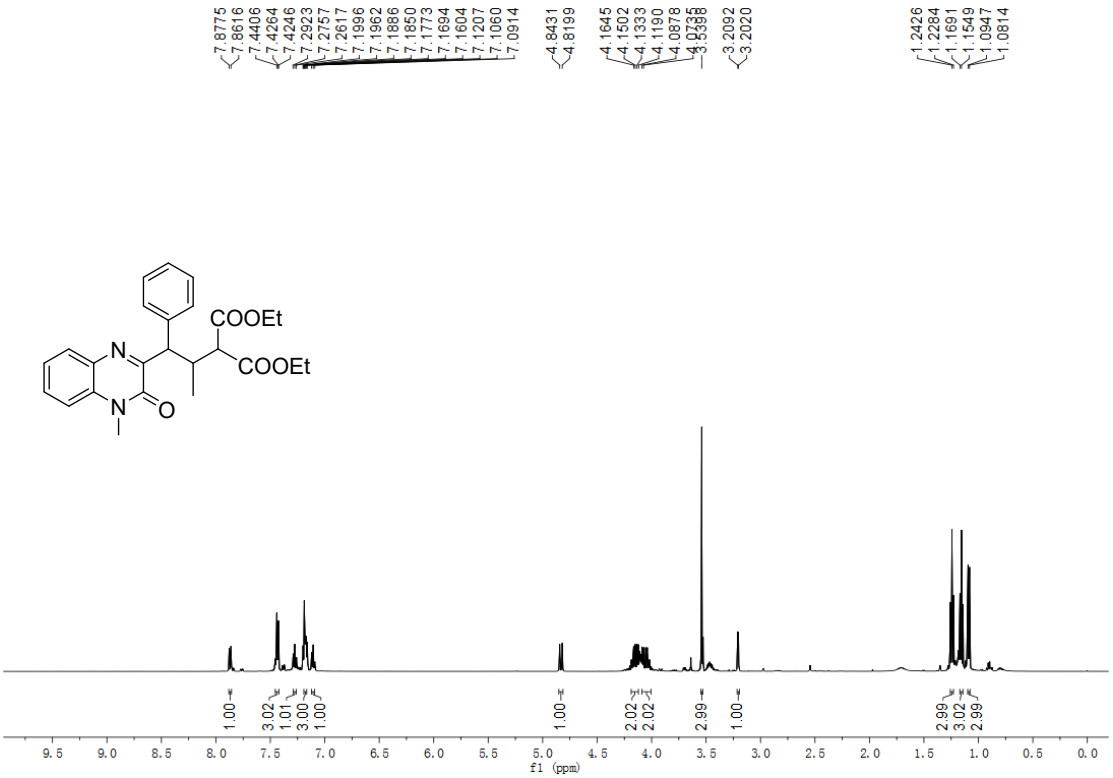
**4ap** $^{1}$H NMR (500 MHz, $CDCl_3$)



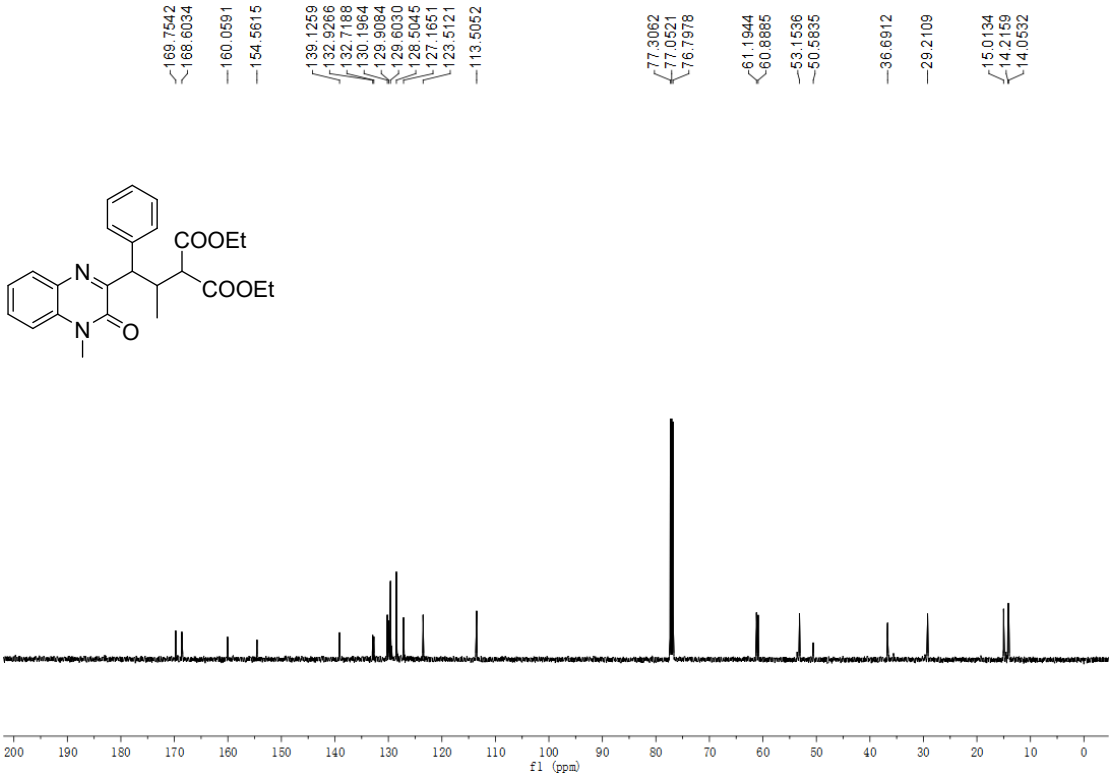

**4ap** $^{13}$C NMR (125 MHz, $CDCl_3$)



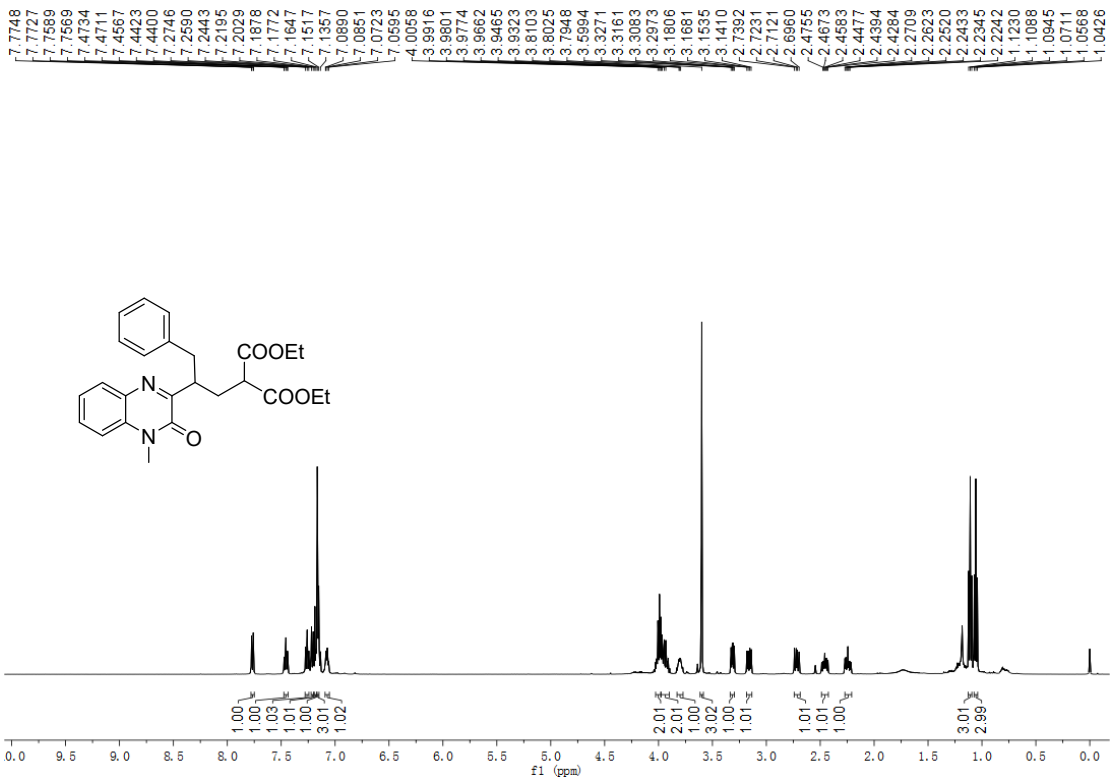
**4aq** $^{1}$H NMR (500 MHz, $CDCl_3$)



