Supporting Information

Visible-Light-Mediated Cascade Reaction of Quinoxalin-2(1*H*)-ones, Alkenes and Sulfinic Acids

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1. General information

Unless otherwise specified, all reagents and solvents were obtained from commercial suppliers and used without further purification. Dry solvents (1,2-dichloroethane, acetonitrile, acetone, ethanol, ethyl acetate, *N*,*N*-dimethylformamide, dimethyl sulfoxide and tetrahydrofuran) were used as commercially available. Column chromatography was performed with silica gel (200-300 mesh) with petroleum ether and ethyl acetate as eluents. The light source conditions: 6 W blue LED (440-445 nm, WP-TEC-1020SL，made in WATTCAS, China), and maintaining a relatively constant temperature by regulating the condensed water. ^{1}H NMR spectra were recorded at 400 MHz and ^{13}C NMR spectra were recorded at 100 MHz by using a Bruker Avance 400 spectrometer. Chemical shifts were calibrated using residual undeuterated solvent as an internal reference (^{1}H NMR: $CDCl_3$ 7.26 ppm, ^{13}C NMR: $CDCl_3$ 77.0 ppm). The following abbreviations were used to describe peak splitting patterns when appropriate: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, brs = broad singlet. Mass spectra were performed on a spectrometer operating on ESI-TOF.

The Material of the Irradiation Vessel

Manufacturer： Xi 'an WATTCAS experimental equipment co. LTD

Model： WP-TEC-1020SL

Broadband source： λ = 440-445 nm

Emission spectrum (figure S1)：

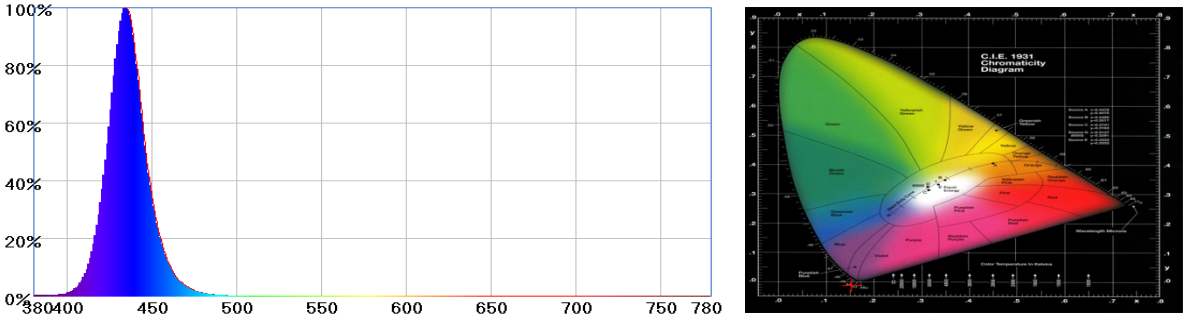


Figure S1 The spectrum of our lamp (blue LED)

Material of the irradiation vessel：Borosilicate reaction tube

Distance from the light source to the irradiation vessel：2.0 cm

Not use any filters

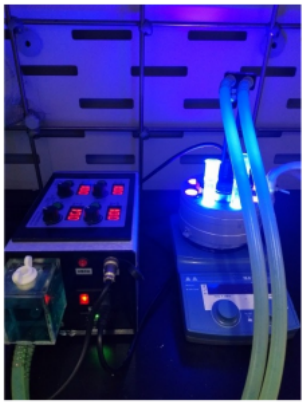
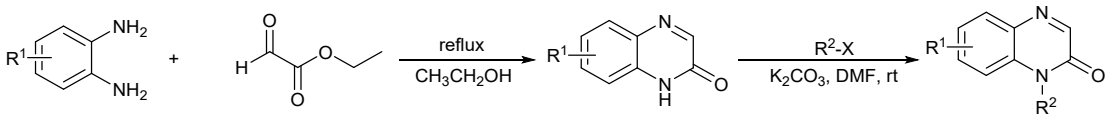


Figure S2（Photographed by author Sha Peng）

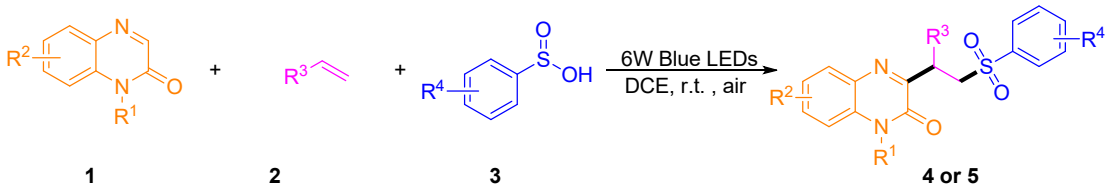
2. Experimental Section

2.1 General Procedure for Synthesis of Quinoxalin-2(1*H*)-ones



Quinoxalin-2(1*H*)-one was prepared from 1,2-phenylenediamines following the procedure of Cui and co-workers[1] on 5 mmol scale. Ethyl 2-oxoacetate (6mmol, 1.2 equiv.) was added to a suspension of *o*-arylenediamine (5 mmol, 1 equiv.) in ethanol (1 mol/L). The reaction mixture was stirred and heated at reflux in an oil bath for 1 h, then at room temperature for 16 h. Upon completion (as monitored by TLC), the precipitate was filtered and washed with ethanol, then dried to give quinoxalinone. For alkylation, the corresponding halogenoalkane (1.6 equiv.) was added to a suspension of quinoxalinone (1 equiv.) and potassium carbonate (1.2 equiv.) in DMF (16 mL). The mixture was stirred at room temperature for 16 h. Upon completion (as monitored by TLC), the reaction mixture was washed with saturated solution of ammonium chloride (NH_4Cl, 5 mL), ethyl acetate (10 mL) and water (10 mL). The organic layer was separated and the aqueous layer was extracted with ethyl acetate (2 × 10 mL). The combined organic layers were dried with anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The resulting organic residue was purified by flash chromatography column over silica gel to afford the *N*-alkylated quinoxalinone.

2.2 General procedure for the preparation of sulfonated quinoxalin-2(1*H*)-ones (4 or 5)



1 2 3 4 or 5

A 10mL of oven-dried quartz tube charged with a stir bar was added quinoxalin-2(1*H*)-one **1** (0.3 mmol), alkyne **2** (0.36 mmol, 1.2 eq) and sulfinic acid **3** (0.36 mmol, 1.2 eq) in DCE (3 mL). The reaction mixture was open to the air and stirred at room temperature under the irradiation of 6W blue LED lamps (440 – 445 nm) for 0.5 – 2 h. The reaction was monitored by TLC. After completion, H_2O (5 mL) was added to the mixture, which was further extracted with EtOAc for three times (5 mL× 3). The organic phase was then dried with anhydrous sodium sulfate, concentrated under vacuum. The residue was purified by flash column chromatography using a mixture of petroleum ether and ethyl acetate as eluent to give the desired products **4** or **5**.

2.3 Mechanistic Investigations

(1) UV-vis Absorption spectra

The UV/Vis absorption spectra of 1-methylquinoxalin-2(1*H*)-one (**1a**, 0.020 M), styrene (**2a**, 0.020 M), 4-methylbenzenesulfinic acid (**3a**, 0.020 M) and the mixtures (**1a**+**3a**, **1a**+**2a**+**3a**) in CH_3CN were recorded in 1 cm path quartz cuvettes by using a SHIMADZU UV-2600 UV-visible spectrophotometer, respectively. The obtained bands in UV/vis absorption spectra were shown in Figure S3.

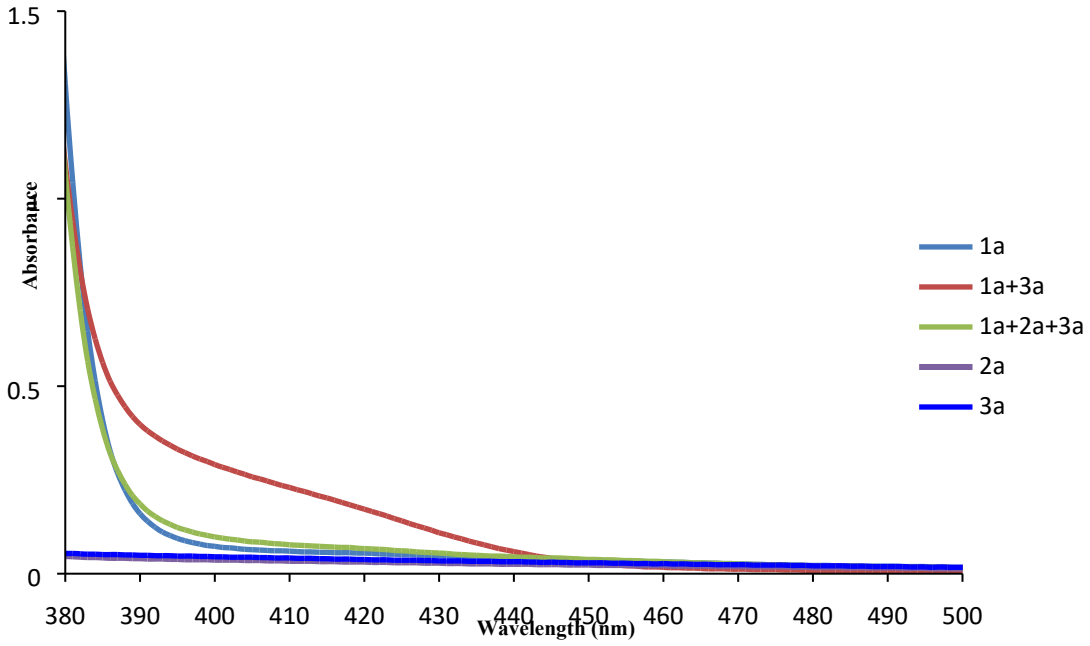


Figure S3. UV/vis absorption spectra of individual reaction components and a combination thereof.

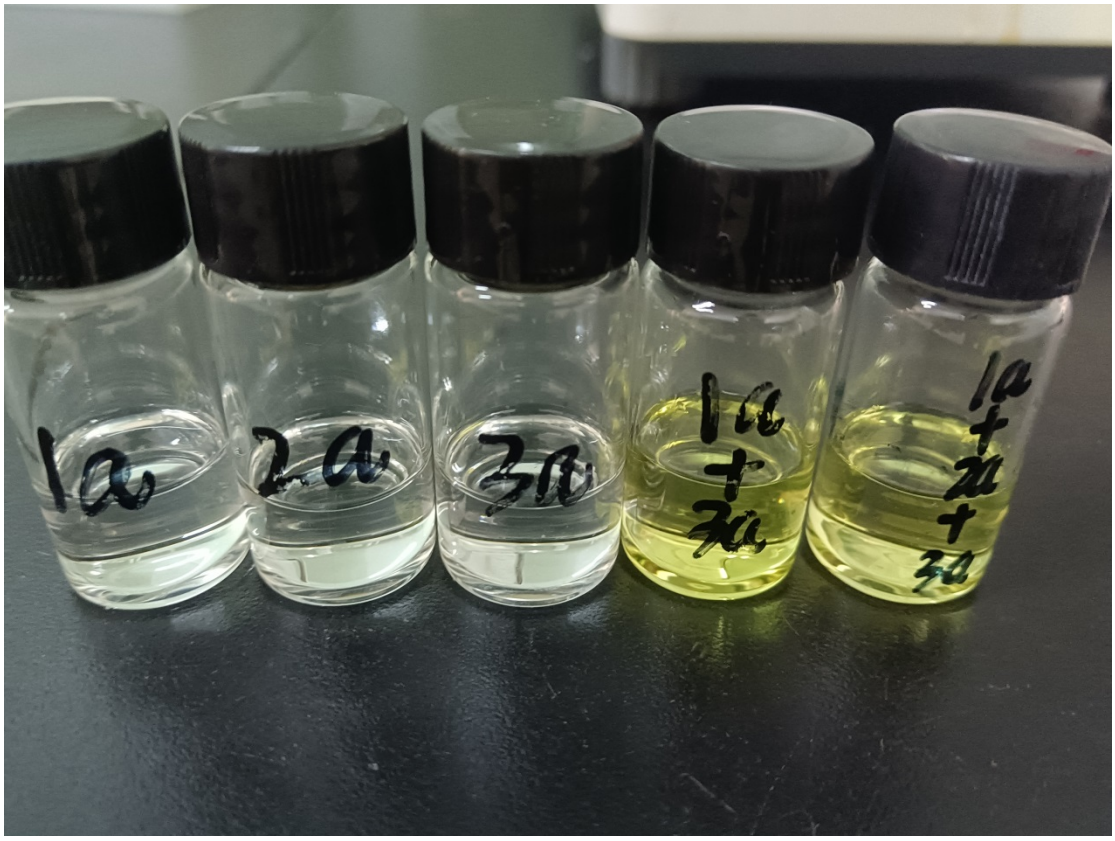


Figure S4. Visual appearance of reaction components and mixtures thereof.

(2) Quantum Yield Measurements

Determination of the light intensity at 438 nm

The photon flux of the spectrophotometer was determined by standard ferrioxalate, according to the procedure of Yoon.[2] A 0.15 M solution of ferrioxalate was prepared by dissolving potassium ferrioxalate hydrate (1.312 g) in H_2SO_4 (20 mL of a 0.05 M solution). A buffered solution of 1,10-phenanthroline was prepared by dissolving 1,10-phenanthroline (50.0 mg) and sodium acetate (11.25 g) in H_2SO_4 (50 mL of a 0.5 M solution). Both solutions were stored in the dark. To determine the photon flux of the LED, the ferrioxalate solution (2.0 mL) was placed in a cuvette and irradiated for 90 seconds at λ = 436 nm with an emission slit width at 10.0 nm. After irradiation, the phenanthroline solution (0.35 mL) was added to the cuvette and the mixture was allowed to stir in the dark for 1 h to allow the ferrous ions to completely coordinate to the phenanthroline. The absorbance of the solution was measured at 510 nm. A nonirradiated sample was also prepared and the absorbance at 510 nm was measured. Conversion was calculated using eq 1.

	Non-irrad 1	Non-irrad 2	Irrad 1	Irrad 2

A510nm	0.186	0.188	0.897	0.949
Average A510nm of samples	0.187		0.923	

$$\textbf{mol } Fe^{2+} = (V \times \Delta A)/ (l \times \varepsilon) \quad (1)$$

mol Fe^{2+}= $[2.35\times10^{-3}$ L× (0.923-0.187)]/ (1 cm × 11100 L $mol^{-1}cm^{-1}$) =1.558×10^{-7} mol

Where V is the total volume (0.003525 L) of the solution after addition of phenanthroline, ΔA is the difference in absorbance at 510 nm between the irradiated and non-irradiated solutions, l is the path length (1.00 cm), and ε is the molar absorptivity of the ferrioxalate actinometer at 510 nm (11,100$Lmol^{-1}cm^{-1}$)[3]

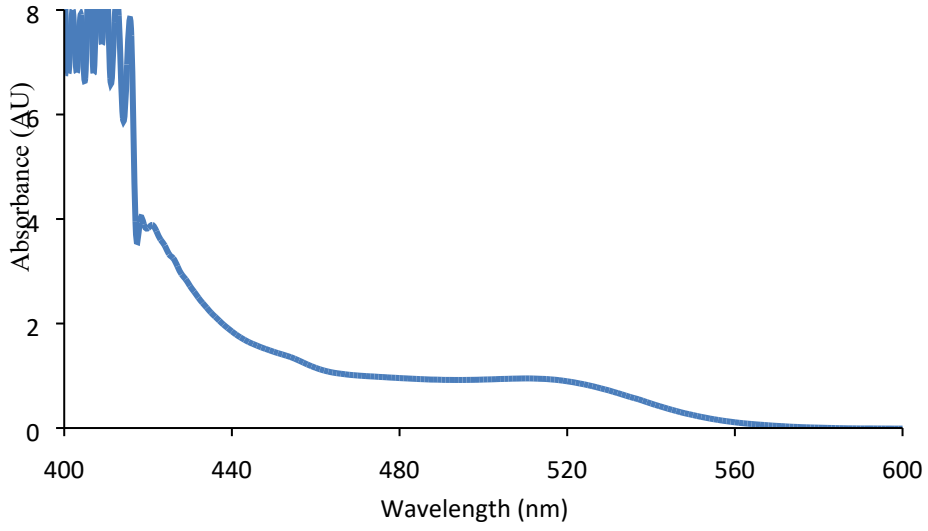
$$\textbf{photo flux} = \text{mol } Fe^{2+}/ (\Phi \times t \times f)$$

photo flux =1.558×10^{-7} / (1.01 ×90 × 0.9926) = 1.727×10^{-9} einstein s^{-1}

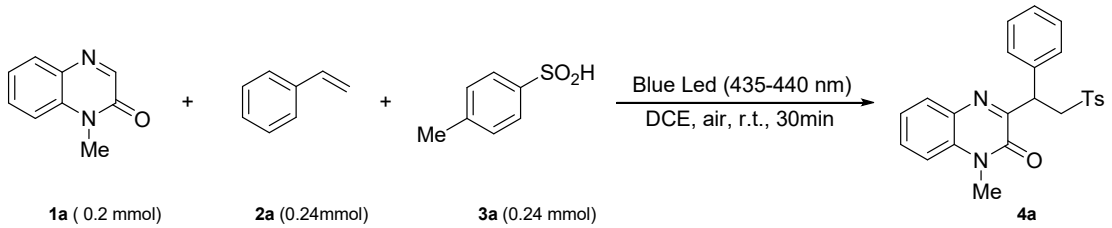
Where mol Fe^{2+} is the mols of Fe^{2+} formed during irradiation (1.558×10^{-7} mol), Φ is the quantum yield for the ferrioxalate actinometer (1.01 for a 0.15 M solution at λ = 438 nm),[4] t is the time (90.0 s), and f is the fraction of light absorbed of the ferrioxalate solution at λ = 436 nm. The fraction of light absorbed (f_{Fe}) by this solution was calculated using eq 2, where A is the measured absorbance at 436 nm.

$$F_{fe} = 1\text{-}10^{-A} \quad (2)$$

An absorption spectrum gave the absorbance of ferrioxalate solution at 436nm is 2.131, thus, F_{fe} = $1\text{-}10^{-A}$ = $1\text{-}10^{-2.131}$ = 0.9926



Determination of the reaction quantum yield



1a (0.2 mmol) **2a** (0.24mmol) **3a** (0.24 mmol) **4a**

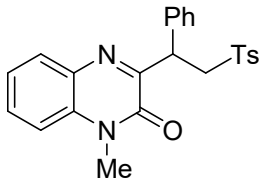
The reaction mixture was stirred and irradiated by blue LED (λmax = 440) for 30 min. The yield of product was determined by ^{1}H NMR analysis using 1,3,5-Trimethoxybenzene as an internal standard. The yield of **4aa** was determined to be 32% (0. 064 × 10^{-3} mol of **4a**). The reaction quantum yield (Φ) was determined using eq 4 where the photon flux is 4.52× 10^{-9} einsteins s^{-1} (determined by actinometry as described above), t is the reaction time (30 × 60 = 1800 s) and f is the fraction of incident light absorbed by the catalyst, determined using eq 2.

Quantum Yield = moles of product formed/ (flux × f × t) (3)

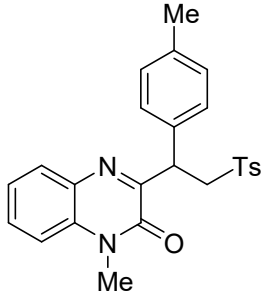
= 0. 064 × 10^{-3} mol /(1.727 × 10^{-9} × 0.9926 × 1800)

= 20.7

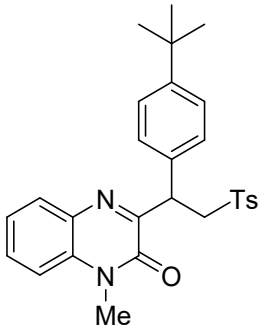
3. Characterization data of products



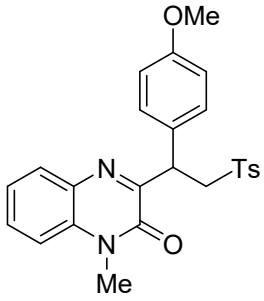
1-methyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (4a): White solid, mp 152-154°C, 102.8 mg, 82% yield. R_f = 0.35 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (d, *J* = 7.9 Hz, 1H), 7.68 (d, *J* = 8.0 Hz, 2 H), 7.50 (t, *J* = 7.8 Hz, 1 H), 7.32 (t, *J* = 8.6 Hz, 3 H), 7.25 – 7.12 (m, 4 H), 7.06 (d, *J* = 8.0 Hz, 2 H), 5.26 (dd, *J* = 10.2, 2.8 Hz, 1 H), 4.77 (dd, *J* = 14.2, 10.4 Hz, 1 H), 3.62 – 3.53 (m, 4 H), 2.17 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.3, 153.8, 144.2, 138.5, 136.3, 132.9, 132.1, 130.2, 130.0, 129.4, 128.7, 128.3, 128.3, 127.4, 123.5, 113.4, 59.3, 42.1, 29.0, 21.3. The compound spectra data is in accord with the previous literature.[5]



1-methyl-3-(1-(p-tolyl)-2-tosylethyl)quinoxalin-2(1*H*)-one (4b): White solid, mp 139-141°C, 99.8 mg, 77% yield. R_f = 0.35 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (dd, *J* = 8.0, 1.5 Hz, 1 H), 7.69 – 7.64 (m, 2 H), 7.47 (ddd, *J* = 8.6, 7.2, 1.5 Hz, 1 H), 7.30 (ddd, *J* = 8.2, 7.4, 1.2 Hz, 1 H), 7.24 – 7.15 (m, 3 H), 7.06 – 6.99 (m, 4 H), 5.22 (dd, *J* = 10.2, 3.2 Hz, 1 H), 4.75 (dd, *J* = 14.3, 10.3 Hz, 1 H), 3.58 (dd, *J* = 14.3, 3.2 Hz, 1 H), 3.54 (s, 3 H), 2.23 (s, 3 H), 2.15 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.3, 153.7, 144.1, 137.1, 136.1, 135.4, 132.8, 131.9, 130.1, 129.8, 129.3, 129.2, 128.2, 128.0, 123.4, 113.3, 59.2, 41.6, 28.9, 21.3, 20.9. The compound spectra data is in accord with the previous literature.[6]

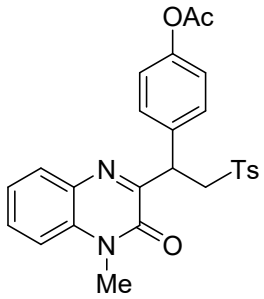


3-(1-(4-(tert-butyl)phenyl)-2-tosylethyl)-1-methylquinoxalin-2(1*H*)-one (4c): White solid, mp 160-162°C, 105.2 mg, 74% yield. R_f = 0.34 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (dd, *J* = 8.0, 1.4 Hz, 1 H), 7.64 (d, *J* = 8.3 Hz, 2 H), 7.48 – 7.42 (m, 1 H), 7.30 – 7.19 (m, 5 H), 7.15 (d, *J* = 7.6 Hz, 1 H), 7.02 (d, *J* = 8.1 Hz, 2 H), 5.23 (dd, *J* = 10.3, 3.1 Hz, 1 H), 4.75 (dd, *J* = 14.3, 10.3 Hz, 1 H), 3.60 (dd, *J* = 14.3, 3.2 Hz, 1 H), 3.53 (s, 3 H), 2.13 (s, 3 H), 1.20 (s, 9 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.3, 153.7, 150.2, 144.0, 136.1, 135.2, 132.7, 130.0, 129.8, 129.2, 128.2, 127.8, 125.5, 123.4, 113.3, 59.2, 41.5, 34.3, 31.1, 28.9, 21.3. The compound spectra data is in accord with the previous literature.[5]

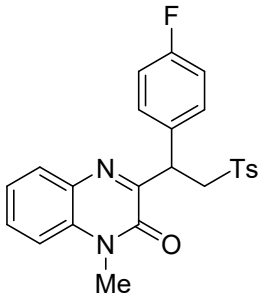


3-(1-(4-methoxyphenyl)-2-tosylethyl)-1-methylquinoxalin-2(1*H*)-one (4d): Colorless oil, 96.8 mg, 72% yield. R_f = 0.41 (petroleum ether/ethyl acetate = 2:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70 (dd, *J* = 8.0, 1.4 Hz, 1 H), 7.53 – 7.43 (m, 3 H), 7.29 – 7.24 (m, 1 H), 7.23 – 7.12 (m, 5 H), 6.72 (d, *J* = 8.7 Hz,

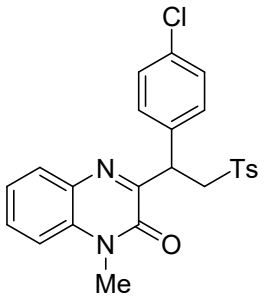
2 H), 5.22 (dd, *J* = 9.6, 5.3 Hz, 1 H), 3.88 (dd, *J* = 16.7, 5.2 Hz, 1 H), 3.78 – 3.70 (m, 4 H), 3.59 (s, 3 H); ^{13}C NMR (100 MHz,) δ 159.8, 155.9, 154.5, 144.4, 134.3, 132.9, 132.4, 131.5, 130.1, 129.8, 129.4, 129.3, 123.6, 113.7, 113.6, 60.4, 55.2, 32.9, 29.1, 21.6. The compound spectra data is in accord with the previous literature.[6]



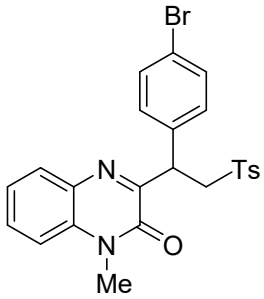
4-(1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-tosylethyl)phenyl acetate (4e): White solid, mp 169-171°C, 92.8 mg, 65% yield. R_f = 0.37 (petroleum ether/ethyl acetate = 2:1). ^{1}H NMR (400 MHz,) δ 7.73 (dd, *J* = 8.0, 1.4 Hz, 1 H), 7.67 (d, *J* = 8.2 Hz, 2 H), 7.54 – 7.48 (m, 1 H), 7.36 – 7.30 (m, 3 H), 7.21 (d, *J* = 8.3 Hz, 1 H), 7.07 (d, *J* = 8.2 Hz, 2 H), 6.92 (d, *J* = 8.6 Hz, 2 H), 5.27 (dd, *J* = 10.3, 3.1 Hz, 1 H), 4.75 (dd, *J* = 14.3, 10.3 Hz, 1 H), 3.62 – 3.56 (m, 4 H), 2.24 (s, 3 H), 2.17 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.4, 157.0, 153.8, 149.8, 144.3, 136.0, 135.9, 132.8, 132.0, 130.3, 129.9, 129.4, 129.3, 128.3, 123.6, 121.8, 113.4, 59.1, 41.4, 29.1, 21.3, 21.1； HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{26}H_{25}N_2O_5S$: 477.1479; found: 477.1477.



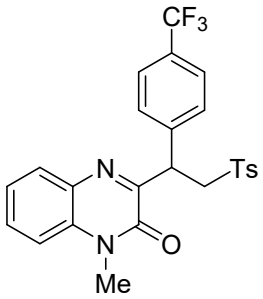
3-(1-(4-fluorophenyl)-2-tosylethyl)-1-methylquinoxalin-2(1*H*)-one (4f): White solid, mp 125-126°C, 98.1 mg, 75% yield. R_f = 0.34 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70 (dd, *J* = 8.0, 1.5 Hz, 1 H), 7.63 (d, *J* = 8.2 Hz, 2 H), 7.51 – 7.45 (m, 1 H), 7.34 – 7.27 (m, 3 H), 7.18 (d, *J* = 8.3 Hz, 1 H), 7.03 (d, *J* = 8.3 Hz, 2 H), 6.87 (t, *J* = 8.7 Hz, 2 H), 5.24 (dd, *J* = 9.8, 3.7 Hz, 1 H), 4.67 (dd, *J* = 14.3, 9.8 Hz, 1 H), 3.58 (dd, *J* = 14.3, 3.7 Hz, 1 H), 3.54 (s, 3 H), 2.14 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.9 (d, $J_{C\text{-}F}$ = 245.0 Hz), 157.0, 153.6, 144.2, 136.0, 134.0 (d, $J_{C\text{-}F}$ = 4.0 Hz), 132.7, 130.3, 129.9, 129.8, 129.8, 129.3, 128.1, 123.5, 115.4 (d, $J_{C\text{-}F}$ = 21.0 Hz), 113.4, 59.2, 41.3, 29.0, 21.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ -114.73. The compound spectra data is in accord with the previous literature.[6]



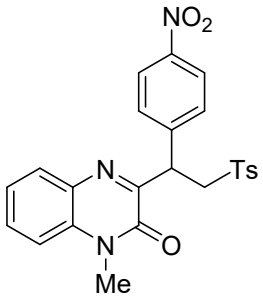
3-(1-(4-chlorophenyl)-2-tosylethyl)-1-methylquinoxalin-2(1*H*)-one (4g): White solid, mp 165-167°C, 112.5 mg, 83% yield. R_f = 0.34 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (dd, *J* = 8.0, 1.4 Hz, 1 H), 7.62 (d, *J* = 8.3 Hz, 2 H), 7.52 – 7.46 (m, 1 H), 7.36 – 7.29 (m, 1 H), 7.25 (d, *J* = 8.6 Hz, 2 H), 7.19 (d, *J* = 8.4 Hz, 1 H), 7.17 – 7.11 (m, 2 H), 7.03 (d, *J* = 8.2 Hz, 2 H), 5.21 (dd, *J* = 9.5, 4.0 Hz, 1 H), 4.63 (dd, *J* = 14.4, 9.5 Hz, 1 H), 3.60 (dd, *J* = 14.4, 4.0 Hz, 1 H), 3.54 (s, 3 H), 2.15 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.8, 153.6, 144.3, 136.7, 136.0, 133.3, 132.8, 131.9, 130.3, 129.9, 129.6, 129.3, 128.7, 128.1, 123.5, 113.4, 59.0, 41.5, 29.0, 21.3. The compound spectra data is in accord with the previous literature.[6]



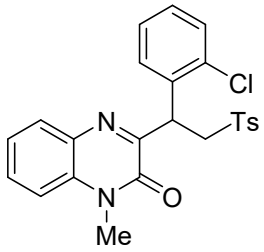
3-(1-(4-bromophenyl)-2-tosylethyl)-1-methylquinoxalin-2(1*H*)-one (4h): White solid, mp 164-166°C, 122.0 mg, 82% yield. R_f = 0.35 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, *J* = 7.9 Hz, 1 H), 7.62 (d, *J* = 8.1 Hz, 2 H), 7.50 (t, *J* = 7.8 Hz, 1 H), 7.34 – 7.27 (m, 3 H), 7.23 – 7.17 (m, 3 H), 7.05 (d, *J* = 8.0 Hz, 2 H), 5.21 (dd, *J* = 9.4, 4.0 Hz, 1 H), 4.63 (dd, *J* = 14.4, 9.4 Hz, 1 H), 3.62 (dd, *J* = 14.4, 4.1 Hz, 1 H), 3.55 (s, 3 H), 2.17 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.7, 153.6, 144.3, 137.2, 136.0, 132.8, 131.9, 131.7, 130.4, 130.0, 129.9, 129.4, 128.1, 123.6, 121.5, 113.4, 58.9, 41.6, 29.0, 21.3. The compound spectra data is in accord with the previous literature.[6]



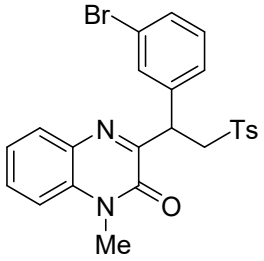
1-methyl-3-(2-tosyl-1-(4-(trifluoromethyl)phenyl)ethyl)quinoxalin-2(1*H*)-one (4i): White solid, mp 151-153°C, 113.7 mg, 78% yield. R_f = 0.35 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (dd, *J* = 8.0, 1.3 Hz, 1 H), 7.63 (d, *J* = 8.2 Hz, 2 H), 7.56 – 7.50 (m, 1 H), 7.48 – 7.40 (m, 4 H), 7.34 (t, *J* = 7.2 Hz, 1 H), 7.22 (d, *J* = 8.3 Hz, 1 H), 7.05 (d, *J* = 8.1 Hz, 2 H), 5.37 – 5.30 (m, 1 H), 4.63 (dd, *J* = 14.4, 9.0 Hz, 1 H), 3.69 (dd, *J* = 14.4, 4.6 Hz, 1 H), 3.57 (s, 3 H), 2.18 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.6, 153.7, 144.4, 142.1, 136.0, 132.9, 130.6, 130.0, 129.6 (q, $J_{C\text{-}F}$ = 32.0 Hz), 129.4, 128.8, 128.2, 125.5 (q, $J_{C\text{-}F}$ = 4.0 Hz), 123.9 (q, $J_{C\text{-}F}$ = 270.0 Hz), 123.7, 122.5, 113.5, 58.9, 42.1, 29.1, 21.3; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -62.63. The compound spectra data is in accord with the previous literature.[6]



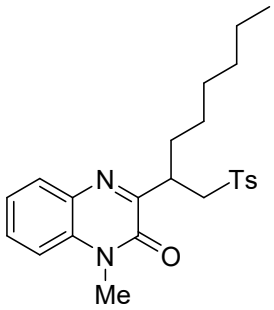
1-methyl-3-(1-(4-nitrophenyl)-2-tosylethyl)quinoxalin-2(1*H*)-one (4j): Yellow solid, mp 177-179°C, 100.0 mg, 72% yield. R_f = 0.32 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 – 8.05 (m, 2 H), 7.80 (dd, *J* = 8.0, 1.4 Hz, 1 H), 7.68 (d, *J* = 8.3 Hz, 2 H), 7.60 – 7.52 (m, 3 H), 7.39 (t, *J* = 7.7 Hz, 1 H), 7.29 – 7.27 (m, 1 H), 7.12 (d, *J* = 8.1 Hz, 2 H), 5.39 (dd, *J* = 8.9, 4.6 Hz, 1 H), 4.66 (dd, *J* = 14.4, 8.9 Hz, 1 H), 3.70 (dd, *J* = 14.5, 4.7 Hz, 1 H), 3.61 (s, 3 H), 2.24 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.1, 153.7, 147.1, 145.6, 144.7, 136.0, 132.9, 132.0, 130.8, 130.1, 129.6, 128.2, 123.9, 123.8, 113.6, 58.8, 42.1, 29.2, 21.4. The compound spectra data is in accord with the previous literature.[6]



3-(1-(2-chlorophenyl)-2-tosylethyl)-1-methylquinoxalin-2(1*H*)-one (4k): White solid, mp 142-144°C, 105.7 mg, 78% yield. R_f = 0.35 (petroleum ether/ethyl acetate = 3:1). ^{1}HNMR (400 MHz, $CDCl_3$) δ 7.82 (dd, *J* = 8.0, 1.4 Hz, 1 H), 7.76 (d, *J* = 8.3 Hz, 2 H), 7.55 (td, *J* = 8.0, 7.4, 1.5 Hz, 1 H), 7.38 – 7.32 (m, 2 H), 7.26 (d, *J* = 8.2 Hz, 1 H), 7.18 – 7.01 (m, 5 H), 5.74 (dd, *J* = 10.6, 2.5 Hz, 1 H), 4.69 (dd, *J* = 14.4, 10.6 Hz, 1 H), 3.61 (s, 3 H), 3.43 (dd, *J* = 14.4, 2.5 Hz, 1 H), 2.24 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.5, 153.9, 144.3, 136.1, 135.9, 134.1, 133.0, 131.9, 130.5, 130.2, 130.1, 129.5, 128.8, 128.7, 128.5, 126.8, 123.6, 113.5, 58.3, 38.5, 29.1, 21.4; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{24}H_{22}ClN_2O_3S$: 453.1034; found: 453.1031.

