Photoinduced Three-Component Alkylpyridination of Alkenes via Spiro-Dihydroquinazolinone Fragmentation

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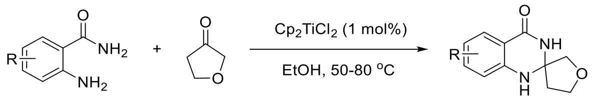
1. General Information

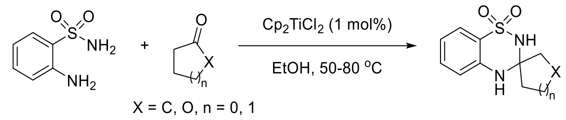
Unless otherwise noted, all reactions were carried out under nitrogen atmosphere. Reagents and solvents were purchased from commercial suppliers and were used without further purification. Analytical TLC: aluminum backed plates pre-coated (0.25 mm) with Merck Silica Gel GF-254. Column chromatography purifications were carried out using 200-300 mesh silica gel. Melting points were measured using open glass capillaries in a SGW® X-4A apparatus. ^{1}H and ^{13}C spectra were recorded on a 400 MHz JEOL (100 MHz for ^{13}C NMR) spectrometer at ambient temperature. Chemical shifts are reported in ppm from TMS with the solvent resonance as internal standard ($CDCl_3$: ^{1}H NMR: δ 7.26; ^{13}C NMR: δ 77.0; DMSO-d_6: ^{1}H NMR: δ 2.50; ^{13}C NMR: δ 39.5). Coupling constants are reported in Hz with multiplicities denoted as s (singlet), d (doublet), t (triplet), q (quartet), dd (doublet of doublets), td (triplet of doublets), m (multiplet) and br (broad). Infrared spectra were recorded on a Bruker V 70 and only major peaks were reported in cm^{-1}. HRMS were obtained on a WATERS I-Class VION IMS Q-Tof with an ESI source.

2. General Procedure for Synthesis of Dihydroquinazolinones 1

The spiro pre-aromatics **1**[1-6] were prepared according to the literature. The specific experimental steps are as follows:



Dihydroquinazolinones **1** were prepared as follows: Under ambient air, a 50 mL oven-dried round-bottom flask equipped with a magnetic stir bar was charged with the corresponding 2-aminobenzamides (10.0 mmol, 1.0 equiv), dihydrofuran-3(2*H*)-one (11.0 mmol, 1.1 equiv), and Cp_2TiCl_2 (1 mol%) in EtOH (10 mL). The reaction mixture was stirred at 50–80 °C for 4 h, with monitored by thin-layer chromatography (TLC). Upon cooling to room temperature, the precipitate was collected by suction filtration, washed with water, and purified by recrystallization from ethanol to yield the desired product (**1b-1e**).



Under ambient air, a 50 mL oven-dried round-bottom flask equipped with a magnetic stir bar was charged with the 2-aminobenzenesulfonamide (5.0 mmol, 1.0 equiv), cyclobutanone or dihydrofuran-3(2*H*)-one (5.5 mmol, 1.1 equiv), and Cp_2TiCl_2 (1 mol%) in EtOH (5 mL). The reaction mixture was stirred at 50–80 °C for 4 h, with monitored by thin-layer chromatography (TLC). Upon cooling to room temperature, the precipitate was collected by suction filtration, washed with water, and purified by recrystallization from ethanol to afford the target product (**1l** and **1m**).

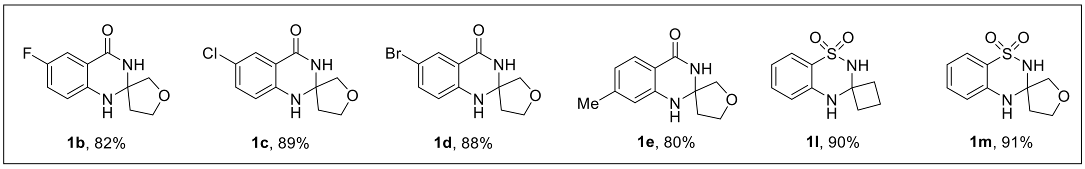


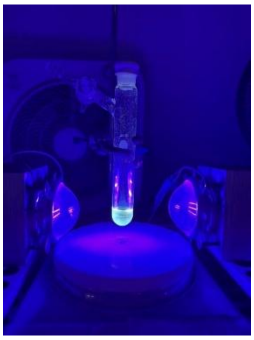
Figure S1. Spiro pre-aromatics **1**

3. Optimization of Reaction Conditions

3.1 General Procedure for the Reaction of Spiro-Dihydroquinazolinone 1a with Styrene 2a and 4-Cyanopyridine 3a

To a 10 mL oven-dried Schlenk tube equipped with a magnetic stir bar, spiro dihydroquinazolinone **1a** (0.3 mmol, 1.5 equiv), 4-cyanopyridine **3a** (0.3 mmol, 1.5 equiv), and PC (1 mol% or not) were added. The tube was evacuated and backfilled with nitrogen (3 times). Then, a solution of styrene **2a** (0.2 mmol, 1.0 equiv) in solvent (2.0 mL) was injected by a syringe under a nitrogen atmosphere. The reaction mixture was stirred under irradiation with a 30 W purple LEDs (λ = 395 nm, positioned ~1.0 cm from the bulb) for the specified time. Upon completion, the mixture was quenched with water and extracted with EtOAc (3 × 10 mL). The combined organic layer was washed with brine (10 mL), dried over Na_2SO_4, and concentrated under in vacuo. The crude product was purified by flash column chromatography on silica gel (EtOAc eluent), yielding the desired product **4a** as a yellow liquid.

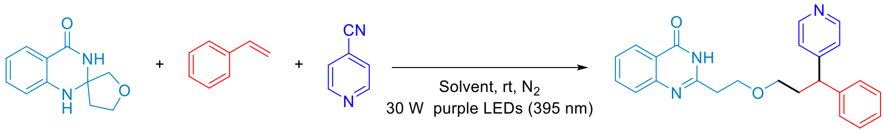
The Visible-Light Photoredox Catalysis Experimental Setup (photographed by author Li-Na Guo)



3.2 Optimizations of the Three-Component Reaction Involving 1a, 2a, and 3a

3.2.1 Optimization of Reaction Conditions in the Absence of a Photocatalyst

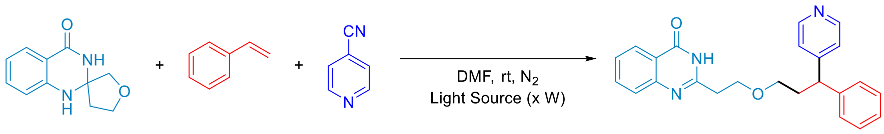
1) Screening of Solvents[a]



Entry	Solvent	Yield (%)[b]
1	**DMF**	**63**
2	DMSO	58
3	DMAc	30
4	NMP	52
5	CH_3CN	Trace
6	Acetone	Trace
7	MeOH	Trace
8	DCE	Trace
9	THF	Trace
10	Toluene	Trace

[a]Reaction conditions: **1a** (0.3 mmol, 1.5 equiv.), **2a** (0.2 mmol, 1.0 equiv.), **3a** (0.3 mmol, 1.5 equiv.) in Solvent (2.0 mL) with 30 W purple LEDs irradiation at room temperature for 18 h under N_2. [b]Yield of isolated product.

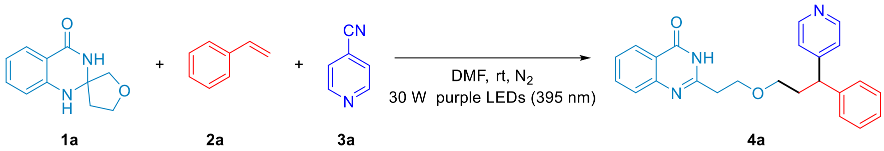
2) Screening of Light Sources[a]



Entry	Light Source	Yield (%)[b]
1	**30 W purple LEDs (395 nm)**	**63**
2	30 W blue LEDs (465 nm)	Trace
3	30 W blue LEDs (425 nm)	Trace
4	10 W blue LEDs (440 nm)	Trace
5	10 W blue LEDs (420 nm)	Trace
6	30 W White LEDs	Trace

[a]Reaction conditions: **1a** (0.3 mmol, 1.5 equiv.), **2a** (0.2 mmol, 1.0 equiv.), and **3a** (0.3 mmol,1.5 equiv.) in DMF (2.0 mL) with x w LEDs irradiation at room temperature for 18 h under N_2. [b]Yield of isolated product.

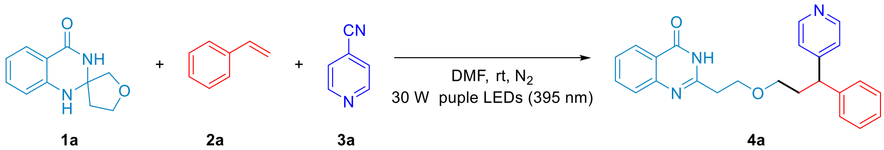
3) Screening of Ratios of **1a**:**2a**:**3a**[a]



Entry	**1a:2a:3a**	Yield (%)[b]
1	1:1.5:1.5	38
2	1:1.5:2	42
3	1:2:2	40
4	1:1:1	31
5	**1.5:1:1.5**	**63**
6	1.5:1.5:1	52

[a]Reaction conditions: **1a**:**2a**:**3a** = x in DMF (2.0 mL) with 30 W purple LEDs irradiation at room temperature for 18 h under N_2. [b]Yield of isolated product.

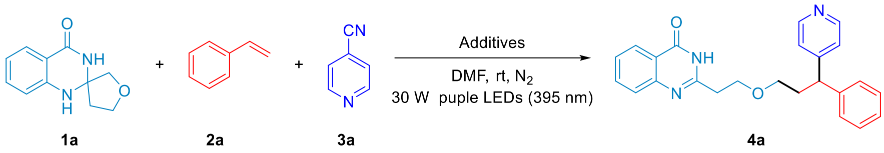
4) Screening of Times[a]



Entry	Time (h)	Yield (%)[b]
1	12	49
2	18	63
3	24	66
4	**28**	**71**
5	32	70

[a]Reaction conditions: **1a** (0.3 mmol, 1.5 equiv.), **2a** (0.2 mmol, 1.0 equiv.), and **3a** (0.3 mmol, 1.5 equiv.) in DMF (2.0 mL) with 30 W purple LEDs irradiation at room temperature under N_2. [b]Yield of isolated product.

5) Screening of Additives[a]

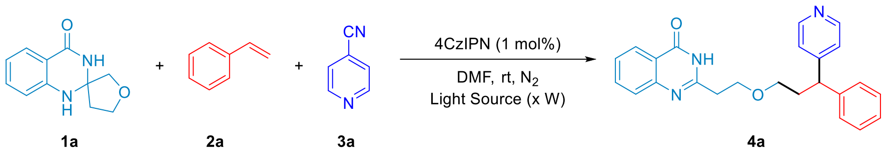


Entry	Add Other Additives	Yield (%)[c]
1	None	71(49)[b]
2	Na_2CO_3	55
3	$NaHCO_3$	57
4	K_2CO_3	54
5	$KHCO_3$	59
6	Et_3N	Trace
7	**4CzIPN (1 mol%)**	**83**

[a]Reaction conditions: **1a** (0.3 mmol, 1.5 equiv.), **2a** (0.2 mmol, 1.0 equiv.), and **3a** (0.3 mmol,1.5 equiv.) and additives in DMF (2.0 mL) with 30 W purple LEDs irradiation at room temperature for 28 h under N_2. [b]Dry DMF was used. [C]Yield of isolated product.

3.2.2 Optimizing Reaction Conditions with a Photocatalyst

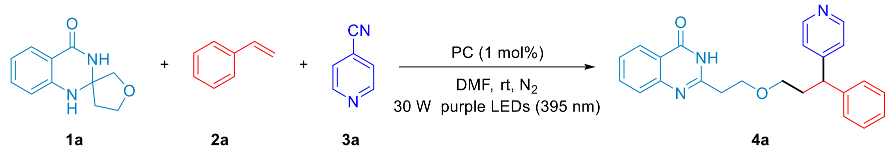
1) Screening of Light Sources[a]

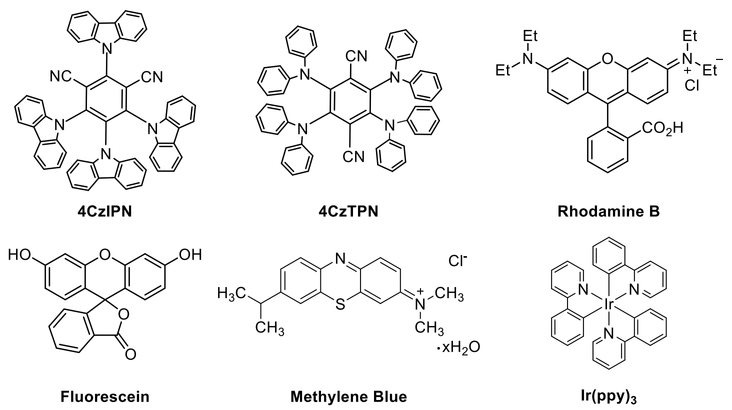


Entry	Light Source	Yield (%)[b]
1	**30 W purple LEDs** (395 nm)	**83**
2	20 W purple LEDs (395 nm)	48
3	10 W purple LEDs (395 nm)	Trace
4	30 W blue LEDs (465 nm)	65
5	20 W blue LEDs (465 nm)	75
6	10 W blue LEDs (465 nm)	60
7	10 W blue LEDs (440 nm)	Trace
8	10 W blue LEDs (420 nm)	Trace
9	10 W blue LEDs (400 nm)	70

[a]Reaction conditions: **1a** (0.3 mmol, 1.5 equiv.), **2a** (0.2 mmol, 1.0 equiv.), **3a** (0.3 mmol,1.5 equiv.) and 4CzIPN (1 mol%) in DMF (2.0 mL) with x W LEDs irradiation at room temperature for 28 h under N_2. [b]Yield of isolated product.

2) Screening of Photocatalysts[a]

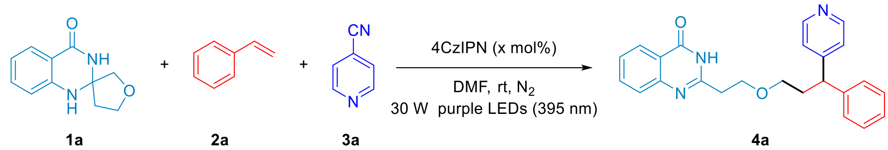




Entry	Photocatalyst (PC)	Yield (%)[b]
1	**4CzIPN**	**83**
2	4CzTPN	75
3	Rhodamine B	Trace
4	Fluorescein	63
5	TXT	27
6	Methylene Blue	44
7	Ir(ppy)$_3$	20

[a]Reaction conditions: **1a** (0.3 mmol, 1.5 equiv.), **2a** (0.2 mmol, 1.0 equiv.), **3a** (0.3 mmol,1.5 equiv.) and PC (1 mol%) in DMF (2.0 mL) with 30 W purple LEDs irradiation at room temperature for 28 h under N_2. [b]Yield of isolated product.

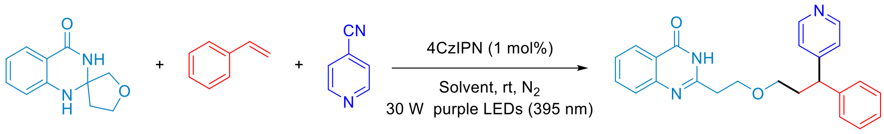
3) Screening of Amount of 4CzIPN[a]



Entry	4CzIPN (x mol%)	Yield (%)[b]
1	0.5	75
2	**1**	**83**
3	2	80
4	3	72

[a]Reaction conditions: **1a** (0.3 mmol, 1.5 equiv.), **2a** (0.2 mmol, 1.0 equiv.), **3a** (0.3 mmol,1.5 equiv.) and 4CzIPN (x mol%) in DMF (2.0 mL) with 30 W purple LEDs irradiation at room temperature for 28 h under N_2. [b]Yield of isolated product.

4) Screening of Solvents[a]



Entry	Solvent	Yield (%)[b]
1	**DMSO**	**88**
2	DMF	83
3	DMAc	48
4	NMP	66
5	CH_3CN	Trace
6	Acetone	Trace
7	MeOH	Trace
8	DCE	Trace
9	THF	Trace
10	Toluene	Trace

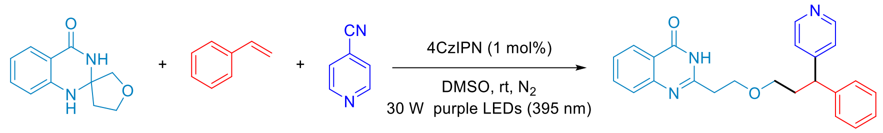
[a]Reaction conditions: **1a** (0.3 mmol, 1.5 equiv.), **2a** (0.2 mmol, 1.0 equiv.), **3a** (0.3 mmol,1.5 equiv.) and 4CzIPN (1 mol%) in Solvent (2.0 mL) with 30 W purple LEDs irradiation at room temperature for 28 h under N_2. [b]Yield of isolated product.

5) Control Experiments[a]

Entry	Reaction Conditions	Yield (%)
1	In dark	N.R.
2	In air	N.R.

[a]Reaction conditions: **1a** (0.3 mmol, 1.5 equiv.), **2a** (0.2 mmol, 1.0 equiv.), **3a** (0.3 mmol,1.5 equiv.) and 4CzIPN (1 mol%) in DMSO (2.0 mL) with 30 W purple LEDs irradiation at room temperature for 28 h in air, in dark or under N_2.

4. Respective Procedure



To a 10 mL oven-dried Schlenk tube equipped with a magnetic stir bar, spiro dihydroquinazolinone **1a** (0.3 mmol, 61.3 mg, 1.5 equiv.), 4-cyanopyridine **3a** (0.3 mmol, 31.2 mg, 1.5 equiv.), and 4CzIPN (1.6 mg, 1 mol%) were added. The tube was evacuated and backfilled with nitrogen (3 times). Then, a solution of styrene **2a** (0.2 mmol, 23 μl, 1.0 equiv.) in DMSO (2.0 mL) was injected by a syringe under a nitrogen atmosphere. The reaction mixture was stirred under irradiation with a 30 W purple LEDs (λ = 395 nm, positioned ~1.0 cm from the bulb) for 28 h. Upon completion, the mixture was quenched with water and extracted with EtOAc (3 × 10 mL). The combined organic layer was washed with brine (10 mL), dried over Na_2SO_4, and concentrated under in vacuo. The crude product was purified by flash column chromatography on silica gel (EtOAc eluent), yielding the desired product **4a** as a yellow liquid.

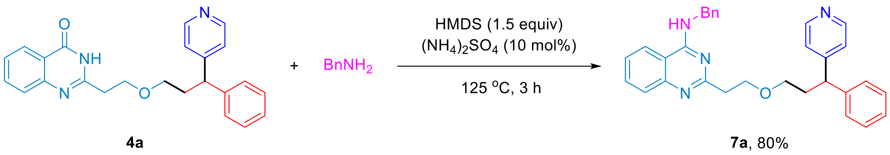
5. Large-Scale Synthesis of 4a

1a **2a** 5.0 mmol scale **3a** **4a**, 1.6 g, 85% yield

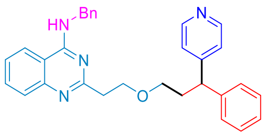
To a 200 mL oven-dried Schlenk tube equipped with a magnetic stir bar, spiro dihydroquinazolinone **1a** (7.5 mmol, 1.5 g, 1.5 equiv.), 4-cyanopyridine **3a** (7.5 mmol, 0.8 g, 1.5 equiv.), and 4CzIPN (0.05 mmol, 39.4 mg, 1 mol%) were added. The tube was evacuated and backfilled with nitrogen (3 times). Then, a solution of styrene **2a** (5.0 mmol, 0.6 mL, 1.0 equiv.) in DMSO (50 mL) was injected by a syringe under a nitrogen atmosphere. The reaction mixture was stirred under irradiation with a 30 W purple LEDs (λ = 395 nm, positioned ~1.0 cm from the bulb) for 56 h. Upon completion, the mixture was quenched with water and extracted with EtOAc (3 × 10 mL). The combined organic layer was washed with brine (20 mL), dried over Na_2SO_4, and concentrated under in vacuo. The crude product was purified by flash column chromatography on silica gel (EtOAc eluent), yielding the desired product **4a** as a yellow liquid (1.6 g, 85% yield).

6. Derivatizations of 4a

6.1 Amination of 4a with Benzylamine

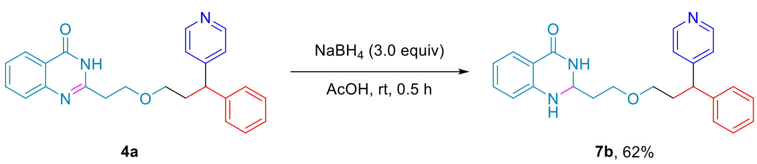


To a 10 mL oven-dried Schlenk tube equipped with a magnetic stir bar, **4a** (0.2 mmol, 1.0 equiv.), $BnNH_2$ (0.3 mmol, 1.5 equiv.), HMDS (0.3 mmol, 1.5 equiv.) and $(NH_4)_2SO_4$ (0.02 mmol, 10 mol%) were added. The tube was evacuated and backfilled with nitrogen (3 times). Place the tub in an oil bath. Pre-heat the tube to 125 °C. Stir the mixture for 3 h. After completion of the reaction, the reaction mixture was cooled to room temperature. Dilute the reaction mixture with DCM and purify by flash column chromatography on silica gel (EtOAc eluent) to afford the corresponding product **7a** (76.0 mg, 80% yield).

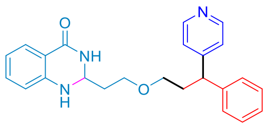


***N*-Benzyl-2-(2-(3-phenyl-3-(pyridin-4-yl)propoxy)ethyl)quinazolin-4-amine(7a):** Yellow oil (76.0 mg, 80% yield); R_f = 0.3 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.30 (d, *J* = 6.0 Hz, 2H), 7.72 (d, *J* = 8.4 Hz, 2H), 7.61 – 7.56 (m, 1H), 7.28 – 7.14 (m, 9H), 7.03 (d, *J* = 6.8 Hz, 2H), 6.96 (d, *J* = 5.6 Hz, 2H), 4.76 (d, *J* = 5.2 Hz, 2H), 4.01 – 3.96 (m, 1H), 3.85 (t, *J* = 6.8 Hz, 2H), 3.28 (t, *J* = 6.4 Hz, 2H), 3.07 (t, *J* = 6.8 Hz, 2H), 2.17 (dd, *J* = 14.0, 6.4 Hz, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.8, 159.4, 153.8, 149.7, 142.8, 138.7, 132.55, 128.7, 128.6, 128.04, 127.99, 127.9, 127.5, 126.7, 125.3, 123.3, 121.8, 120.8, 113.4, 69.5, 67.9, 46.5, 45.1, 40.3, 34.6 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{31}H_{30}N_4O$ 475.2492; Found 479.2508.

6.2 Reduction of 4a with $NaBH_4$

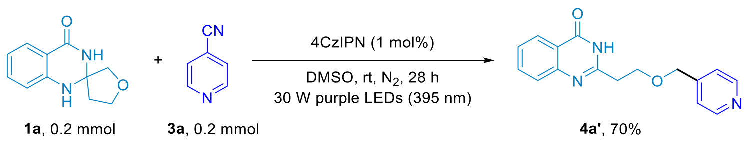


To a 10 mL oven-dried Schlenk tube equipped with a magnetic stir bar, **4a** (0.2 mmol, 1.0 equiv.) was added. The tube was evacuated and backfilled with nitrogen (3 times). Then a solution of AcOH (2.0 mL) was injected by a syringe under nitrogen atmosphere. The solution was cooled to 0 °C, and $NaBH_4$ (0.4 mmol, 2.0 equiv.) was added. The reaction mixture was stirred at 0 °C for 0.5 h until complete consumption of **4a**. The reaction was quenched with saturated aqueous NH_4Cl solution and extracted with EA (3 × 10 mL). The combined organic layers were dried over anhydrous $MgSO_4$, filtered, concentrated under reduced pressure, and purified by flash column chromatography on silica gel (eluent: EA) to afford **7b** as a yellow oil (48.1 mg, 62% yield).

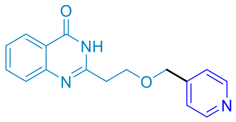


2-(2-(3-Phenyl-3-(pyridin-4-yl)propoxy)ethyl)-2,3-dihydroquinazolin-4(1*H*)-one(7b): Yellow oil (48.1 mg, 62% yield); R_f = 0.3 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.49 (d, *J* = 4.4 Hz, 2H), 7.87 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.32 – 7.17 (m, 9H), 6.82 (t, *J* = 7.6 Hz, 1H), 6.61 (d, *J* = 8.4 Hz, 1H), 4.99 (t, *J* = 6.0 Hz, 1H), 4.88 (s, 1H), 4.10 (t, *J* = 8.0 Hz, 1H), 3.56 – 3.52 (m, 2H), 3.38 – 3.35 (m, 2H), 2.36 – 2.31 (m, 2H), 2.05 – 2.00 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 165.4, 153.5, 149.8, 147.7, 142.3, 133.8, 128.9, 128.5, 127.9, 127.0, 123.2, 119.2, 115.9, 114.7, 69.0, 67.8, 65.6, 47.0, 35.1, 34.5 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{24}H_{25}N_3O_2$ 388.2020; Found 388.2011.

6.3 Two-Component Reaction



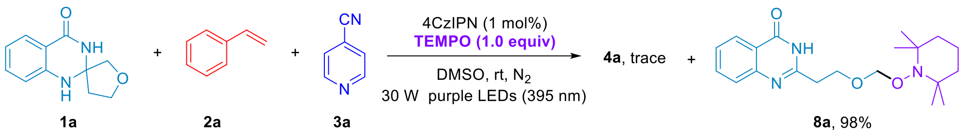
To a 10 mL oven-dried Schlenk tube equipped with a magnetic stir bar, spiro dihydroquinazolinone **1a** (0.2 mmol, 40.7 mg, 1.0 equiv.), 4-cyanopyridine **3a** (0.3 mmol, 20.8 mg, 1.5 equiv.), and 4CzIPN (1.6 mg, 1 mol%) were added. The tube was evacuated and backfilled with nitrogen (3 times). Then, a solution of DMSO (2.0 mL) was injected by a syringe under a nitrogen atmosphere. The reaction mixture was stirred under irradiation with a 30 W purple LEDs (λ = 395 nm, positioned ~1.0 cm from the bulb) for 28 h. The crude product was purified by flash column chromatography on silica gel (EtOAc eluent), yielding the desired product **4a'** as a white solid.



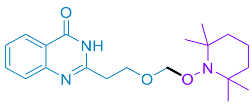
2-(2-(Pyridin-4-ylmethoxy)ethyl)quinazolin-4(3*H*)-one(4a'): white solid (56.2 mg, 70% yield); R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, DMSO-d_6) δ 12.31 (s, 1H), 8.44 – 8.43 (m, 2H), 8.06 – 8.03 (m, 1H), 7.77 – 7.72 (m, 1H), 7.56 (d, J = 8.0 Hz, 1H), 7.45 – 7.41 (m, 1H), 7.23 (d, J = 6.0 Hz, 2H), 4.53 (s, 2H), 3.86 (t, J = 6.4 Hz, 2H), 2.92 (t, J = 6.4 Hz, 2H); **^{13}C NMR** (100 MHz, DMSO-d_6) δ 162.2, 155.8, 150.0, 149.3, 148.1, 134.9, 127.3, 126.6, 126.3, 122.2, 121.4, 70.6, 67.9, 35.4 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{16}H_{16}N_3O_2$ 281.3109; Found 281.3102.

7. Investigation of the Reaction Mechanism

7.1 Radical Trapping Reaction with TEMPO

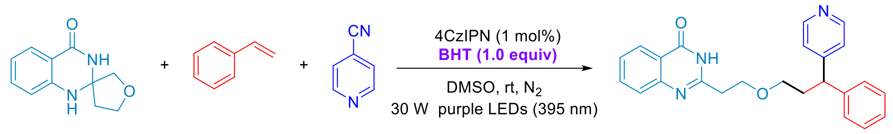


To a 10 mL oven-dried Schlenk tube equipped with a magnetic stir bar, **1a** (0.3 mmol, 1.5 equiv.), **3a** (0.3 mmol, 1.5 equiv.), 4CzIPN (1.6 mg, 1 mol%) and TEMPO (0.2 mmol 1.0 equiv.) were added. The tube was evacuated and backfilled with nitrogen (3 times). Then, a solution of **2a** (0.2 mmol, 1.0 equiv.) in DMSO (2.0 mL) was injected by a syringe under a nitrogen atmosphere. The reaction mixture was stirred under irradiation with a 30 W purple LEDs (λ = 395 nm, positioned ~1.0 cm from the bulb) for 28 h. After that, it was found that the formation of **4a** was totally inhibited. The crude product was purified by flash column chromatography on silica gel to afford compound **8a** as a white solid (72.8 mg, 98% yield). These results indicate that a radical intermediate might be involved in this transformation.



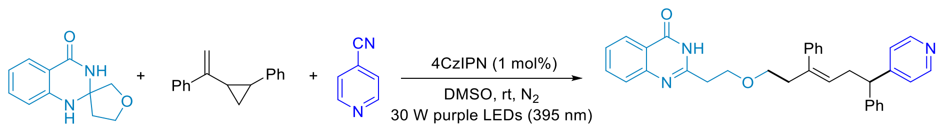
2-(2-(((2,2,6,6-Tetramethylpiperidin-1-yl)oxy)methoxy)ethyl)quinazolin-4(3*H*)-one(8a): White solid (70.5 mg, 98% yield); R_f = 0.7 (EtOAc); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.29 (s, 1H), 8.26 (d, *J* = 8.0 Hz, 1H), 7.76 – 7.72 (m, 1H), 7.65 (d, *J* = 8.4 Hz, 1H), 7.47 – 7.43 (m, 1H), 4.95 (s, 2H), 4.06 (t, *J* = 5.6 Hz, 2H), 3.04 (t, *J* = 5.6 Hz, 2H), 1.46 (s, 4H), 1.25 (s, 2H), 1.16 – 1.09 (m, 12H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.1, 154.8, 148.9, 134.6, 127.1, 126.5, 121.2, 101.7, 66.6, 59.6, 39.7, 36.2, 33.2, 20.2, 17.1 ppm; **HRMS** (ESI) m/z: $[M+H]^+$ Calcd for $C_{20}H_{30}N_3O_3$ 360.2282; Found 360.2278.

7.2 Radical Inhibiting Reaction with BHT

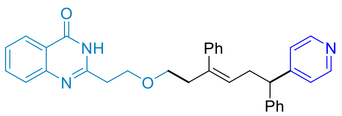


To a 10 mL oven-dried Schlenk tube equipped with a magnetic stir bar, **1a** (0.3 mmol, 1.5 equiv.), **3a** (0.3 mmol, 1.5 equiv.), 4CzIPN (1.6 mg, 1 mol%) and BHT (0.2 mmol 1.0 equiv.) were added. The tube was evacuated and backfilled with nitrogen (3 times). Then, a solution of **2a** (0.2 mmol, 1.0 equiv.) in DMSO (2.0 mL) was injected by a syringe under a nitrogen atmosphere. The reaction mixture was stirred under irradiation with a 30 W purple LEDs (λ = 395 nm, positioned ~1.0 cm from the bulb) for 28 h. After that, it was found that the formation of **4a** was totally inhibited. This result indicates that the reaction might proceed via a radical pathway.

7.3 Radical Clock Experiments



To a 10 mL oven-dried Schlenk tube equipped with a magnetic stir bar, **1a** (0.3 mmol, 1.5 equiv.), **3a** (0.3 mmol, 1.5 equiv.), and 4CzIPN (1.6 mg, 1 mol%) was added. The tube was evacuated and backfilled with nitrogen (3 times). Then, a solution of the alkene (0.2 mmol, 1.0 equiv.) in DMSO (2.0 mL) was injected by a syringe under a nitrogen atmosphere. The reaction mixture was stirred under irradiation with a 30 W purple LEDs (λ = 395 nm, positioned ~1.0 cm from the bulb) for 28 h. Upon completion, the mixture was quenched with water and extracted with EtOAc (3 × 10 mL). The combined organic layer was washed with brine (10 mL), dried over Na_2SO_4, and concentrated under in vacuo. The crude product was purified by flash column chromatography on silica gel (EtOAc eluent), yielding the desired product **9a** as a yellow liquid (40.1 mg, 40%).

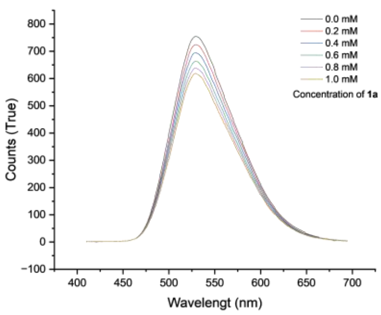


(*Z*)-2-(2-((3,6-Diphenyl-6-(pyridin-4-yl)hex-3-en-1-yl)oxy)ethyl)quinazolin-4(3*H*)-one(9a):

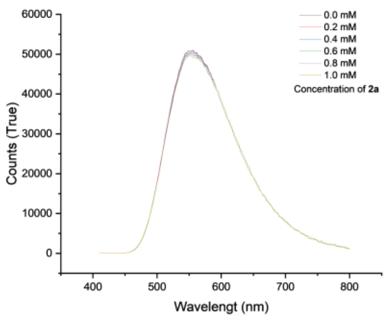
Yellow solid (40.1 mg, 40% yield); R_f = 0.5 (EtOAc); The NH on the heterocycle was not detected. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.44 (s, 2H), 8.27 – 8.24 (m, 1H), 7.74 – 7.70 (m, 1H), 7.63 (d, *J* = 7.6 Hz, 1H), 7.45 – 7.41 (m, 1H), 7.30 – 7.15 (m, 10H), 7.01 – 6.99 (m, 2H), 5.44 (t, *J* = 7.8 Hz, 1H), 3.98 (t, *J* = 8.0 Hz, 1H), 3.68 (t, *J* = 6.0 Hz, 2H), 3.36 (t, *J* = 6.0 Hz, 2H), 2.88 (t, *J* = 5.6 Hz, 2H), 2.73 – 2.68 (m, 2H), 2.54 (t, *J* = 6.4 Hz, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.0, 155.1, 154.9, 148.8, 148.3, 142.2, 139.7, 139.5, 134.6, 128.5, 128.3, 128.2, 128.0, 126.9, 126.7, 126.52, 126.49, 126.4, 123.8, 121.2, 69.3, 67.4, 50.9, 39.2, 35.8, 34.1 ppm; **HRMS** (ESI) m/z: $[M+ Na]^+$ Calcd for $C_{33}H_{31}N_3O_2Na$ 524.2398; Found 524.2409.

7.4 Stern–Volmer Fluorescent Quenching Studies

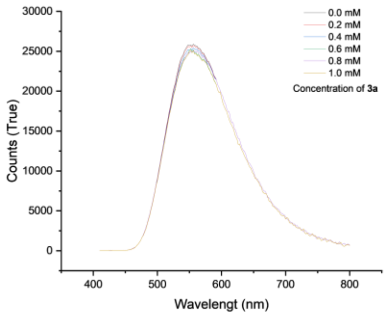
Stern-Volmer quenching experiments were carried by FLS980 Series of Fluorescence Spectrometers, using a 5×10^{-4} M solution of 4CzIPN with variable concentrations (0.2, 0.4, 0.6, 0.8, 1.0 mM) of **1a**, **2a** and **3a** in DMSO respectively. The intensity of the emission peak at 530 nm (λex = 395 nm) expressed as the ratio I_0/I, where I_0 is the emission intensity of photocatalyst at 530 nm in the absence of a quencher and I is the observed intensity, as a function of the quencher concentration was measured. Stern-Volmer plots for each component are given below. Stern-Volmer fluorescence quenching experiments revealed that only **1a** could quench the excited state of 4CzIPN*. These results are shown in Figure S2 and support the proposed reductive quenching pathway.



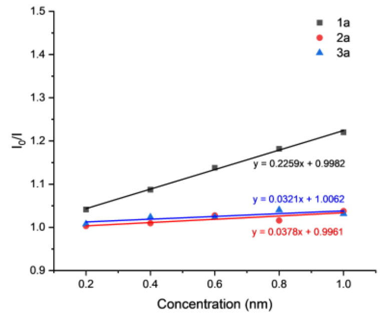
(a)



(b)



(c)



(d)

Figure S2. (a) The fluorescence emission spectra of 4CzIPN* with different concentration of **1a** added. (b) The fluorescence emission spectra of 4CzIPN* with different concentration of **2a** added. (c) The fluorescence emission spectra of 4CzIPN* with different concentration of **3a** added. (d) Stern-Volmer emission quenching studies of **1a**, **2a** and **3a**. I_0 is the inherent fluorescence intensity of 4CzIPN. I is the fluorescence intensity of 4CzIPN in the presence of **1a**, **2a** and **3a**.

7.5 Light on/off Experiments

To further examine the impact of light, we conducted experiments under alternating periods of irradiation and darkness. The product was purified by column chromatography, and the yield was calculated.

on/off	on	on	off	on	off	on	off
Time/h	0	2	4	6	8	10	12
Yield/%	0	22	23	34	35	51	52

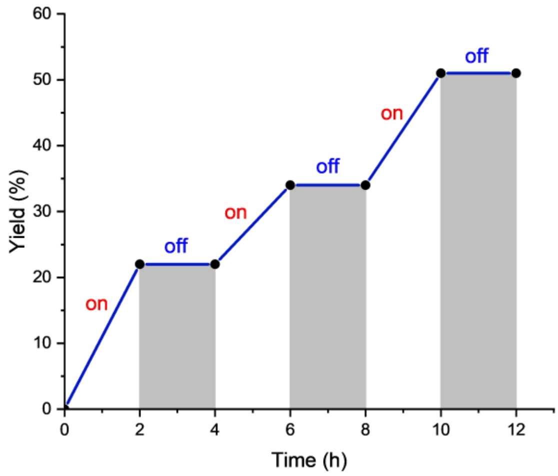


Figure S3. Light on/off Experiment of **1a**, **2a** and **3a**

The results of light on-off experiments indicated that the reaction proceeded only under the irradiation of light. The reaction maybe proceed by a catalytic process rather than by a radical chain process.

7.6 Mechanistic Validation of the Absence of Photocatalysts

7.6.1 UV-vis Absorption Experiments

Given that a yield of 71% was achieved in the absence of a photocatalyst during condition screening, we conducted UV absorption experiments to investigate the possible involvement of an EDA complex.

UV-vis absorption experiments were performed using a spectrophotometer. The samples were measured in a 1.5 mL quartz cuvette fitted with a PTFE stopper. Spiro dihydroquinazolinone **1a**, styrene **2a**, 4-cyanopyridine **3a**, **1a**+**2a**, **1a**+**3a**, **1a**+**2a**+**3a** were prepared as a 5 mM, 5 mM, 5 mM , 5 mM + 5 mM, 5 mM + 5 mM, and 5 mM + 5 mM + 5 mM in DMSO for measurement.

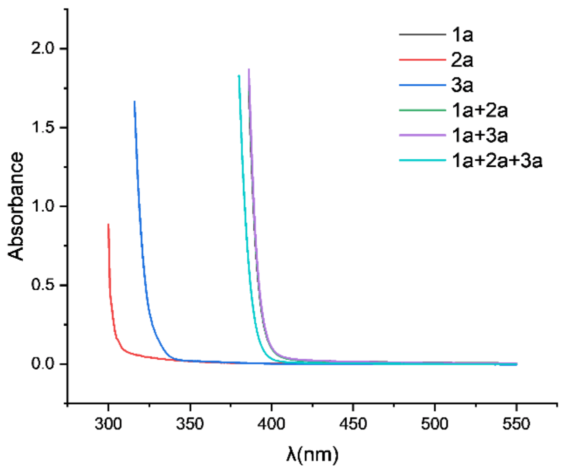
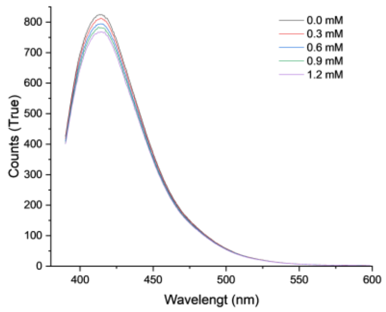


Figure S4. UV-vis absorption experiments

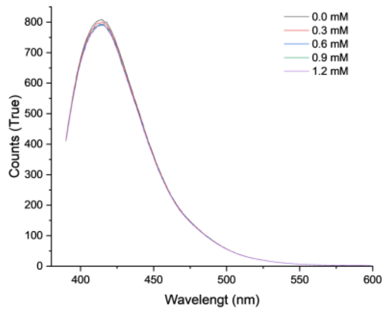
UV absorption spectroscopy results indicated that **1a**, **2a** and **3a** were all capable of absorbing light within the wavelength range of the light source. The UV absorption bands of the mixtures of **1a** with **2a** and **1a** with **3a** showed no significant changes compared to that of substrate **1a** alone. However, the UV-visible absorption spectra of the ternary mixture of **1a**, **2a** and **3a** exhibited a blue shift in the visible region.

7.6.2 Emission Quenching Experiments (Stern-Volmer studies)

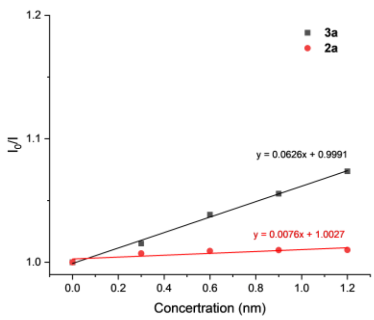
Stern-Volmer quenching experiments were carried by PerkinElmer LS-55 spectrofluorophotometer, using a 1×10^{-3} M solution of **1a** with variable concentrations (0.1, 0.2, 0.3, 0.4 mM) of **2a** and **3a** in DMSO (Figure S5). The samples were prepared in 20 mL quartz cuvettes. The intensity of the emission peak at 415 nm (λex = 395 nm) expressed as the ratio I_0/I, where I_0 is the emission intensity of **1a** at 415 nm in the absence of a quencher and I is the observed intensity, as a function of the quencher concentration was measured. Stern-Volmer plots for each component are given below. Stern−Volmer experiments showed that the emission of **1a** could be effectively quenched by **3a**, which suggests that a SET from photoexcited **1a*** to **3a** is feasible.



(a)



(b)



(c)

Figure S5. (a) The fluorescence emission spectra of **1a*** with different concentration of **3a** added. (b) The fluorescence emission spectra of **1a*** with different concentration of **2a** added. (c) Stern-Volmer emission quenching studies of **2a** and **3a**. I_0 is the inherent fluorescence intensity of **1a**. I is the fluorescence intensity of **1a** in the presence of **2a** and **3a**.