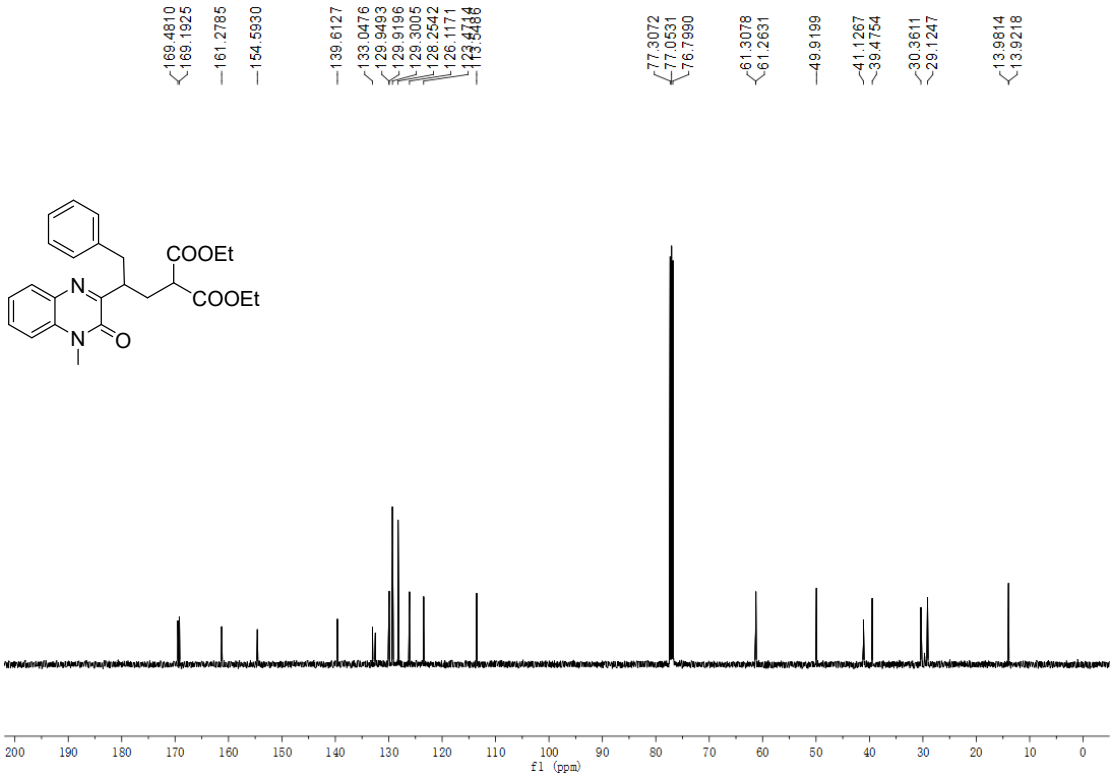

**4aq** $^{13}$C NMR (125 MHz, $CDCl_3$)



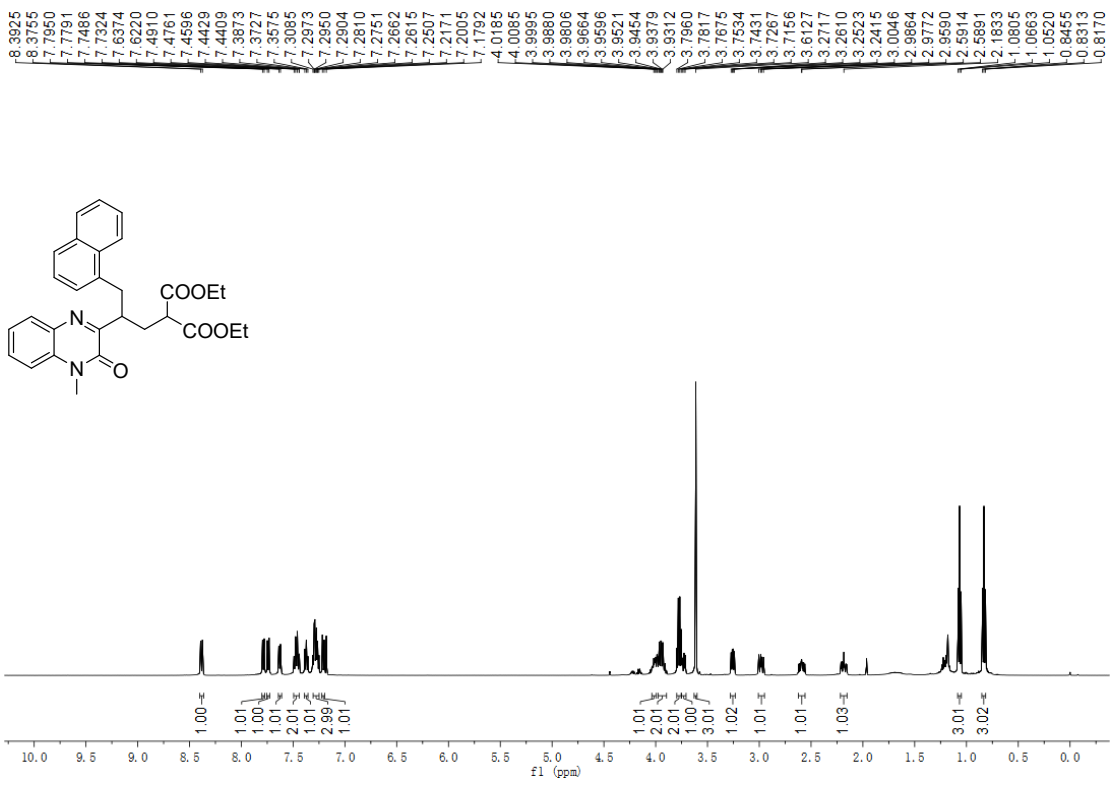
**4ar** $^{1}$H NMR (500 MHz, $CDCl_3$)



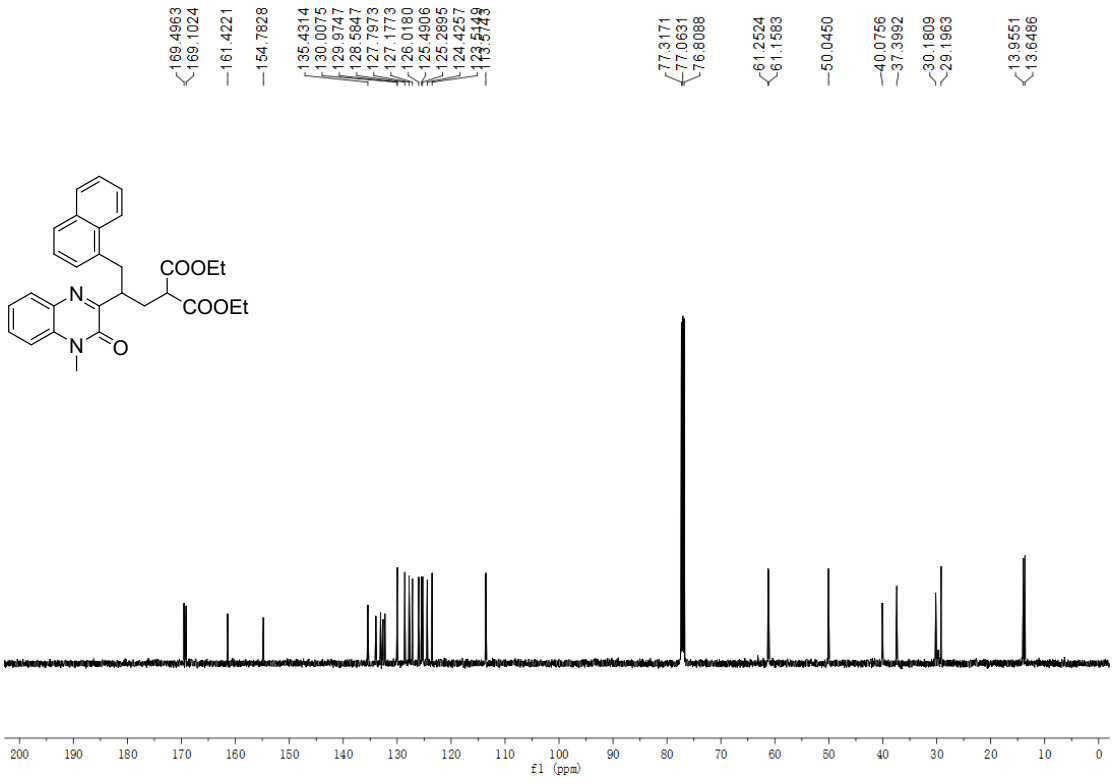

**4ar** $^{13}$C NMR (125 MHz, $CDCl_3$)



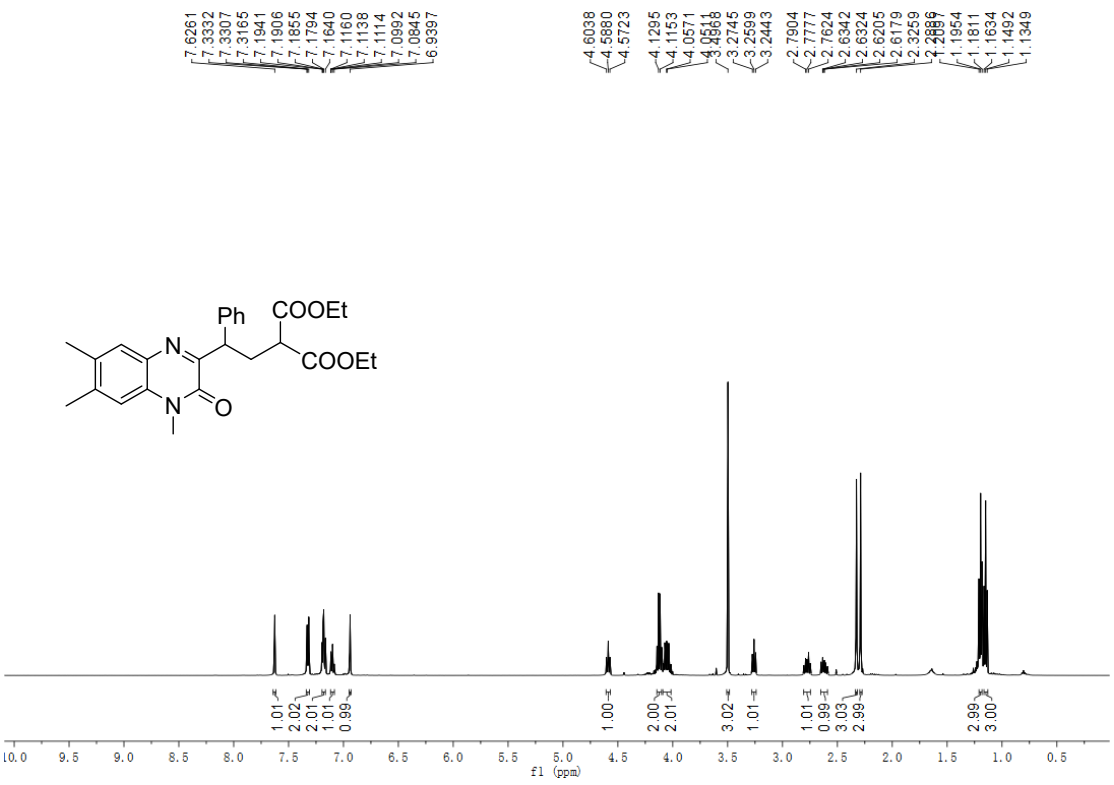
**4as** $^{1}$H NMR (500 MHz, $CDCl_3$)



