Access to C2 C-H olefination, alkylation and deuteration of indoles by rhodium(III) catalysis: An entry for diverse synthesis

Jiaping Wu*,§, Baiyang Qian§, Lili Lu, Haitao Yang and Yongjia Shang*, Jitan Zhang
Key Laboratory of Functional Molecular Solids (Ministry of Education), Anhui Key Laboratory of Molecular Based Materials, College of Chemistry and Materials Science, Anhui Normal University, Wuhu 241002, China; **E-mail**: wujiaping@ahnu.edu.cn; shyj@ahnu.edu.cn; zhangjt@ahnu.edu.cn

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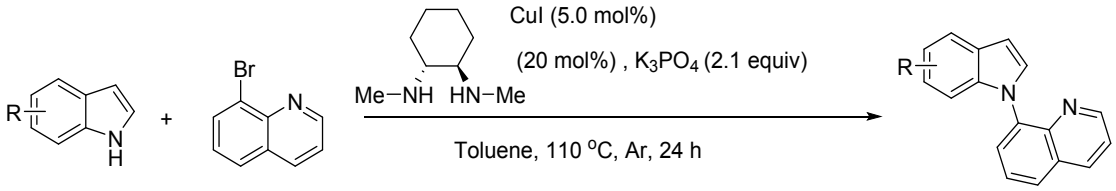
1. General Information

All chemicals were used without further purification as commercially available. Reactions were monitored by using thin-layer chromatography (TLC) on commercial silica gel plates (GF 254). Visualization of the developed plates was performed under UV lights (254 and 365 nm). Flash column chromatography was performed on silica gel (200-300 mesh). NMR (400 MHz for ^{1}H NMR, 100 MHz for ^{13}C NMR or 162 MHz for ^{31}P NMR) spectra were recorded in $CDCl_3$ with TMS as the internal standard unless otherwise noted. Chemical shifts (δ) were reported in ppm referenced to the $CDCl_3$ residual peak (δ 7.26) for ^{1}H NMR. Chemical shifts of ^{13}C NMR were reported relative to $CDCl_3$ (δ 77.0). The following abbreviations were used to describe peak splitting patterns when appropriate: singlet (s), doublet (d), triplet (t), quartet (q), multiplet (m). Coupling constant, *J*, was reported in Hertz unit (Hz). High-resolution mass spectra (HRMS) analysis was measured using ESI techniques. The melting points were measured using X-4 melting point apparatus.

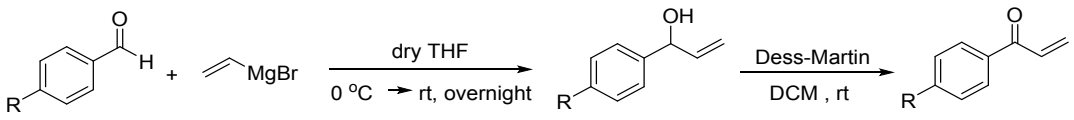
2. General Procedure for the Synthesis of the Substrates

2.1 Preparation of *N*-quinoline indole[1].



To a resealable tube was added indole (2.4 mmol), 8-bromoquinoline (2 mmol, 1.0 equiv), CuI (5 mol%) and K_3PO_4 (4.2 mmol,). The reaction vessel was evacuated and back-filled with argon and this evacuation/back-fill procedure was repeated two additional times. Trans-*N*, *N*'-dimethyl-1,2-cyclohexaneamine (20 mol%) and toluene (1.0 **M**) were then successively added under a stream of argon. The reaction tube was quickly sealed and the contents were stirred while heating in an oil bath at 110 °C for 24 h. The reaction mixture was cooled to ambient temperature, diluted with ethyl acetate and concentrated. The resulting residue was purified by column chromatography to provide the desired product. (56-95% yield).

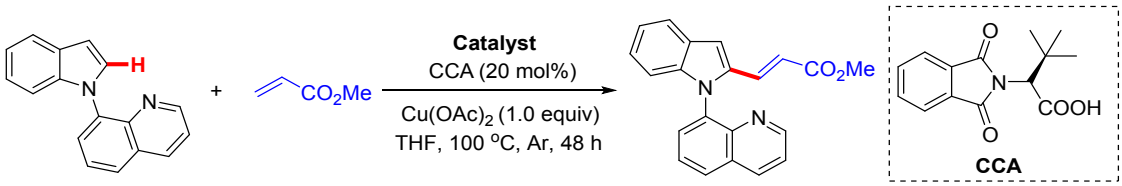
2.2 Preparation of vinyl ketones[2,3].



The mixture of aldehyde (3 mmol) in dry THF (5 mL) was cooled to 0 °C in an ice-water bath and vinylmagnesium bromide (1.0 M solution in THF, 3.6 mmol) was added dropwise. The mixture was warmed to room temperature and stirred for overnight. Saturated NH_4Cl solution (20 mL) was added to quench the reaction and the aqueous layer was extracted with EtOAc (15 mL × 3). The combined organic layers were washed with brine (20 mL × 1), dried over anhydrous Na_2SO_4 and concentrated. The residue was dissolved in CH_2Cl_2 (10 mL) and Dess-Martin periodinane (4.0 mmol) was added. The mixture was stirred at room temperature for overnight. The solvent was removed under reduced pressure and the residue was chromatographed on silica gel (PE:EtOAc = 20:1) to get the desired product (78-90% yield for 2 steps).

3. Optimization of alkenylated reaction conditions

Screening of Catalyst

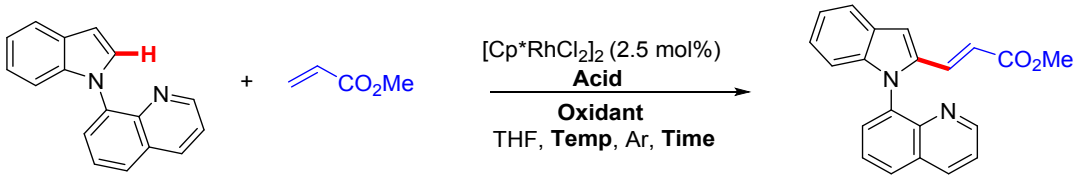


1a **2a** **3aa**

Entry[a]	Catalyst (2.5 mol%)	Yield[b] (%)
1	**$[Cp^*RhCl_2]_2$**	**37**
2	$[Cp^*Co(CO)I_2]$[c]	N.R.
3	$[Cp^*IrCl_2]_2$	24
4	$[Ru(p\text{-cymene})Cl_2]_2$	26

[a]Reactions were performed using **1a** (0.1 mmol), **2a** (0.2 mmol), catalyst, CCA (20 mol%) and $Cu(OAc)_2$ (1.0 equiv) in THF (0.1 **M**) of solvent at 100 °C for 48 h. [b]Isolated yield. [c]$[Cp^*Co(CO)I_2]$ (5 mol%).

Screening of Acids, Oxidant, Temp and Time



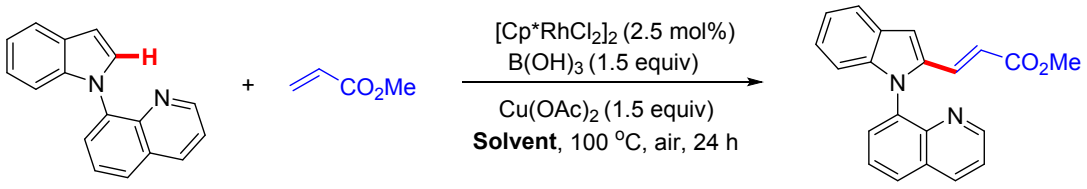
1a **2a** **3aa**

Entry[a]	Acids (2.0 equiv)	Oxidant (1.0 equiv)	Temp (°C)/Time (h)	Yield[b] (%)
1	CCA[c]	$Cu(OAc)_2$	100/12	32
2	CCA[c]	$Cu(OAc)_2$	100/24	68
3	CCA[c]	$Cu(OAc)_2$	100/48	37

4	PhCOOH	$Cu(OAc)_2$	100/24	29
5	TFA	$Cu(OAc)_2$	60/24	5
6	----	$Cu(OAc)_2$	60/24	16
7	PivOH	$Cu(OAc)_2$	60/24	trace
8	BOC-D-Alanine	$Cu(OAc)_2$	60/24	trace
9	$B(OH)_3$	$Cu(OAc)_2$	60/24	24
10	$B(OH)_3$	$Cu(OAc)_2$	100/24	80
11	$B(OH)_3$	O_2	100/24	N.R.
12[d]	$B(OH)_3$	$Cu(OAc)_2$[e]	100/24	trace
13[f]	$B(OH)_3$	$Cu(OAc)_2$	100/24	88
14[f]	$B(OH)_3$	$Cu(OAc)_2$[g]	100/24	40
15[f]	$B(OH)_3$	$Cu(OAc)_2$[h]	100/24	92
16[f]	$B(OH)_3$	$Cu(OAc)_2$[i]	100/24	78
17[f]	$B(OH)_3$[j]	$Cu(OAc)_2$[h]	100/24	59
18[f]	$B(OH)_3$[k]	$Cu(OAc)_2$[h]	100/24	89
19[f]	**$B(OH)_3$[l]**	**$Cu(OAc)_2$[h]**	**100/24**	**95**
20[f]	$B(OH)_3$	$Cu(OAc)_2$[h]	80/24	21
21[f]	$B(OH)_3$	$Cu(OAc)_2$[h]	100/12	80
22[f]	$B(OH)_3$[l]	$Cu(OAc)_2$[h]	100/12	54
23[f]	$B(OH)_3$[l]	$Cu(OAc)_2$[h]	100/16	87
24[f]	$B(OH)_3$[l]	$Cu(OAc)_2$[h]	100/20	94

[a]Reactions were performed using **1a** (0.1 mmol), **2a** (0.2 mmol), $[Cp^*RhCl_2]_2$ (2.5 mol%), acid and oxidant in THF (0.1 **M**) of solvent. [b]Isolated yield. [c]CCA (20 mol%). [d]in O_2, [e]$Cu(OAc)_2$ (0.25 equiv) [f]in air. [g]$Cu(OAc)_2$ (0.5 equiv). [h]$Cu(OAc)_2$ (1.5 equiv). [i]$Cu(OAc)_2$ (2.0 equiv). [j]$B(OH)_3$ (0.5 equiv). [k]$B(OH)_3$ (1.0 equiv). [l]$B(OH)_3$ (1.5 equiv).

Screening of Solvents



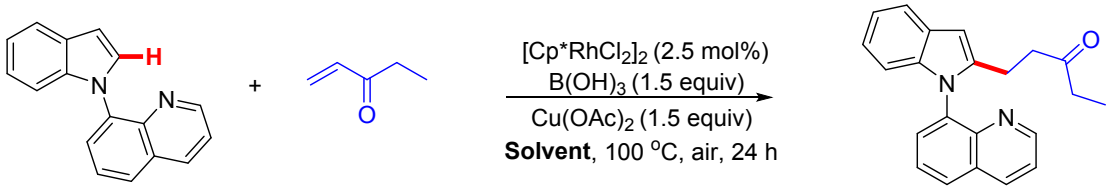
1a **2a** **3aa**

Entry[a]	Solvents (0.1M)	Yield[b] (%)
1	THF	95
2	DCE	48
3	MeOH	37
4	TFE	N.R.
5	MeCN	21
6	HFIP	12
7	1,4-Dioxane	22
8	Toluene	31
9	$CHCl_3$	34
10	DMF	20
11[c]	**THF**	**96**

[a]Reactions were performed using **1a** (0.1 mmol), **2a** (0.2 mmol), $[Cp^*RhCl_2]_2$ (2.5 mol%), $B(OH)_3$ (1.5 equiv) and $Cu(OAc)_2$ (1.5 equiv) in solvent at 100 °C for 24 h. [b]Isolated yield. [c]**1a** (0.1 mmol), **2a** (0.3 mmol).

4. Optimization of alkylated reaction conditions

Screening of Solvents



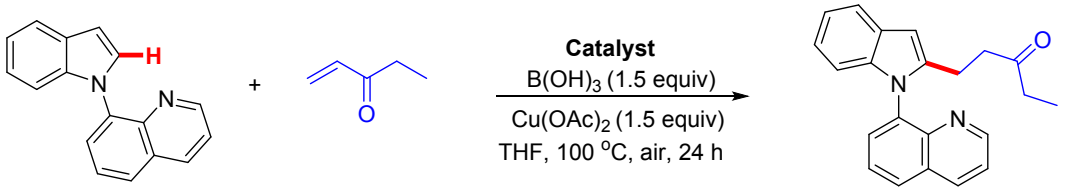
1a **4a** **5a**

Entry[a]	Solvents (0.1 M)	Yield[b] (%)
1	DCE	Trace
2	PhCl	Trace
3	MeOH	10
4	MeCN	Trace
5	Toluene	N.R.
6	1,4-Dioxane	N.R.
7	TFE	12

8	HFIP	13
9	DMF	N.R.
10	DMSO	N.R.
11	**THF**	**60**
12[c]	THF	58

[a]Reactions were performed using **1a** (0.1 mmol), **4a** (0.2 mmol), $[Cp^*RhCl_2]_2$ (2.5 mol%), $B(OH)_3$ (1.5 equiv) and $Cu(OAc)_2$ (1.5 equiv) in solvent at 100 °C for 24 h. [b]Isolated yield. [c]in Ar.

Screening of Catalyst

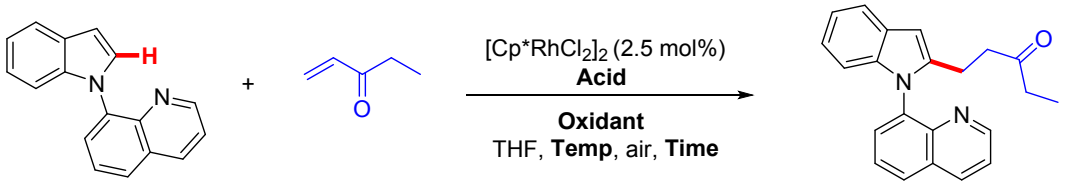


1a **4a** **5a**

Entry[a]	**Catalyst (2.5 mol%)**	**Yield[b] (%)**
1	**$[Cp^*RhCl_2]_2$**	**60**
2	$[Cp^*Co(CO)I_2]$[c]	N.R.
3	$[Cp^*IrCl_2]_2$	Trace
4	$[Ru(p\text{-cymene})Cl_2]_2$	10
5	$Pd(OAc)_2$[d]	N.R

[a]Reactions were performed using **1a** (0.1 mmol), **2a** (0.2 mmol), catalyst, $B(OH)_3$ (1.5 equiv) and $Cu(OAc)_2$ (1.5 equiv) in THF (0.1 **M**) of solvent at 100 °C for 48 h. [b]Isolated yield. [c]$[Cp^*Co(CO)I_2]$ (5 mol%). [d]$Pd(OAc)_2$ (10 mol%).