Based on these experimental results and relevant literature[7], a plausible reaction mechanism is proposed in Figure S6. Initially, **1a** may act as an intrinsic photosensitizer, undergoing direct photoexcitation to its excited state **1a***, which then promotes the reductive cleavage of **3a** to generate radical species **I** and intermediate **II**. Subsequently, intermediate **II** undergoes deprotonation and β-scission, yielding the alkyl radical **III**. Radical addition of **III** to styrene **2a** gives the stable benzyl radical **IV**. Finally, radical-radical cross-coupling of **I** and **IV**, followed by CN^- dissociation affords the final product **4a.**

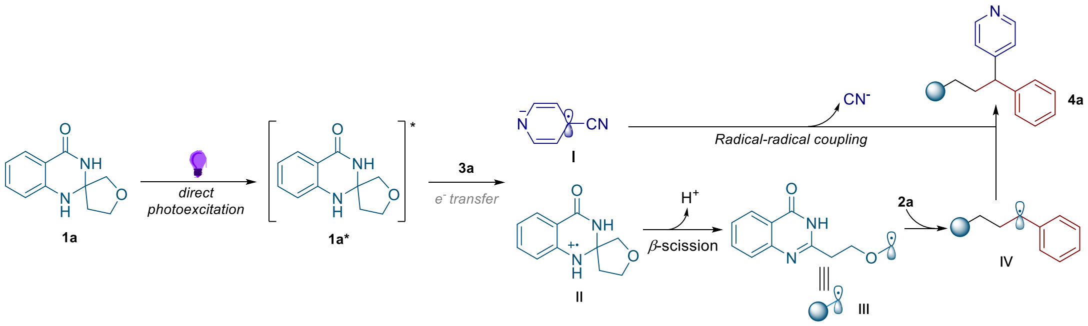


Figure S6. Reaction Mechanism Diagram

8. References

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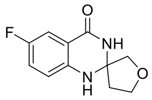
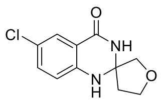
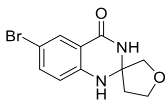
9. Characterization of the starting materials



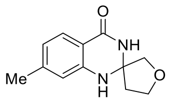
6'-Fluoro-4,5-dihydro-1'*H*,2*H*-spiro[furan-3,2'-quinazolin]-4'(3'*H*)-one(1b): White solid (1.822 g, 82% yield), R_f = 0.5 (EA); **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.47 (s, 1H), 7.27 (dd, *J* = 9.2, 3.2 Hz, 1H), 7.11 (td, *J* = 8.8, 3.2 Hz, 1H), 7.04 (s, 1H), 6.74 (q, *J* = 4.0 Hz, 1H), 3.87 – 3.80 (m, 2H), 3.64 (d, *J* = 9.2 Hz, 1H), 3.54 (d, *J* = 8.8 Hz, 1H), 2.12 – 2.04 (m, 2H); **^{13}C NMR** (100 MHz, DMSO-d_6) δ 163.0, 155.3 (d, J_{C-F} = 232.2 Hz), 144.3, 121.3 (d, J_{C-F} = 23.2 Hz), 116.8 (d, J_{C-F} = 6.7 Hz), 115.6 (d, J_{C-F} = 6.4 Hz), 113.0 (d, J_{C-F} = 23.2 Hz), 77.0, 76.6, 66.5, 39.6; **^{19}F NMR** (376 MHz, DMSO-d_6) δ -123.78 ppm; **HRMS** (ESI) m/z: [M + H]$^+$ Calcd for $C_{11}H_{12}FN_2O_2$ 223.0877; Found 223.0877.



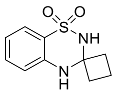
6'-Chloro-4,5-dihydro-1'*H*,2*H*-spiro[furan-3,2'-quinazolin]-4'(3'*H*)-one(1c): White solid (2.124 g, 89% yield), R_f = 0.5 (EA); **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.47 (s, 1H), 7.49 (d, *J* = 2.8 Hz, 1H), 7.29 (s, 1H), 7.25 (dd, *J* = 8.4, 2.4 Hz, 1H), 6.74 (d, *J* = 8.8 Hz, 1H), 3.90 – 3.81 (m, 2H), 3.64 (d, *J* = 8.8 Hz, 1H), 3.53 (d, *J* = 9.2 Hz, 1H), 2.13 – 2.05 (m, 4H); **^{13}C NMR** (100 MHz, DMSO-d_6) δ 162.7, 146.4, 133.6, 127.0, 121.3, 117.1, 115.9, 77.2, 76.5, 66.6, 39.6 ppm; **HRMS** (ESI) m/z: [M + H]$^+$ Calcd for $C_{11}H_{12}ClN_2O_2$ 239.0582; Found 239.0580.



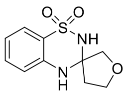
6'-Bromo-4,5-dihydro-1'*H*,2*H*-spiro[furan-3,2'-quinazolin]-4'(3'*H*)-one(1d): White solid (2.496 g, 88% yield), R_f = 0.5 (EA); **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.46 (s, 1H), 7.61 (d, *J* = 2.4 Hz, 1H), 7.35 (dd, *J* = 8.4, 2.4 Hz, 1H), 7.31 (s, 1H), 6.69 (d, *J* = 8.4 Hz, 1H), 3.90 – 3.80 (m, 2H), 3.64 (d, *J* = 8.8 Hz, 1H), 3.53 (d, *J* = 9.2 Hz, 1H), 2.16 – 2.03 (m, 2H); **^{13}C NMR** (100 MHz, DMSO-d_6) δ 162.6, 146.7, 136.3, 129.9, 117.4, 116.4, 108.6, 77.2, 76.4, 66.6, 39.6 ppm; **HRMS** (ESI) m/z: [M + H]$^+$ Calcd for $C_{11}H_{12}BrN_2O_2$ 283.0077; Found 283.0072.



7'-Methyl-4,5-dihydro-1'*H*,2*H*-spiro[furan-3,2'-quinazolin]-4'(3'*H*)-one(1e): White solid (1.746 g, 80% yield), R_f = 0.5 (EA); **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.19 (s, 1H), 7.45 (d, *J* = 8.0 Hz, 1H), 6.95 (s, 1H), 6.51 (s, 1H), 6.46 (d, *J* = 8.0 Hz, 1H), 3.87 – 3.80 (m, 2H), 3.61 (d, *J* = 8.8 Hz, 1H), 3.53 (d, *J* = 8.8 Hz, 1H), 2.17 (s, 3H), 2.10 – 2.03 (m, 2H); **^{13}C NMR** (100 MHz, DMSO-d_6) δ 163.9, 147.7, 143.9, 128.0, 119.0, 115.1, 112.6, 77.2, 76.5, 66.6, 39.8, 21.9 ppm; **HRMS** (ESI) m/z: [M + H]$^+$ Calcd for $C_{12}H_{15}N_2O_2$ 241.0948; Found 241.0949.

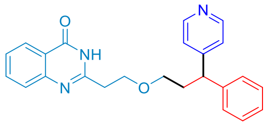


2*H*,4*H*-Spiro[benzo[e][1,2,4]thiadiazine-3,1'-cyclobutane] 1,1-dioxide (1l): White solid (2.019 g, 90% yield), R_f = 0.5 (EA); **^{1}H NMR** (400 MHz, DMSO-d_6) δ 7.77 (s, 1H), 7.48 (s, 1H), 7.42 (d, *J* = 8.0 Hz, 1H), 7.29 – 7.24 (m, 1H), 6.74 (d, *J* = 8.4 Hz, 1H), 6.67 (t, *J* = 7.2 Hz, 1H), 2.61 – 2.54 (m, 2H), 2.21 – 2.13 (m, 2H), 1.87 – 1.69 (m, 2H). **^{13}C NMR** (100 MHz, DMSO-d_6) δ 142.5, 132.9, 123.4, 120.5, 116.0, 115.5. 71.0, 35.7, 12.8. **HRMS** (ESI) m/z: [M + H]$^+$ Calcd for $C_{10}H_{13}N_2O_2S$ 225.0692; Found 225.0697.

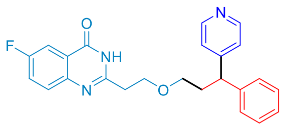


4',5'-Dihydro-2*H*,2'*H*,4*H*-spiro[benzo[e][1,2,4]thiadiazine-3,3'-furan] 1,1-dioxide (1m): White solid (2.019 g, 91% yield), R_f = 0.5 (EA); **^{1}H NMR** (400 MHz, DMSO-D_6) δ 8.09 (s, 1H), 7.44 – 7.40 (m, 2H), 7.28 – 7.23 (m, 1H), 6.77 (d, *J* = 7.6 Hz, 1H), 6.70 – 6.65 (m, 1H), 3.87 (d, *J* = 9.2 Hz, 1H), 3.67 (d, *J* = 9.2 Hz, 1H), 3.38 (s, 2H), 2.44 – 2.37 (m, 1H), 2.13 – 2.06 (m, 1H); **^{13}C NMR** (100 MHz, DMSO-D_6) δ 143.1, 133.5, 124.1, 120.8, 116.9, 116.5, 77.0, 76.8, 66.6, 40.0 ppm; **HRMS** (ESI) m/z: [M + H]$^+$ Calcd for $C_{10}H_{13}N_2O_3S$ 241.2845; Found 241.2849.

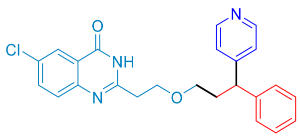
10. Characterization of Products



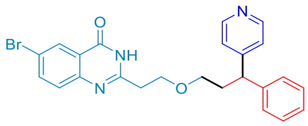
2-(2-(3-Phenyl-3-(pyridin-4-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(4a): Yellow oil (67.8 mg, 88% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.78 (s, 1H), 8.42 (d, *J* = 4.8 Hz, 2H), 8.29 – 8.27 (m, 1H), 7.75 – 7.71 (m, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.45 – 7.42 (m, 1H), 7.22 – 7.18 (m, 2H), 7.15 – 7.06 (m, 5H), 4.05 (t, *J* = 8.0 Hz, 1H), 3.81 (t, *J* = 6.0 Hz, 2H), 3.40 – 3.36 (m, 2H), 3.00 (t, *J* = 6.0 Hz, 2H), 2.28 – 2.23 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.2, 154.8, 153.4, 149.5, 149.1, 142.2, 134.6, 128.6, 127.7, 127.0, 126.7, 126.4, 126.2, 123.1, 120.8, 68.4, 67.7, 46.5, 36.0, 34.2 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{24}H_{24}N_3O_2$ 386.1863; Found 386.1856.



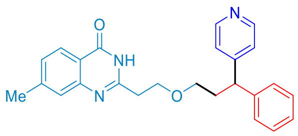
6-Fluoro-2-(2-(3-phenyl-3-(pyridin-4-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(4b): Yellow oil (57.3 mg, 71% yield), R_f = 0.3 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.94 (s, 1H), δ 8.41 (s, 2H), 7.86 (dd, *J* = 8.4, 2.8 Hz, 1H), 7.61 (dd, *J* = 8.8, 4.8 Hz, 1H), 7.47 – 7.37 (m, 1H), 7.25 – 7.17 (m, 2H), 7.16 – 7.04 (m, 5H), 4.04 (t, *J* = 7.6 Hz, 1H), 3.74 (t, *J* = 6.0 Hz, 2H), 3.46 – 3.33 (m, 2H), 2.92 (t, *J* = 5.6 Hz, 2H), 2.34 – 2.24 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 160.7 (d, J_{C-F} = 246.7 Hz), 154.1, 153.8, 149.5, 145.7 (d, J_{C-F} = 2.4 Hz), 142.2, 129.5 (d, J_{C-F} = 8.2 Hz), 128.8, 127.9, 127.0, 123.3, 123.2 (d, J_{C-F} = 23.8 Hz), 122.3 (d, J_{C-F} = 8.6 Hz), 111.3 (d, J_{C-F} = 23.4 Hz), 68.9, 67.9, 46.9, 35.9, 34.4 ppm; **^{19}F NMR** (376 MHz, $CDCl_3$) δ -112.8 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{24}H_{23}FN_3O_2$ 404.1769; Found 404.1760.



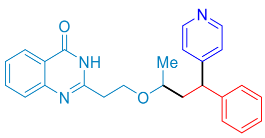
6-Chloro-2-(2-(3-phenyl-3-(pyridin-4-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(4c): Yellow oil (58.8 mg, 70% yield), R_f = 0.3 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.27 (s, 1H), 8.41 (s, 2H), 8.19 (d, *J* = 2.4 Hz, 1H), 7.63 (dd, *J* = 8.8, 2.8 Hz, 1H), 7.55 (d, *J* = 8.8 Hz, 1H), 7.21 – 7.17 (m, 2H), 7.14 – 7.08 (m, 5H), 4.02 (t, J = 8.0 Hz, 1H), 3.75 (t, J = 5.6 Hz, 2H), 3.40 – 3.36 (m, 2H), 2.93 (t, J = 6.0 Hz, 2H), 2.27 (t, J = 6.8 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 161.9, 155.2, 153.6, 149.6, 147.6, 142.2, 135.1, 132.3, 128.9, 128.8, 127.9, 126.9, 125.7, 123.2, 122.1, 68.8, 67.8, 46.8, 36.0, 34.4 ppm; **HRMS** (ESI) m/z: $[M + Na]^+$ Calcd for $C_{24}H_{22}ClN_3O_2Na$ 442.1293; Found 442.1300.



6-Bromo-2-(2-(3-phenyl-3-(pyridin-4-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(4d): Yellow oil (63.1 mg, 68% yield), R_f = 0.3 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.84 (s, 1H), 8.42 (s, 1H), 8.34 (d, J = 2.0 Hz, 1H), 7.77 – 7.74 (m, 1H), 7.46 (d, J = 8.8 Hz, 1H), 7.21 – 7.18 (m, 3H), 7.14 – 7.09 (m, 5H), 4.03 (t, J = 8.0 Hz, 1H), 3.72 (t, J = 5.6 Hz, 2H), 3.41 – 3.31 (m, 2H), 2.89 (t, J = 5.6 Hz, 2H), 2.33 – 2.23 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 161.3, 155.3, 153.7, 149.6, 147.9, 142.1, 137.8, 129.01, 128.98, 128.9, 127.9, 127.0, 123.3, 122.5, 120.1, 69.0, 67.8, 46.9, 36.0, 34.4 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{24}H_{23}BrN_3O_2$ 464.0968; Found 464.0968.

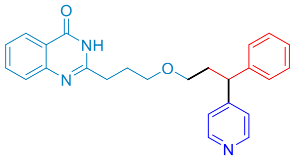


7-Methyl-2-(2-(3-phenyl-3-(pyridin-4-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(4e): Yellow oil (62.3 mg, 78% yield), R_f = 0.3 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.29 (s, 1H), 8.36 (d, J = 5.6 Hz, 2H), 8.09 (d, J = 8.0 Hz, 1H), 7.38 (s, 1H), 7.21 – 7.13 (m, 3H), 7.10 – 7.01 (m, 5H), 4.00 (t, J = 8.0 Hz, 1H), 3.73 (t, J = 6.0 Hz, 2H), 3.35 – 3.31 (m, 2H), 2.91 (t, J = 5.6 Hz, 2H), 2.41 (s, 3H), 2.24 – 2.19 (m, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.9, 154.8, 153.4, 149.6, 149.2, 145.6, 142.3, 128.6, 128.0, 127.8, 126.8, 126.7, 126.1, 123.1, 118.4, 68.6, 67.8, 46.6, 36.0, 34.3, 21.9 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{25}H_{26}N_3O_2$ 400.2020; Found 400.2025.

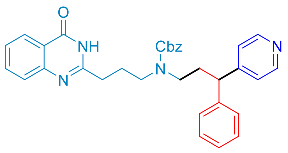


2-(2-((4-Phenyl-4-(pyridin-4-yl)butan-2-yl)oxy)ethyl)quinazolin-4(3*H*)-one(4f): Yellow oil

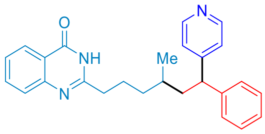
(49.5 mg, 62% yield), R_f = 0.4 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.96 (s, 1H), 8.37 (s, 2H), 8.23 (d, *J* = 7.6 Hz, 1H), 7.71 – 7.67 (m, 1H), 7.61 (d, *J* = 8.0 Hz, 1H), 7.41 – 7.38 (m, 1H), 7.19 – 7.02 (m, 7H), 4.06 – 4.02 (m, 1H), 3.85 – 3.80 (m, 1H), 3.57 – 3.52 (m, 1H), 3.24 – 3.19 (m, 1H), 2.88 (t, *J* = 6.0 Hz, 2H), 2.21 (dd, *J* = 14.0, 7.2 Hz, 1H), 2.06 (dd, *J* = 9.6, 5.2 Hz, 1H), 1.13 (d, *J* = 6.0 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.6, 155.0, 153.5, 149.7, 149.1, 142.9, 134.7, 128.8, 127.6, 127.1, 126.8, 126.6, 126.4, 123.4, 121.1, 73.9, 65.3, 46.9, 42.1, 36.3, 19.5 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{25}H_{26}N_3O_2$ 400.2020; Found 400.2019.



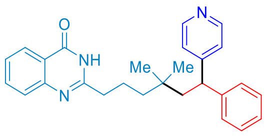
2-(3-(3-Phenyl-3-(pyridin-4-yl)propoxy)propyl)quinazolin-4(3*H*)-one(4g): Yellow oil (55.9 mg, 70% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.13 (s, 1H), δ 8.47 (d, *J* = 5.2 Hz, 2H), 8.24 – 8.22 (m, 1H), 7.74 – 7.70 (m, 1H), 7.67 – 7.65 (m, 1H), 7.40 – 7.36 (m, 1H), 7.26 – 7.22 (m, 2H), 7.18 – 7.11 (m, 5H), 4.09 (t, *J* = 8.0 Hz, 1H), 3.47 (t, *J* = 6.0 Hz, 1H), 3.36 – 3.30 (m, 2H), 2.88 (t, *J* = 7.6 Hz, 2H), 2.29 – 2.24 (m, 1H), 2.12 (t, *J* = 6.0 Hz, 1H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.9, 156.5, 153.8, 149.5, 149.3, 142.3, 134.6, 128.6, 127.9, 127.0, 126.7, 126.3, 126.2, 123.3, 120.6, 70.0, 68.3, 46.6, 34.5, 32.9, 27.0 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{25}H_{26}N_3O_2$ 400.2020; Found 400.2011.



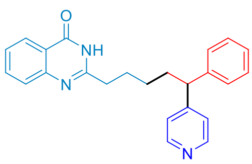
Benzyl (3-(4-oxo-3,4-dihydroquinazolin-2-yl)propyl)(3-phenyl-3-(pyridin-4-yl)propyl)carbamate(4h): Yellow oil (63.9 mg, 60% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.25 (s, 1H), 8.42 (d, *J* = 22.2 Hz, 2H), 8.27 (d, *J* = 7.6 Hz, 1H), 7.74 – 7.60 (m, 1H), 7.61 (d, *J* = 9.2 Hz, 1H), 7.44 – 7.40 (m, 1H), 7.34 – 7.01 (m, 12H), 5.10 (s, 2H), 3.78 (t, *J* = 7.6 Hz, 1H), 3.42 (t, *J* = 7.2 Hz, 2H), 3.20 (t, *J* = 8.4 Hz, 2H), 2.74 (t, *J* = 6.8 Hz, 2H), 2.36 – 2.27 (m, 1H), 2.12 – 2.05 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.4, 164.0, 156.5, 155.9, 155.5, 150.0, 149.3, 147.0, 136.4, 136.1, 134.8, 128.5, 128.2, 128.0, 127.2, 126.6, 126.3, 122.5, 121.9, 120.7, 67.7, 49.7, 49.5, 46.9, 46.2, 32.5, 25.5 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{33}H_{33}N_4O_3$ 533.2547; Found 533.2555.



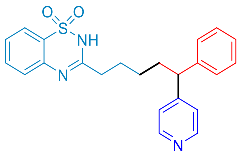
2-(4-Methyl-6-phenyl-6-(pyridin-4-yl)hexyl)quinazolin-4(3*H*)-one(4i): Yellow oil (27.8 mg, 35% yield), R_f = 0.3 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.41 (s, 1H), 8.37 (s, 2H), 8.17 (d, *J* = 7.6 Hz, 1H), 7.69 – 7.60 (m, 2H), 7.37 – 7.33 (m, 1H), 7.18 – 7.05 (m, 7H), 3.92 (t, *J* = 8.4 Hz, 1H), 2.67 (t, *J* = 4.4 Hz, 2H), 2.03 – 1.96 (m, 1H), 1.79 – 1.72 (m, 3H), 1.48 – 1.40 (m, 1H), 1.32 – 1.24 (m, 2H), 0.86 (d, *J* = 3.6 Hz, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.6, 157.0, 154.6, 154.0, 149.8, 143.4, 134.9, 128.8, 128.1, 127.9, 127.3, 126.8, 126.5, 123.5, 120.6, 48.2, 42.2, 36.7, 36.1, 30.2, 24.8, 19.7 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{26}H_{28}N_3O$ 398.2227; Found 398.2224.



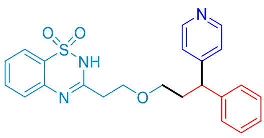
2-(4,4-Dimethyl-6-phenyl-6-(pyridin-4-yl)hexyl)quinazolin-4(3*H*)-one(4j): Yellow oil (66.7 mg, 81% yield), R_f = 0.4 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.08 (s, 1H), 8.37 (d, *J* = 4.0 Hz, 2H), 8.22 (d, *J* = 7.6 Hz, 1H), 7.71 (t, *J* = 8.4 Hz, 1H), 7.65 (d, *J* = 8.0 Hz, 1H), 7.42 – 7.38 (m, 1H), 7.18 – 7.08 (m, 7H), 3.96 (t, *J* = 6.4 Hz, 1H), 2.61 (t, *J* = 8.0 Hz, 2H), 2.10 – 2.00 (m, 2H), 1.76 (dd, *J* = 9.6, 6.4 Hz, 2H), 1.29 (t, *J* = 8.8 Hz, 2H), 0.75 (d, *J* = 4.0 Hz, 6H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.3, 156.8, 155.8, 149.6, 149.5, 144.6, 134.8, 128.7, 127.8, 127.3, 126.6, 126.4, 126.2, 123.2, 120.6, 47.4, 46.6, 42.1, 36.4, 34.1, 27.8, 22.2 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{27}H_{30}N_3O$ 412.2383; Found 412.2381.



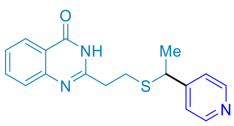
2-(5-Phenyl-5-(pyridin-4-yl)pentyl)quinazolin-4(3*H*)-one(4k): Yellow oil (36.9 mg, 50% yield), R_f = 0.6 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.07 (s, 1H), 8.39 (s, 2H), 8.13 (d, *J* = 8.0 Hz, 1H), 7.73 – 7.68 (m, 1H), 7.62 (d, *J* = 8.0 Hz, 1H), 7.39 – 7.35 (m, 1H), 7.21 – 7.08 (m, 7H), 3.83 (t, *J* = 8.0 Hz, 1H), 2.71 (t, *J* = 7.6 Hz, 2H), 2.13 – 2.06 (m, 2H), 1.92 – 1.84 (m, 2H), 1.41 – 1.33 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.5, 156.6, 153.9, 149.6, 149.4, 142.8, 134.8, 128.6, 127.8, 127.1, 126.7, 126.3, 126.1, 123.2, 120.4, 50.4, 35.4, 34.4, 27.2, 27.2 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{24}H_{24}N_3O$ 370.1914; Found 370.1908.



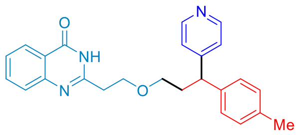
3-(5-Phenyl-5-(pyridin-4-yl)pentyl)-2*H*-benzo[e][1,2,4]thiadiazine 1,1-dioxide(4l): Yellow oil (49.4 mg, 61% yield), R_f = 0.5 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.07 (s, 1H), 8.35 (d, *J* = 4.4 Hz, 2H), 7.79 (d, *J* = 7.6 Hz, 1H), 7.39 – 7.35 (m, 1H), 7.26 – 7.21 (m, 3H), 7.16 – 7.11 (m, 6H), 3.81 (t, *J* = 7.6 Hz, 1H), 2.44 (t, *J* = 7.6 Hz, 2H), 1.99 – 1.93 (m, 2H), 1.78 – 1.69 (m, 2H), 1.25 – 1.22 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 160.6, 155.2, 148.9, 142.6, 135.5, 133.0, 128.9, 127.8, 127.0, 126.5, 124.1, 123.9, 121.3, 117.2, 50.5, 35.7, 34.3, 27.0, 26.2 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{23}H_{24}N_3O_2S$ 406.1584; Found 406.1580.



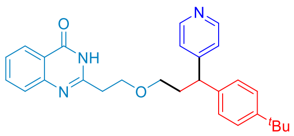
3-(2-(3-Phenyl-3-(pyridin-4-yl)propoxy)ethyl)-2*H*-benzo[e][1,2,4]thiadiazine 1,1-dioxide(4m): Yellow oil (50.5 mg, 60% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.36 (s, 1H), 8.47 (d, *J* = 6.4 Hz, 2H), 7.95 – 7.92 (m, 1H), 7.51 – 7.46 (m, 1H), 7.40 – 7.35 (m, 1H), 7.32 – 7.28 (m, 2H), 7.24 – 7.16 (m, 5H), 6.97 (d, *J* = 7.6 Hz, 1H), 4.07 (t, *J* = 7.6 Hz, 1H), 3.75 (t, *J* = 5.6 Hz, 2H), 3.45 (t, *J* = 6.4 Hz, 2H), 2.76 (t, *J* = 6.0 Hz, 2H), 2.36 – 2.31 (m, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 158.5, 155.0, 148.7, 142.0, 135.1, 132.9, 128.8, 127.8, 127.0, 126.6, 124.2, 123.8, 121.5, 117.0, 68.5, 66.7, 46.6, 36.5, 34.3 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{23}H_{24}N_3O_3S$ 422.1533; Found 422.1534.



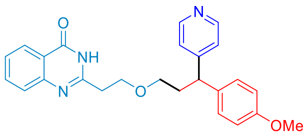
2-(2-((1-(Pyridin-4-yl)ethyl)thio)ethyl)quinazolin-4(3*H*)-one(4n'): Yellow oil (43.5 mg, 70% yield), R_f = 0.5 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.50 (s, 1H), 8.43 (d, *J* = 3.6 Hz, 2H), 8.18 (d, *J* = 7.6 Hz, 1H), 7.71 – 7.59 (m, 2H), 7.41 – 7.37 (m, 1H), 7.23 – 7.20 (m, 2H), 4.01 – 3.95 (m, 1H), 2.94 – 2.81 (m, 4H), 1.46 (d, *J* = 6.4 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.3, 154.6, 153.0, 149.8, 149.2, 134.8, 127.2, 126.6, 126.1, 122.4, 120.5, 43.0, 35.3, 28.2, 21.8 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{17}H_{18}N_3OS$ 312.4026.; Found 312.4025.



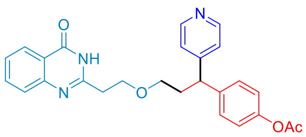
2-(2-(3-(Pyridin-4-yl)-3-(p-tolyl)propoxy)ethyl)quinazolin-4(3*H*)-one(5a): Yellow oil (51.9 mg, 65% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.32 (s, 1H), 8.43 (d, *J* = 5.2 Hz, 2H), 8.29 (d, *J* = 8.0 Hz, 1H), 7.77 – 7.73 (m, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.48 – 7.43 (m, 1H), 7.09 (d, *J* = 4.8 Hz, 2H), 7.03 (s, 4H), 4.03 (t, *J* = 8.0 Hz, 1H), 3.81 (t, *J* = 6.0 Hz, 2H), 3.43 – 3.40 (m, 2H), 3.00 (t, *J* = 6.0 Hz, 2H), 2.28 (t, *J* = 5.6 Hz, 2H), 2.26 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.9, 154.8, 153.7, 149.6, 149.0, 139.2, 136.4, 134.6, 129.3, 127.6, 127.0, 126.5, 126.3, 123.1, 120.9, 68.7, 67.8, 46.2, 36.0, 34.3, 20.9 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{25}H_{26}N_3O_2$ 400.2020; Found 400.2021.



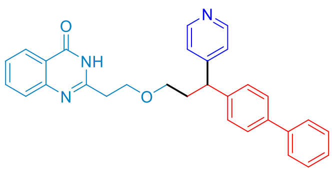
2-(2-(3-(4-(Tert-butyl)phenyl)-3-(pyridin-4-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(5b): Yellow oil (74.2 mg, 84% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.51 (s, 1H), 8.43 (s, 2H), 8.29 (d, *J* = 8.0 Hz, 1H), 7.75 – 7.71 (m, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.46 – 7.42 (m, 1H), 7.22 (d, *J* = 8.4 Hz, 2H), 7.11 – 7.03 (m, 4H), 4.03 (t, *J* = 8.0 Hz, 1H), 3.81 (t, *J* = 6.0 Hz, 2H), 3.40 (t, *J* = 6.0 Hz, 2H), 2.99 (t, *J* = 6.0 Hz, 2H), 2.29 – 2.24 (m, 2H), 1.24 (s, 9H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.0, 154.9, 153.9, 149.5, 149.3, 149.0, 139.0, 134.6, 127.3, 127.0, 126.4, 126.3, 125.5, 123.2, 120.9, 68.7, 67.8, 46.2, 36.0, 34.4, 34.3, 31.2 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{28}H_{33}N_3O_2$ 442.2489; Found 442.2479.



2-(2-(3-(4-Methoxyphenyl)-3-(pyridin-4-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(5c): Yellow oil (65.6 mg, 79% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.71 (s, 1H), 8.41 (d, *J* = 5.2 Hz, 2H), 8.27 (d, *J* = 6.4 Hz, 1H), 7.74 – 7.69 (m, 1H), 7.65 (d, *J* = 8.0 Hz, 1H), 7.46 – 7.38 (m, 1H), 7.05 – 6.99 (m, 4H), 6.72 (d, *J* = 8.8 Hz, 2H), 3.99 (t, *J* = 8.0 Hz, 1H), 3.81 (t, *J* = 5.2 Hz, 2H), 3.68 (s, 3H), 3.38 – 3.35 (m, 2H), 2.99 (t, *J* = 6.0 Hz, 2H), 2.24 – 2.18 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.2, 158.1, 154.8, 153.9, 149.4, 149.0, 134.5, 134.1, 128.6, 127.0 126.3, 126.1, 123.0, 120.8, 113.9, 68.4, 67.7, 55.0, 45.6, 35.9, 34.3 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{25}H_{26}N_3O_3$ 416.1969; Found 416.1957.

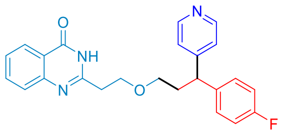


4-(3-(2-(4-Oxo-3,4-dihydroquinazolin-2-yl)ethoxy)-1-(pyridin-4-yl)propyl)phenyl acetate(5d): Yellow oil (77.2 mg, 87% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.68 (s, 1H), 8.47 (s, 2H), 8.28 (d, *J* = 7.6 Hz, 1H), 7.77 – 7.73 (m, 1H), 7.66 (d, *J* = 6.8 Hz, 1H), 7.49 – 7.45 (m, 1H), 7.21 – 7.13 (m, 4H), 7.01 – 6.97 (m, 2H), 4.10 (t, *J* = 8.0 Hz, 1H), 3.78 (t, *J* = 5.6 Hz, 2H), 3.44 (t, *J* = 6.0 Hz, 2H), 2.96 (t, *J* = 6.0 Hz, 2H), 2.35 – 2.30 (m, 2H), 2.26 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 169.4, 162.4, 154.8, 153.2, 149.7, 149.4, 149.0, 139.7, 134.7, 128.8, 127.1, 126.6, 126.4, 123.2, 121.8, 121.0, 68.8, 67.9, 46.3, 35.9, 34.4, 21.1. ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{26}H_{26}N_3O_4$ 444.1918; Found 444.1924.

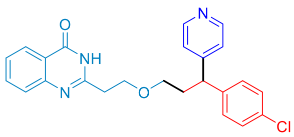


2-(2-(3-([1,1'-Biphenyl]-4-yl)-3-(pyridin-4-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(5e): Yellow oil (55.4 mg, 60% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.23 (s, 1H), 8.47 (d, *J* =

5.2 Hz, 2H), 8.31 (d, J = 8.0 Hz, 1H), 7.77 – 7.67 (m, 2H), 7.52 – 7.46 (m, 5H), 7.41 – 7.29 (m, 3H), 7.21 (d, J = 7.6 Hz, 2H), 7.15 (d, J = 5.2 Hz, 2H), 4.13 (t, J = 8.0 Hz, 1H), 3.83 (t, J = 6.0 Hz, 2H), 3.46 (t, J = 6.4 Hz, 2H), 3.01 (t, J = 6.0 Hz, 2H), 2.37 – 2.32 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.9, 154.8, 153.4, 149.7, 149.1, 141.3, 140.4, 139.7, 134.7, 128.7, 128.2, 127.4, 127.2, 127.1, 126.9, 126.5, 126.3, 123.2, 120.9, 68.6, 67.8, 46.3, 36.0, 34.3 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{30}H_{28}N_3O_2$ 462.2176; Found 462.2171.

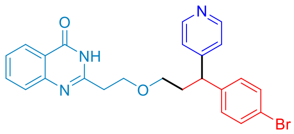


2-(2-(3-(4-Fluorophenyl)-3-(pyridin-4-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(5f): Yellow oil (61.3 mg, 76% yield), R_f = 0.2 (EA); ^{1}H NMR (400 MHz, $CDCl_3$) δ 11.42 (s, 1H), 8.44 (s, 2H), 8.28 (d, J = 8.0 Hz, 1H), 7.77 – 7.73 (m, 1H), 7.66 (d, J = 8.4 Hz, 1H), 7.47 – 7.43 (m, 1H), 7.09 – 7.06 (m, 4H), 6.90 (t, J = 8.4 Hz, 2H), 4.07 (t, J = 7.6 Hz, 1H), 3.82 (t, J = 6.0 Hz, 2H), 3.48 – 3.32 (m, 2H), 3.00 (t, J = 5.6 Hz, 2H), 2.29 – 2.22 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.4, 161.8 (d, J_{C-F} = 244.3 Hz), 155.0, 153.6, 149.9, 149.3, 138.2 (d, J_{C-F} = 3.2 Hz), 135.0, 129.5 (d, J_{C-F} = 7.7 Hz), 127.3, 126.8, 126.5, 123.3, 121.1, 115.7 (d, J_{C-F} = 21.2 Hz), 68.6, 68.1, 46.0, 36.2, 34.7; **^{19}F NMR** (376 MHz, $CDCl_3$) δ -115.67 (S, 1F) ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{24}H_{23}FN_3O_2$ 426.1589; Found 426.1584.

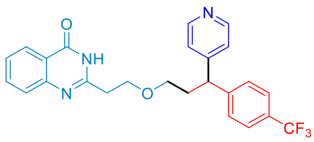


2-(2-(3-(4-Chlorophenyl)-3-(pyridin-4-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(5g): Yellow oil (68.8 mg, 82% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.04 (s, 1H), 8.45 (s, 2H), 8.28 (d, J = 7.6 Hz, 1H), 7.78 – 7.74 (m, 1H), 7.66 (d, J = 8.0 Hz, 1H), 7.48 – 7.44 (m, 1H), 7.20 (d, J = 8.4 Hz, 2H), 7.07 (d, J = 8.4 Hz, 4H), 4.08 (t, J = 8.0 Hz, 1H), 3.81 (t, J = 6.0 Hz, 2H), 3.47 – 3.34 (m, 2H), 3.00 (t, J = 6.0 Hz, 2H), 2.31 – 2.24 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.9, 154.7, 153.0, 149.6, 149.0, 140.6, 134.7, 132.6, 129.1, 128.8, 127.0, 126.5, 126.2, 123.0, 120.8, 68.3, 67.8, 45.8, 35.9, 34.2 ppm; **HRMS** (ESI) m/z: $[M + Na]^+$ Calcd for $C_{24}H_{22}ClN_3O_2Na$ 442.1293;

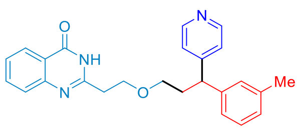
Found 442.1297.



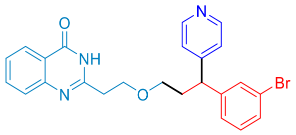
2-(2-(3-(4-Bromophenyl)-3-(pyridin-4-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(5h): Yellow oil (56.6 mg, 61% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.54 (s, 1H), 8.43 (d, *J* = 5.2 Hz, 2H), 8.28 (d, *J* = 8.0 Hz, 1H), 7.71 – 7.67 (m, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.41 – 7.37 (m, 1H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.03 (d, *J* = 5.2 Hz, 2H), 6.98 (d, *J* = 8.0 Hz, 2H), 4.03 (t, *J* = 7.6 Hz, 1H), 3.82 (t, *J* = 6.0 Hz, 2H), 3.34 – 3.30 (m, 2H), 3.00 (t, *J* = 5.6 Hz, 2H), 2.21 – 2.13 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.3, 154.9, 152.9, 149.9, 149.3, 141.5, 134.9, 131.9, 129.7, 127.3, 126.7, 126.4, 123.2, 121.0, 120.8, 68.4, 68.0, 46.1, 36.2, 34.3 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{24}H_{23}BrN_3O_2$ 464.0968; Found 464.0977.



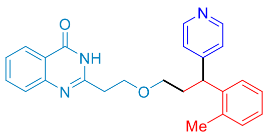
2-(2-(3-(Pyridin-4-yl)-3-(4-(trifluoromethyl)phenyl)propoxy)ethyl)quinazolin-4(3*H*)-one(5i): Yellow oil (45.3 mg, 50% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.24 (s, 1H), 8.39 (d, *J* = 3.6 Hz, 2H), 8.21 (d, *J* = 8.0 Hz, 1H), 7.71 – 7.67 (m, 1H), 7.60 (d, *J* = 8.0 Hz, 1H), 7.40 (d, *J* = 8.0 Hz, 3H), 7.18 (d, *J* = 7.6 Hz, 2H), 7.01 (d, *J* = 5.2 Hz, 2H), 4.10 (t, *J* = 8.0 Hz, 1H), 3.76 (t, *J* = 6.0 Hz, 2H), 3.37 – 3.31 (m, 2H), 2.94 (t, *J* = 6.0 Hz, 2H), 2.27 – 2.20 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.1, 154.9, 152.6, 149.9, 149.2, 146.4, 134.9, 129.3 (q, $J_{C\text{-}F}$ = 31.7 Hz), 128.3, 127.3, 126.8, 126.4, 125.8 (d, $J_{C\text{-}F}$ = 2.8 Hz), 125.4, 124.5 (d, $J_{C\text{-}F}$ = 253.1 Hz), 121.0, 68.4, 68.1, 46.5, 36.1, 34.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ -62.52 (s, 3F) ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{25}H_{23}F_3N_3O_2$ 454.1737; Found 454.1728.



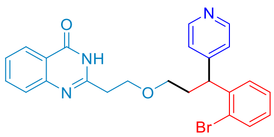
2-(2-(3-(Pyridin-4-yl)-3-(m-tolyl)propoxy)ethyl)quinazolin-4(3*H*)-one(5j): Yellow oil (61.1 mg, 76% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.27 (s, 1H), 8.44 (d, *J* = 4.0 Hz, 2H), 8.29 (d, *J* = 7.2 Hz, 1H), 7.76 – 7.65 (m, 2H), 7.48 – 7.43 (m, 1H), 7.14 – 7.10 (m, 3H), 6.99 – 6.93 (m, 3H), 4.03 (t, *J* = 7.6 Hz, 1H), 3.81 (t, *J* = 6.0 Hz, 2H), 3.42 (t, *J* = 6.0 Hz, 2H), 2.99 (t, *J* = 5.6 Hz, 2H), 2.32 – 2.27 (m, 2H), 2.24 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.1, 155.0, 153.7, 149.8, 149.2, 142.4, 138.5, 134.8, 128.7, 128.7, 127.7, 127.2, 126.6, 126.5, 124.9, 123.3, 121.1, 68.9, 68.0, 46.7, 36.2, 34.5, 21.5 ppm; **HRMS** (ESI) m/z: $[M + Na]^+$ Calcd for $C_{25}H_{25}N_3O_2Na$ 422.1839; Found 422.1834.



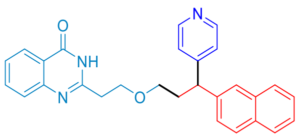
2-(2-(3-(3-Bromophenyl)-3-(pyridin-4-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(5k): Yellow oil (66.6 mg, 72% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.43 (s, 1H), 8.43 (s, 2H), 8.27 (d, *J* = 7.6 Hz, 1H), 7.75 – 7.64 (m, 2H), 7.46 – 7.42 (m, 1H), 7.28 – 7.24 (m, 2H), 7.04 (s, 4H), 4.04 (t, *J* = 8.0 Hz, 1H), 3.81 (t, *J* = 6.4 Hz, 2H), 3.38 (t, *J* = 6.4 Hz, 2H), 2.99 (t, *J* = 5.6 Hz, 2H), 2.26 – 2.21 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.2, 154.7, 152.4, 149.8, 149.1, 144.7, 134.7, 130.7, 130.2, 129.9, 127.1, 126.5, 126.3, 123.0, 122.7, 120.8, 68.2, 67.8, 46.1, 36.0, 34.1 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{24}H_{23}BrN_3O_2$ 464.0967; Found 464.0967.



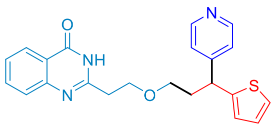
2-(2-(3-(Pyridin-4-yl)-3-(o-tolyl)propoxy)ethyl)quinazolin-4(3*H*)-one(5l): Yellow oil (52.2 mg, 65% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.36 (s, 1H), 8.42 (s, 2H), 8.27 (d, *J* = 7.6 Hz, 1H), 7.76 – 7.65 (m, 2H), 7.47 – 7.42 (m, 1H), 7.21 – 7.14 (m, 2H), 7.11 – 7.05I (m, 4H), 4.28 (t, *J* = 7.6 Hz, 1H), 3.84 (t, *J* = 5.2 Hz, 2H), 3.44 (t, *J* = 6.4 Hz, 2H), 3.01 (t, *J* = 6.0 Hz, 2H), 2.31 – 2.26 (m, 2H), 2.12 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.1, 154.7, 153.2, 149.5, 149.1, 140.2, 136.4, 134.6, 130.7, 127.1, 126.7, 126.50, 126.45, 126.3, 126.2, 123.4, 120.9, 68.6, 67.8, 42.1, 36.0, 34.8, 19.6 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{25}H_{26}N_3O_2$ 400.2020; Found 400.2012.



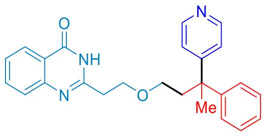
2-(2-(3-(2-Bromophenyl)-3-(pyridin-4-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(5m): Yellow oil (56.6 mg, 61% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.79 (s, 1H), 8.46 (d, *J* = 6.0 Hz, 2H), 8.15 (d, *J* = 8.0 Hz, 1H), 7.51 – 7.44 (m, 2H), 7.26 – 7.21 (m, 4H), 7.14 (d, *J* = 6.4 Hz, 2H), 7.07 – 7.03 (m, 1H), 4.63 (t, *J* = 7.6 Hz, 1H), 3.81 (t, *J* = 6.0 Hz, 2H), 3.46 (t, *J* = 6.4 Hz, 2H), 2.97 (t, *J* = 6.4 Hz, 2H), 2.35 – 2.30 (m, 2H).; **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.6, 154.8, 152.0, 149.9, 149.2, 145.7, 141.6, 133.3, 128.6, 128.4, 128.1, 127.9, 126.9, 126.2, 125.3, 123.4, 118.7, 68.8, 68.0, 45.1, 36.2, 34.5.ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{24}H_{23}BrN_3O_2$ 464.0968; Found 464.0971.