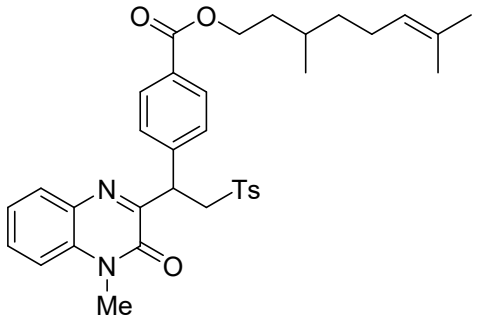


3-(1-(3-bromophenyl)-2-tosylethyl)-1-methylquinoxalin-2(1*H*)-one (4l): White solid, mp 158-160°C, 122.0 mg, 82% yield. R_f = 0.35 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 (dd, *J* = 8.0, 1.4 Hz, 1 H), 7.64 (d, *J* = 8.2 Hz, 2 H), 7.54 – 7.48 (m, 1 H), 7.40 – 7.27 (m, 4 H), 7.21 (d, *J* = 8.3 Hz, 1 H), 7.12 – 7.03 (m, 3 H), 5.21 (dd, *J* = 9.5, 3.9 Hz, 1 H), 4.64 (dd, *J* = 14.4, 9.5 Hz, 1 H), 3.61 (dd, *J* = 14.4, 3.9 Hz, 1 H), 3.57 (s, 3 H), 2.19 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.7, 153.7, 140.5, 136.0, 132.9, 131.9, 131.1, 131.0, 130.6, 130.4, 130.2, 130.0, 129.4, 128.2, 127.2, 123.6, 122.6, 113.5, 59.0, 41.8, 29.1, 21.4. The compound spectra data is in accord with the previous literature.[6]

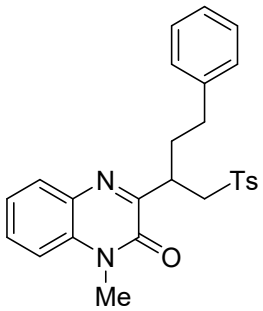


1-methyl-3-(1-tosyloctan-2-yl)quinoxalin-2(1*H*)-one (4m): Colorless oil, 103.5 mg, 81% yield. R_f =

0.42 (petroleum ether/ethyl acetate = 4:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (dd, *J* = 8.0, 1.3 Hz, 1 H), 7.65 (d, *J* = 8.2 Hz, 2 H), 7.54 – 7.48 (m, 1 H), 7.35 – 7.29 (m, 1 H), 7.23 (d, *J* = 8.3 Hz, 1 H), 7.05 (d, *J* = 8.1 Hz, 2 H), 4.29 (dd, *J* = 14.4, 10.6 Hz, 1 H), 3.97 – 3.87 (m, 1 H), 3.61 (s, 3 H), 3.33 (dd, *J* = 14.4, 2.4 Hz, 1 H), 2.18 (s, 3 H), 1.79 – 1.67 (m, 1 H), 1.61 – 1.50 (m, 1 H), 1.27 – 1.06 (m, 8 H), 0.81 (t, *J* = 6.9 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.6, 154.1, 144.0, 136.1, 132.8, 132.2, 130.0, 129.8, 129.3, 128.4, 123.5, 113.3, 58.0, 37.3, 33.9, 31.5, 29.0, 28.9, 26.6, 22.5, 21.4, 14.0. The compound spectra data is in accord with the previous literature.[6]

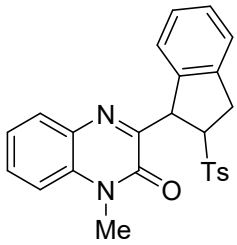


3,7-dimethyloct-6-en-1-yl 4-(1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-tosylethyl)benzoate (4n): White solid, mp 174-176°C, 104.4 mg, 58% yield. R_f = 0.28 (petroleum ether/ethyl acetate = 2:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (d, *J* = 8.3 Hz, 2 H), 7.74 (d, *J* = 8.0 Hz, 1 H), 7.65 (d, *J* = 8.2 Hz, 2 H), 7.51 (t, *J* = 7.8 Hz, 1 H), 7.39 (d, *J* = 8.3 Hz, 2 H), 7.35 – 7.30 (m, 1 H), 7.20 (d, *J* = 8.4 Hz, 1 H), 7.05 (d, *J* = 8.1 Hz, 2 H), 5.30 (dd, *J* = 9.6, 3.7 Hz, 1 H), 4.71 (dd, *J* = 14.4, 9.7 Hz, 1 H), 4.34 – 4.25 (m, 2 H), 3.62 (dd, *J* = 14.2, 3.9 Hz, 1 H), 3.56 (s, 3 H), 2.17 (s, 3 H), 2.02 – 1.90 (m, 2 H), 1.82 – 1.44 (m, 9 H), 1.42 – 1.06 (m, 3 H), 0.94 – 0.88 (m, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.1, 156.6, 153.7, 150.0, 144.4, 143.3, 136.0, 132.8, 131.9, 131.3, 130.4, 129.9, 129.4, 128.3, 128.2, 124.4, 123.6, 113.4, 63.4, 58.9, 42.1, 36.9, 29.4, 29.1, 25.6, 25.3, 21.3, 19.4, 17.6; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{35}H_{41}N_2O_5S$: 601.2731; found: 601.2726.

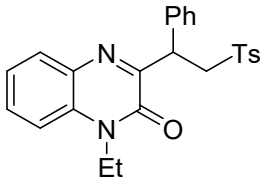


1-methyl-3-(4-phenyl-1-tosylbutan-2-yl)quinoxalin-2(1*H*)-one (4o): White solid, mp 122-124°C, 101.7 mg, 76% yield. R_f = 0.42 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (dd, *J* = 8.0, 1.3 Hz, 1 H), 7.65 (d, *J* = 8.2 Hz, 2 H), 7.56 – 7.50 (m, 1 H), 7.37 – 7.30 (m, 1 H), 7.22 (d, *J* = 8.3 Hz, 1 H), 7.16 (t, *J* = 7.3 Hz, 2 H), 7.11 – 7.01 (m, 5 H), 4.29 (dd, *J* = 14.3, 10.3 Hz, 1

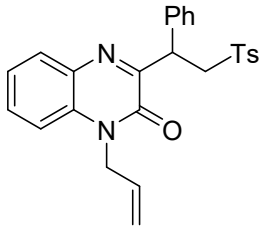
H), 4.08 – 3.96 (m, 1 H), 3.59 (s, 3 H), 3.39 (dd, *J* = 14.4, 2.9 Hz, 1 H), 2.70 – 2.58 (m, 1 H), 2.53 – 2.43 (m, 1 H), 2.21 (s, 3 H), 2.19 – 2.08 (m, 1 H), 2.01 – 1.90 (m, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.2, 154.1, 144.1, 140.9, 136.1, 132.9, 132.2, 130.1, 129.9, 129.3, 128.4, 128.3, 128.2, 125.8, 123.5, 113.3, 58.2, 37.6, 35.3, 33.0, 29.0, 21.4. The compound spectra data is in accord with the previous literature.[6]



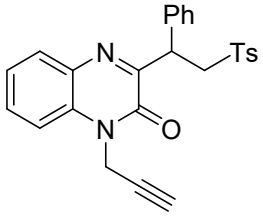
1-methyl-3-(2-tosyl-2,3-dihydro-1*H*-inden-1-yl)quinoxalin-2(1*H*)-one (4p): White solid, mp 194-196°C, 81.3 mg, 63% yield. R_f = 0.27 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, *J* = 8.2 Hz, 2 H), 7.65 (dd, *J* = 8.0, 1.4 Hz, 1 H), 7.55 – 7.49 (m, 1 H), 7.33 – 7.28 (m, 1 H), 7.26 – 7.19 (m, 2 H), 7.18 – 7.12 (m, 1 H), 7.08 – 7.01 (m, 4 H), 5.54 (d, *J* = 7.9 Hz, 1 H), 5.16 – 5.04 (m, 1 H), 3.67 (s, 3 H), 3.60 (td, *J* = 15.4, 8.7 Hz, 2 H), 2.11 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.9, 154.5, 144.3, 141.2, 139.6, 135.0, 132.9, 132.1, 130.3, 129.9, 129.4, 128.8, 127.7, 127.2, 124.6, 124.2, 123.6, 113.4, 66.5, 49.6, 32.4, 29.2, 21.3. The compound spectra data is in accord with the previous literature.[6]



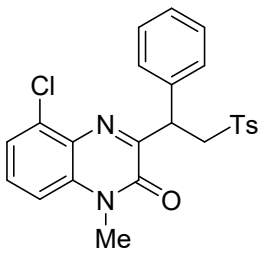
1-ethyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5a): White solid, mp 133-135°C, 103.7 mg, 80% yield. R_f = 0.38 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.65 (d, *J* = 8.3 Hz, 2 H), 7.47 (ddd, *J* = 8.6, 7.4, 1.5 Hz, 1 H), 7.36 – 7.32 (m, 2 H), 7.31 – 7.26 (m, 1 H), 7.21 (t, *J* = 7.3 Hz, 3 H), 7.18 – 7.12 (m, 1 H), 7.00 (d, *J* = 8.1 Hz, 2 H), 5.27 (dd, *J* = 10.4, 3.0 Hz, 1 H), 4.78 (dd, *J* = 14.3, 10.4 Hz, 1 H), 4.21 (dq, *J* = 14.3, 7.2 Hz, 1 H), 4.12 – 4.07 (m, 1 H), 3.57 (dd, *J* = 14.3, 3.0 Hz, 1 H), 2.10 (s, 3 H), 1.29 (t, *J* = 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.0, 153.1, 144.1, 138.5, 136.0, 132.2, 131.6, 130.1, 130.1, 129.4, 128.6, 128.2, 128.2, 127.3, 123.2, 113.1, 59.2, 41.9, 37.3, 21.2, 12.1. The compound spectra data is in accord with the previous literature.[7]



1-allyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5b): White solid, mp 166-168°C, 90.6 mg, 68% yield. R_f = 0.33 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (dd, *J* = 8.0, 1.5 Hz, 1 H), 7.68 (d, *J* = 8.2 Hz, 2 H), 7.49 – 7.43 (m, 1 H), 7.35 – 7.29 (m, 3 H), 7.24 – 7.16 (m, 4 H), 7.05 (d, *J* = 8.2 Hz, 2 H), 5.92 – 5.79 (m, 1 H), 5.26 (td, *J* = 7.2, 3.5 Hz, 2 H), 5.15 (d, *J* = 17.3 Hz, 1 H), 4.92 – 4.73 (m, 2 H), 4.67 (dd, *J* = 16.0, 5.3 Hz, 1 H), 3.59 (dd, *J* = 14.4, 3.1 Hz, 1 H), 2.14 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.2, 153.4, 144.2, 138.5, 136.1, 132.1, 132.0, 130.3, 130.0, 129.5, 128.7, 128.3, 128.2, 127.4, 125.6, 123.4, 118.4, 113.9, 59.3, 44.6, 42.0, 21.3; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{26}H_{25}N_2O_3S$: 445.1580; found: 445.1586.

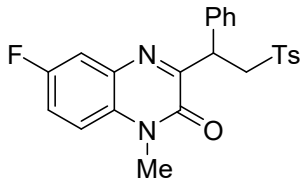


3-(1-phenyl-2-tosylethyl)-1-(prop-2-yn-1-yl)quinoxalin-2(1*H*)-one (5c): White solid, mp 172-174°C, 83.5 mg, 63% yield. R_f = 0.32 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 – 7.63 (m, 3 H), 7.53 – 7.48 (m, 1 H), 7.38 – 7.30 (m, 4 H), 7.25 – 7.13 (m, 3 H), 7.05 – 6.98 (m, 2 H), 5.28 (dd, *J* = 10.5, 2.7 Hz, 1 H), 5.10 (dd, *J* = 17.4, 2.5 Hz, 1 H), 4.80 – 4.64 (m, 2 H), 3.55 (dd, *J* = 14.3, 2.8 Hz, 1 H), 2.34 (t, *J* = 2.5 Hz, 1 H), 2.04 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.9, 152.7, 144.3, 138.3, 135.9, 132.0, 131.1, 130.2, 129.9, 129.5, 128.7, 128.2, 128.1, 127.5, 123.7, 113.9, 76.5, 73.3, 59.2, 42.0, 31.4, 21.2; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{26}H_{23}N_2O_3S$: 443.1424; found: 443.1421.

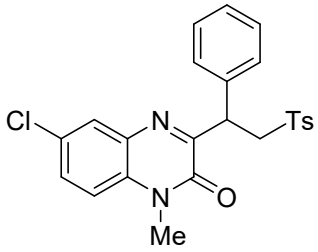


5-chloro-1-methyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5d): White solid, mp 156-158°C, 100.3 mg, 74% yield. R_f = 0.35 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70 (d, *J* = 8.2 Hz, 2 H), 7.41 – 7.34 (m, 4 H), 7.25 – 7.17 (m, 3 H), 7.10 (dd, *J* = 5.9, 3.8 Hz, 1 H),

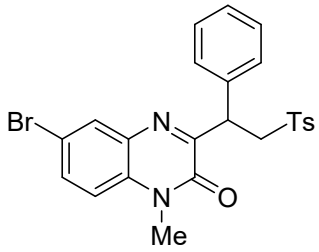
7.05 (d, *J* = 8.2 Hz, 2 H), 5.29 (dd, *J* = 10.8, 2.5 Hz, 1 H), 4.87 (dd, *J* = 14.2, 10.8 Hz, 1 H), 3.58 – 3.52 (m, 4 H), 2.11 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.5, 153.4, 144.2, 138.1, 136.1, 134.8, 134.3, 130.1, 129.3, 128.8, 128.6, 128.4, 128.3, 127.6, 124.4, 112.2, 59.3, 42.1, 29.5, 21.3; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{26}H_{23}N_2O_3S$: 443.1424; found: 443.1421; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{24}H_{22}ClN_2O_3S$: 453.1034; found: 453.1035.



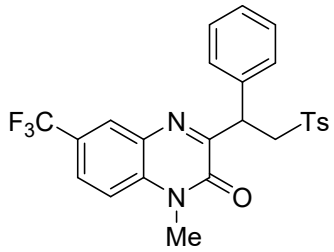
6-fluoro-1-methyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5e): White solid, mp 165-167°C, 94.2 mg, 72% yield. R_f = 0.35 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.67 (d, *J* = 8.2 Hz, 2 H), 7.42 (dd, *J* = 8.6, 2.9 Hz, 1 H), 7.33 – 7.29 (m, 2 H), 7.25 – 7.13 (m, 5 H), 7.09 (d, *J* = 8.1 Hz, 2 H), 5.26 (dd, *J* = 10.5, 3.0 Hz, 1 H), 4.72 (dd, *J* = 14.3, 10.5 Hz, 1 H), 3.60 – 3.53 (m, 4 H), 2.20 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.9, 158.4 (d, $J_{C\text{-}F}$ = 242.0 Hz), 153.4, 144.3, 138.1, 136.1, 132.4 (d, $J_{C\text{-}F}$ = 11.0 Hz), 129.5, 129.5, 129.4, 128.7, 128.2, 128.2, 117.8 (d, $J_{C\text{-}F}$ = 24.0 Hz), 115.2 (d, $J_{C\text{-}F}$ = 22.0 Hz), 114.5 (d, $J_{C\text{-}F}$ = 9.0 Hz), 59.1, 42.0, 29.3, 21.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -118.90. The compound spectra data is in accord with the previous literature.[6]



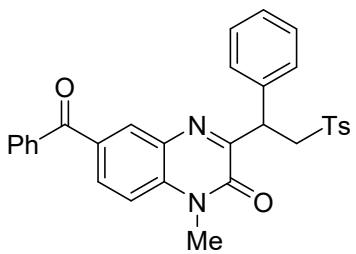
6-chloro-1-methyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5f): White solid, mp 163-165°C, 86.8 mg, 64% yield. R_f = 0.35 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, *J* = 2.4 Hz, 1 H), 7.68 (d, *J* = 8.3 Hz, 2 H), 7.46 (dd, *J* = 8.9, 2.4 Hz, 1 H), 7.33 – 7.29 (m, 2 H), 7.24 – 7.17 (m, 3 H), 7.13 (t, *J* = 8.4 Hz, 3 H), 5.26 (dd, *J* = 10.5, 3.0 Hz, 1 H), 4.72 (dd, *J* = 14.3, 10.6 Hz, 1 H), 3.61 – 3.54 (m, 4 H), 2.23 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.8, 153.5, 144.4, 138.1, 136.3, 132.5, 131.6, 130.1, 129.5, 129.3, 128.8, 128.8, 128.3, 128.2, 127.6, 114.6, 59.2, 42.1, 29.3, 21.4. The compound spectra data is in accord with the previous literature.[6]



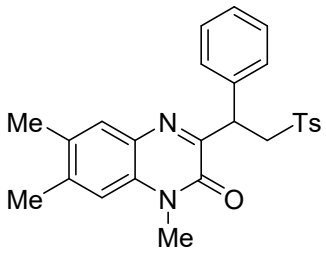
6-bromo-1-methyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5g): White solid, mp 171-173°C, 93.7 mg, 63% yield. R_f = 0.35 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (d, *J* = 2.3 Hz, 1 H), 7.68 (d, *J* = 8.2 Hz, 2 H), 7.59 (dd, *J* = 8.9, 2.3 Hz, 1 H), 7.33 – 7.29 (m, 2 H), 7.25 – 7.18 (m, 3 H), 7.10 (dd, *J* = 14.9, 8.5 Hz, 3 H), 5.26 (dd, *J* = 10.6, 2.9 Hz, 1 H), 4.72 (dd, *J* = 14.3, 10.6 Hz, 1 H), 3.61 – 3.54 (m, 4 H), 2.24 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.8, 153.5, 144.4, 138.0, 136.3, 132.9, 132.8, 132.3, 132.1, 129.5, 128.8, 128.3, 128.2, 127.6, 116.0, 114.9, 59.2, 42.1, 29.3, 21.4. The compound spectra data is in accord with the previous literature.[6]



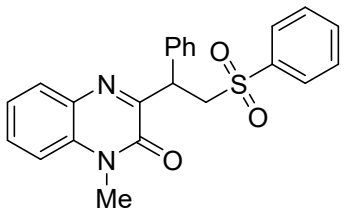
1-methyl-3-(1-phenyl-2-tosylethyl)-6-(trifluoromethyl)quinoxalin-2(1*H*)-one (5h): White solid, mp 164-166°C, 103.85 mg, 71% yield. R_f = 0.33 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (d, *J* = 1.6 Hz, 1 H), 7.72 – 7.67 (m, 1 H), 7.46 (d, *J* = 8.3 Hz, 2 H), 7.32 (d, *J* = 8.8 Hz, 1 H), 7.27 – 7.26 (m, 1 H), 7.26 – 7.20 (m, 4 H), 7.16 (d, *J* = 8.1 Hz, 2 H), 5.23 (dd, *J* = 9.2, 5.4 Hz, 1 H), 3.98 (dd, *J* = 17.7, 5.9 Hz, 1 H), 3.79 (dd, *J* = 17.2, 9.2 Hz, 1 H), 3.65 (s, 3 H), 2.35 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.7, 154.3, 144.6, 133.8, 132.2, 130.2 (q, $J_{C\text{-}F}$ = 272.0 Hz), 130.1, 129.5, 129.3, 129.2, 128.7, 128.6 (q, $J_{C\text{-}F}$ = 32.0 Hz), 128.3, 128.2, 127.1 (q, $J_{C\text{-}F}$ = 4.0 Hz), 126.4 (q, $J_{C\text{-}F}$ = 4.0 Hz), 114.3, 67.5, 32.6, 29.3, 21.5; ^{19}F NMR (376 MHz, $CDCl_3$) δ -61.92. The compound spectra data is in accord with the previous literature.[6]



6-benzoyl-1-methyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5i): White solid, mp 183-185°C, 106.5 mg, 68% yield. R_f = 0.32 (petroleum ether/ethyl acetate = 2:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 (d, *J* = 2.0 Hz, 1 H), 8.05 (dd, *J* = 8.7, 2.0 Hz, 1 H), 7.87 – 7.82 (m, 2 H), 7.68 (dd, *J* = 15.2, 7.8 Hz, 3 H), 7.57 (t, *J* = 7.6 Hz, 2 H), 7.35 – 7.30 (m, 3 H), 7.24 – 7.12 (m, 5 H), 5.27 (dd, *J* = 10.4, 3.0 Hz, 1 H), 4.73 (dd, *J* = 14.3, 10.4 Hz, 1 H), 3.65 (s, 3 H), 3.58 (dd, *J* = 14.3, 3.1 Hz, 1 H), 2.26 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.9, 158.8, 144.4, 138.0, 137.3, 136.2, 136.0, 132.7, 132.6, 132.5, 131.5, 131.2, 130.1, 129.9, 129.5, 128.8, 128.5, 128.3, 128.3, 127.6, 113.7, 59.2, 42.0, 29.5, 21.5; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{31}H_{27}N_2O_4S$: 523.1686; found: 523.1692.

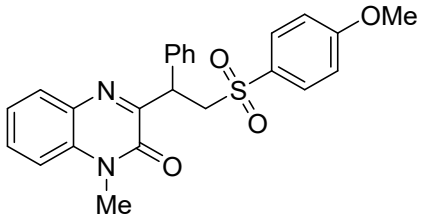


1,6,7-trimethyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5j): White solid, mp 147-149°C, 82.9 mg, 62% yield. R_f = 0.31 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz,) δ 7.66 (d, *J* = 8.3 Hz, 2 H), 7.49 (s, 1 H), 7.34 – 7.30 (m, 2 H), 7.18 (dt, *J* = 14.3, 7.0 Hz, 3 H), 7.06 (d, *J* = 8.1 Hz, 2 H), 6.95 (s, 1 H), 5.22 (dd, *J* = 10.1, 3.3 Hz, 1 H), 4.74 (dd, *J* = 14.3, 10.1 Hz, 1 H), 3.59 (dd, *J* = 14.3, 3.4 Hz, 1 H), 3.53 (s, 3 H), 2.38 (s, 3 H), 2.35 (s, 3 H), 2.18 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.9, 153.8, 144.0, 140.0, 138.7, 136.2, 132.3, 130.9, 130.5, 130.0, 129.3, 128.6, 128.3, 128.2, 127.3, 113.9, 59.3, 42.0, 28.9, 21.3, 20.5, 19.1. The compound spectra data is in accord with the previous literature.[6]

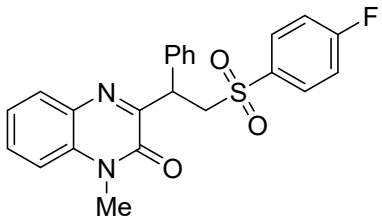


1-methyl-3-(1-phenyl-2-(phenylsulfonyl)ethyl)quinoxalin-2(1*H*)-one (5k): White solid, mp 158-160°C, 86.1 mg, 71% yield. R_f = 0.35 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 – 7.79 (m, 2 H), 7.77 (dd, *J* = 8.0, 1.3 Hz, 1 H), 7.55 – 7.48 (m, 1 H), 7.39 – 7.29 (m, 6 H), 7.23 – 7.13 (m, 4 H), 5.28 (dd, *J* = 9.8, 3.6 Hz, 1 H), 4.79 (dd, *J* = 14.3, 10.0 Hz, 1 H), 3.65 (dd, *J* = 14.4, 3.5 Hz, 1 H), 3.57 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.3, 153.8, 139.2, 138.3, 133.1, 132.9,

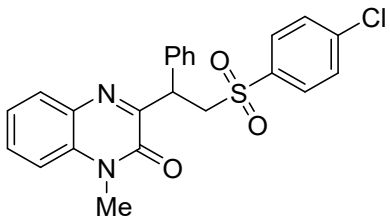
132.0, 130.3, 130.0, 128.8, 128.7, 128.3, 127.5, 123.5, 113.5, 59.2, 42.0, 29.1. The compound spectra data is in accord with the previous literature.[8]



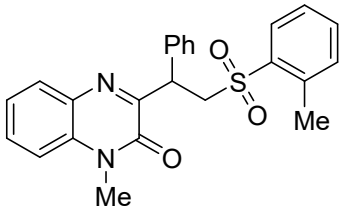
3-(2-((4-methoxyphenyl)sulfonyl)-1-phenylethyl)-1-methylquinoxalin-2(1*H*)-one (5l): White solid, mp 157-159°C, 96.3 mg, 74% yield. R_f = 0.27 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 7.8 Hz, 1 H), 7.70 (d, *J* = 8.8 Hz, 2 H), 7.50 (t, *J* = 8.2 Hz, 1 H), 7.36 – 7.29 (m, 3 H), 7.24 – 7.15 (m, 4 H), 6.68 (d, *J* = 8.8 Hz, 2 H), 5.24 (dd, *J* = 10.3, 2.9 Hz, 1 H), 4.78 (dd, *J* = 14.2, 10.4 Hz, 1 H), 3.62 (s, 3 H), 3.59 (dd, *J* = 14.4, 3.5 Hz, 1 H), 3.55 (s, 3 H); Unknown NMR (101 MHz,) δ 163.1, 157.3, 153.7, 138.5, 132.8, 132.0, 130.5, 130.4, 130.1, 129.9, 128.7, 128.2, 127.4, 123.5, 113.8, 113.4, 59.5, 55.4, 42.1, 29.0. The compound spectra data is in accord with the previous literature.[6]



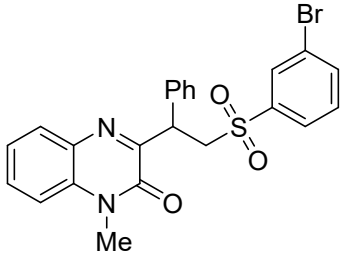
3-(2-((4-fluorophenyl)sulfonyl)-1-phenylethyl)-1-methylquinoxalin-2(1*H*)-one (5m): White solid, mp 146-148°C, 86.1 mg, 68% yield. R_f = 0.35 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 – 7.75 (m, 3 H), 7.53 (t, *J* = 7.8 Hz, 1 H), 7.36 – 7.30 (m, 3 H), 7.24 – 7.16 (m, 4 H), 6.96 (t, *J* = 8.5 Hz, 2 H), 5.25 (dd, *J* = 9.8, 3.7 Hz, 1 H), 4.75 (dd, *J* = 14.4, 9.8 Hz, 1 H), 3.67 (dd, *J* = 14.4, 3.5 Hz, 1 H), 3.58 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.4 (d, $J_{C\text{-}F}$ = 255.0 Hz), 157.3, 153.8, 138.1, 135.2 (d, $J_{C\text{-}F}$ =3.0 Hz), 132.9, 132.0, 131.1 (d, $J_{C\text{-}F}$ =10.0 Hz), 130.4, 129.9, 128.8, 128.3, 127.6, 123.7, 116.0 (d, $J_{C\text{-}F}$ =22.0 Hz), 113.6, 59.4, 42.1, 29.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ -104.0. The compound spectra data is in accord with the previous literature.[8]



3-(2-((4-chlorophenyl)sulfonyl)-1-phenylethyl)-1-methylquinoxalin-2(1*H*)-one (5n): White solid, mp 156-158°C, 94.6 mg, 72% yield. R_f = 0.35 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (dd, *J* = 8.0, 1.4 Hz, 1 H), 7.71 (d, *J* = 8.6 Hz, 2 H), 7.56 – 7.51 (m, 1 H), 7.37 – 7.31 (m, 3 H), 7.25 – 7.16 (m, 6 H), 5.24 (dd, *J* = 10.1, 3.5 Hz, 1 H), 4.77 (dd, *J* = 14.4, 10.1 Hz, 1 H), 3.66 (dd, *J* = 14.4, 3.5 Hz, 1 H), 3.58 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.1, 153.7, 139.9, 138.1, 137.6, 132.9, 132.0, 130.5, 129.8, 129.0, 128.8, 128.3, 127.6, 123.7, 113.6, 59.4, 42.1, 29.1. The compound spectra data is in accord with the previous literature.[8]

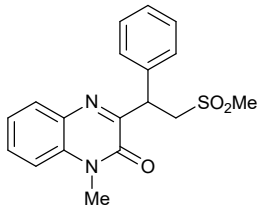


1-methyl-3-(1-phenyl-2-(o-tolylsulfonyl)ethyl)quinoxalin-2(1*H*)-one (5o): White solid, mp 147-149°C, 81.5 mg, 65% yield. R_f = 0.35 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 – 7.85 (m, 1 H), 7.76 (dd, *J* = 8.0, 1.4 Hz, 1 H), 7.53 – 7.48 (m, 1 H), 7.38 – 7.30 (m, 3 H), 7.25 – 7.11 (m, 6 H), 6.93 – 6.85 (m, 1 H), 5.28 (dd, *J* = 10.3, 2.9 Hz, 1 H), 4.88 (dd, *J* = 14.2, 10.3 Hz, 1 H), 3.61 (dd, *J* = 14.4, 3.5 Hz, 1 H), 3.51(s, 3 H), 2.67 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.4, 153.7, 138.5, 138.4, 137.0, 133.0, 132.9, 132.2, 131.9, 130.4, 130.2, 129.8, 128.7, 128.2, 127.5, 126.0, 123.5, 113.4, 58.2, 41.9, 29.0, 20.5; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{24}H_{23}N_2O_3S$: 419.1424; found: 419.1420.

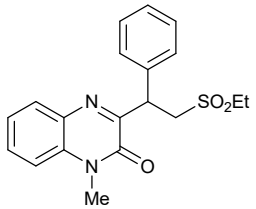


3-(2-((3-bromophenyl)sulfonyl)-1-phenylethyl)-1-methylquinoxalin-2(1*H*)-one (5p): White solid, mp 163-165°C, 107.0 mg, 74% yield. R_f = 0.35 (petroleum ether/ethyl acetate = 3:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 – 7.84 (m, 1 H), 7.72 (dd, *J* = 8.0, 1.4 Hz, 2 H), 7.55 – 7.50 (m, 1 H), 7.38 – 7.30 (m, 4 H), 7.25 – 7.10 (m, 5 H), 5.30 (dd, *J* = 9.9, 3.7 Hz, 1 H), 4.74 (dd, *J* = 14.4, 9.8 Hz, 1 H), 3.69 (dd, *J* = 14.4, 3.7 Hz, 1 H), 3.60 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.0, 153.7, 141.2, 137.9, 135.9, 132.9, 131.9, 131.1, 130.4, 130.2, 130.0, 128.8, 128.3, 127.7, 126.8, 123.6, 122.9, 113.5, 59.3,

42.1, 29.2. The compound spectra data is in accord with the previous literature.[6]



1-methyl-3-(2-(methylsulfonyl)-1-phenylethyl)quinoxalin-2(1H)-one (5r): White solid, mp 64-66°C, 26.7 mg, 26% yield. R_f = 0.32 (petroleum ether/ethyl acetate = 4:1).^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.92 (d, *J* = 7.9 Hz, 1 H), 7.58 (t, *J* = 7.7 Hz, 1 H), 7.51 (d, *J* = 7.4 Hz, 2H), 7.41 – 7.27 (m, 5H), 5.37 (t, *J* = 7.0 Hz, 1 H), 4.37 (dd, *J* = 14.7, 7.8 Hz, 1 H), 3.73 (dd, *J* = 14.8, 6.2 Hz, 1 H), 3.64 (s, 3 H), 2.66 (s, 3 H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 157.8, 153.8, 138.1, 133.3, 132.1, 130.5, 130.0, 129.0, 128.7, 127.9, 123.7, 113.7, 58.6, 42.6, 42.0, 29.2; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{18}H_{19}N_2O_3S$: 343.1111; found: 343.1115.