Screening of Acids, Oxidant, Temp and Time



1a **4a** **5a**

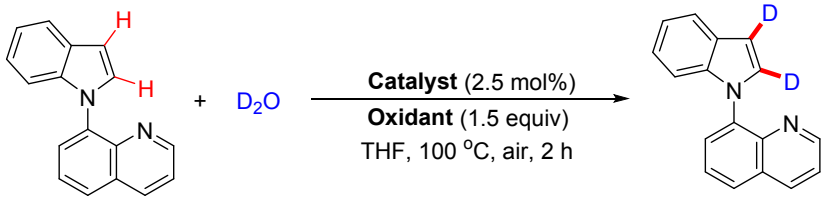
Entry[a]	**Acids (1.5 equiv)**	**Oxidant (1.5 equiv)**	**Temp (°C)/Time (h)**	**Yield[b] (%)**
1	BOC-D-Alanine	$Cu(OAc)_2$	100/24	20
2	TFA	$Cu(OAc)_2$	100/24	N.R.
3	HCOOH	$Cu(OAc)_2$	100/24	31

4	PivOH	$Cu(OAc)_2$	100/24	10
5	PhCOOH	$Cu(OAc)_2$	100/24	11
6	HOAc	$Cu(OAc)_2$	100/24	20
7	$B(OH)_3$	$Cu(OAc)_2$	100/24	60
8	$B(OH)_3$	$Cu(OAc)_2 \cdot H_2O$	100/24	39
9[c]	$B(OH)_3$	$Cu(OAc)_2$	100/24	40
10[d]	$B(OH)_3$	$Cu(OAc)_2$	100/24	15
11	$B(OH)_3$	$Cu(OAc)_2$[e]	100/24	36
12	$B(OH)_3$	$Cu(OAc)_2$[f]	100/24	14
13	$B(OH)_3$	$Cu(OAc)_2$	80/24	Trace
14	$B(OH)_3$	$Cu(OAc)_2$	90/48	35
15	$B(OH)_3$	$Cu(acac)_2$	100/24	10
16	$B(OH)_3$	$Cu(TFA)_2$	100/24	N.R.
17	$B(OH)_3$	$Cu(OTf)_2$	100/24	10
18[g]	$B(OH)_3$	$Cu(OAc)_2$	100/24	52
19[h]	$B(OH)_3$	$Cu(OAc)_2$	100/24	69
20[i]	$B(OH)_3$	NaOAc	100/24	5
21[h]	$B(OH)_3$	LiOAc	100/24	N.R.
22[h]	$B(OH)_3$	KOAc	100/24	10
23[h]	$B(OH)_3$	NaOPiv	100/24	43
24[h]	$B(OH)_3$	AgOAc	100/24	Trace
25[h]	$B(OH)_3$	$Zn(OAc)_2$	100/24	Trace
26[h]	$B(OH)_3$	$Cu(OAc)_2$	95/12	62
27[h]	$B(OH)_3$	$Cu(OAc)_2$	95/24	44
28[h]	$B(OH)_3$	$Cu(OAc)_2$	100/12	63
29[h]	$B(OH)_3$	$Cu(OAc)_2$	100/2	30
30[h]	$B(OH)_3$	$Cu(OAc)_2$	100/3	40
31[h]	$B(OH)_3$	$Cu(OAc)_2$	100/6	71
32[h]	$B(OH)_3$	$Cu(OAc)_2$	100/8	40

33[h]	$B(OH)_3$	$Cu(OAc)_2$	100/12	63
34[h]	$B(OH)_3$	$Cu(OAc)_2$	110/1	65
35[h]	$B(OH)_3$	$Cu(OAc)_2$	110/1.5	86
36[h]	**$B(OH)_3$**	**$Cu(OAc)_2$**	**110/2**	**87**
37[h]	$B(OH)_3$	$Cu(OAc)_2$	110/2.5	74
38[h]	$B(OH)_3$	$Cu(OAc)_2$	110/3	67
39[h]	$B(OH)_3$	$Cu(OAc)_2$	110/4	63

[a]Reactions were performed using **1a** (0.1 mmol), **4a** (0.2 mmol), $[Cp^*RhCl_2]_2$ (2.5 mol%), acid and oxidant in THF (0.1 **M**) of solvent. [b]Isolated yield. [c]$B(OH)_3$ (2.0 equiv). [d]$B(OH)_3$ (1.0 equiv). [e]$Cu(OAc)_2$ (2.0 equiv). [f]$Cu(OAc)_2$ (1.0 equiv). [g]**1a** (0.1 mmol), **4a** (0.25 mmol). [h]**1a** (0.1 mmol), **4a** (0.3 mmol). [i]**1a** (0.1 mmol), **4a** (0.4 mmol).

5. Optimization of Reaction Conditions for Di(C2/C3)-Deuteration of *N*-quinoline indole



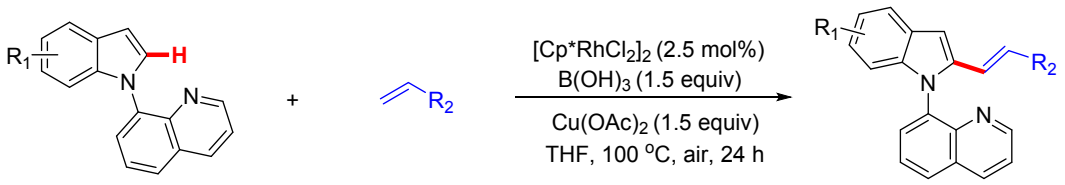
1a 6a

Entry[a]	Catalyst (2.5mol%)	Oxidant (1.5 equiv)	D contents (%)	
			C2	C3
1	**$[Cp^*RhCl_2]_2$**	**$Cu(OAc)_2$**	**93**	**95**
2	$[Cp^*RhCl_2]_2$	----	0	24
3	$[Cp^*RhCl_2]_2$	NaOAc	53	15
4[b]	$[Cp^*RhCl_2]_2$	$Cu(OAc)_2$	95	83
5	----	$Cu(OAc)_2$	5	5

[a]Reaction was conducted with **1a** (0.1 mmol), D_2O (0.2 ml), catalyst (2.5 mol%), oxidant (0.15 mmol), THF (1.0 ml), D(deuteration)% determined by ^1H NMR. [b]D_2O (0.1 ml).

6. General procedures

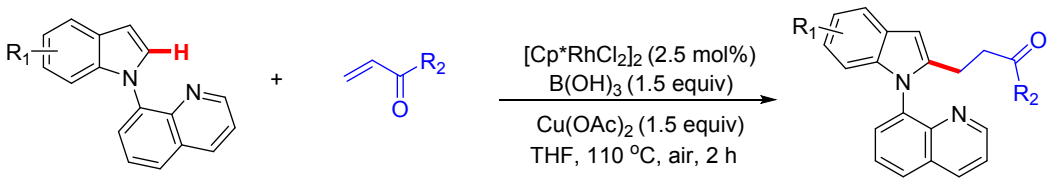
6.1 Preparation of alkenylated products



1 **2** **3**

An oven-dried Schlenk tube was charged with **1** (0.1 mmol), **2** (0.3 mmol), $[Cp^*RhCl_2]_2$ (0.0025 mmol, 1.6 mg), $B(OH)_3$ (0.15 mmol, 9.3 mg), $Cu(OAc)_2$ (0.15 mmol, 27.3 mg) and THF (1.0 mL). The Schlenk tube was then sealed with a Teflon lined cap and the mixture was heated at 100 °C for 24 hours under air. The reaction solution was cooled to ambient temperature and then was purified by column chromatography on silica gel to provide the desired product **3**.

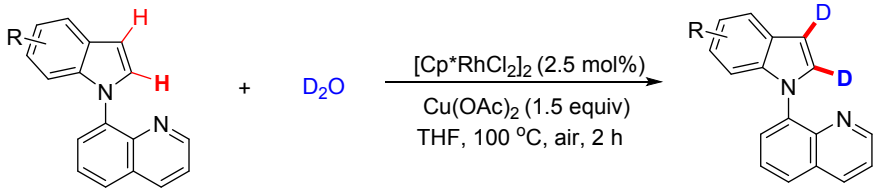
6.2 Preparation of alkylated products



1 **4** **5**

An oven-dried Schlenk tube was charged with **1** (0.1 mmol), **4** (0.3 mmol), $[Cp^*RhCl_2]_2$ (0.0025 mmol, 1.6 mg), $B(OH)_3$ (0.15 mmol, 9.3 mg), $Cu(OAc)_2$ (0.15 mmol, 27.3 mg) and THF (1.0 mL). The Schlenk tube was then sealed with a Teflon lined cap and the mixture was heated at 110 °C for 2 hours under air. The reaction solution was cooled to ambient temperature and then was purified by column chromatography on silica gel to provide the desired product **5**.

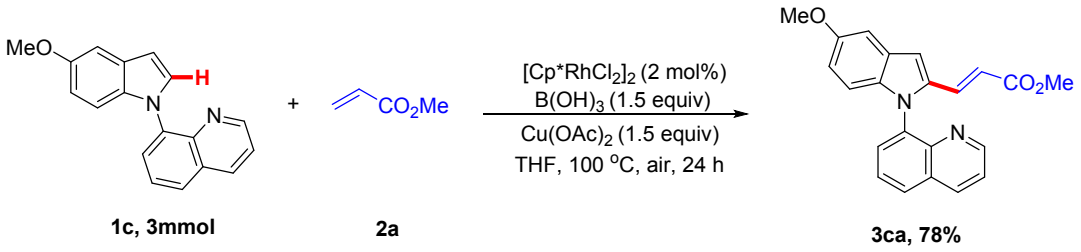
6.3 Preparation of Di(C2/C3)-Deuterated *N*-quinoline indoles



1 **6**

An oven-dried Schlenk tube was charged with *N*-quinoline substituted indole **1** (0.1 mmol), D_2O (0.2 mL), $[Cp^*RhCl_2]_2$ (0.0025mmol, 1.6mg), $Cu(OAc)_2$ (0.15 mmol, 27.3 mg) and THF (1.0 mL). The reaction was allowed to stir at 100 °C for 2 hours. The reaction solution was cooled to ambient temperature and then was purified by column chromatography on silica gel to provide the desired product **6**. The D incorporation was determined by ^{1}H-NMR spectroscopy.

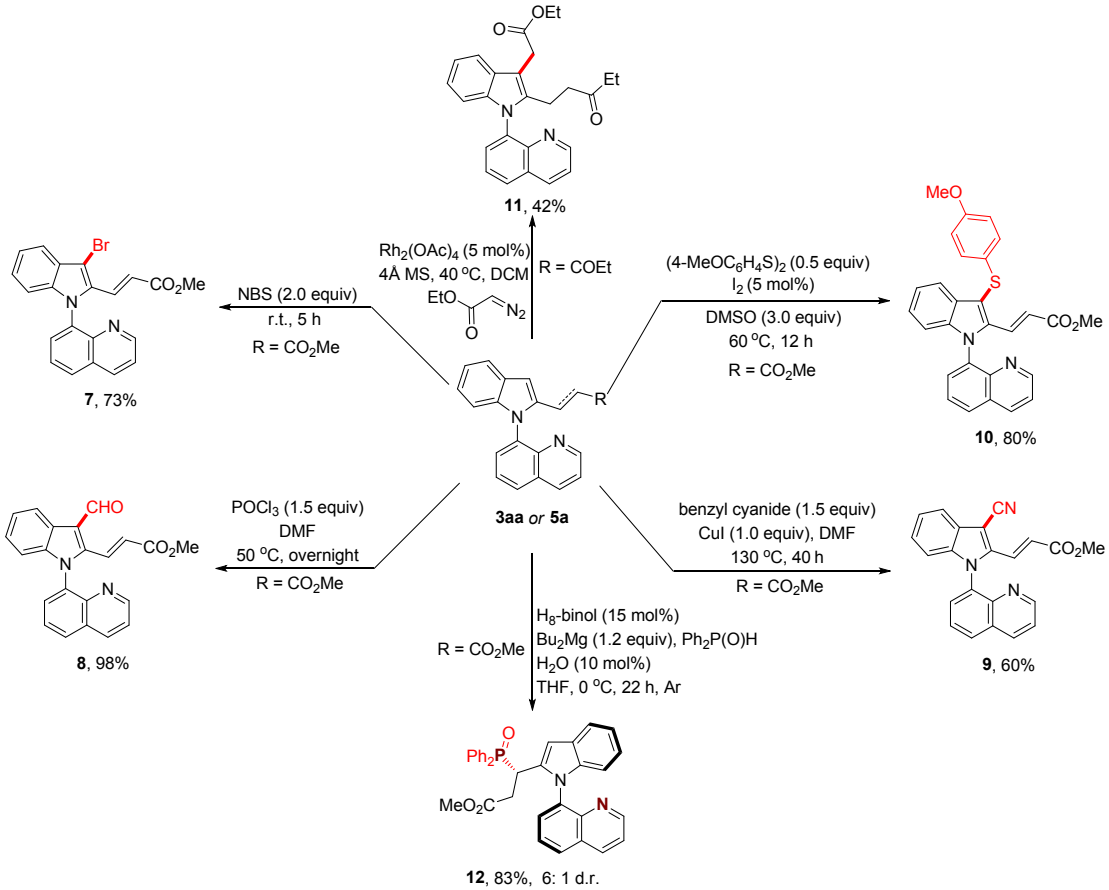
7. A scale-up experiment of 3ca



1c, 3mmol **2a** **3ca, 78%**

An oven-dried Schlenk tube was charged with **1c** (3.0 mmol, 0.822 g), **2a** (9.0 mmol, 0.774 g), $[Cp^*RhCl_2]_2$ (0.006 mmol, 38.4 mg), $B(OH)_3$ (4.5 mmol, 0.279 g), $Cu(OAc)_2$ (4.5 mmol, 0.819 g) and THF (20 mL). The Schlenk tube was then sealed with a Teflon lined cap and the mixture was heated at 100 °C for 24 hours under air. The reaction solution was cooled to ambient temperature and then was purified by column chromatography on silica gel to provide the desired product **3ca** (2.34 mmol, 0.836 g) in 78% yield.

8. Derivatization Reactions



1) To a solution of **3aa** (0.2 mmol) in $CHCl_3$ (3.0 mL), NBS (*N*-bromosuccinimide) (0.2 mmol) was added portionwisely and then the mixture was heated at rt. under air for 5 h. The reaction solution was concentrated and purified by column chromatography on silica gel to provide the desired product **7** (73% yield)[4].