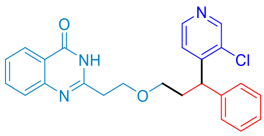
2-(2-(3-(Naphthalen-2-yl)-3-(pyridin-4-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(5n): Yellow oil (40.9 mg, 47% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.79 (s, 1H), 8.48 (s, 2H), 8.30 (d, *J* = 7.6 Hz, 1H), 7.77 – 7.65 (m, 6H), 7.49 – 7.42 (m, 3H), 7.22 (d, *J* = 6.4 Hz, 3H), 4.29 (t, *J* = 7.6 Hz, 1H), 3.81 – 3.78 (m, 2H), 3.48 – 3.44 (m, 2H), 2.99 (t, *J* = 5.6 Hz, 2H), 2.46 – 2.41 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.0, 155.1, 154.0, 149.6, 149.3, 139.7, 135.0, 133.6,132.6, 128.8, 127.9, 127.8, 127.4, 126.8, 126.61, 126.59, 126.5, 126.3, 126.1, 123.7, 121.3, 69.0, 68.2, 47.0, 36.3, 34.4 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{28}H_{26}N_3O_2$ 436.2020; Found 436.2023.



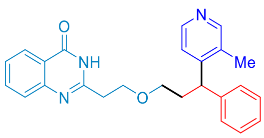
2-(2-(3-(Pyridin-4-yl)-3-(thiophen-2-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(5o): Yellow oil (70.5 mg, 90% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.51 (s, 1H), 8.46 (d, *J* = 4.8 Hz, 2H), 8.28 (d, *J* = 6.8 Hz, 1H), 7.76 – 7.71 (m, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.46 – 7.42 (m, 1H), 7.14 – 7.10 (m, 3H), 6.86 – 6.84 (m, 1H), 6.77 (d, *J* = 3.6 Hz, 1H), 4.34 (t, *J* = 7.8 Hz, 1H), 3.83 (t, *J* = 5.9 Hz, 2H), 3.46 – 3.40 (m, 2H), 3.01 (t, *J* = 5.9 Hz, 2H), 2.35 – 2.23 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.2, 154.7, 152.9, 149.6, 149.1, 145.9, 134.6, 127.0, 126.7, 126.5, 126.2, 124.6, 124.1, 122.9, 120.8, 67.8, 42.1, 36.1, 36.0 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{22}H_{22}N_3O_2S$ 392.1427; Found 392.1427.



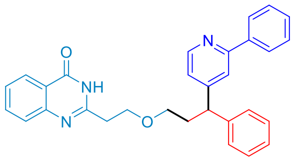
2-(2-(3-Phenyl-3-(pyridin-4-yl)butoxy)ethyl)quinazolin-4(3*H*)-one(5p): Yellow oil (72.7 mg, 91% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.38 (s, 1H), 8.55 (d, *J* = 3.6 Hz, 2H), 8.36 (d, *J* = 6.8 Hz, 1H), 7.85 – 7.81 (m, 1H), 7.74 (d, *J* = 8.0 Hz, 1H), 7.56 – 7.52 (m, 1H), 7.36 – 7.26 (m, 3H), 7.21 – 7.15 (m, 4H), 3.84 (t, *J* = 6.0 Hz, 2H), 3.46 – 3.36 (m, 2H), 3.03 (t, *J* = 6.0 Hz, 2H), 2.54 – 2.50 (m, 2H), 1.70 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.7, 158.4, 154.7, 149.5, 149.0, 146.8, 134.6, 128.3, 127.04, 126.95, 126.44, 126.37, 126.3, 122.3, 121.0, 68.3, 67.8, 44.9, 34.0, 36.0, 27.2 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{25}H_{26}N_3O_2$ 400.2020; Found 400.2019.



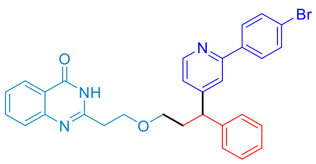
2-(2-(3-(3-Chloropyridin-4-yl)-3-phenylpropoxy)ethyl)quinazolin-4(3*H*)-one(6a): Yellow oil (62.9 mg, 75% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.17 (s, 1H), 8.45 (s, 1H), 8.36 (d, *J* = 5.2 Hz, 1H), 8.27 (d, *J* = 7.6 Hz, 1H), 7.79 – 7.70 (m, 1H), 7.66 (d, *J* = 8.4 Hz, 1H), 7.46 – 7.42 (m, 1H), 7.26 – 7.16 (m, 6H), 4.55 (t, *J* = 7.6 Hz, 1H), 3.83 (t, *J* = 6.0 Hz, 2H), 3.47 – 3.43 (m, 2H), 2.99 (t, *J* = 6.0 Hz, 2H), 2.32 – 2.27 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.1, 154.8, 150.6, 149.6, 149.1, 147.9, 140.8, 134.7, 128.7, 128.2, 127.2, 127.0, 126.5, 126.4, 123.0, 121.0, 68.7, 67.9, 42.9, 36.1, 34.2 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{24}H_{23}ClN_3O_2$ 420.1473; Found 420.1473.



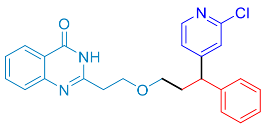
2-(2-(3-(3-Methylpyridin-4-yl)-3-phenylpropoxy)ethyl)quinazolin-4(3*H*)-one(6b): Yellow oil (67.9 mg, 85% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.80 (s, 1H), 8.38 (d, *J* = 5.2 Hz, 1H), 8.27 (d, *J* = 6.4 Hz, 2H), 7.75 – 7.71 (m, 1H), 7.66 (d, *J* = 7.6 Hz, 1H), 7.45 – 7.41 (m, 1H), 7.19 – 7.05 (m, 6H), 4.21 (t, *J* = 8.0 Hz, 1H), 3.85 (t, *J* = 6.0 Hz, 2H), 3.44 – 3.38 (m, 2H), 3.01 (t, *J* = 6.0 Hz, 2H), 2.26 – 2.21 (m, 2H), 2.05 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.5, 155.0, 151.5, 150.8, 149.3, 147.5, 141.9, 134.8, 132.3, 128.6, 128.3, 127.2, 126.7, 126.6, 126.4, 121.4, 121.0, 68.6, 68.0, 42.6, 36.2, 34.9, 16.5.ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{25}H_{26}N_3O_2$ 400.2020; Found 400.2012.



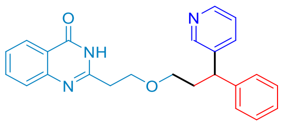
2-(2-(3-Phenyl-3-(2-phenylpyridin-4-yl)propoxy)ethyl)quinazolin-4(3*H*)-one(6c): Yellow oil (37.8 mg, 41% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.48 (s, 1H), 8.53 (d, *J* = 4.8 Hz, 1H), 8.27 (d, *J* = 8.0 Hz, 1H), 7.90 (d, *J* = 7.2 Hz, 2H), 7.75 – 7.71 (m, 1H), 7.64 – 7.59 (m, 2H), 7.46 – 7.36 (m, 4H), 7.26 – 7.18 (m, 5H), 7.10 (d, *J* = 5.2 Hz, 1H), 4.17 (t, *J* = 8.0 Hz, 1H), 3.77 (t, *J* = 5.6 Hz, 2H), 3.46 (t, *J* = 6.0 Hz, 2H), 2.95 (t, *J* = 6.0 Hz, 2H), 2.44 – 2.37 (m, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.3, 157.6, 154.8, 154.3, 149.6, 149.0, 142.3, 139.1, 134.7, 129.0, 128.9, 128.7, 127.9, 127.13, 127.06, 127.0, 126.7, 126.5, 121.6, 121.1, 120.3, 69.1, 67.9, 47.2, 36.0, 34.5. ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{30}H_{28}N_3O_2$ 462.2176; Found 462.2174.



2-(2-(3-(2-(4-Bromophenyl)pyridin-4-yl)-3-phenylpropoxy)ethyl)quinazolin-4(3*H*)-one(6d): Yellow oil (46.5 mg, 43% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.42 (s, 1H), 8.49 (d, *J* = 5.2 Hz, 1H), 8.25 (d, *J* = 6.4 Hz, 1H), 7.77 – 7.69 (m, 3H), 7.61 (d, *J* = 8.0 Hz, 1H), 7.54 – 7.41 (m, 3H), 7.26 – 7.16 (m, 6H), 7.08 (d, *J* = 3.2 Hz, 1H), 4.15 (t, *J* = 8.0 Hz, 1H), 3.75 (t, *J* = 5.6 Hz, 2H), 3.46 – 3.42 (m, 2H), 2.93 (t, *J* = 6.0 Hz, 2H), 2.40 – 2.34 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.3, 156.5, 154.8, 154.2, 149.9, 149.0, 142.2, 138.2, 134.7, 131.8, 128.8, 128.5, 127.8, 127.1, 127.0, 126.6, 126.4, 123.3, 121.7, 121.1, 119.9, 69.0, 67.9, 47.1, 36.0, 34.4 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{30}H_{27}BrN_3O_2$ 540.1281; Found 540.1305.



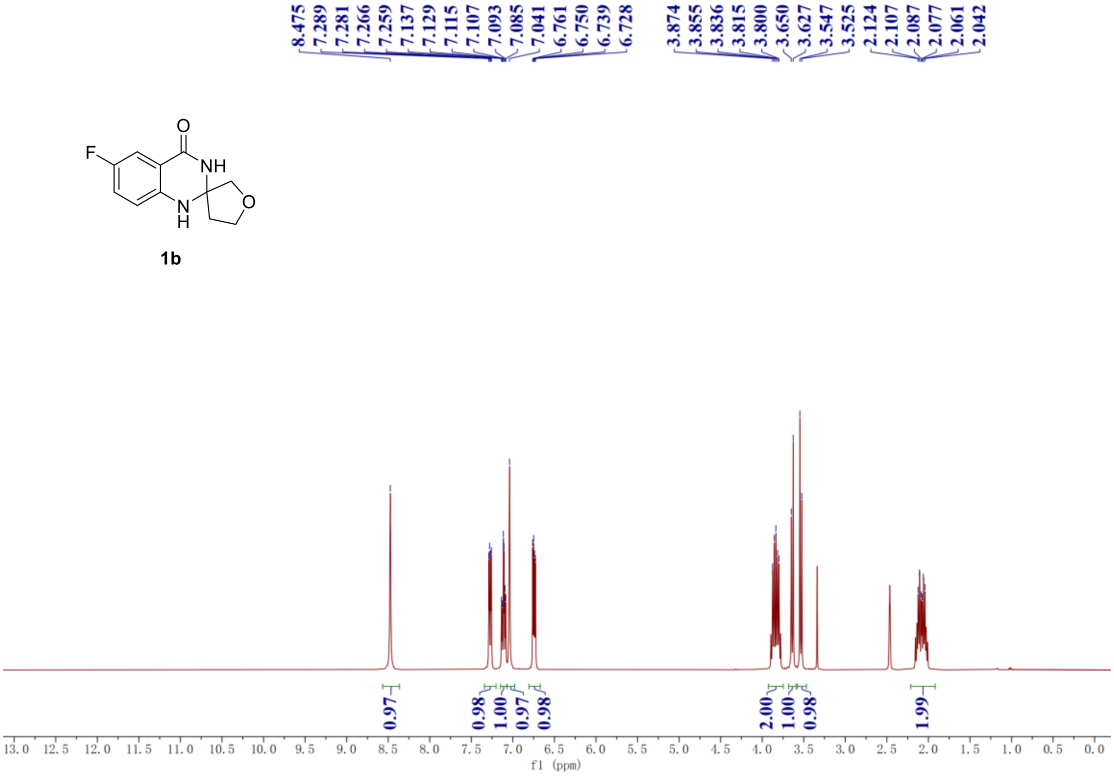
2-(2-(3-(2-Chloropyridin-4-yl)-3-phenylpropoxy)ethyl)quinazolin-4(3*H*)-one(6e): Yellow oil (40.2 mg, 48% yield), R_f = 0.2 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.71 (s, 1H), 8.33 (d, *J* = 8.0 Hz, 1H), 8.25 (d, *J* = 4.8 Hz, 1H), 7.83 – 7.79 (m, 1H), 7.71 (d, *J* = 8.4 Hz, 1H), 7.54 – 7.50 (m, 1H), 7.31 – 7.19 (m, 6H), 7.10 (d, *J* = 4.8 Hz, 1H), 4.14 (t, *J* = 8.0 Hz, 1H), 3.83 (t, *J* = 6.0 Hz, 2H), 3.51 – 3.44 (m, 2H), 3.04 (t, *J* = 5.2 Hz, 2H), 2.39 – 2.34 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 162.7, 156.8, 154.8, 151.8, 149.7, 141.5, 134.8, 129.0, 127.9, 127.2, 127.1, 126.7, 126.4, 123.4, 122.1, 121.0, 68.6, 67.9, 46.6, 36.0, 34.3 ppm; **HRMS** (ESI) m/z: $[M + Na]^+$ Calcd for $C_{24}H_{22}ClN_3O_2Na$ 442.1293; Found 442.1298.

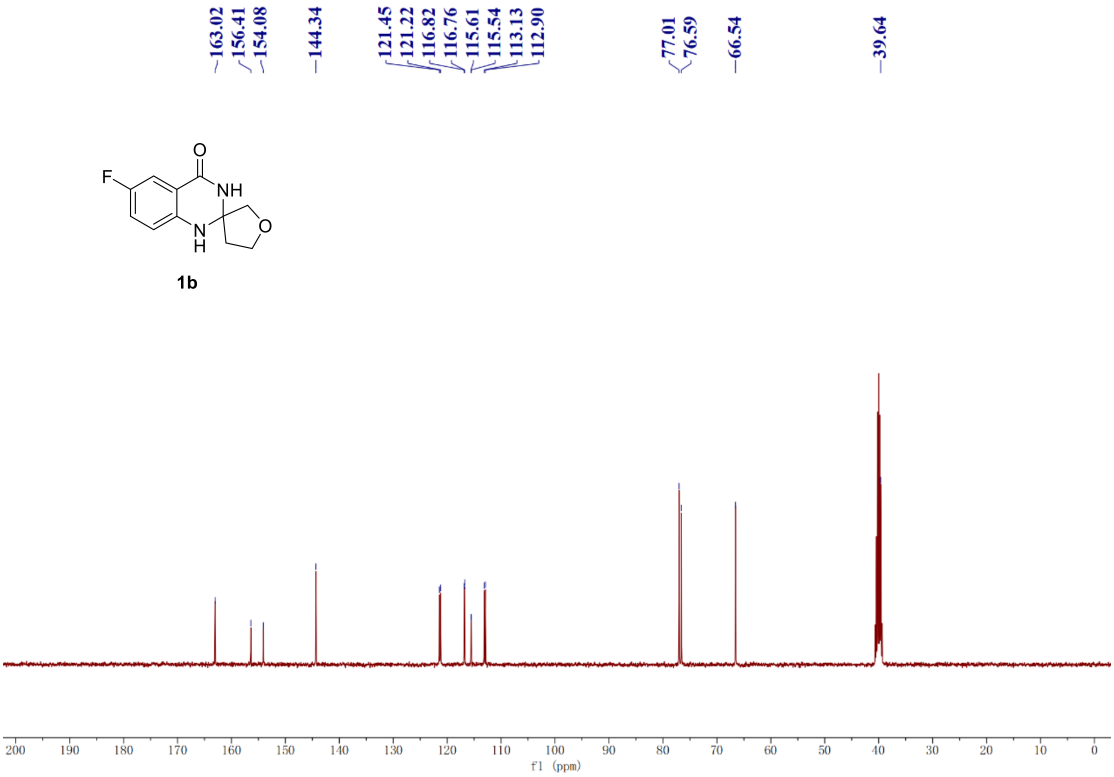


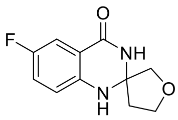
2-(2-(3-Phenyl-3-(pyridin-3-yl)propoxy)ethyl)quinazolin-4(3*H*)-one (6f): Yellow oil (32.4 mg, 42% yield), R_f = 0.3 (EA); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.98 (s, 1H), 8.33 (d, *J* = 5.2 Hz, 1H), 8.20 (d, *J* = 6.8 Hz, 2H), 7.70 – 7.58 (m, 2H), 7.41 – 7.36 (m, 1H), 7.19 – 7.02 (m, 7H), 4.16 (t, *J* = 8.0 Hz, 1H), 3.77 (t, *J* = 6.0 Hz, 2H), 3.40 – 3.34 (m, 2H), 2.93 (t, *J* = 6.0 Hz, 2H), 2.26 – 2.19 (m, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 156.9, 154.6, 153.2, 152.9, 148.9, 140.0, 134.6, 129.0, 128.0, 127.5, 127.0, 126.5, 126.3, 122.1, 121.0, 120.9, 116.0, 68.6, 67.9, 45.4, 36.0, 34.3 ppm; **HRMS** (ESI) m/z: $[M + H]^+$ Calcd for $C_{24}H_{24}N_3O_2$ 386.1863; Found 386.1874.

11. ^{1}H NMR and ^{13}C NMR Spectra of Materials and Products

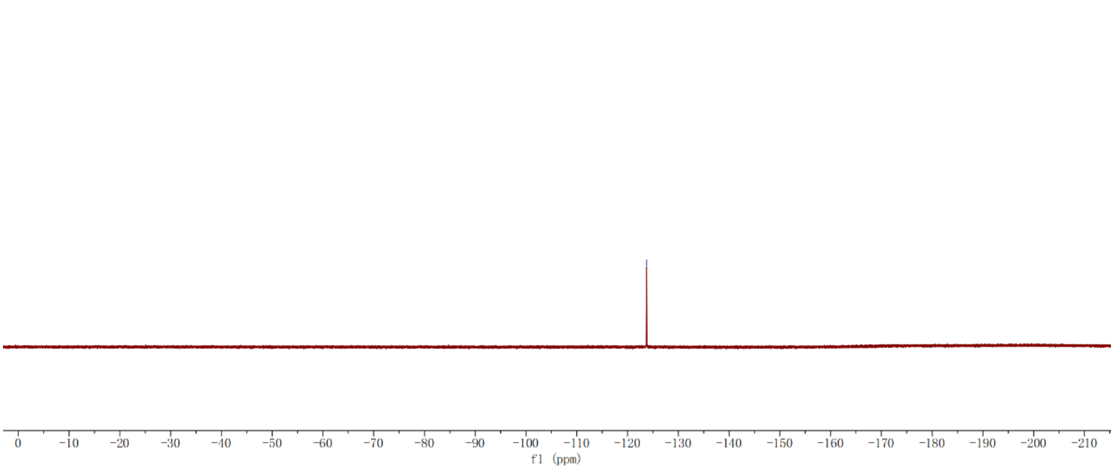
^{1}H NMR (400 MHz, DMSO-d_6) and ^{13}C NMR (100 MHz, DMSO-d_6) spectra of product **1b**







1b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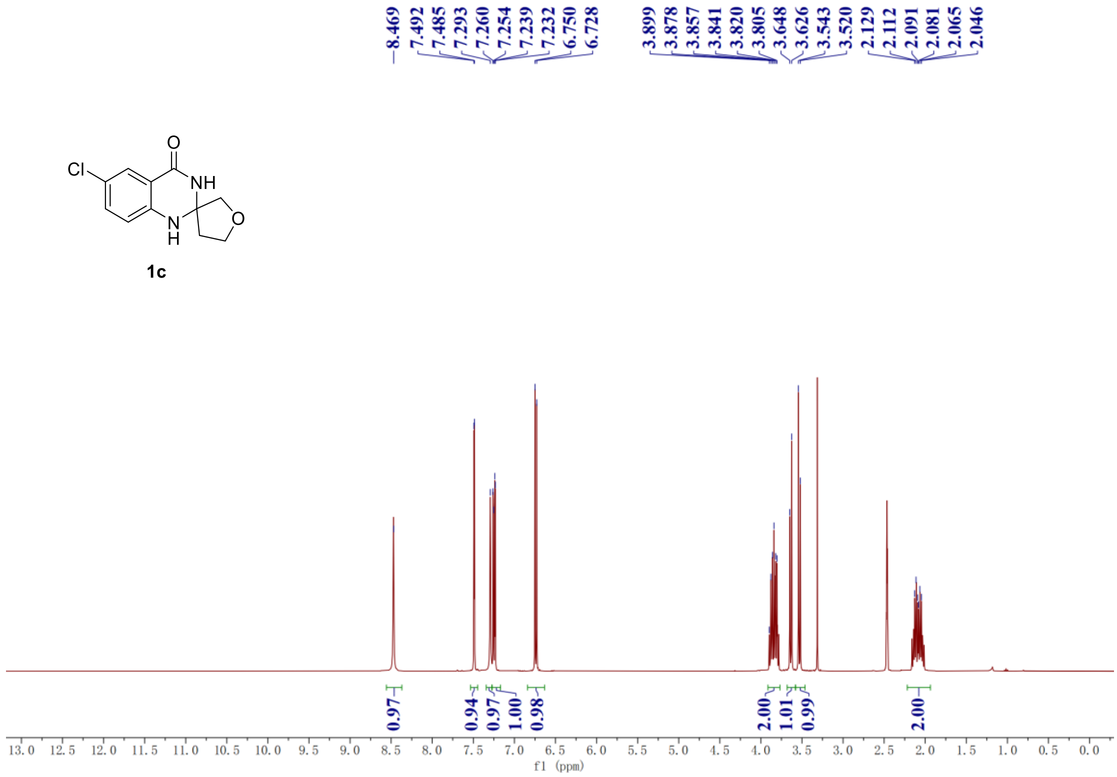
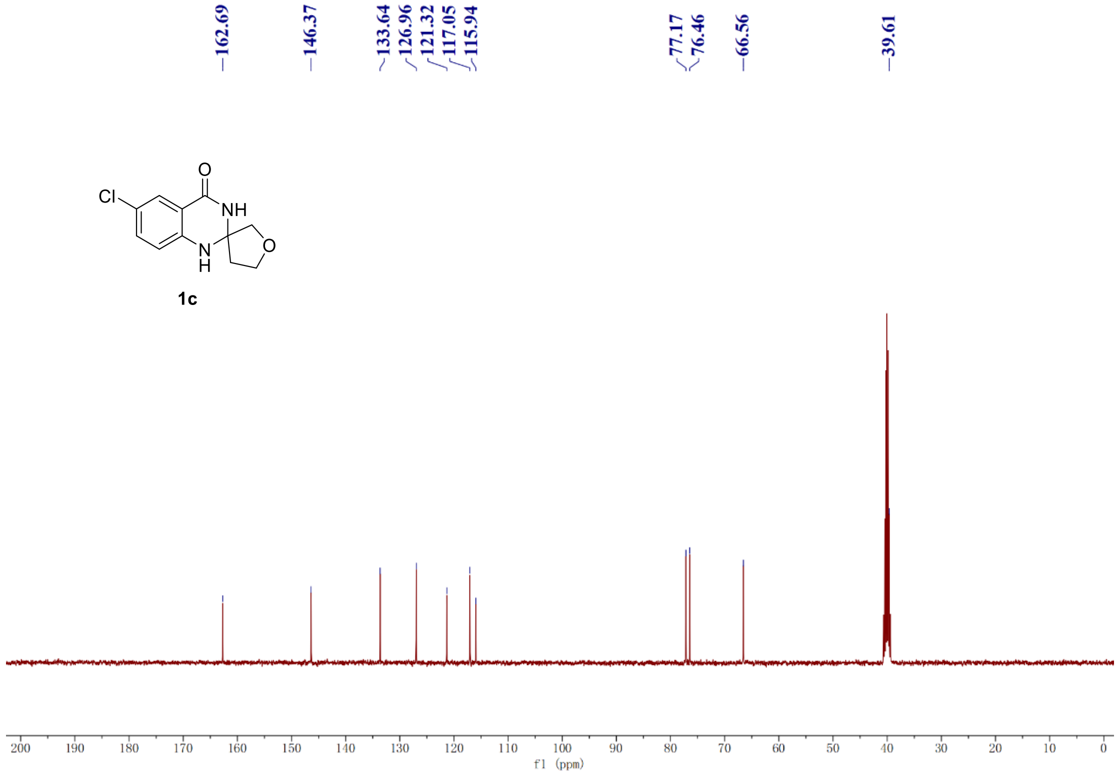
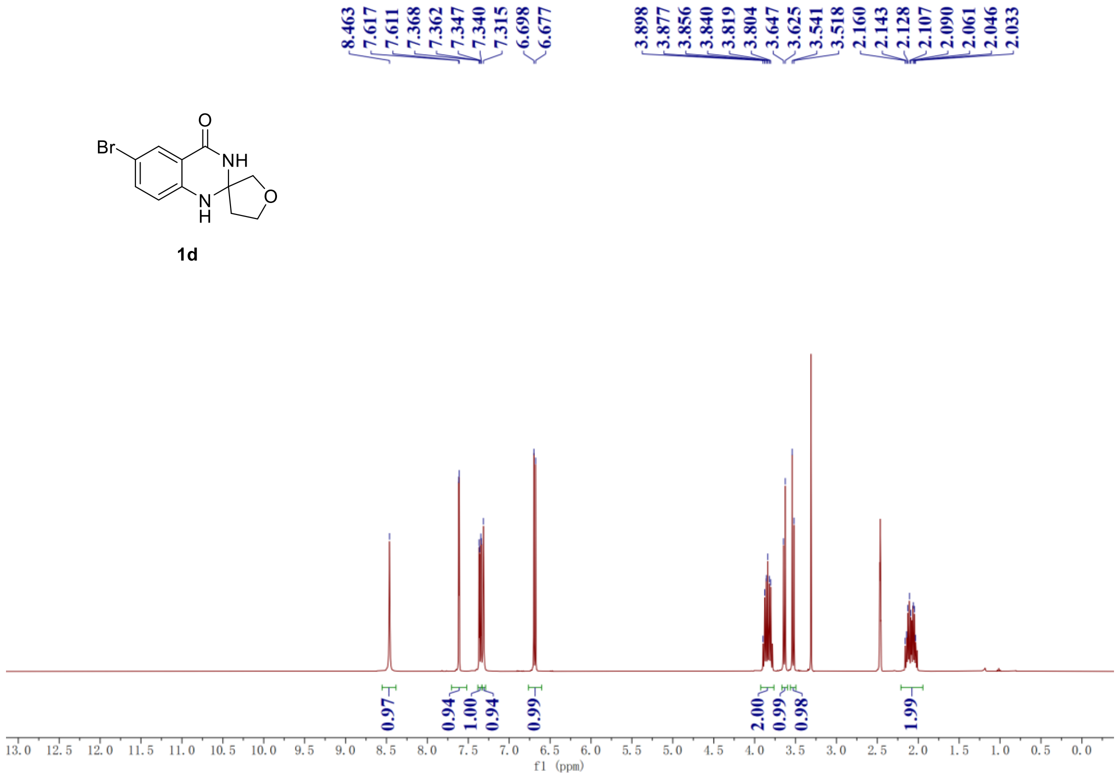
f1 (ppm)

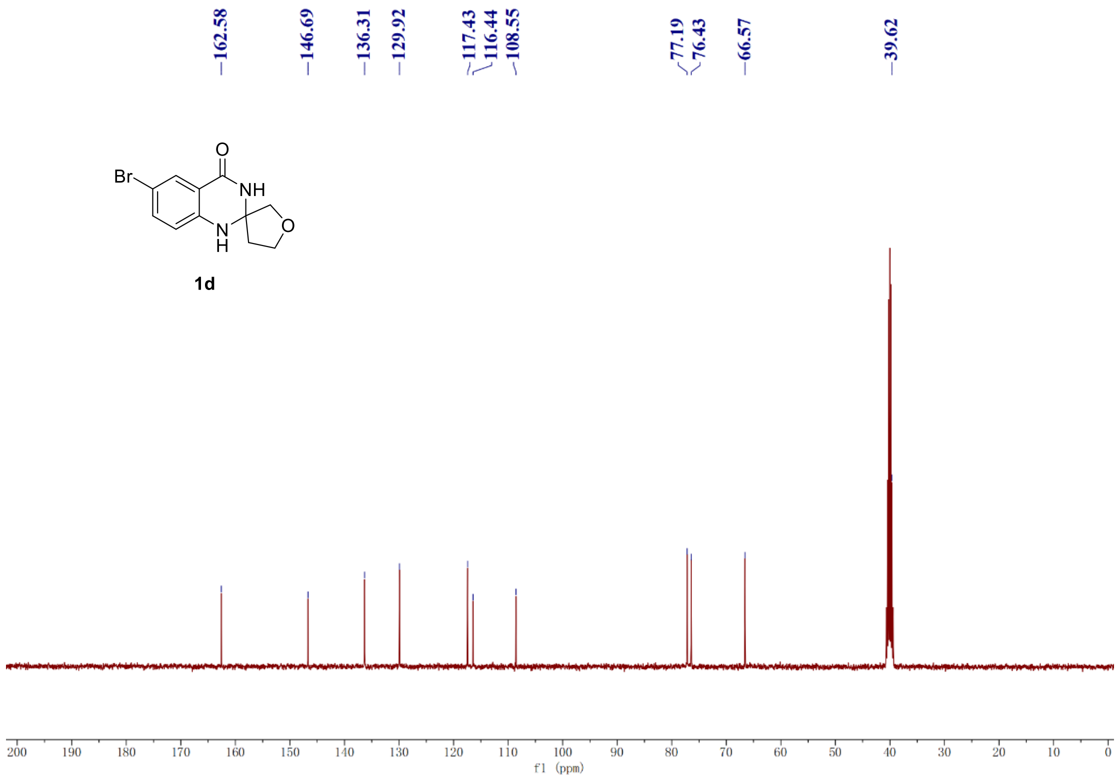
[1]H NMR (400 MHz, DMSO-d_6) and [13]C NMR (100 MHz, DMSO-d_6) spectra of product **1c**



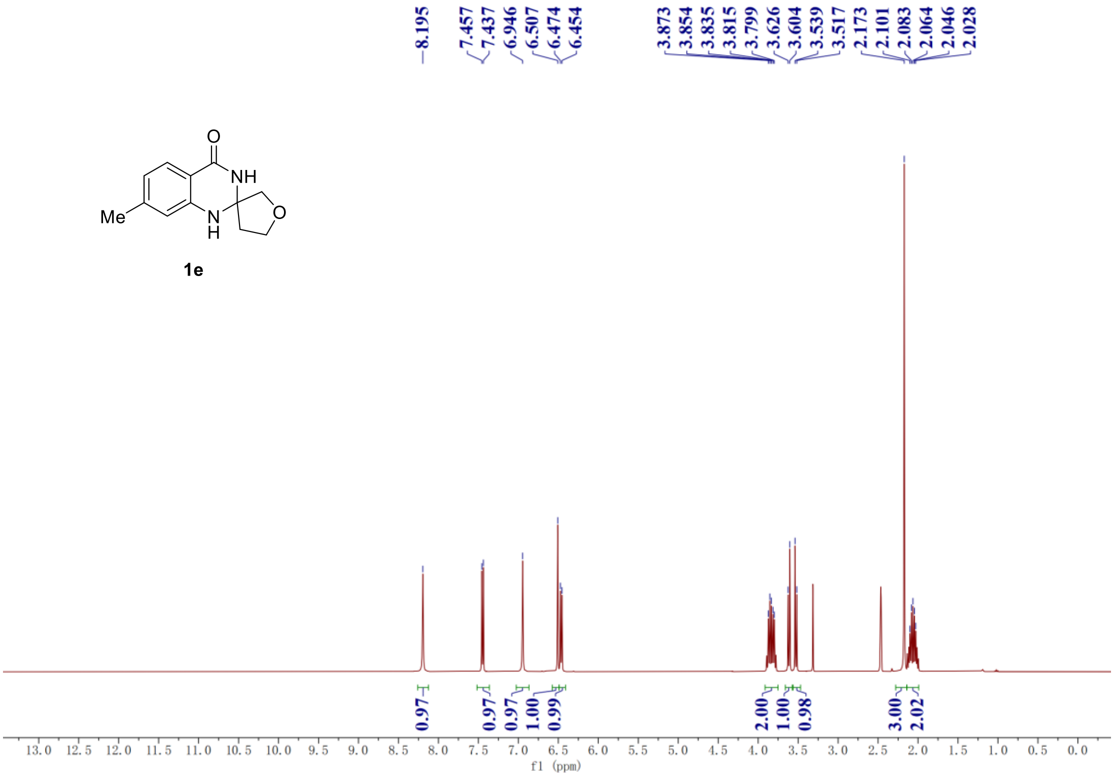


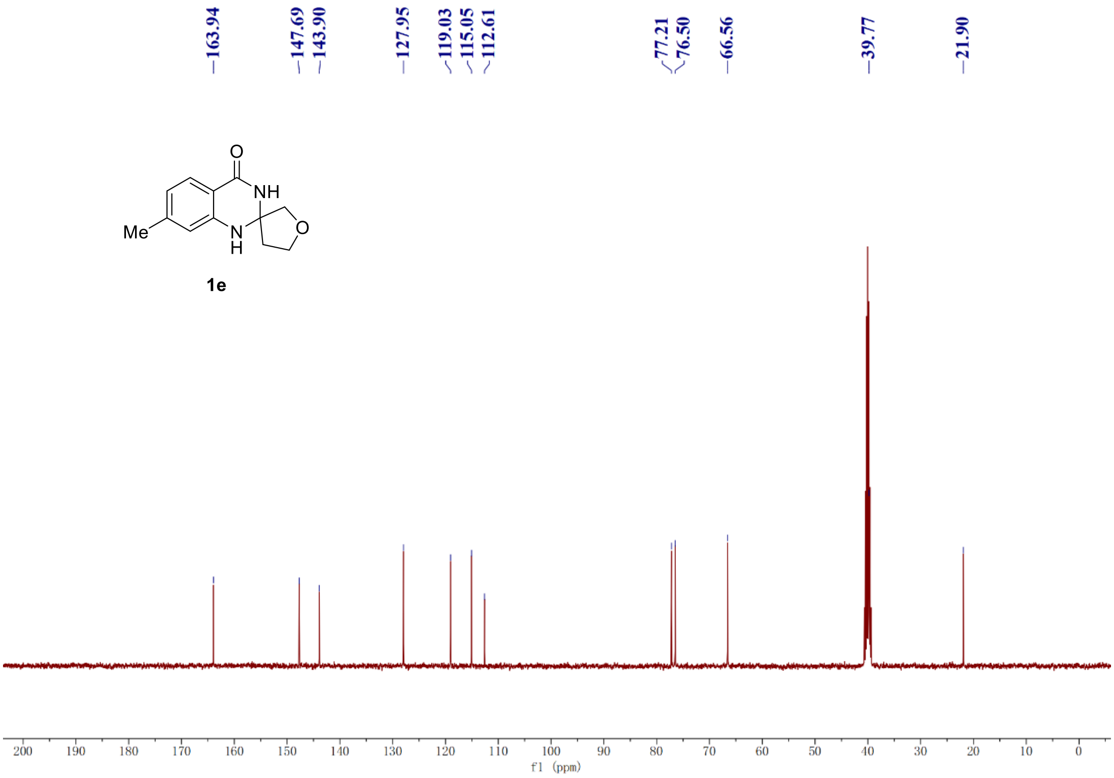
[1]H NMR (400 MHz, DMSO-d_6) and [13]C NMR (100 MHz, DMSO-d_6) spectra of product **1d**



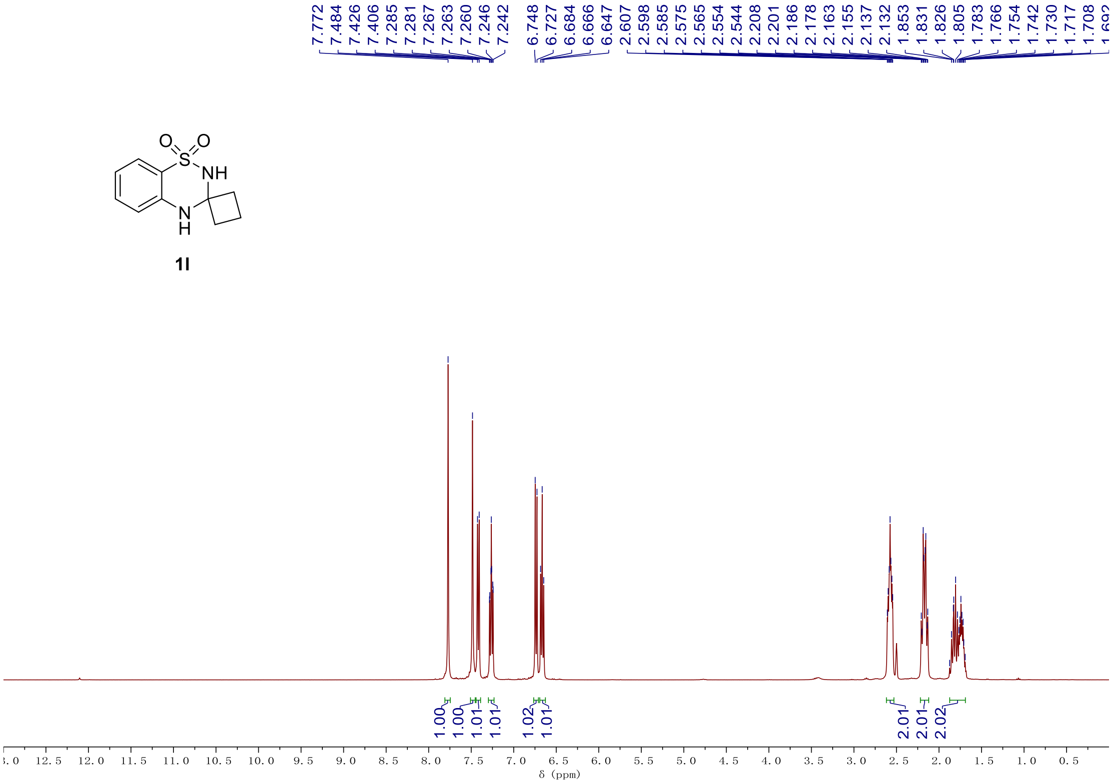


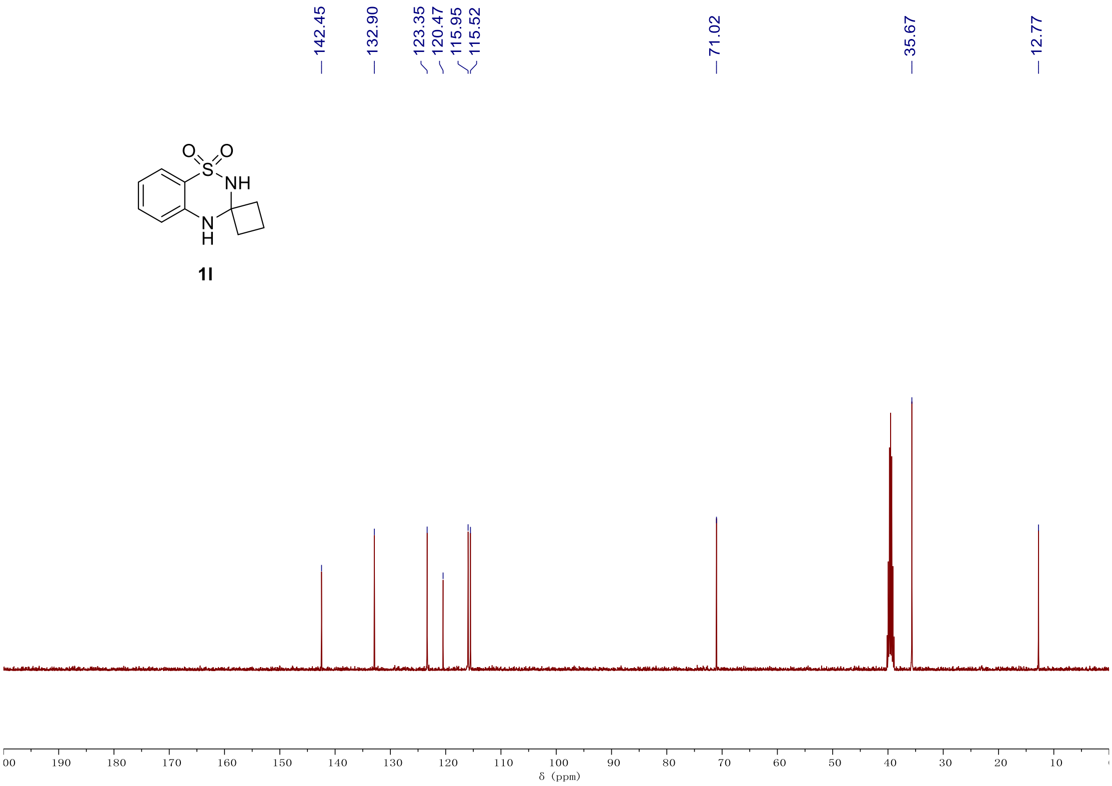
^{1}H NMR (400 MHz, DMSO-d_6) and ^{13}C NMR (100 MHz, DMSO-d_6) spectra of product **1e**