3-(2-(ethylsulfonyl)-1-phenylethyl)-1-methylquinoxalin-2(1H)-one (5s): White solid, mp 56-58°C, 24.5 mg, 23% yield. R_f = 0.33 (petroleum ether/ethyl acetate = 4:1).^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.90 (d, *J* = 7.9 Hz, 1 H), 7.55 (t, *J* = 7.8 Hz, 1 H), 7.48 (d, *J* = 7.6 Hz, 2 H), 7.36 (t, *J* = 7.5 Hz, 1 H), 7.33 – 7.22 (m, 4 H), 5.36 (t, *J* = 6.8 Hz, 1 H), 4.37 (dd, *J* = 14.6, 8.2 Hz, 1 H), 3.67 – 3.55 (m, 4 H), 2.80 – 2.68 (m, 2 H), 1.30 (t, *J* = 7.5 Hz, 3 H); ^{13}C NMR (100 MHz, Chloroform-*d*) δ 157.8, 153.8, 138.3, 133.3, 132.1, 130.4, 130.0, 128.9, 128.6, 127.8, 123.7, 113.7, 55.5, 48.2, 42.2, 29.2, 6.5; HRMS (ESI): m/z $[M+H]^+$ calcd for $C_{19}H_{21}N_2O_3S$: 357.1267; found: 357.1264.

4. References

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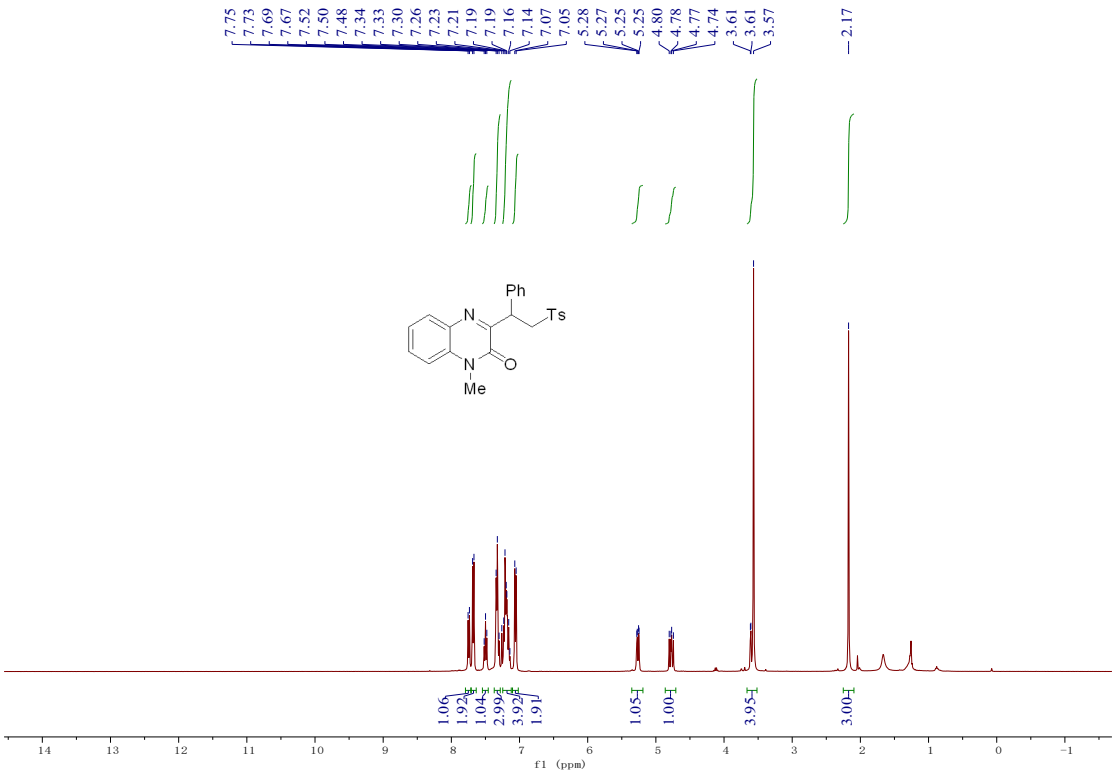
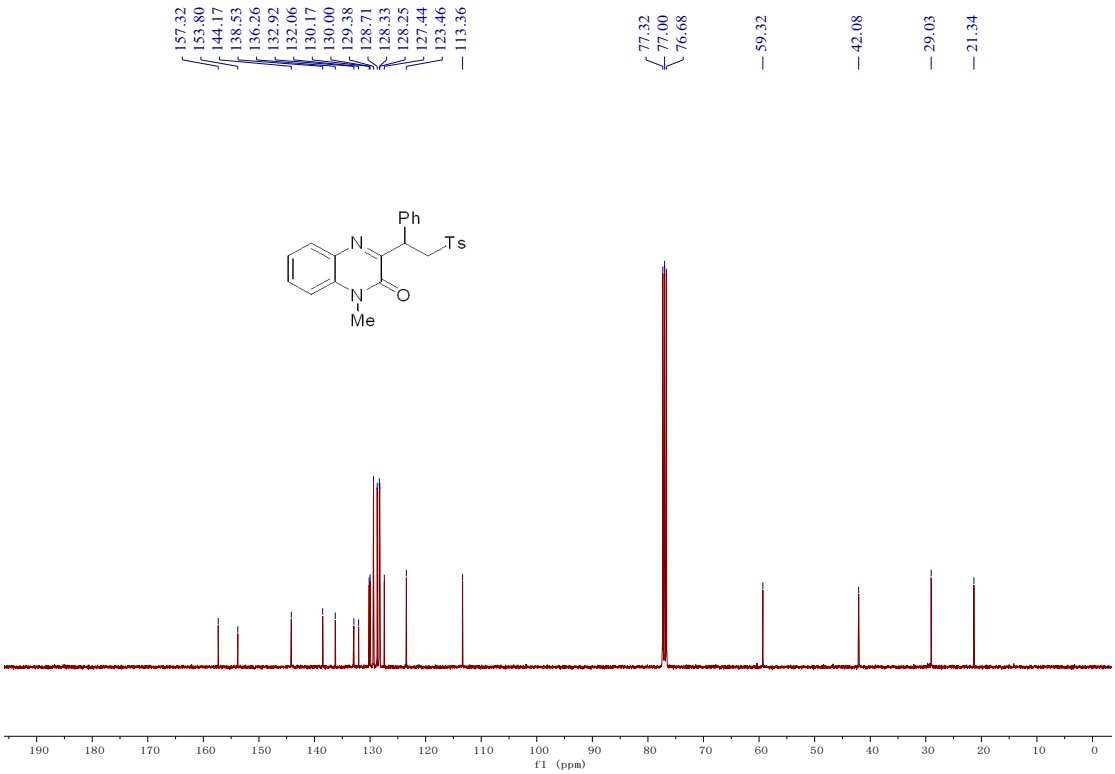
5. ^{1}H and ^{13}C NMR spectra of products

1-methyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (4a)

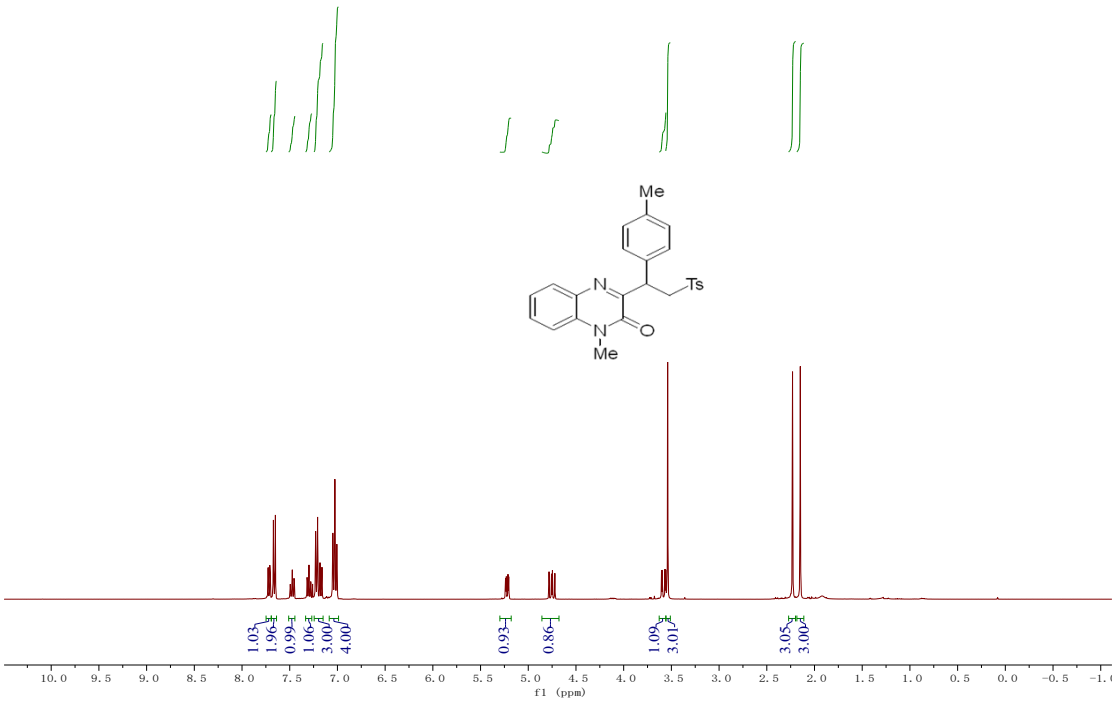


^{1}H spectra of **4a**

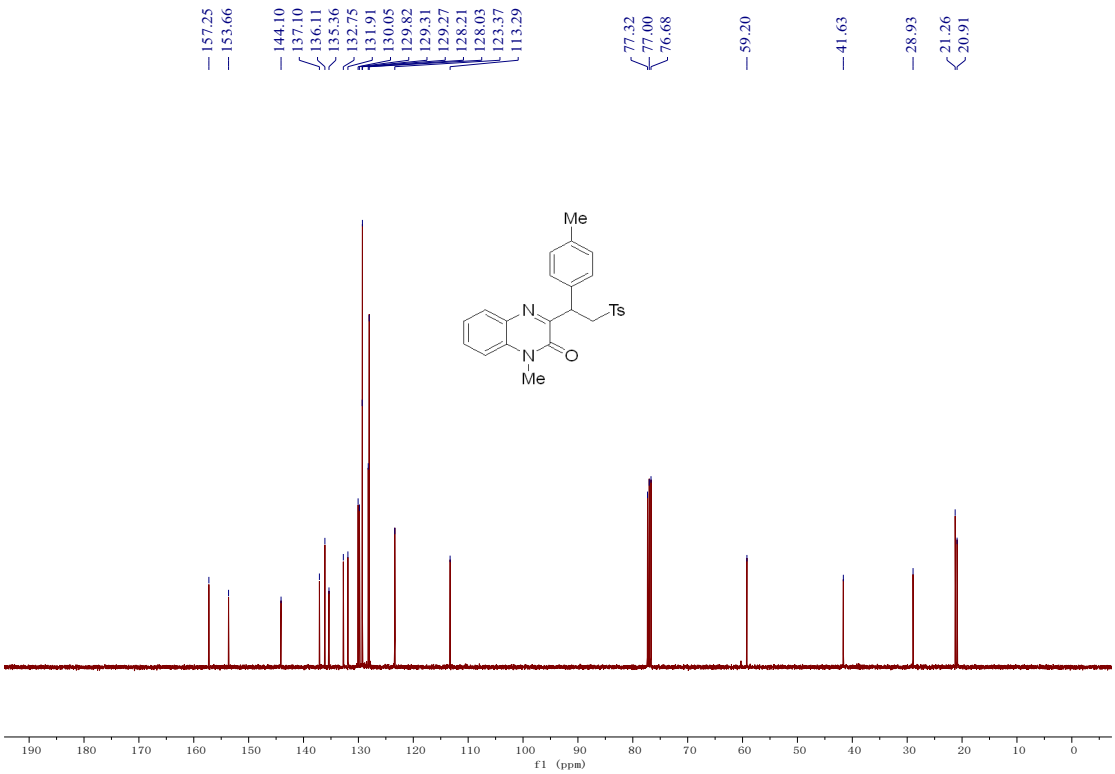


^{13}C spectra of **4a**

1-methyl-3-(1-(p-tolyl)-2-tosylethyl)quinoxalin-2(1*H*)-one (4b)

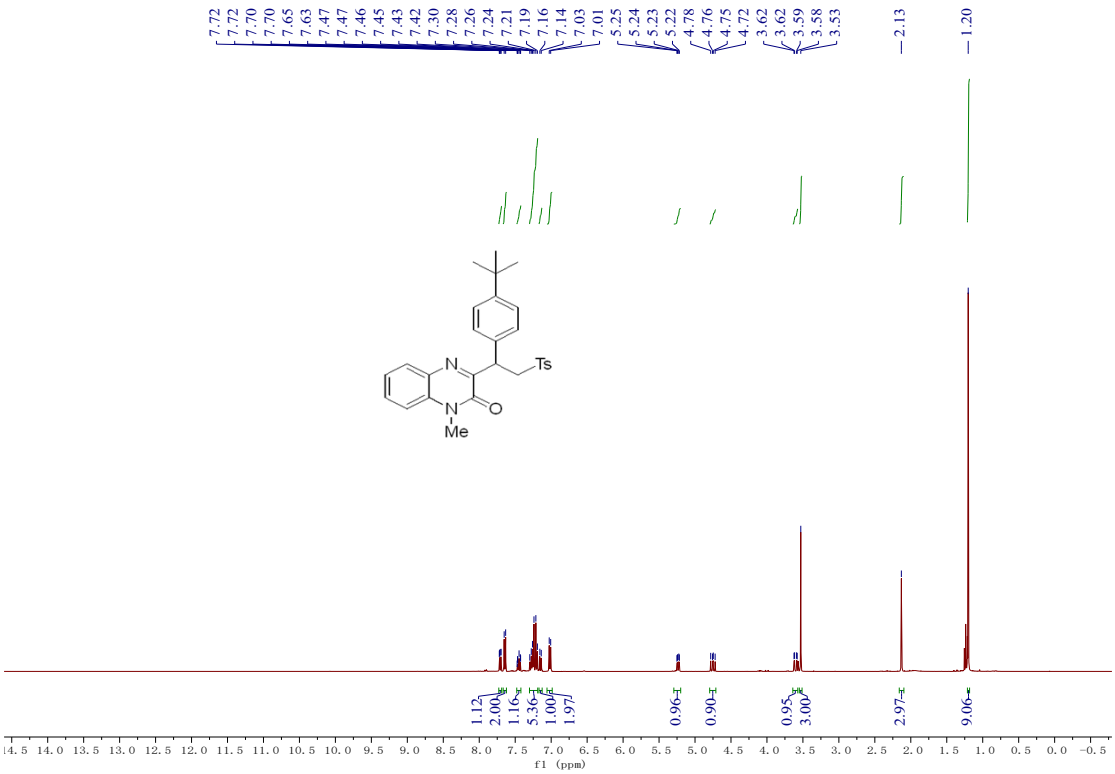


^{1}H spectra of **4b**

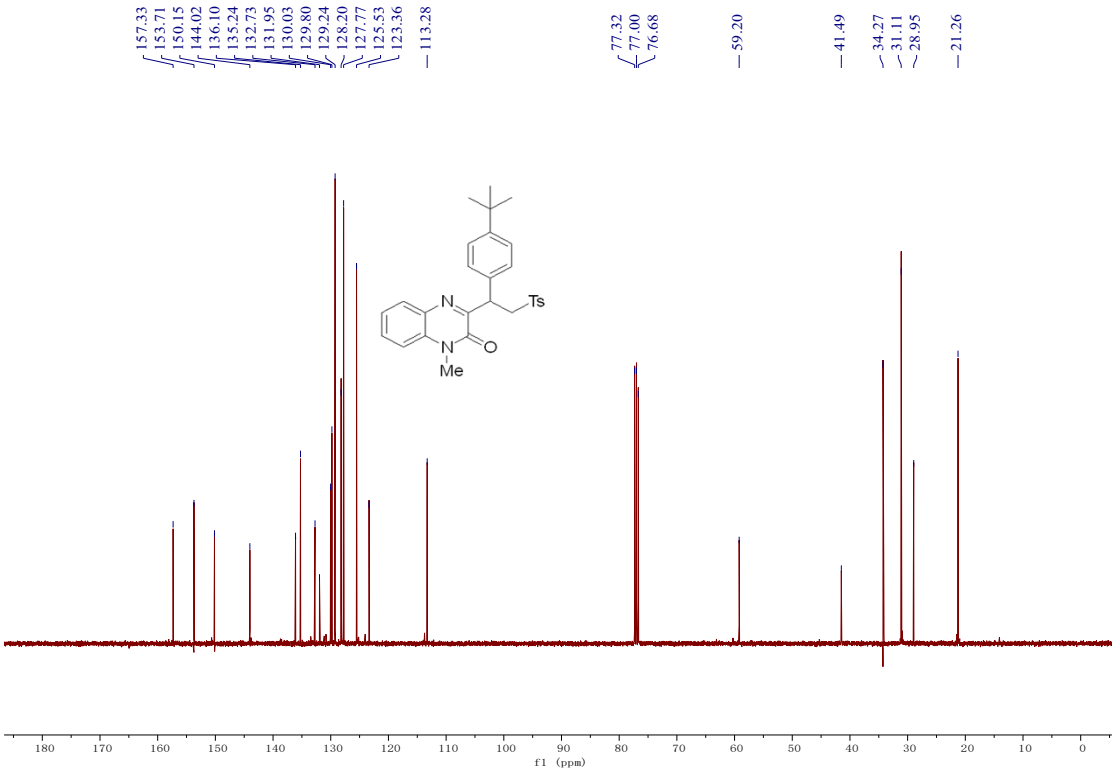


^{13}C spectra of **4b**

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

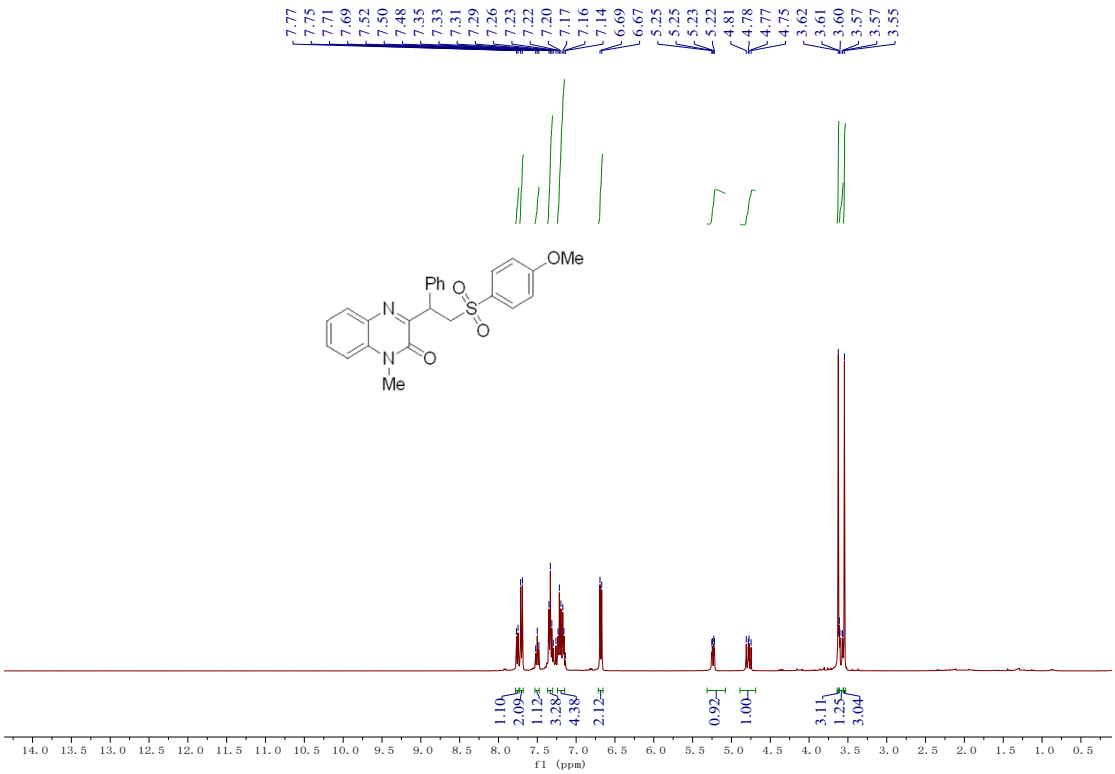


^{1}H spectra of **4c**

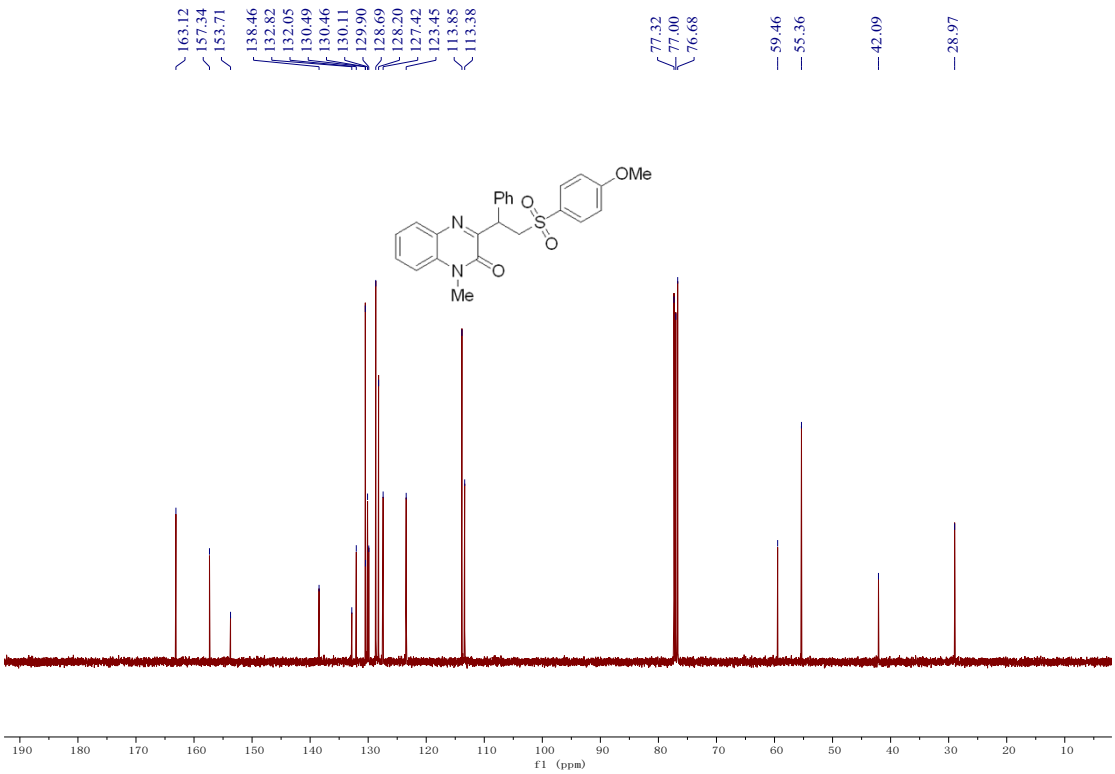


^{13}C spectra of **4c**

3-(1-(4-methoxyphenyl)-2-tosylethyl)-1-methylquinoxalin-2(1*H*)-one (4d)

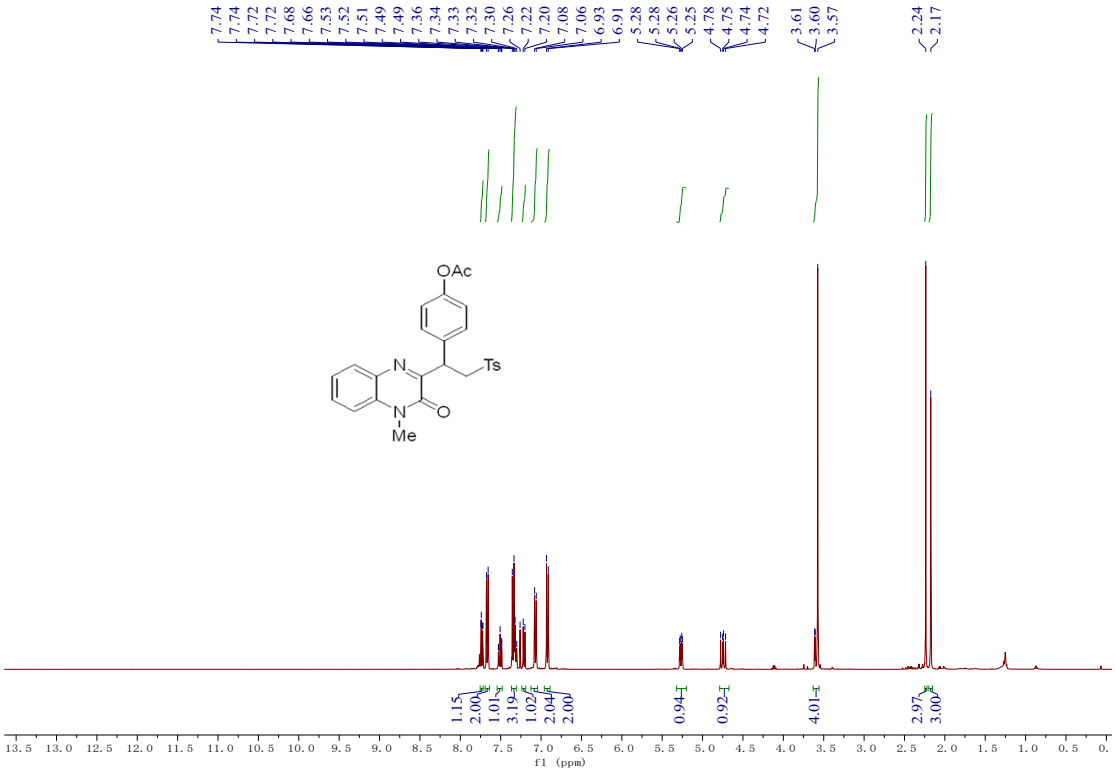


^{1}H spectra of **4d**

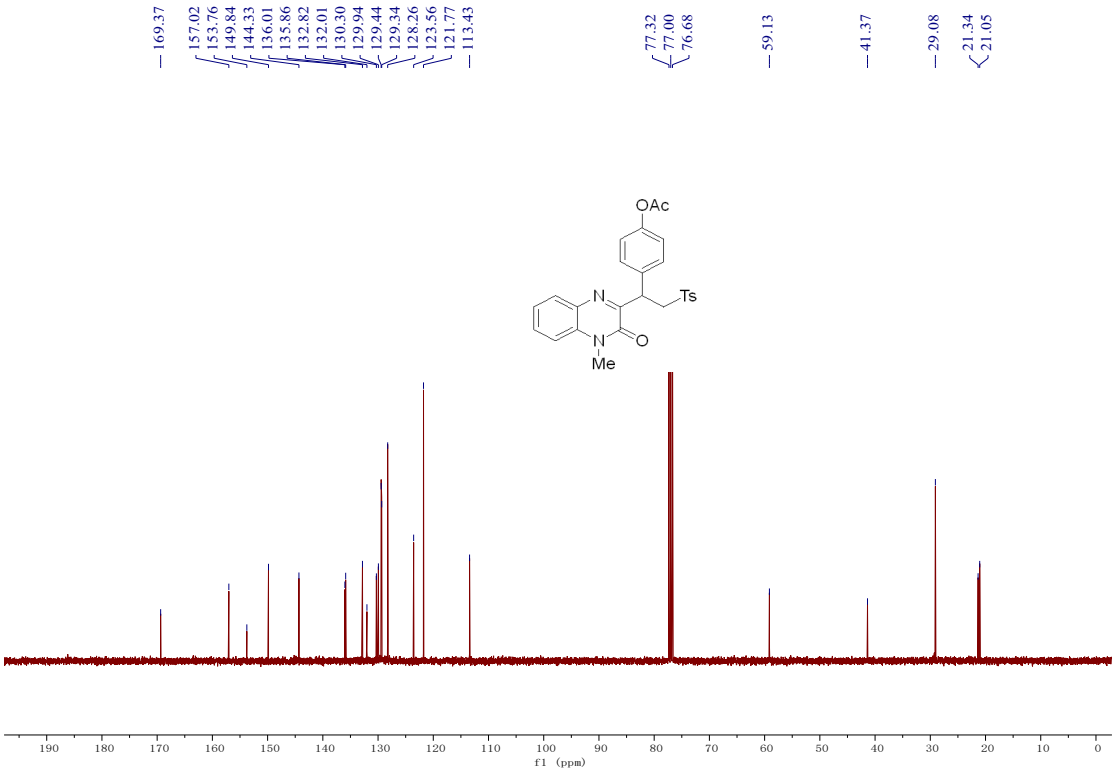


^{13}C spectra of **4d**

4-(1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-tosylethyl)phenyl acetate (4e)