2) A Schlenk tube with a magnetic stir bar was charged with **3aa** (0.1 mmol), $(4\text{-}MeOC_6H_4S)_2$ (0.1 mmol), I_2 (5 mol%) and DMSO (0.3 mmol). The Schlenk tube was then sealed with a Teflon lined

cap and the mixture was stirred at 80 °C for 12 h under air. The reaction solution was then cooled to room temperature and purified by column chromatography on silica gel to provide the desired product **8** (80% yield)[5].

3) To a solution of **3aa** (0.2 mmol) in DMF (1.0 mL), $POCl_3$ (0.3 mmol) was added dropwisely and then the mixture was heated at 50 °C under air overnight. The reaction solution was then cooled to room temperature and purified by column chromatography on silica gel to provide the desired product **9** (98% yield)[6].

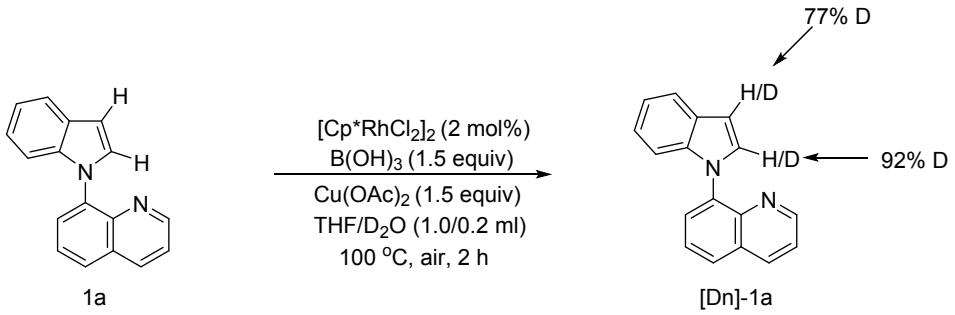
4) To a solution of **3aa** (0.2 mmol) in DMF (2.0 mL), CuI (0.2 mmol) and benzyl cyanide (0.3 mmol) was added and then the mixture was heated at 130 °C under air for 40 h. The reaction solution was then cooled to room temperature, diluted with 5 mL of CH_2Cl_2, filtered through a celite pad and washed with 10-20 mL of CH_2Cl_2. The filtrate was collected and concentrated. The residue was purified by column chromatography on silica gel to provide the desired product **10** (60% yield)[7].

5) To a flask charged with 5 mol% $Rh_2(OAc)_4$ and 4Å molecular sieves, the alkylated product **5a** (0.2 mmol) in DCM (1 mL) was added directly to the flask. diazo compound (0.4 mmol) in DCM (1 mL) were introduced by syringe pump over 1 hour at 40 ºC in oil bath and the reaction solution was stirred for another 1 hour. After the completion of the reaction (monitored by TLC), the reaction mixture was filtrated and the filtrate was evaporated in vacuo to give the crude product. And then the crude product was purified by flash chromatography on silica gel (PE:EtOAc = 5:1 to 3:1) to give the pure product **11** (42% yield)[8].

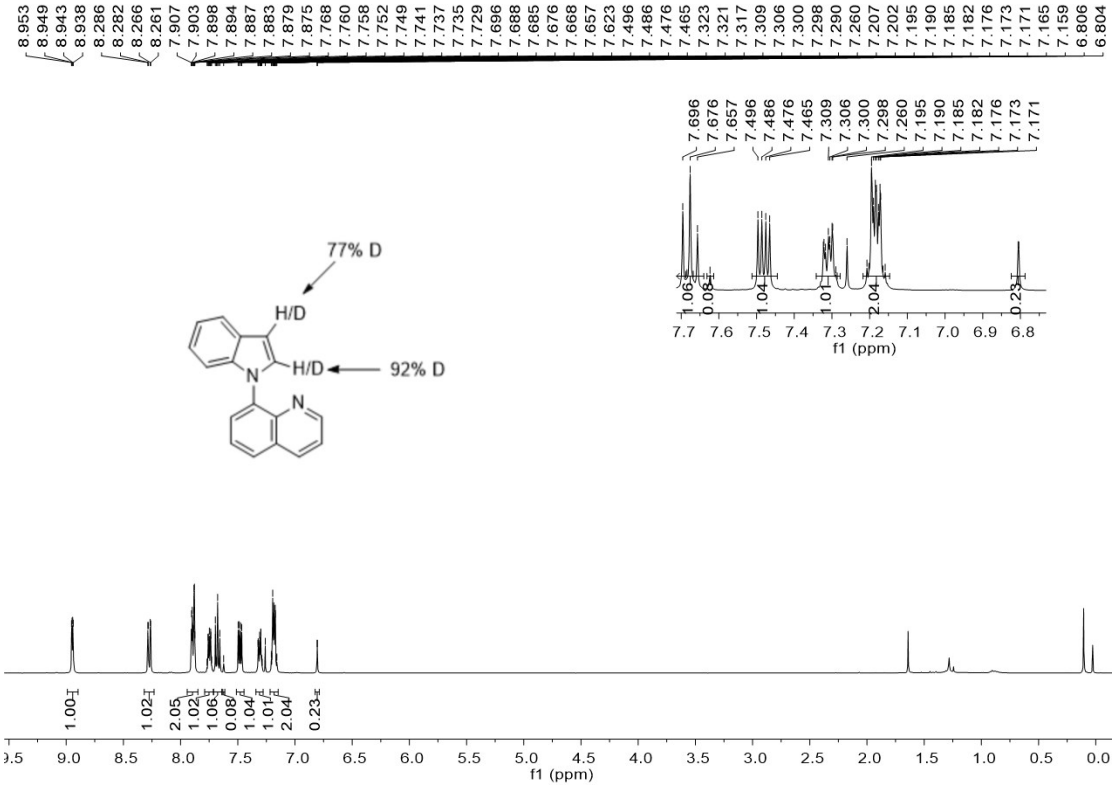
6) A well-dried pyrex Schlenk tube was charged with (*R*)-5,5',6,6',7,7',8,8'-octahydro -1,1'-bi-2-naphthol ((*R*)-H_8-BINOL) (0.075 mmol), H_2O (0.050 mmol), and THF (2 mL) under nitrogen atmosphere, and the mixture was stirred at room temperature for 5 min. Bu_2Mg (1.0 M in heptane, 50.0 μL, 0.050 mmol) and diphenylphosphine oxide (0.50 mmol) were added, and the mixture was stirred at room temperature for 5 min. Then the mixture was cooled to 0 °C, and stirred at that temperature for 5 min. The alkenylated product **3aa** (0.50 mmol) was added, and the mixture was stirred at 0 °C for 22 h. Then, the reaction mixture was diluted with NH_4Cl aqueous solution (2 mL) at 0 °C. After 10 min, water (10 mL) and chloroform (5 mL) were added. The organic phase was extracted with chloroform (20 mL × 2), washed with brine (20 mL), and dried over Na_2SO_4. The organic phase was concentrated under reduced pressure, and the crude product was purified by silica gel column chromatography (PE:EtOAc = 1:1 to EtOAc) to give the desired product **12** (83% yield)[9].

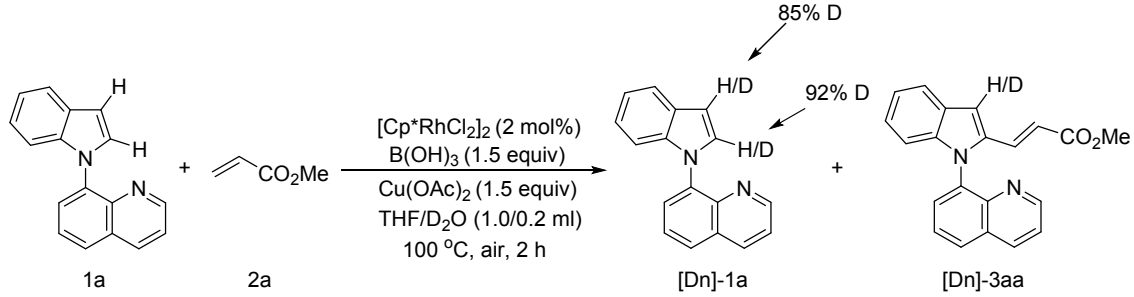
9. Control Experiment of Deuterated *N*-quinoline Indole

9.1 H/D Exchange Experiment

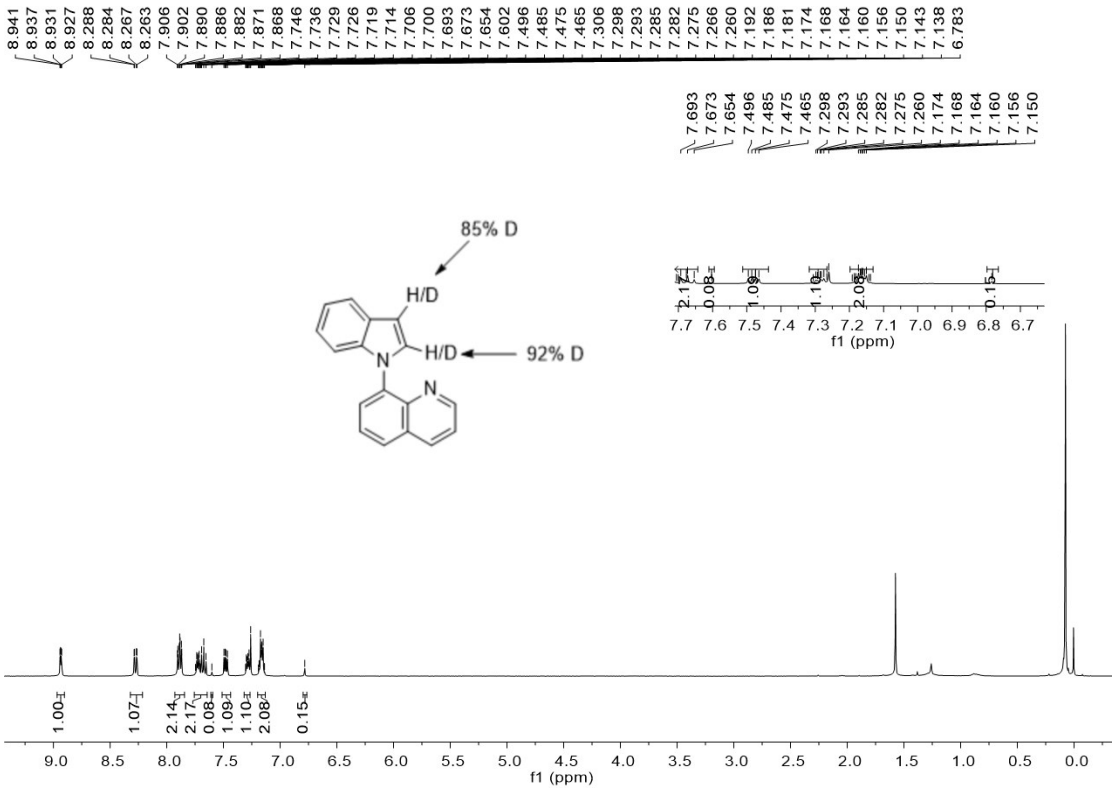


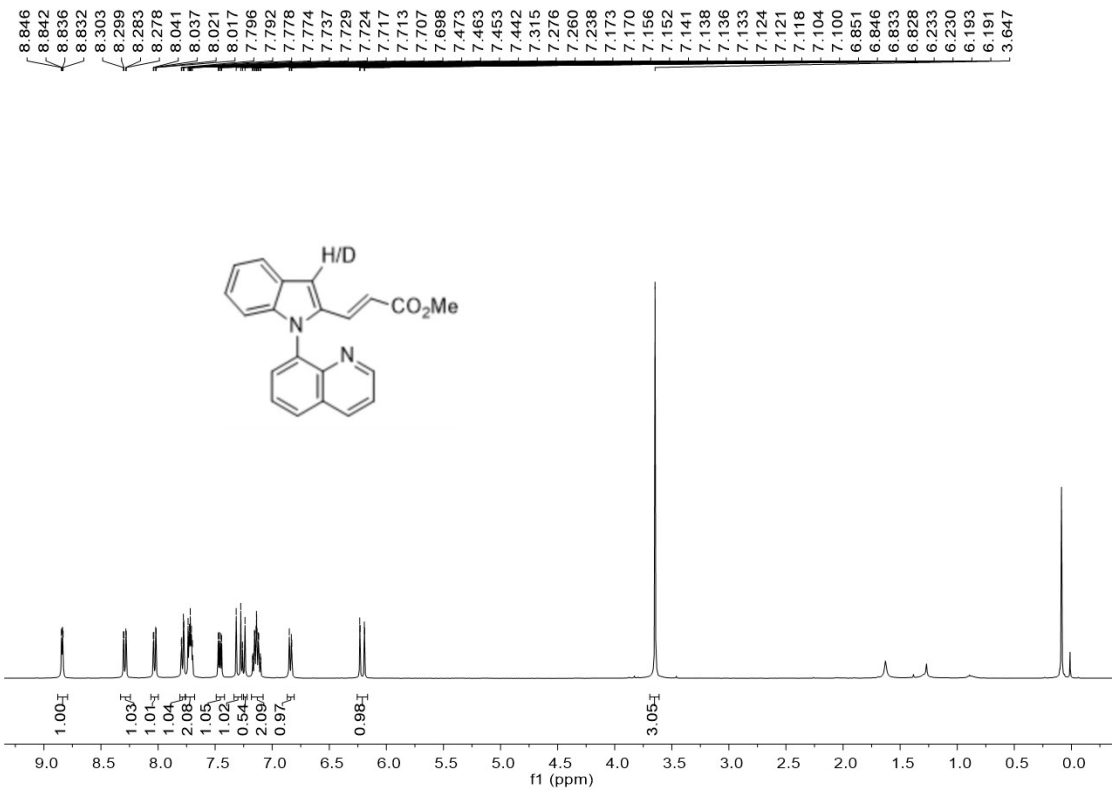
An oven-dried Schlenk tube was charged with *N*-quinoline substituted indole **1a** (0.1 mmol, 24.4 mg), $[Cp^*RhCl_2]_2$ (0.0025 mmol, 1.6 mg), $B(OH)_3$ (0.15 mmol, 9.3 mg), $Cu(OAc)_2$ (0.15 mmol, 27.3 mg), D_2O (0.2 mL), and THF (1.0 mL). The reaction was allowed to stir at 100 °C for 2 hours. Upon completion, the reaction mixture was evaporated to remove the solvent and directly loaded onto silica gel for flash column chromatography (PE:EtOAc = 8:1) to afford the desired product **[Dn]-1a**. The D incorporation was determined by ^{1}H-NMR spectroscopy.