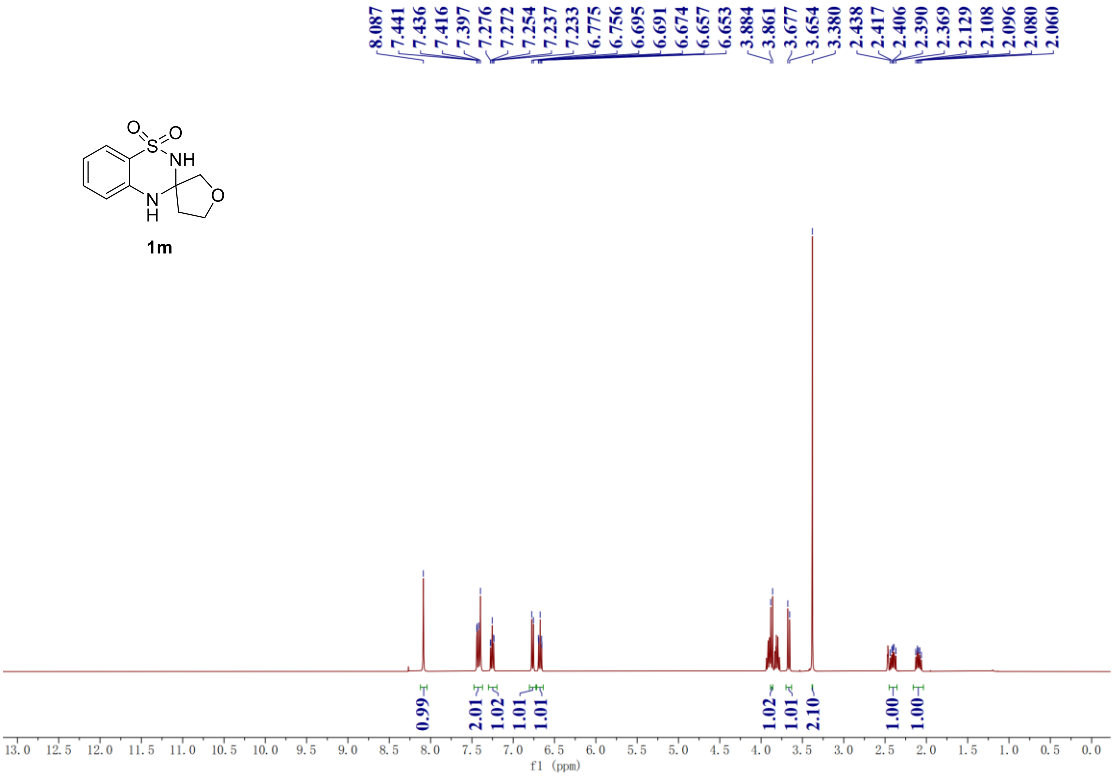


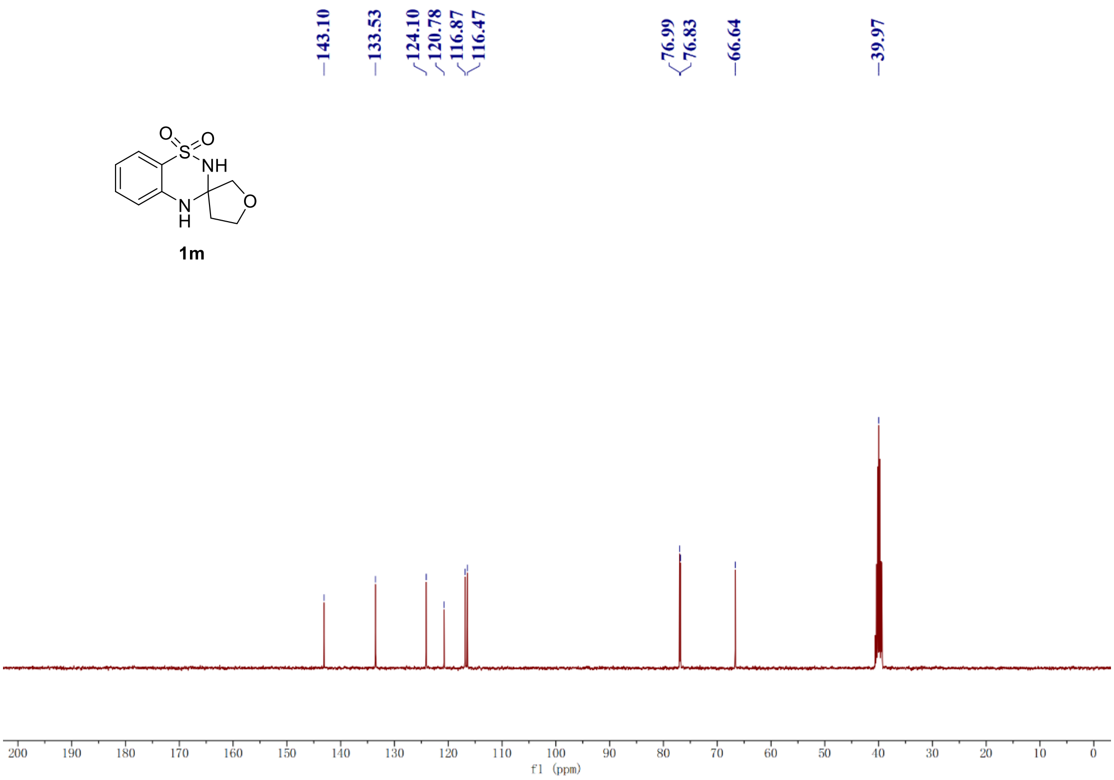
^{1}H NMR (400 MHz, DMSO-d_6) and ^{13}C NMR (100 MHz, DMSO-d_6) spectra of product **1l**



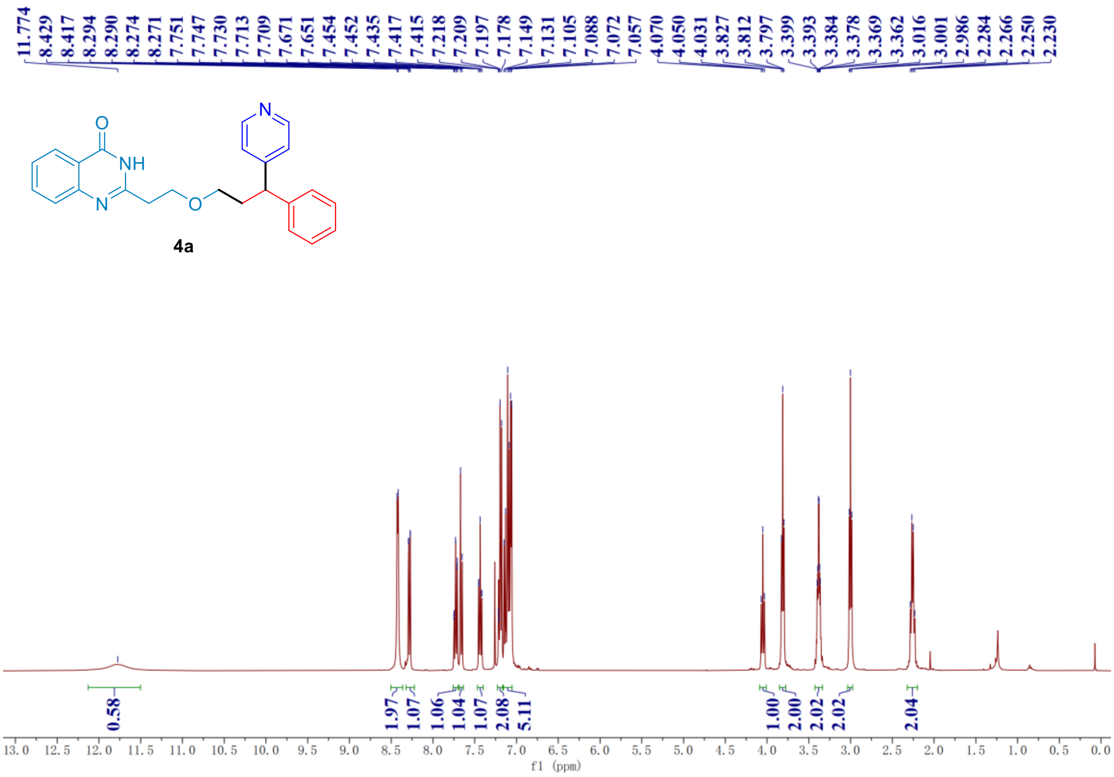


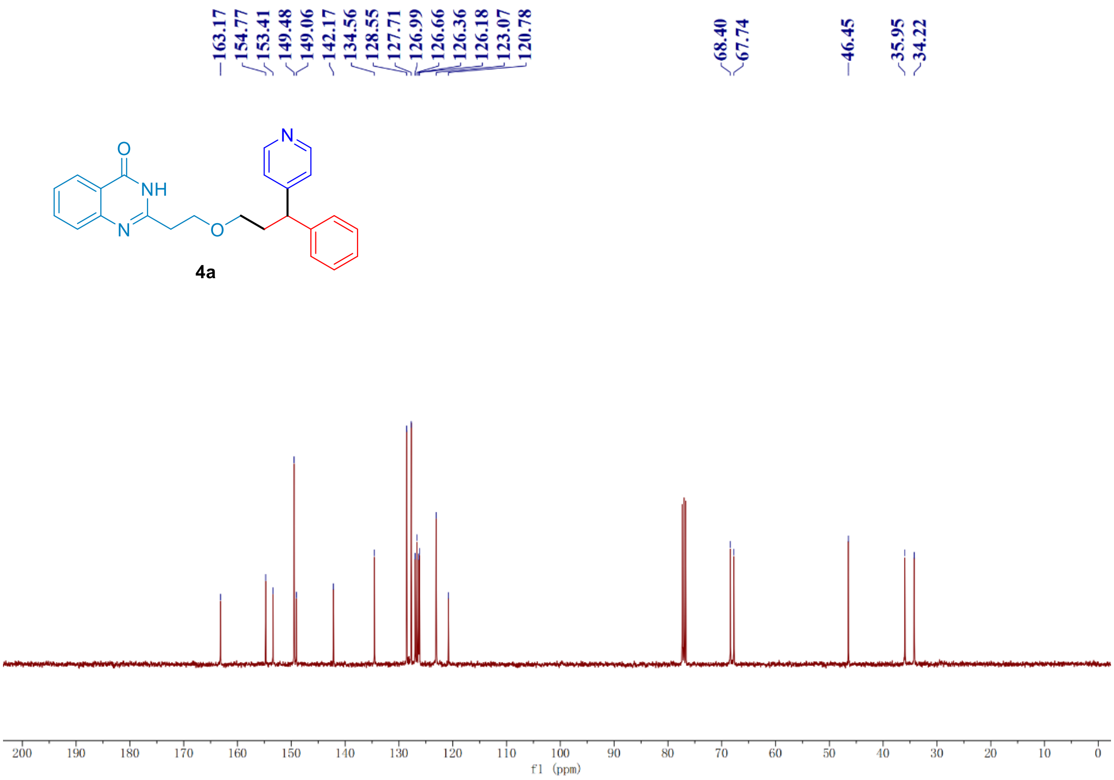
[1]H NMR (400 MHz, DMSO-d_6) and [13]C NMR (100 MHz, DMSO-d_6) spectra of product **1m**



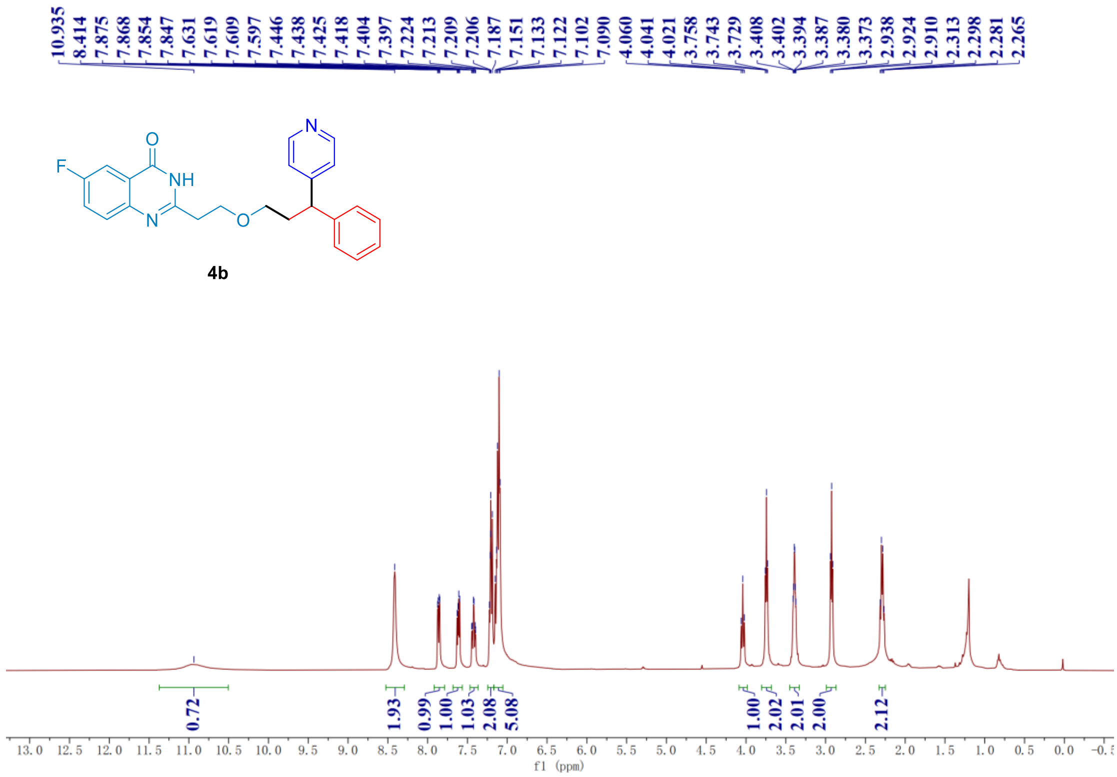


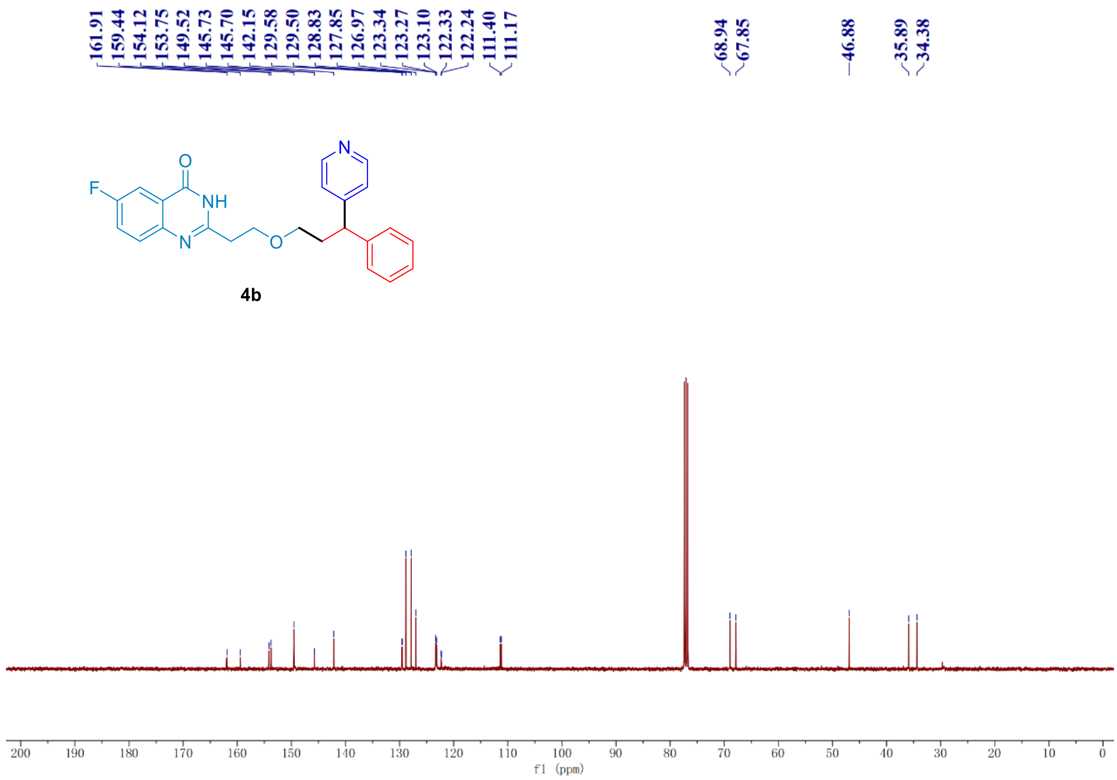
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **4a**

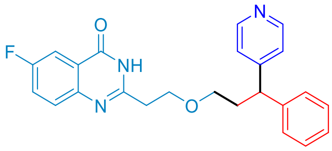




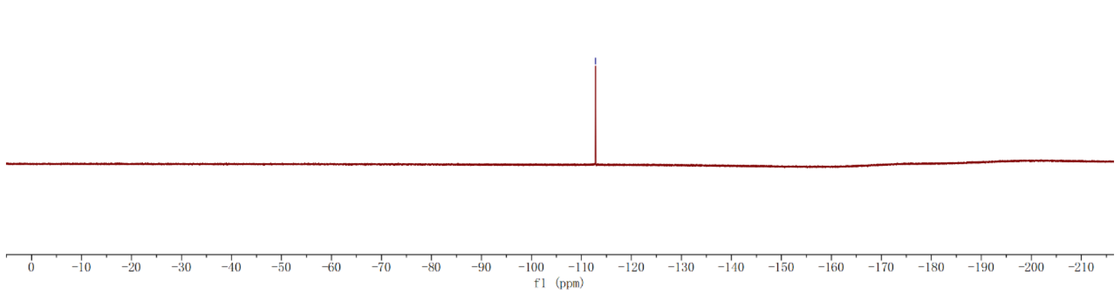
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **4b**







4b



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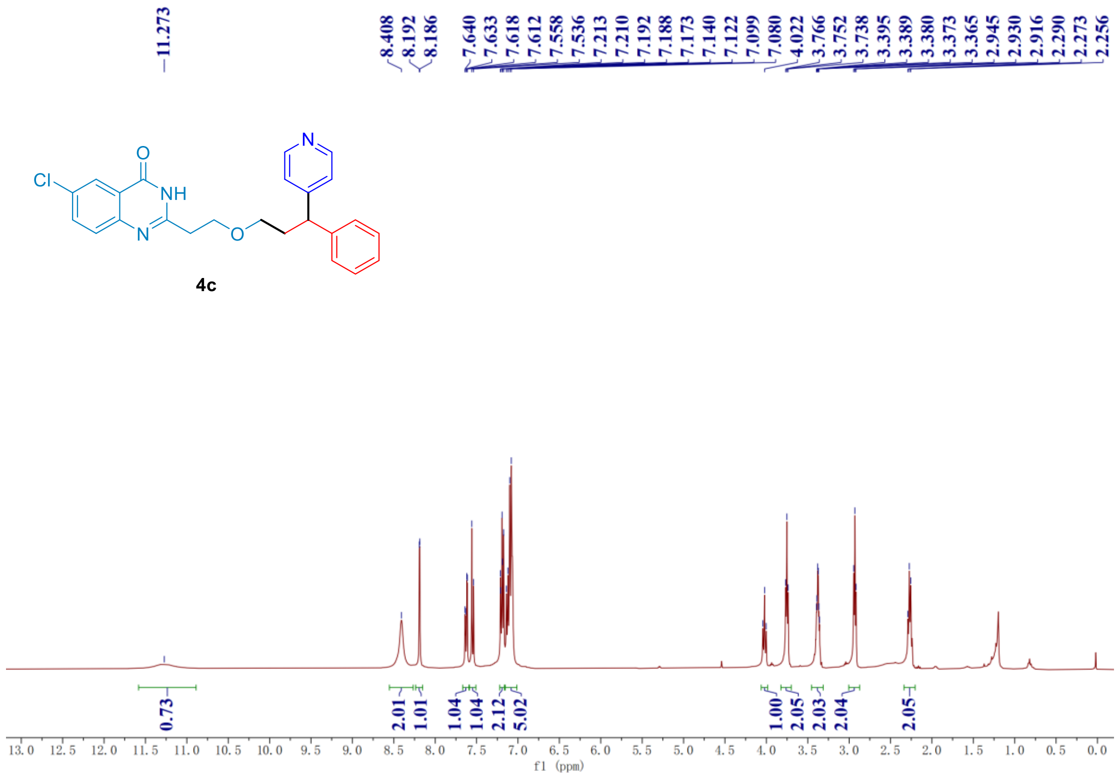
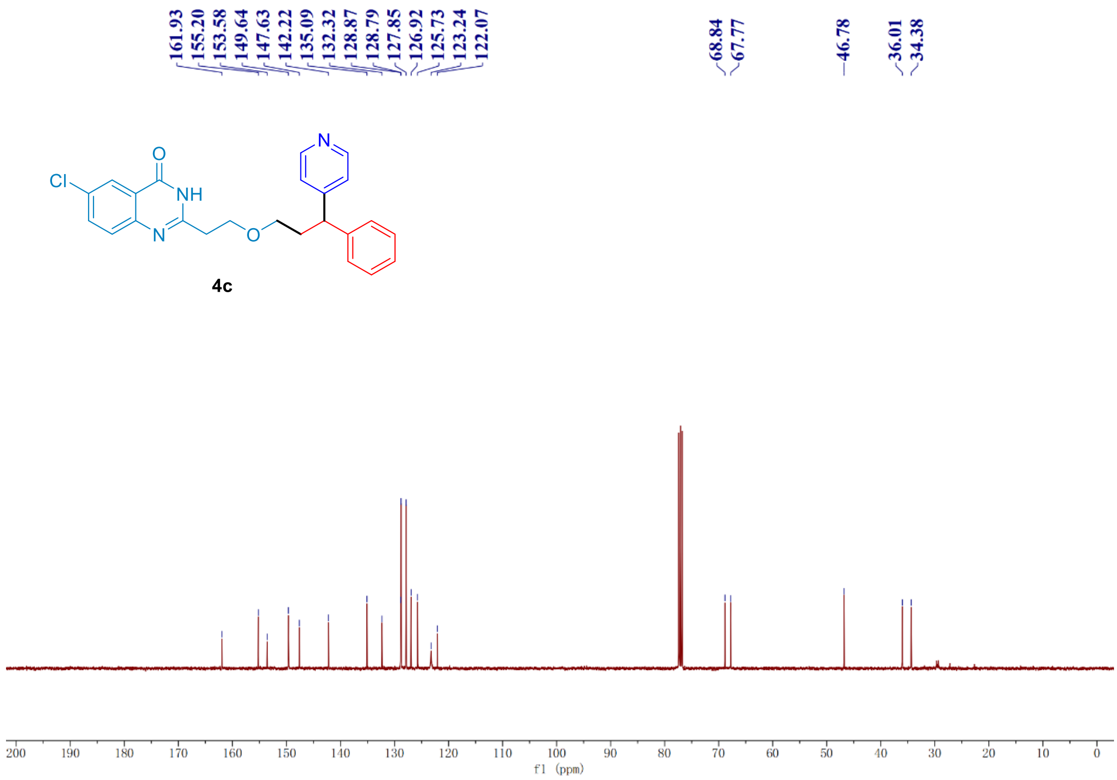
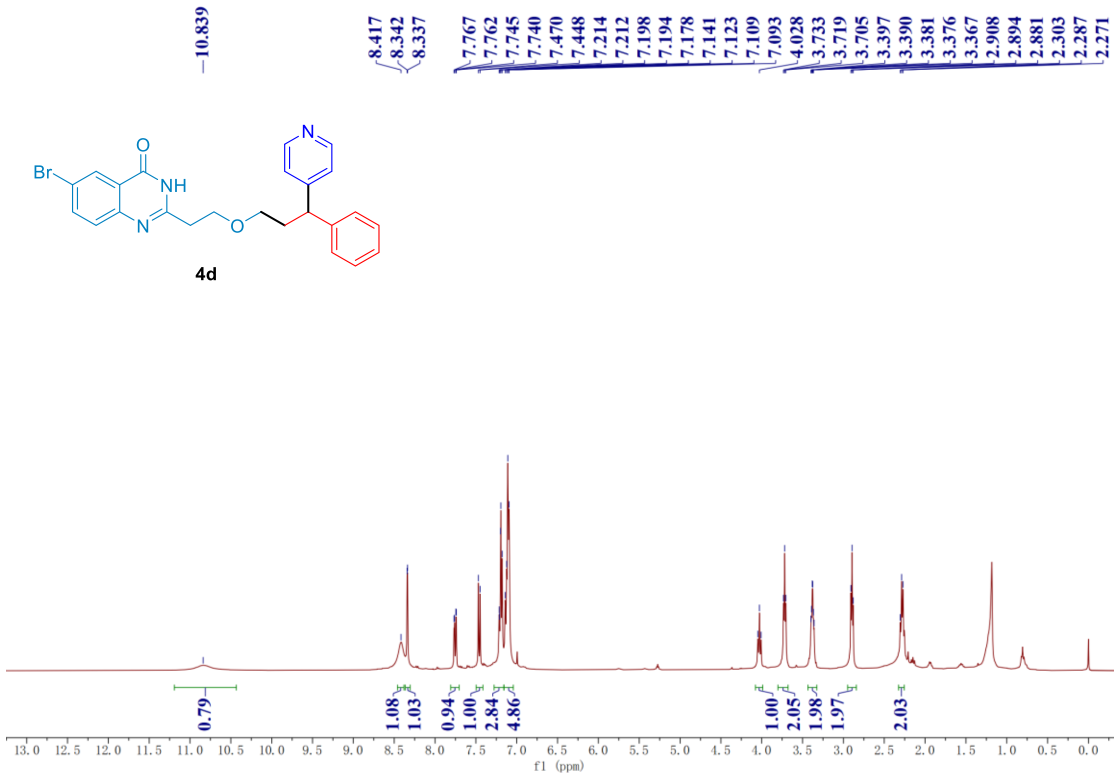
f1 (ppm)

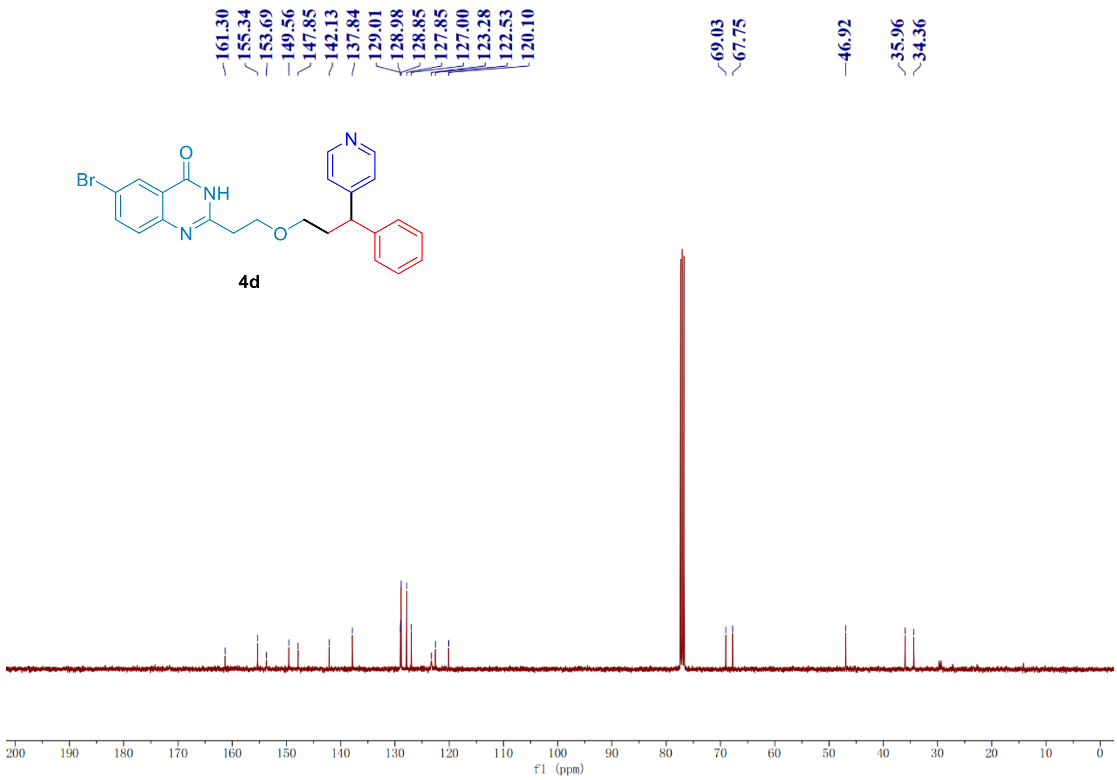
[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **4c**



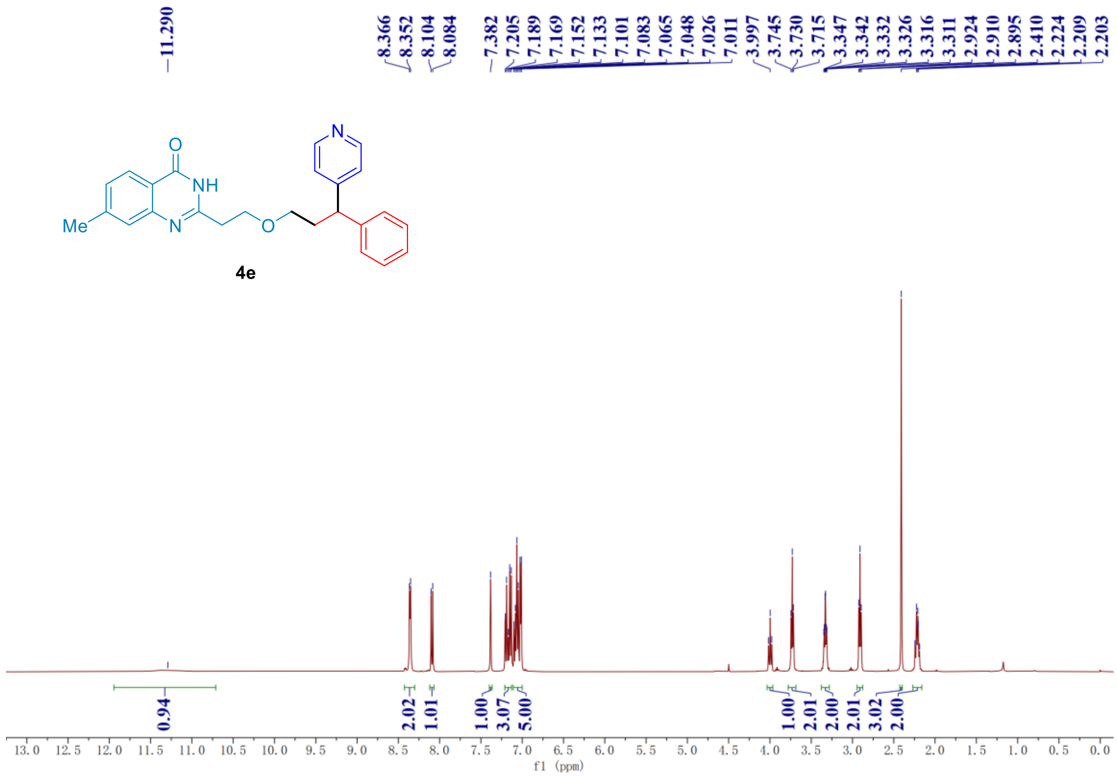


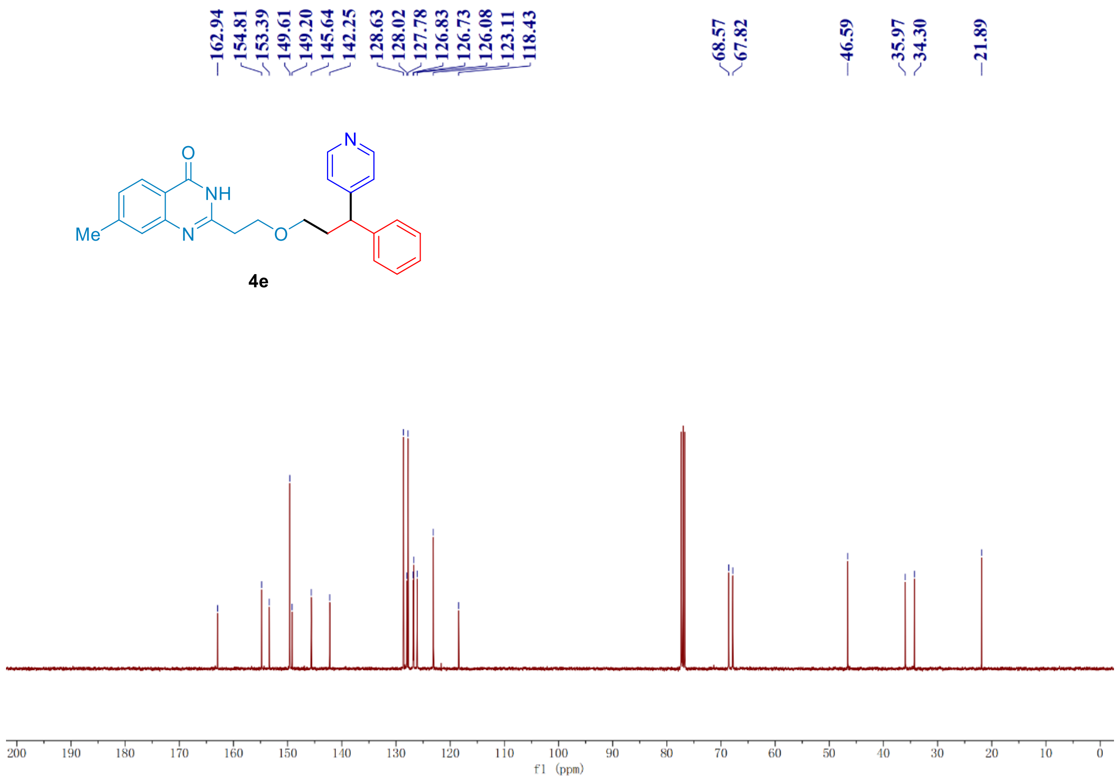
[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **4d**



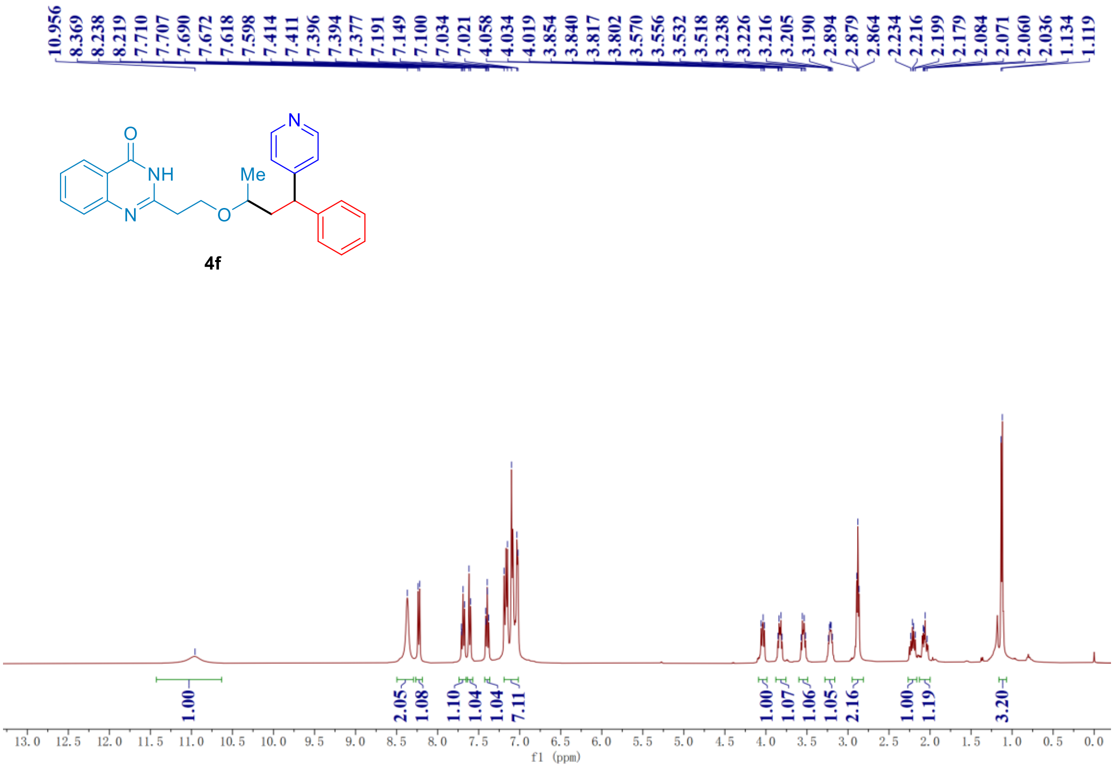


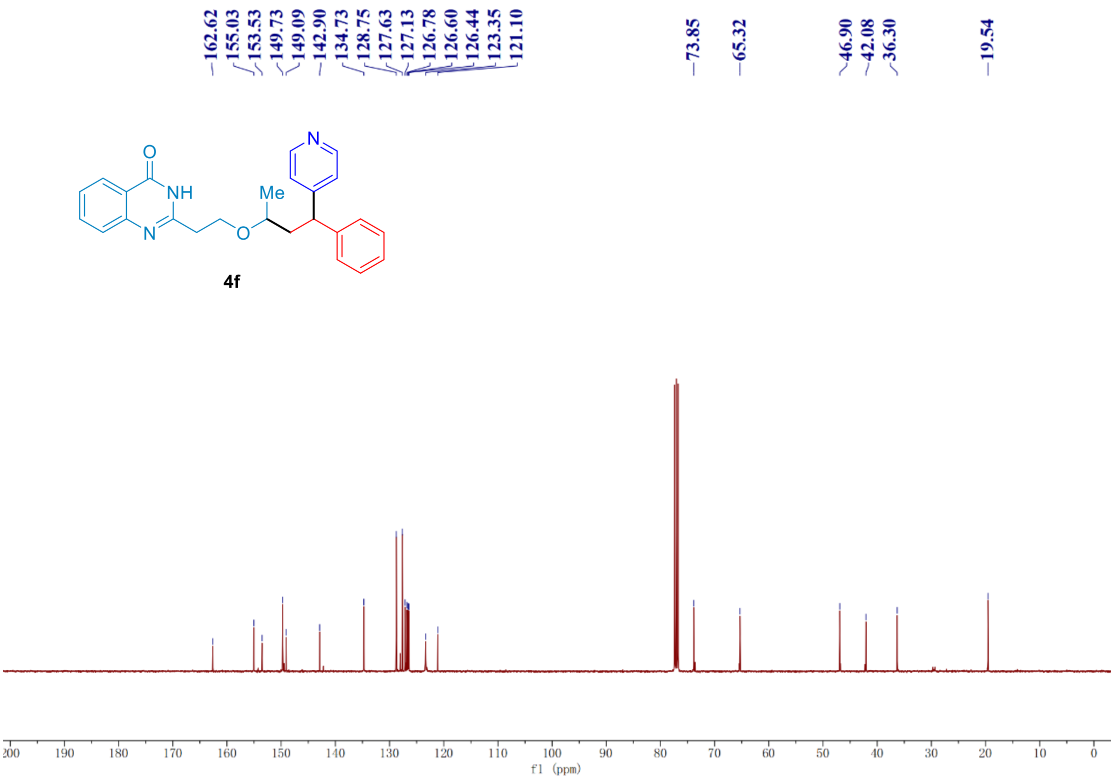
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **4e**



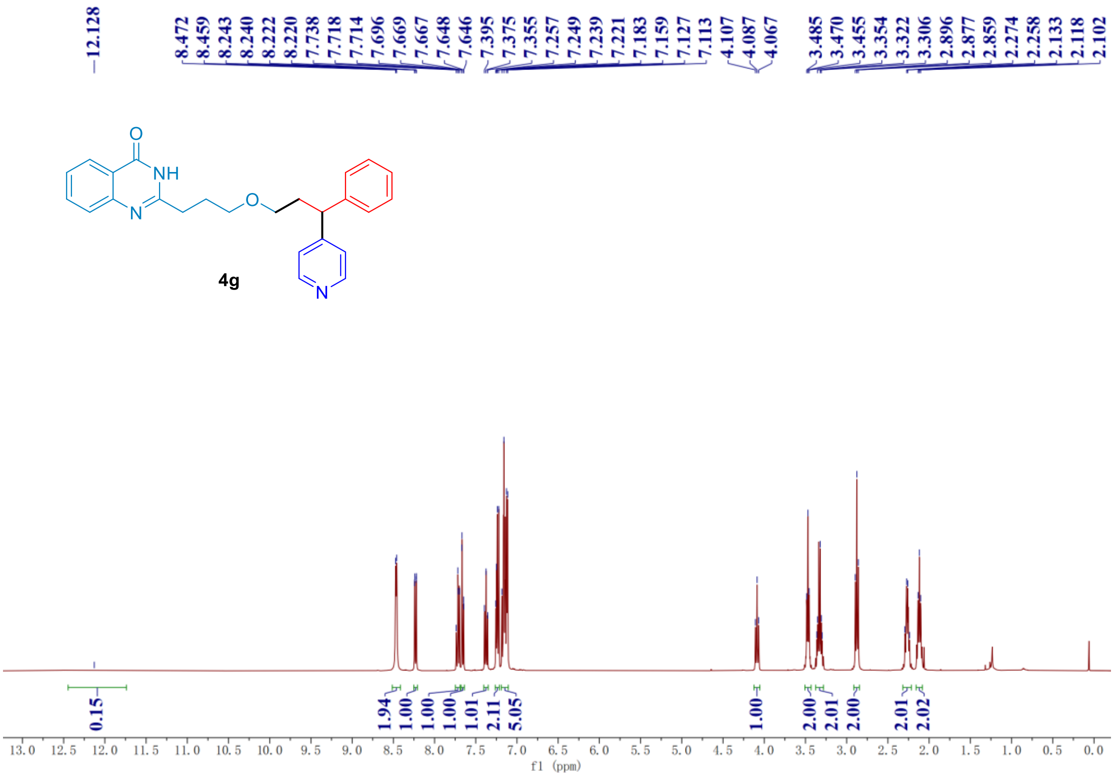


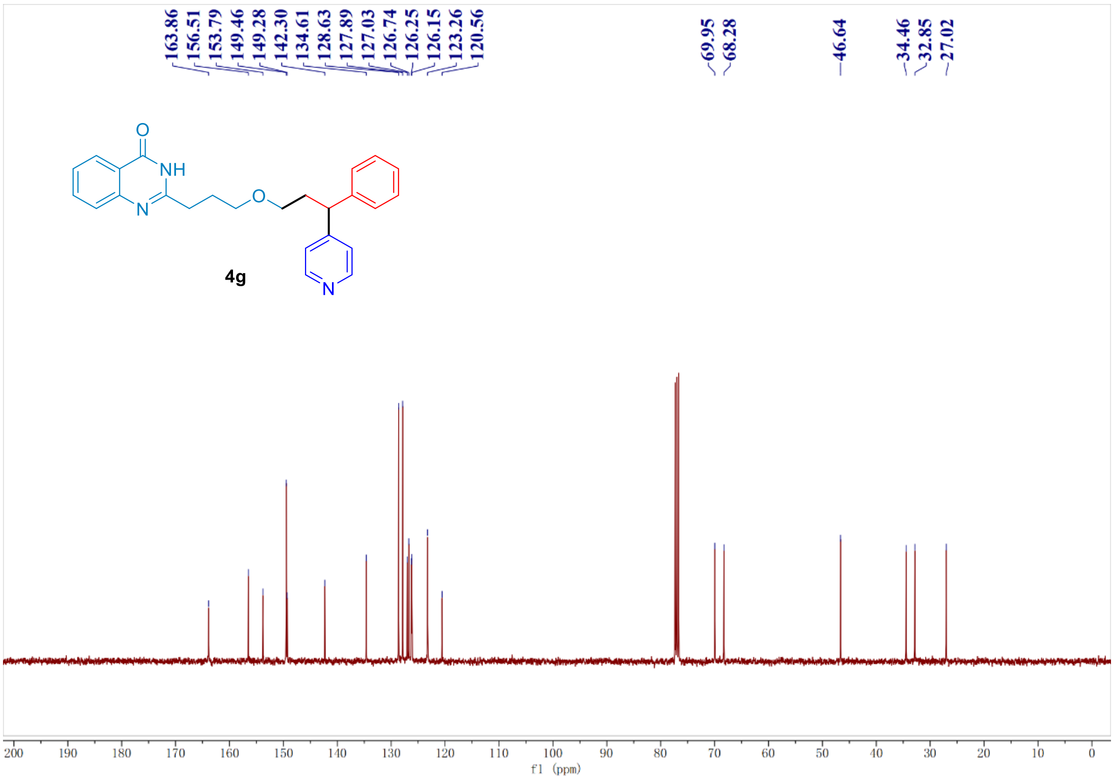
[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **4f**