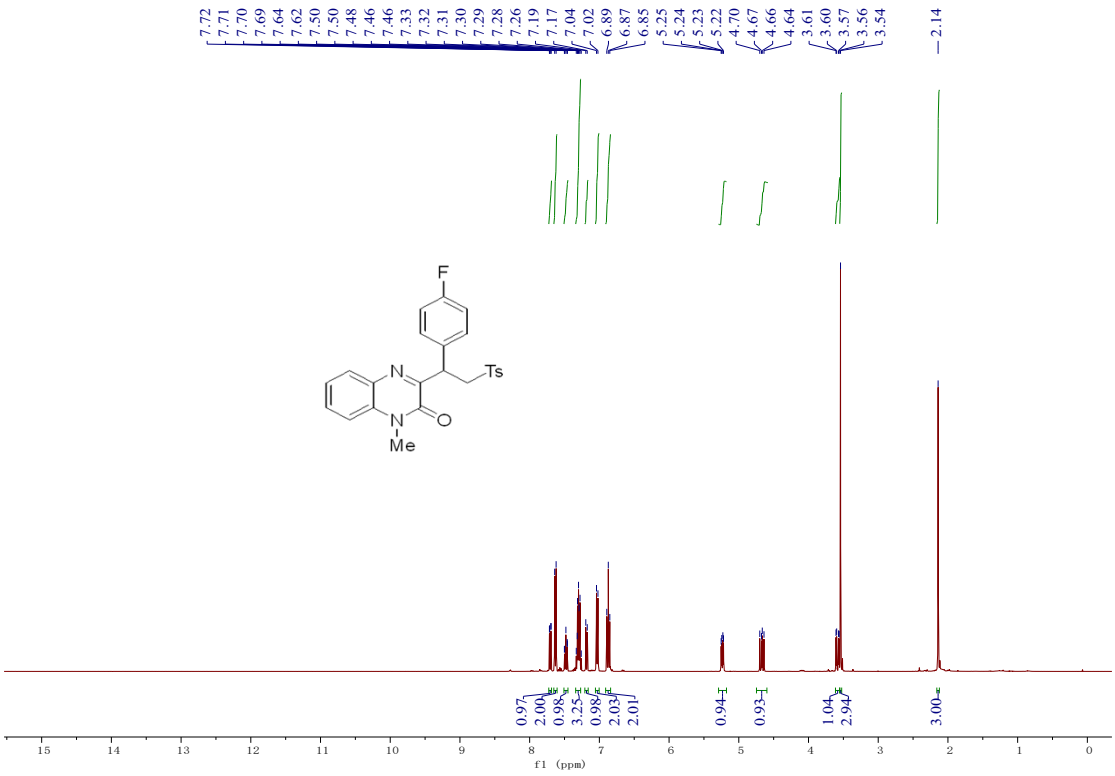


^{1}H spectra of **4e**

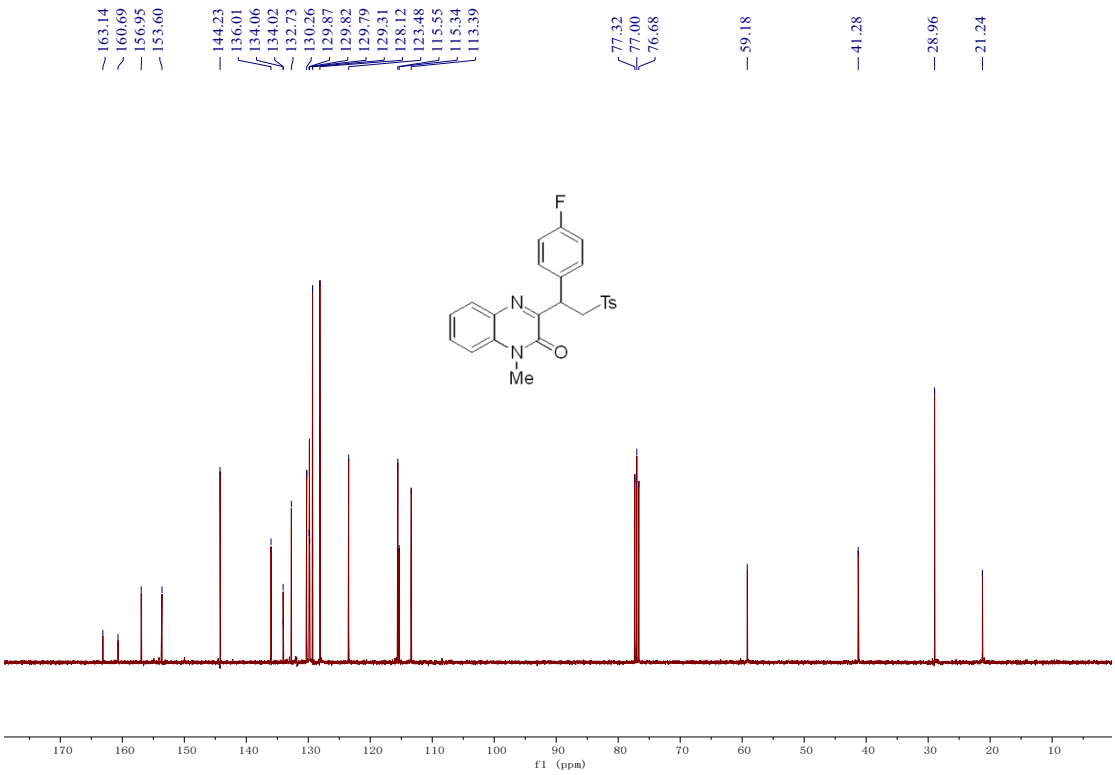


^{13}C spectra of **4e**

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



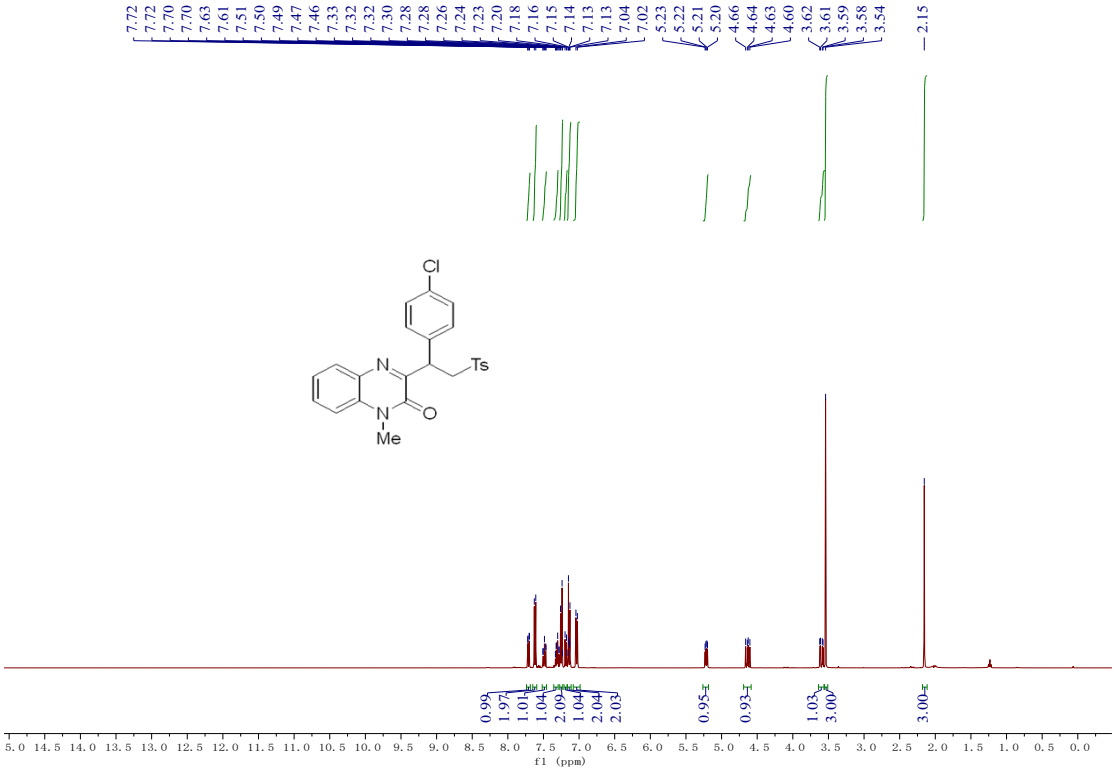
^{1}H spectra of **4f**



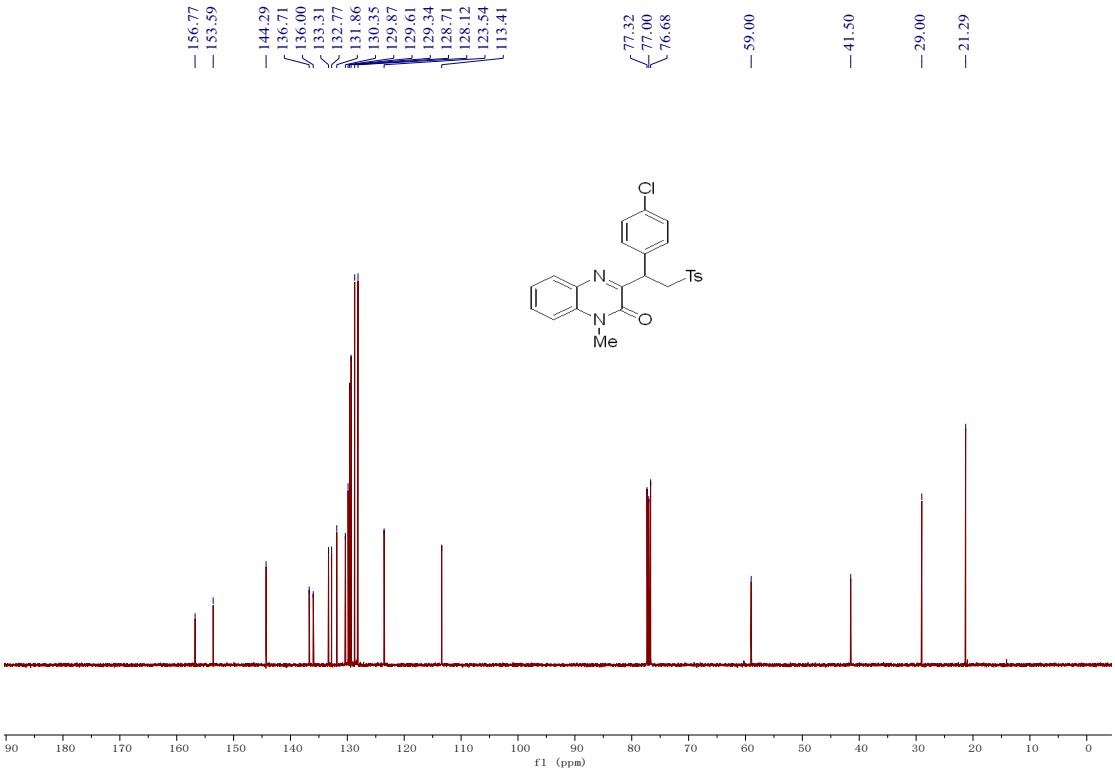
^{13}C spectra of **4f**

^{19}F spectra of **4f**

3-(1-(4-chlorophenyl)-2-tosylethyl)-1-methylquinoxalin-2(1*H*)-one (4g)

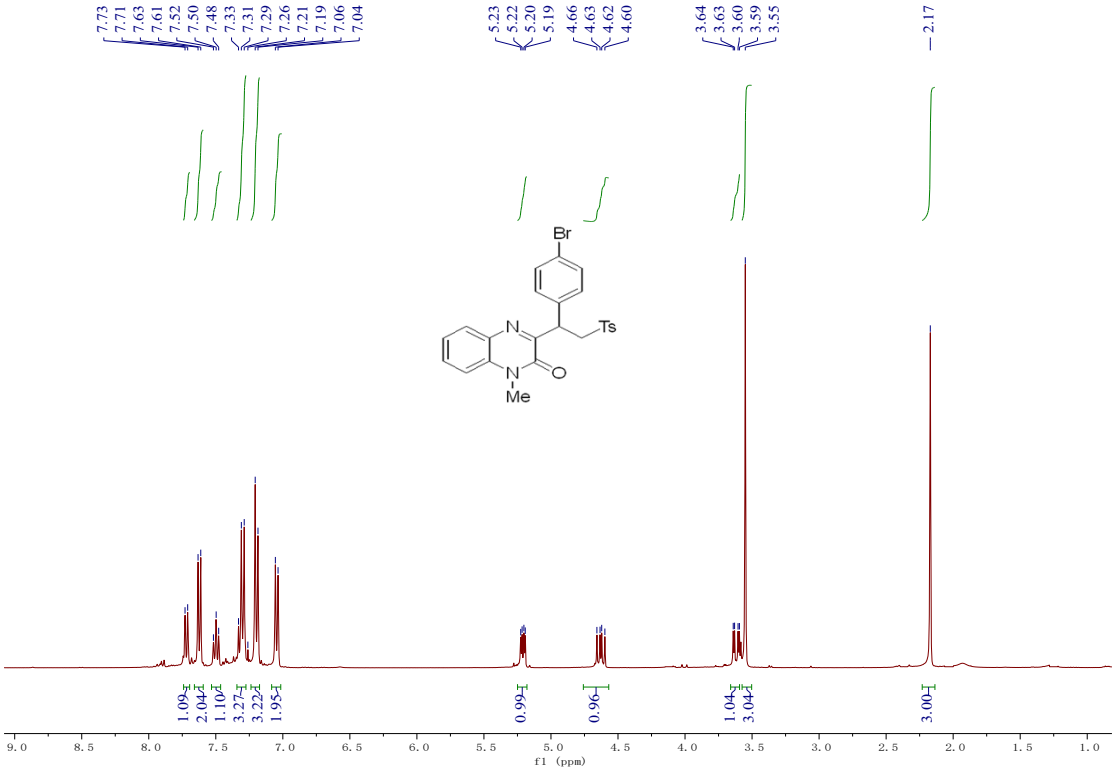


^{1}H spectra of **4g**

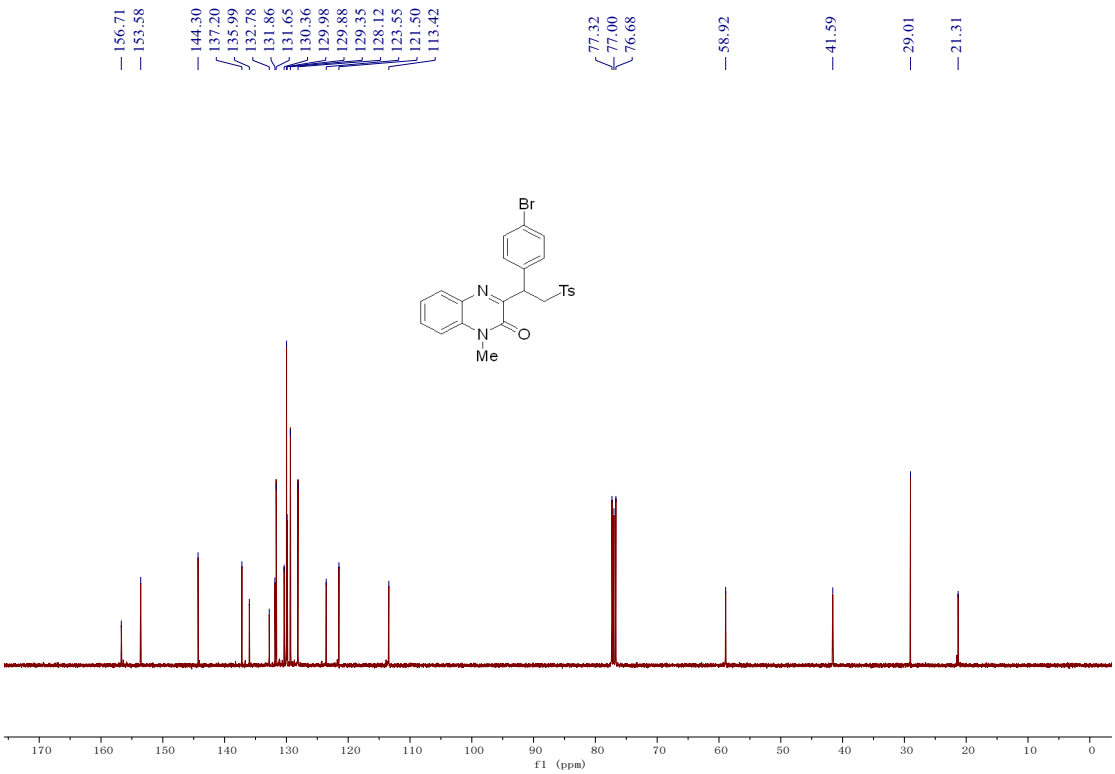


^{13}C spectra of **4g**

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

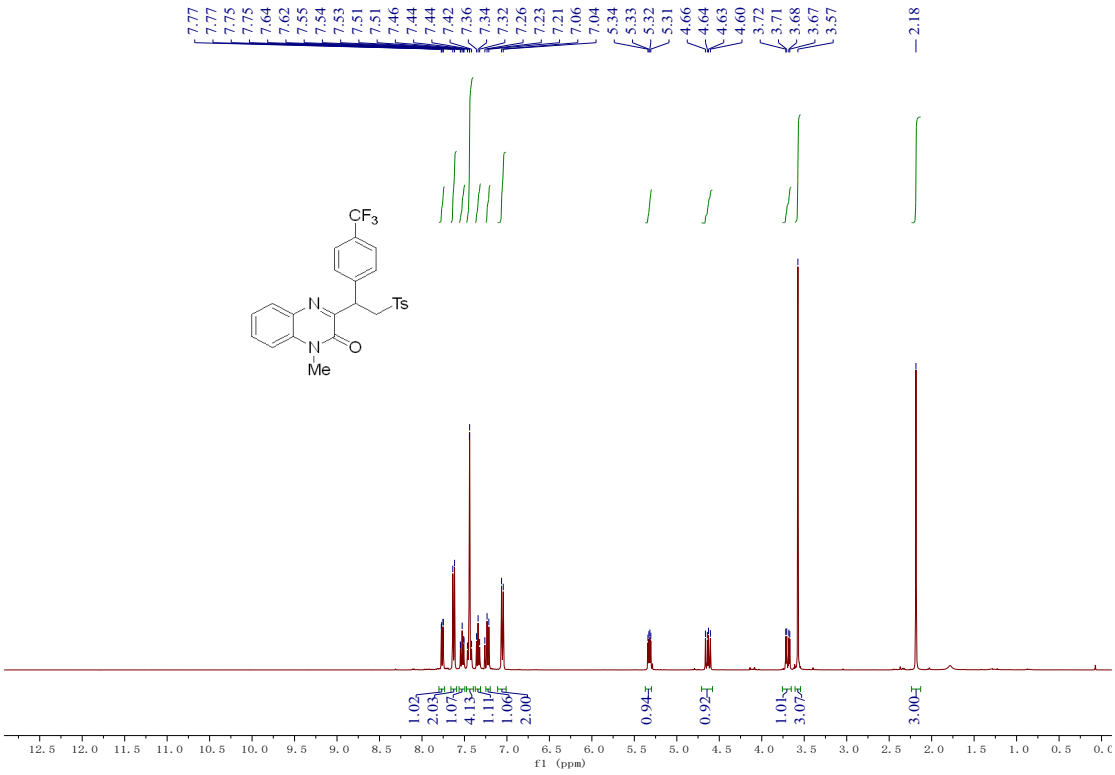


^{1}H spectra of **4h**

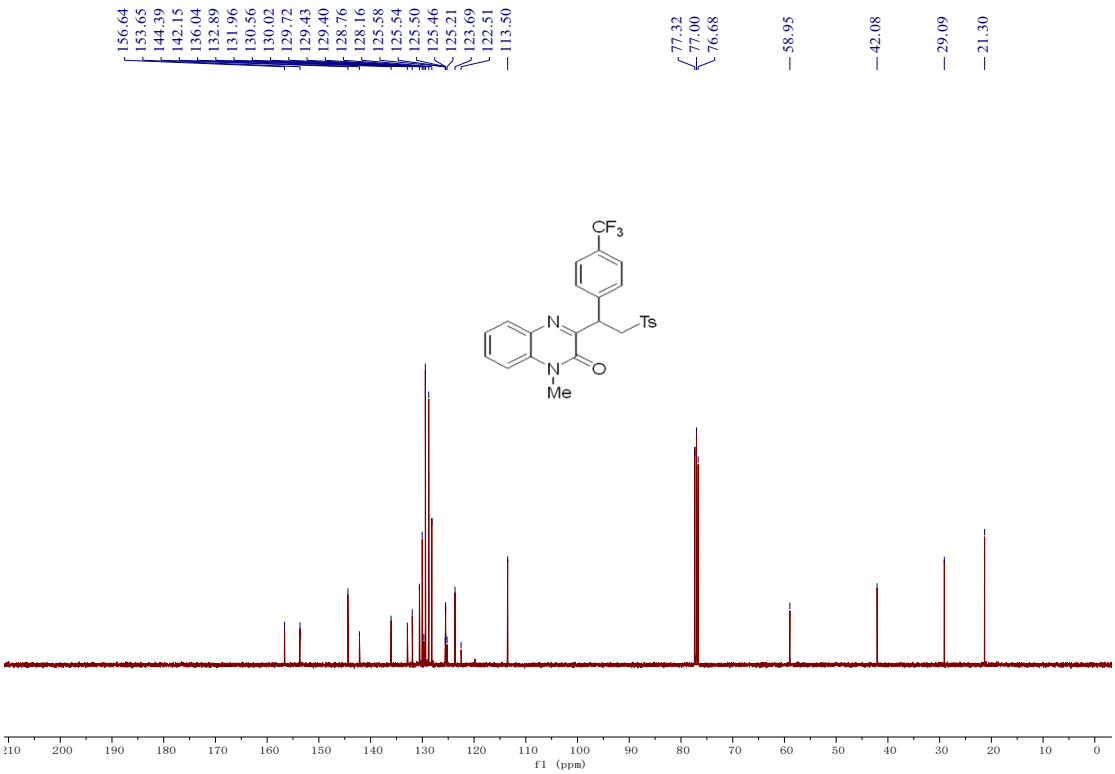


^{13}C spectra of **4h**

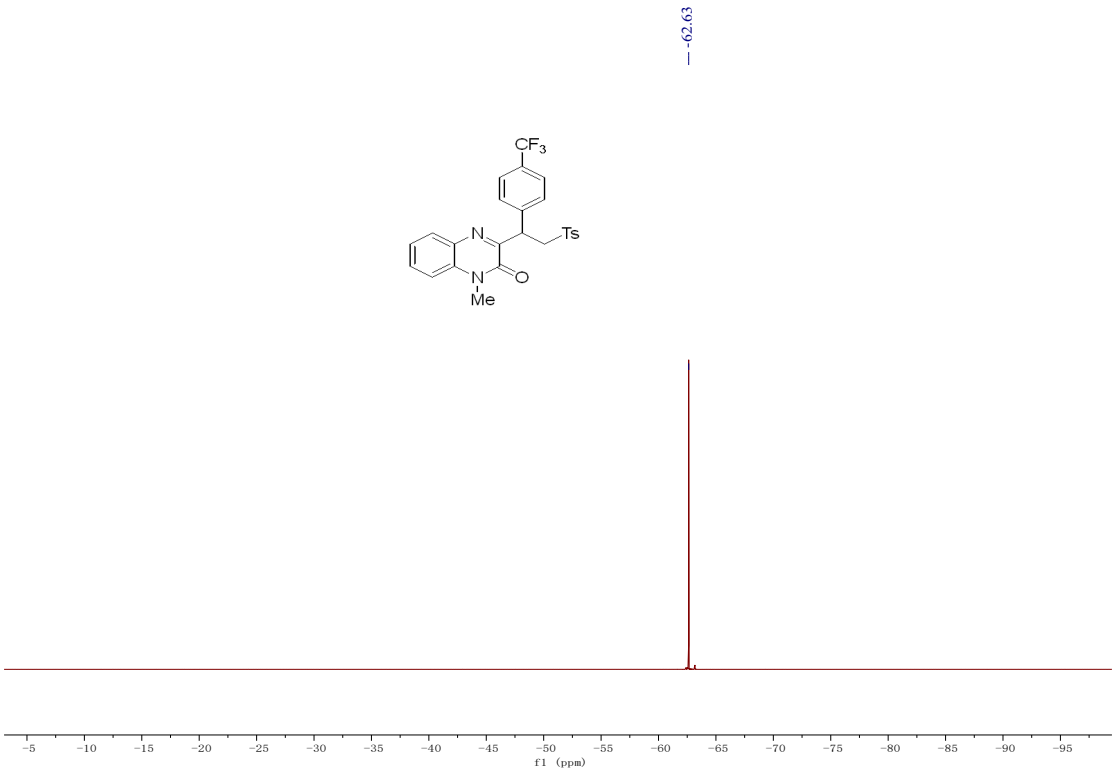
1-methyl-3-(2-tosyl-1-(4-(trifluoromethyl)phenyl)ethyl)quinoxalin-2(1*H*)-one (4i)



^{1}H spectra of **4i**

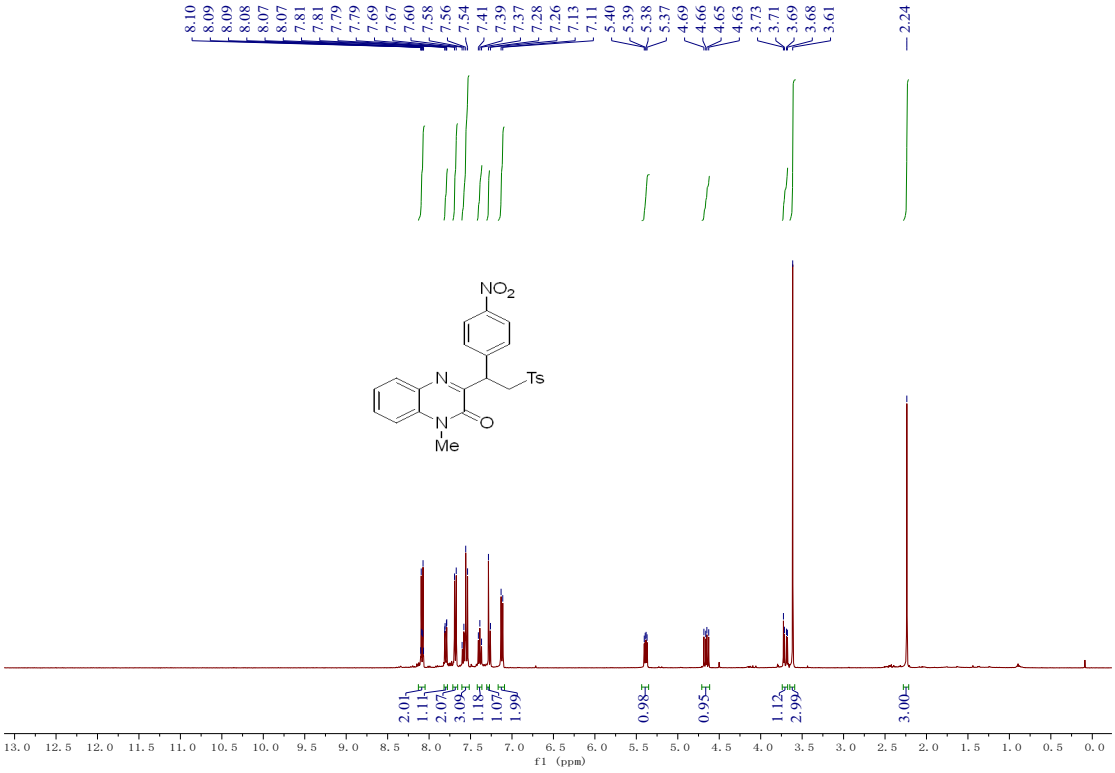


^{13}C spectra of **4i**

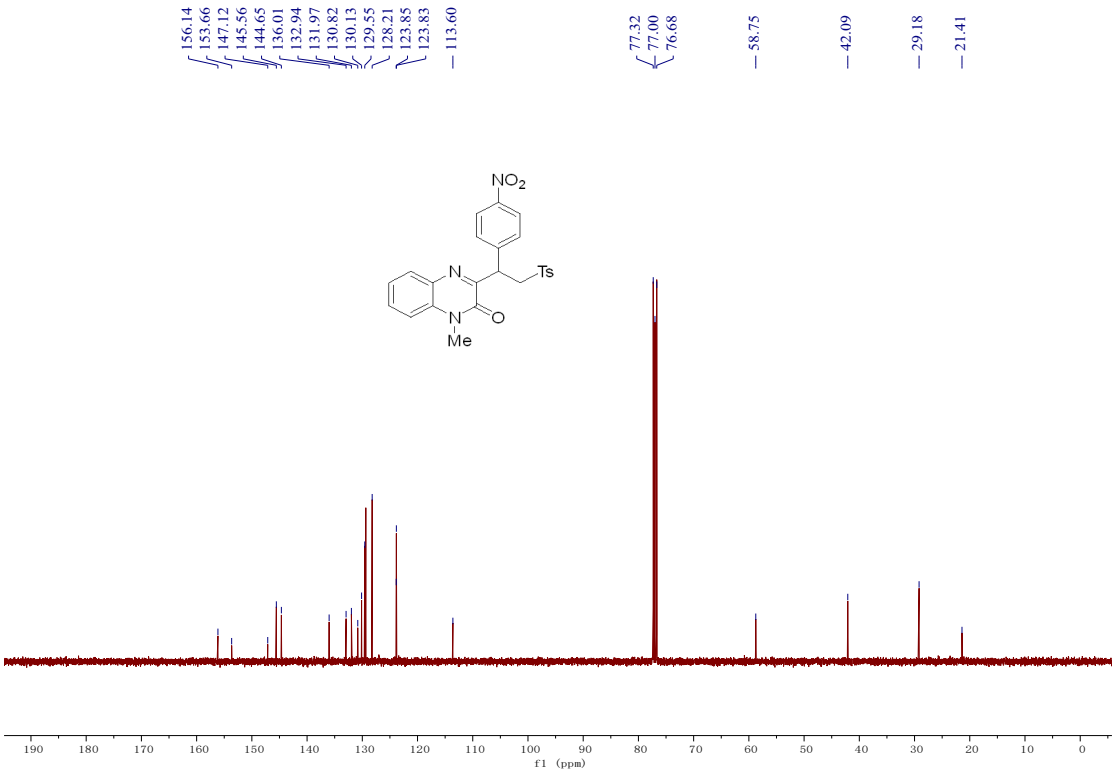


^{19}F spectra of **4i**

1-methyl-3-(1-(4-nitrophenyl)-2-tosylethyl)quinoxalin-2(1*H*)-one (4j)

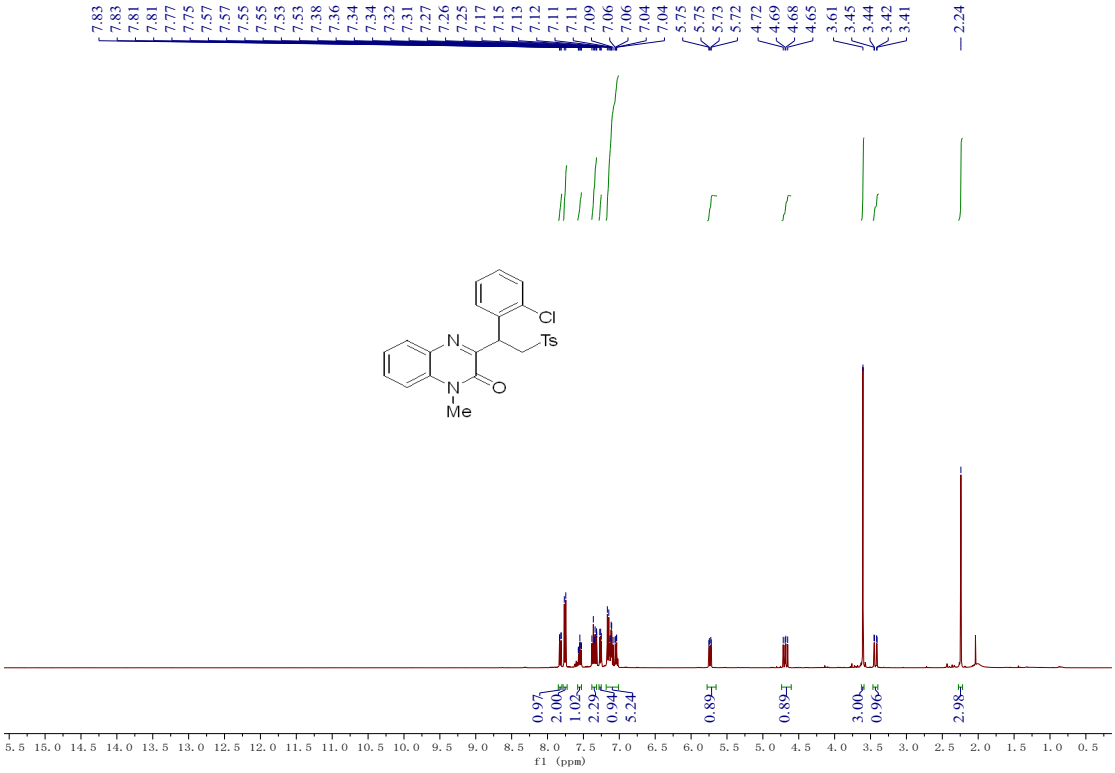


^{1}H spectra of **4j**

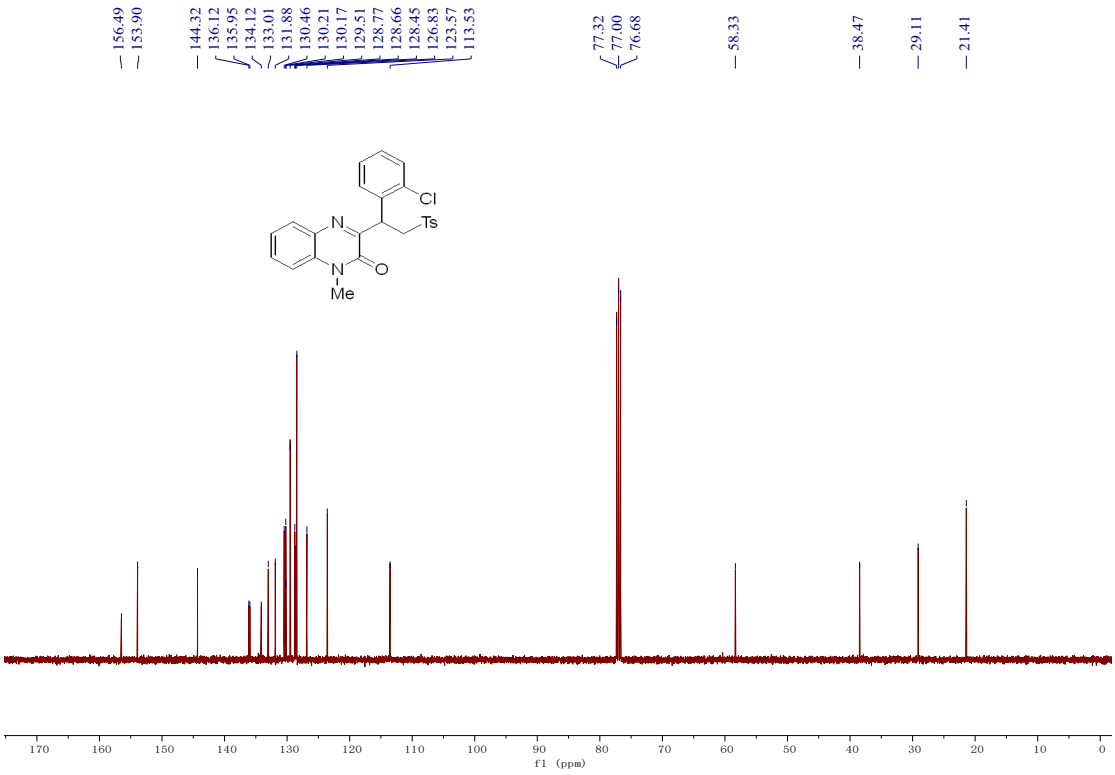


^{13}C spectra of **4j**

3-(1-(2-chlorophenyl)-2-tosylethyl)-1-methylquinoxalin-2(1*H*)-one (4k)