An oven-dried Schlenk tube was charged with *N*-quinoline substituted indole **1a** (0.1 mmol, 24.4 mg), **2a** (0.3 mmol, 25.8 mg), $[Cp^*RhCl_2]_2$ (0.0025 mmol, 1.6 mg), $B(OH)_3$ (0.15 mmol, 9.3 mg), $Cu(OAc)_2$ (0.15 mmol, 27.3 mg), D_2O (0.2 mL), and THF (1.0 mL). The reaction was allowed to stir at 100 °C for 2 hours. Upon completion, the reaction mixture was evaporated to remove the solvent and directly loaded onto silica gel for flash column chromatography (PE:EtOAc = 8:1) to afford the desired product **[Dn]-1a** and **[Dn]-3aa**. The D incorporation was determined by ^{1}H-NMR spectroscopy.





9.2 Independent initial rate comparison k_H/k_D.

An oven-dried Schlenk tube and charged with **1a** (0.1 mmol, 24.4 mg) or [D]-**1a** (0.1 mmol, 24.6 mg), $[Cp^*RhCl_2]_2$ (0.0025mmol, 1.6mg), **2a** (0.3 mmol, 25.8 mg), $B(OH)_3$ (0.15 mmol, 9.3 mg), $Cu(OAc)_2$ (0.15 mmol, 27.3 mg) and THF (1.0 mL) were added. The reaction was allowed to stir at 100 °C for 2 h. The solvent was removed under reduced pressure and the residue was purified by preparative TLC on silica gel (PE:EtOAc = 6:1) to give the desired product **3aa**. The KIE was determined as $k_H/k_D = 1.0$

An oven-dried Schlenk tube and charged with **1a** (0.1 mmol, 24.4 mg) or [D]-**1a** (0.1 mmol, 24.6 mg), $[Cp^*RhCl_2]_2$ (0.0025mmol, 1.6mg), **4a** (0.3 mmol, 25.2 mg), $B(OH)_3$ (0.15 mmol, 9.3 mg), $Cu(OAc)_2$ (0.15 mmol, 27.3 mg) and THF (1.0 mL) were added. The reaction was allowed to stir at 110 ^oC for 0.5 h. The solvent was removed under reduced pressure and the residue was purified by preparative TLC on silica gel (PE:EtOAc = 6:1) to give the desired product **5aa**. The KIE was determined as $k_H/k_D = 0.8$

10. References

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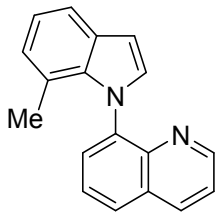
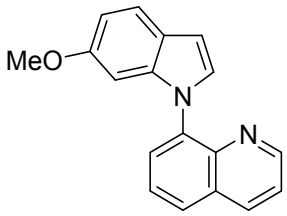
11. Characterization Data of 1l, 1p – 1s, 3aa – 3na, 3ab – 3ao, 5a – 5w, 5w', 6a – 6h, 7 - 12



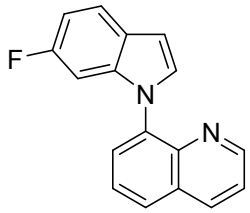
(1l) 8-(7-methyl-1*H*-indol-1-yl) quinoline

This compound was purified by column chromatography to afford a white solid in 58% yield; Melting point: 162 – 164 ^{o}C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.83 (dd, J = 4.0, 1.6 Hz, 1H), 8.17 (dd, J = 8.4, 1.6 Hz, 1H), 7.89 – 7.83 (m, 1H), 7.68 (dd, J = 7.2, 1.6 Hz, 1H), 7.61 – 7.50 (m, 2H), 7.36 (dd, J = 8.4, 4.0 Hz, 1H), 7.25 (d, J = 3.2 Hz, 1H), 7.04 (t, J = 7.6 Hz, 1H), 6.86 (dt, J = 7.2, 1.2 Hz, 1H), 6.73 (d, J = 3.2 Hz, 1H), 1.69 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 151.5, 145.8, 139.2, 137.1, 136.3, 131.4, 129.4, 129.4, 128.8, 128.5, 125.8, 124.6, 121.9, 121.7, 120.3, 119.3, 103.1, 19.1. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{18}H_{14}N_2$ 259.1230; Found 259.1226.



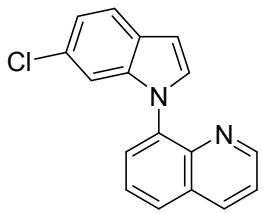
(1p) 8-(6-methoxy-1*H*-indol-1-yl) quinoline

This compound was purified by column chromatography to afford a slight yellow solid in 78% yield; Melting point: 27 – 29 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.98 – 8.93 (m, 1H), 8.27 (dd, J = 8.4, 2.0 Hz, 1H), 7.92 – 7.85 (m, 2H), 7.72 – 7.64 (m, 1H), 7.61 (d, J = 8.4 Hz, 1H), 7.52 – 7.43 (m, 2H), 3.74 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.5, 150.9, 143.8, 138.3, 137.0, 136.3, 129.8, 129.7, 127.4, 126.9, 126.3, 123.3, 1219, 121.6, 110.0, 103.0, 94.9, 55.8. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{18}H_{14}N_2O$ 275.1179; Found 275.1178.



(1q) 8-(6-fluoro-1*H*-indol-1-yl) quinoline

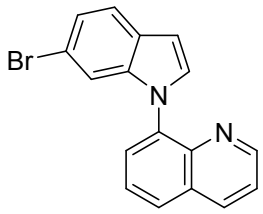
This compound was purified by column chromatography to afford a white solid in 79% yield; Melting point: 78 – 79 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.98 – 8.91 (m, 1H), 8.28 (dd, J = 8.4, 1.6 Hz, 1H), 7.93 – 7.89 (m, 1H), 7.86 – 7.82 (m, 1H), 7.72 – 7.60 (m, 2H), 7.56 (d, J = 3.2 Hz, 1H), 7.49 (dd, J = 8.4, 4.4 Hz, 1H), 6.98 – 6.91 (m, 2H), 6.77 (d, J = 3.2 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.3, 158.9, 151.0, 143.6, 137.6, 137.5, 136.6, 136.4, 131.1, 131.1, 129.6, 127.7, 126.8, 126.3, 125.4, 122.0, 121.7, 121.6, 109.0, 108.8, 103.1, 97.6, 97.4. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{17}H_{11}FN_2$ 263.0979; Found 263.0978.



(1r) 8-(6-chloro-1*H*-indol-1-yl) quinoline

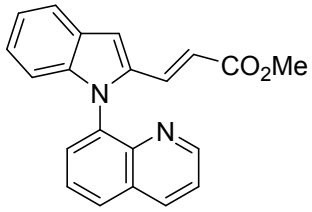
This compound was purified by column chromatography to afford a white solid in 88% yield; Melting point: 120 – 122 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.96 – 8.90 (m, 1H), 8.31 – 8.26 (m, 1H), 7.95 – 7.90 (m, 1H), 7.86 – 7.81 (m, 1H), 7.71 – 7.66 (m, 1H), 7.61 (d, J = 8.4 Hz, 1H), 7.56 (d, J = 3.2 Hz, 1H), 7.52 – 7.47 (m, 1H), 7.24 – 7.21 (m, 1H), 7.12 (dd, J = 8.4, 2.0 Hz, 1H), 6.75 (dd, J = 3.2, 0.8 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 151.0, 143.7, 137.9, 136.3, 131.4, 129.6, 128.0, 127.8, 127.5, 127.0, 126.3, 122.0, 121.8, 120.9, 110.9, 103.1. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{17}H_{11}ClN_2$

279.0684; Found 279.0682.



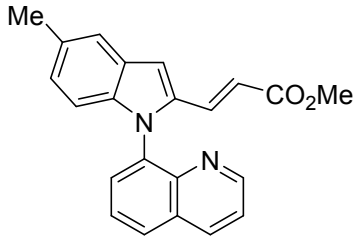
(1s) 8-(6-bromo-1*H*-indol-1-yl) quinoline

This compound was purified by column chromatography to afford a white solid in 86% yield; Melting point: 133 – 134 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.97 – 8.91 (m, 1H), 8.29 – 8.23 (m, 1H), 7.93 – 7.87 (m, 1H), 7.85 – 7.80 (m, 1H), 7.70 – 7.64 (m, 1H), 7.63 – 7.55 (m, 2H), 7.48 (dd, *J* = 8.4, 4.0 Hz, 1H), 7.43 (d, *J* = 2.0 Hz, 1H), 7.30 (dt, *J* = 8.4, 2.0 Hz, 1H), 6.78 (d, *J* = 3.2 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 151.1, 143.7, 138.3, 136.4, 136.2, 131.5, 129.6, 127.9, 127.9, 127.1, 126.3, 123.5, 122.3, 122.1, 115.7, 113.9, 103.2. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{17}H_{11}BrN_2$ 323.0178; Found 323.0177.



(3aa) methyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

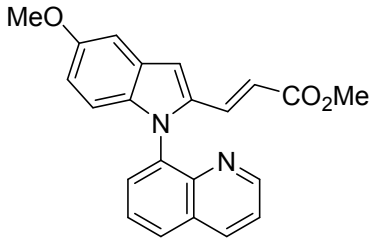
This compound was purified by column chromatography to afford a slight yellow solid in 96% yield; Melting point: 164 – 167 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.84 (dd, *J* = 4.4, 1.6 Hz, 1H), 8.29 (dd, *J* = 8.4, 2.0 Hz, 1H), 8.08 – 7.99 (m, 1H), 7.79 (dd, *J* = 7.2, 1.6 Hz, 1H), 7.75 – 7.69 (m, 2H), 7.46 (dd, *J* = 8.4, 4.4 Hz, 1H), 7.30 (dd, *J* = 16.0, 0.8 Hz, 1H), 7.24 (s, 1H), 7.19 – 7.09 (m, 2H), 6.87 – 6.82 (m, 1H), 6.21 (d, *J* = 15.6 Hz, 1H), 3.65 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.5, 151.6, 145.1, 140.9, 136.6, 136.3, 134.6, 134.3, 130.3, 129.5, 129.4, 127.8, 126.3, 124.0, 122.2, 121.5, 121.0, 117.0, 111.0, 105.5, 51.5. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{21}H_{16}N_2O_2$ 329.1285; Found 329.1292.



(3ba) methyl (*E*)-3-(5-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a slight yellow solid in 96% yield;

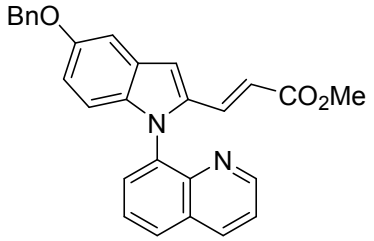
Melting point: 137 – 139 °C.^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.83 (dd, *J* = 4.4, 1.6 Hz, 1H), 8.28 (dd, *J* = 8.4, 1.6 Hz, 1H), 8.01 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.79 – 7.65 (m, 2H), 7.52 – 7.40 (m, 2H), 7.31 – 7.24 (m, 1H), 7.14 (s, 1H), 6.98 – 6.90 (m, 1H), 6.72 (d, *J* = 8.4 Hz, 1H), 6.17 (d, *J* = 16.0 Hz, 1H), 3.63 (s, 3H), 2.43 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.5, 151.5, 145.1, 139.4, 136.5, 136.2, 134.8, 134.4, 130.3, 130.3, 129.5, 129.3, 128.0, 126.3, 125.8, 122.1, 120.9, 116.6, 110.7, 105.1, 51.5, 21.4. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{18}N_2O_2$ 343.1441; Found 343.1438.



(3ca) methyl (*E*)-3-(5-methoxy-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a slight yellow solid in 94% yield;

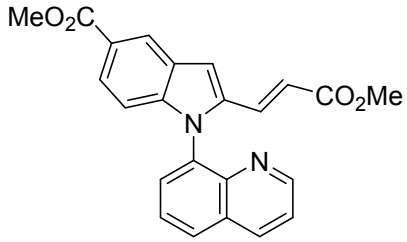
Melting point: 144 – 146 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.83 (dd, *J* = 4.4, 1.6 Hz, 1H), 8.27 (dd, *J* = 8.4, 2.0 Hz, 1H), 8.00 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.78 – 7.65 (m, 2H), 7.44 (dd, *J* = 8.4, 4.0 Hz, 1H), 7.25 (d, *J* = 15.6 Hz, 1H), 7.17 – 7.09 (m, 2H), 6.83 – 6.68 (m, 2H), 6.19 (d, *J* = 16.0 Hz, 1H), 3.85 (s, 3H), 3.63 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.5, 155.0, 151.6, 145.0, 136.9, 136.4, 136.3, 134.7, 134.3, 130.3, 129.5, 129.3, 128.1, 126.3, 122.1, 116.6, 115.1, 111.9, 105.0, 102.1, 55.8, 51.5. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{18}N_2O_3$ 359.1390; Found 359.1388.



(3da) methyl (*E*)-3-(5-(benzyloxy)-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a slight yellow solid in 92% yield;

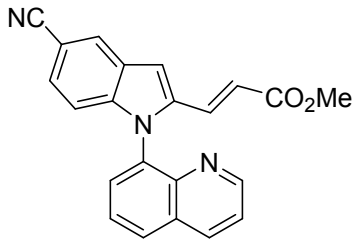
Melting point: 137 – 139 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.87 – 8.79 (m, 1H), 8.27 (dd, *J* = 8.4, 2.0 Hz, 1H), 8.00 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.78 – 7.66 (m, 2H), 7.50 – 7.42 (m, 3H), 7.41 – 7.35 (m, 2H), 7.34 – 7.28 (m, 1H), 7.28 – 7.22 (m, 1H), 7.19 (d, *J* = 2.4 Hz, 1H), 7.13 (s, 1H), 6.87 (dd, *J* = 9.2, 2.4 Hz, 1H), 6.73 (d, *J* = 8.8 Hz, 1H), 6.19 (d, *J* = 16.0 Hz, 1H), 5.11 (s, 2H), 3.63 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.5, 154.2, 151.6, 145.0, 137.5, 137.0, 136.5, 136.3, 134.6, 134.2, 130.3, 129.5, 129.3, 128.5, 128.0, 127.8, 127.5, 126.3, 122.1, 116.7, 115.7, 111.9, 105.0, 103.7, 70.7, 51.5. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{28}H_{22}N_2O_3$ 435.1703; Found 435.1697.