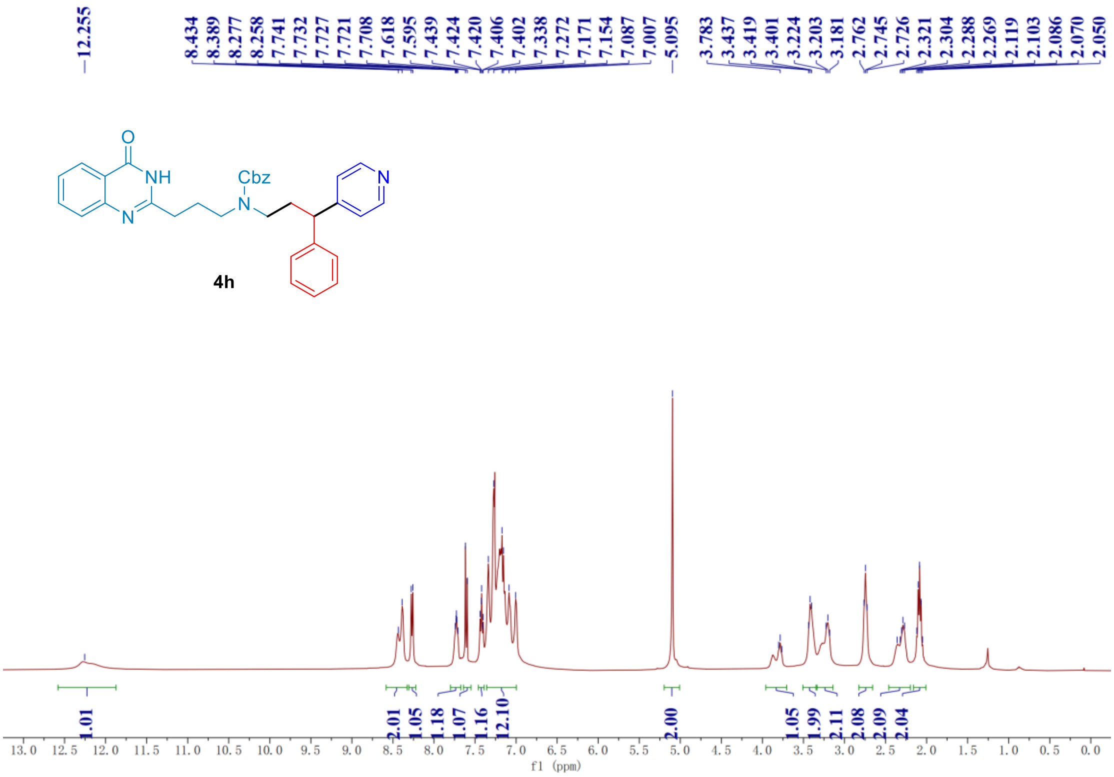


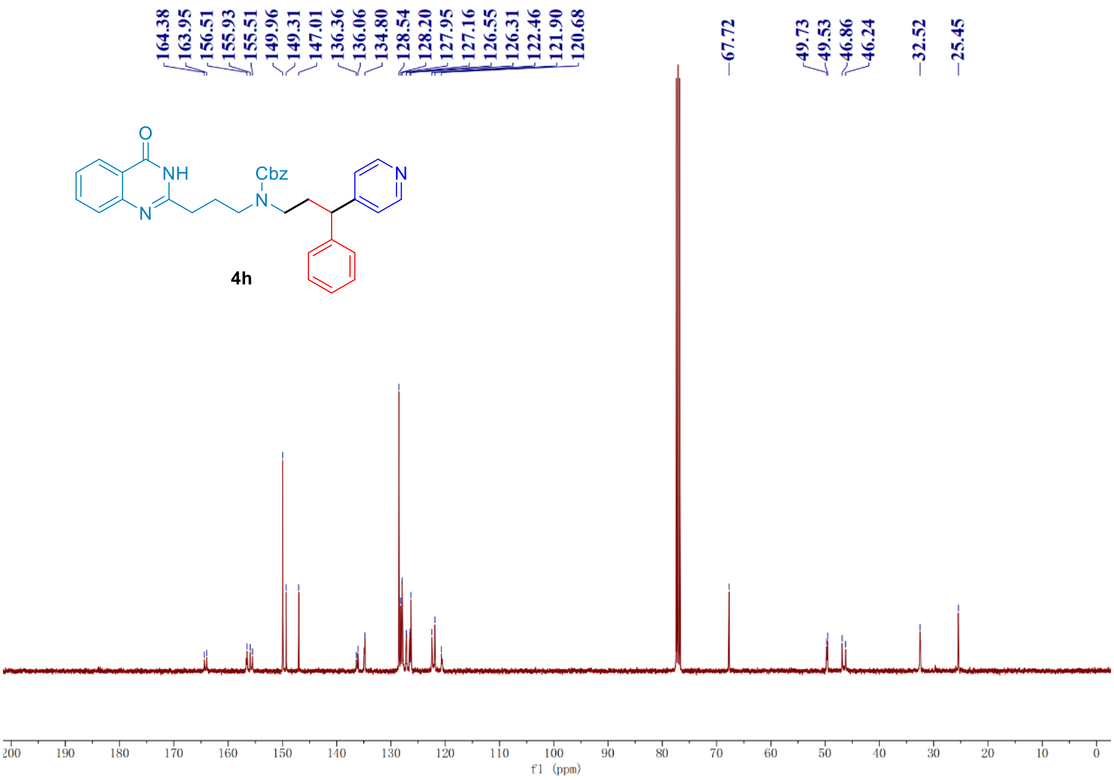
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **4g**



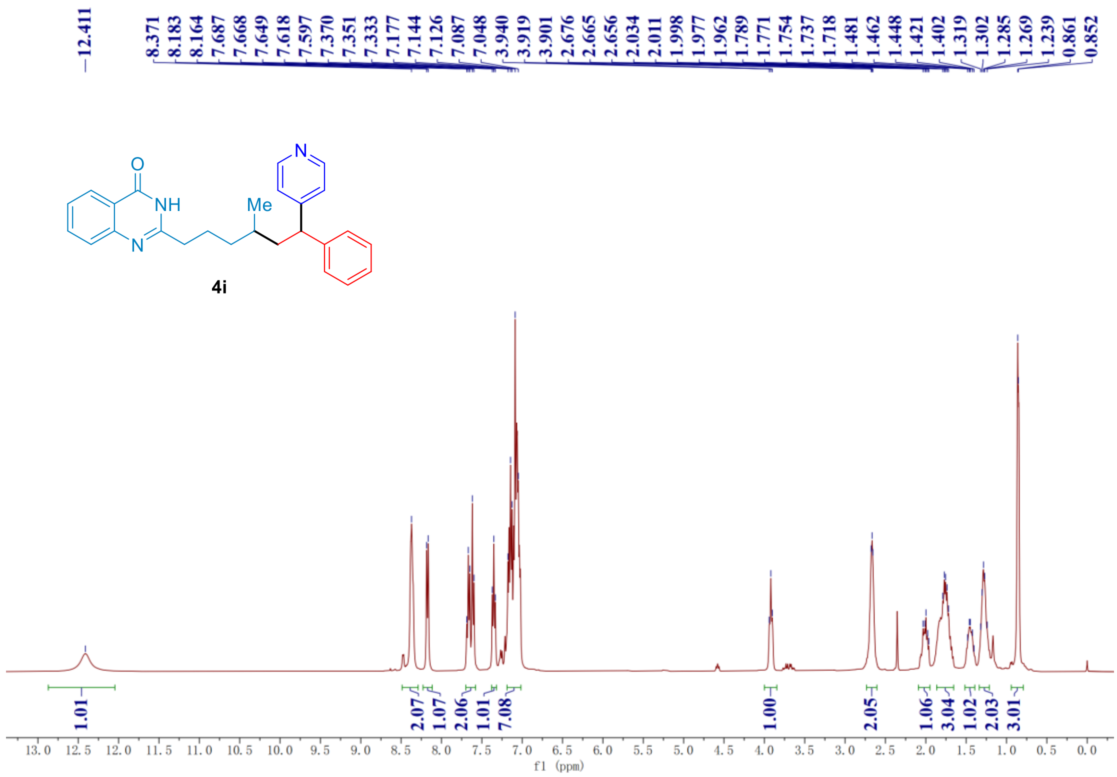


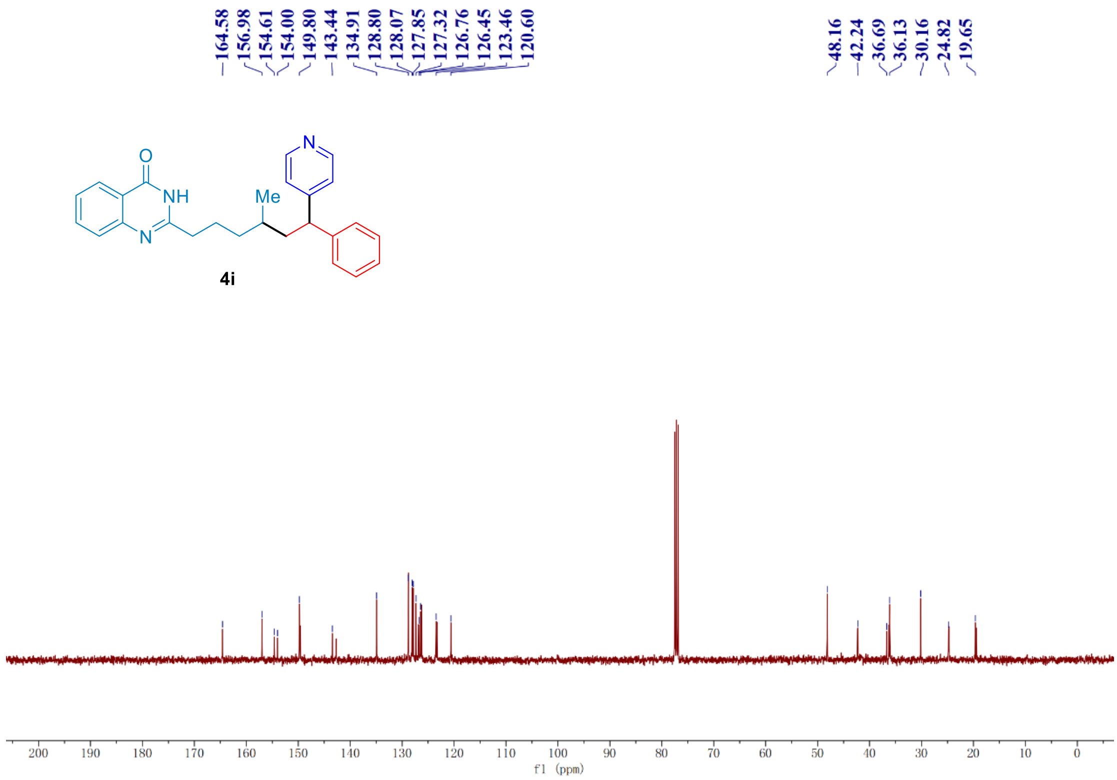
[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **4h**



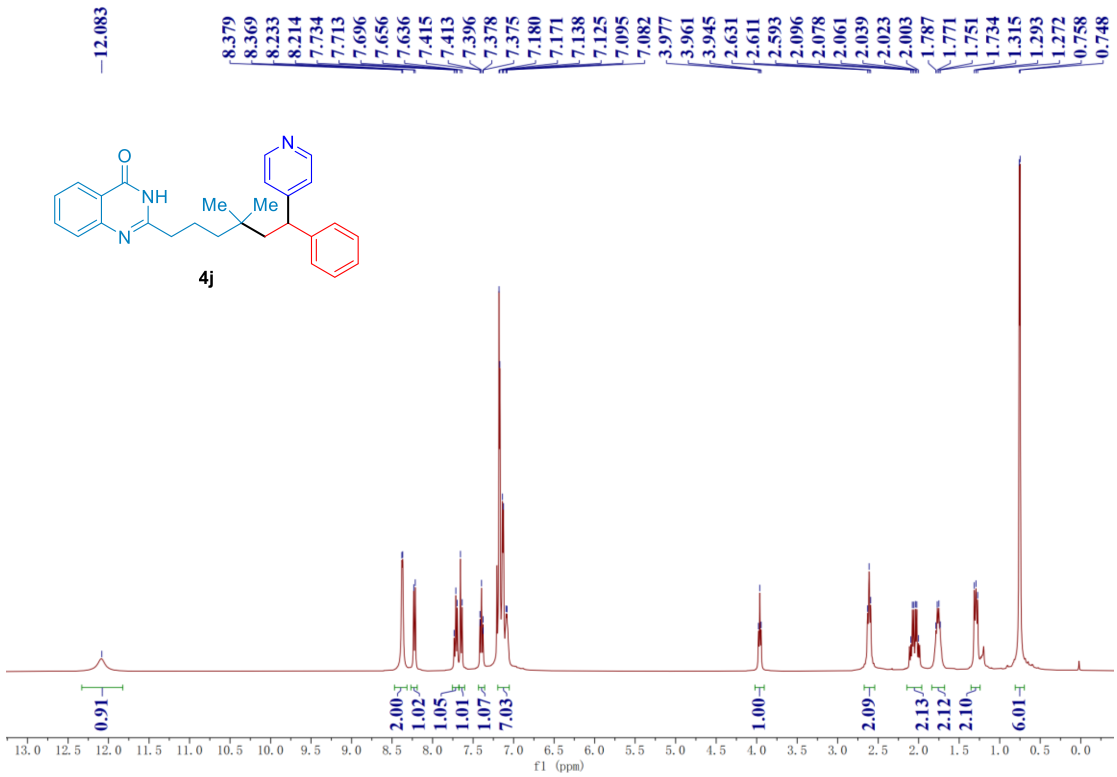


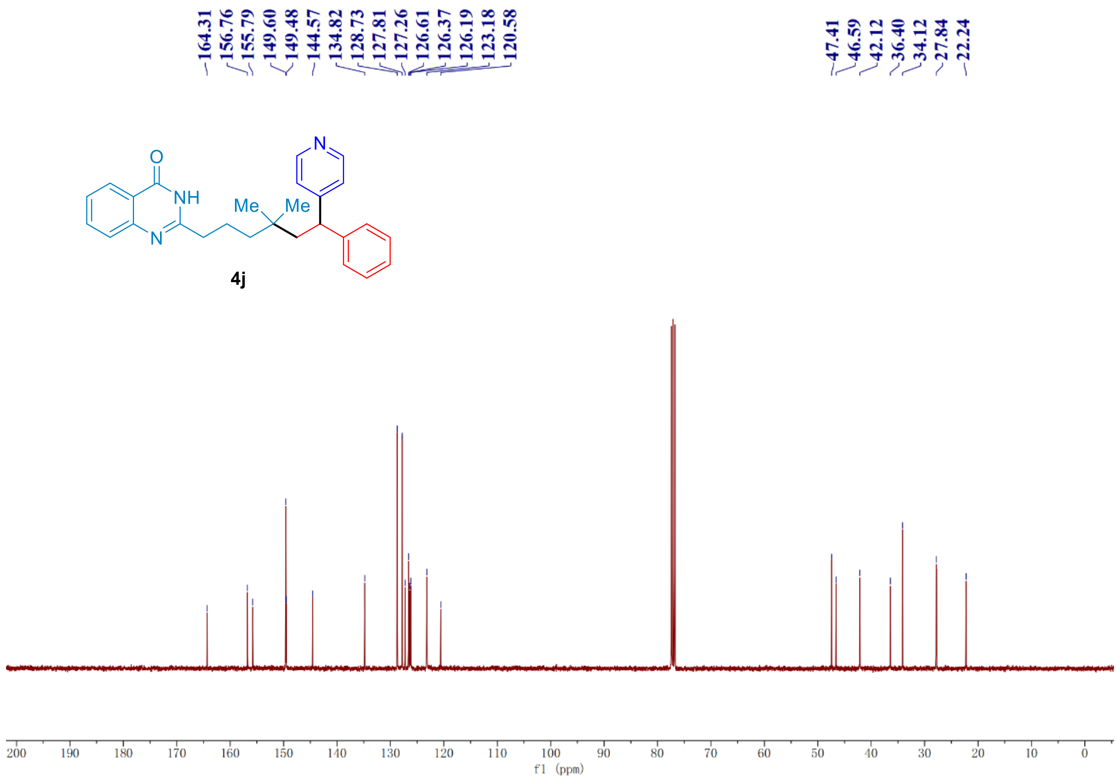
[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **4i**



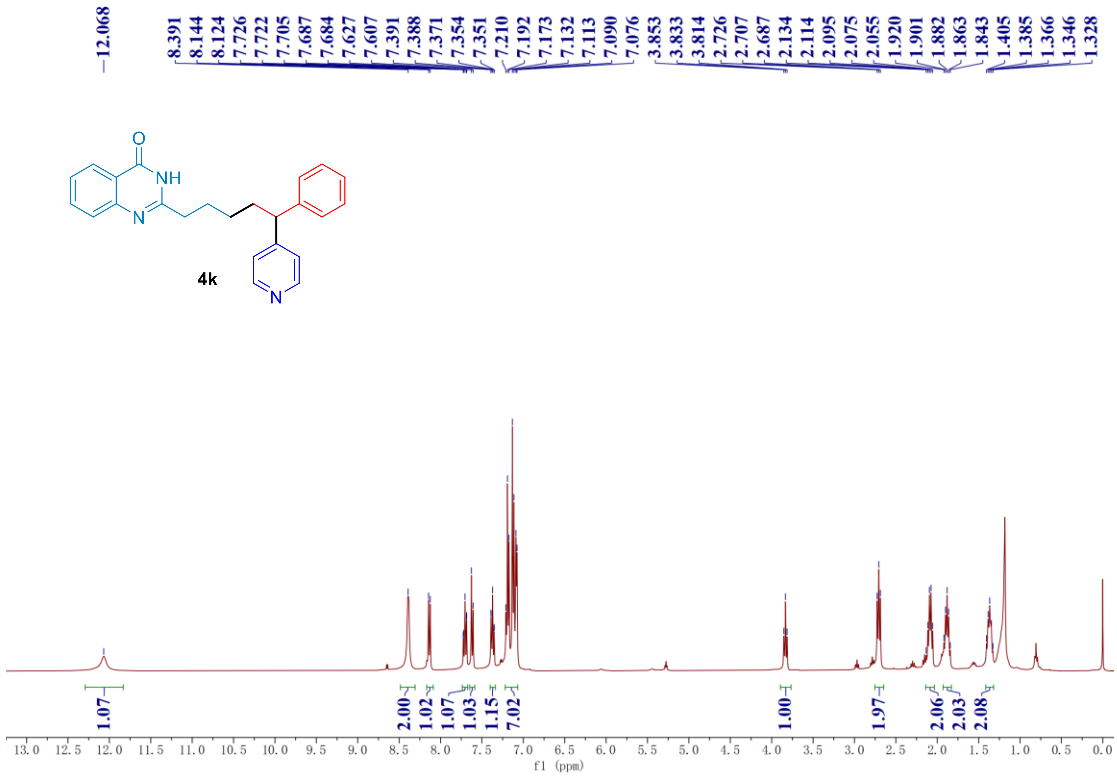


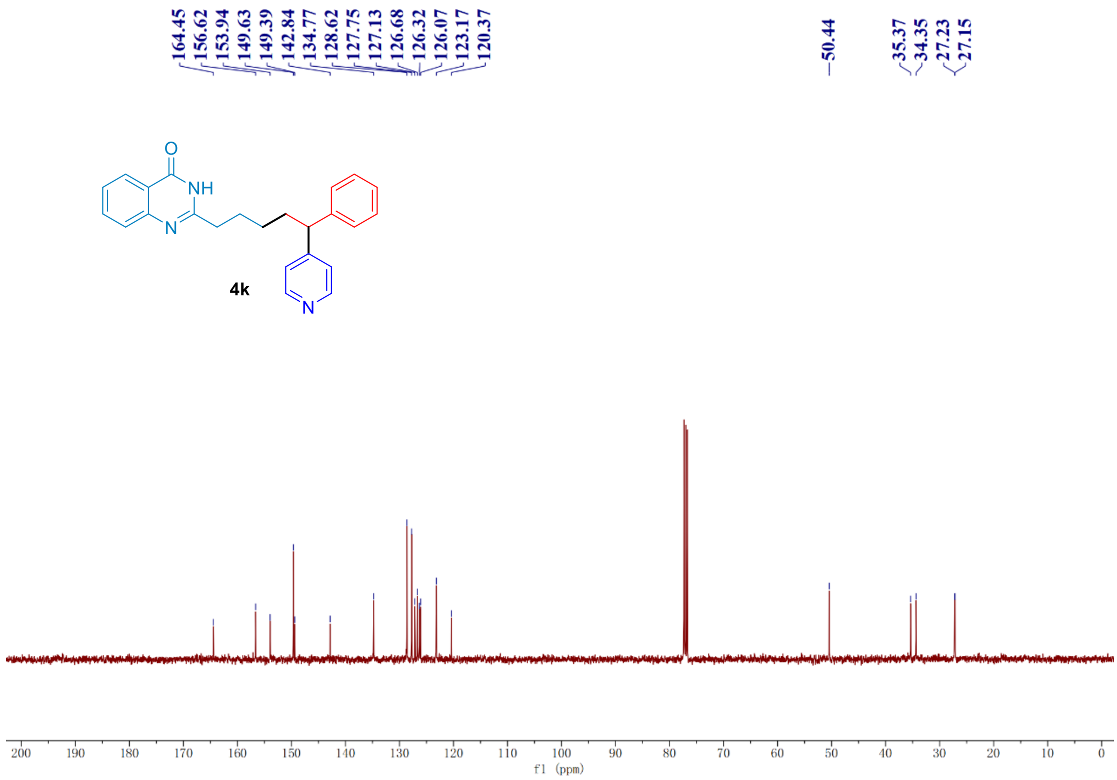
[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **4j**



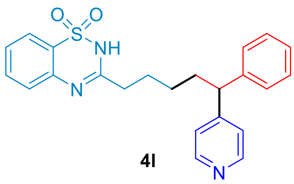


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **4k**

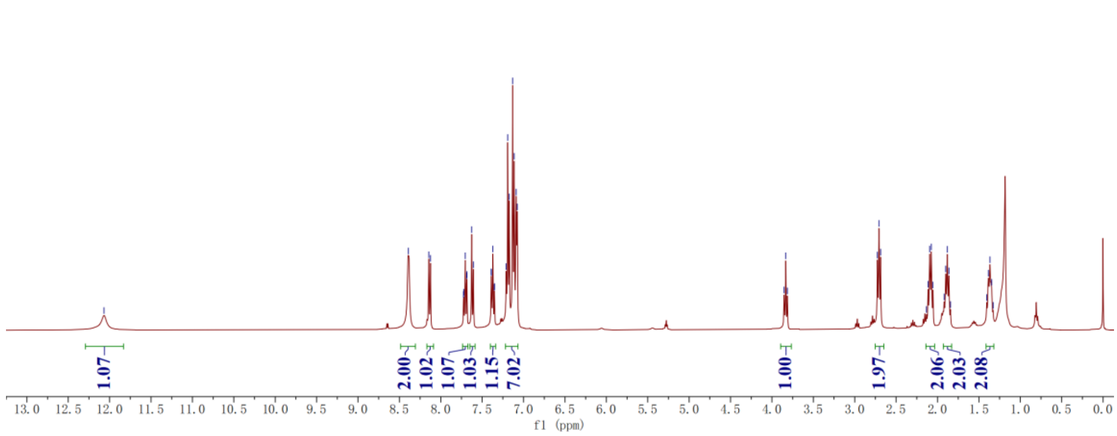


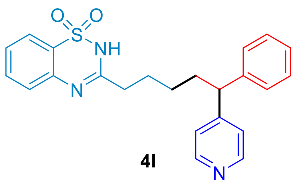


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **4l**

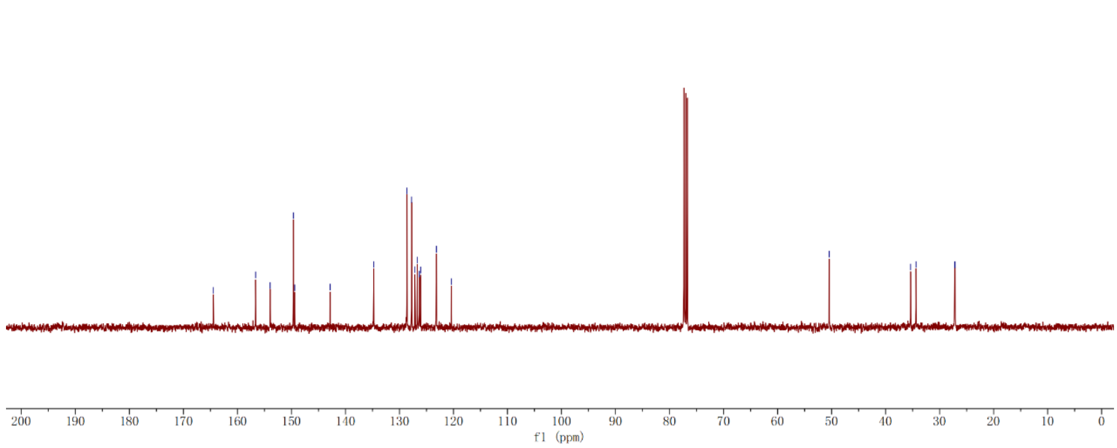


4l

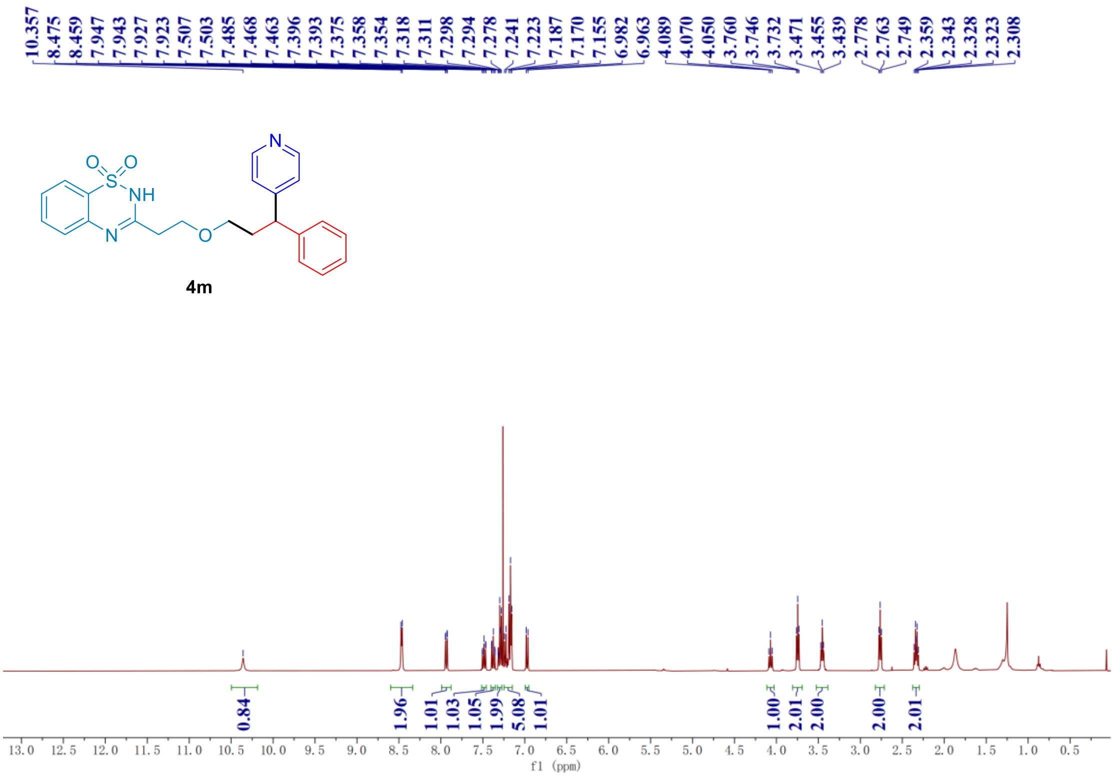


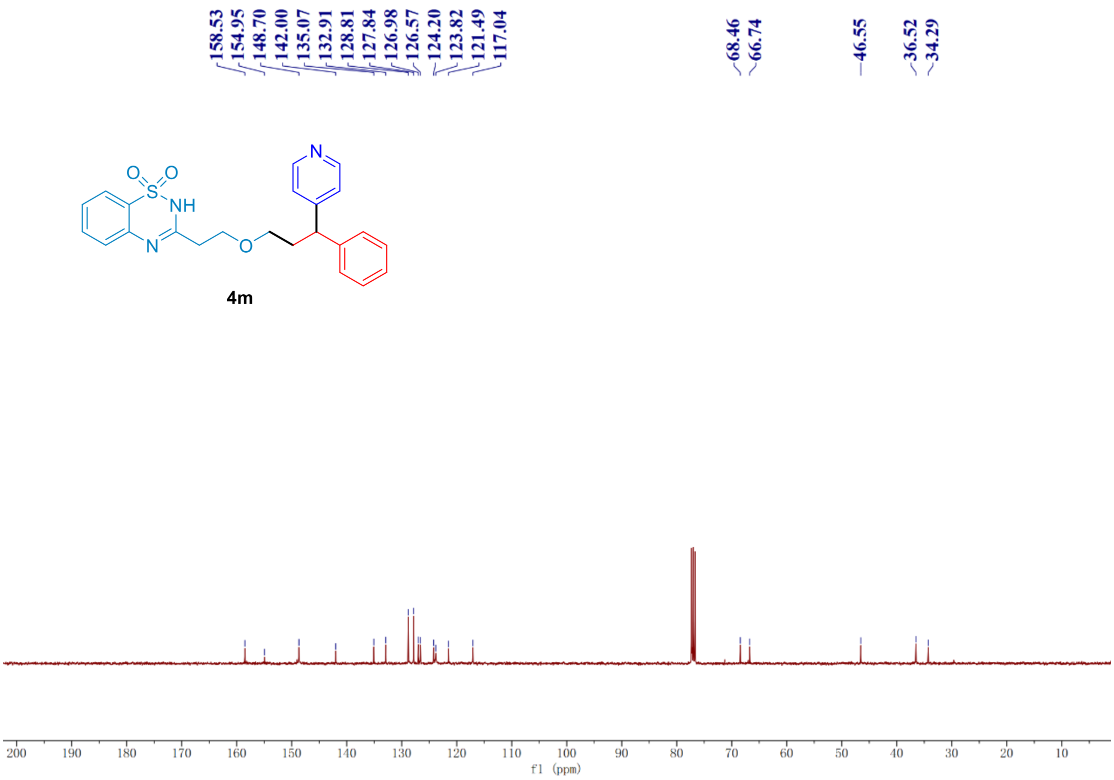


4l

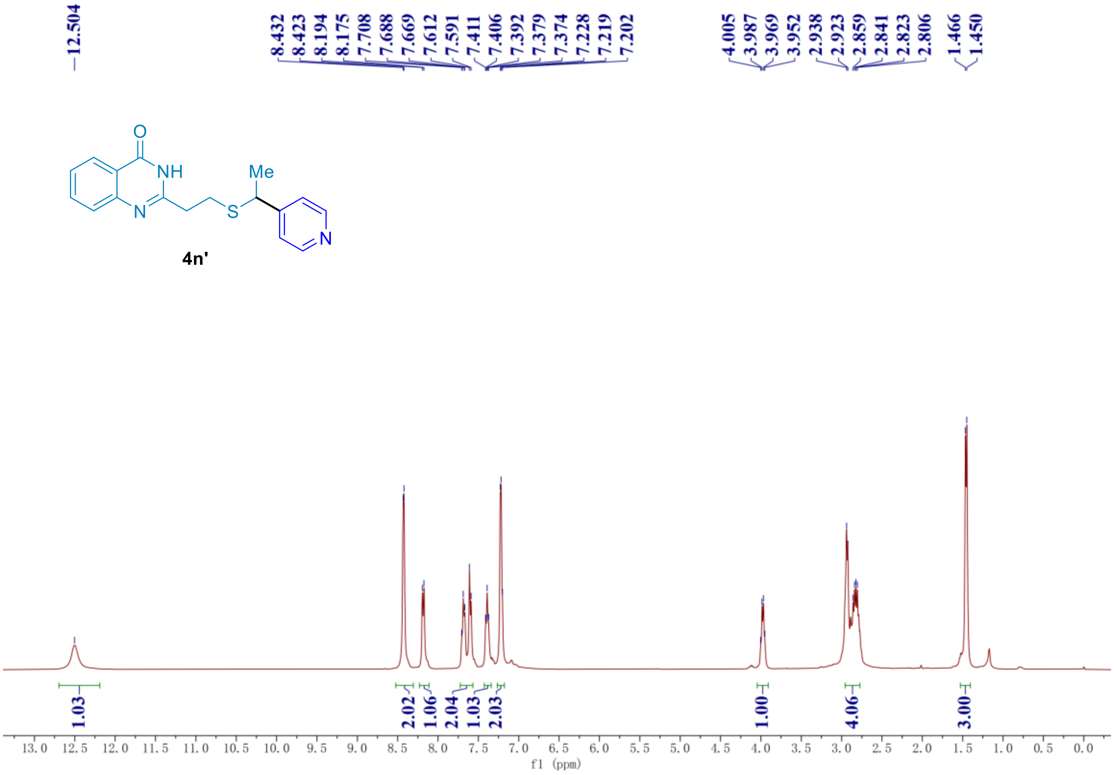


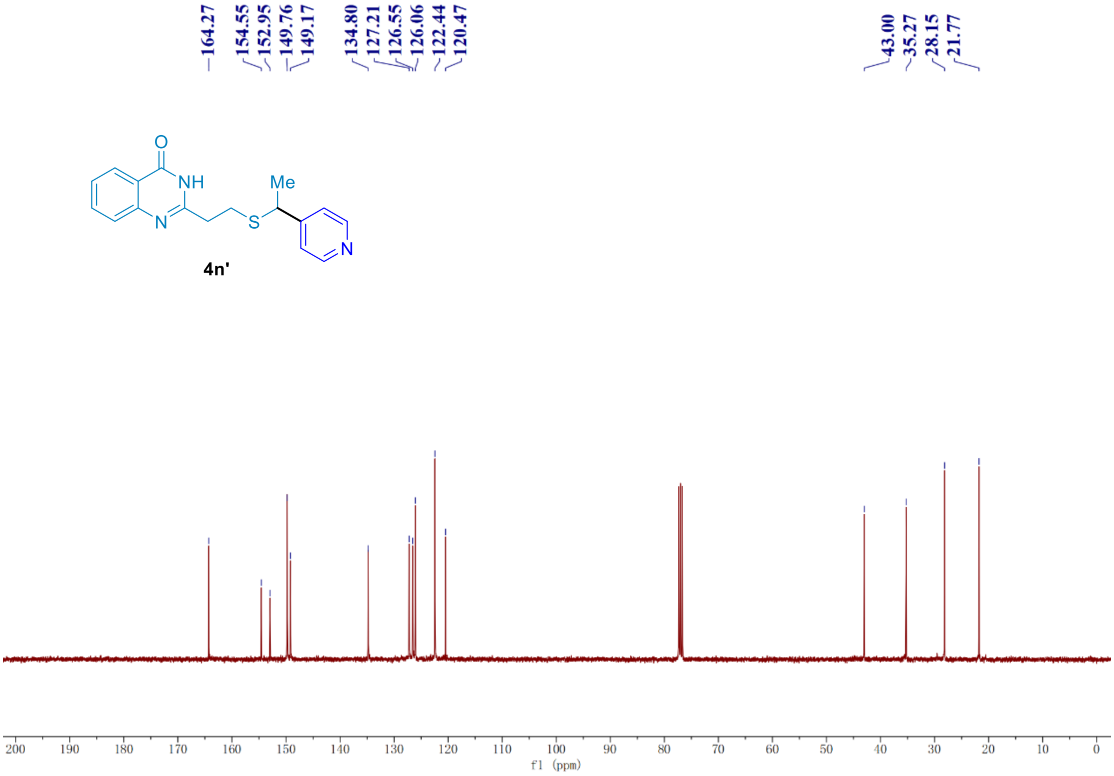
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **4m**



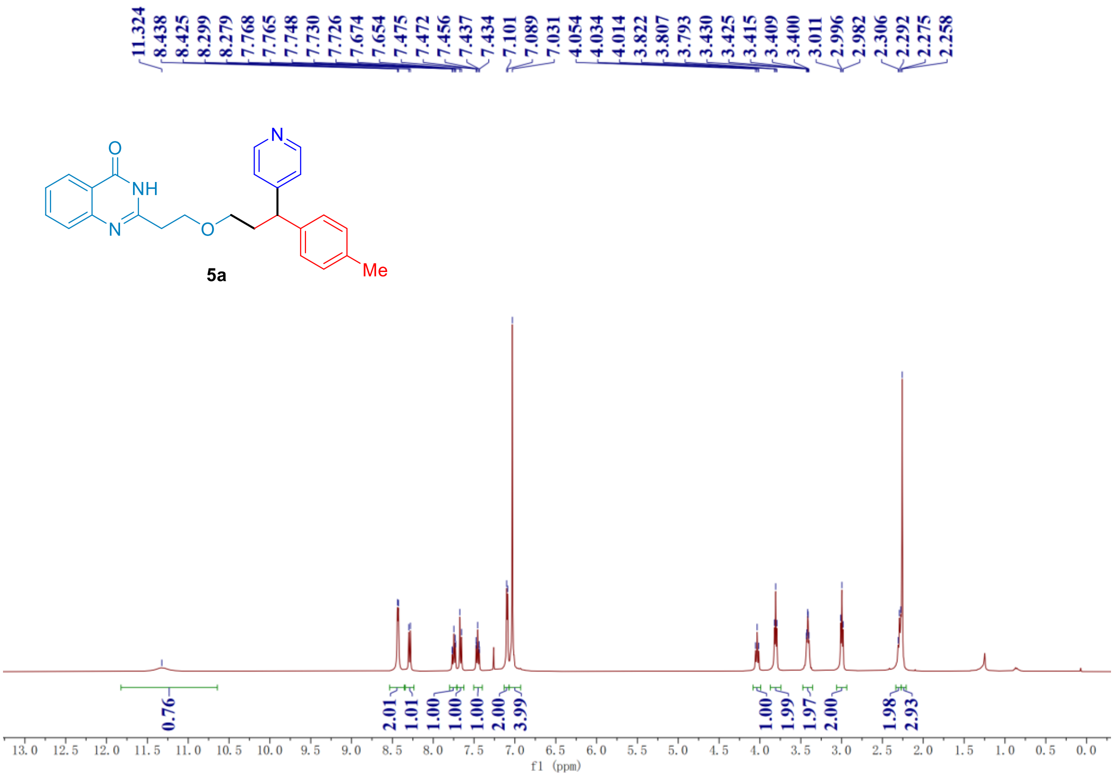


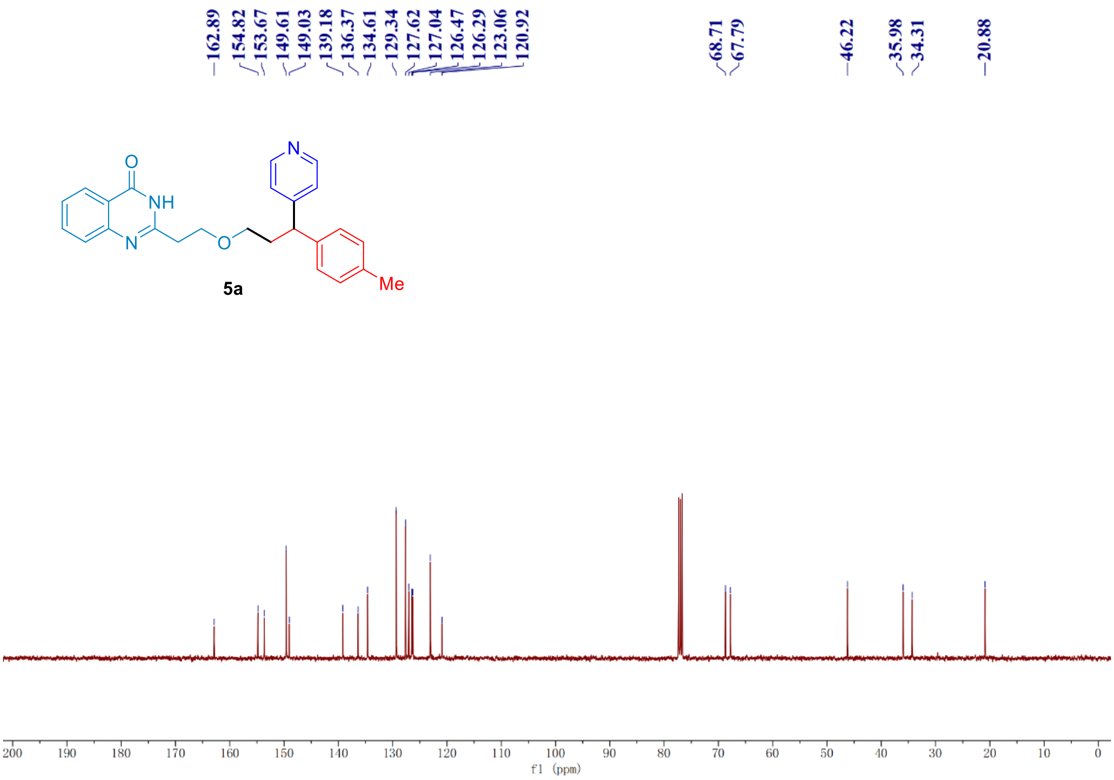
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **4n'**



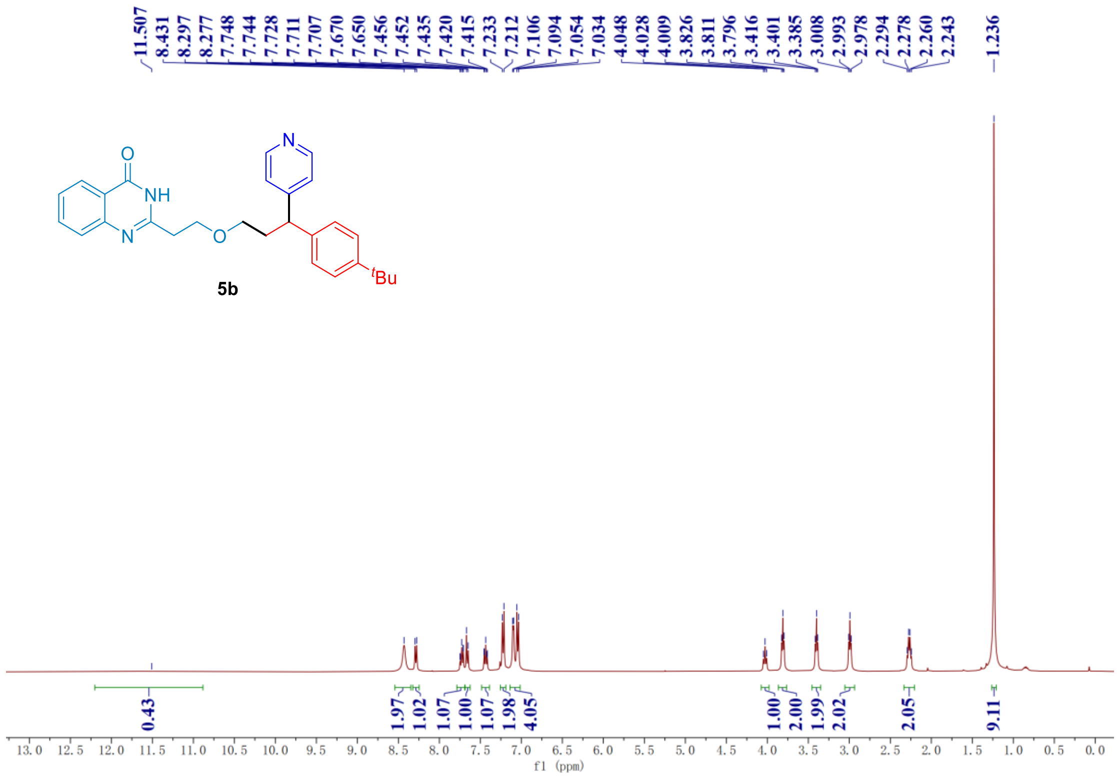


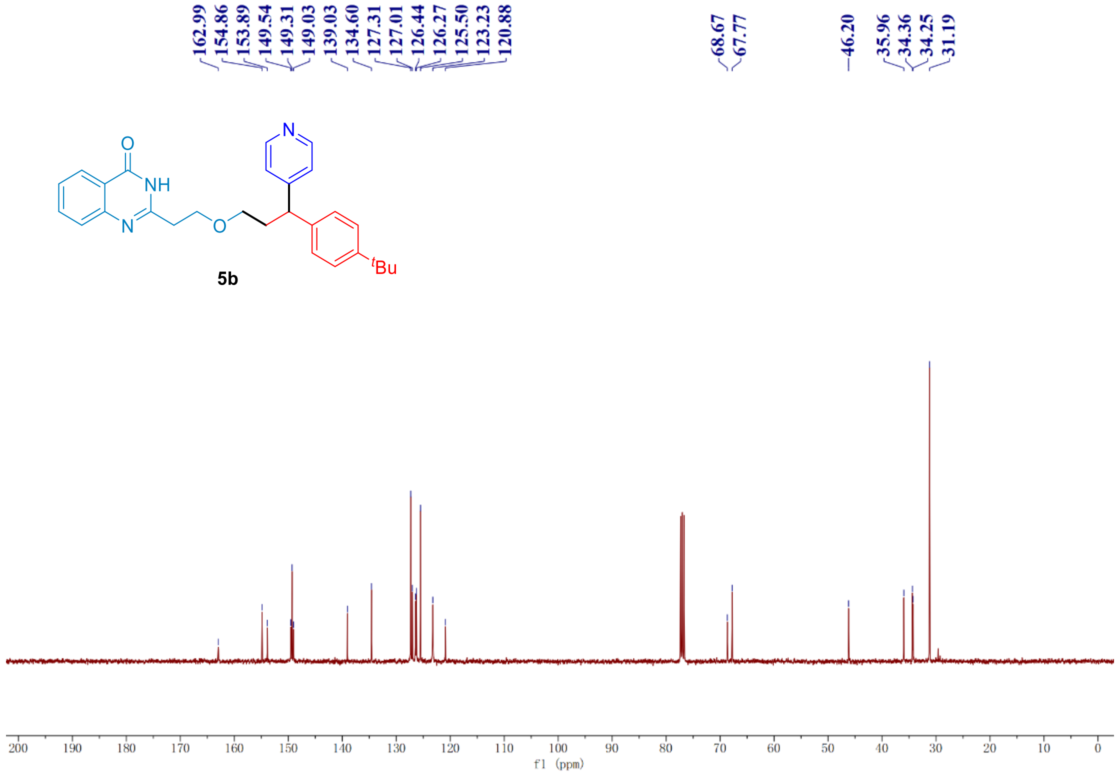
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **5a**