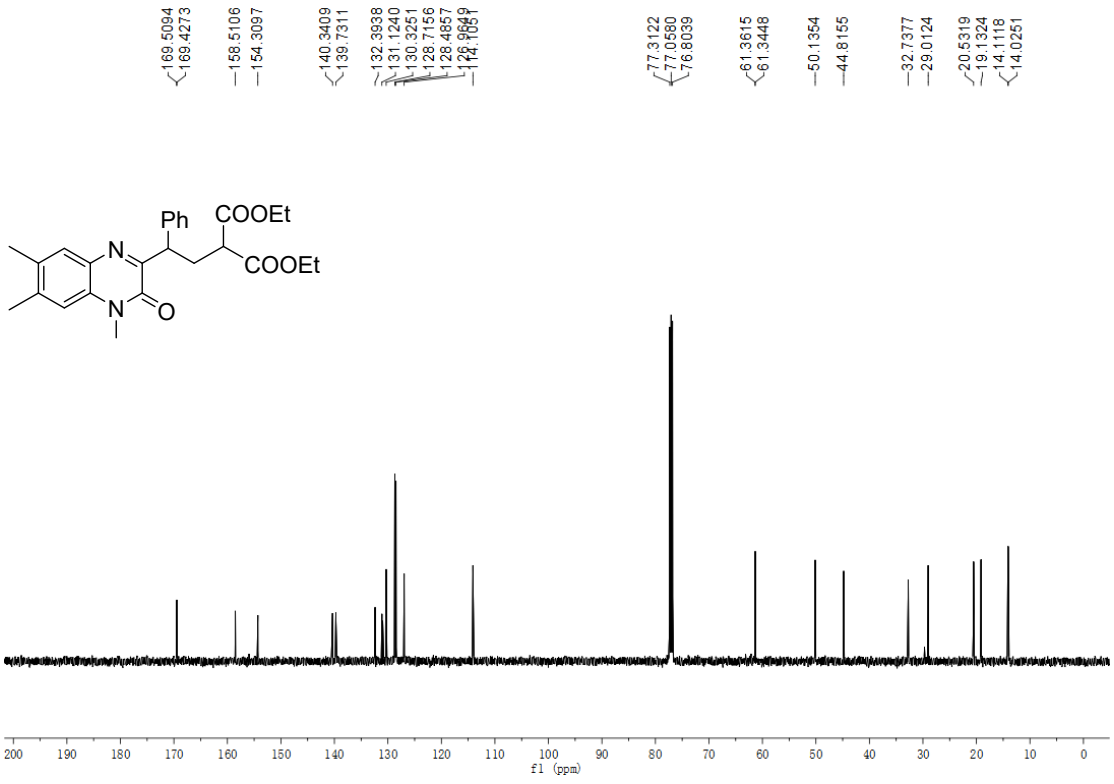

**4as** $^{13}$C NMR (125 MHz, $CDCl_3$)



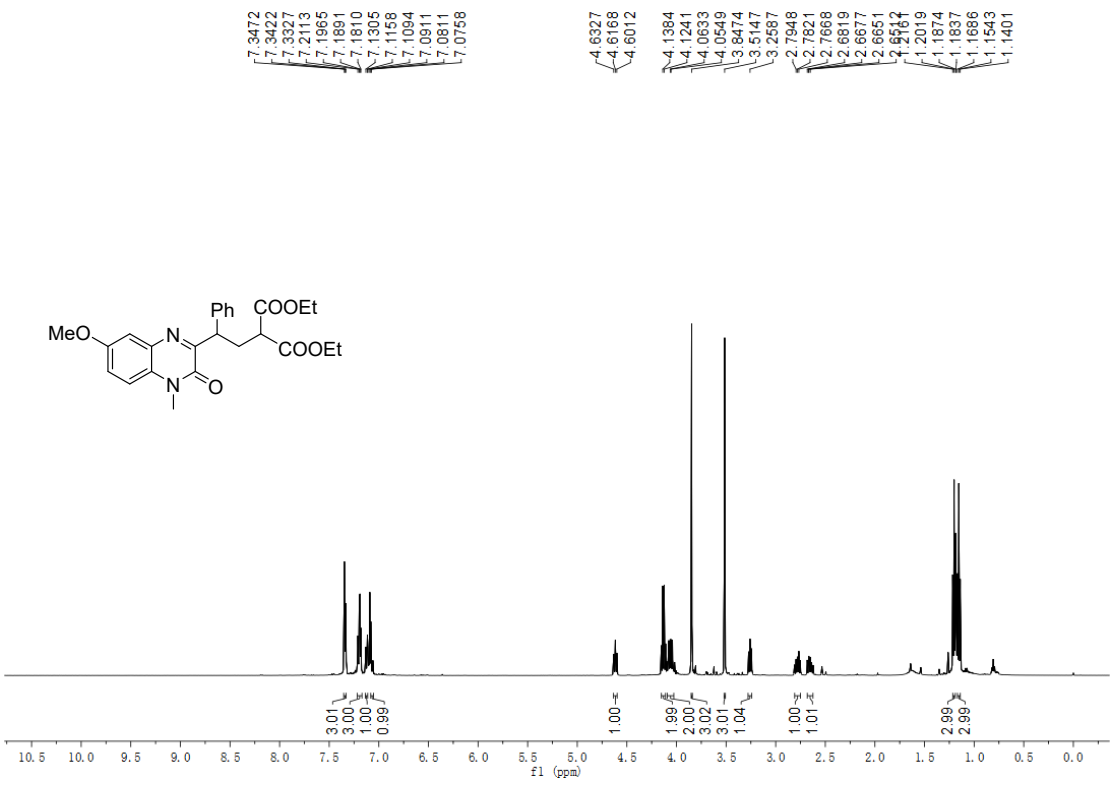
**4ba** $^{1}$H NMR (500 MHz, $CDCl_3$)



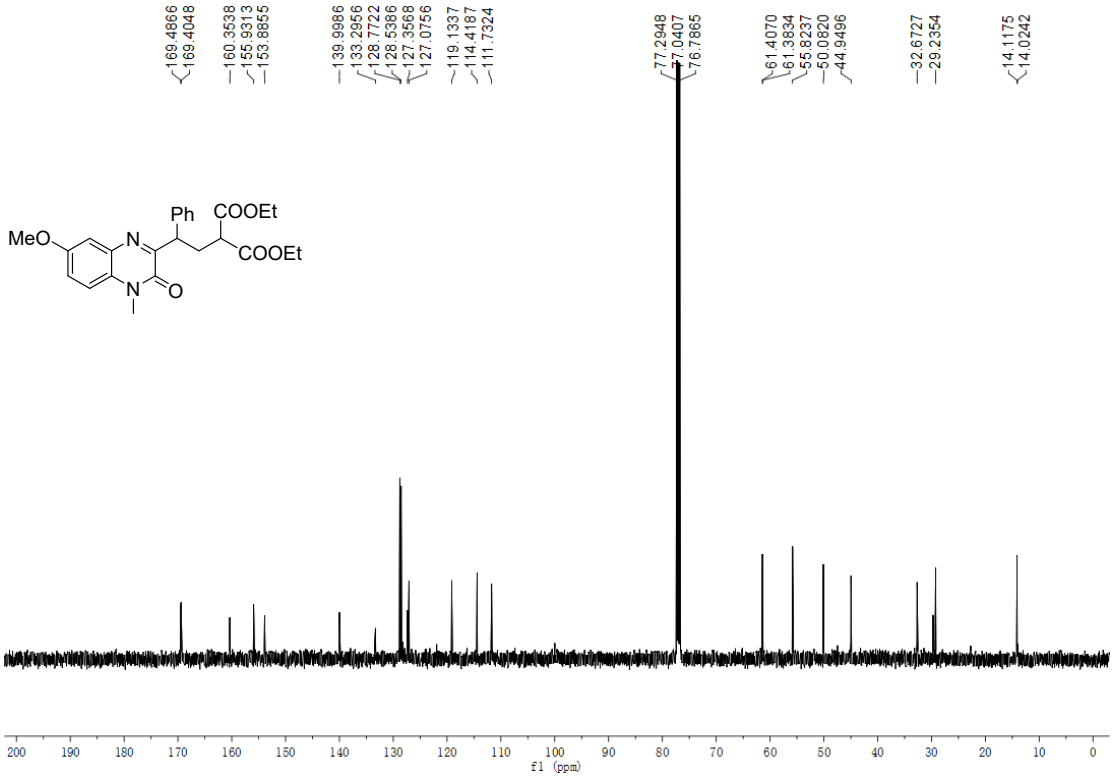

**4ba** $^{13}$C NMR (125 MHz, $CDCl_3$)



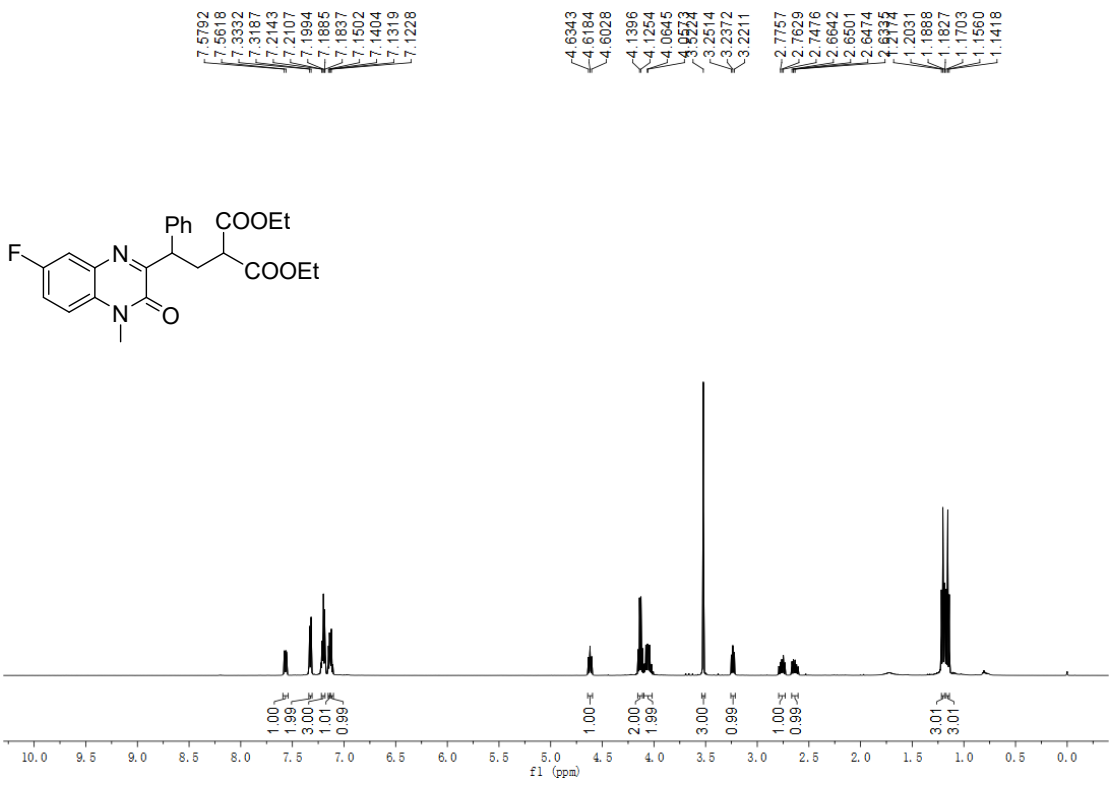
**4ca** $^{1}$H NMR (500 MHz, $CDCl_3$)