(3ea) methyl (*E*)-2-(3-methoxy-3-oxoprop-1-en-1-yl)-1-(quinolin-8-yl)-1*H*-indole-5-carboxylate

This compound was purified by column chromatography to afford a slight yellow solid in 97% yield;

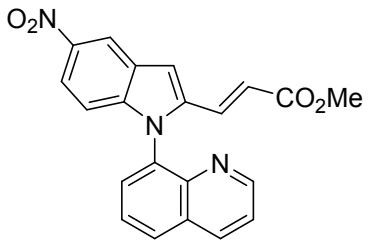
Melting point: 190 – 192 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.85 – 8.80 (m, 1H), 8.48 (dd, J = 1.6, 0.8 Hz, 1H), 8.31 (dd, J = 8.4, 1.6 Hz, 1H), 8.06 (dd, J = 8.0, 1.6 Hz, 1H), 7.83 – 7.71 (m, 3H), 7.50 – 7.45 (m, 1H), 7.29 (s, 1H), 7.26 – 7.22 (m, 1H), 6.86 – 6.79 (m, 1H), 6.26 (d, J = 15.6 Hz, 1H), 3.93 (s, 3H), 3.65 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.9, 167.2, 151.7, 144.8, 142.9, 138.1, 136.4, 134.0, 133.6, 130.2, 129.8, 129.5, 127.2, 126.3, 125.0, 124.5, 123.1, 122.3, 118.2, 110.8, 106.1, 51.9, 51.6. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{23}H_{18}N_2O_4$ 387.1339; Found 387.1337.



(3fa) methyl (*E*)-3-(5-cyano-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a yellow solid in 94% yield;

Melting point: 175 – 176 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.85 – 8.79 (m, 1H), 8.32 (dd, J = 8.4, 1.6 Hz, 1H), 8.12 – 8.05 (m, 2H), 7.81 – 7.70 (m, 2H), 7.53 – 7.46 (m, 1H), 7.34 – 7.30 (m, 1H), 7.27 – 7.18 (m, 2H), 6.90 – 6.83 (m, 1H), 6.31 (d, J = 16.0 Hz, 1H), 3.66 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.9, 151.9, 144.7, 141.8, 138.9, 136.4, 133.4, 133.0, 130.2, 129.6, 127.4, 127.0, 126.3, 126.3, 122.5, 120.4, 119.4, 112.0, 104.9, 104.2, 51.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{15}N_3O_2$ 354.1237; Found 354.1239.

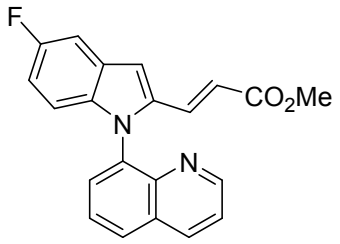


(3ga) methyl (*E*)-3-(5-nitro-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a yellow solid in 82% yield;

Melting point: 227 – 230 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.84 – 8.80 (m, 1H), 8.68 (d, J = 2.0 Hz, 1H), 8.33 (dd, J = 8.4, 1.6 Hz, 1H), 8.14 – 8.07 (m, 1H), 8.00 (dd, J = 9.2, 2.0 Hz, 1H), 7.84 –

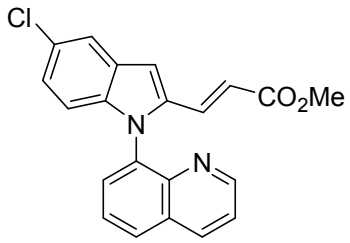
7.73 (m, 2H), 7.53 – 7.48 (m, 1H), 7.35 (s, 1H), 7.21 (d, J = 15.6 Hz, 1H), 6.84 (d, J = 9.2 Hz, 1H), 6.34 (d, J = 16.0 Hz, 1H), 3.67 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.9, 151.9, 144.6, 143.0, 142.7, 139.8, 136.5, 133.4, 132.8, 130.3, 130.1, 129.6, 126.9, 126.4, 122.6, 119.7, 119.1, 118.5, 111.2, 106.2, 51.8. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{21}H_{15}N_3O_4$ 374.1135; Found 374.1133.



(3ha) methyl (*E*)-3-(5-fluoro-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a slight yellow solid in 92% yield;

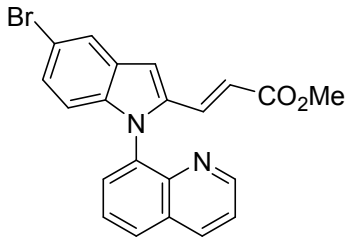
Melting point: 176 – 177 ^{o}C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.84 (dd, J = 4.4, 1.6 Hz, 1H), 8.33 – 8.26 (m, 1H), 8.03 (dd, J = 8.0, 1.6 Hz, 1H), 7.78 – 7.68 (m, 2H), 7.47 (dd, J = 8.4, 4.4 Hz, 1H), 7.34 (dd, J = 9.2, 2.4 Hz, 1H), 7.27 – 7.20 (m, 1H), 7.17 (s, 1H), 6.90 – 6.82 (m, 1H), 6.74 (dd, J = 9.2, 4.4 Hz, 1H), 6.24 (d, J = 16.0 Hz, 1H), 3.64 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.3, 159.7, 157.4, 151.7, 144.9, 138.0, 137.4, 136.3, 134.3, 133.9, 130.3, 129.6, 129.5, 127.9, 127.8, 126.3, 122.3, 117.8, 112.7, 112.5, 111.9, 111.8, 105.9, 105.7, 104.9, 104.9, 51.6. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{21}H_{15}FN_2O_2$ 347.1190; Found 347.1197.



(3ia) methyl (*E*)-3-(5-chloro-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a slight yellow solid in 93% yield;

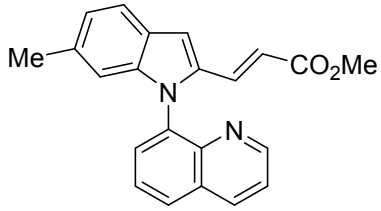
Melting point: 194 – 196 ^{o}C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.83 (dd, J = 4.4, 1.6 Hz, 1H), 8.29 (dd, J = 8.4, 1.6 Hz, 1H), 8.04 (dd, J = 8.0, 1.6 Hz, 1H), 7.78 – 7.64 (m, 3H), 7.47 (dd, J = 8.4, 4.4 Hz, 1H), 7.27 – 7.18 (m, 1H), 7.14 (s, 1H), 7.05 (dd, J = 8.8, 2.0 Hz, 1H), 6.74 (d, J = 8.8 Hz, 1H), 6.24 (d, J = 16.0 Hz, 1H), 3.64 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.2, 151.7, 144.9, 139.1, 137.8, 136.3, 134.1, 133.7, 130.2, 129.7, 129.5, 128.6, 126.6, 126.3, 124.2, 122.3, 120.6, 118.0, 112.1, 104.4, 51.6. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{21}H_{15}ClN_2O_2$ 363.0895; Found 363.0888.



(3ja) methyl (*E*)-3-(5-bromo-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a brown solid in 94% yield;

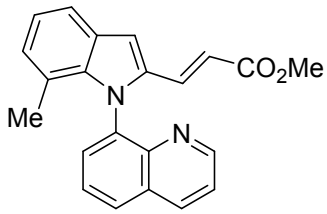
Melting point: 194 – 196 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.82 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.28 (dd, *J* = 8.4, 2.0 Hz, 1H), 8.03 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.83 (d, *J* = 2.0 Hz, 1H), 7.78 – 7.68 (m, 2H), 7.46 (dd, *J* = 8.4, 4.0 Hz, 1H), 7.23 (d, *J* = 16.0 Hz, 1H), 7.20 – 7.12 (m, 2H), 6.69 (d, *J* = 8.8 Hz, 1H), 6.24 (d, *J* = 16.0 Hz, 1H), 3.64 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.2, 151.7, 144.8, 139.3, 137.6, 136.3, 134.0, 133.7, 130.2, 129.7, 129.5, 129.3, 126.7, 126.3, 123.7, 122.3, 118.1, 114.2, 112.5, 104.2, 51.6. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{21}H_{15}BrN_2O_2$ 407.0390; Found 407.0385.



(3ka) methyl (*E*)-3-(6-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a brown solid in 94% yield;

Melting point: 160 – 162 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.83 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.28 (dd, *J* = 8.4, 2.0 Hz, 1H), 8.02 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.79 – 7.68 (m, 2H), 7.58 (d, *J* = 8.0 Hz, 1H), 7.47 – 7.42 (m, 1H), 7.28 – 7.23 (m, 1H), 7.18 (s, 1H), 7.00 – 6.95 (m, 1H), 6.63 – 6.57 (m, 1H), 6.12 (d, *J* = 15.6 Hz, 1H), 3.62 (s, 3H), 2.30 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.5, 151.8, 146.5, 139.3, 136.8, 136.7, 136.3, 133.9, 131.3, 129.8, 128.7, 128.3, 126.5, 125.7, 122.1, 121.8, 120.9, 119.7, 117.0, 105.1, 51.5, 18.6. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{18}N_2O_2$ 343.1441; Found 343.1448.

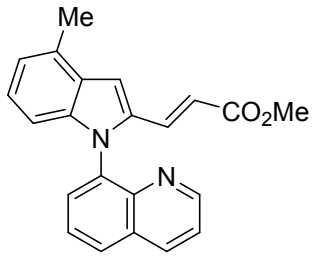


(3la) methyl (*E*)-3-(7-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a slight yellow solid in 91% yield;

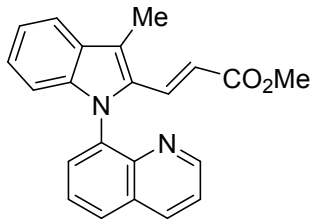
Melting point: 165 – 166 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.86 – 8.81 (m, 1H), 8.28 (dd, *J* = 8.4, 1.6 Hz, 1H), 8.07 – 8.00 (m, 1H), 7.78 (dd, *J* = 7.2, 1.2 Hz, 1H), 7.70 – 7.63 (m, 1H), 7.58 (d, *J* = 8.0 Hz, 1H), 7.44 (dd, *J* = 8.4, 4.0 Hz, 1H), 7.21 (s, 1H), 7.13 (d, *J* = 16.0 Hz, 1H), 7.03 (dd, *J* = 8.0, 7.2

Hz, 1H), 6.88 – 6.83 (m, 1H), 6.24 (d, *J* = 15.6 Hz, 1H), 3.62 (s, 3H), 1.51 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.6, 151.6, 145.1, 141.3, 136.3, 136.1, 134.7, 134.4, 134.2, 130.4, 129.5, 129.3, 126.3, 125.6, 123.0, 122.1, 121.2, 116.1, 110.6, 105.7, 51.4, 22.0. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{18}N_2O_2$ 343.1441; Found 343.1443.



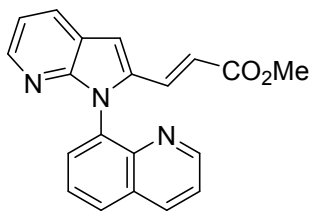
(3ma) methyl (*E*)-3-(4-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a yellow solid in 93% yield; Melting point: 136 – 138 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.87 – 8.79 (m, 1H), 8.28 (dd, *J* = 8.4, 1.6 Hz, 1H), 8.02 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.81 – 7.66 (m, 2H), 7.45 (dd, *J* = 8.4, 4.0 Hz, 1H), 7.31 – 7.25 (m, 2H), 7.02 (dd, *J* = 8.4, 7.2 Hz, 1H), 6.96 – 6.91 (m, 1H), 6.69 – 6.63 (m, 1H), 6.20 (d, *J* = 15.6 Hz, 1H), 3.64 (s, 3H), 2.63 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.5, 151.6, 145.1, 140.6, 136.3, 136.0, 134.7, 134.3, 131.1, 130.3, 129.5, 129.4, 127.8, 126.3, 124.2, 122.1, 121.1, 116.6, 108.6, 104.1, 51.5, 18.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{18}N_2O_2$ 343.1441; Found 343.1439.



(3na) methyl (*E*)-3-(3-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

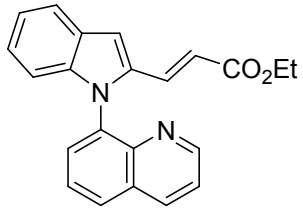
This compound was purified by column chromatography to afford a yellow solid in 43% yield; Melting point: 178 – 180 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.85 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.29 (dd, *J* = 8.4, 1.6 Hz, 1H), 8.01 (dd, *J* = 6.8, 3.2 Hz, 1H), 7.72 – 7.67 (m, 3H), 7.57 (d, *J* = 16.4 Hz, 1H), 7.49 – 7.43 (m, 1H), 7.17 – 7.09 (m, 2H), 6.78 – 6.71 (m, 1H), 5.62 (d, *J* = 16.0 Hz, 1H), 3.61 (s, 3H), 2.62 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.8, 151.5, 145.1, 140.4, 136.3, 135.6, 133.6, 132.4, 130.4, 129.5, 129.1, 128.6, 126.4, 124.6, 122.0, 120.3, 119.9, 118.3, 116.2, 110.8, 51.4, 10.6. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{18}N_2O_2$ 343.1441; Found 343.1447.



(3oa) methyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-pyrrolo[2,3-b] pyridin-2-yl) acrylate

This compound was purified by column chromatography to afford a slight yellow solid in 35% yield;

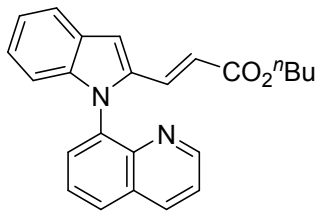
Melting point: 200 – 202 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.84 – 8.80 (m, 1H), 8.24 – 8.19 (m, 2H), 8.05 (dd, J = 8.0, 1.6 Hz, 1H), 7.96 (s, 2H), 7.46 – 7.38 (m, 3H), 7.15 – 7.09 (m, 1H), 6.81 (d, J = 3.6 Hz, 1H), 6.55 (d, J = 16.0 Hz, 1H), 3.68 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.7, 151.8, 149.9, 145.6, 143.8, 139.7, 135.9, 135.4, 133.8, 131.1, 129.9, 129.4, 128.9, 123.9, 122.5, 121.6, 120.5, 116.6, 101.6, 51.8. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{20}H_{15}N_3O_2$ 330.1237; Found 330.1235.



(3ab) ethyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a brown solid in 90% yield;

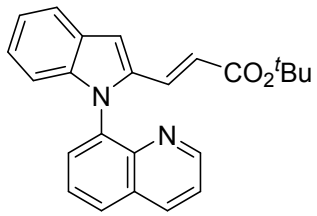
Melting point: 110 – 112 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.83 (dd, J = 4.0, 1.6 Hz, 1H), 8.28 (dd, J = 8.4, 1.6 Hz, 1H), 8.01 (dd, J = 8.0, 1.6 Hz, 1H), 7.77 (dd, J = 7.2, 1.6 Hz, 1H), 7.75 – 7.66 (m, 2H), 7.45 (dd, J = 8.4, 4.4 Hz, 1H), 7.31 – 7.21 (m, 2H), 7.17 – 7.08 (m, 2H), 6.86 – 6.80 (m, 1H), 6.23 (d, J = 16.0 Hz, 1H), 4.18 – 4.00 (m, 2H), 1.21 (t, J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.0, 151.6, 145.0, 140.8, 136.6, 136.3, 134.6, 134.0, 130.3, 129.5, 129.4, 127.8, 126.3, 123.9, 122.1, 121.5, 121.0, 117.5, 111.0, 105.2, 60.3, 14.2. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{18}N_2O_2$ 343.1441; Found 343.1439.