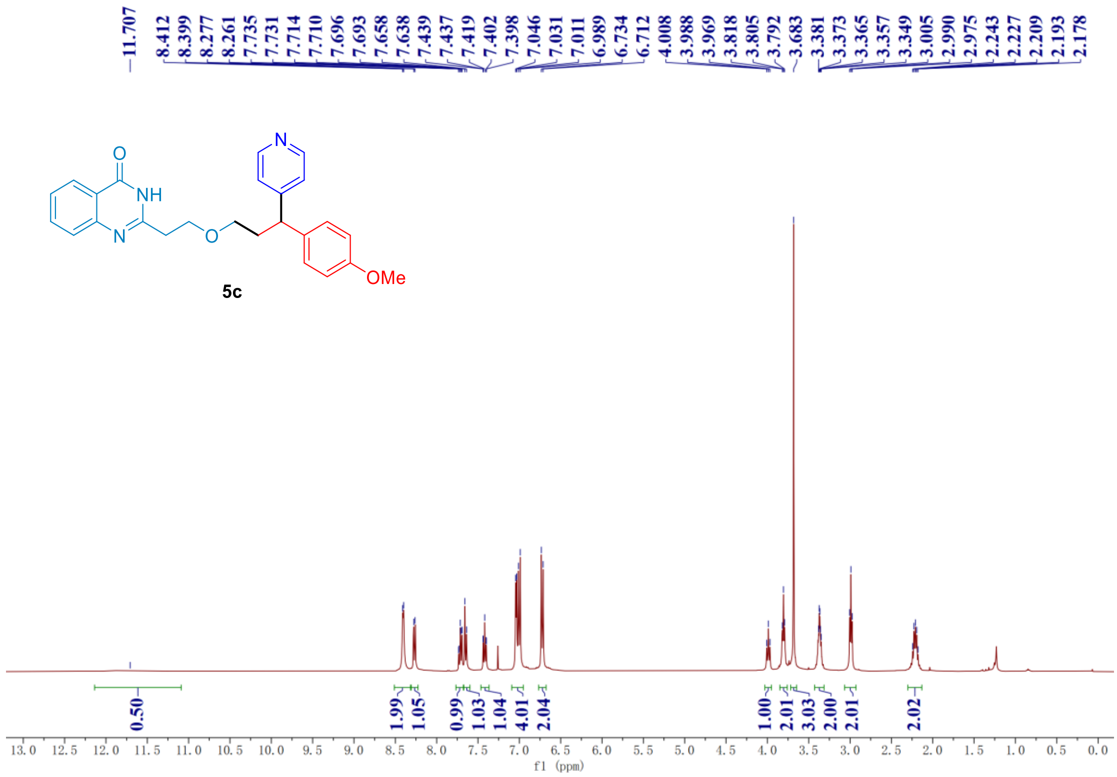


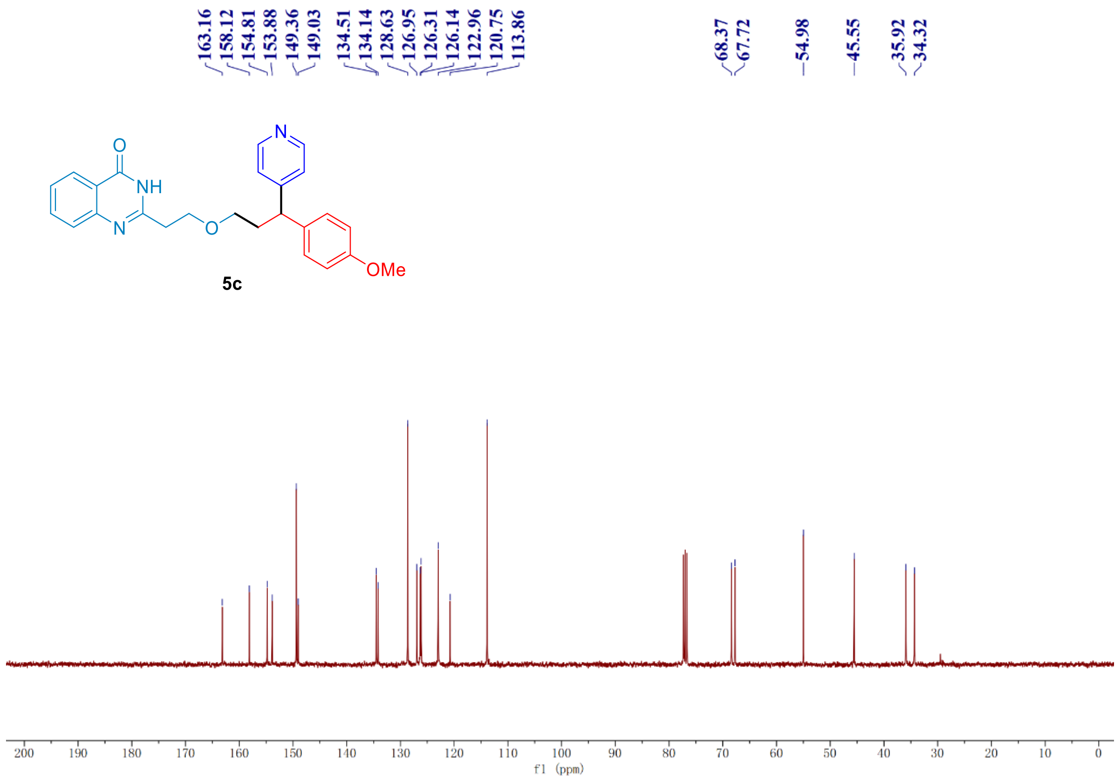
[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **5b**



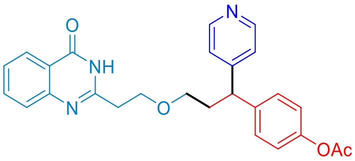


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **5c**

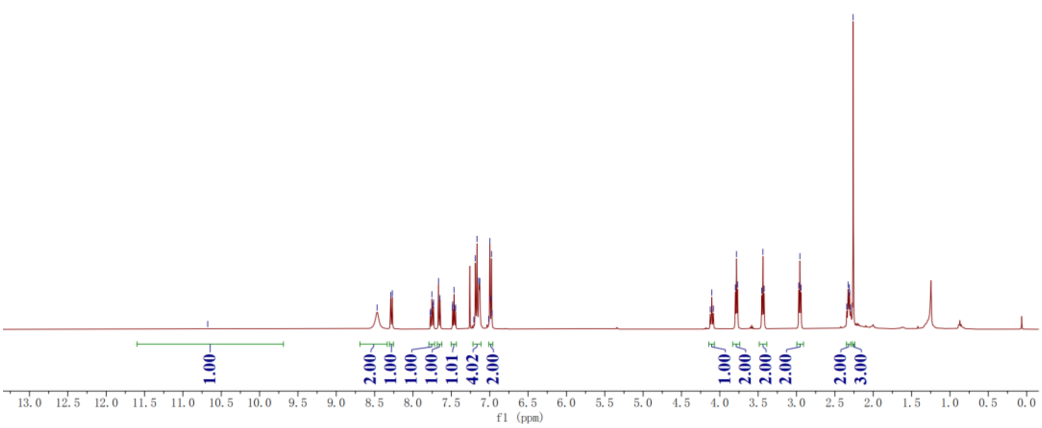


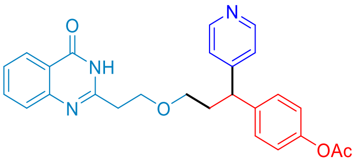


[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **5d**

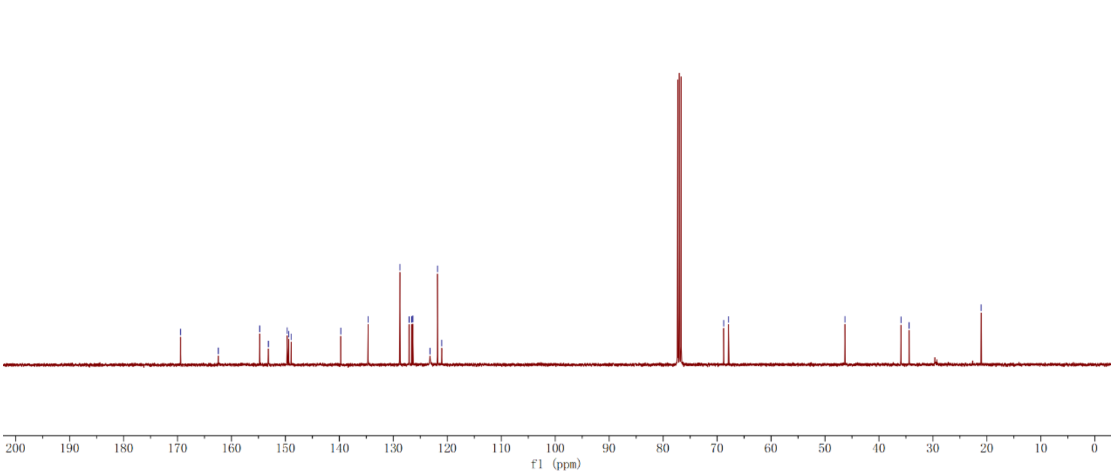


5d

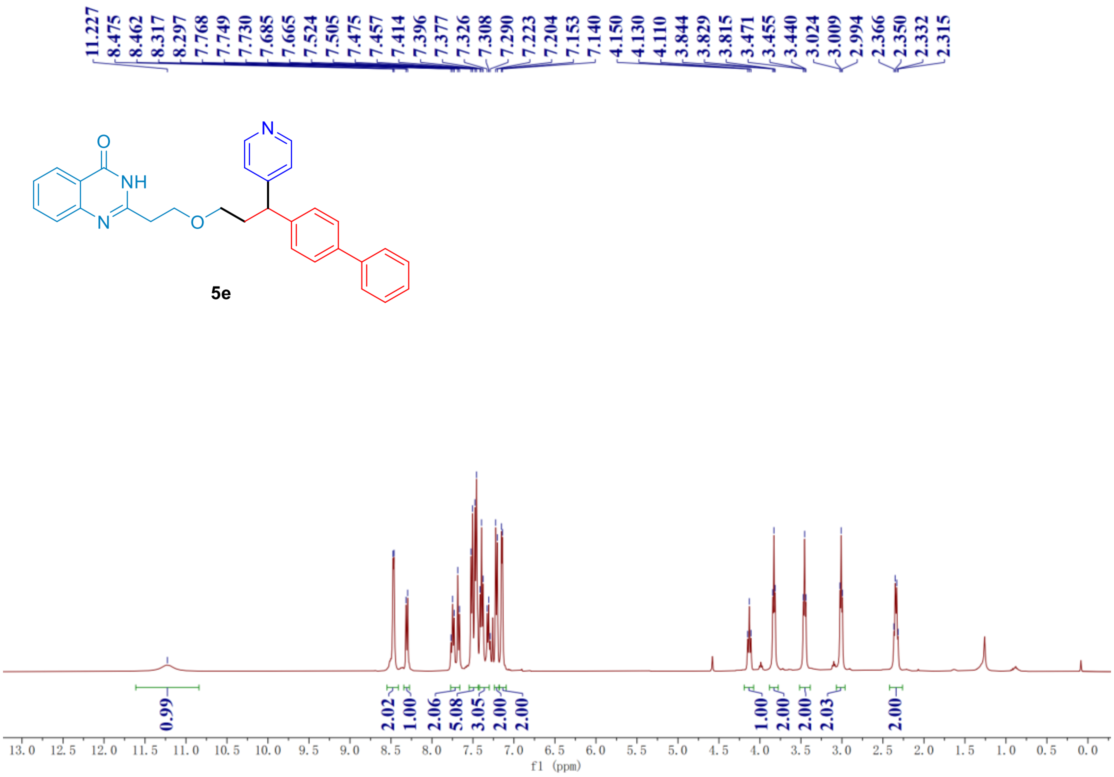


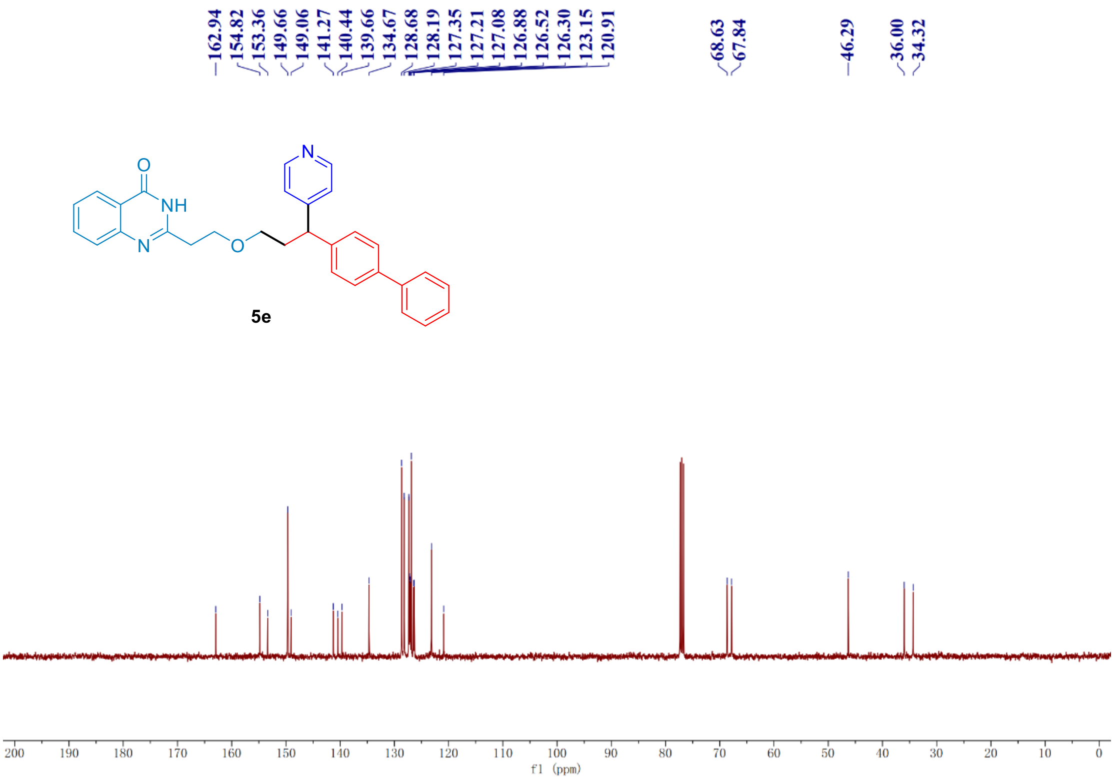


5d

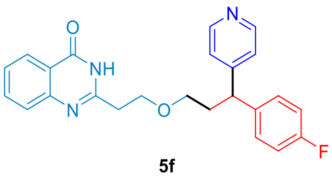


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **5e**

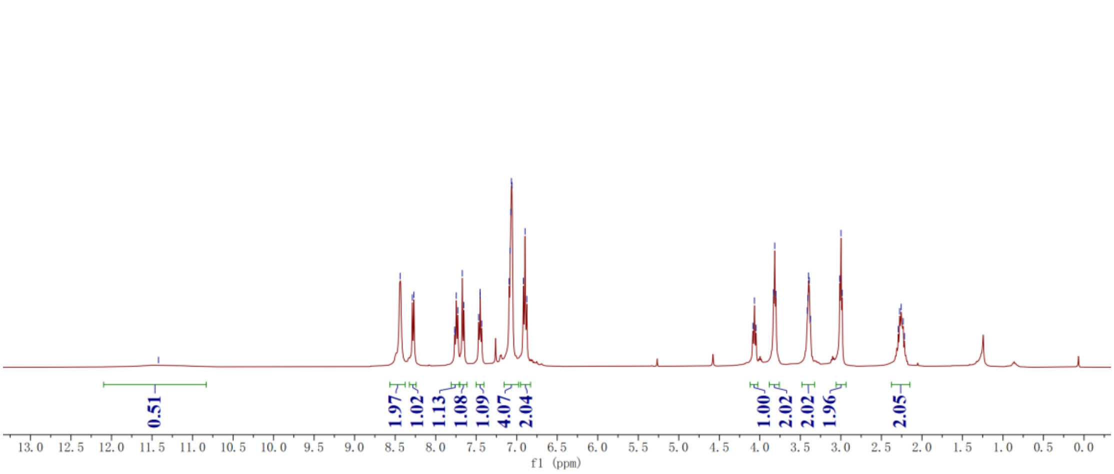


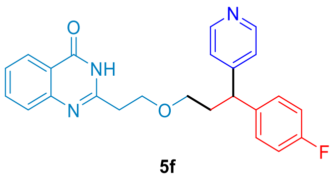


[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **5f**

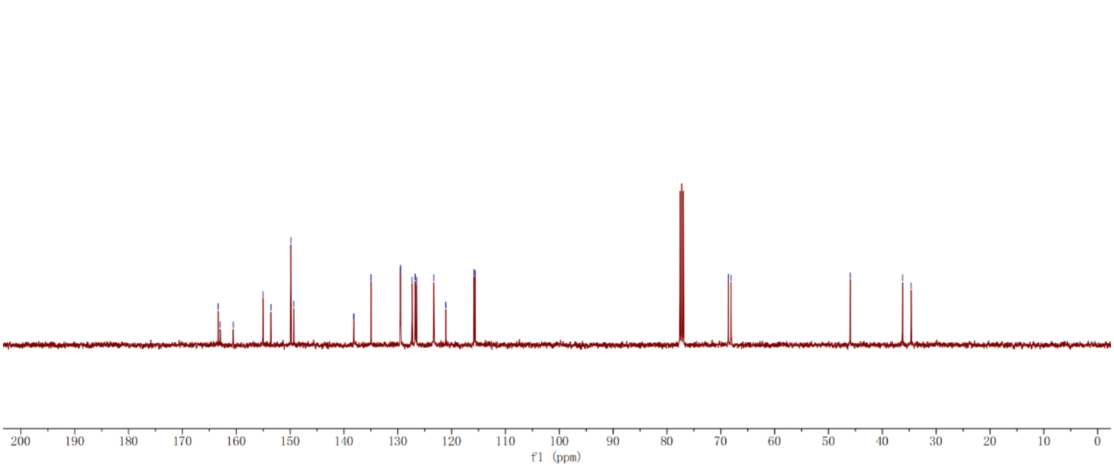


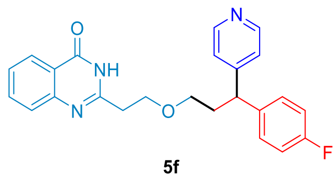
5f



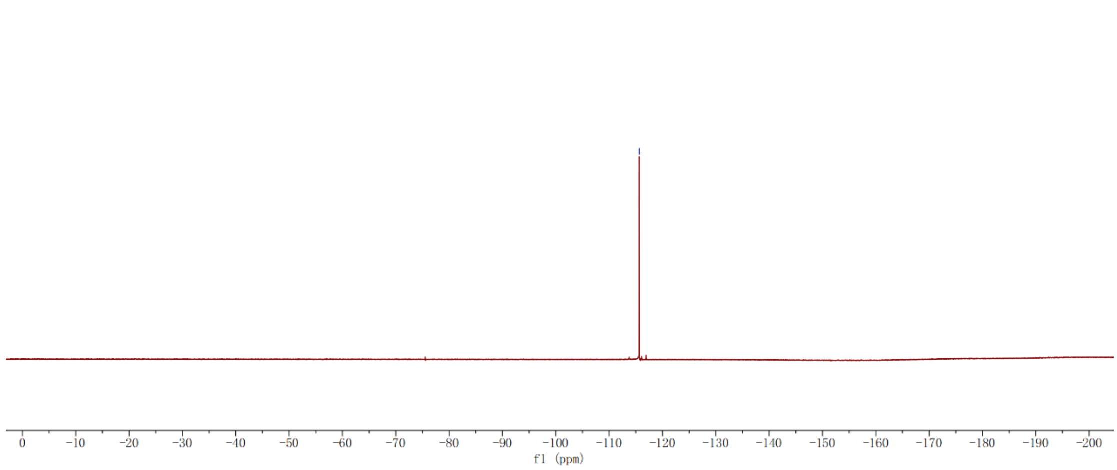


5f




O
NH
N
O
N
F

5f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0
-10
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-30
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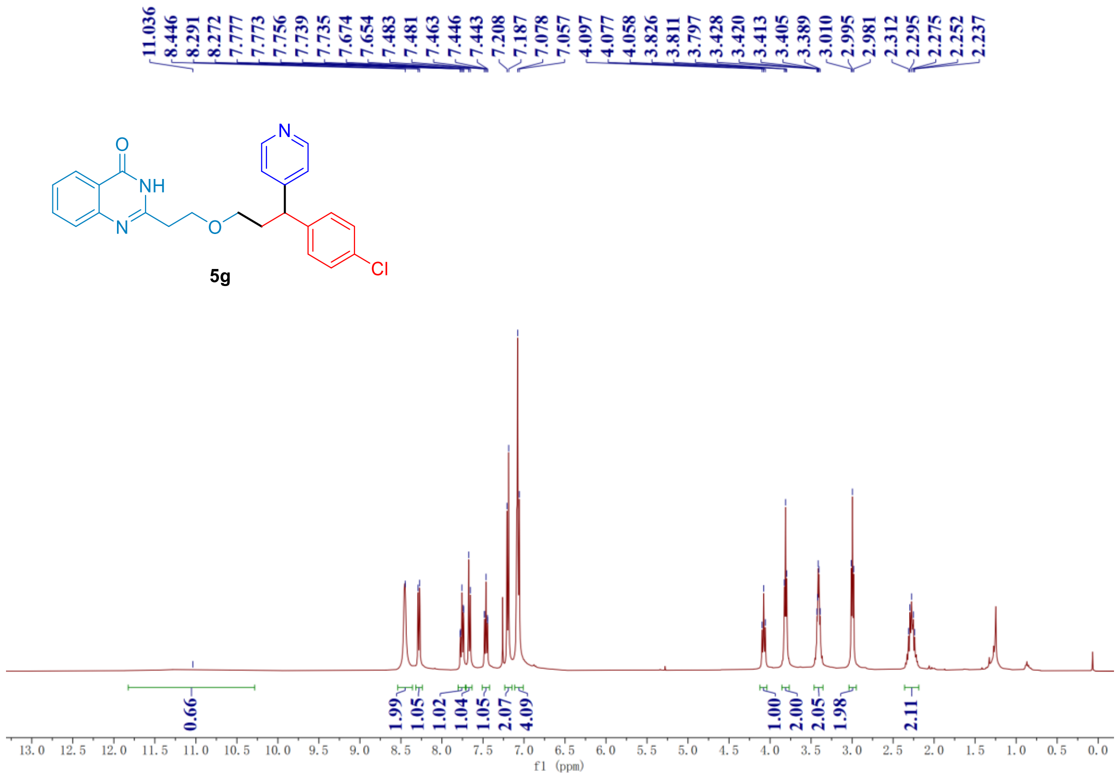
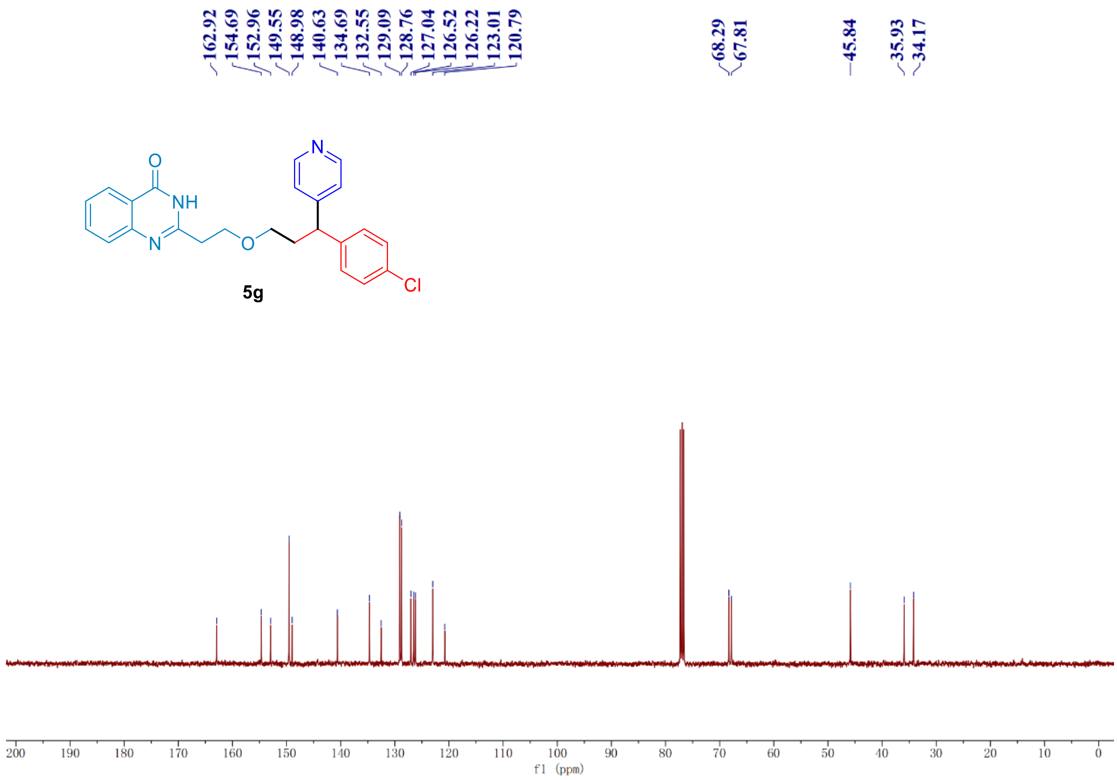
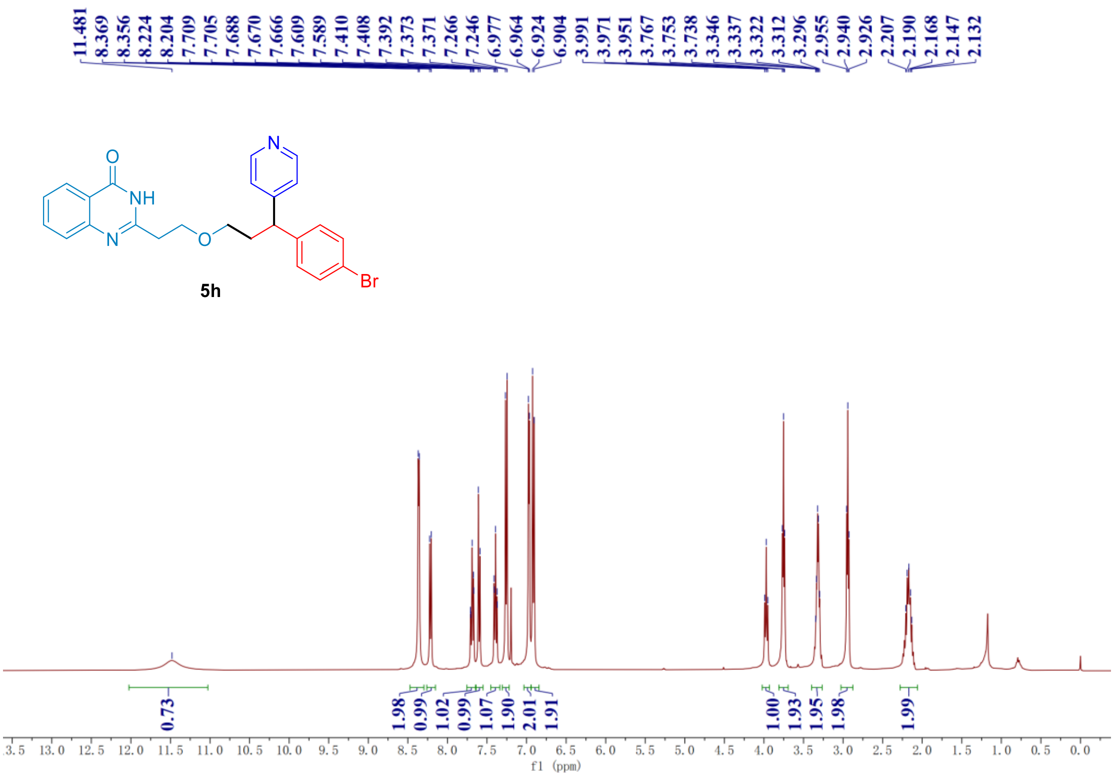
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
-170
-180
-190
-200
f1 (ppm)

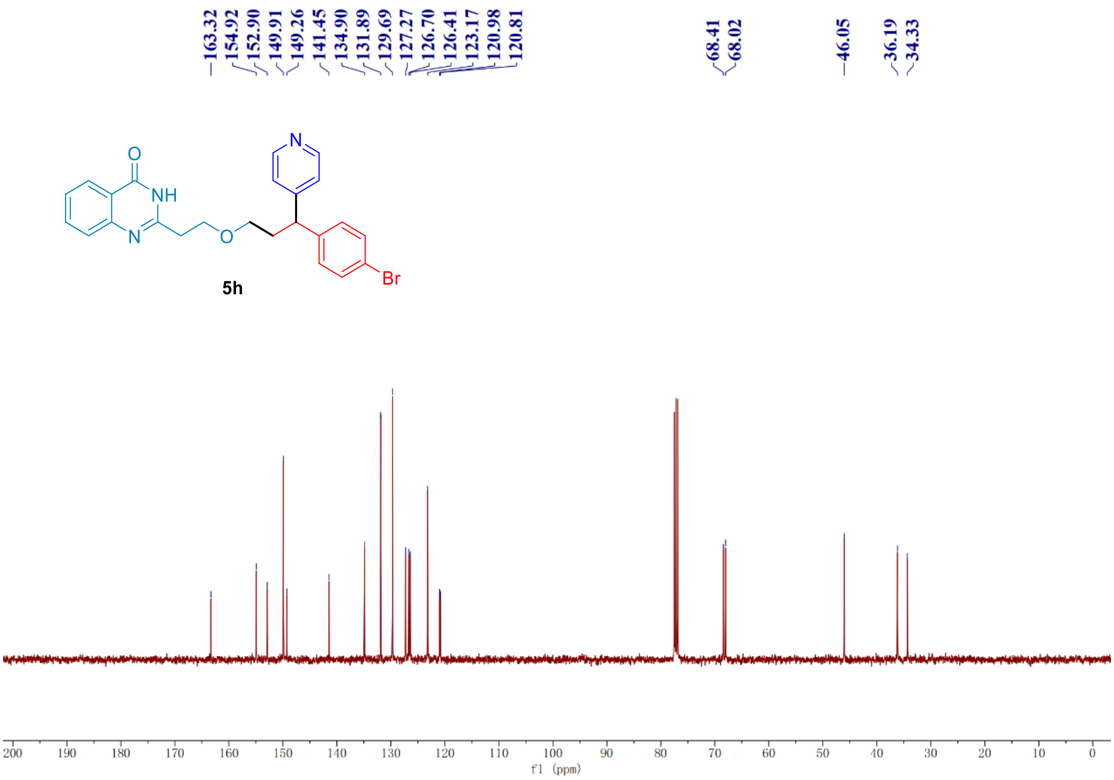
[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **5g**



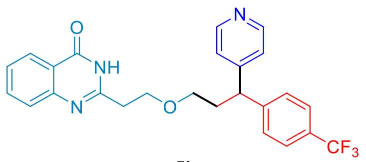


[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **5h**

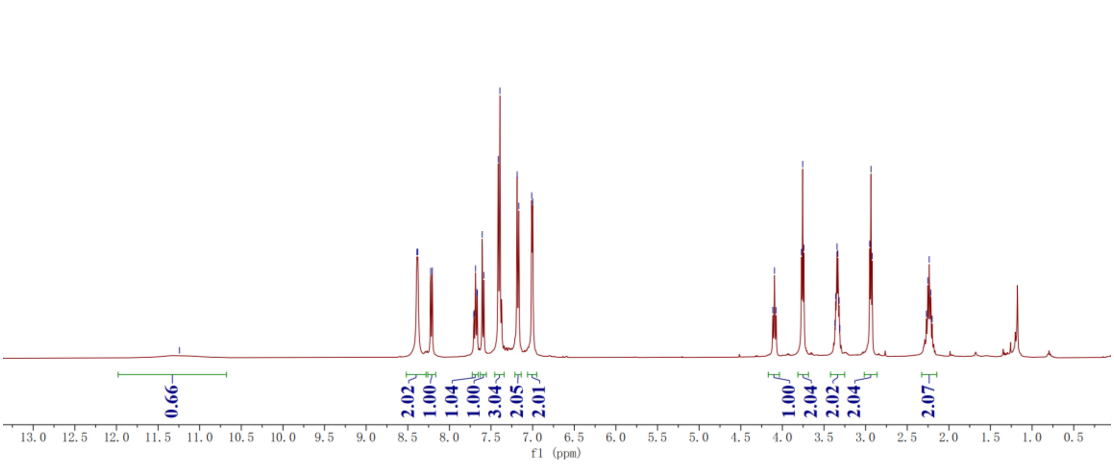


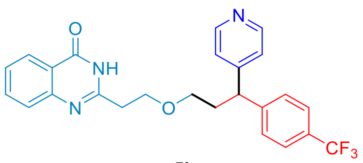


[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **5i**

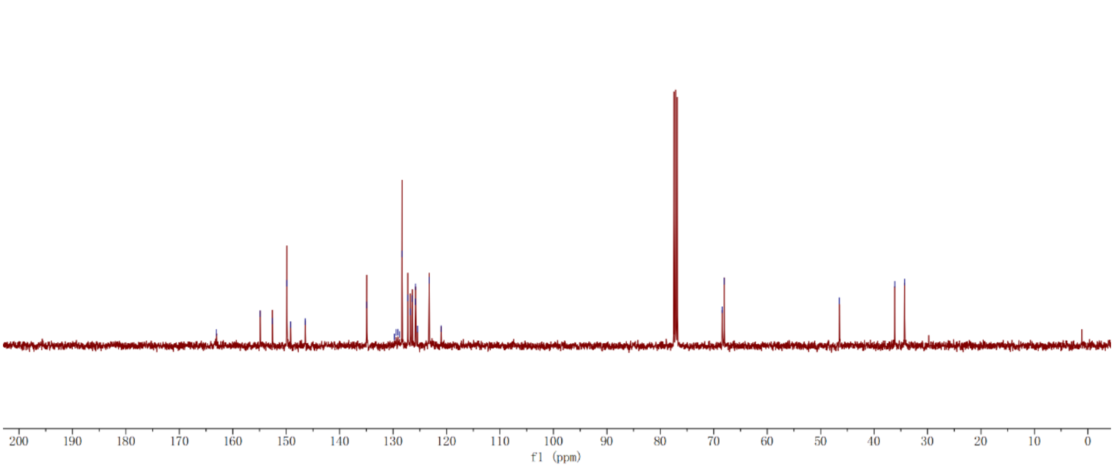


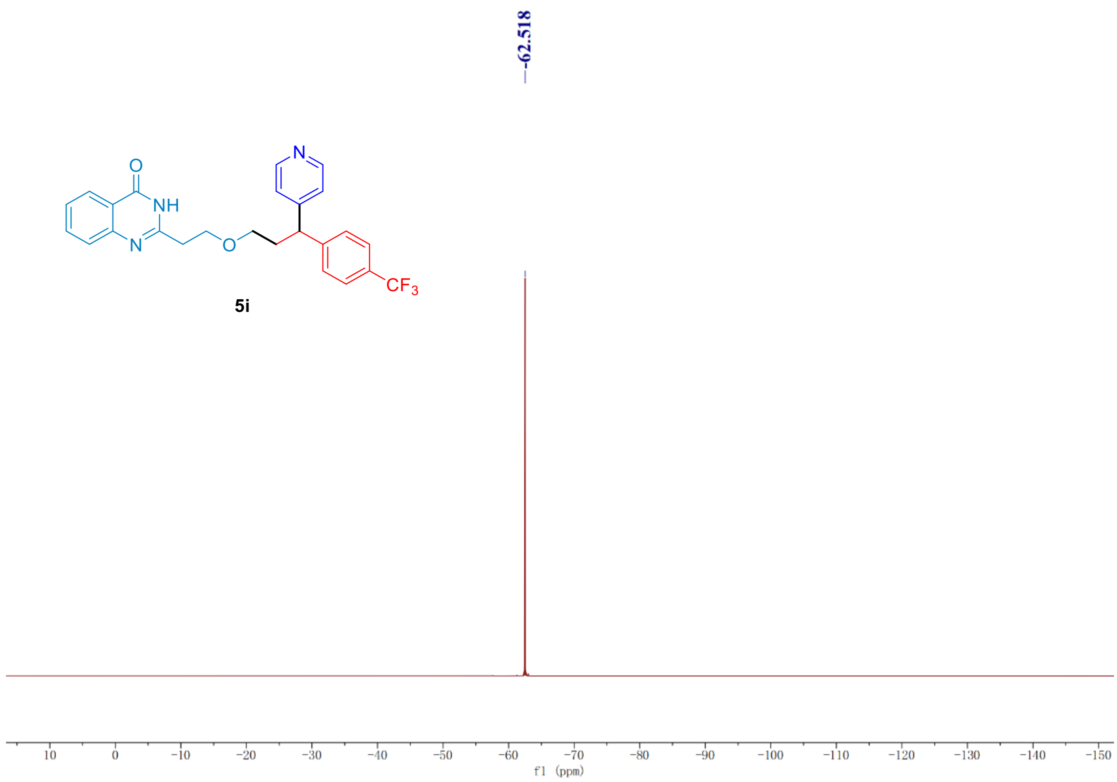
5i





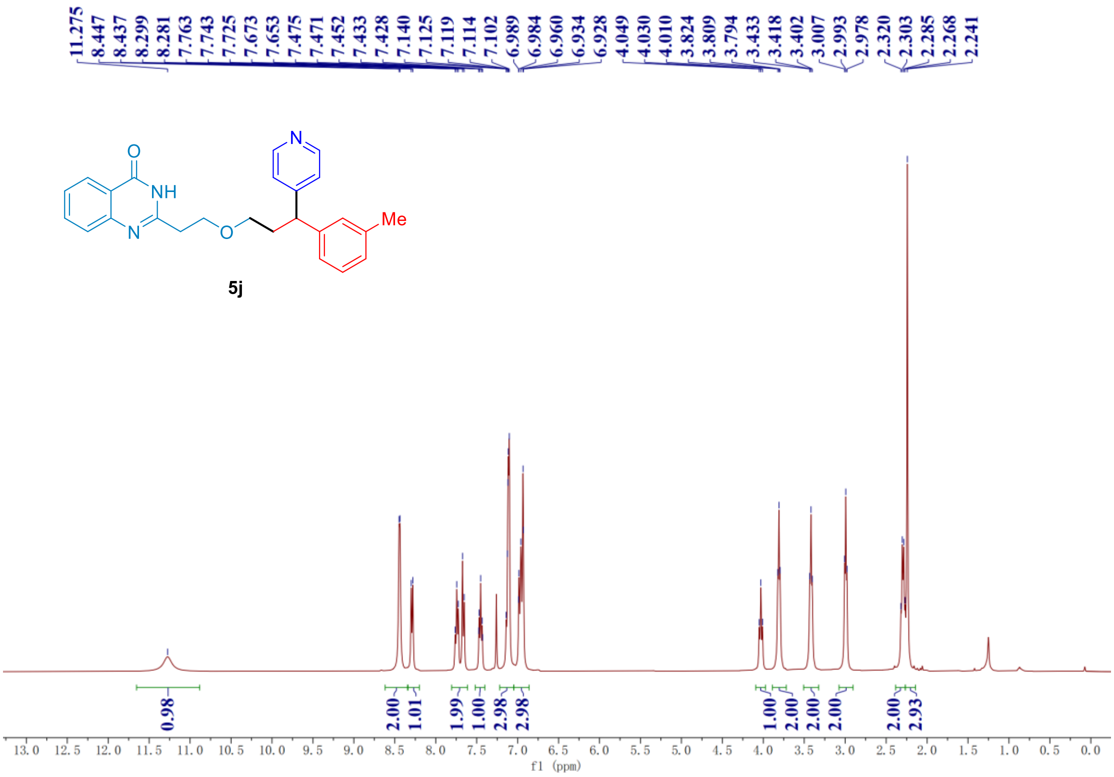
5i

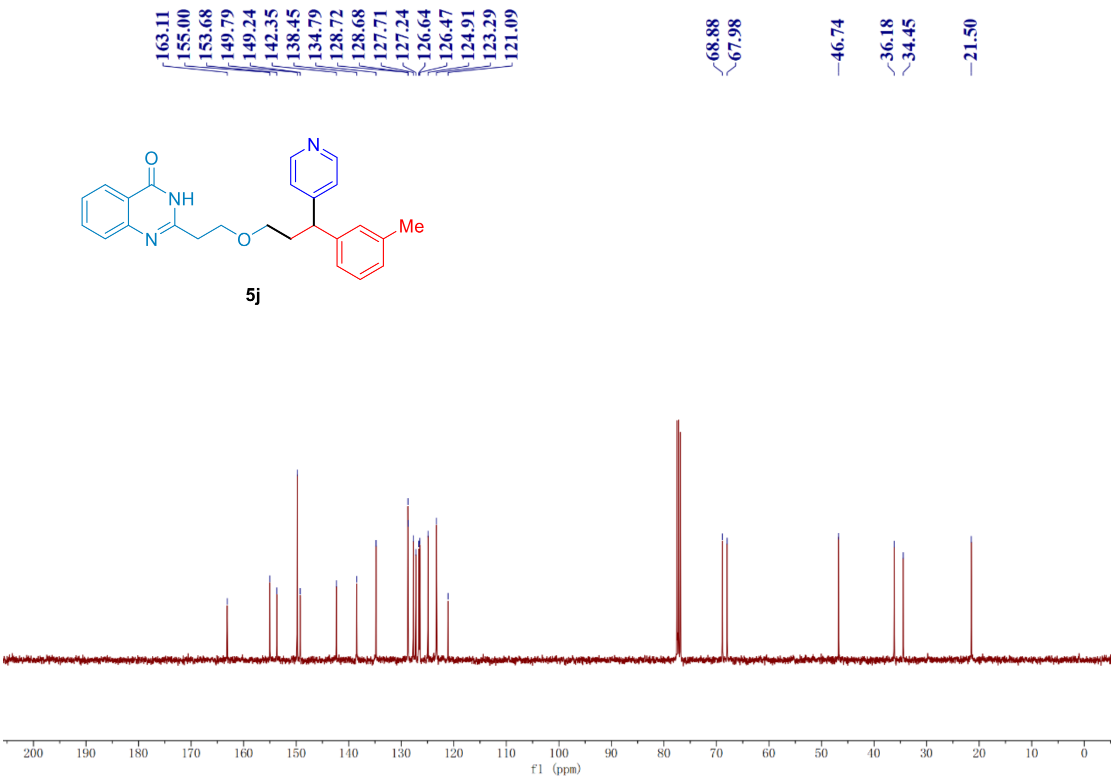




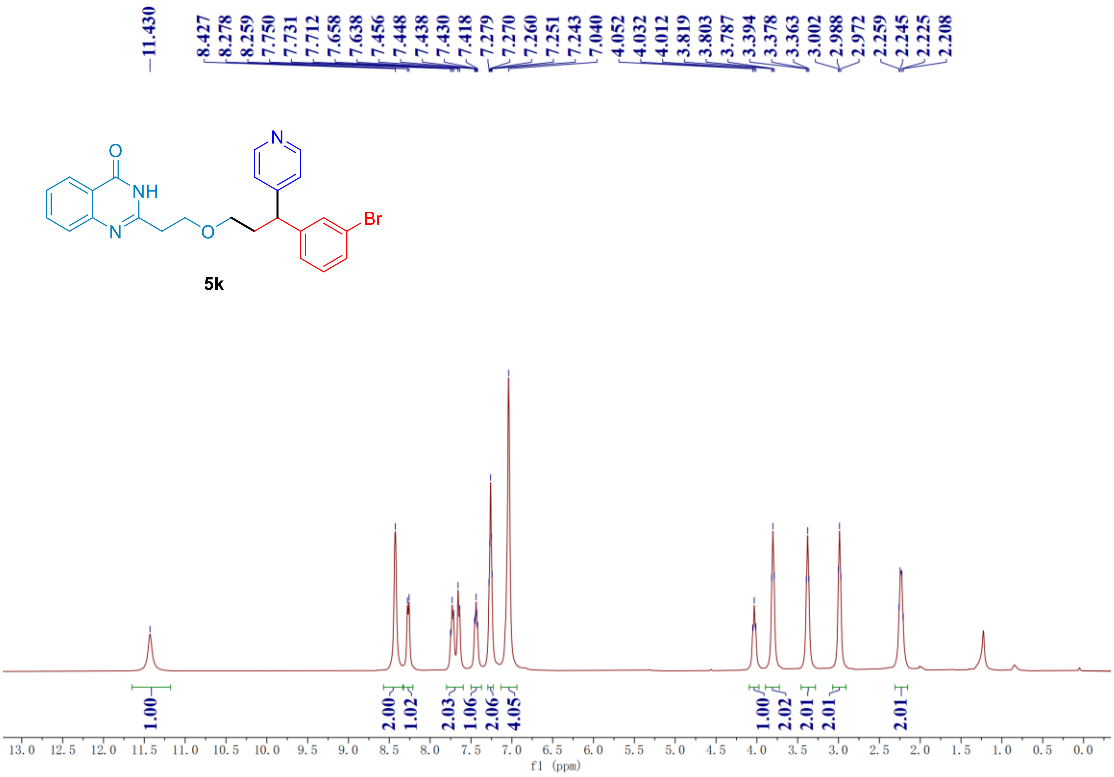
-62.518
O
NH
N
O
N
CF3
5i
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
f1 (ppm)