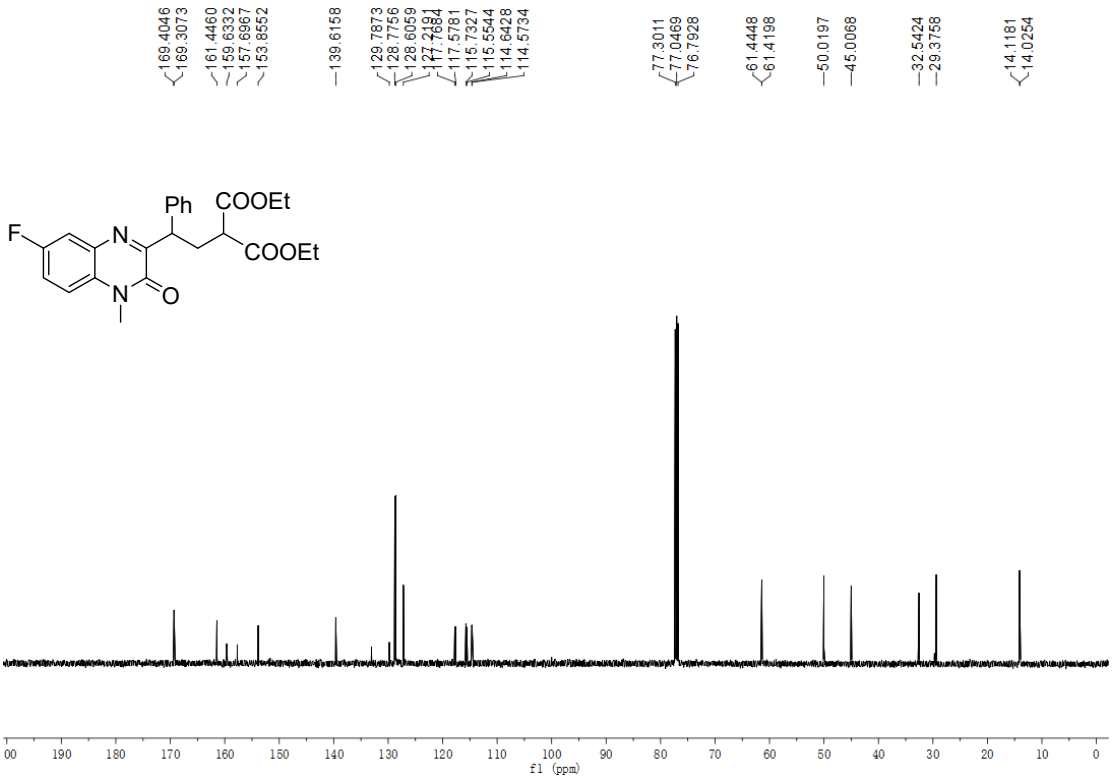

**4ca** $^{13}$C NMR (125 MHz, $CDCl_3$)



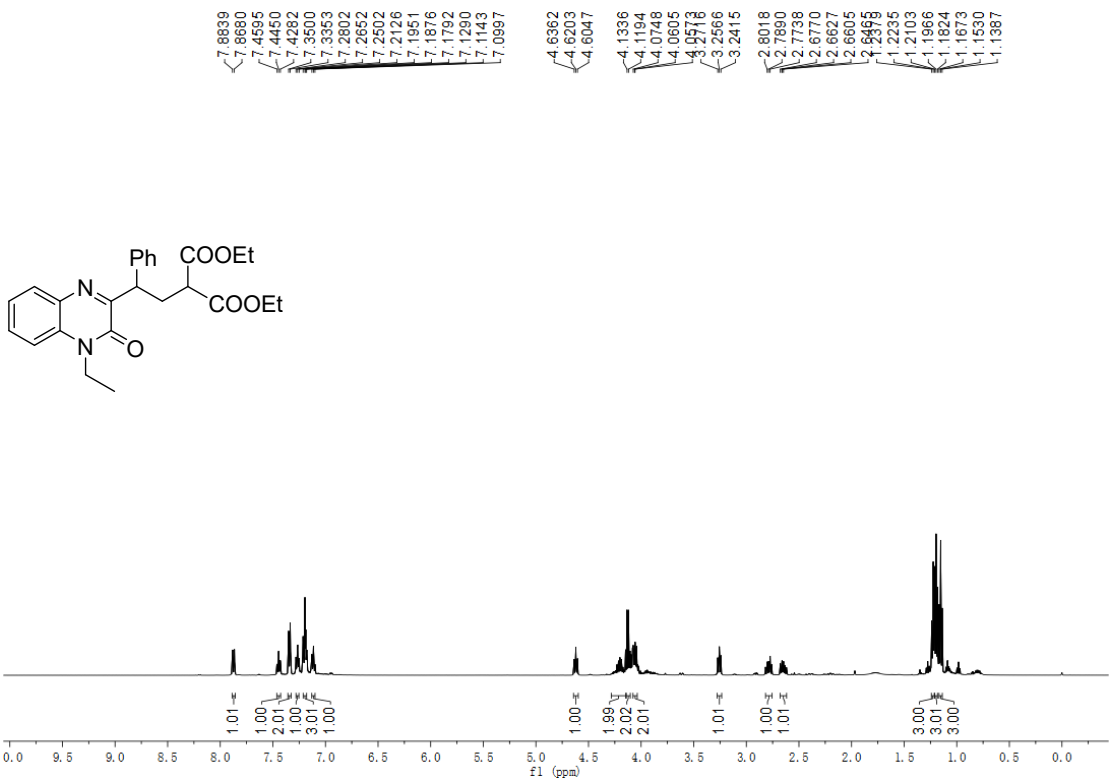
**4da** $^{1}$H NMR (500 MHz, $CDCl_3$)



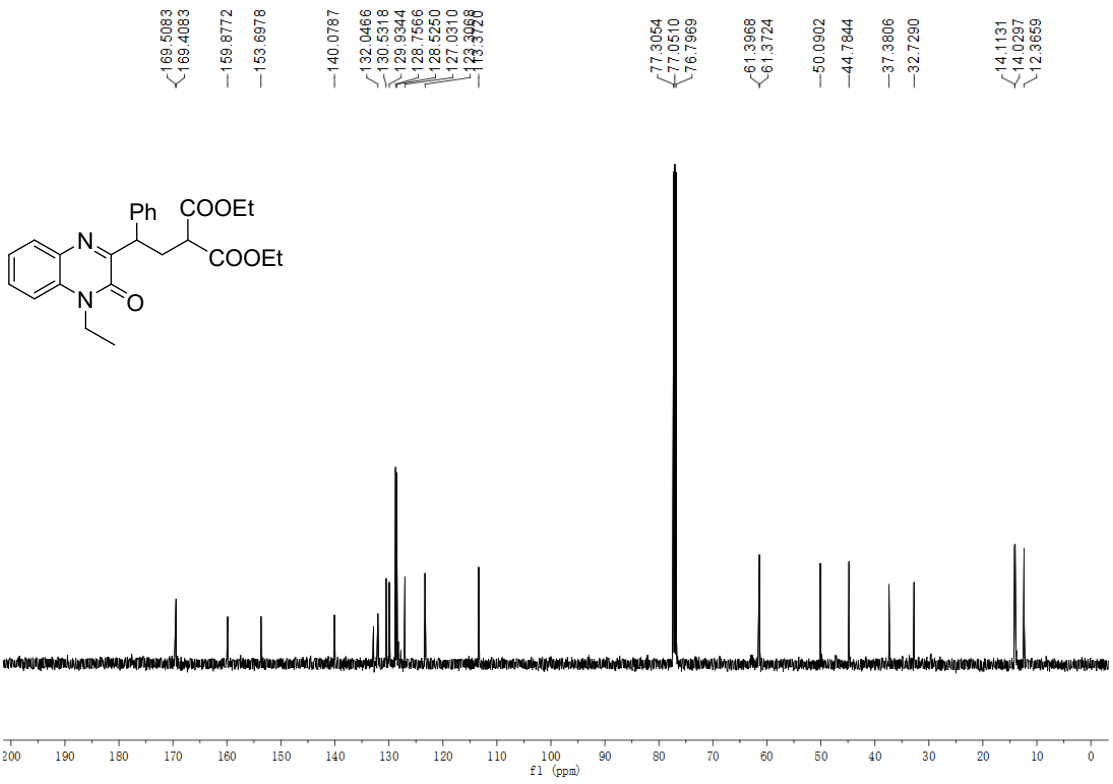

**4da** $^{13}$C NMR (125 MHz, $CDCl_3$)



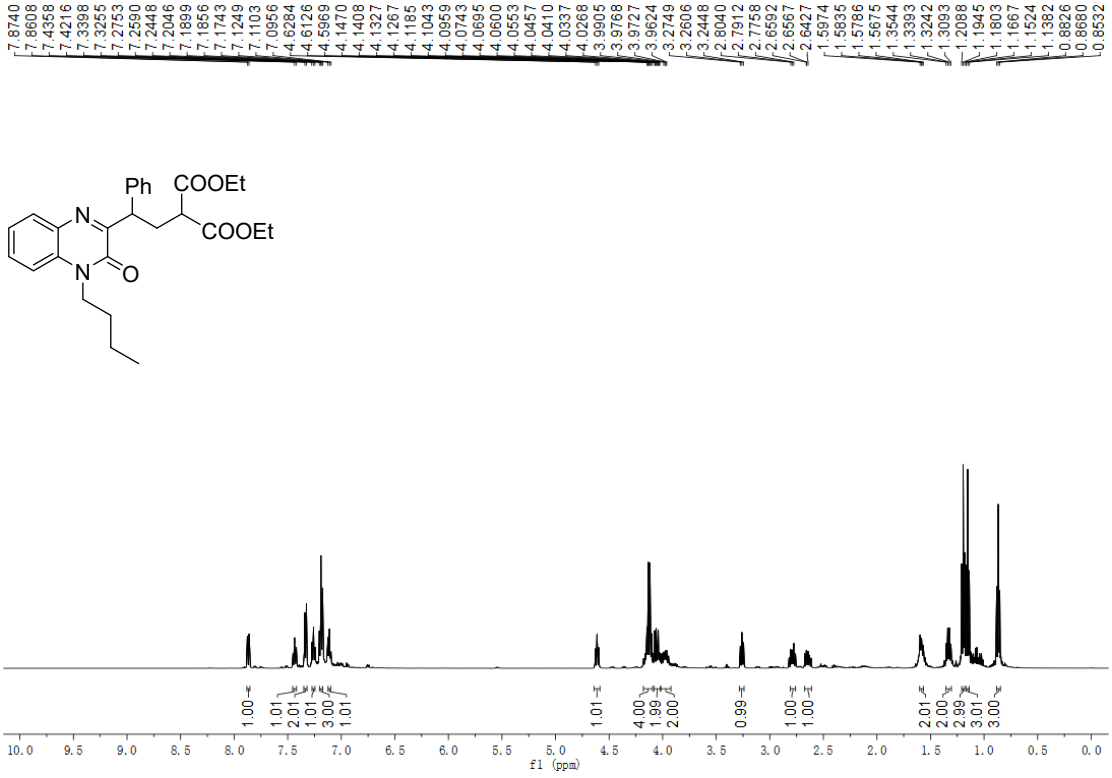
**4ea** $^{1}$H NMR (500 MHz, $CDCl_3$)