(3ac) butyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

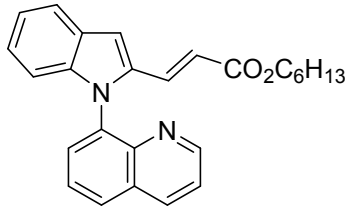
This compound was purified by column chromatography to afford a brown solid in 92% yield;

Melting point: 42 – 44 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.86 – 8.80 (m, 1H), 8.28 (dd, J = 8.4, 2.0 Hz, 1H), 8.01 (dd, J = 8.0, 1.6 Hz, 1H), 7.80 – 7.75 (m, 1H), 7.74 – 7.67 (m, 2H), 7.44 (dd, J = 8.4, 4.0 Hz, 1H), 7.29 – 7.22 (m, 2H), 7.17 – 7.08 (m, 2H), 6.88 – 6.80 (m, 1H), 6.25 (d, J = 16.0 Hz, 1H), 4.09 – 3.99 (m, 2H), 1.59 – 1.50 (m, 2H), 1.33 – 1.26 (m, 2H), 0.90 – 0.84 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.1, 151.5, 145.0, 140.7, 136.7, 136.2, 134.6, 134.0, 130.3, 129.5, 129.3, 127.8, 126.3, 123.9, 122.1, 121.5, 121.0, 117.5, 111.0, 105.0, 64.2, 30.7, 19.1, 13.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{24}H_{22}N_2O_2$ 371.1754; Found 371.1755.



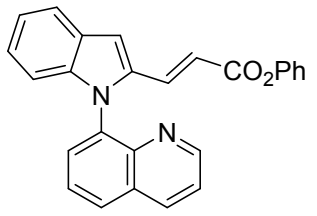
(3ad) tert-butyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a brown solid in 60% yield; Melting point: 52 – 54 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.86 – 8.81 (m, 1H), 8.27 (dd, J = 8.4, 2.0 Hz, 1H), 8.00 (dd, J = 8.0, 1.6 Hz, 1H), 7.76 (dd, J = 7.2, 1.6 Hz, 1H), 7.73 – 7.67 (m, 2H), 7.45 (dd, J = 8.4, 4.0 Hz, 1H), 7.22 – 7.07 (m, 4H), 6.81 (d, J = 8.0 Hz, 1H), 6.24 (d, J = 16.0 Hz, 1H), 1.40 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.4, 151.5, 145.1, 140.6, 136.8, 136.2, 134.6, 133.0, 130.4, 129.5, 129.3, 127.8, 126.3, 123.7, 122.1, 121.4, 120.9, 119.6, 111.0, 104.4, 80.2, 28.1. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{24}H_{22}N_2O_2$ 371.1754; Found 371.1761.



(3ae) hexyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

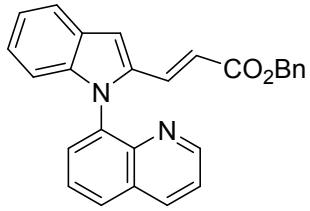
This compound was purified by column chromatography to afford a brown solid in 76% yield; Melting point: 27 – 30 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.87 – 8.80 (m, 1H), 8.32 – 8.25 (m, 1H), 8.02 (dd, J = 8.0, 1.6 Hz, 1H), 7.78 (dd, J = 7.6, 1.6 Hz, 1H), 7.75 – 7.68 (m, 2H), 7.49 – 7.43 (m, 1H), 7.28 – 7.22 (m, 2H), 7.18 – 7.05 (m, 2H), 6.89 – 6.79 (m, 1H), 6.25 (d, J = 16.0 Hz, 1H), 4.06 – 4.00 (m, 2H), 1.30 – 1.22 (m, 8H), 0.87 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.1, 151.6, 145.1, 140.7, 136.7, 136.2, 134.6, 134.0, 130.3, 129.5, 129.4, 127.8, 126.3, 123.9, 122.1, 121.5, 121.0, 117.6, 111.0, 105.0, 64.5, 31.4, 28.6, 25.5, 22.5, 14.0. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{26}H_{26}N_2O_2$ 399.2067; Found 399.2066.



(3af) phenyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

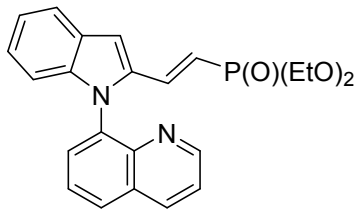
This compound was purified by column chromatography to afford a brown solid in 64% yield; Melting point: 60 – 62 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.88 – 8.83 (m, 1H), 8.29 (dd, J = 8.4, 1.6 Hz, 1H), 8.03 (dd, J = 8.4, 1.6 Hz, 1H), 7.82 (dd, J = 7.2, 1.6 Hz, 1H), 7.77 – 7.70 (m, 2H), 7.49 – 7.41 (m, 2H), 7.35 – 7.29 (m, 3H), 7.20 – 7.13 (m, 3H), 7.05 – 6.98 (m, 2H), 6.88 – 6.83 (m, 1H), 6.38

(d, J = 16.0 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.5, 151.6, 150.8, 145.0, 141.0, 136.4, 136.3, 135.9, 134.5, 130.3, 129.5, 129.5, 129.4, 129.3, 127.8, 126.3, 125.6, 124.3, 122.2, 121.8, 121.7, 121.6, 121.1, 116.1, 111.1, 106.2. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{26}H_{18}N_2O_2$ 391.1441; Found 391.1443.



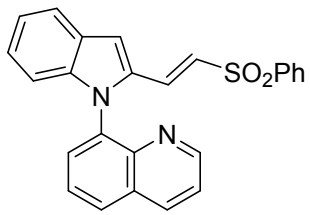
(3ag) benzyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a brown solid in 93% yield; Melting point: 37 – 39 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.86 – 8.80 (m, 1H), 8.32 – 8.23 (m, 1H), 8.01 (dd, J = 8.0, 1.6 Hz, 1H), 7.77 (dd, J = 7.2, 1.6 Hz, 1H), 7.74 – 7.68 (m, 2H), 7.45 (dd, J = 8.4, 4.0 Hz, 1H), 7.34 – 7.24 (m, 6H), 7.23 (s, 1H), 7.16 – 7.09 (m, 2H), 6.87 – 6.80 (m, 1H), 6.28 (d, J = 15.6 Hz, 1H), 5.15 – 5.02 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.8, 151.6, 145.0, 140.8, 136.5, 136.3, 136.1, 134.7, 134.5, 130.3, 129.5, 129.4, 128.5, 128.1, 128.0, 127.8, 126.3, 124.0, 122.1, 121.5, 121.0, 116.9, 111.0, 105.4, 66.1. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{27}H_{20}N_2O_2$ 405.1598; Found 405.1603.



(3ah) diethyl (*E*)-(2-(1-(quinolin-8-yl)-1*H*-indol-2-yl) vinyl) phosphonate

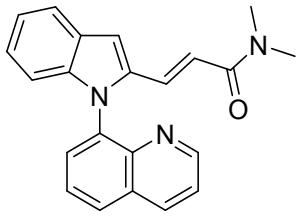
This compound was purified by column chromatography to afford a yellow solid in 42% yield; Melting point: 41 – 43 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.85 – 8.80 (m, 1H), 8.29 (dd, J = 8.4, 1.6 Hz, 1H), 8.01 (dd, J = 8.0, 1.6 Hz, 1H), 7.82 – 7.78 (m, 1H), 7.74 – 7.68 (m, 2H), 7.48 – 7.42 (m, 1H), 7.18 – 7.05 (m, 4H), 6.86 (d, J = 8.0 Hz, 1H), 6.00 – 5.87 (m, 1H), 3.95 – 3.82 (m, 4H), 1.21 – 1.12 (m, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 151.4, 145.0, 140.5, 138.2, 138.2, 137.2, 136.9, 136.3, 134.6, 130.2, 129.5, 129.3, 127.6, 126.4, 123.9, 122.0, 121.5, 121.0, 113.9, 112.0, 110.9, 105.0, 61.7, 61.6, 61.6, 16.2, 16.2, 16.2. ^{31}P NMR (161 MHz, None) δ 19.4. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{23}H_{23}N_2O_3P$ 407.1519; Found 407.1518.



(3ai) (*E*)-8-(2-(2-(phenylsulfonyl) vinyl)-1*H*-indol-1-yl) quinoline

This compound was purified by column chromatography to afford a yellow solid in 34% yield;

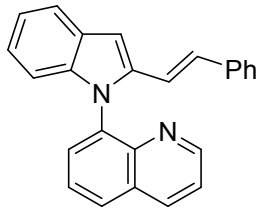
Melting point: 164 – 167 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.73 – 8.68 (m, 1H), 8.30 – 8.24 (m, 1H), 8.04 – 8.00 (m, 1H), 7.81 (dd, J = 7.2, 1.6 Hz, 1H), 7.75 – 7.67 (m, 2H), 7.66 – 7.62 (m, 2H), 7.58 – 7.50 (m, 1H), 7.47 – 7.40 (m, 3H), 7.30 – 7.25 (m, 1H), 7.19 – 7.13 (m, 3H), 6.95 – 6.89 (m, 1H), 6.38 (d, J = 15.6 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 151.4, 144.6, 140.9, 140.9, 136.3, 134.3, 134.2, 133.0, 132.7, 130.0, 129.5, 129.1, 127.6, 127.3, 126.4, 125.3, 124.7, 122.1, 121.8, 121.3, 110.9, 107.6. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{25}H_{18}N_2O_2S$ 411.1162; Found 411.1155.



(3aj) (*E*)-*N*, *N*-dimethyl-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylamide

This compound was purified by column chromatography to afford a white solid in 37% yield;

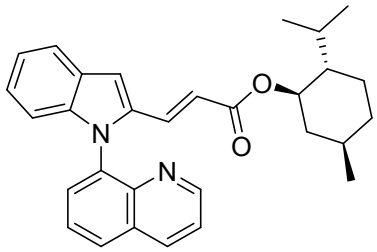
Melting point: 258 – 260 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.83 (dd, J = 4.0, 1.6 Hz, 1H), 8.30 – 8.23 (m, 1H), 8.00 (dd, J = 8.4, 1.6 Hz, 1H), 7.78 (dd, J = 7.2, 1.6 Hz, 1H), 7.73 – 7.67 (m, 2H), 7.46 – 7.41 (m, 1H), 7.28 (d, J = 15.2 Hz, 1H), 7.18 (s, 1H), 7.15 – 7.07 (m, 2H), 6.85 – 6.81 (m, 1H), 6.64 (d, J = 15.6 Hz, 1H), 2.91 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.4, 151.5, 145.2, 140.6, 137.6, 136.2, 135.0, 131.8, 130.5, 129.5, 129.2, 127.8, 126.3, 123.5, 122.1, 121.2, 120.8, 117.0, 110.9, 104.2, 37.1, 35.8. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{19}N_3O$ 342.1601; Found 342.1606.



(3ak) (*E*)-8-(2-styryl-1*H*-indol-1-yl) quinoline

This compound was purified by column chromatography to afford a slight yellow solid in 29% yield;

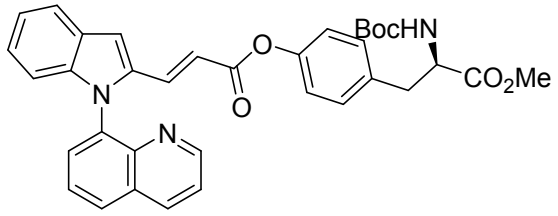
Melting point: 55 – 58 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.90 – 8.84 (m, 1H), 8.30 (dd, J = 8.4, 2.0 Hz, 1H), 8.02 (dd, J = 8.4, 1.6 Hz, 1H), 7.81 (dd, J = 7.2, 1.6 Hz, 1H), 7.76 – 7.65 (m, 2H), 7.49 – 7.43 (m, 1H), 7.21 – 7.02 (m, 9H), 6.81 (d, J = 8.4 Hz, 1H), 6.62 (d, J = 16.0 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 151.5, 145.2, 139.9, 139.7, 137.3, 136.2, 135.4, 130.5, 129.7, 129.4, 128.9, 128.5, 128.4, 127.4, 126.3, 126.3, 122.1, 122.0, 120.6, 120.5, 118.5, 110.6, 100.4. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{25}H_{18}N_2$ 347.1543; Found 347.1547.



(3al) (1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a slight yellow solid in 32% yield;

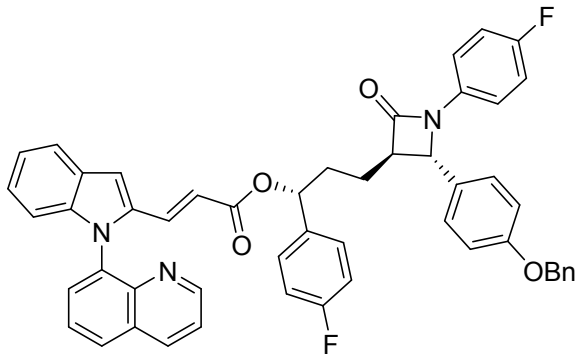
Melting point: 57 – 59 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.87 – 8.79 (m, 1H), 8.33 – 8.25 (m, 1H), 8.04 – 7.99 (m, 1H), 7.84 – 7.67 (m, 3H), 7.49 – 7.42 (m, 1H), 7.26 – 7.08 (m, 4H), 6.90 – 6.80 (m, 1H), 6.26 (d, *J* = 15.6 Hz, 1H), 4.68 – 4.56 (m, 1H), 1.98 – 1.89 (m, 1H), 1.71 – 1.58 (m, 4H), 1.49 – 1.39 (m, 1H), 1.35 – 1.24 (m, 2H), 0.90 – 0.78 (m, 3H), 0.84 – 0.78 (m, 3H), 0.70 – 0.61 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.6, 166.6, 151.5, 145.1, 140.7, 140.6, 136.8, 136.7, 136.3, 136.2, 134.6, 134.5, 133.8, 133.8, 130.4, 130.3, 129.5, 129.5, 129.3, 127.9, 127.8, 126.3, 126.3, 123.8, 122.1, 121.5, 121.0, 118.2, 118.0, 111.1, 110.9, 104.8, 104.7, 74.2, 74.1, 47.1, 47.0, 40.9, 34.3, 31.4, 26.4, 26.3, 23.7, 23.6, 22.0, 20.6, 16.6, 16.5. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{30}H_{32}N_2O_2$ 453.2537; Found 453.2542.