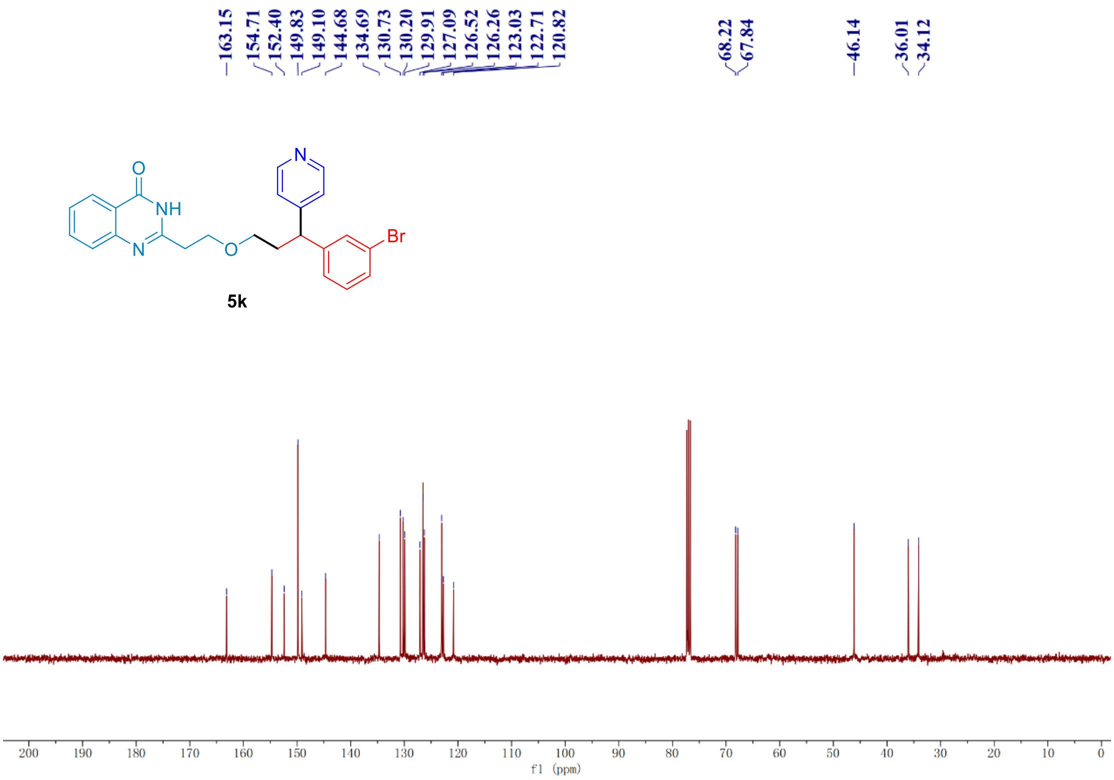
[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **5j**


5j

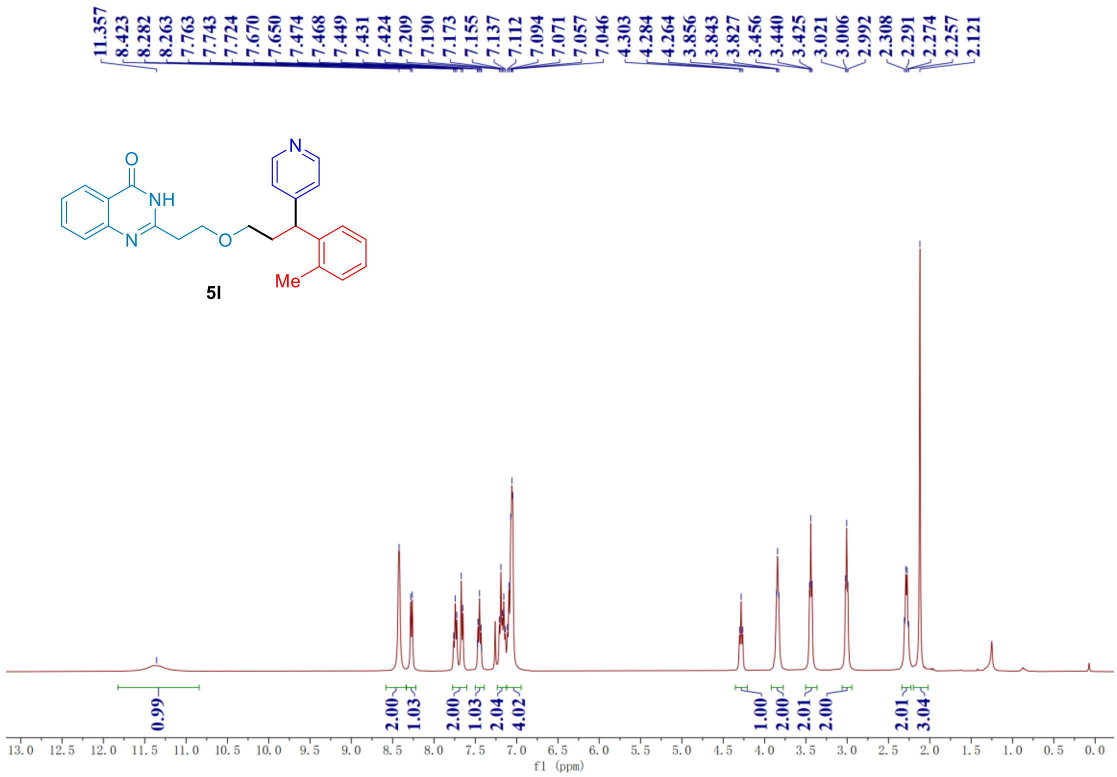

5j

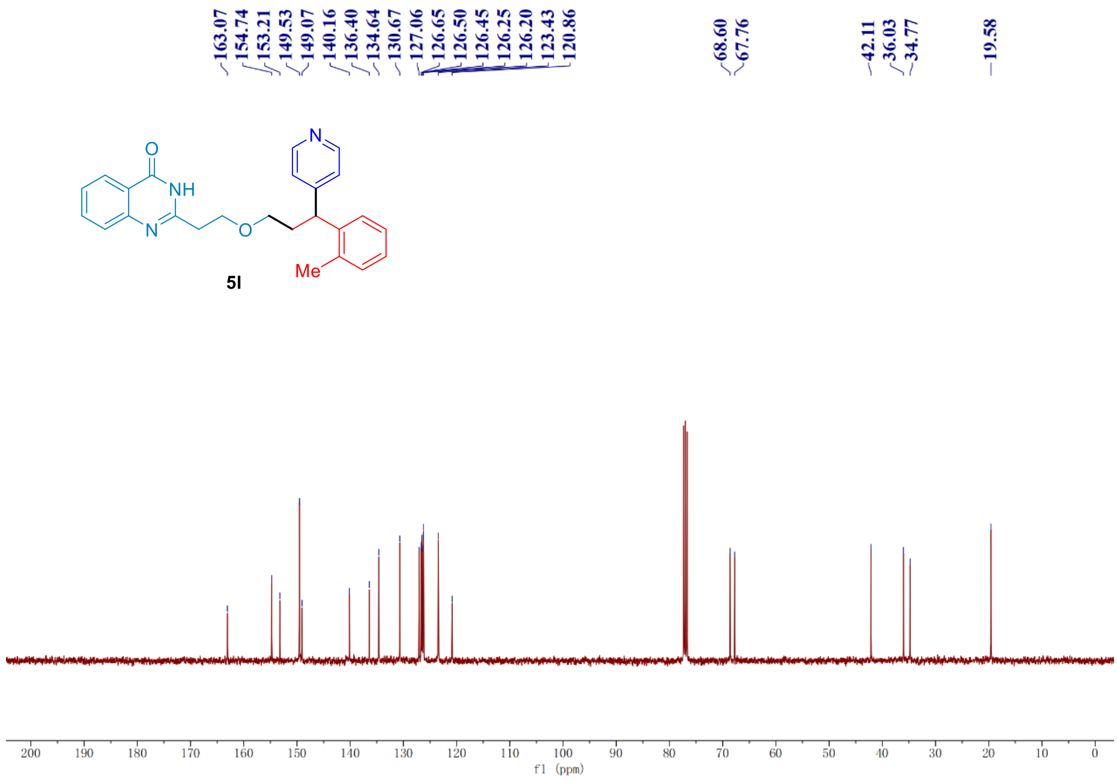
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **5k**



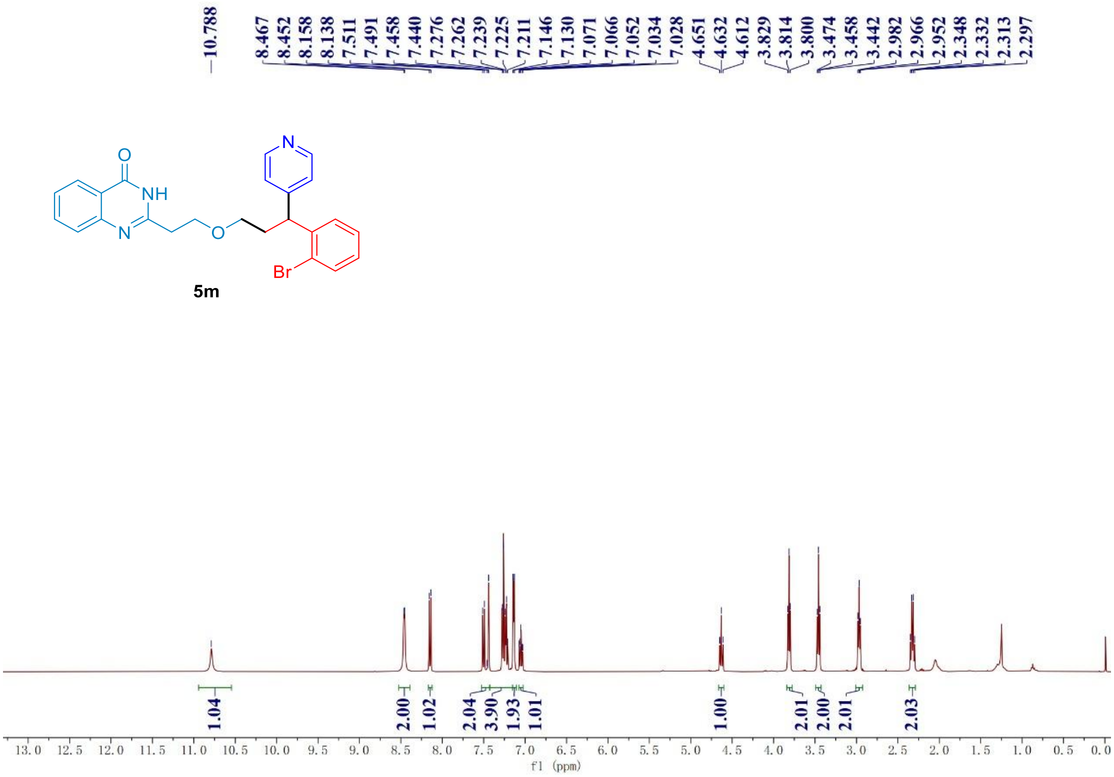


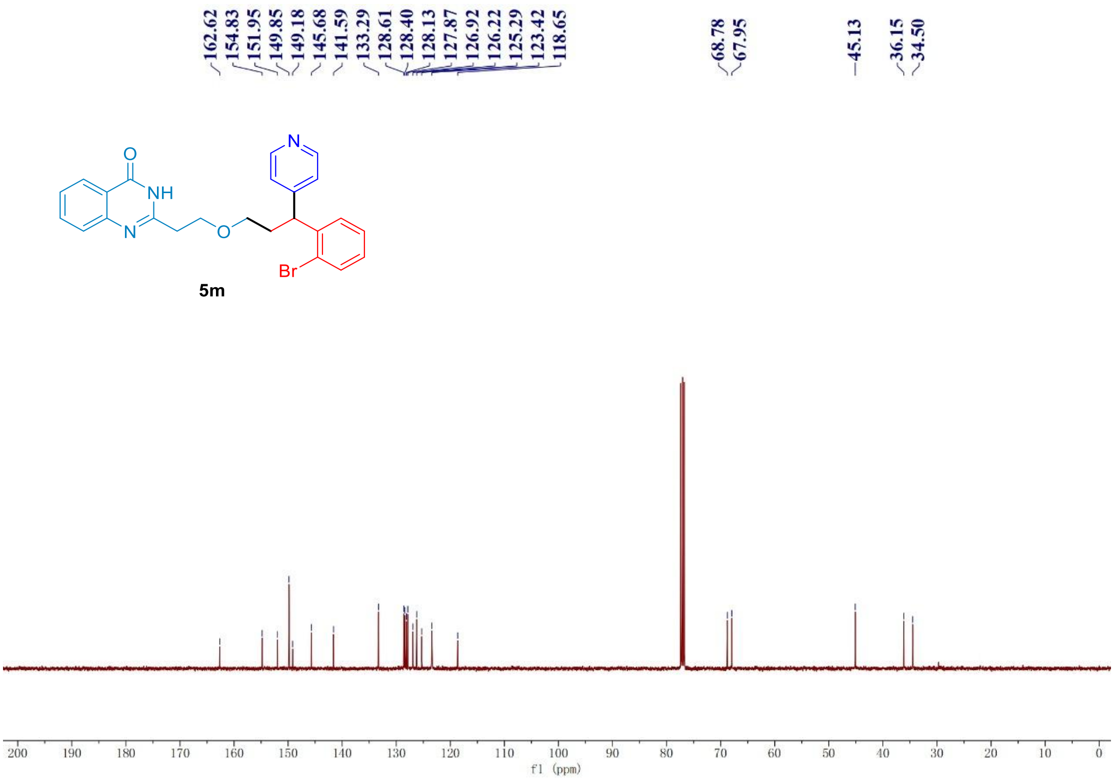
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **5l**



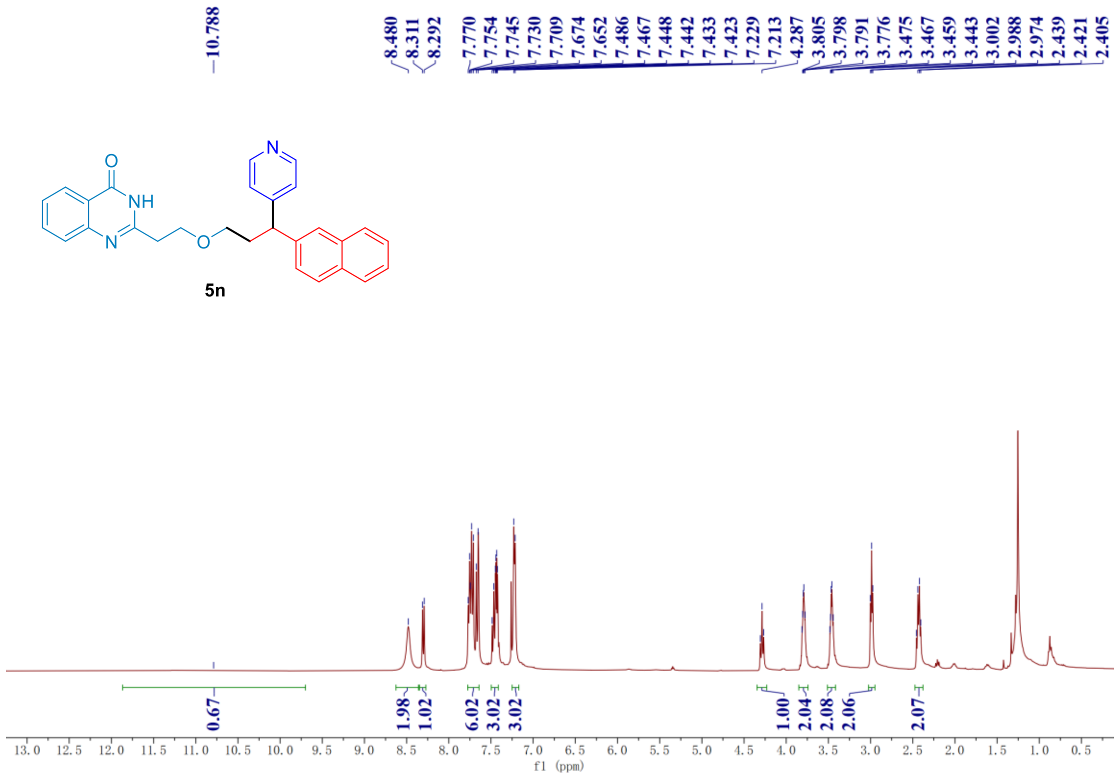


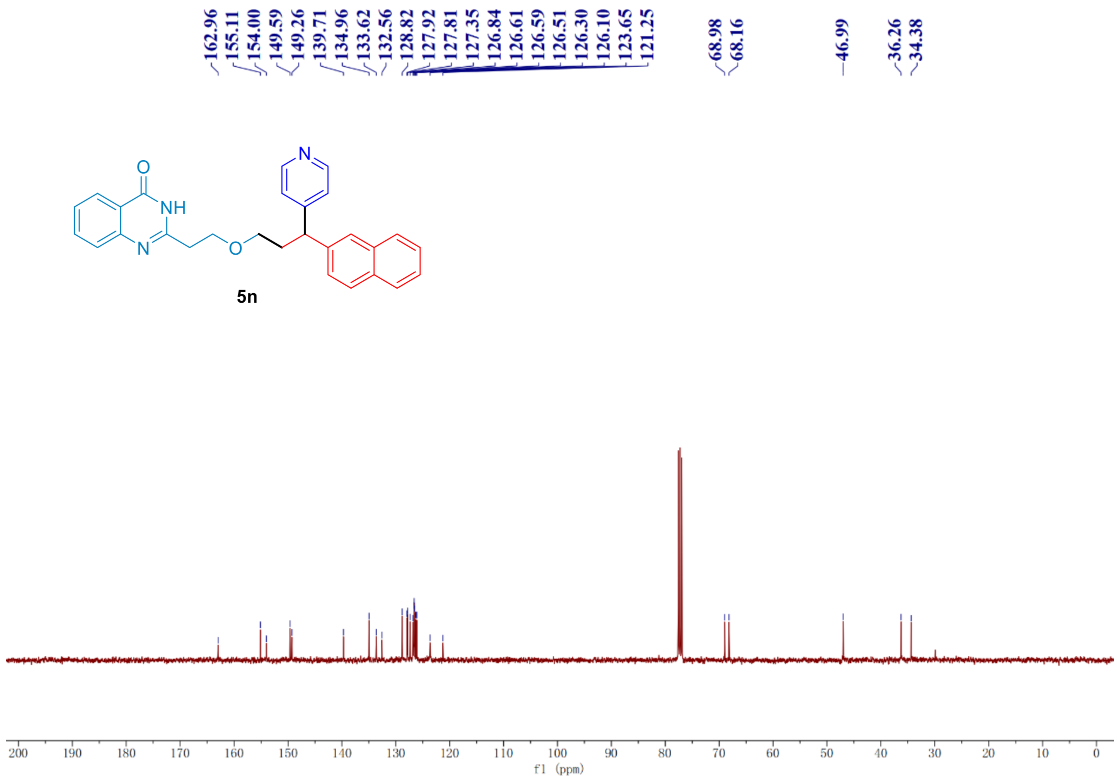
[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **5m**



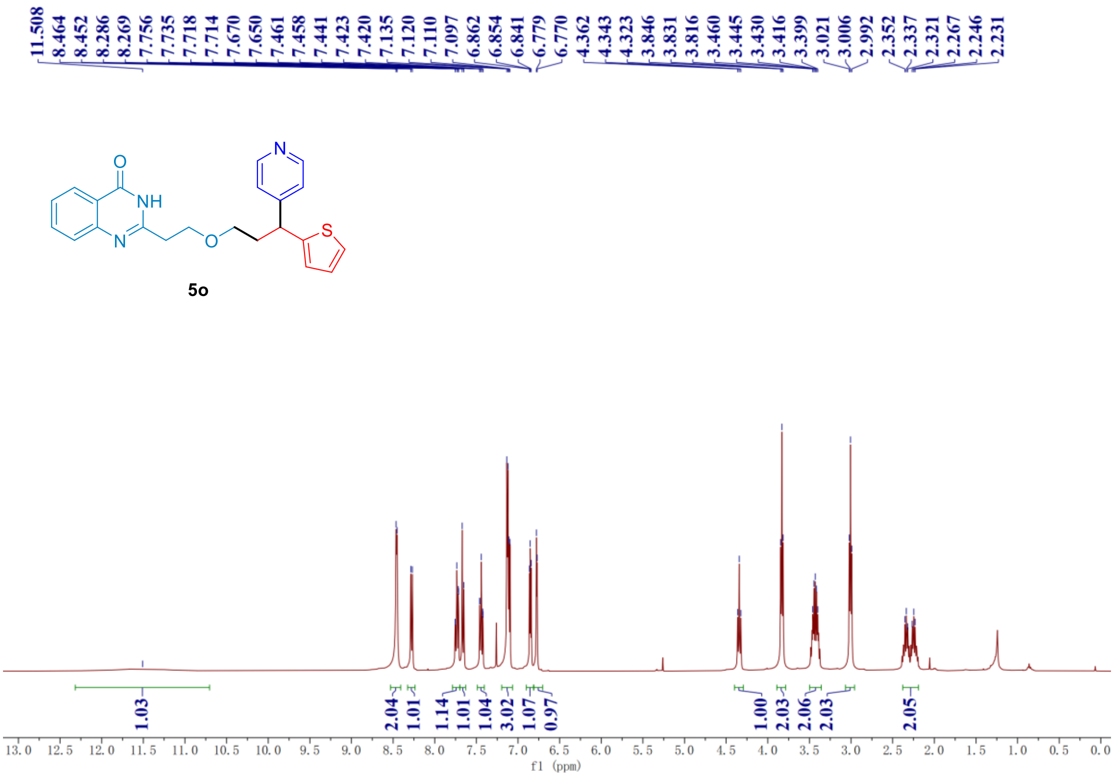


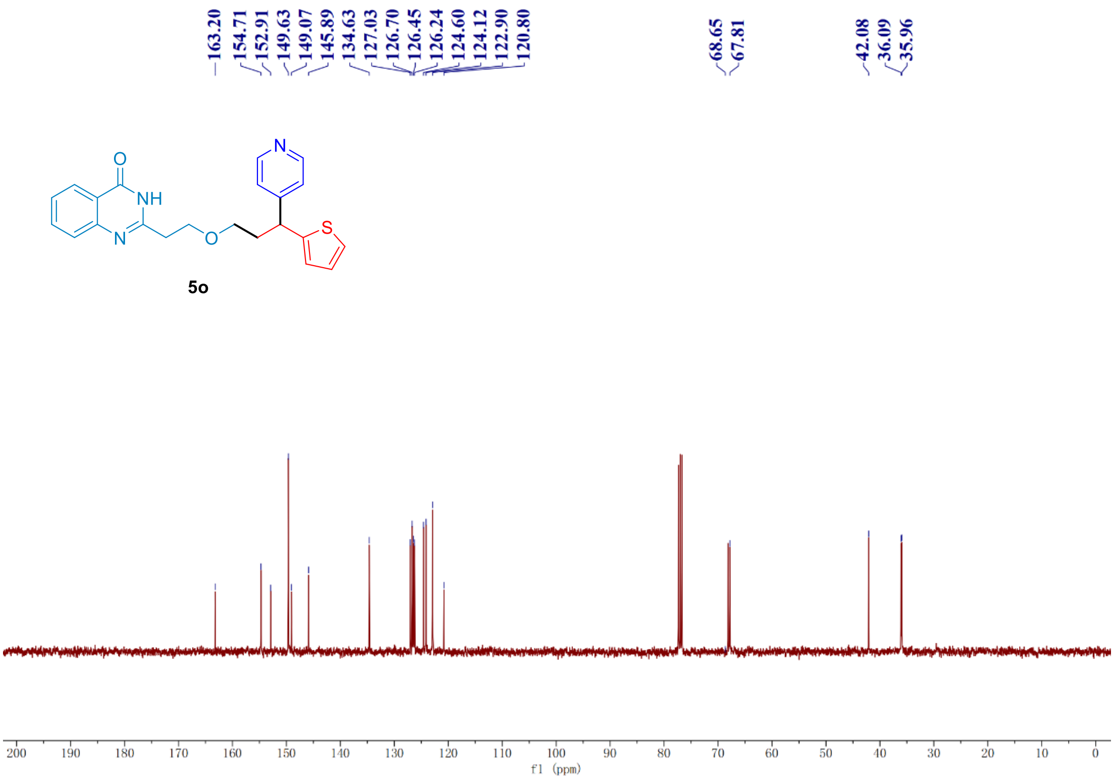
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **5n**



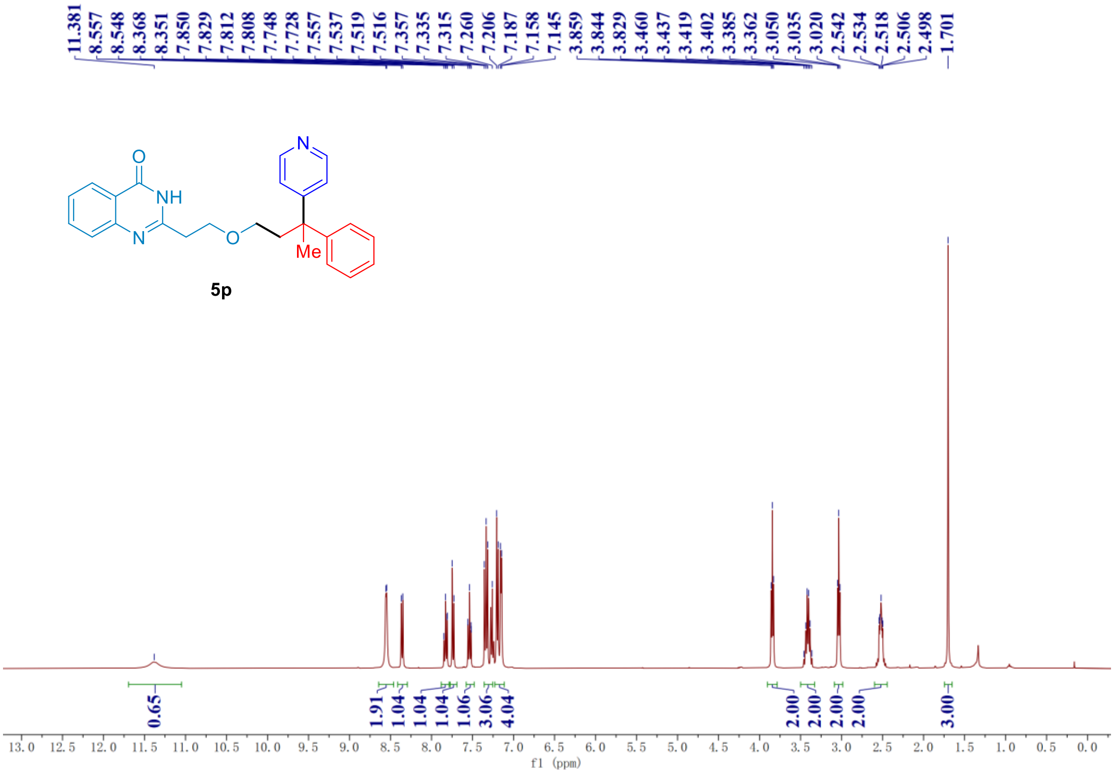


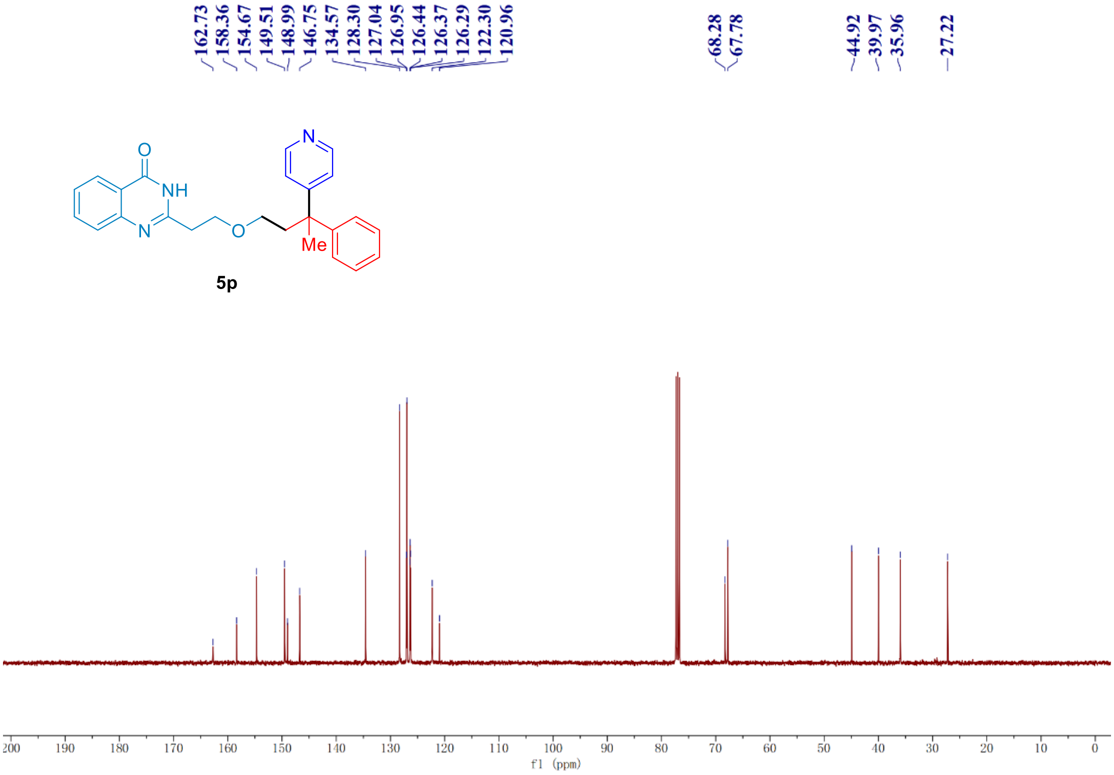
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **5o**



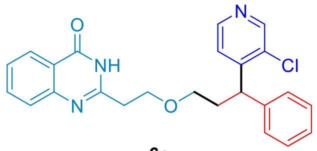


[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **5p**

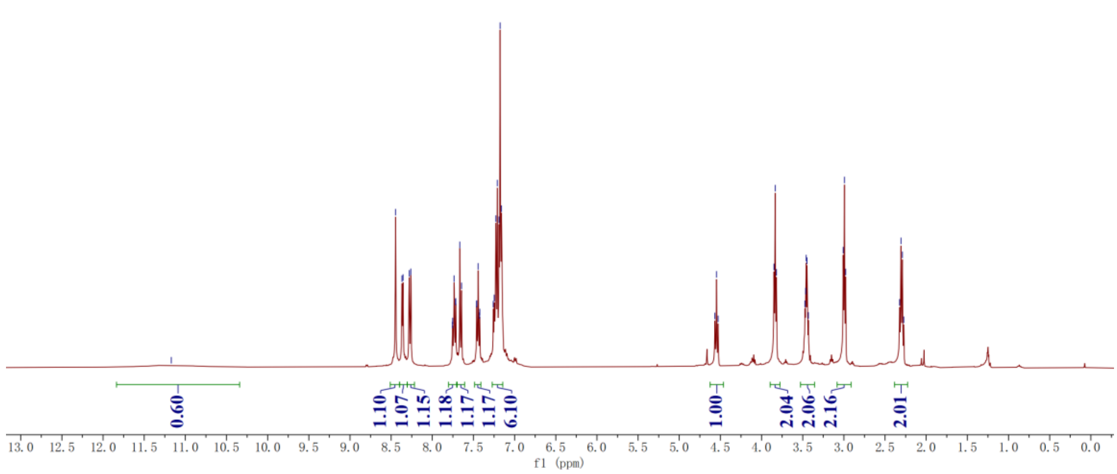


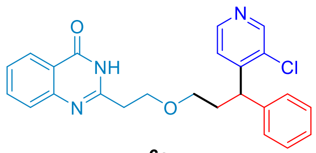


^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **6a**

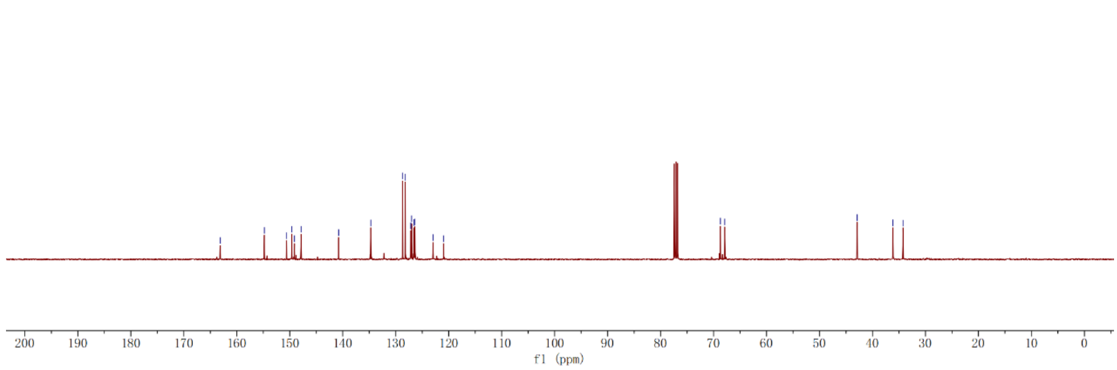


6a

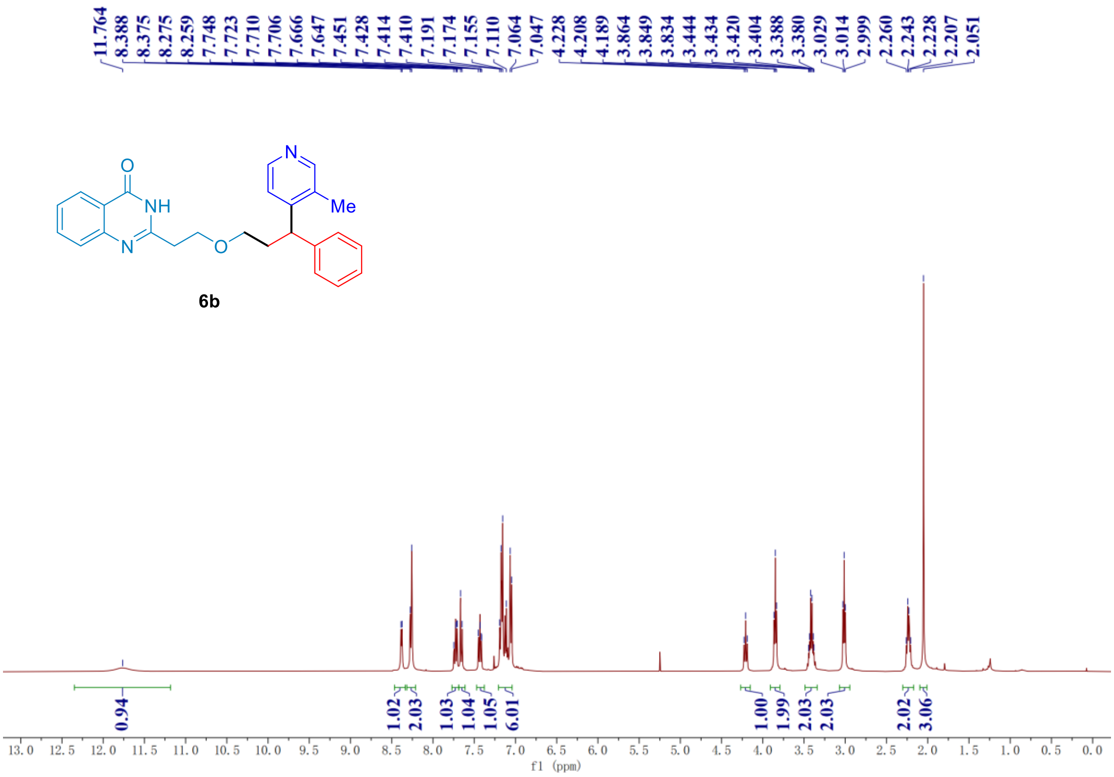


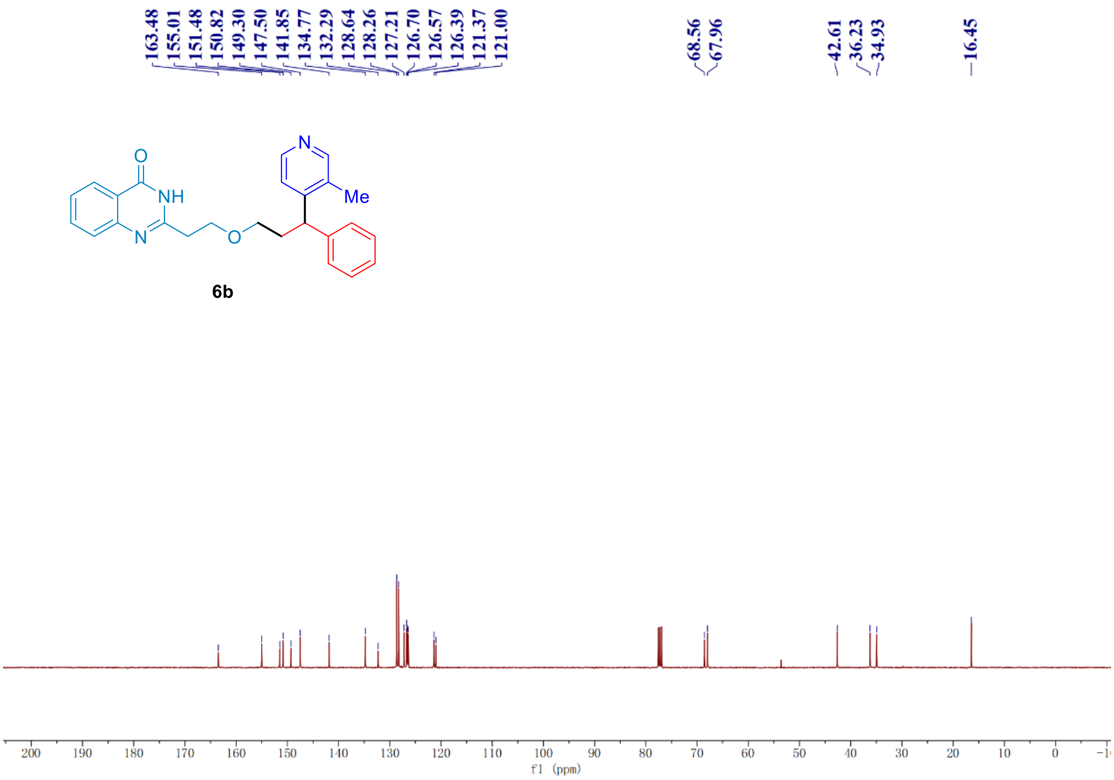


6a

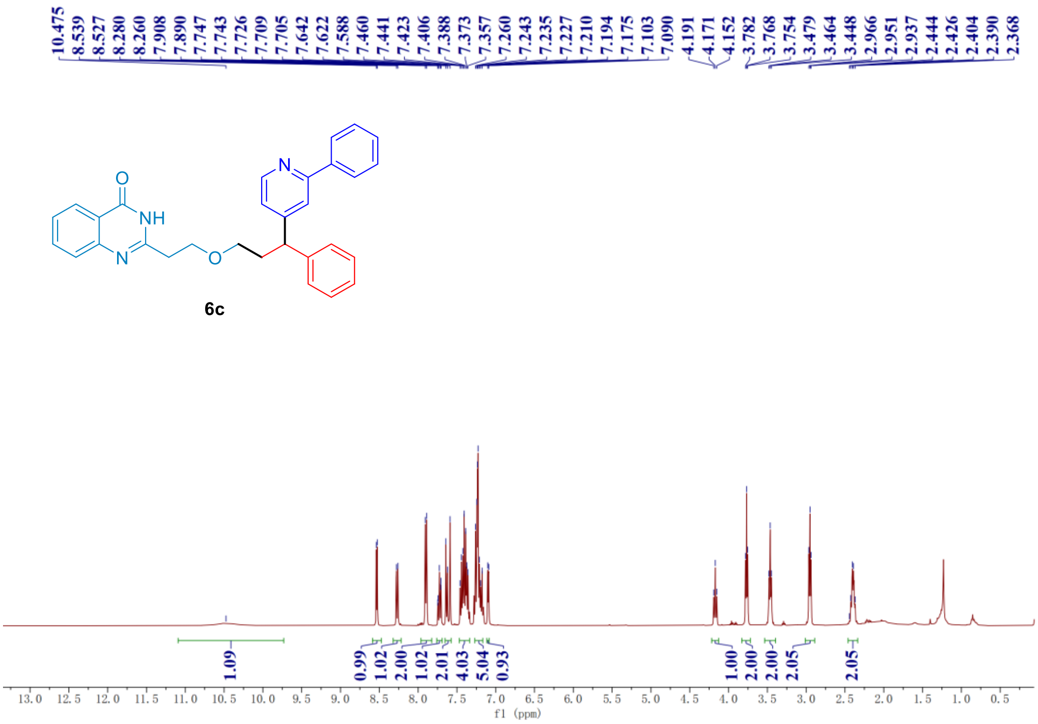


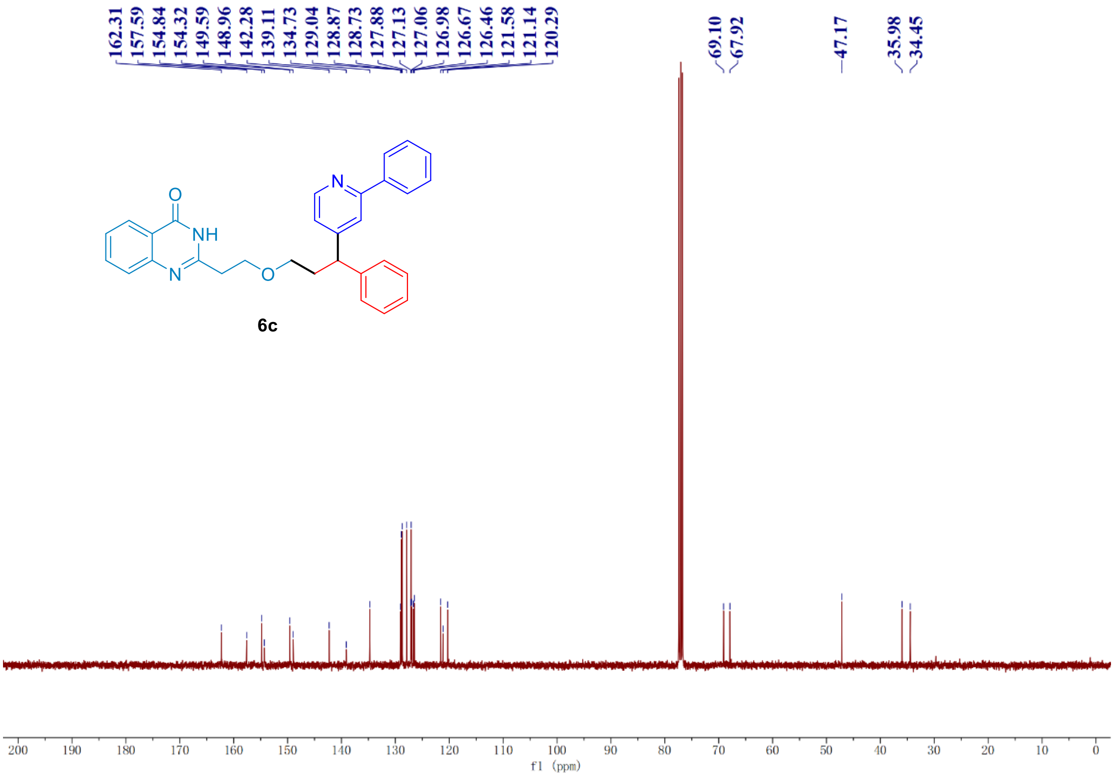
[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **6b**