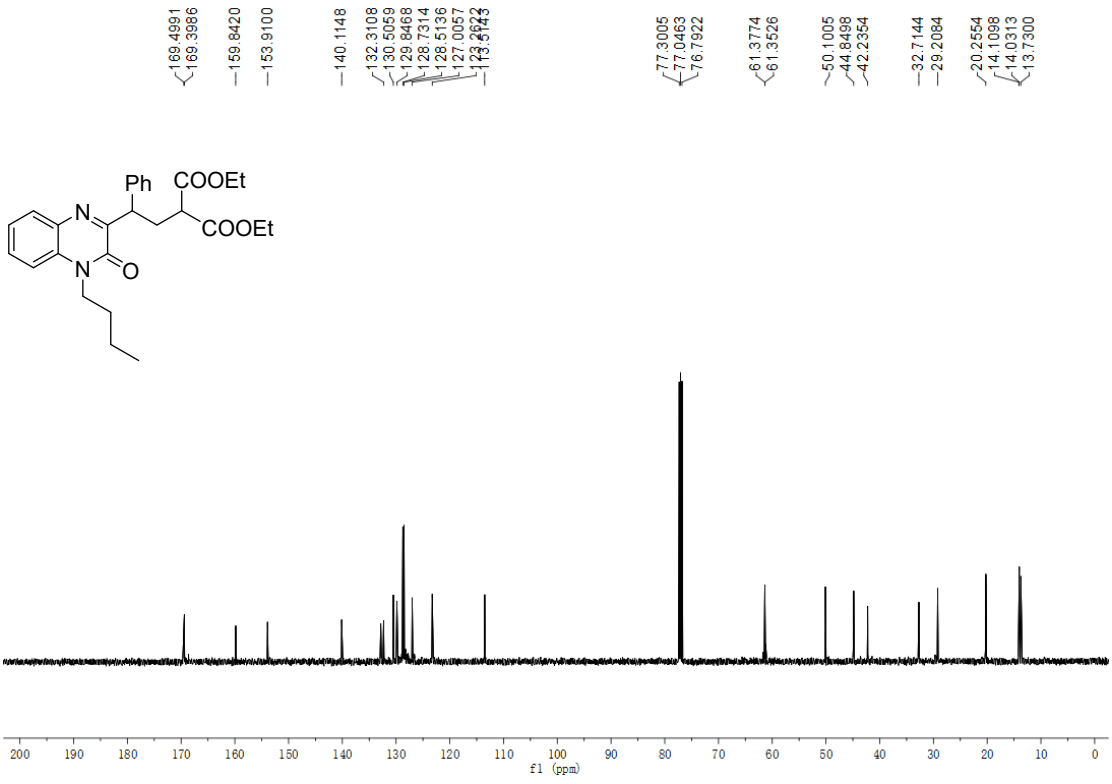

**4ea** $^{13}$C NMR (125 MHz, $CDCl_3$)



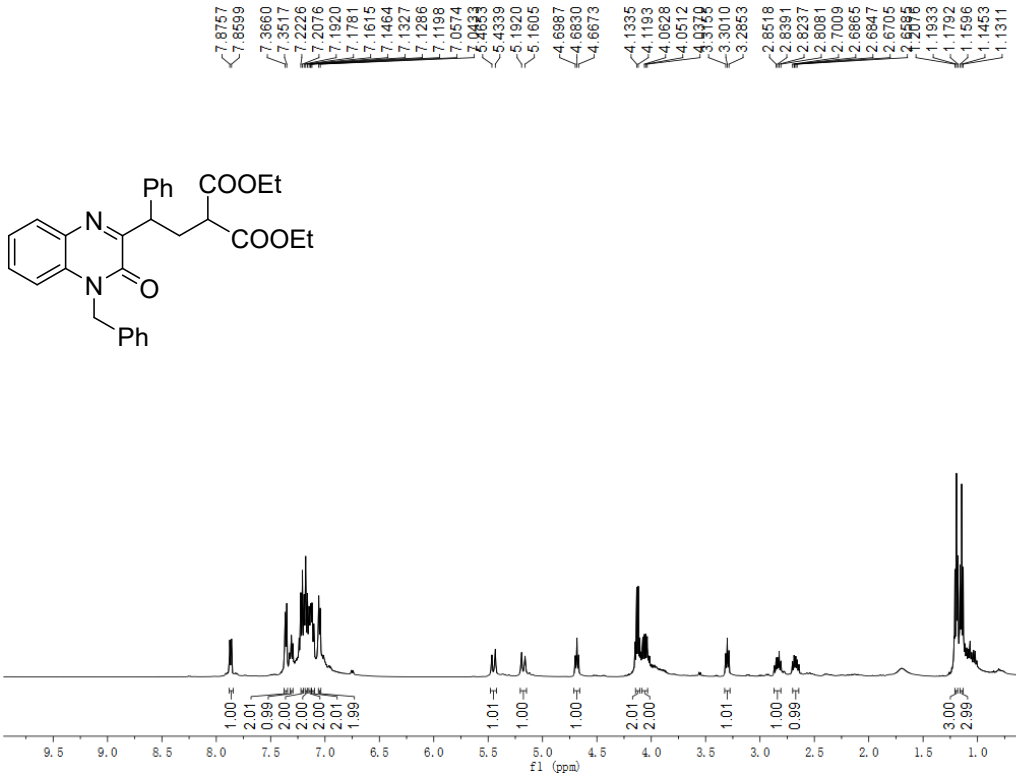
**4fa** $^{1}$H NMR (500 MHz, $CDCl_3$)