(3am) (*R*)-4-(2-((tert-butoxycarbonyl) amino)-3-methoxy-3-oxopropyl) phenyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

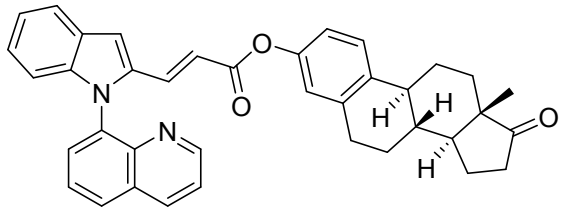
This compound was purified by column chromatography to afford a slight yellow solid in 45% yield;

Melting point: 59 – 61 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.87 – 8.82 (m, 1H), 8.31 – 8.26 (m, 1H), 8.03 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.81 (dd, *J* = 7.2, 1.6 Hz, 1H), 7.76 – 7.70 (m, 2H), 7.49 – 7.40 (m, 2H), 7.31 (s, 1H), 7.17 – 7.13 (m, 2H), 7.07 (d, *J* = 8.0 Hz, 2H), 6.97 – 6.93 (m, 2H), 6.87 – 6.83 (m, 1H), 6.36 (d, *J* = 16.0 Hz, 1H), 4.96 (d, *J* = 8.4 Hz, 1H), 4.64 – 4.46 (m, 1H), 3.68 (s, 3H), 3.04 (dd, *J* = 10.8, 6.0 Hz, 2H), 1.40 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.2, 165.4, 155.1, 151.6, 149.8, 145.0, 141.0, 136.3, 135.9, 134.5, 133.4, 130.3, 130.1, 129.5, 127.7, 126.3, 124.4, 122.2, 121.7, 121.6, 121.2, 116.0, 111.1, 106.2, 80.0, 54.4, 52.2, 37.7, 28.3. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{35}H_{33}N_3O_6$ 592.2442; Found 592.2448.



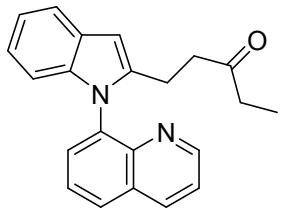
(3an) (*R*)-3-((2*S*,3*R*)-2-(4-(benzyloxy) phenyl)-1-(4-fluorophenyl)-4-oxoazetidin-3-yl)-1-(4-fluorophenyl) propyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a slight yellow solid in 39% yield; Melting point: 95 – 97 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.86 – 8.74 (m, 1H), 8.31 – 8.23 (m, 1H), 8.04 – 7.97 (m, 1H), 7.82 – 7.65 (m, 3H), 7.49 – 7.32 (m, 6H), 7.30 – 7.21 (m, 6H), 7.20 – 7.11 (m, 4H), 7.02 – 6.91 (m, 6H), 6.90 – 6.84 (m, 1H), 6.36 – 6.25 (m, 1H), 5.71 – 5.64 (m, 1H), 5.05 (d, *J* = 3.2 Hz, 2H), 4.54 – 4.50 (m, 1H), 3.07 – 2.99 (m, 1H), 2.07 – 1.93 (m, 2H), 1.88 – 1.77 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.0, 166.1, 163.5, 161.0, 160.1, 159.0, 157.7, 151.5, 151.5, 144.9, 144.9, 140.7, 140.6, 136.6, 136.3, 136.2, 136.2, 135.9, 135.9, 135.8, 134.8, 134.8, 134.3, 134.3, 133.8, 133.8, 130.3, 129.5, 129.4, 129.3, 129.3, 128.6, 128.1, 128.0, 128.0, 128.0, 127.9, 127.7, 127.7, 127.4, 127.1, 126.2, 126.2, 124.0, 122.1, 122.1, 121.5, 121.0, 118.3, 118.3, 116.7, 115.9, 115.6, 115.5, 115.5, 115.3, 111.0, 111.0, 105.1, 105.1, 74.7, 74.6, 70.0, 60.8, 60.0, 33.7, 33.7, 24.9, 24.8. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{51}H_{39}F_2N_3O_4$ 796.2981; Found 796.2976.



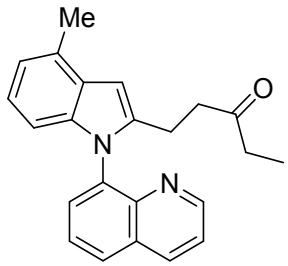
(3ao) (8*R*,9*S*,13*S*,14*S*)-13-methyl-17-oxo-7,8,9,11,12,13,14,15,16,17-decahydro-6*H*-cyclopenta[a]phenanthren-3-yl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a slight yellow solid in 28% yield; Melting point: 96 – 98 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.88- 8.84 (m, 1H), 8.29 (dd, *J* = 8.4, 2.0 Hz, 1H), 8.06 – 8.00 (m, 1H), 7.81 (dd, *J* = 7.2, 1.6 Hz, 1H), 7.77 – 7.69 (m, 2H), 7.50 – 7.40 (m, 2H), 7.32 (s, 1H), 7.24 (d, *J* = 8.8 Hz, 1H), 7.20 – 7.12 (m, 2H), 6.90 – 6.84 (m, 1H), 6.84 – 6.76 (m, 2H), 6.38 (d, *J* = 16.0 Hz, 1H), 2.91 – 2.81 (m, 2H), 2.55 – 2.46 (m, 1H), 2.42 – 2.35 (m, 1H), 2.30 – 2.22 (m, 1H), 2.17 – 2.08 (m, 1H), 2.09 – 2.02 (m, 1H), 2.01 – 1.92 (m, 2H), 1.62 – 1.38 (m, 6H), 0.90 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.7, 151.6, 148.6, 145.0, 140.9, 137.8, 137.1, 136.3, 136.3, 135.7, 134.4, 130.3, 129.5, 129.4, 127.7, 126.3, 126.2, 124.2, 122.1, 121.6, 121.5, 121.1, 118.7, 116.2, 111.0, 106.1, 50.4, 47.9, 44.1, 37.9, 35.8, 31.5, 29.3, 26.3, 25.7, 21.5, 13.8. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{38}H_{34}N_2O_3$ 567.2642; Found 567.2645.



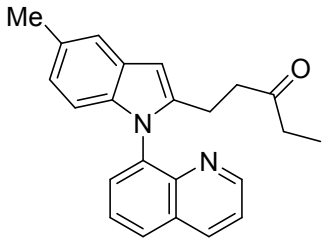
(5a) 1-(1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

This compound was purified by column chromatography to afford a yellow solid in 87% yield; Melting point: 152 – 154 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.88 – 8.81 (m, 1H), 8.27 (dd, J = 8.4, 2.0 Hz, 1H), 7.98 (dd, J = 8.0, 1.6 Hz, 1H), 7.80 – 7.75 (m, 1H), 7.73 – 7.67 (m, 1H), 7.63 – 7.59 (m, 1H), 7.47 – 7.42 (m, 1H), 7.11 – 7.07 (m, 1H), 7.04 – 6.97 (m, 1H), 6.81 – 6.76 (m, 1H), 6.51 – 6.47 (m, 1H), 2.82 – 2.70 (m, 4H), 2.37 – 2.29 (m, 2H), 0.95 (t, J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.4, 151.4, 145.3, 141.8, 139.3, 136.3, 135.4, 130.3, 129.6, 129.0, 128.2, 126.4, 121.9, 121.2, 120.0, 119.9, 110.0, 99.9, 41.0, 35.9, 21.4, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{20}N_2O$ 329.1648; Found 329.1650.



(5b) 1-(4-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

This compound was purified by column chromatography to afford a slight yellow solid in 36% yield; Melting point: 150 – 152 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.86 (dd, J = 4.0, 1.6 Hz, 1H), 8.30 – 8.25 (m, 1H), 7.99 (dd, J = 8.4, 1.6 Hz, 1H), 7.80 – 7.76 (m, 1H), 7.73 – 7.67 (m, 1H), 7.47 – 7.42 (m, 1H), 6.98 – 6.87 (m, 2H), 6.65 (d, J = 7.6 Hz, 1H), 6.52 (s, 1H), 2.83 – 2.74 (m, 4H), 2.60 (s, 3H), 2.39 – 2.29 (m, 2H), 1.01 – 0.93 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.4, 151.3, 145.3, 141.0, 138.9, 136.2, 135.5, 130.2, 129.5, 129.3, 128.9, 127.8, 126.3, 121.9, 121.3, 120.2, 107.6, 98.3, 41.1, 35.8, 21.4, 18.8, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{23}H_{22}N_2O$ 343.1805; Found 343.1809.

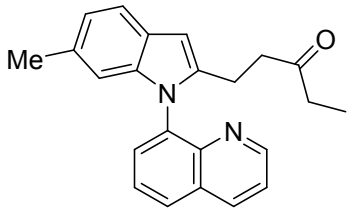


(5c) 1-(5-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

This compound was purified by column chromatography to afford a slight yellow solid in 74% yield;

Melting point: 148 – 150 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.86 – 8.81 (m, 1H), 8.29 – 8.23 (m, 1H), 7.97 (dd, J = 8.0, 1.6 Hz, 1H), 7.76 (dd, J = 7.2, 1.6 Hz, 1H), 7.72 – 7.64 (m, 1H), 7.43 (dd, J =

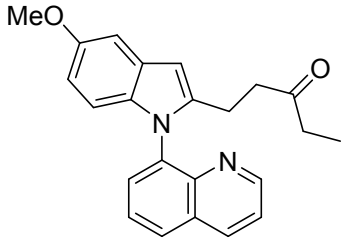
8.4, 4.0 Hz, 1H), 7.41 – 7.36 (m, 1H), 6.86 – 6.80 (m, 1H), 6.67 (d, *J* = 8.0 Hz, 1H), 6.40 (s, 1H), 2.83 – 2.64 (m, 4H), 2.42 (s, 3H), 2.36 – 2.27 (m, 2H), 0.95 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.4, 151.3, 145.4, 141.8, 137.8, 136.3, 135.6, 130.2, 129.5, 129.1, 128.8, 128.4, 126.3, 122.7, 121.9, 119.7, 109.6, 99.5, 41.1, 35.9, 21.5, 21.4, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{23}H_{22}N_2O$ 343.1805; Found 343.1800.



(5d) 1-(6-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

This compound was purified by column chromatography to afford a slight yellow solid in 86% yield;

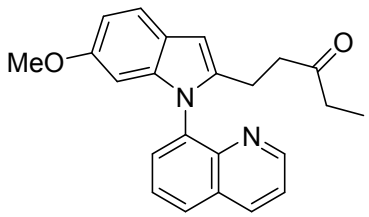
Melting point: 131 – 134 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.85 (dd, *J* = 4.0, 1.6 Hz, 1H), 8.30 – 8.24 (m, 1H), 7.98 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.77 (dd, *J* = 7.2, 1.6 Hz, 1H), 7.73 – 7.67 (m, 1H), 7.50 – 7.42 (m, 2H), 6.91 (dd, *J* = 8.0, 1.6 Hz, 1H), 6.58 (s, 1H), 6.43 (s, 1H), 2.81 – 2.61 (m, 4H), 2.35 – 2.27 (m, 5H), 0.98 – 0.91 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.5, 151.4, 145.4, 141.1, 139.7, 136.3, 135.6, 131.0, 130.3, 129.6, 128.8, 126.4, 126.0, 121.9, 121.6, 119.6, 109.9, 99.7, 41.1, 35.9, 21.7, 21.4, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{23}H_{22}N_2O$ 343.1805; Found 343.1803.



(5e) 1-(5-methoxy-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

This compound was purified by column chromatography to afford a slight yellow solid in 62% yield;

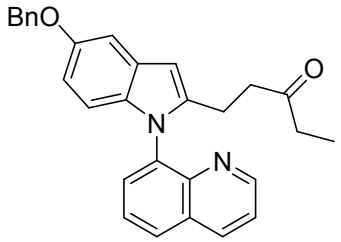
Melting point: 147 – 148 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.87 – 8.83 (m, 1H), 8.27 (dd, *J* = 8.4, 1.6 Hz, 1H), 8.00 – 7.93 (m, 1H), 7.76 (dd, *J* = 7.2, 1.6 Hz, 1H), 7.72 – 7.65 (m, 1H), 7.46 – 7.41 (m, 1H), 7.12 – 7.06 (m, 1H), 6.67 (d, *J* = 2.4 Hz, 2H), 6.42 (s, 1H), 3.84 (s, 3H), 2.81 – 2.63 (m, 4H), 2.38 – 2.27 (m, 2H), 0.98 – 0.92 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.4, 154.4, 151.3, 145.3, 142.4, 136.3, 135.6, 134.7, 130.2, 129.5, 128.9, 128.5, 126.3, 121.9, 111.0, 110.7, 102.3, 99.8, 56.0, 41.1, 35.9, 21.5, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{23}H_{22}N_2O_2$ 359.1754; Found 359.1755.



(5f) 1-(6-methoxy-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

This compound was purified by column chromatography to afford a slight yellow solid in 56% yield;

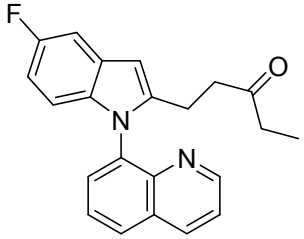
Melting point: 145 – 146 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.89 – 8.84 (m, 1H), 8.28 (dd, J = 8.4, 1.6 Hz, 1H), 7.99 (dd, J = 8.4, 1.6 Hz, 1H), 7.77 (dd, J = 7.2, 1.6 Hz, 1H), 7.73 – 7.68 (m, 1H), 7.51 – 7.43 (m, 2H), 6.76 (dd, J = 8.4, 2.4 Hz, 1H), 6.41 (s, 1H), 6.28 (d, J = 2.4 Hz, 1H), 3.64 (s, 3H), 2.76 – 2.67 (m, 4H), 2.36 – 2.26 (m, 2H), 0.98 – 0.92 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.5, 156.0, 151.4, 145.3, 140.7, 140.0, 136.3, 135.5, 130.3, 129.6, 128.9, 126.4, 122.5, 121.9, 120.5, 109.2, 99.6, 94.4, 55.7, 41.0, 35.9, 21.4, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{23}H_{22}N_2O_2$ 359.1754; Found 359.1755.