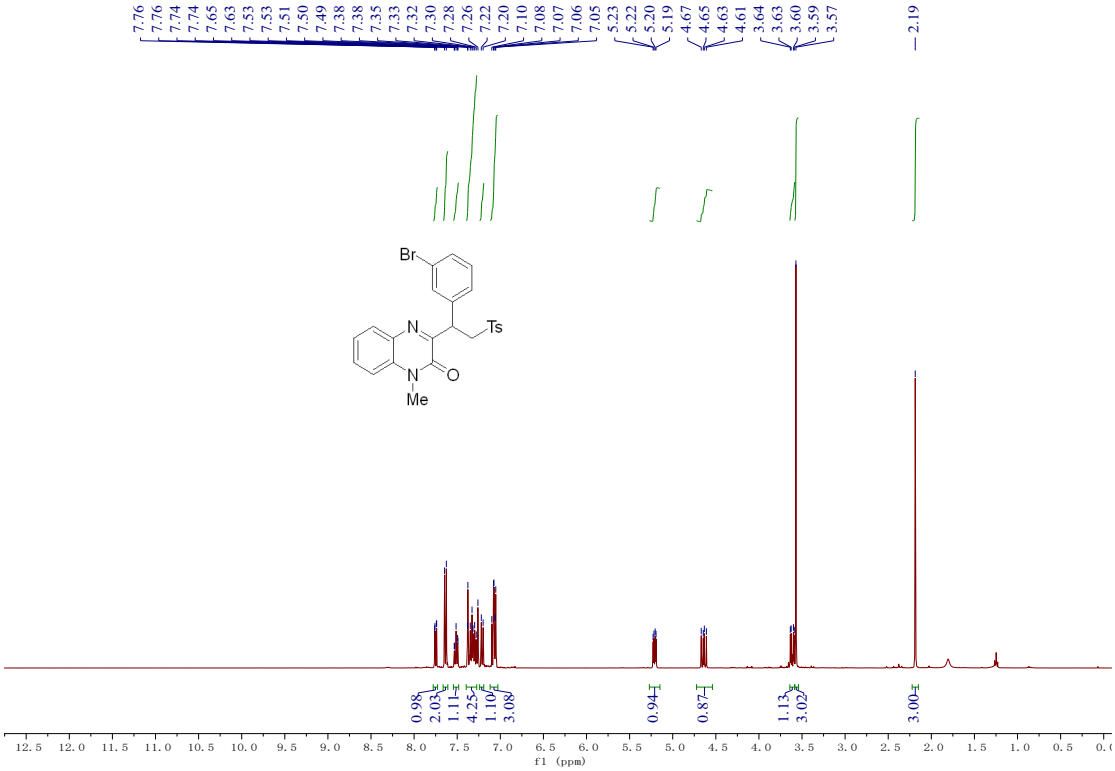


^{1}H spectra of **4k**

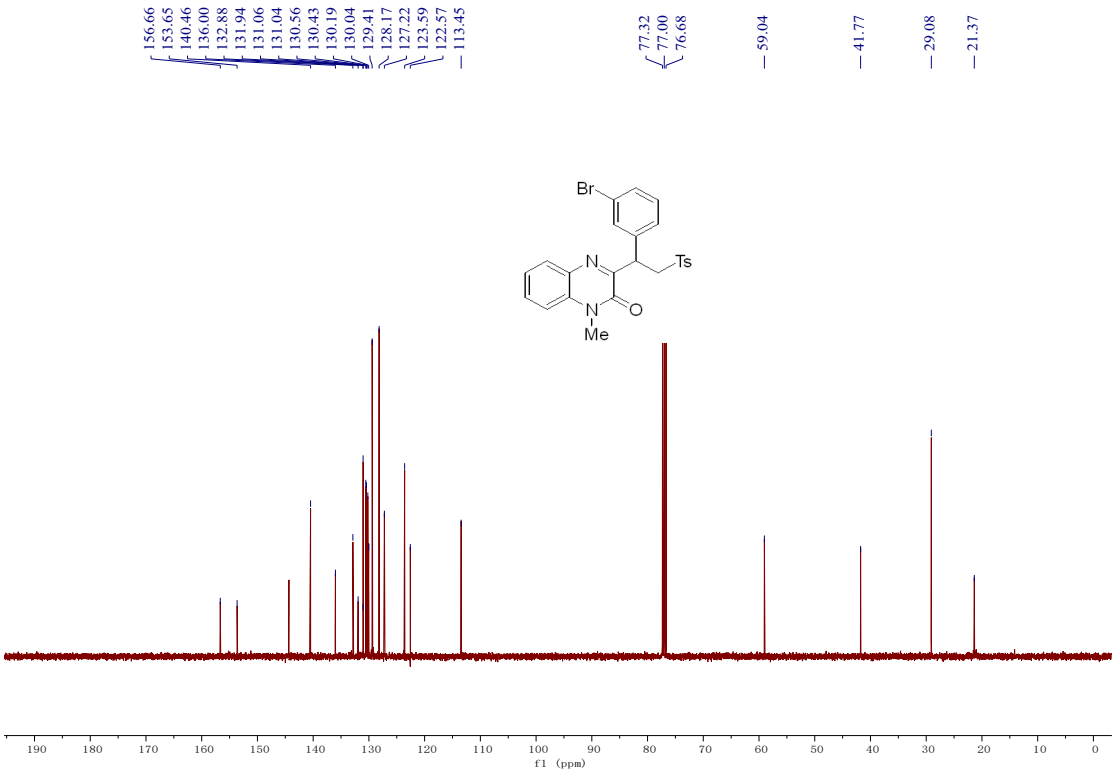


^{13}C spectra of **4k**

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

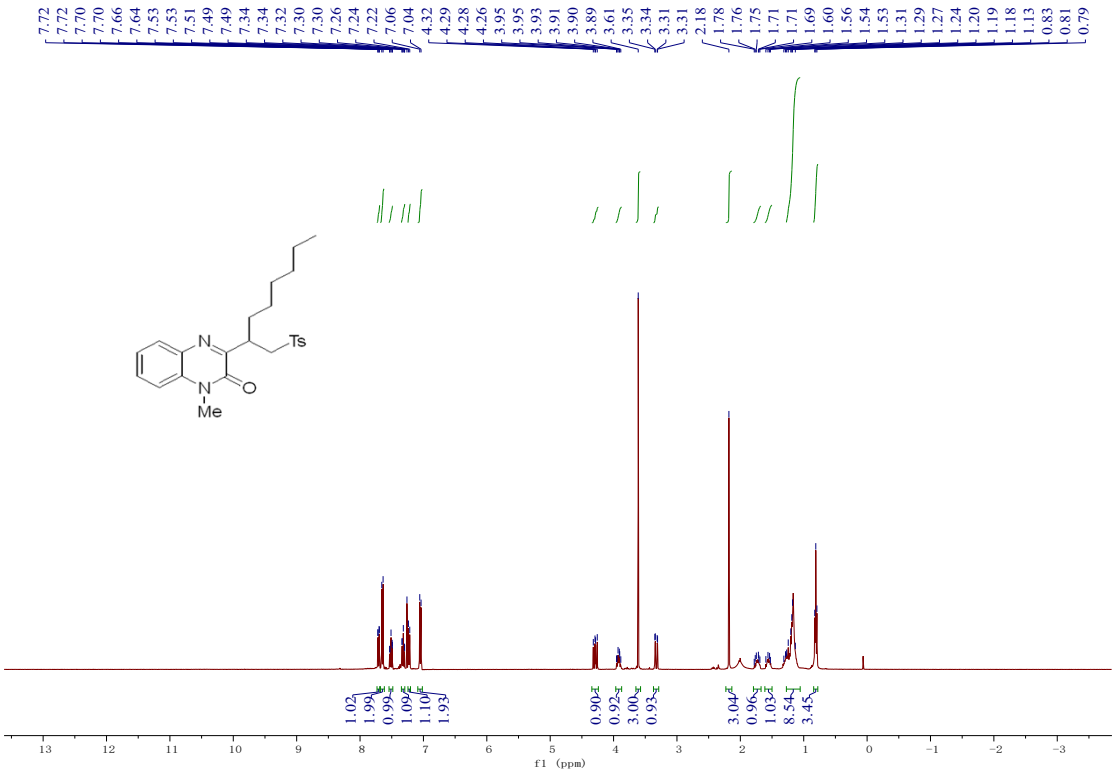


^{1}H spectra of **4l**

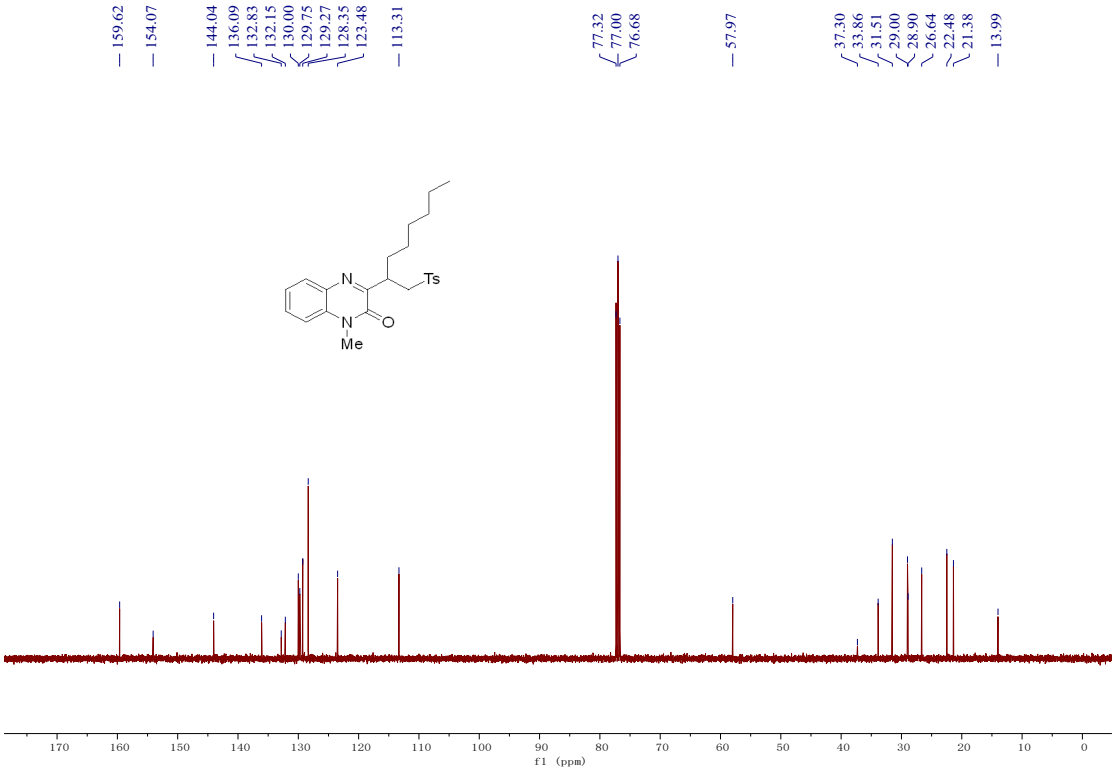


^{13}C spectra of **4l**

1-methyl-3-(1-tosyloctan-2-yl)quinoxalin-2(1*H*)-one (4m)

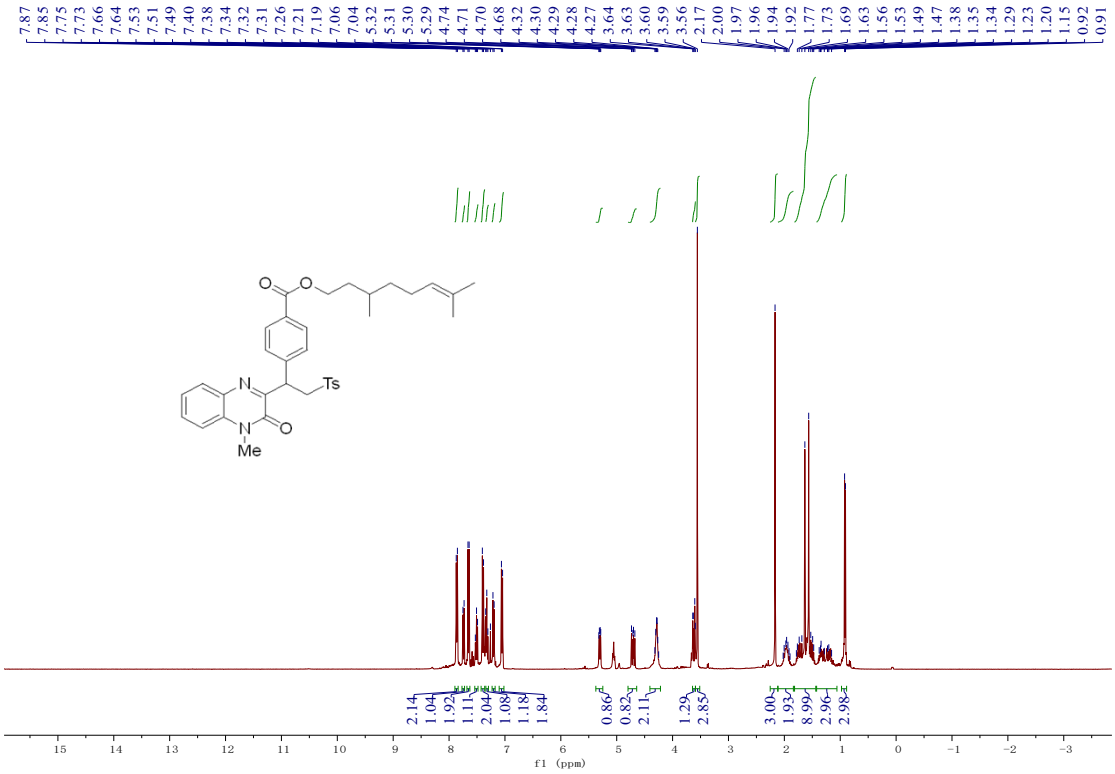


^{1}H spectra of **4m**

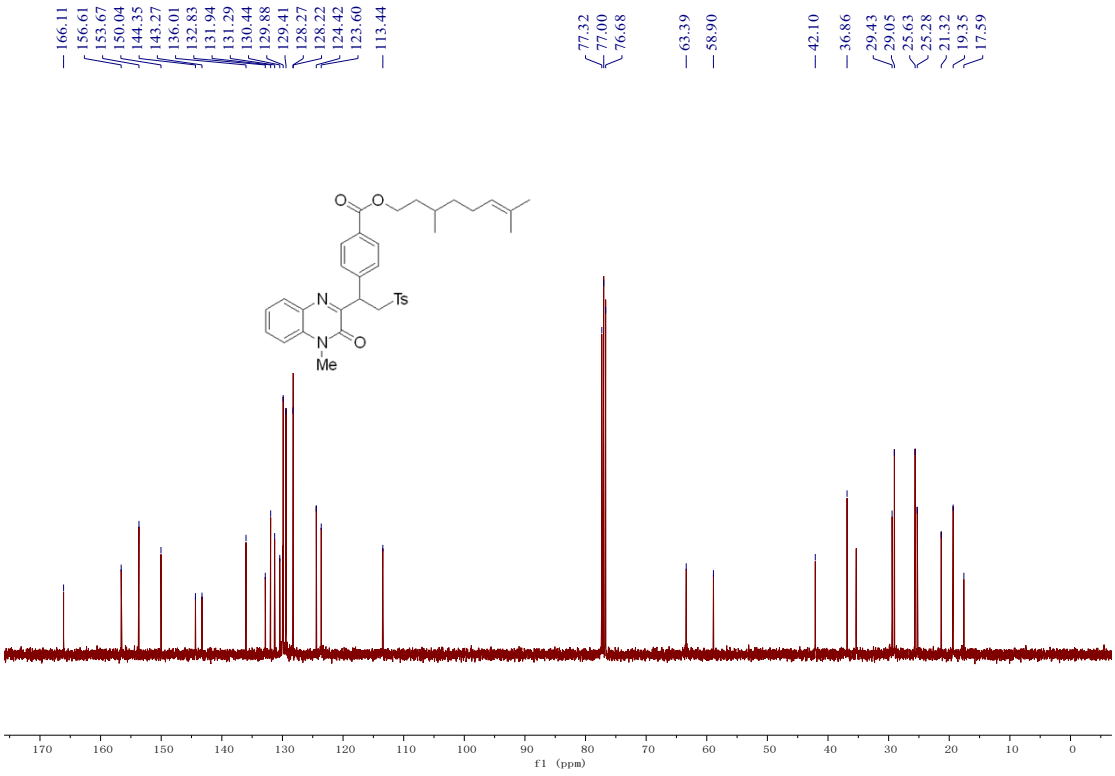


^{13}C spectra of **4m**

3,7-dimethyloct-6-en-1-yl 4-(1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-tosylethyl)benzoate (4n)

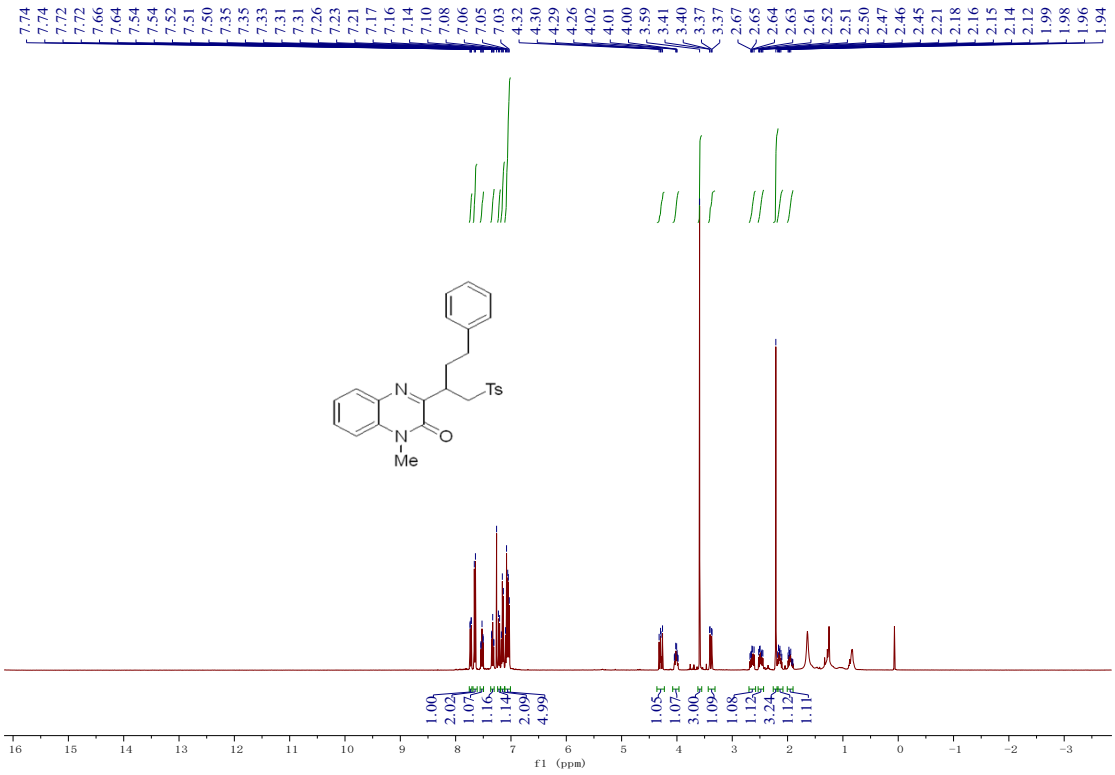


^{1}H spectra of **4n**

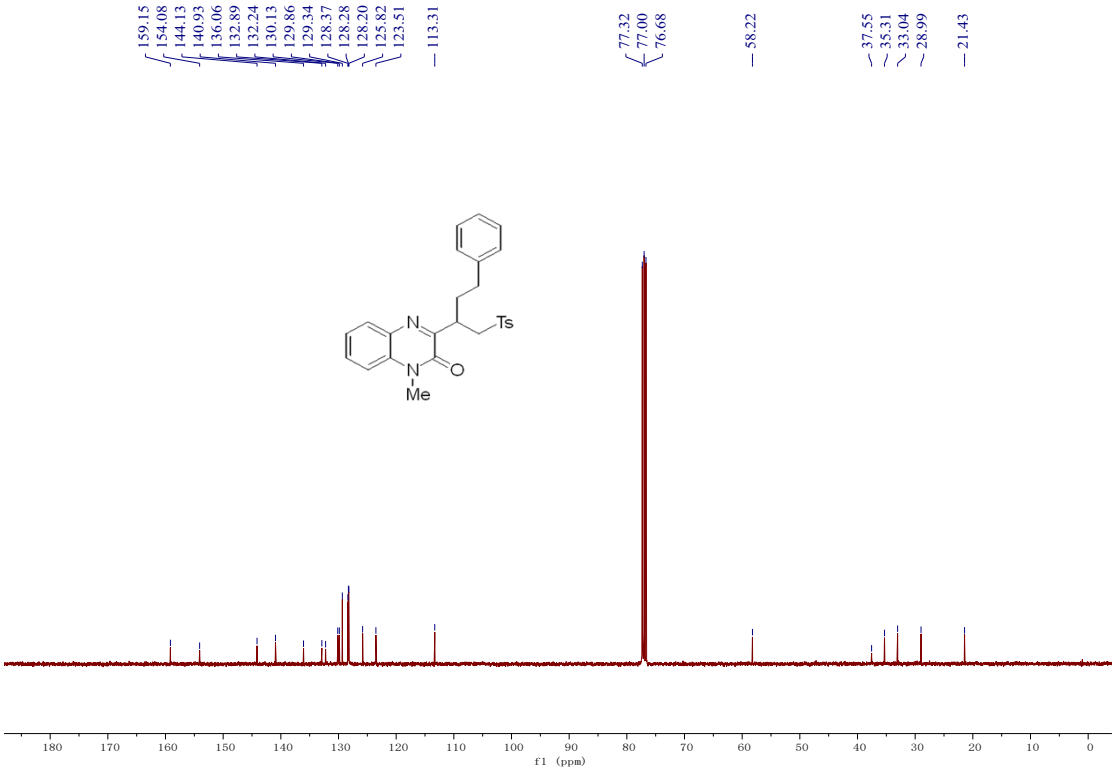


^{13}C spectra of **4n**

1-methyl-3-(4-phenyl-1-tosylbutan-2-yl)quinoxalin-2(1*H*)-one (4o)

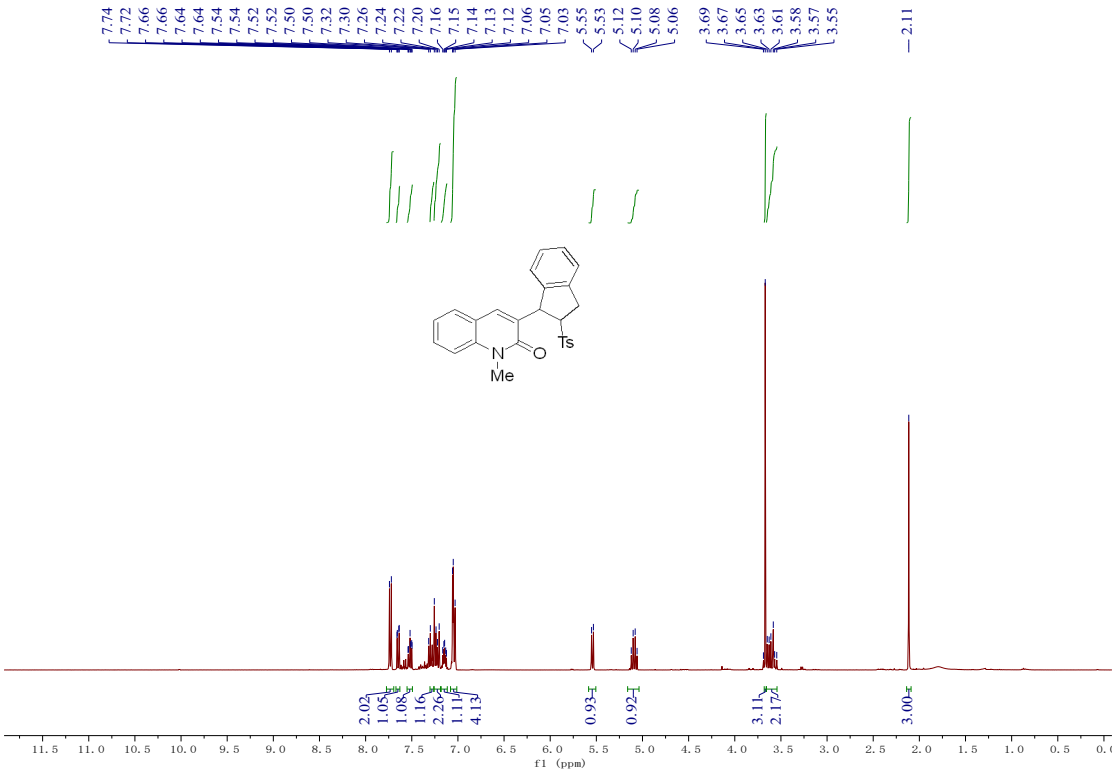


^{1}H spectra of **4o**

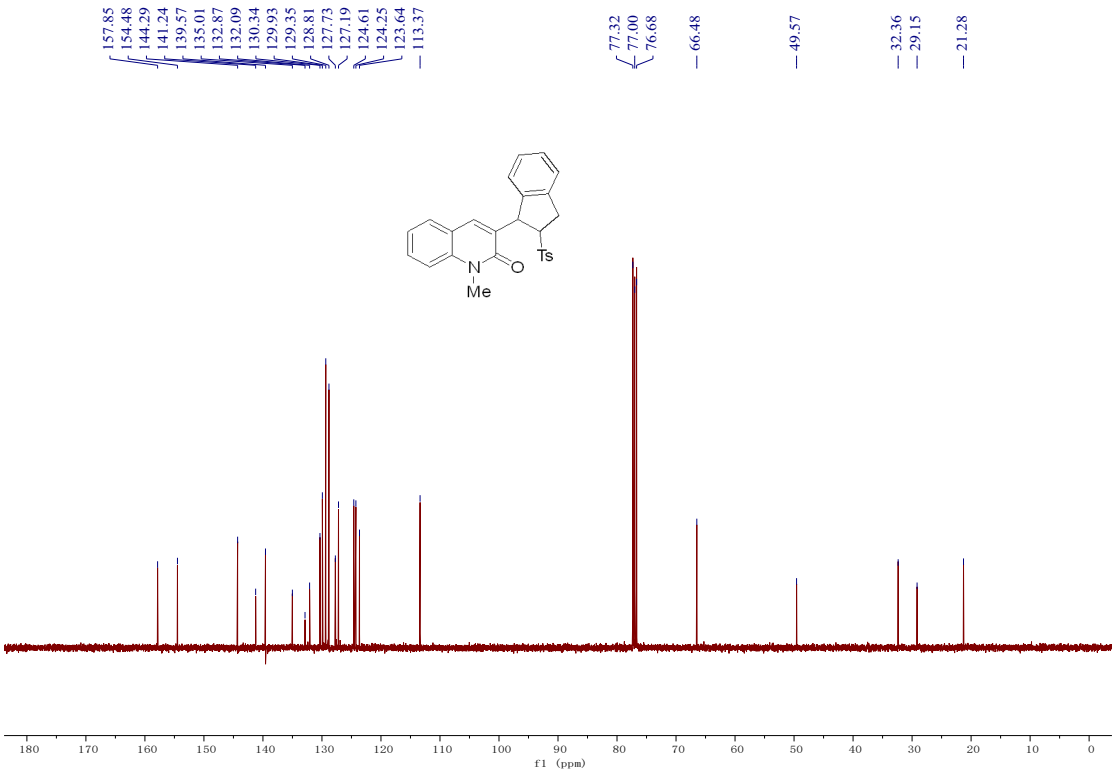


^{13}C spectra of **4o**

1-methyl-3-(2-tosyl-2,3-dihydro-1*H*-inden-1-yl)quinoxalin-2(1*H*)-one (4p)

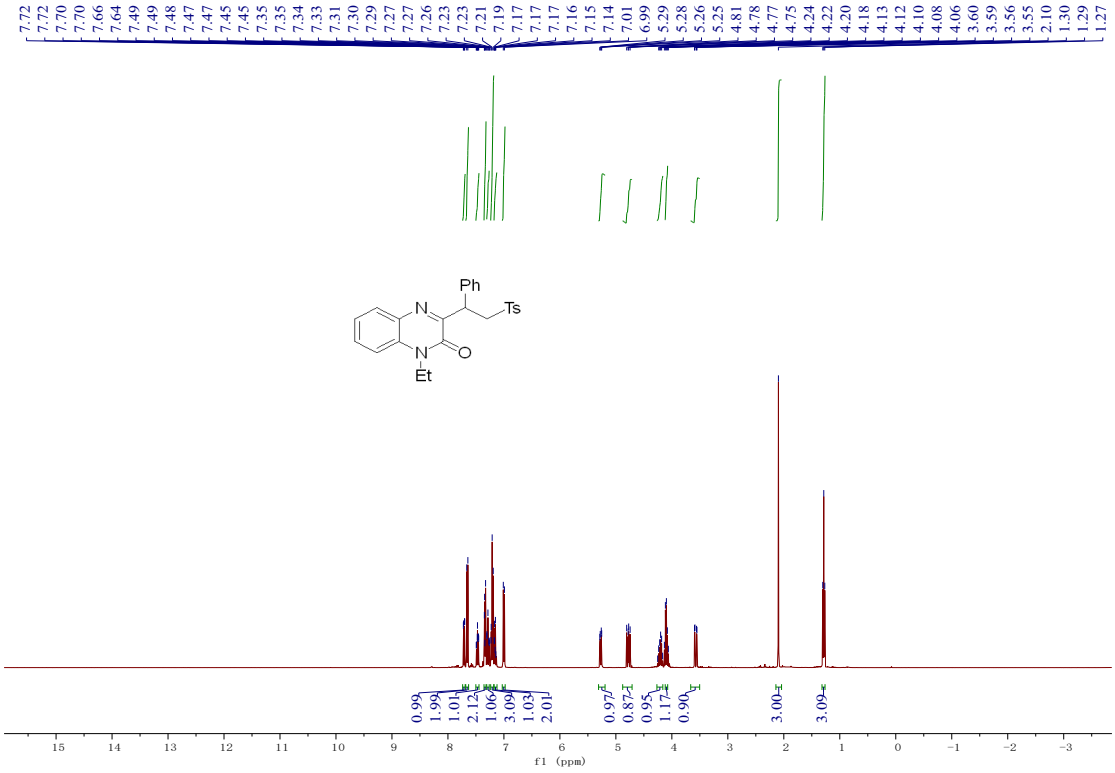


^{1}H spectra of **4p**

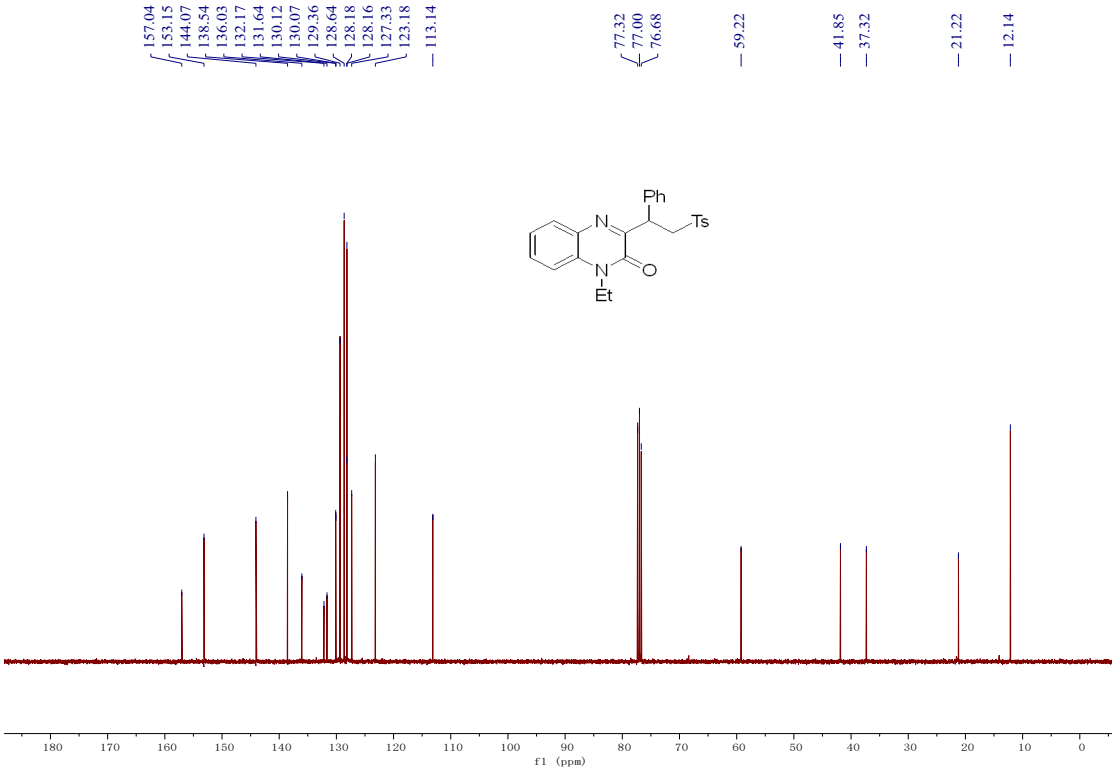


^{13}C spectra of **4p**

1-ethyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5a)