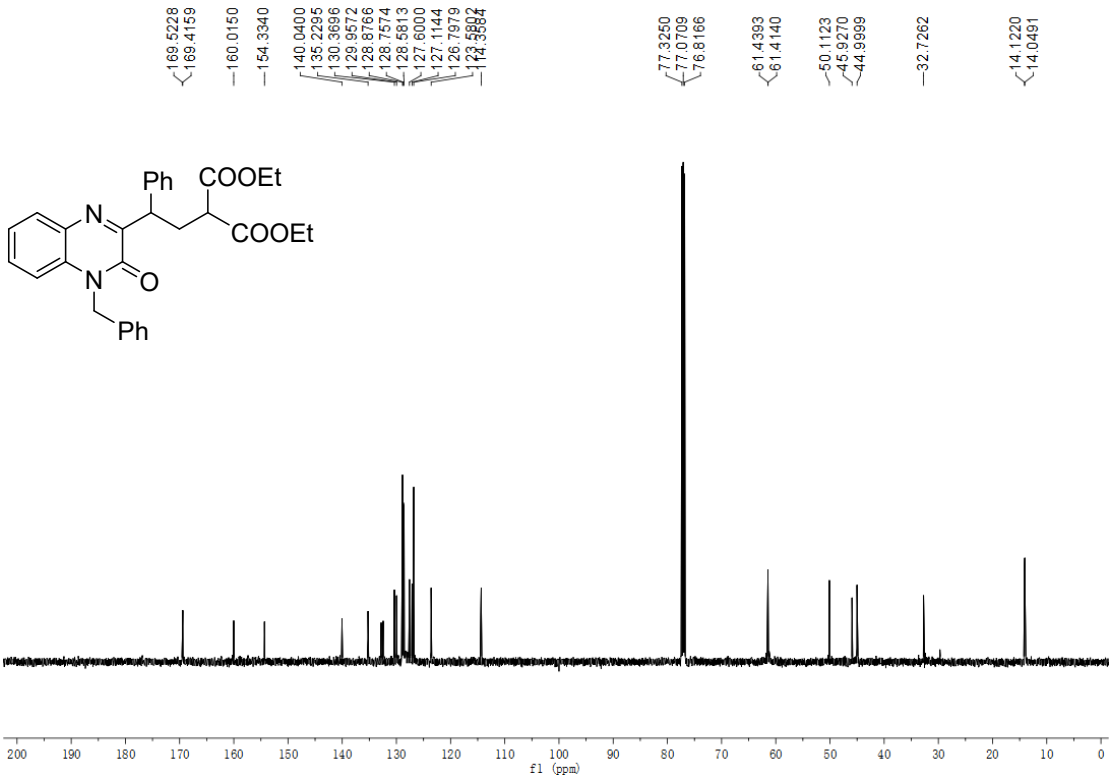

**4fa** $^{13}$C NMR (125 MHz, $CDCl_3$)



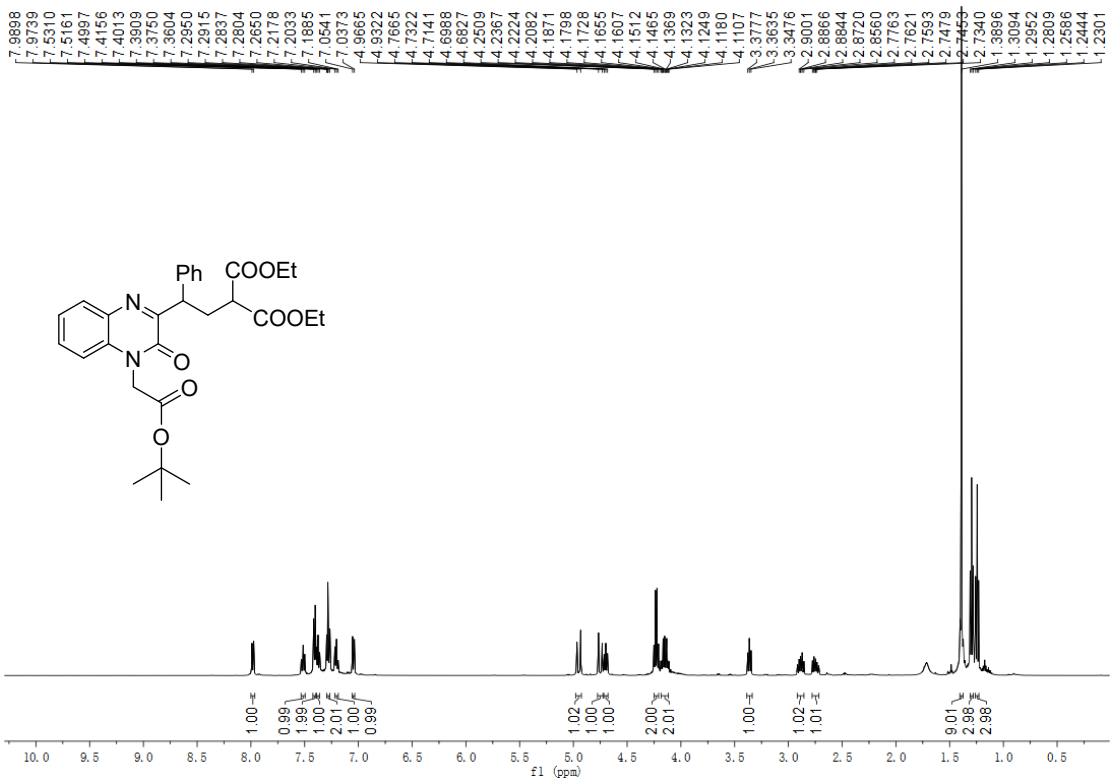
**4ga** $^{1}$H NMR (500 MHz, $CDCl_3$)



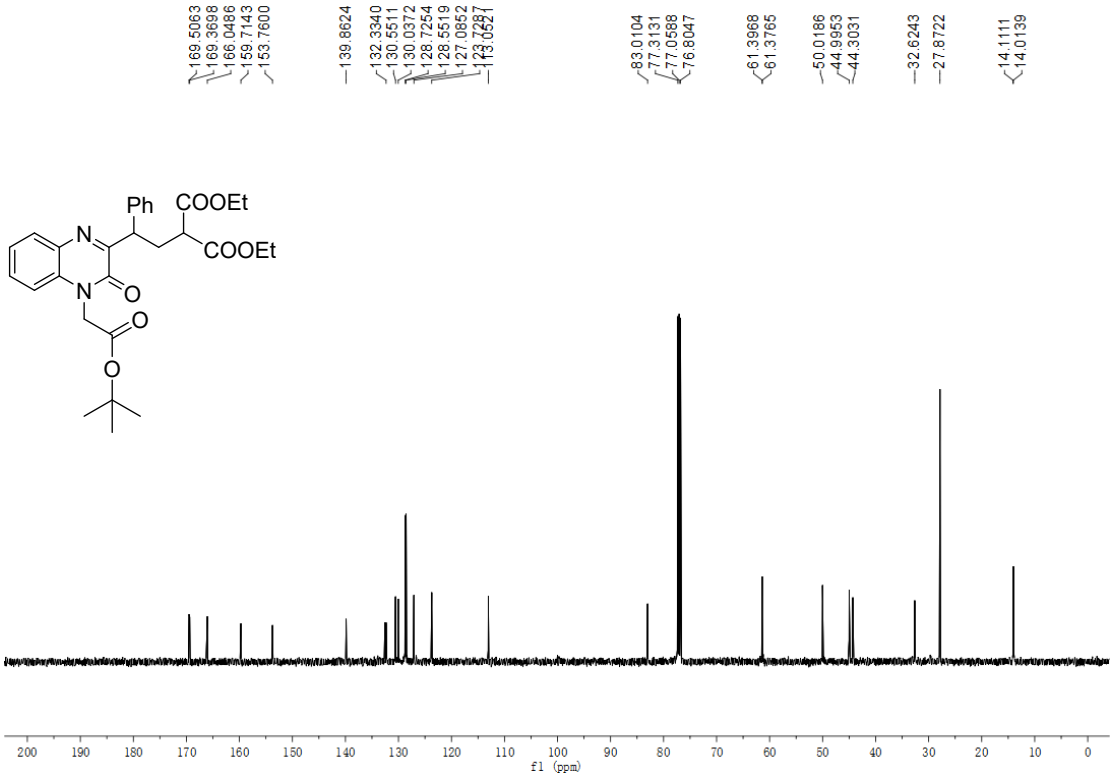

**4ga** $^{13}$C NMR (125 MHz, $CDCl_3$)



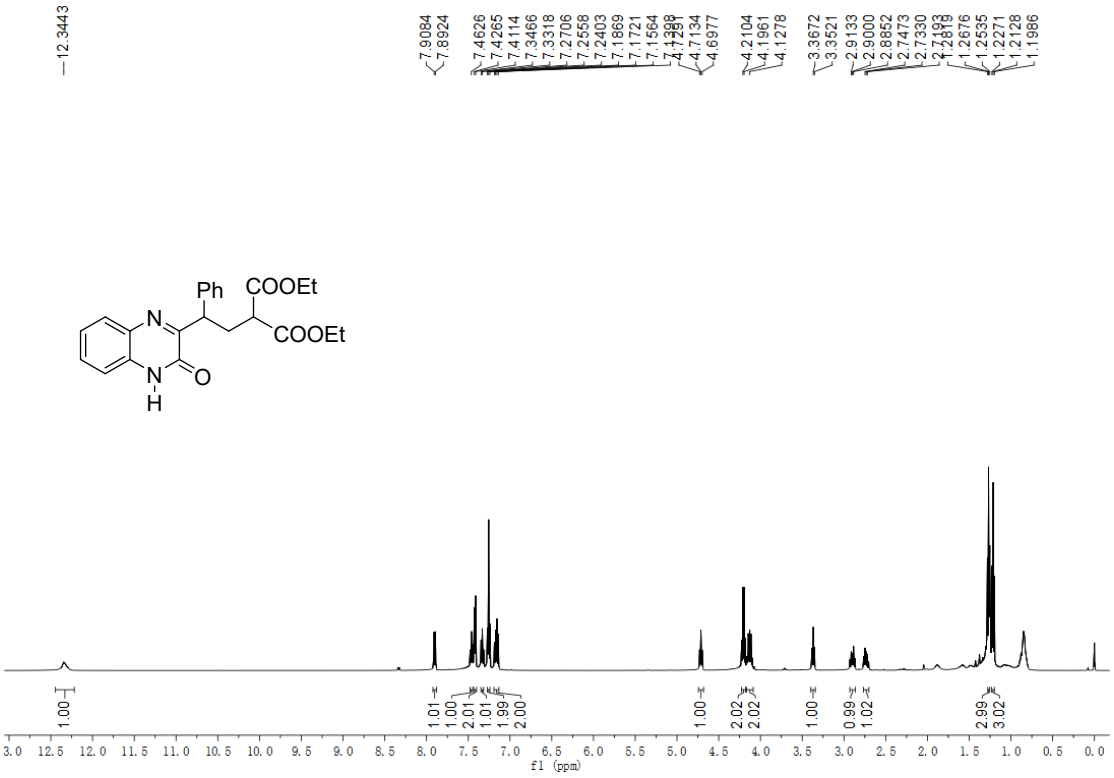
**4ha** $^{1}$H NMR (500 MHz, $CDCl_3$)