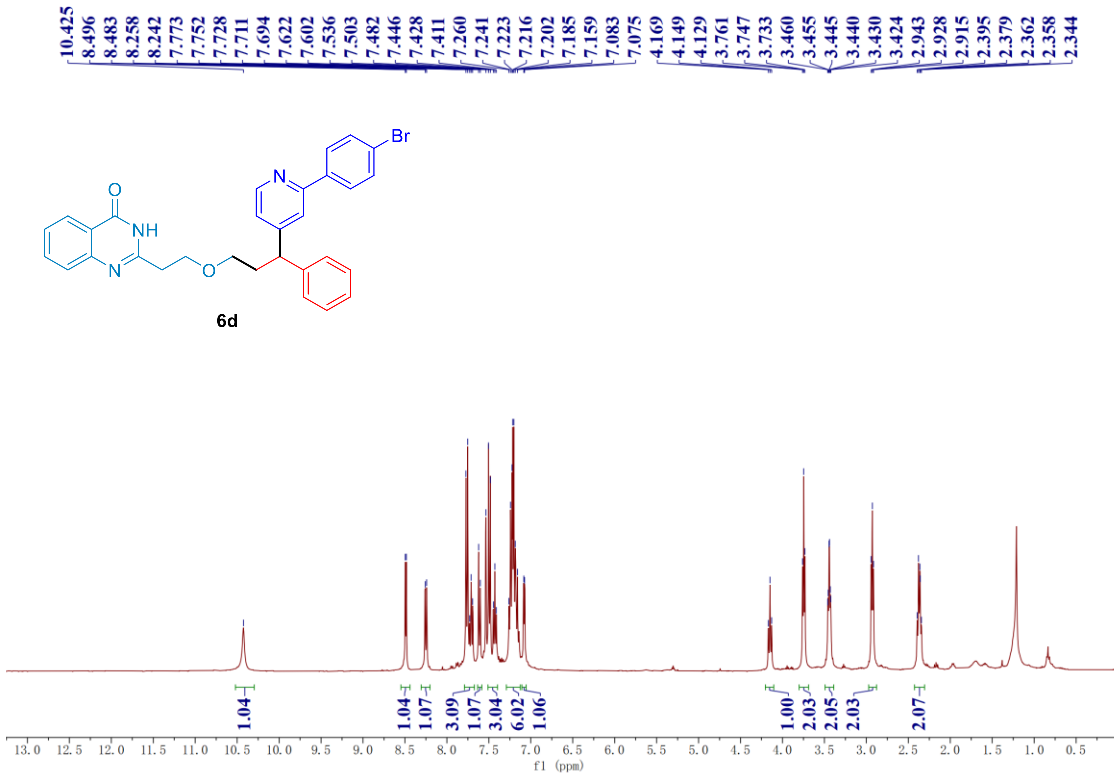


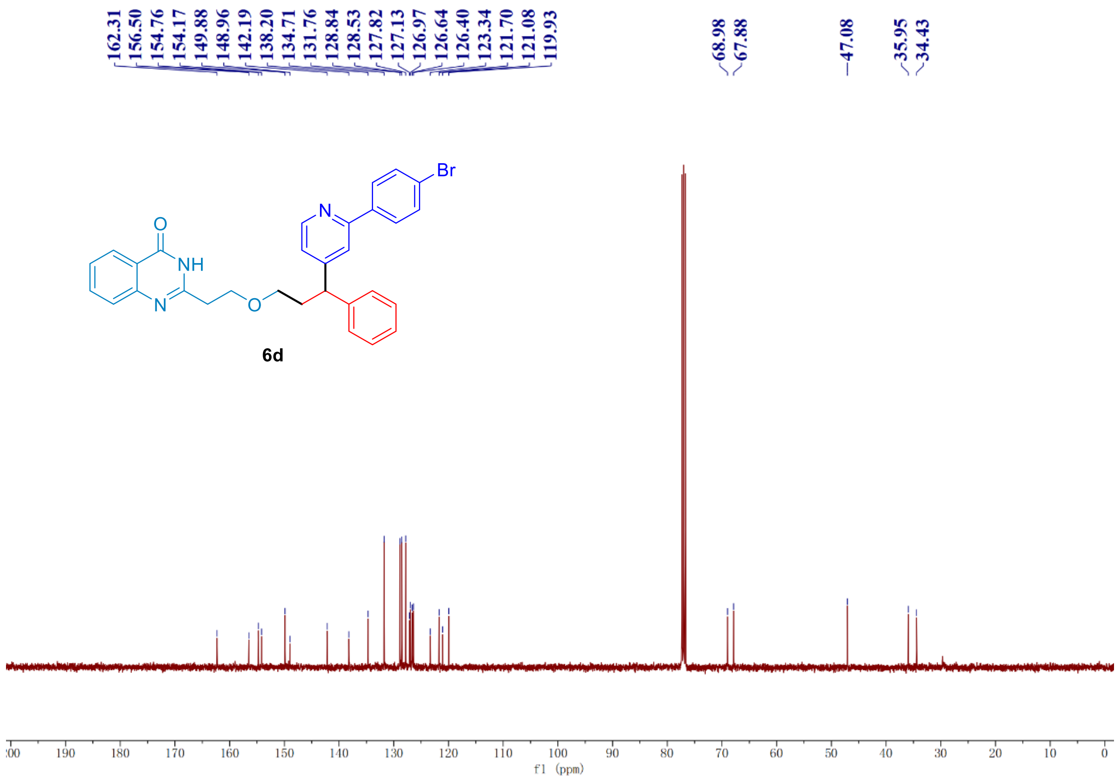
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **6c**



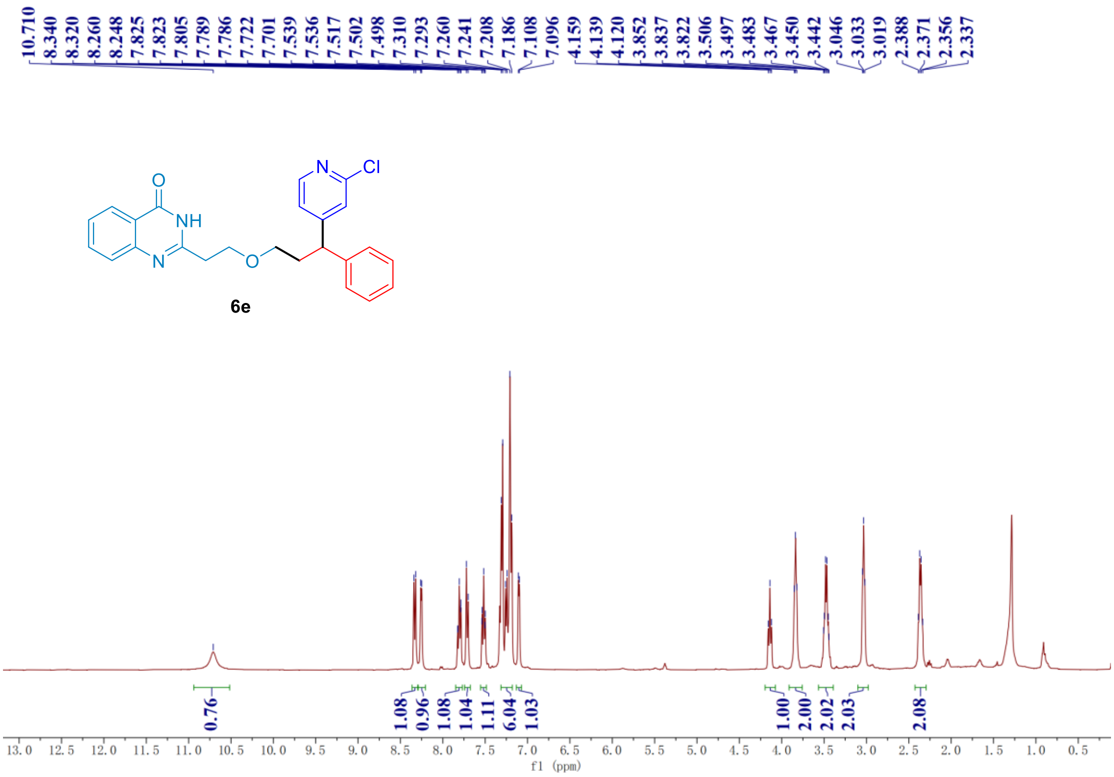


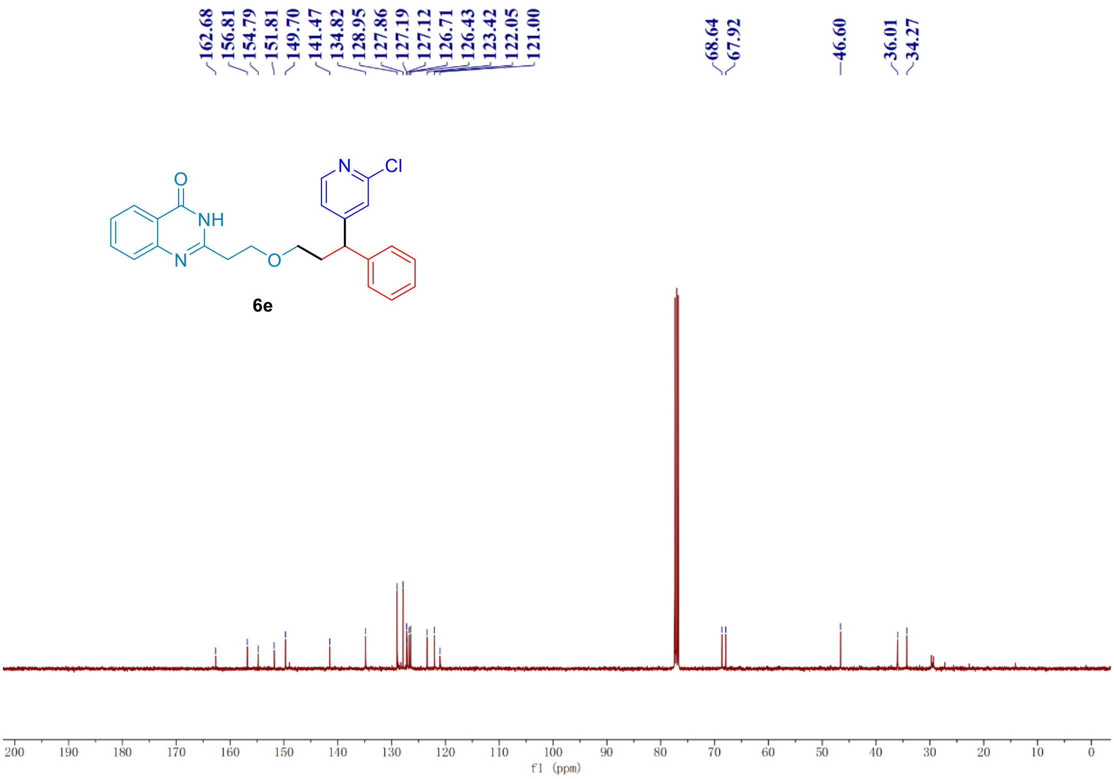
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **6d**



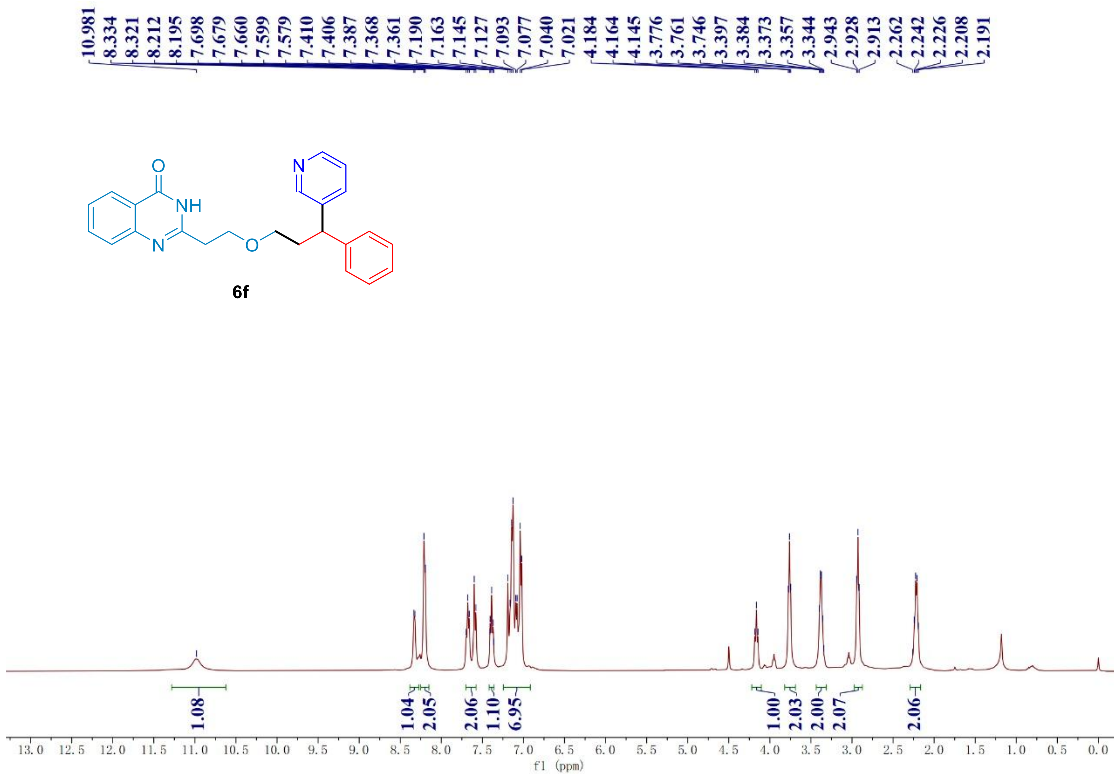


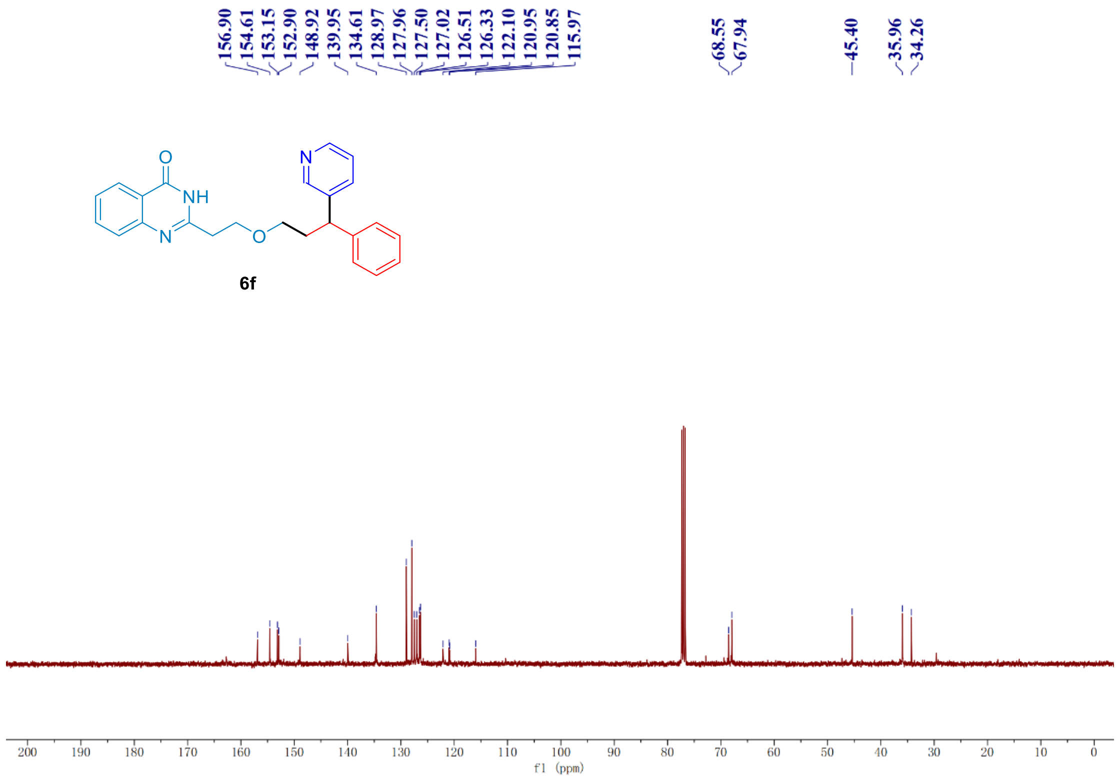
[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **6e**



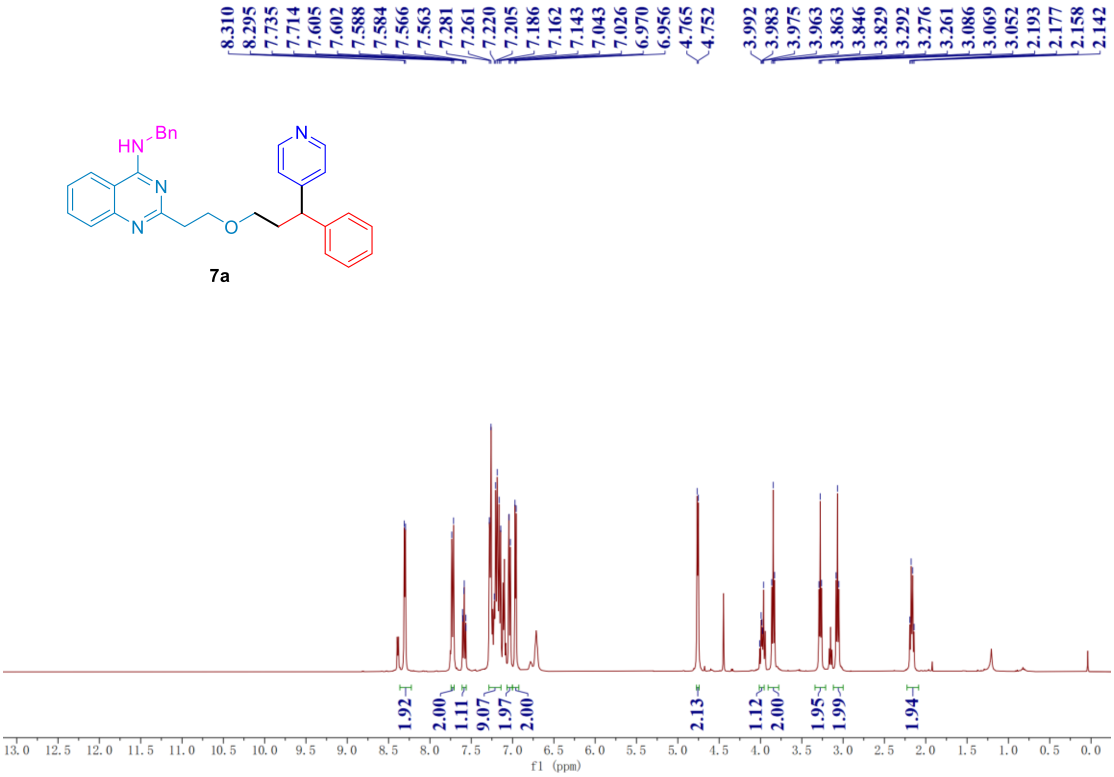


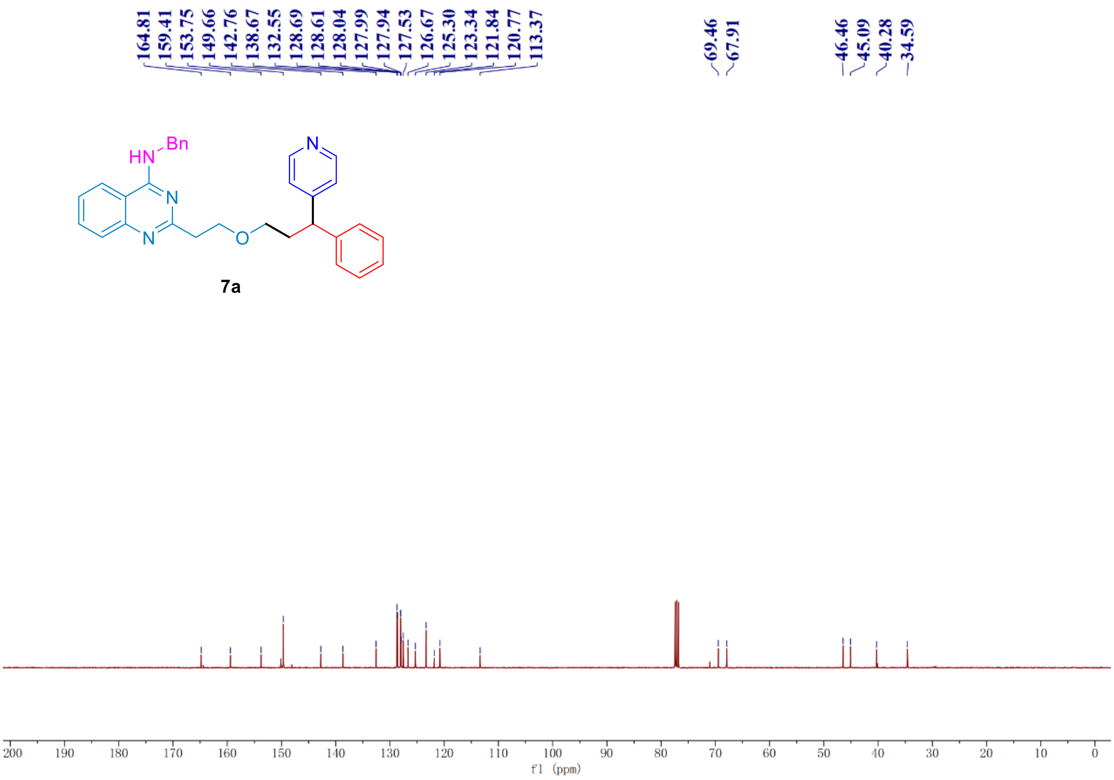
[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **6f**



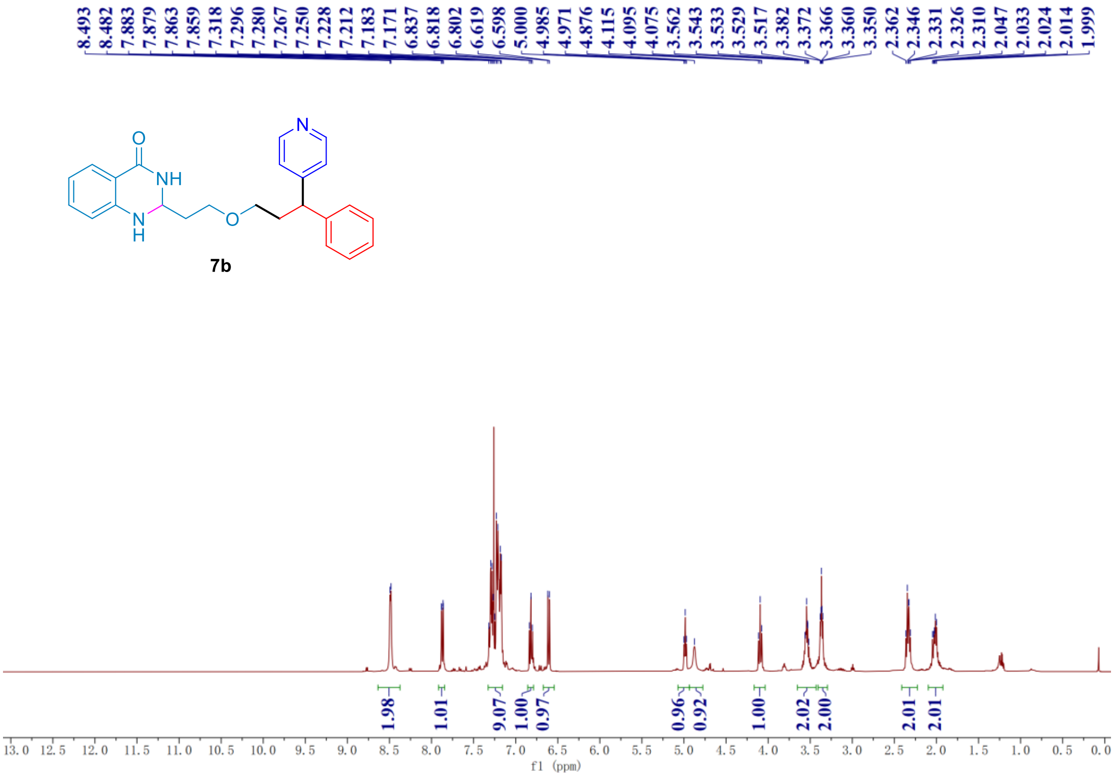


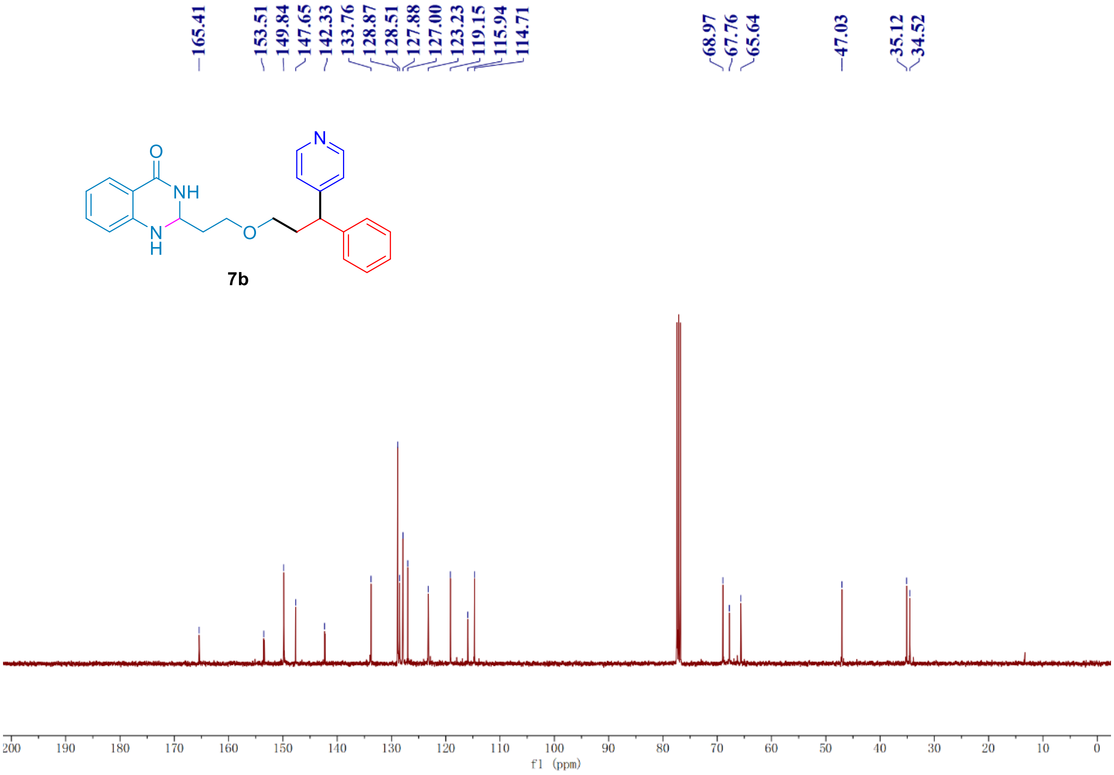
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **7a**



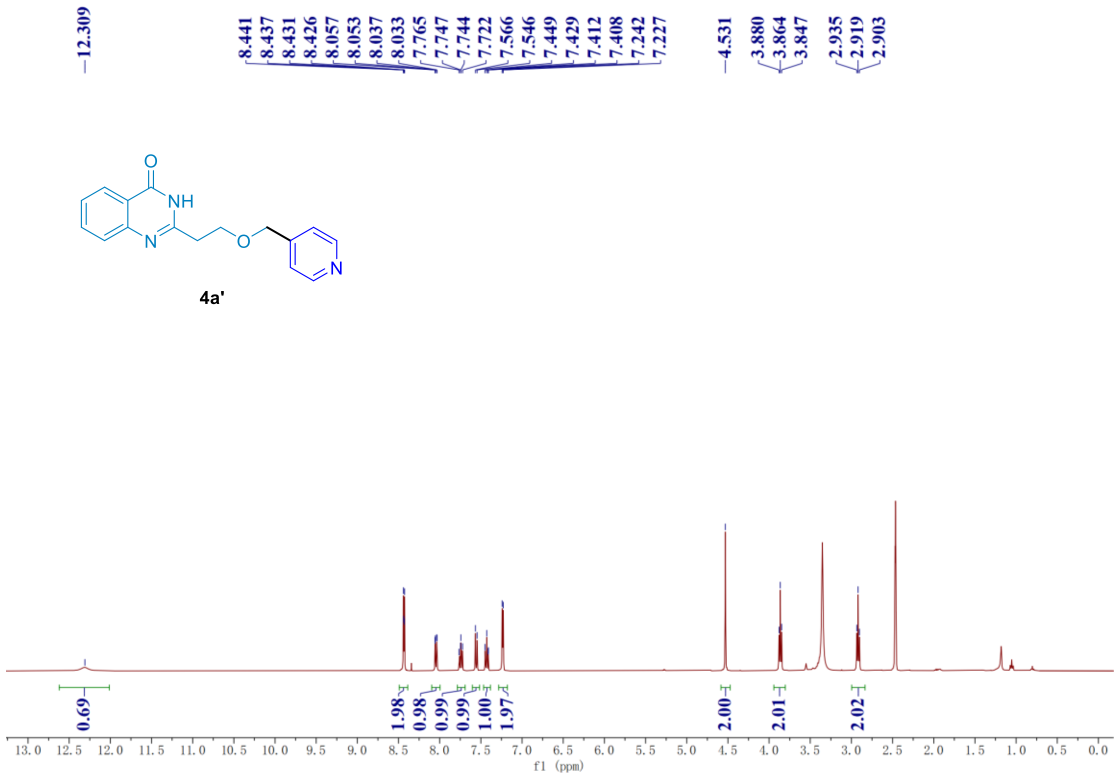


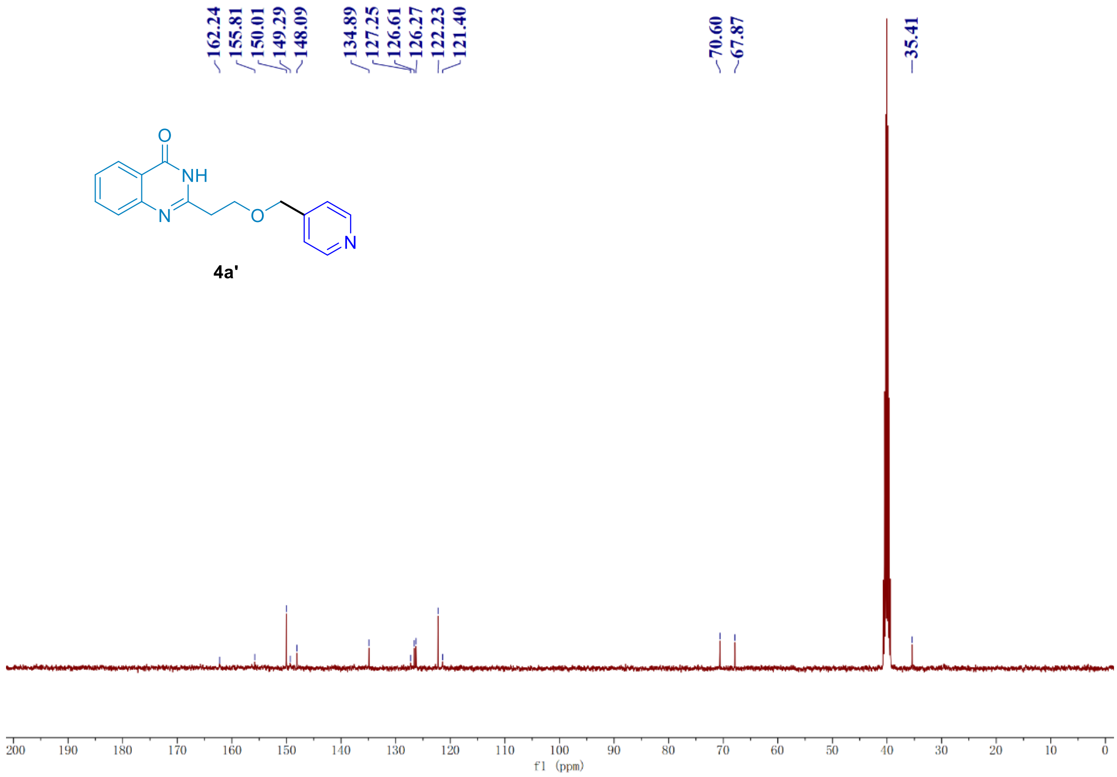
[1]H NMR (400 MHz, $CDCl_3$) and [13]C NMR (100 MHz, $CDCl_3$) spectra of product **7b**



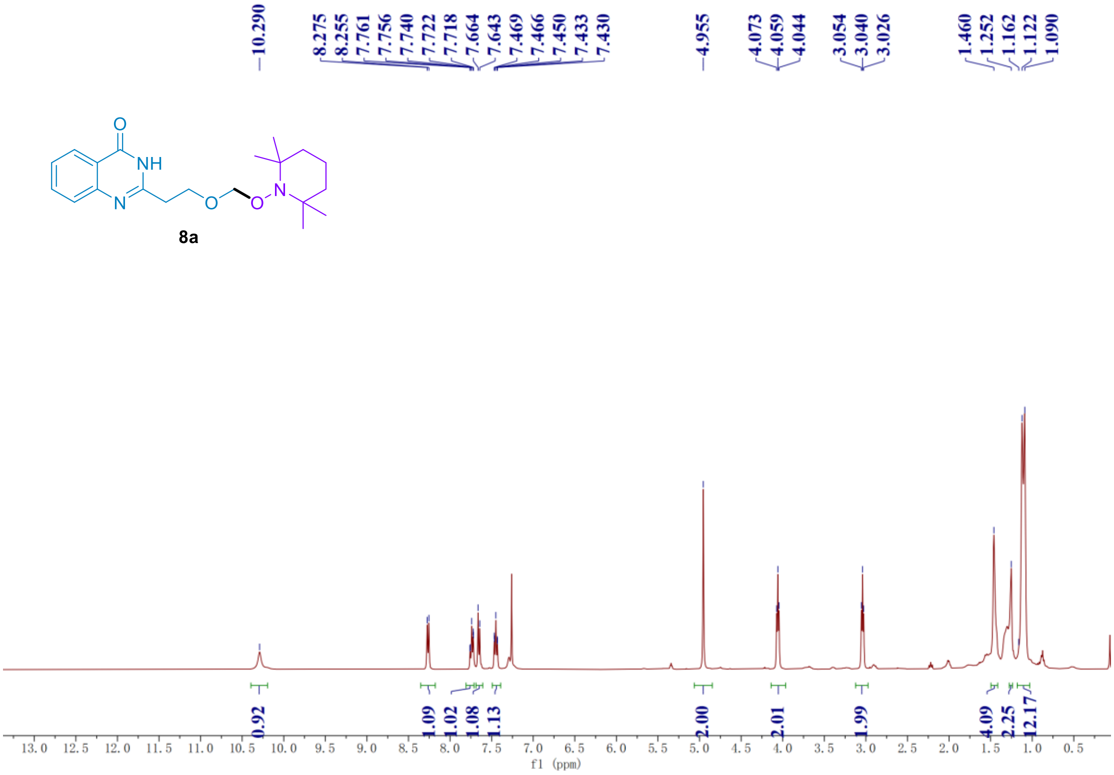


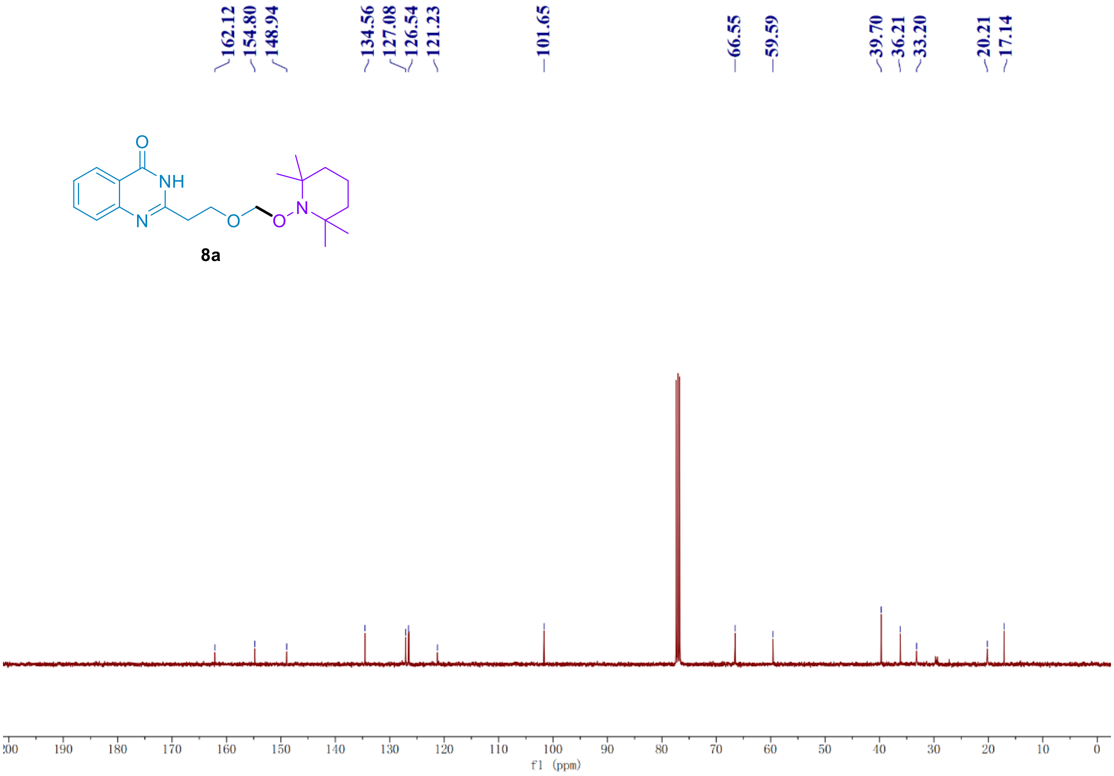
^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **4a’**





[1]H NMR (400 MHz, CDCl3) and [13]C NMR (100 MHz, CDCl3) spectra of product **8a**





^{1}H NMR (400 MHz, $CDCl_3$) and ^{13}C NMR (100 MHz, $CDCl_3$) spectra of product **9a**