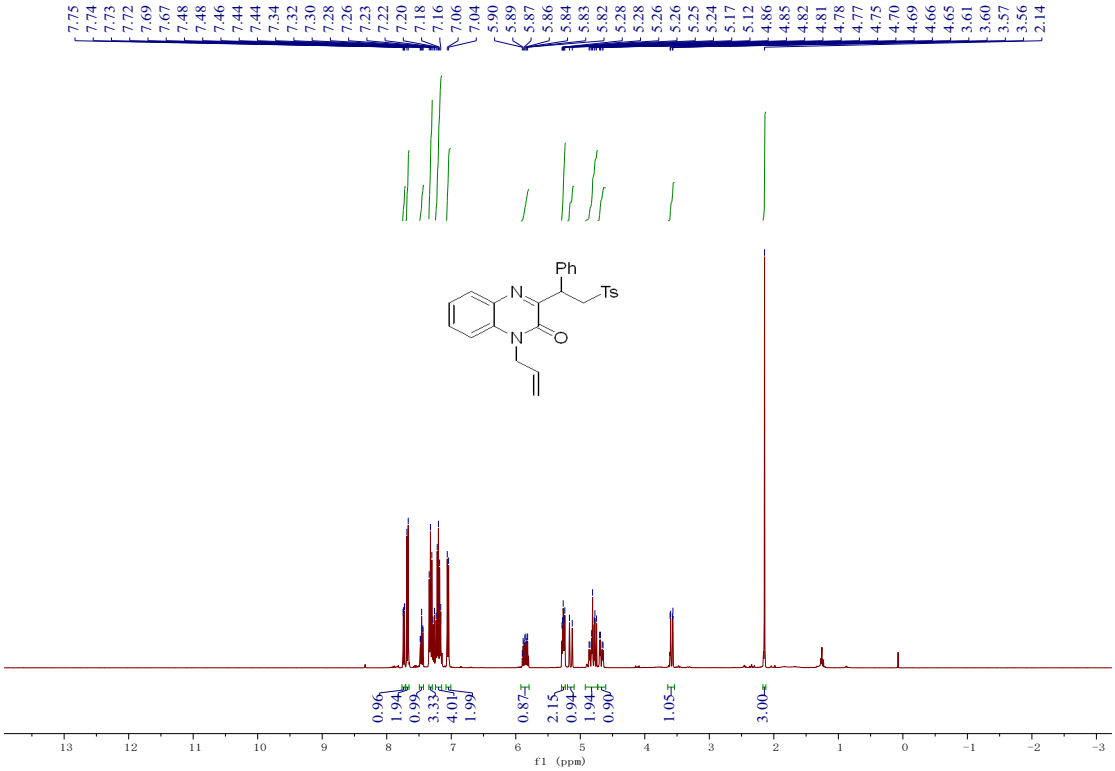


^{1}H spectra of **5a**

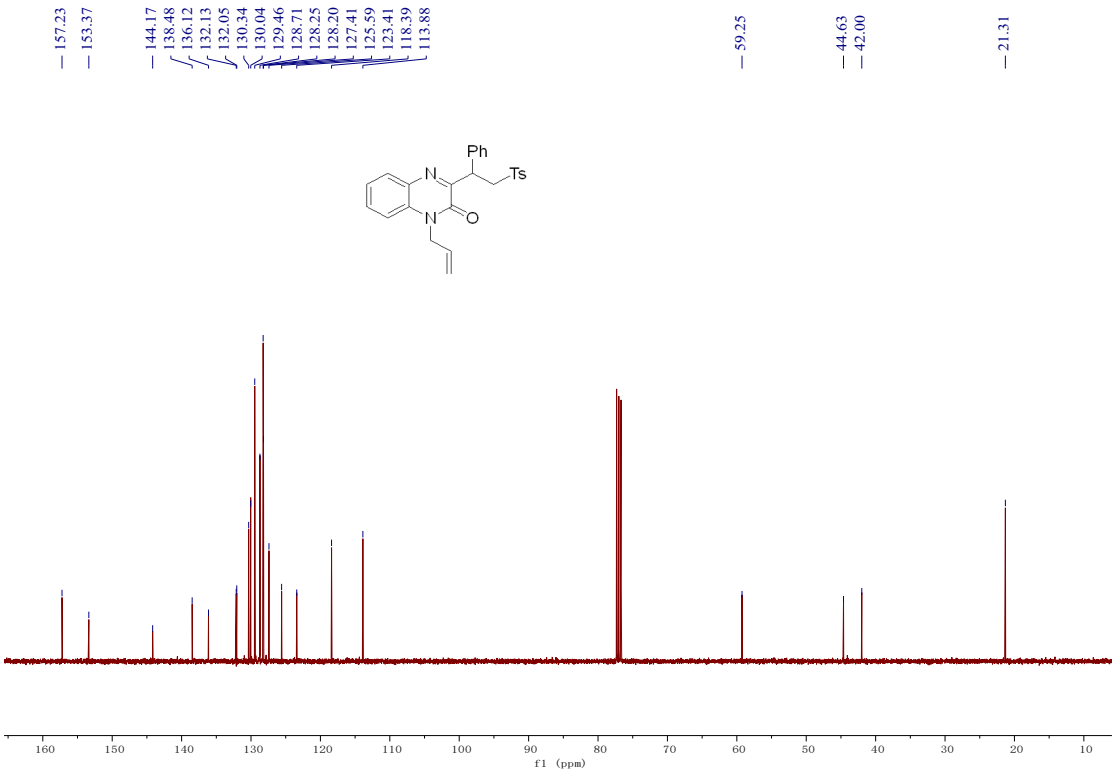


^{13}C spectra of **5a**

1-allyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5b)

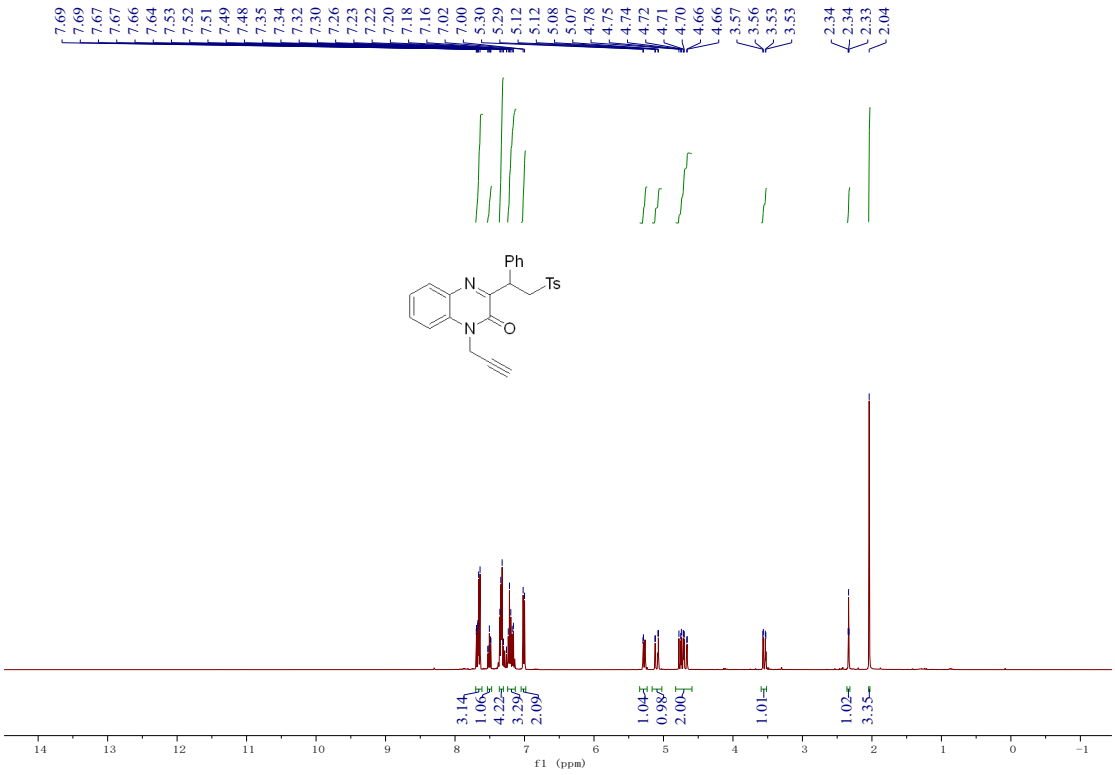


^{1}H spectra of **5b**

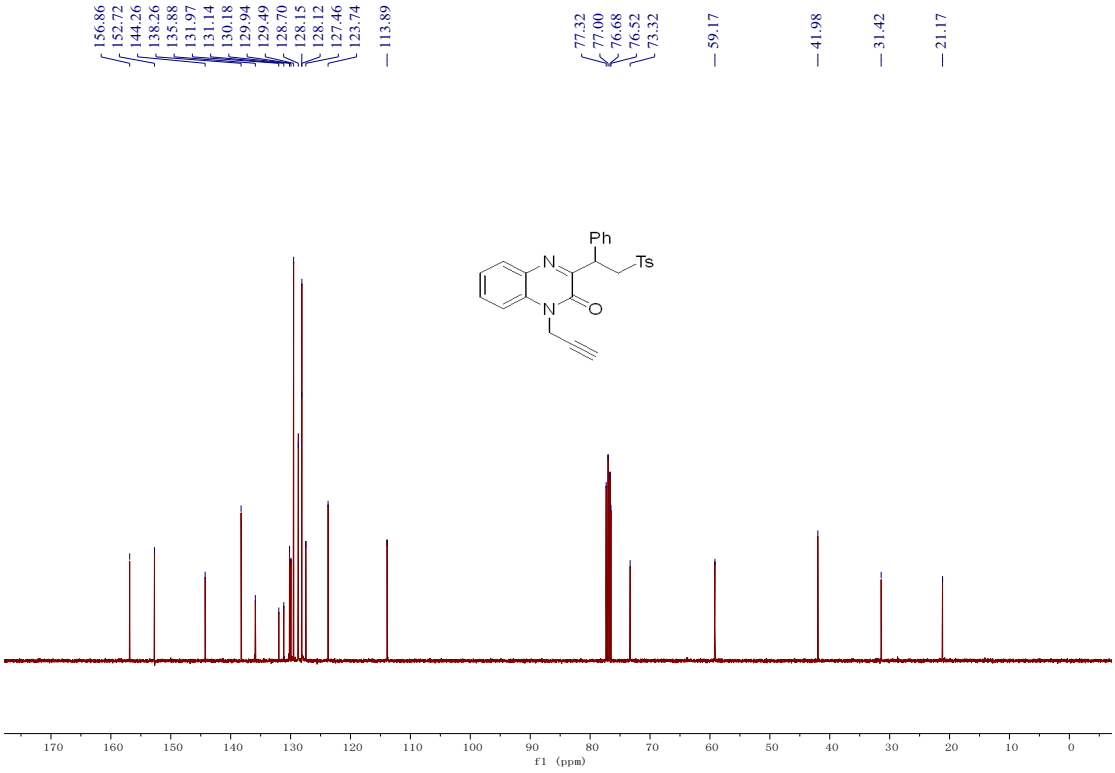


^{13}C spectra of **5b**

3-(1-phenyl-2-tosylethyl)-1-(prop-2-yn-1-yl)quinoxalin-2(1*H*)-one (5c)

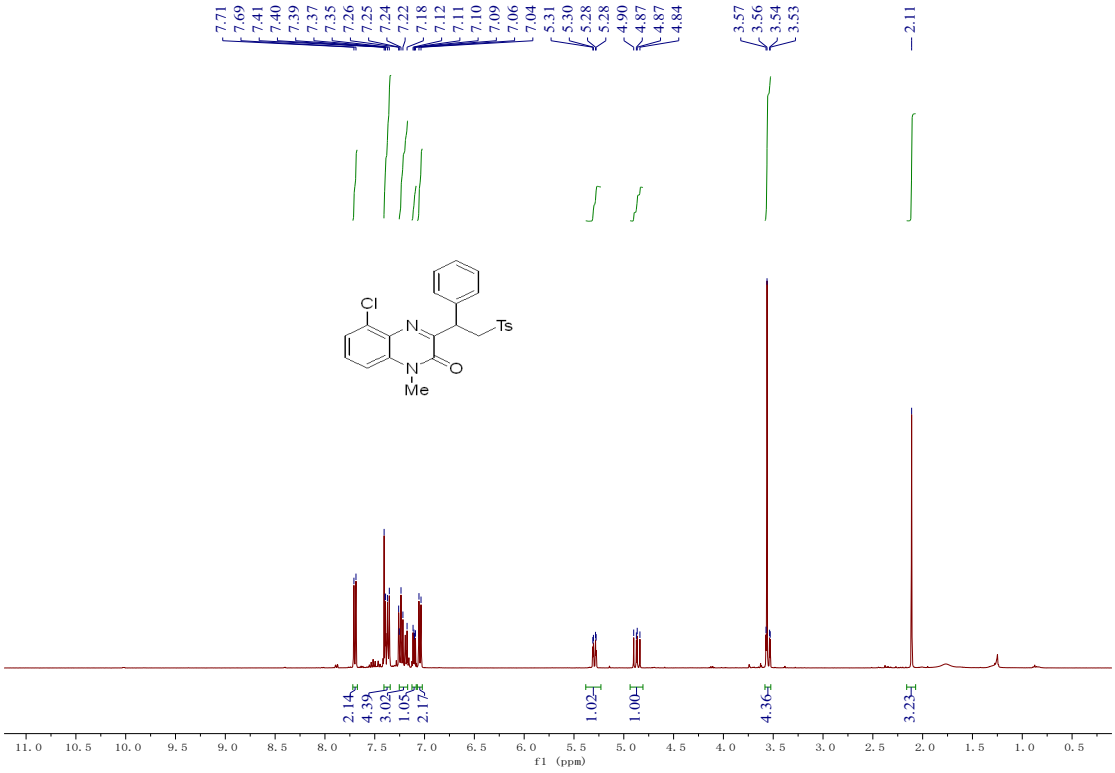


^{1}H spectra of **5c**

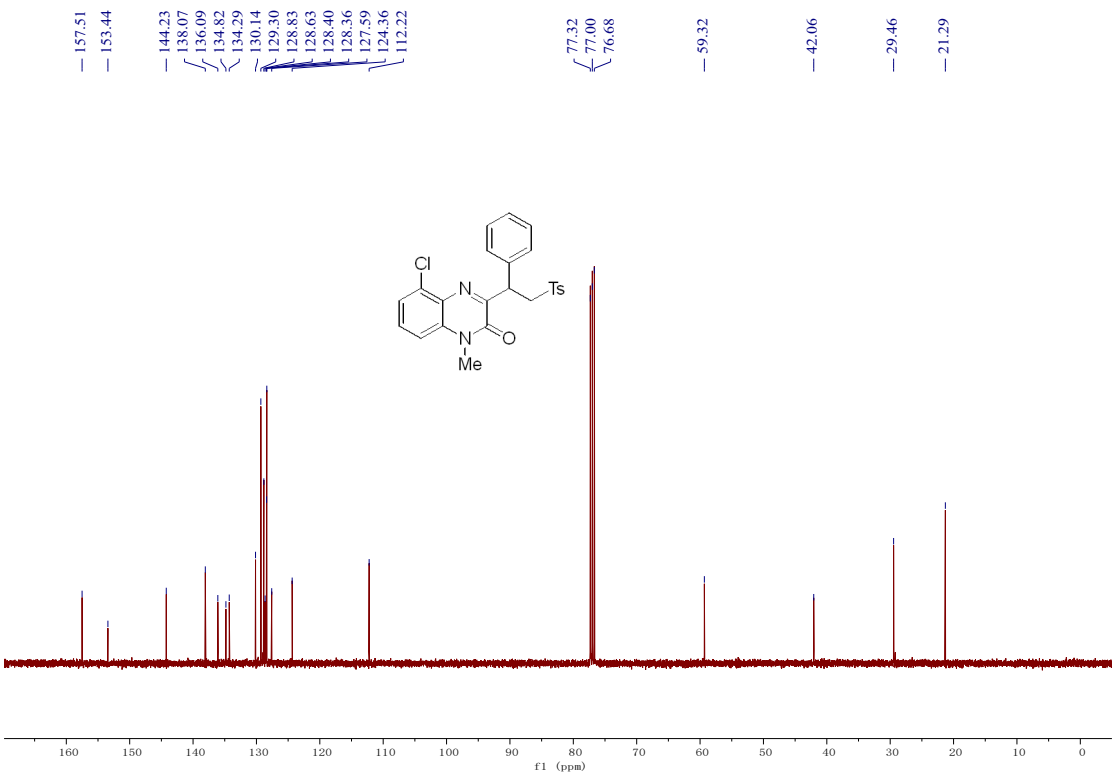


^{13}C spectra of **5c**

5-chloro-1-methyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5d)

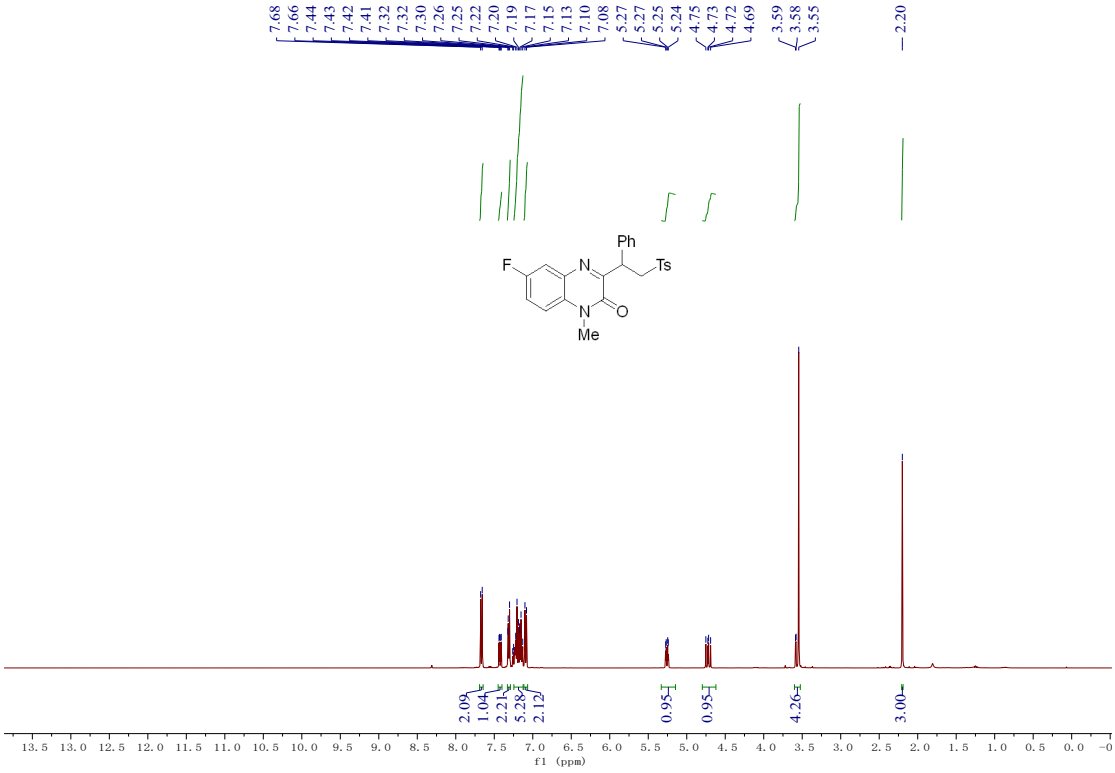


^{1}H spectra of **5d**

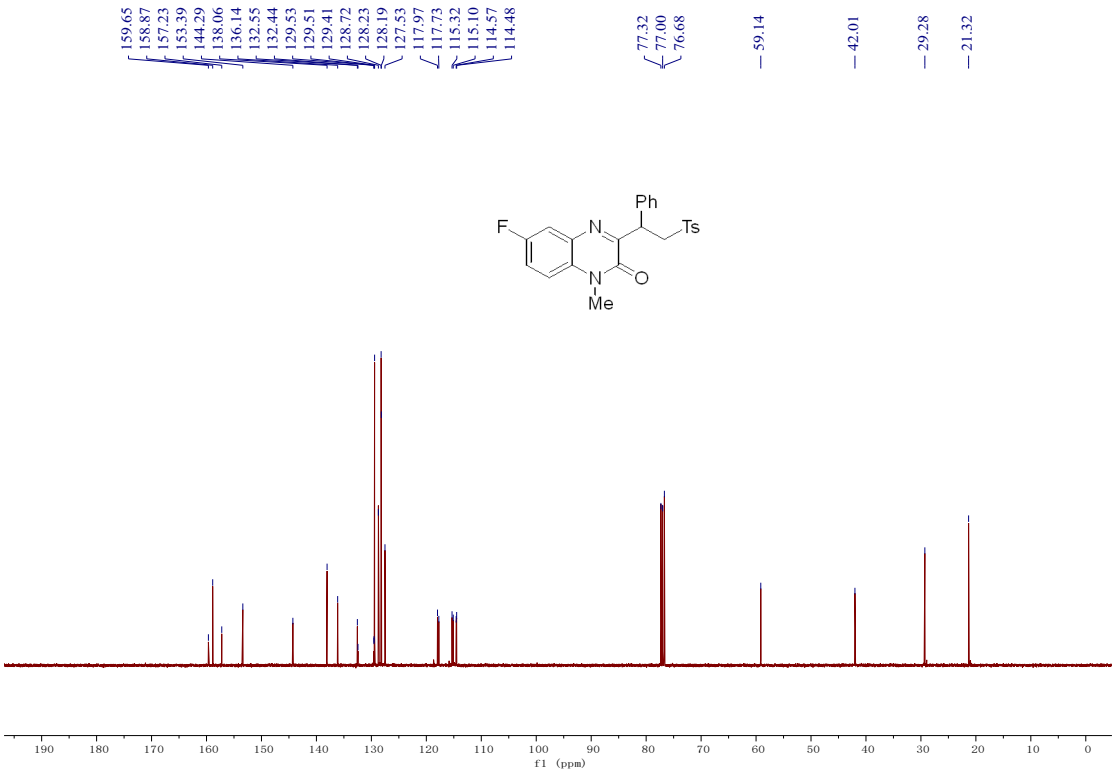


^{13}C spectra of **5d**

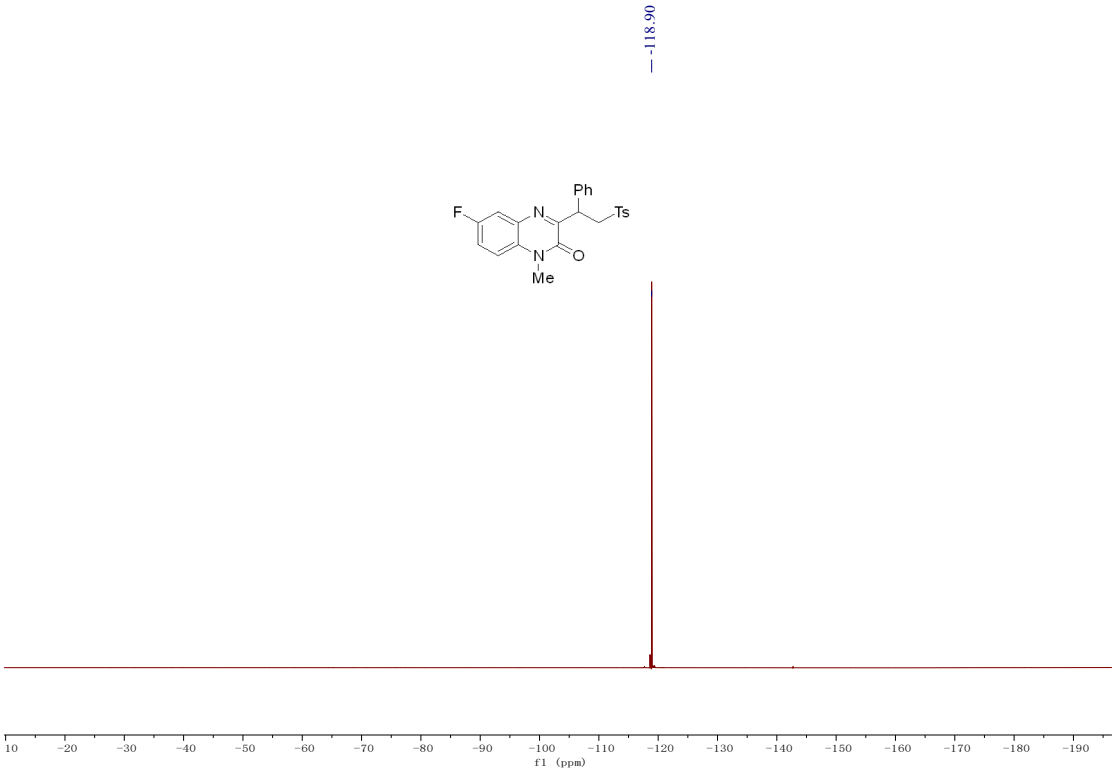
6-fluoro-1-methyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5e)



^{1}H spectra of **5e**

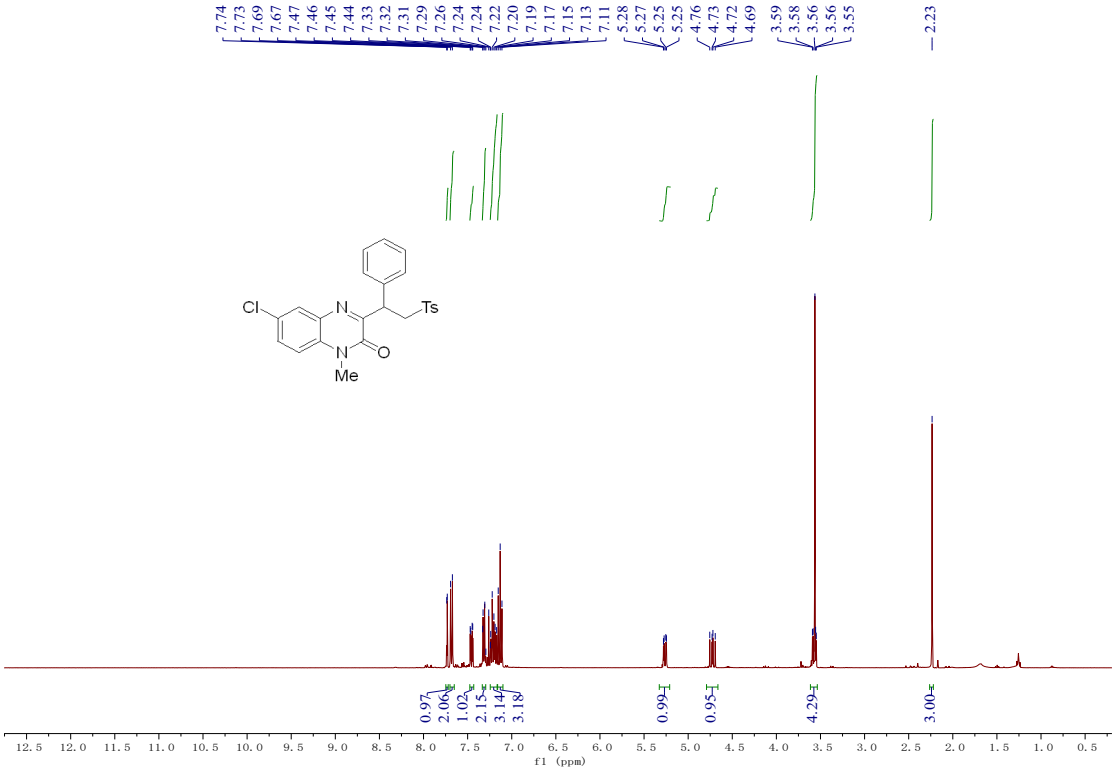


^{13}C spectra of **5e**

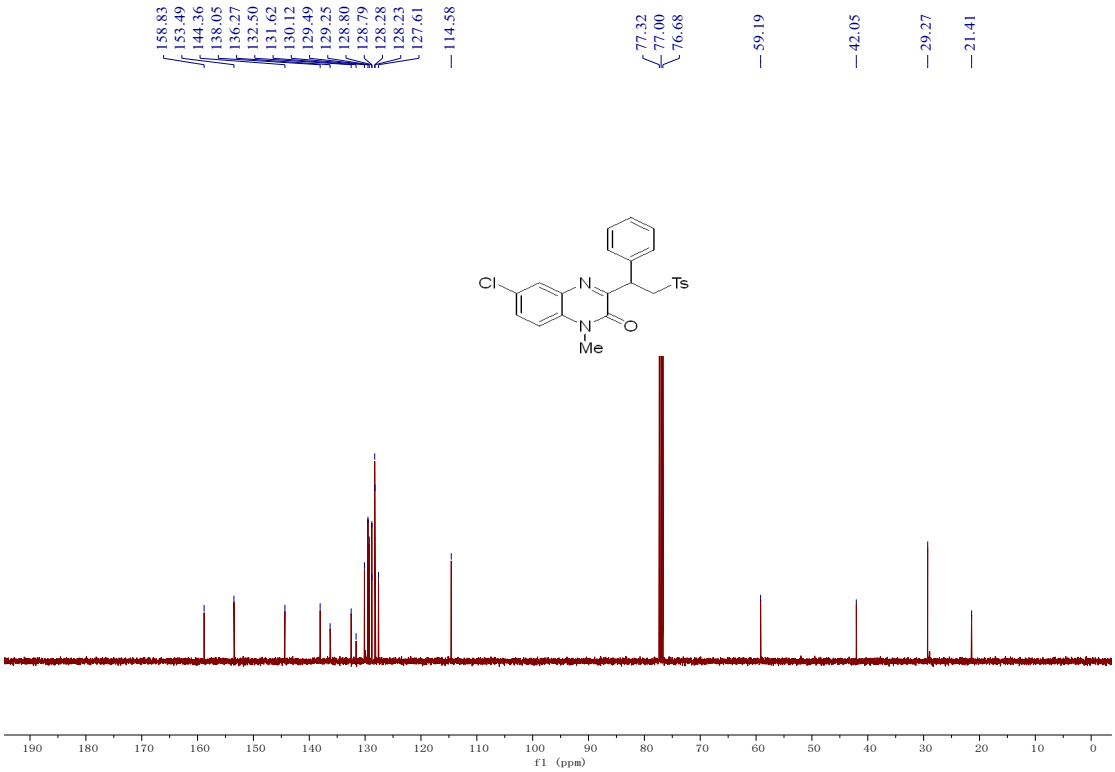


^{19}F spectra of **5e**

6-chloro-1-methyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5f)

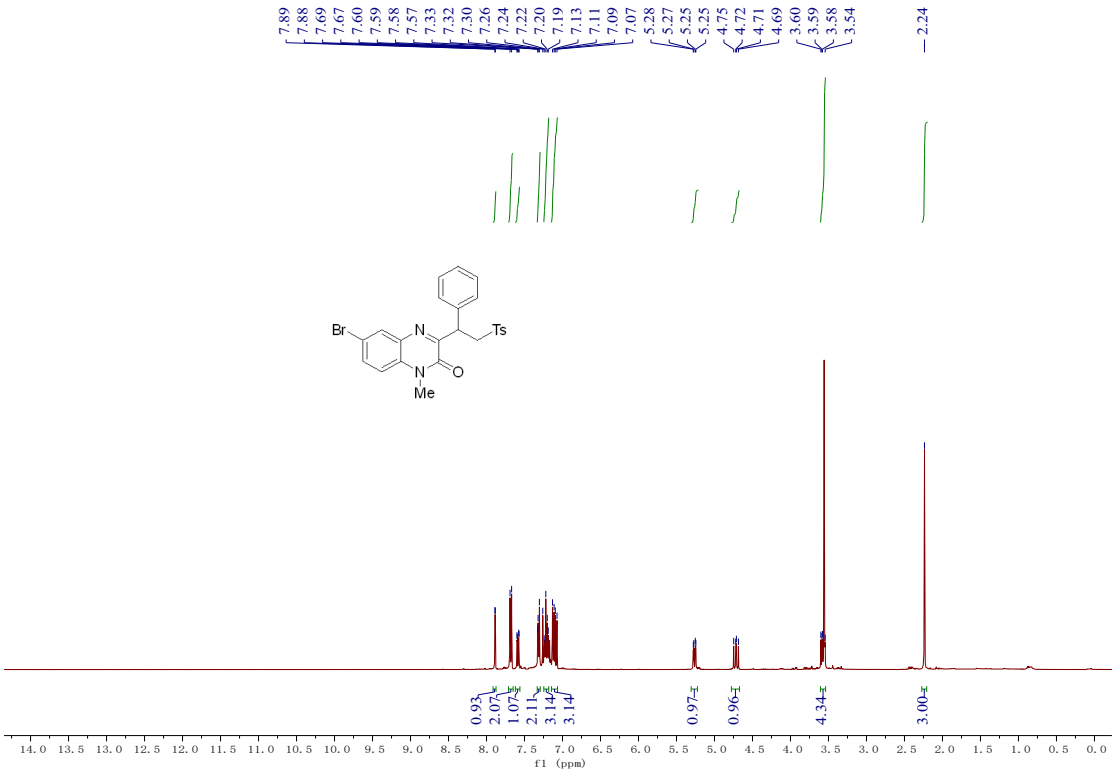


^{1}H spectra of **5f**

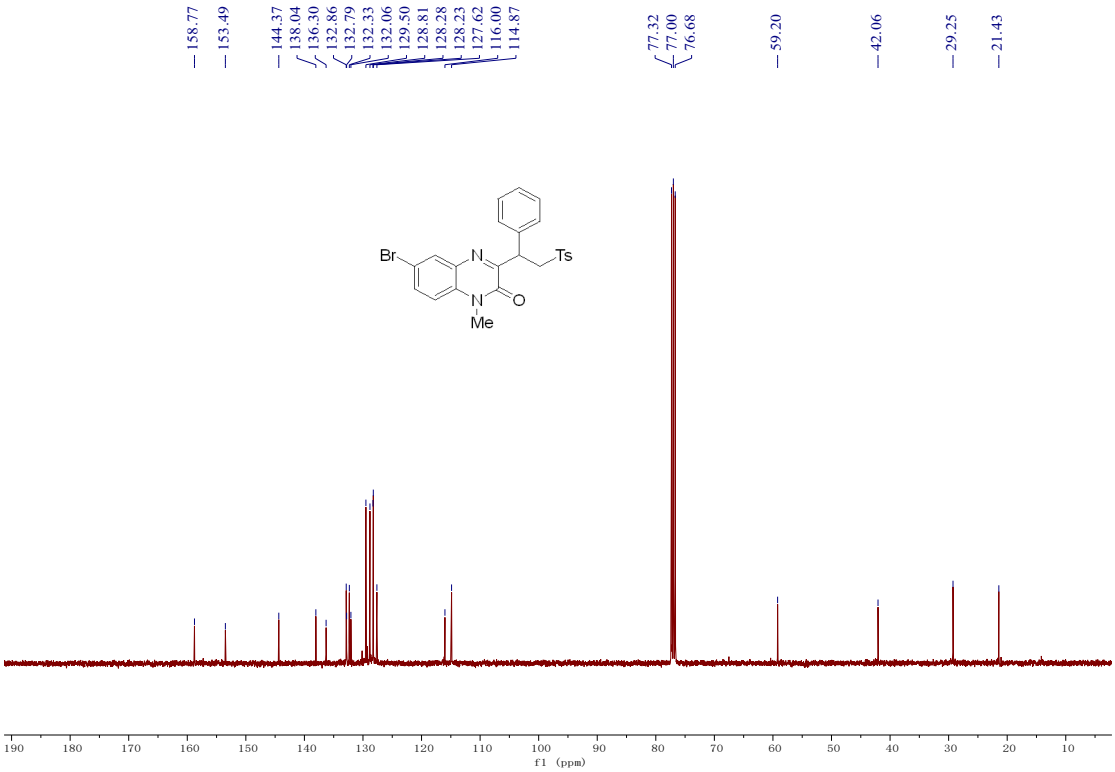


^{13}C spectra of **5f**

6-bromo-1-methyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5g)