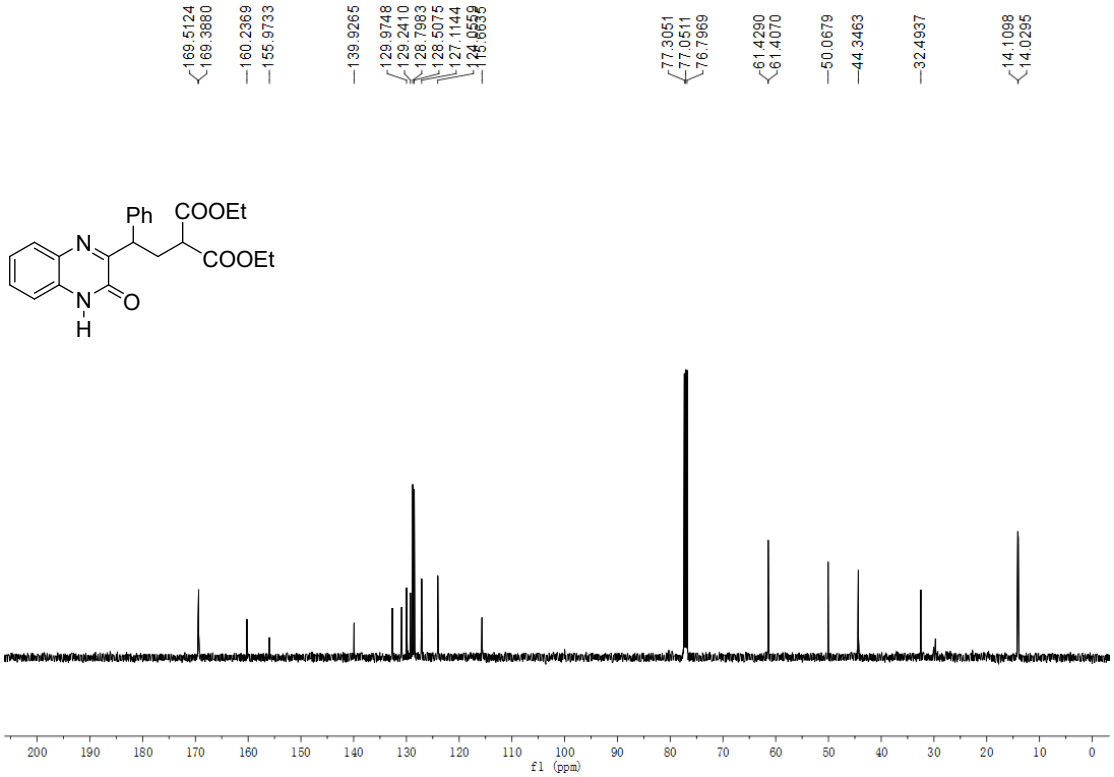

**4ha** $^{13}$C NMR (125 MHz, $CDCl_3$)



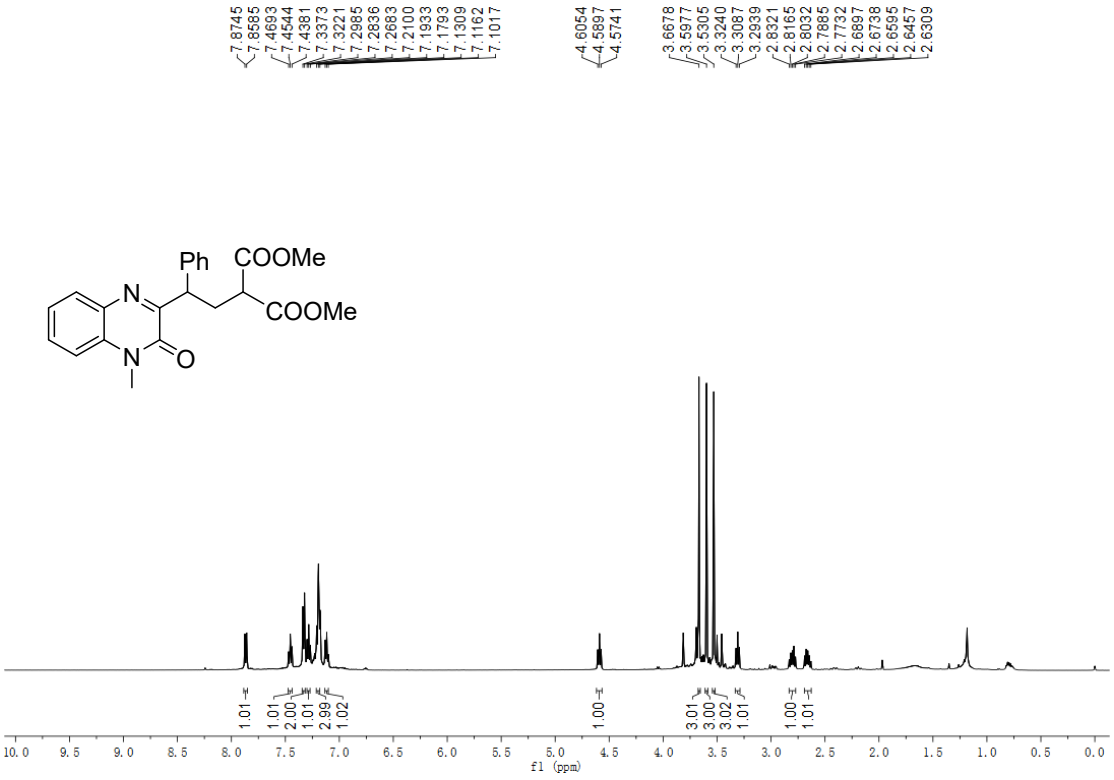
**4ia** $^{1}$H NMR (500 MHz, $CDCl_3$)



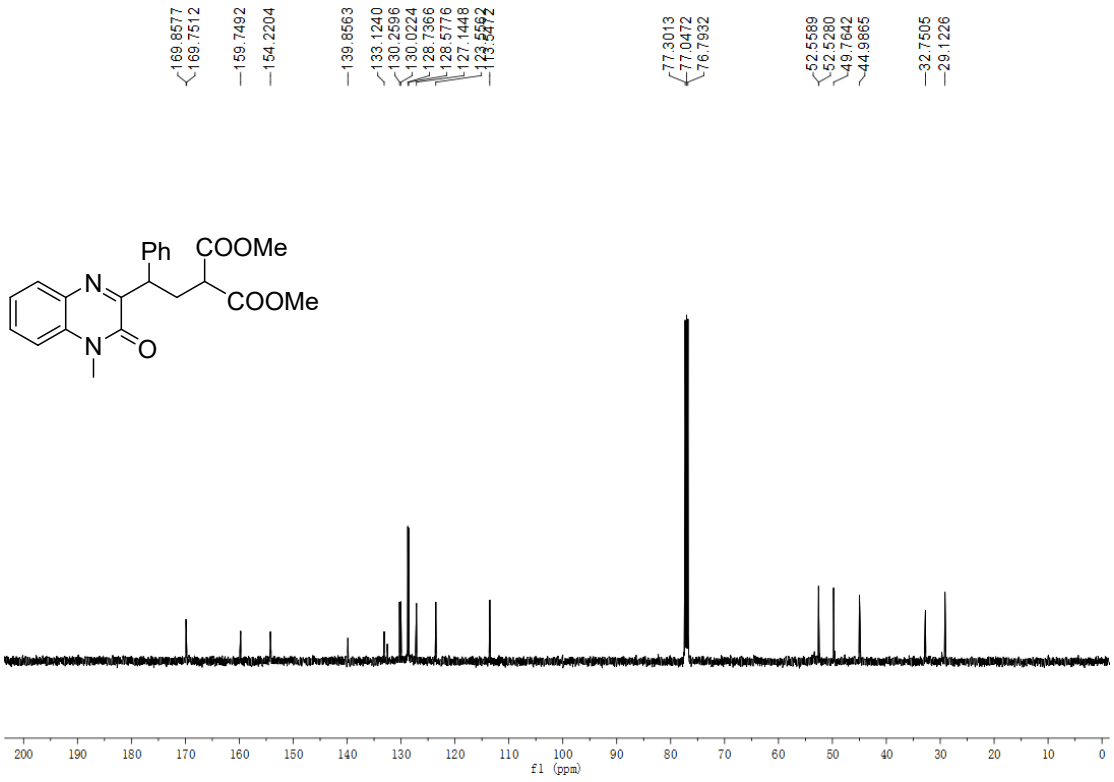

**4ia** $^{13}$C NMR (125 MHz, $CDCl_3$)



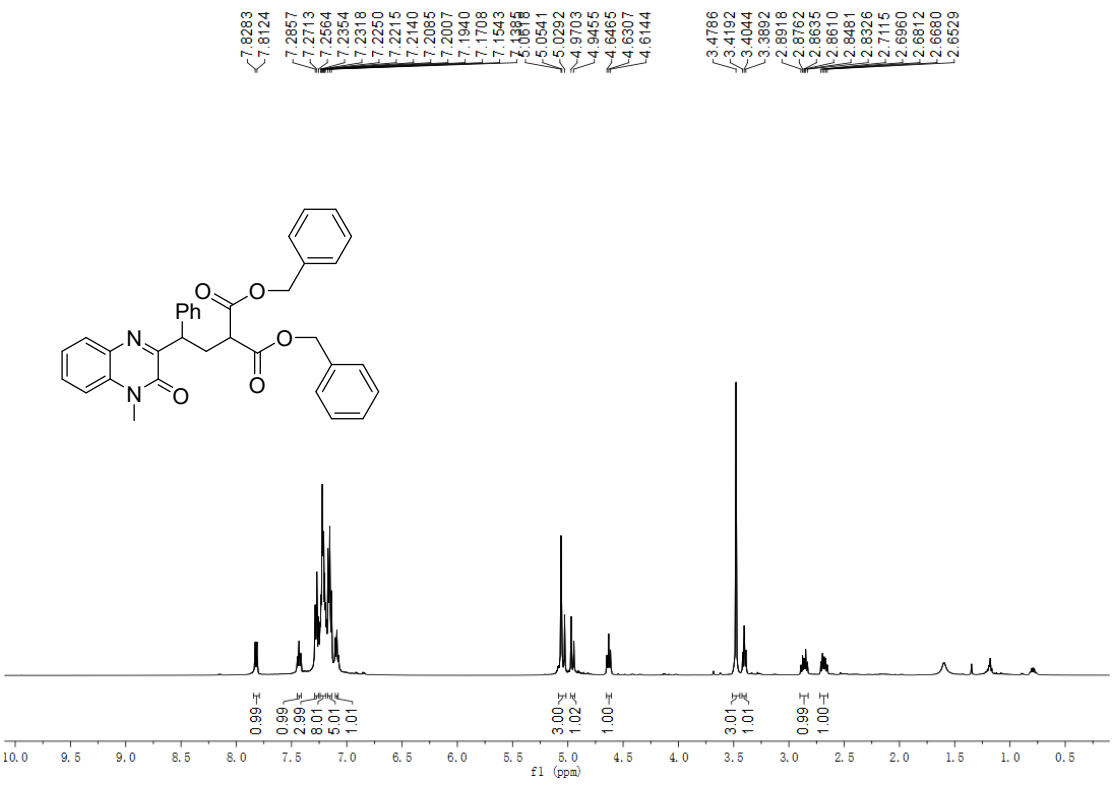
**4ja** $^{1}$H NMR (500 MHz, $CDCl_3$)



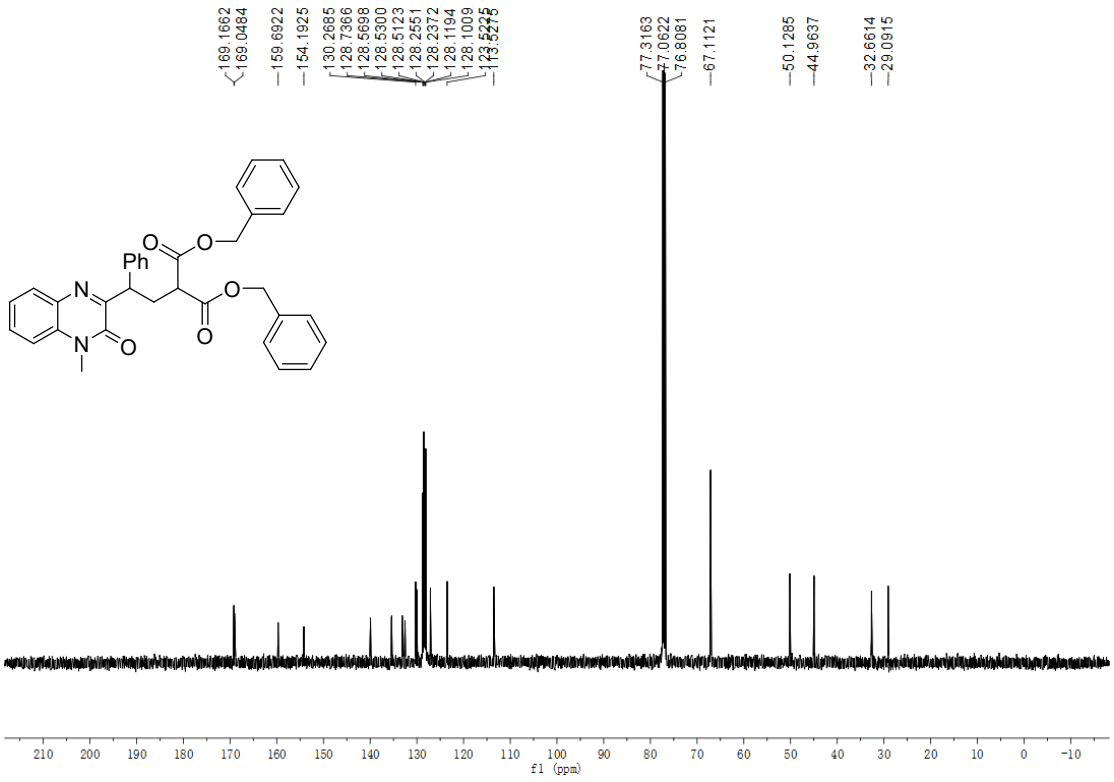

**4ja** $^{13}$C NMR (125 MHz, $CDCl_3$)



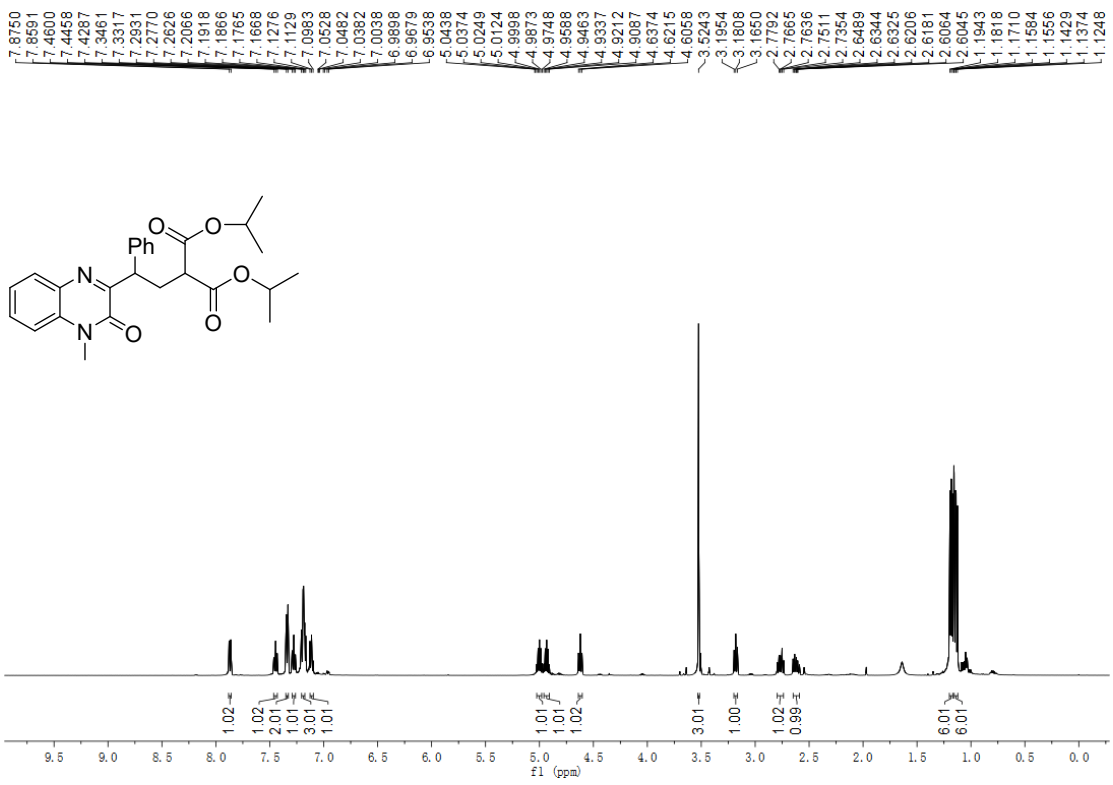
**4ka** $^{1}$H NMR (500 MHz, $CDCl_3$)



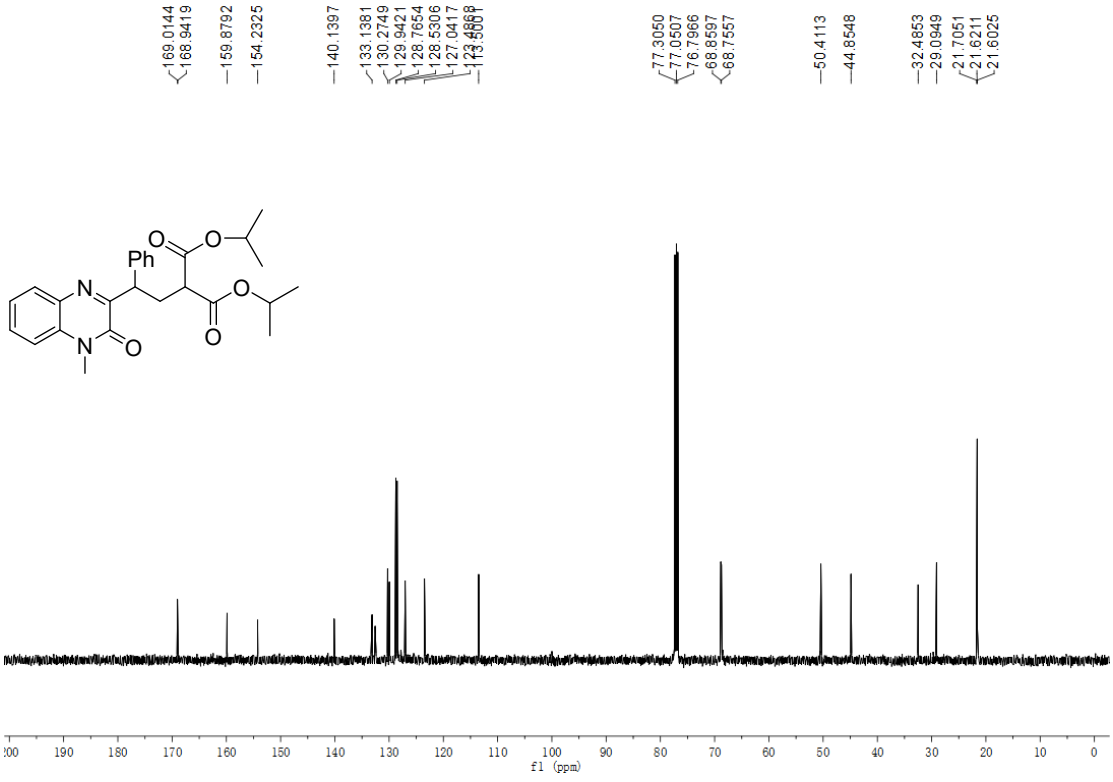

**4ka** $^{13}$C NMR (125 MHz, $CDCl_3$)



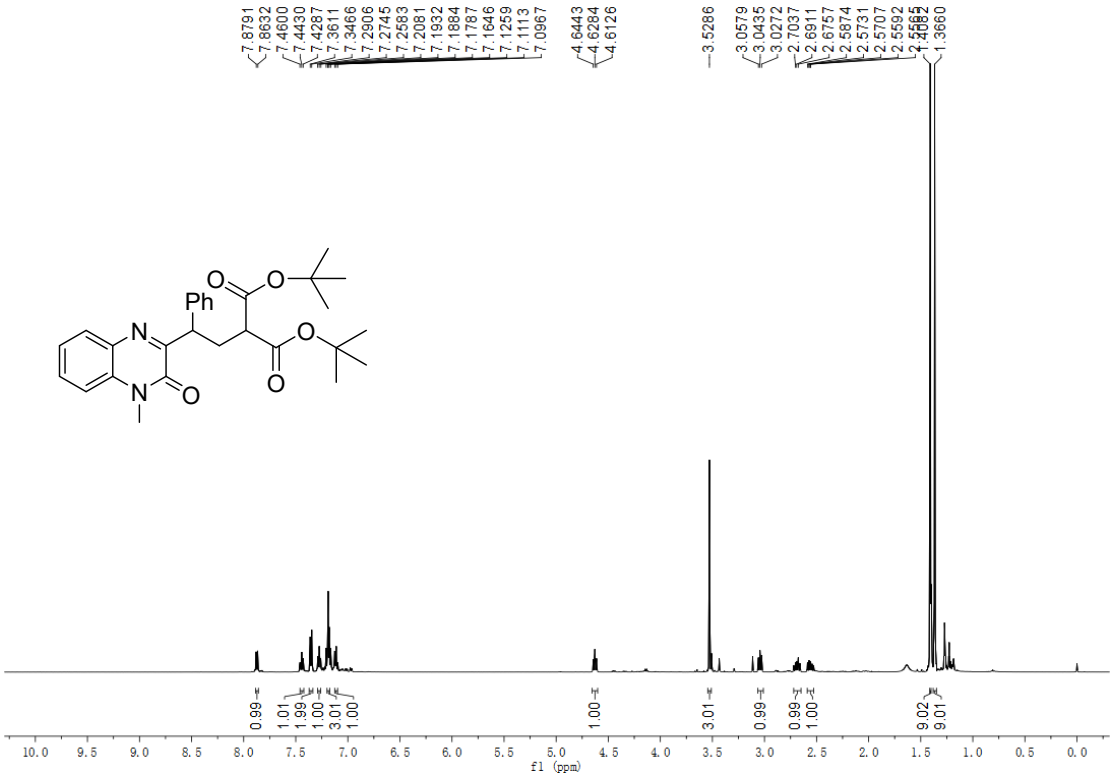
**4la** $^{1}$H NMR (500 MHz, $CDCl_3$)




**4la** $^{13}$C NMR (125 MHz, $CDCl_3$)



**4ma** $^{1}$H NMR (500 MHz, $CDCl_3$)




**4ma** $^{13}$C NMR (125 MHz, $CDCl_3$)