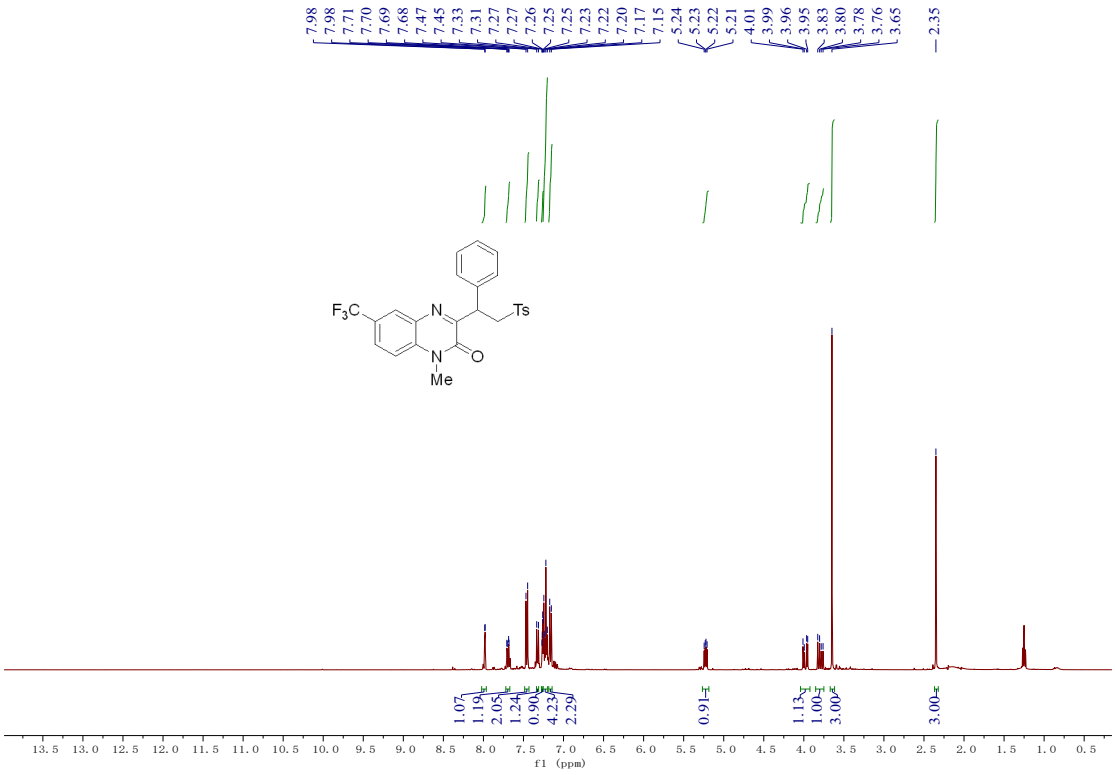


^{1}H spectra of **5g**

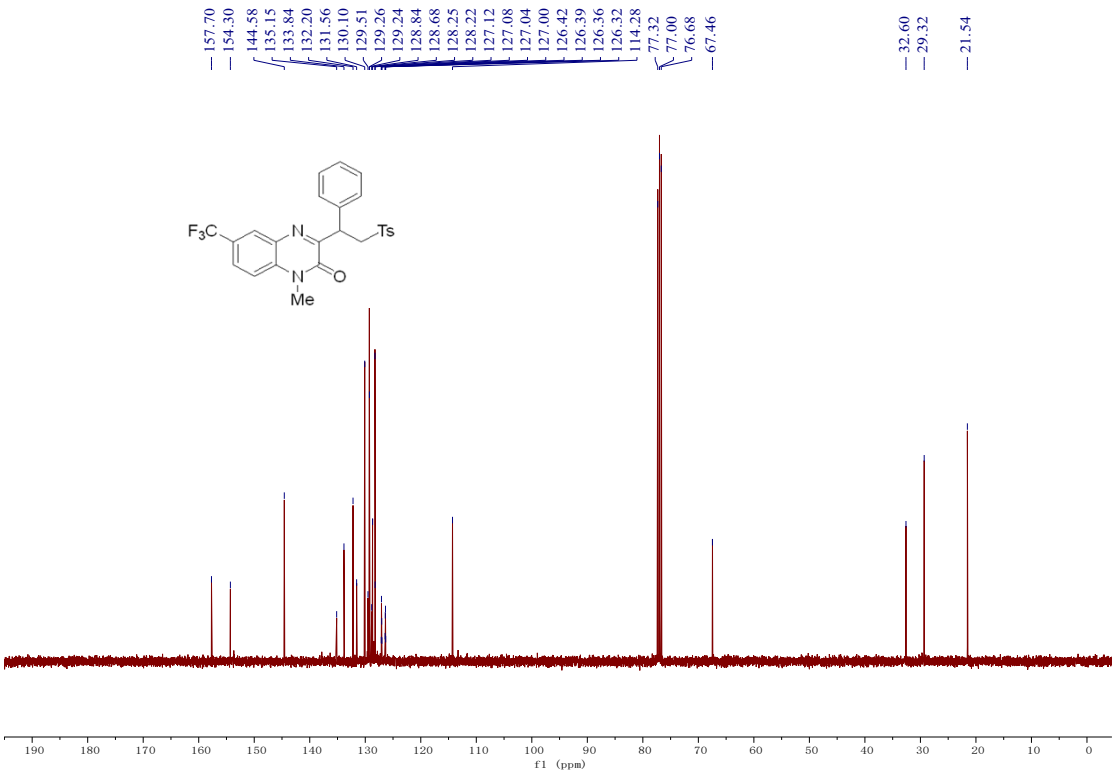


^{13}C spectra of **5g**

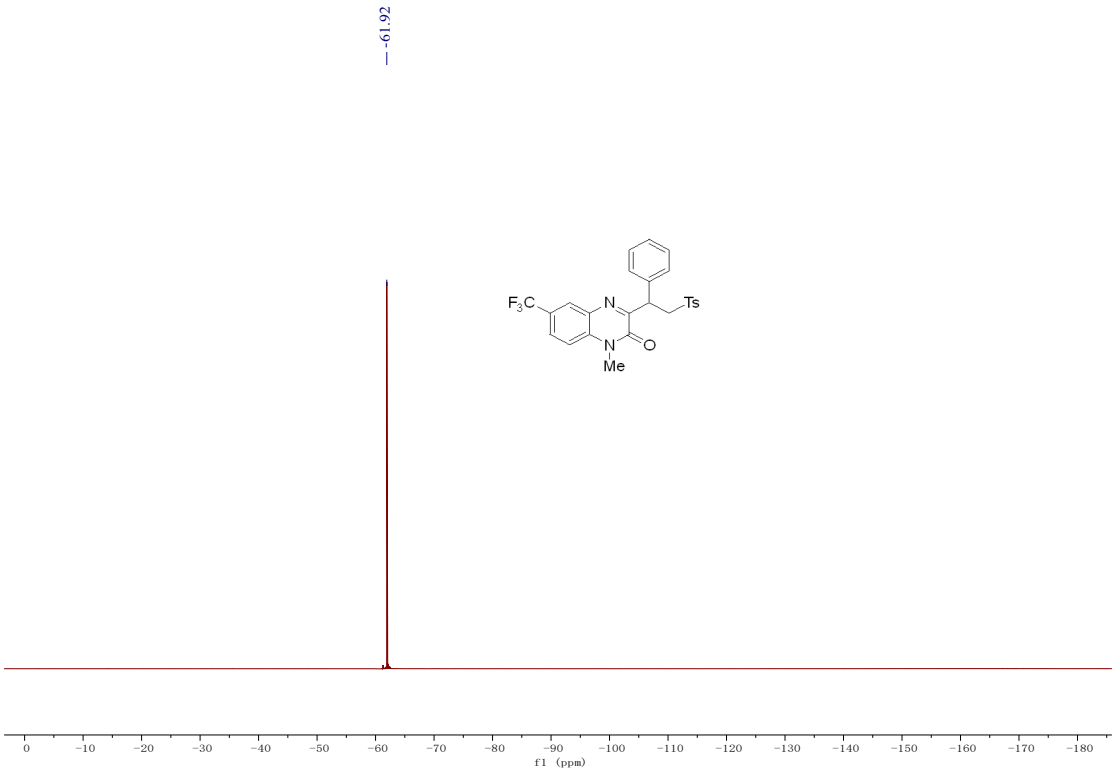
1-methyl-3-(1-phenyl-2-tosylethyl)-6-(trifluoromethyl)quinoxalin-2(1*H*)-one (5h)



^{1}H spectra of **5h**

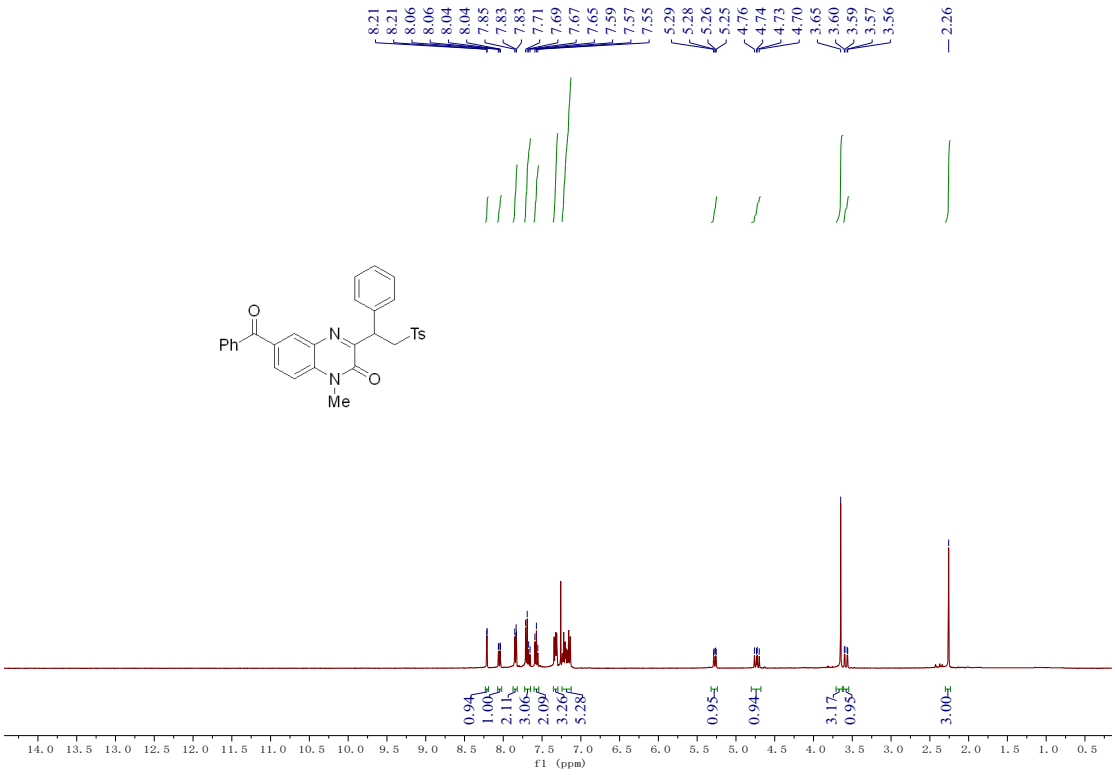


^{13}C spectra of **5h**

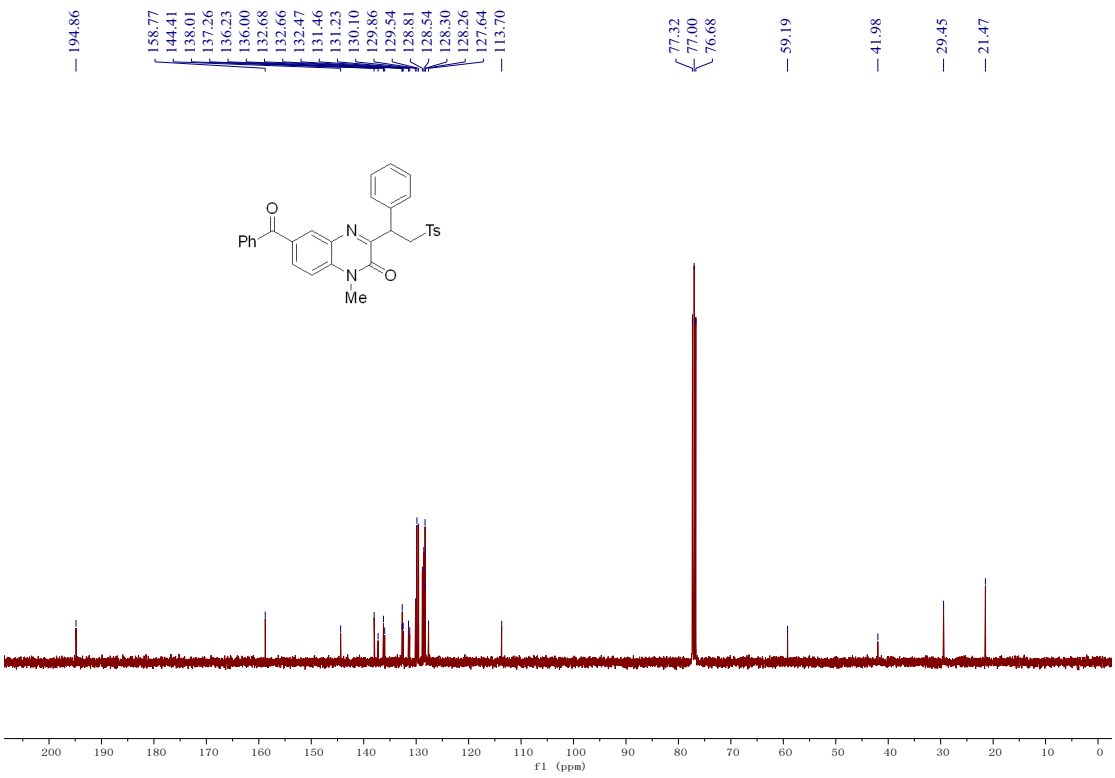


^{19}F spectra of **5h**

6-benzoyl-1-methyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5i)

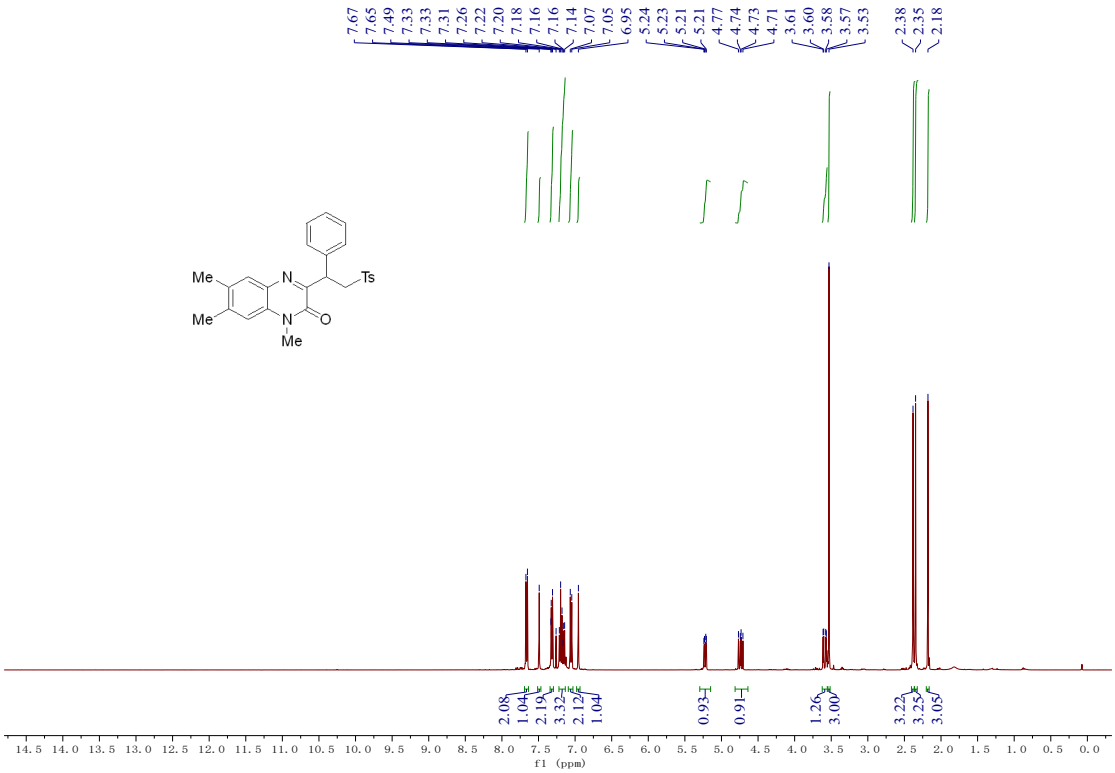


^{1}H spectra of **5i**

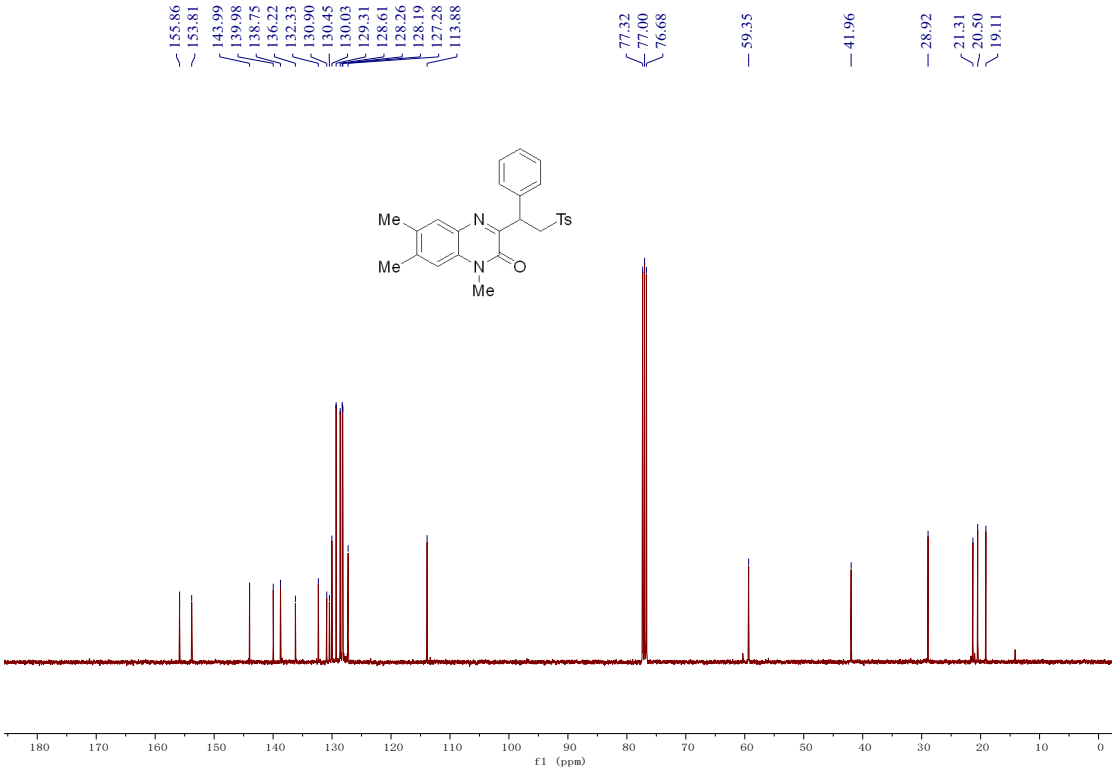


^{13}C spectra of **5i**

1,6,7-trimethyl-3-(1-phenyl-2-tosylethyl)quinoxalin-2(1*H*)-one (5j)

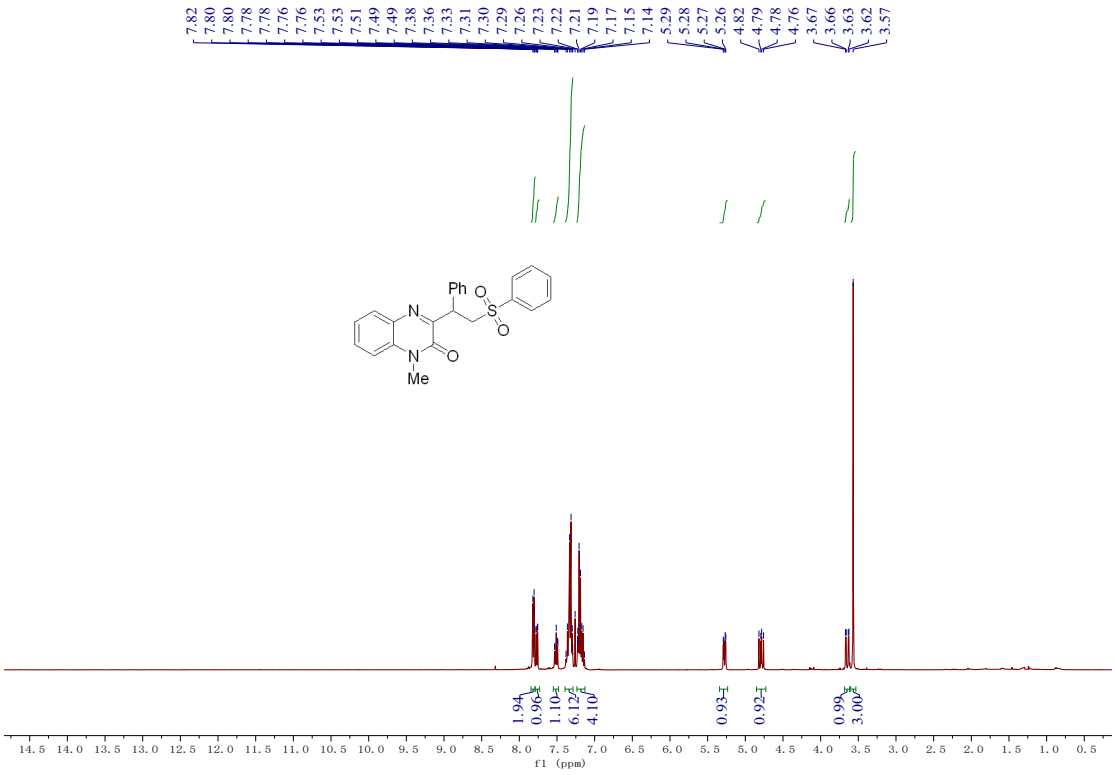


^{1}H spectra of **5j**

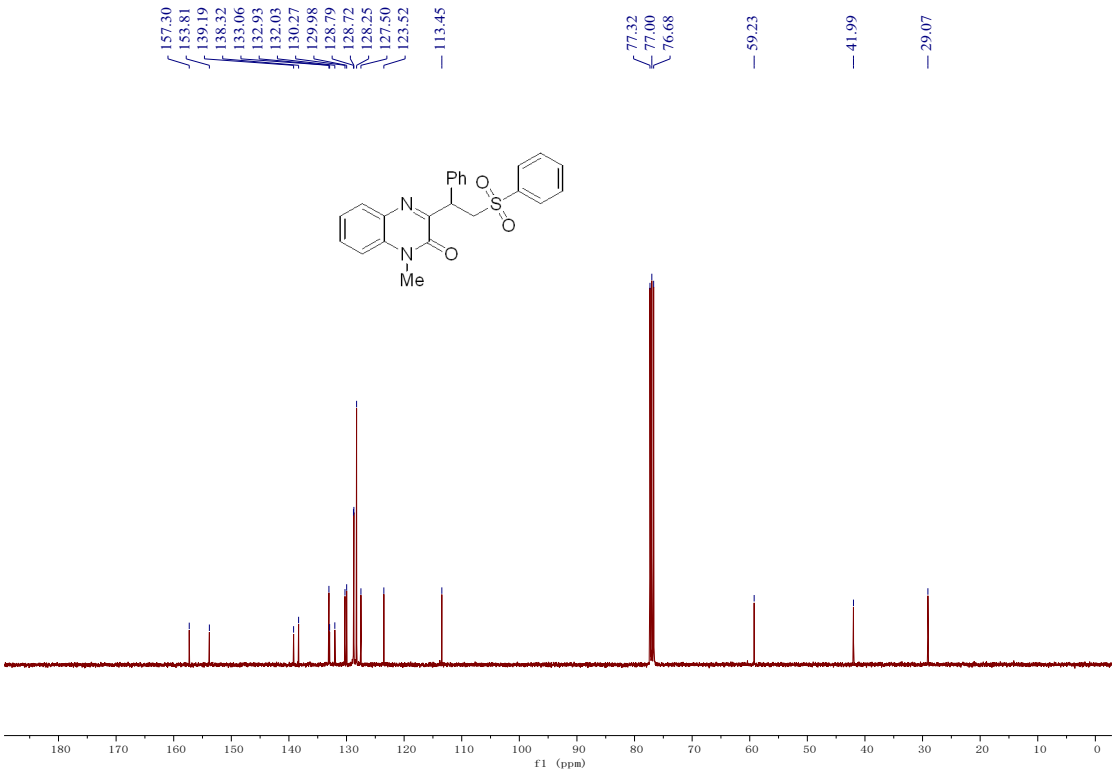


^{13}C spectra of **5j**

1-methyl-3-(1-phenyl-2-(phenylsulfonyl)ethyl)quinoxalin-2(1*H*)-one (5k)

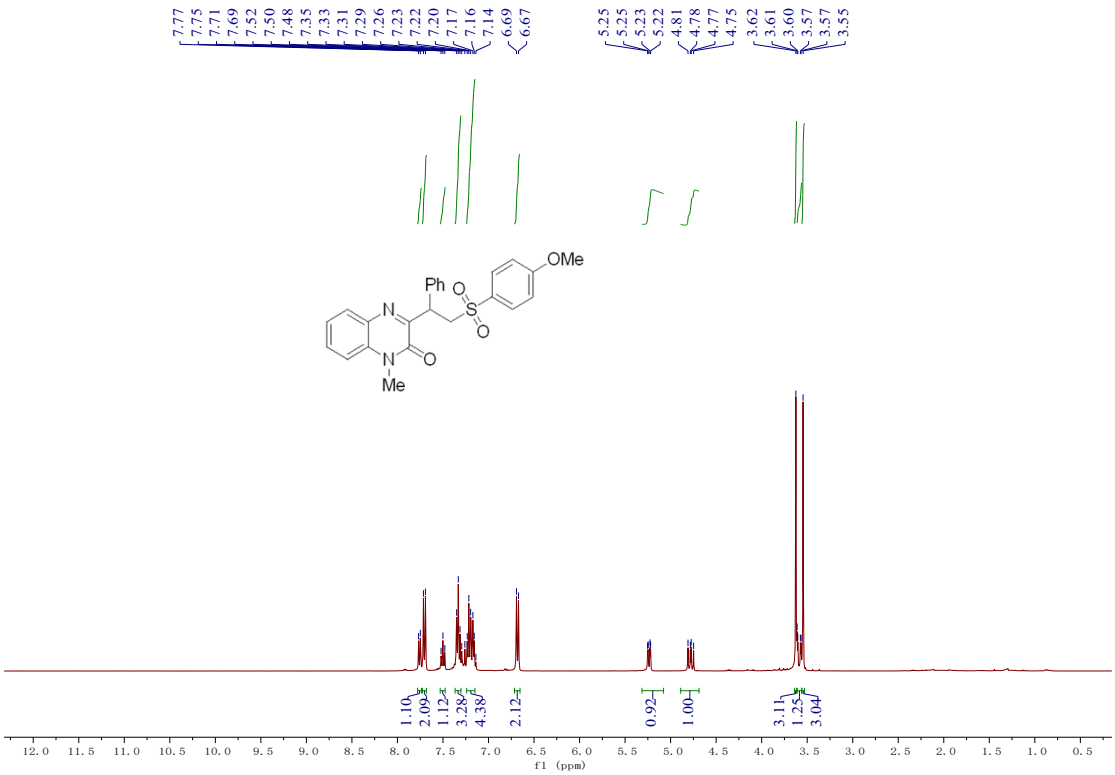


^{1}H spectra of **5k**

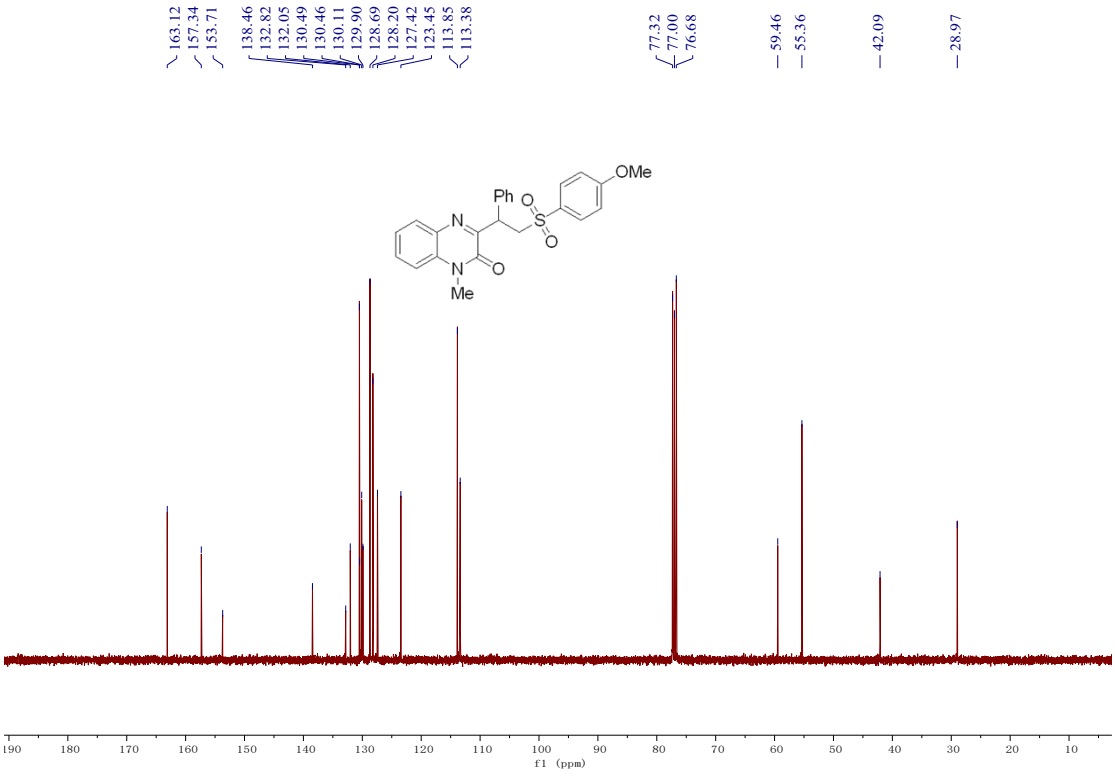


^{13}C spectra of **5k**

3-(2-((4-methoxyphenyl)sulfonyl)-1-phenylethyl)-1-methylquinoxalin-2(1*H*)-one (5l)

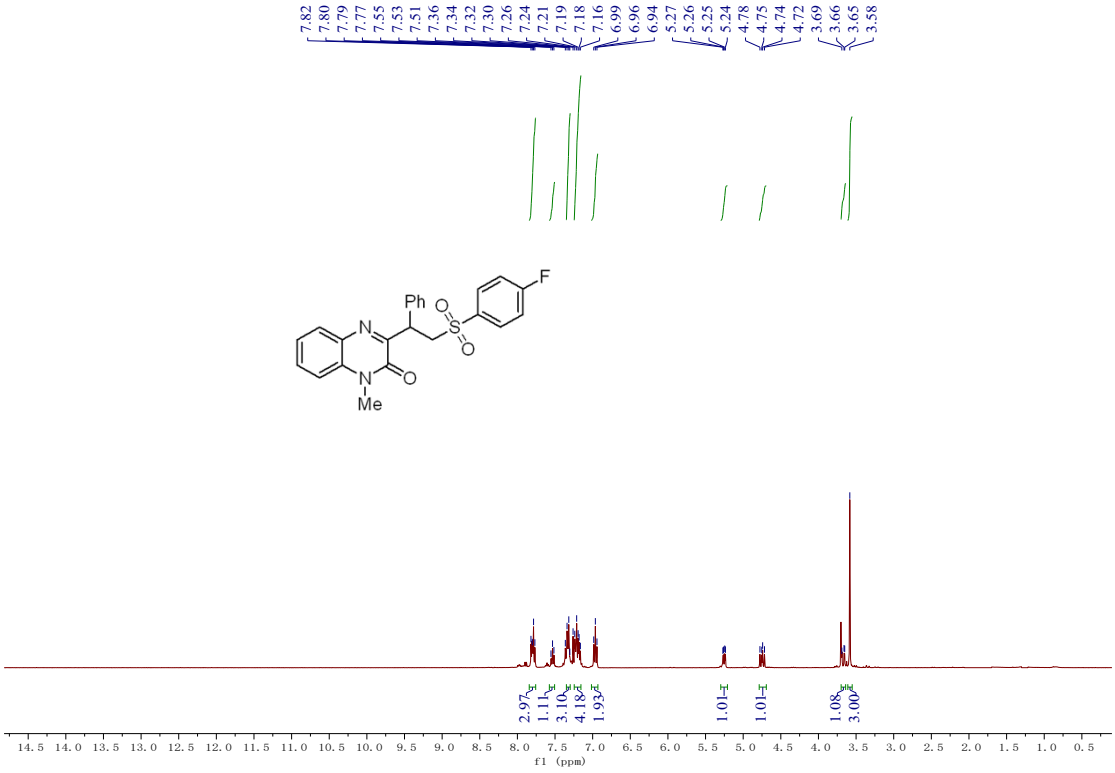


^{1}H spectra of **5l**

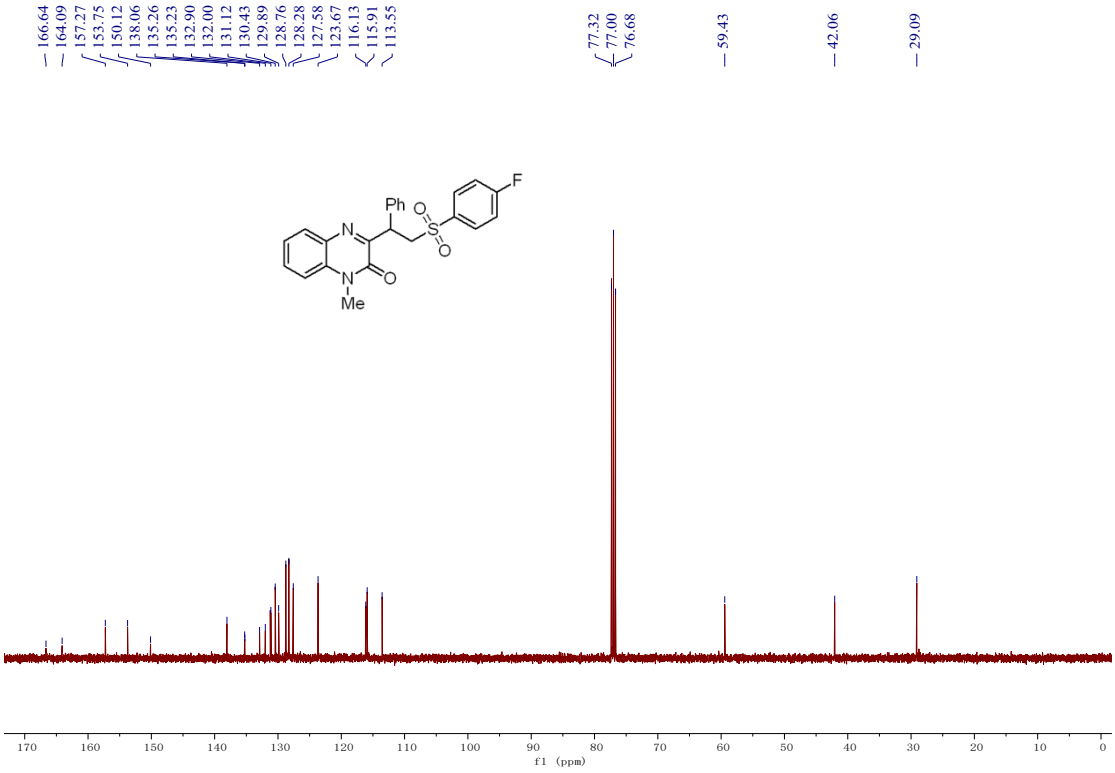


^{13}C spectra of **5l**

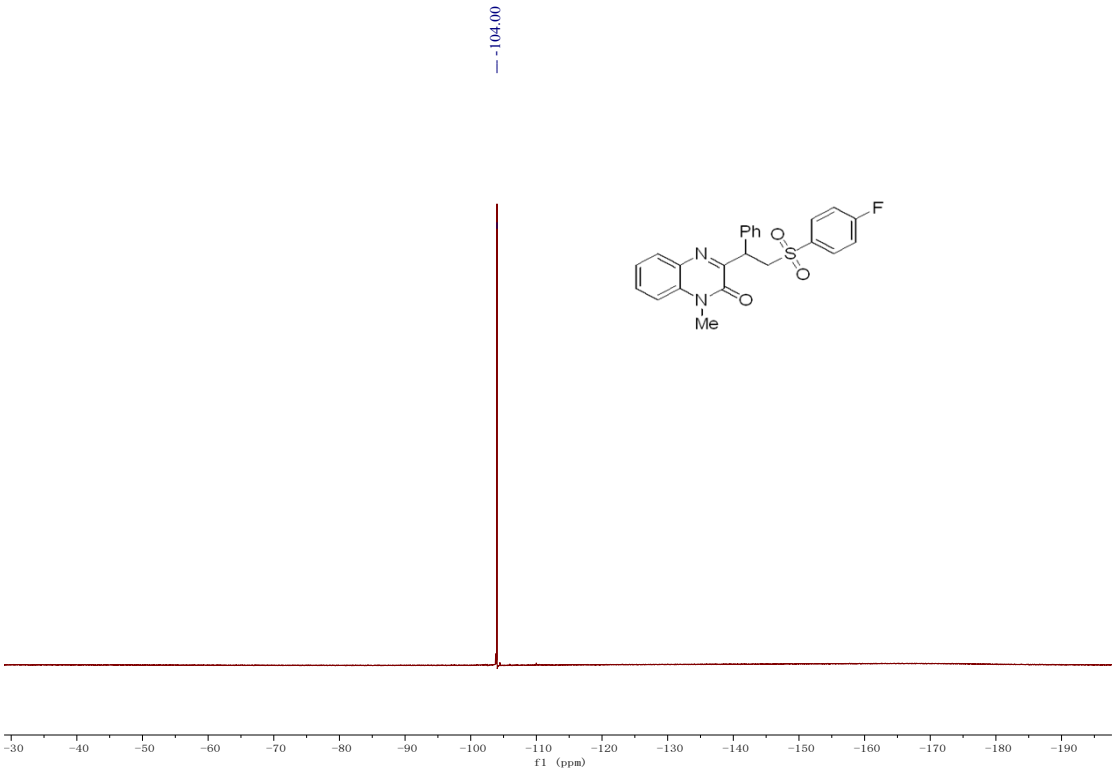
3-(2-((4-fluorophenyl)sulfonyl)-1-phenylethyl)-1-methylquinoxalin-2(1*H*)-one (5m)



^{1}H spectra of **5m**

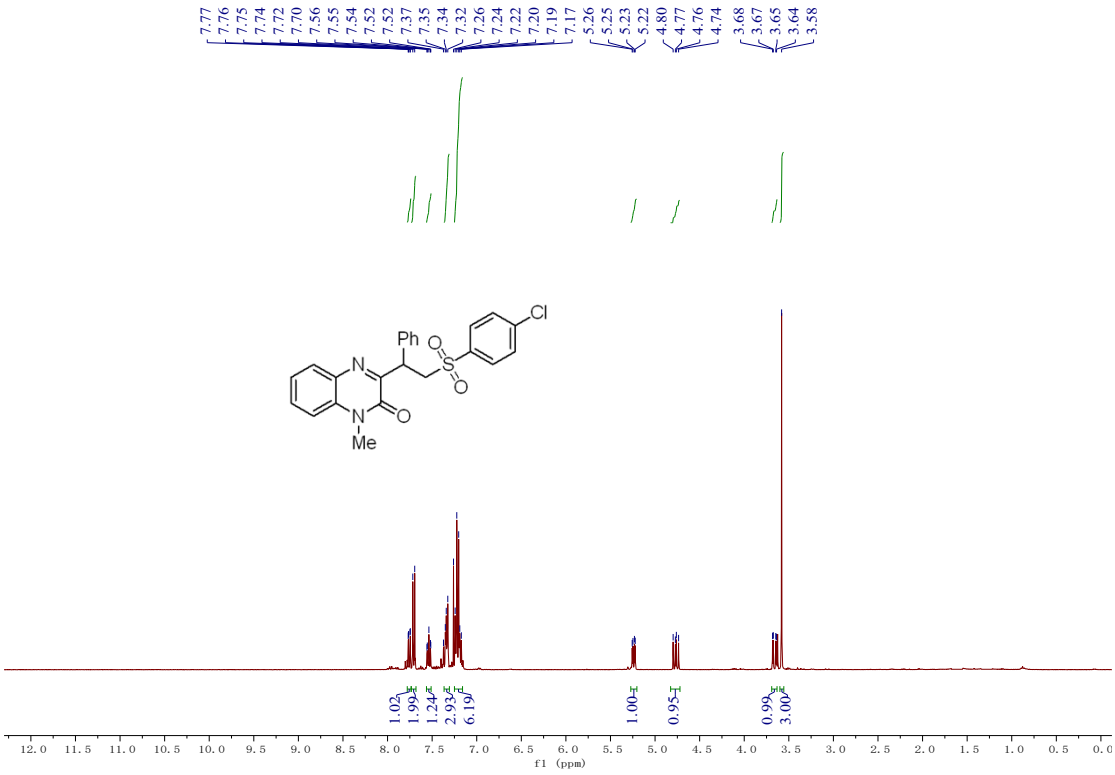


^{13}C spectra of **5m**

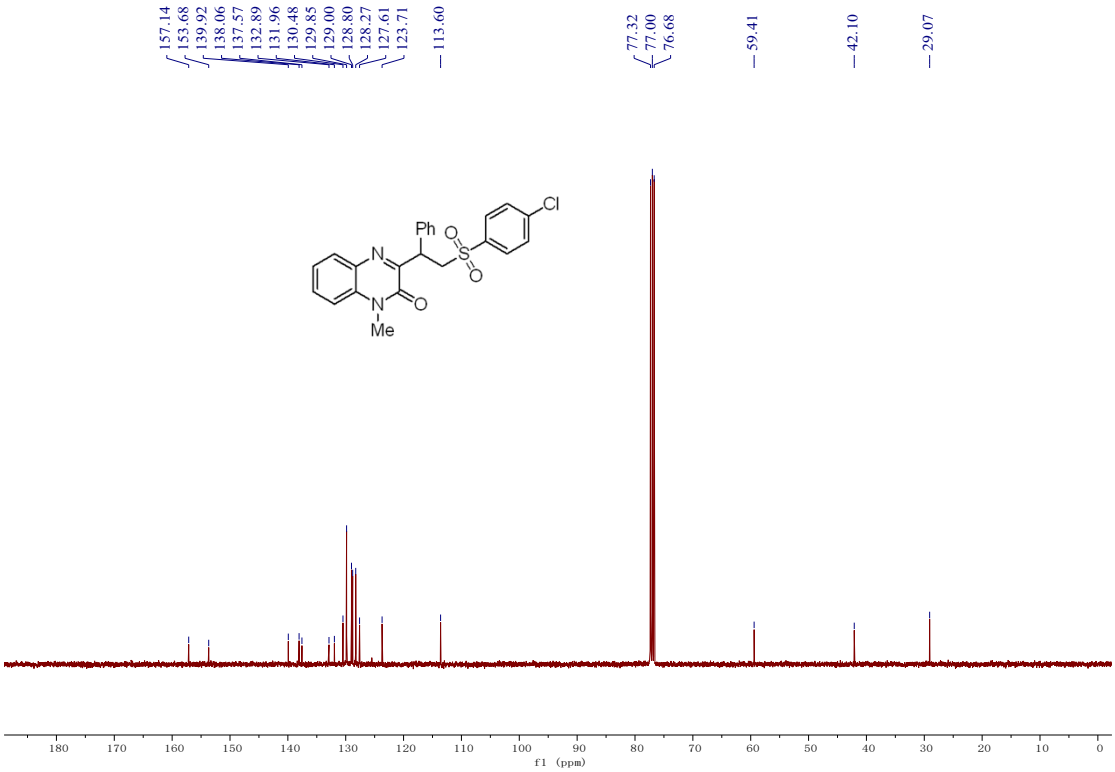


^{19}F spectra of **5m**

3-(2-((4-chlorophenyl)sulfonyl)-1-phenylethyl)-1-methylquinoxalin-2(1*H*)-one (5n)

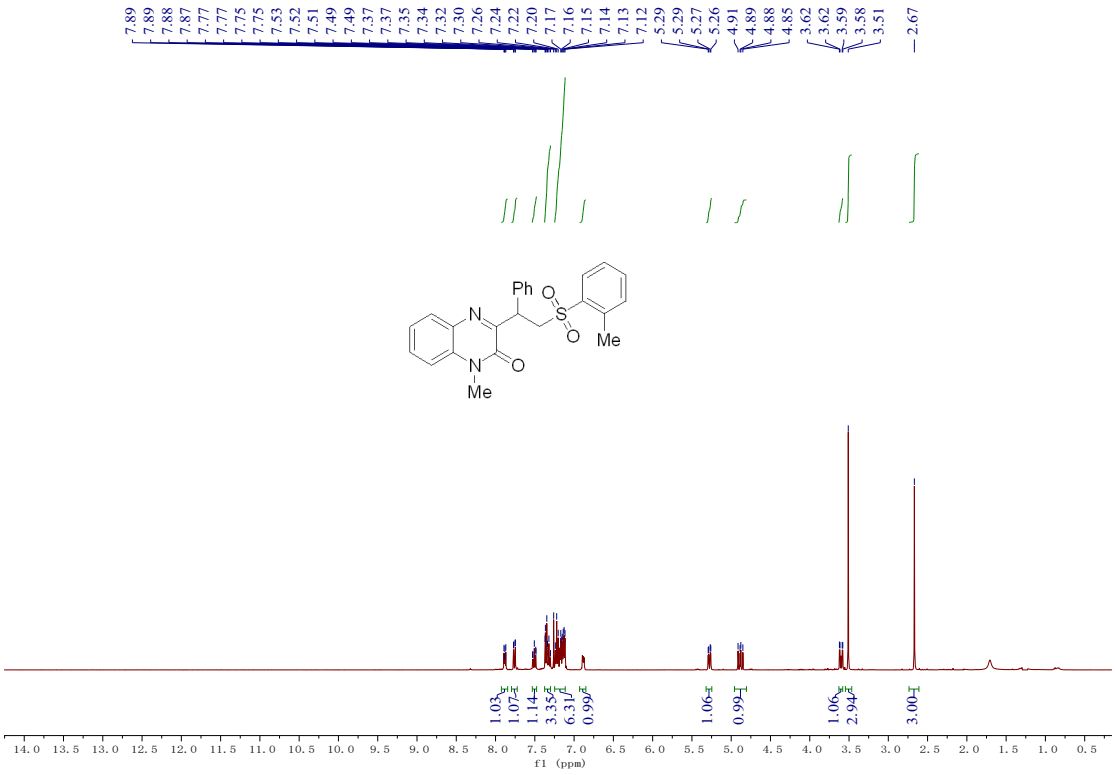


^{1}H spectra of **5n**

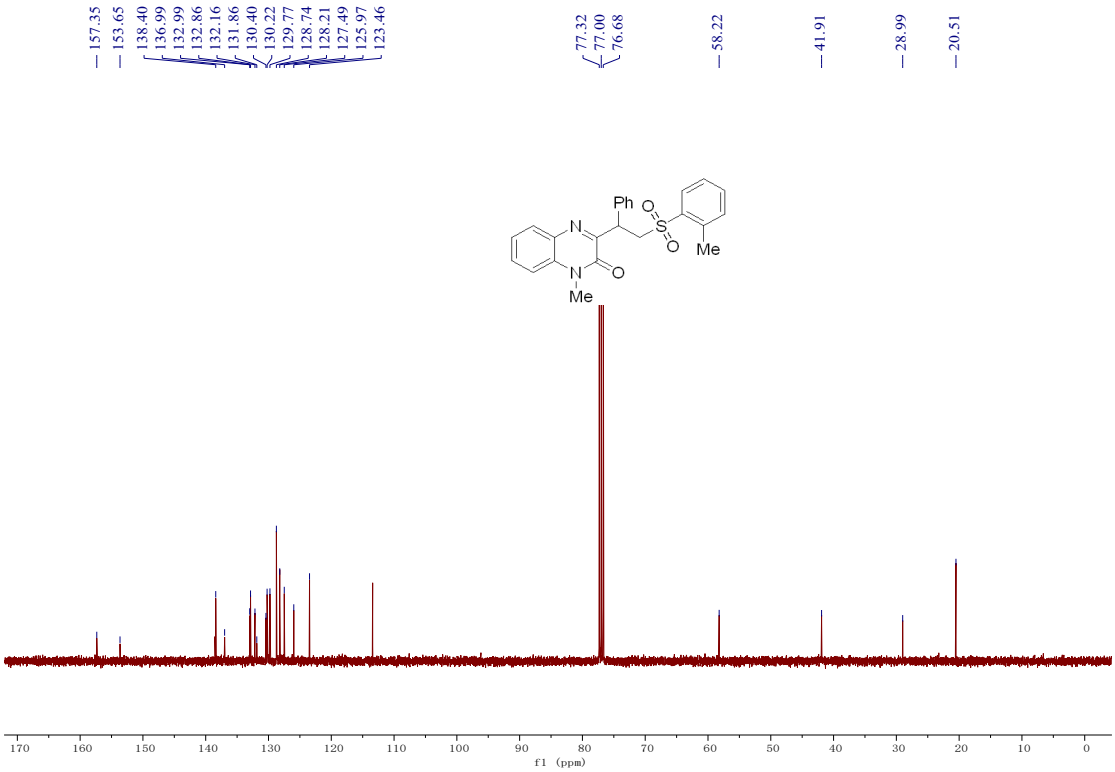


^{13}C spectra of **5n**

1-methyl-3-(1-phenyl-2-(o-tolylsulfonyl)ethyl)quinoxalin-2(1*H*)-one (5o)

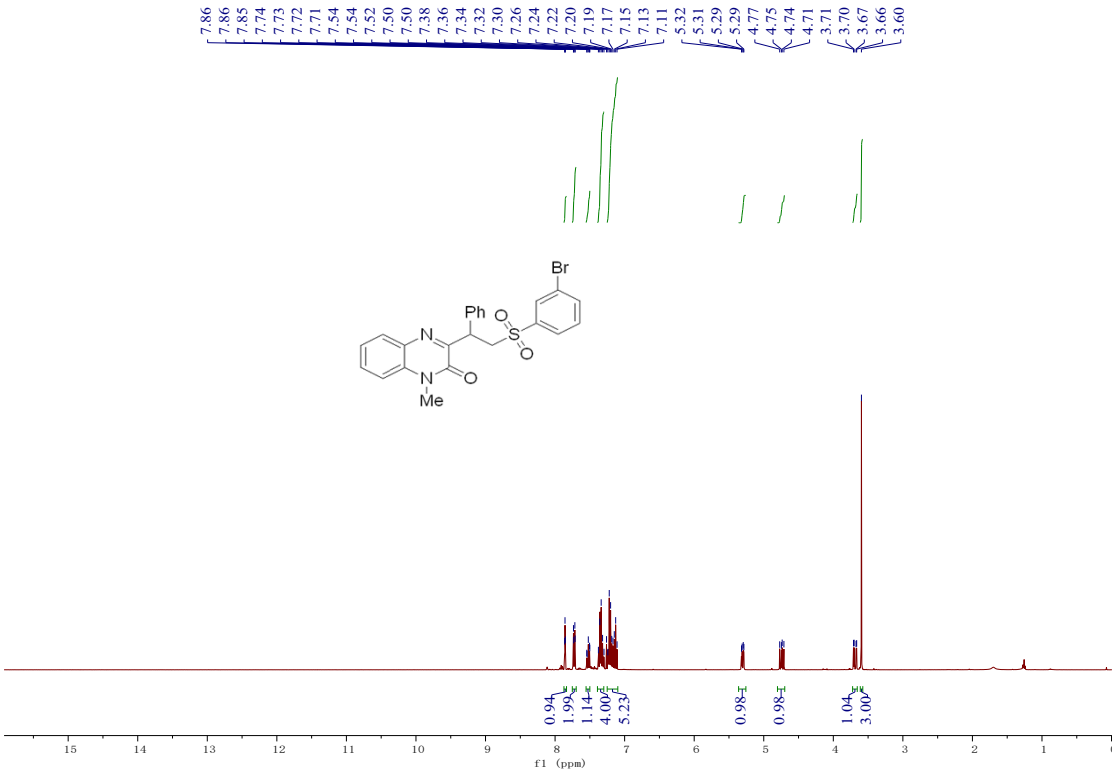


^{1}H spectra of **5o**

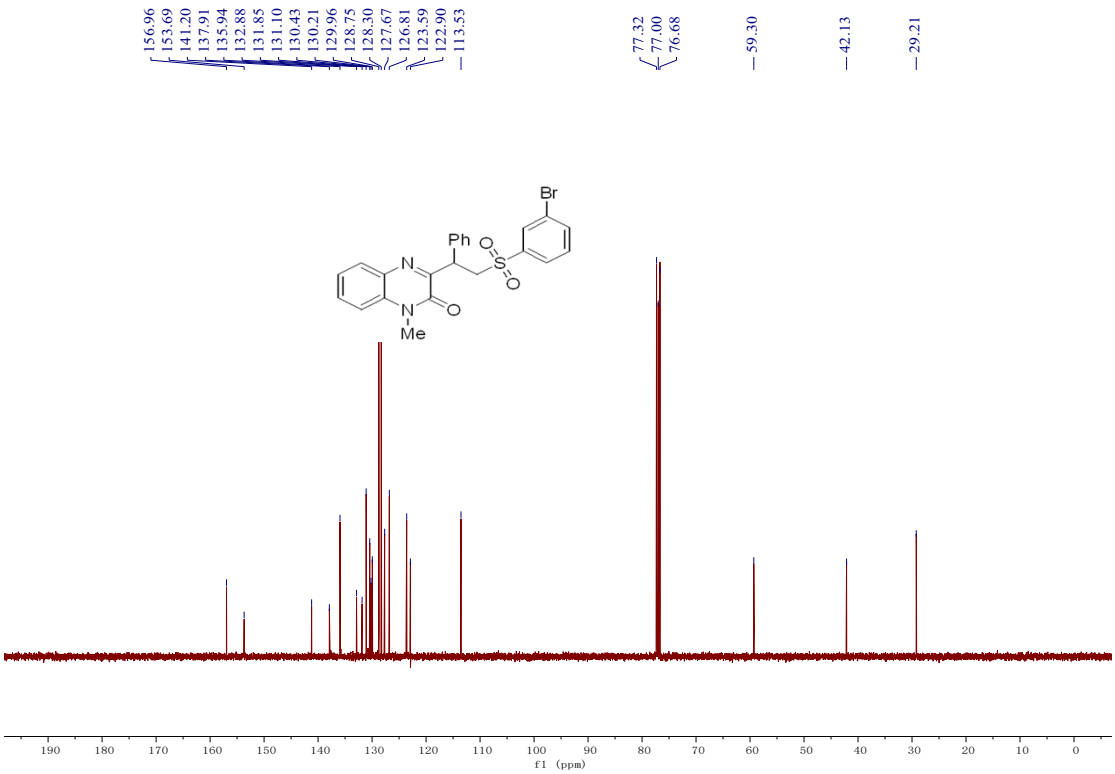


^{13}C spectra of **5o**

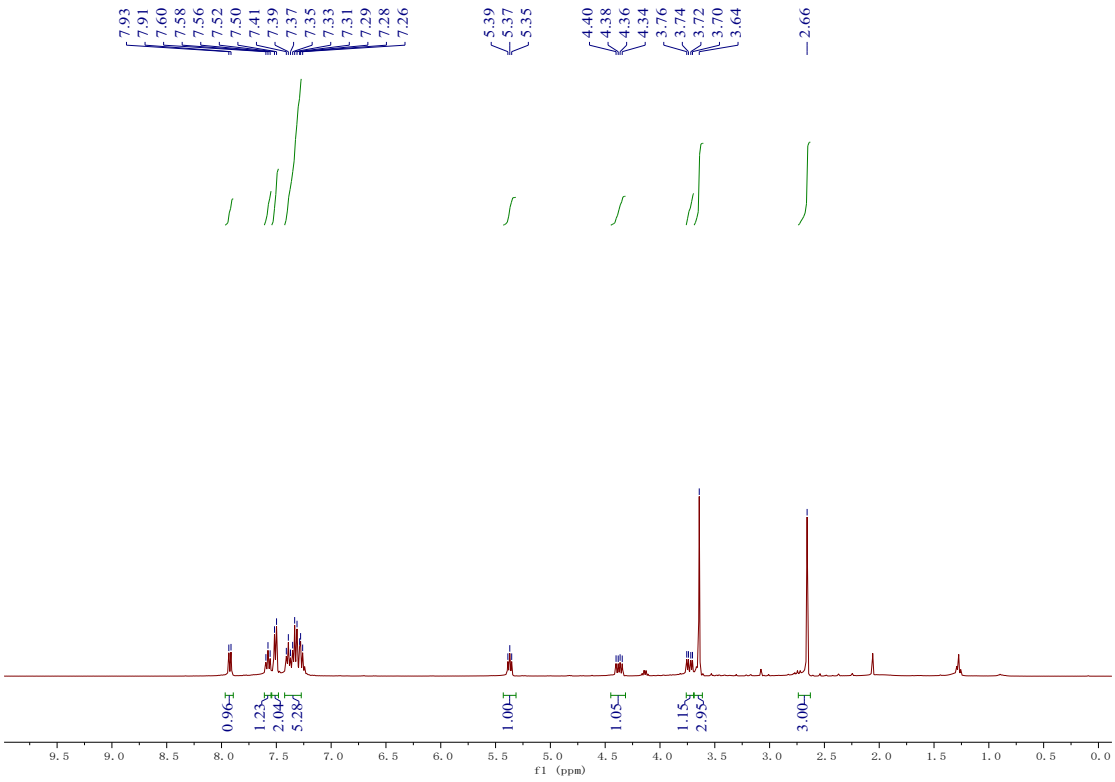
3-(2-((3-bromophenyl)sulfonyl)-1-phenylethyl)-1-methylquinoxalin-2(1*H*)-one (5p)



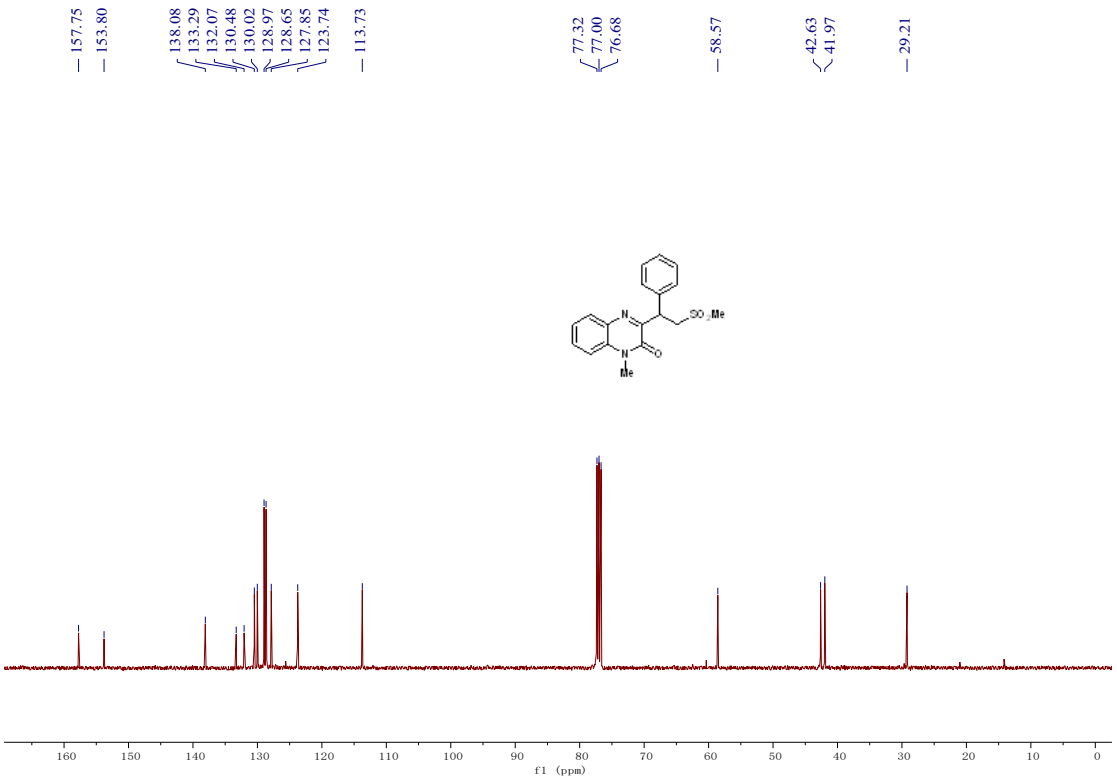
^{1}H spectra of **5p**



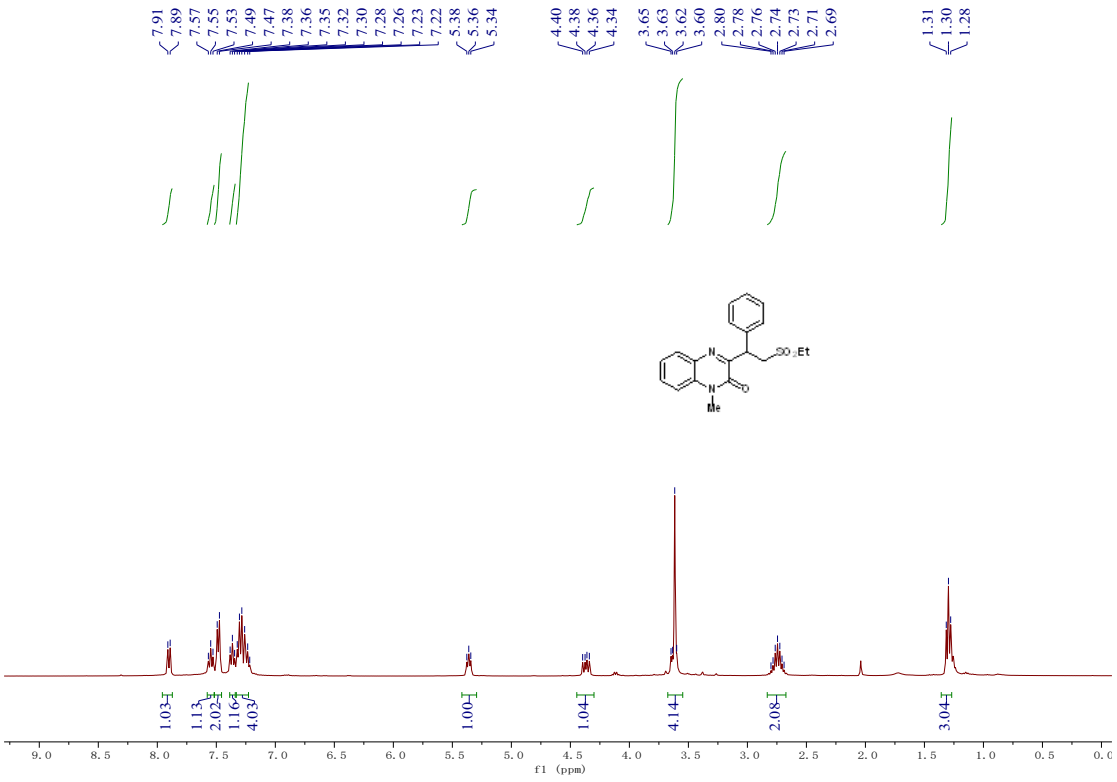
^{13}C spectra of **5p**



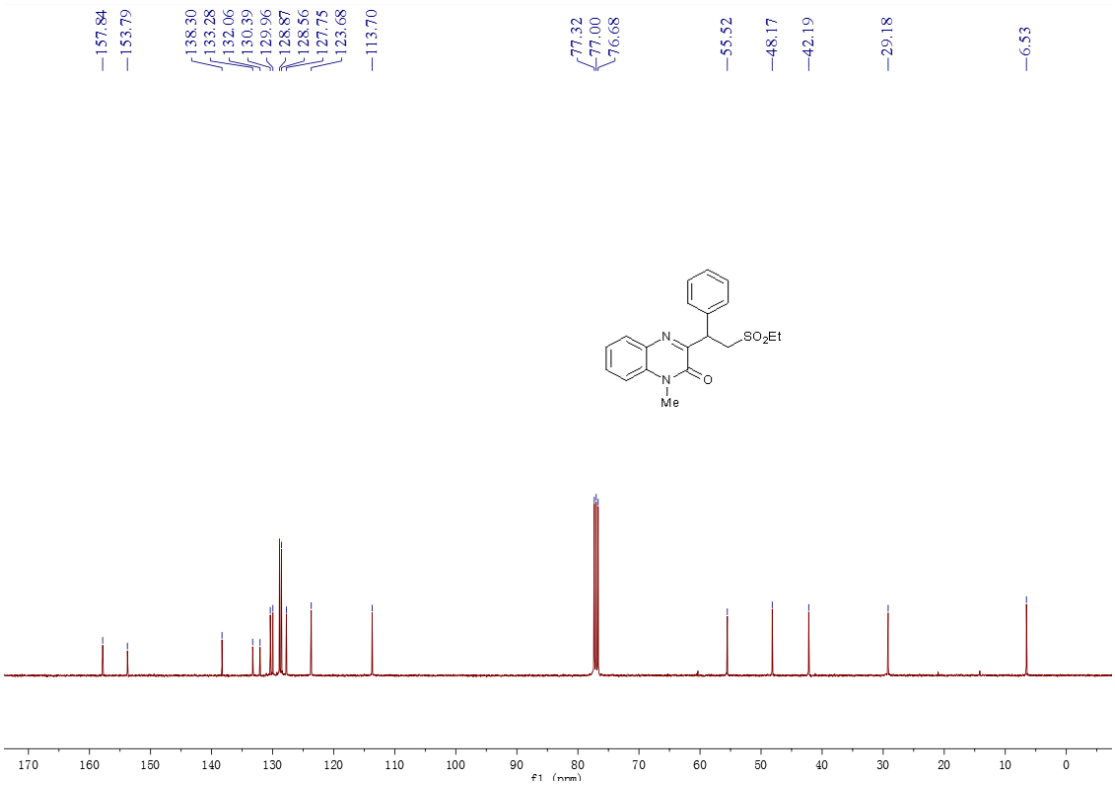
^{1}H spectra of **5r**



^{13}C spectra of **5r**



^{1}H spectra of **5s**



^{13}C spectra of **5s**