(5g) 1-(5-(benzyloxy)-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

This compound was purified by column chromatography to afford a slight yellow solid in 41% yield;

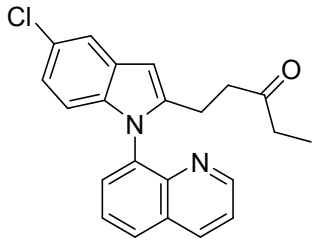
Melting point: 194 – 195 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.88 – 8.83 (m, 1H), 8.30 – 8.23 (m, 1H), 7.97 (dd, J = 8.0, 1.6 Hz, 1H), 7.76 (dd, J = 7.2, 1.6 Hz, 1H), 7.73 – 7.63 (m, 1H), 7.49 – 7.41 (m, 3H), 7.41 – 7.35 (m, 2H), 7.33 – 7.28 (m, 1H), 7.16 (d, J = 2.4 Hz, 1H), 6.75 (dd, J = 8.8, 2.4 Hz, 1H), 6.68 (d, J = 8.8 Hz, 1H), 6.41 (s, 1H), 5.10 (s, 2H), 2.81 – 2.65 (m, 4H), 2.37 – 2.26 (m, 2H), 0.98 – 0.91 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.3, 153.6, 151.4, 145.3, 142.5, 137.9, 136.3, 135.5, 134.9, 130.2, 129.5, 128.9, 128.5, 127.7, 127.5, 126.3, 121.9, 111.9, 110.6, 103.9, 99.8, 71.0, 41.1, 35.9, 21.5, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{29}H_{26}N_2O_2$ 435.2067; Found 435.2070.



(5h) 1-(5-fluoro-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

This compound was purified by column chromatography to afford a yellow solid in 63% yield;

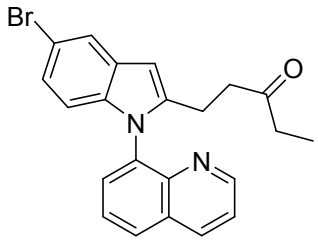
Melting point: 121 – 122 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.89 – 8.83 (m, 1H), 8.28 (dd, *J* = 8.4, 1.6 Hz, 1H), 8.00 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.76 (dd, *J* = 7.2, 1.6 Hz, 1H), 7.74 – 7.65 (m, 1H), 7.48 – 7.44 (m, 1H), 7.27 – 7.24 (m, 1H), 6.78 – 6.71 (m, 1H), 6.68 (dd, *J* = 8.8, 4.4 Hz, 1H), 6.45 (s, 1H), 2.80 – 2.71 (m, 4H), 2.41 – 2.27 (m, 2H), 0.96 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.2, 151.5, 145.2, 143.5, 136.4, 135.9, 135.2, 130.3, 129.6, 129.2, 128.5, 126.4, 122.0, 110.6, 110.5, 109.4, 109.1, 105.0, 104.8, 100.0, 99.9, 40.9, 35.9, 21.4, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{19}FN_2O$ 347.1554; Found 347.1558.



(5i) 1-(5-chloro-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

This compound was purified by column chromatography to afford a slight yellow solid in 56% yield;

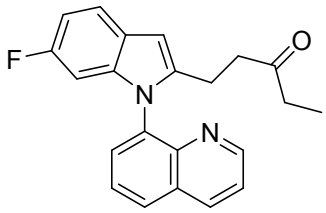
Melting point: 140 – 142 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.87 – 8.82 (m, 1H), 8.28 (dd, *J* = 8.4, 2.0 Hz, 1H), 8.00 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.76 (dd, *J* = 7.2, 1.6 Hz, 1H), 7.73 – 7.66 (m, 1H), 7.57 (d, *J* = 2.0 Hz, 1H), 7.49 – 7.43 (m, 1H), 6.95 (dd, *J* = 8.8, 2.0 Hz, 1H), 6.68 (d, *J* = 8.4 Hz, 1H), 6.43 (s, 1H), 2.81 – 2.67 (m, 4H), 2.38 – 2.28 (m, 2H), 0.99 – 0.93 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.1, 151.5, 145.2, 143.3, 137.7, 136.3, 135.0, 130.2, 129.6, 129.3, 129.2, 126.4, 125.5, 122.1, 121.4, 119.3, 111.0, 99.5, 40.8, 35.9, 21.3, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{19}ClN_2O$ 363.1259; Found 363.1262.



(5j) 1-(5-bromo-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

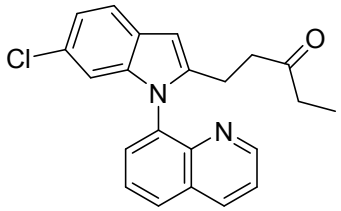
This compound was purified by column chromatography to afford a slight yellow solid in 56% yield;

Melting point: 153 – 155 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.87 – 8.81 (m, 1H), 8.32 – 8.24 (m, 1H), 8.00 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.78 – 7.65 (m, 3H), 7.48 – 7.43 (m, 1H), 7.11 – 7.04 (m, 1H), 6.64 (d, *J* = 8.4 Hz, 1H), 6.46 – 6.35 (m, 1H), 2.79 – 2.66 (m, 4H), 2.38 – 2.24 (m, 2H), 0.99 – 0.93 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.1, 151.5, 145.1, 143.2, 138.0, 136.3, 134.9, 130.2, 129.8, 129.6, 129.3, 126.4, 124.0, 122.4, 122.1, 113.1, 111.5, 99.4, 40.8, 35.9, 21.3, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{19}BrN_2O$ 407.0754; Found 407.0753.



(5k) 1-(6-fluoro-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

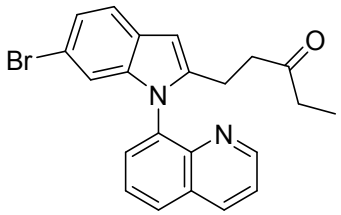
This compound was purified by column chromatography to afford a slight yellow solid in 58% yield; Melting point: 117 – 119 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.89 – 8.84 (m, 1H), 8.28 (dd, J = 8.4, 1.6 Hz, 1H), 8.00 (dd, J = 8.0, 1.6 Hz, 1H), 7.79 – 7.66 (m, 2H), 7.54 – 7.43 (m, 2H), 6.89 – 6.82 (m, 1H), 6.52 – 6.42 (m, 2H), 2.81 – 2.66 (m, 4H), 2.38 – 2.29 (m, 2H), 1.00 – 0.92 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.2, 160.8, 158.4, 151.4, 145.0, 142.2, 142.2, 139.3, 139.2, 136.3, 134.9, 130.1, 129.5, 129.2, 126.3, 124.4, 122.0, 120.5, 120.4, 108.4, 108.2, 99.7, 96.8, 96.5, 40.8, 35.8, 21.3, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{19}FN_2O$ 347.1554; Found 347.1559.



(5l) 1-(6-chloro-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

This compound was purified by column chromatography to afford a slight yellow solid in 71% yield;

Melting point: 114 – 116 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.86 (dd, J = 4.0, 1.6 Hz, 1H), 8.29 (dd, J = 8.4, 1.6 Hz, 1H), 8.01 (dd, J = 8.0, 1.6 Hz, 1H), 7.79 – 7.68 (m, 2H), 7.53 – 7.44 (m, 2H), 7.05 (dd, J = 8.4, 2.0 Hz, 1H), 6.76 (d, J = 2.0 Hz, 1H), 6.46 (s, 1H), 2.80 – 2.67 (m, 4H), 2.37 – 2.29 (m, 2H), 0.99 – 0.93 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.1, 151.5, 145.1, 142.7, 139.6, 136.4, 134.8, 130.3, 129.6, 129.4, 127.1, 126.7, 126.4, 122.1, 120.8, 120.5, 110.1, 99.9, 40.8, 35.9, 21.3, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{19}ClN_2O$ 363.1259; Found 363.1252.

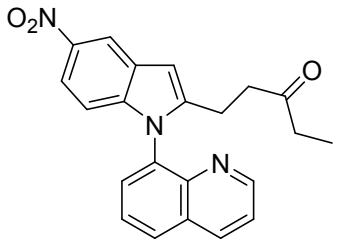


(5m) 1-(6-bromo-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

This compound was purified by column chromatography to afford a slight yellow solid in 60% yield;

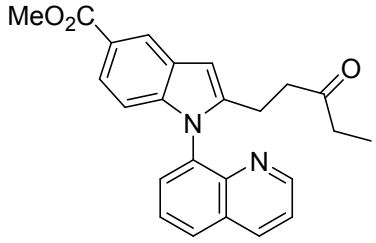
Melting point: 132 – 133 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.88 – 8.83 (m, 1H), 8.29 (dd, J = 8.4, 1.6 Hz, 1H), 8.01 (dd, J = 8.0, 1.6 Hz, 1H), 7.80 – 7.67 (m, 2H), 7.50 – 7.44 (m, 2H), 7.20 – 7.16

(m, 1H), 6.91 (d, J = 2.0 Hz, 1H), 6.46 (s, 1H), 2.80 – 2.67 (m, 4H), 2.38 – 2.28 (m, 2H), 0.99 – 0.93 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.1, 151.5, 145.1, 142.7, 140.0, 136.4, 134.7, 130.3, 129.6, 129.4, 127.0, 126.4, 123.1, 122.1, 121.2, 114.7, 113.0, 99.9, 40.8, 35.9, 21.3, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{19}BrN_2O$ 407.0754; Found 407.0746.



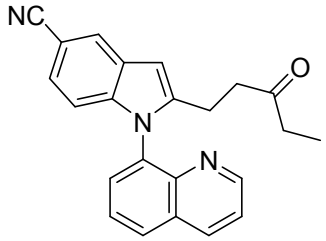
(5n) 1-(5-nitro-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

This compound was purified by column chromatography to afford a yellow solid in 40% yield; Melting point: 139 – 141 ^{o}C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.84 (dd, J = 4.4, 1.6 Hz, 1H), 8.58 (d, J = 2.4 Hz, 1H), 8.32 (dd, J = 8.4, 2.0 Hz, 1H), 8.06 (dd, J = 8.0, 1.6 Hz, 1H), 7.93 (dd, J = 9.2, 2.4 Hz, 1H), 7.82 – 7.71 (m, 2H), 7.53 – 7.46 (m, 1H), 6.77 (d, J = 9.2 Hz, 1H), 6.64 (s, 1H), 2.84 – 2.70 (m, 4H), 2.42 – 2.30 (m, 2H), 0.98 (t, J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 209.7, 151.7, 145.5, 144.9, 142.1, 142.0, 136.5, 134.1, 130.3, 130.1, 129.9, 129.6, 127.5, 126.4, 122.3, 117.1, 110.0, 101.8, 40.4, 36.0, 21.2, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{19}N_3O_3$ 374.1499; Found 374.1492.



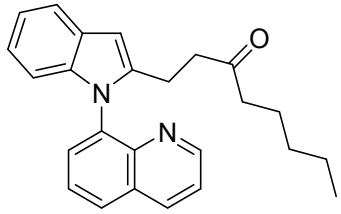
(5o) methyl 2-(3-oxopentyl)-1-(quinolin-8-yl)-1*H*-indole-5-carboxylate

This compound was purified by column chromatography to afford a yellow solid in 41% yield; Melting point: 170 – 172 ^{o}C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.84 (dd, J = 4.0, 1.6 Hz, 1H), 8.38 (d, J = 1.6 Hz, 1H), 8.32 – 8.26 (m, 1H), 8.02 (dd, J = 8.0, 1.6 Hz, 1H), 7.78 (dd, J = 7.2, 1.6 Hz, 1H), 7.75 – 7.69 (m, 2H), 7.47 (dd, J = 8.4, 4.0 Hz, 1H), 6.77 (d, J = 8.8 Hz, 1H), 6.57 (s, 1H), 3.91 (s, 3H), 2.81 – 2.71 (m, 4H), 2.39 – 2.29 (m, 2H), 0.97 (t, J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.0, 168.4, 151.5, 145.1, 143.4, 141.8, 136.4, 134.8, 130.2, 129.6, 129.4, 127.7, 126.4, 122.9, 122.8, 122.1, 121.9, 109.7, 101.0, 51.8, 40.7, 35.9, 21.3, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{24}H_{22}N_2O_3$ 387.1703; Found 387.1707.



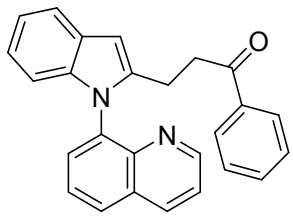
(5p) 2-(3-oxopentyl)-1-(quinolin-8-yl)-1*H*-indole-5-carbonitrile

This compound was purified by column chromatography to afford a slight yellow solid in 50% yield; Melting point: 42 – 44 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.86 – 8.82 (m, 1H), 8.31 (dd, J = 8.4, 2.0 Hz, 1H), 8.05 (dd, J = 8.0, 1.6 Hz, 1H), 7.95 (d, J = 1.6 Hz, 1H), 7.79 – 7.71 (m, 2H), 7.51 – 7.46 (m, 1H), 7.24 (dd, J = 8.4, 1.6 Hz, 1H), 6.80 (d, J = 8.4 Hz, 1H), 6.59 – 6.50 (m, 1H), 2.82 – 2.71 (m, 4H), 2.40 – 2.30 (m, 2H), 1.00 – 0.94 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 209.7, 151.6, 144.9, 144.5, 140.7, 136.4, 134.1, 130.1, 129.7, 129.5, 127.9, 126.3, 125.3, 124.4, 122.2, 121.0, 110.9, 102.8, 100.4, 40.4, 35.9, 21.1, 7.7. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{23}H_{19}N_3O$ 354.1601; Found 354.1605.



(5q) 1-(1-(quinolin-8-yl)-1*H*-indol-2-yl) octan-3-one

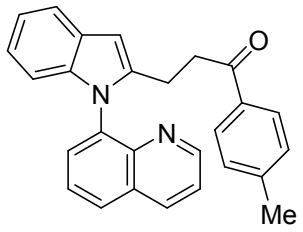
This compound was purified by column chromatography to afford a brown solid in 22% yield; Melting point: 114 – 115 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.88 – 8.82 (m, 1H), 8.27 (dd, J = 8.4, 1.6 Hz, 1H), 7.98 (dd, J = 8.0, 1.6 Hz, 1H), 7.78 (dd, J = 7.2, 1.6 Hz, 1H), 7.73 – 7.67 (m, 1H), 7.63 – 7.59 (m, 1H), 7.47 – 7.42 (m, 1H), 7.11 – 7.06 (m, 1H), 7.04 – 6.98 (m, 1H), 6.82 – 6.76 (m, 1H), 6.49 (d, J = 0.8 Hz, 1H), 2.81 – 2.69 (m, 4H), 2.32 – 2.24 (m, 2H), 1.50 – 1.41 (m, 2H), 1.28 – 1.23 (m, 2H), 1.20 – 1.13 (m, 2H), 0.87 – 0.81 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.1, 151.4, 145.3, 141.8, 139.3, 136.3, 135.5, 130.3, 129.6, 128.9, 128.2, 126.4, 121.9, 121.2, 120.0, 119.9, 110.0, 99.9, 42.8, 41.4, 31.3, 23.5, 22.4, 21.3, 13.9. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{25}H_{26}N_2O$ 371.2118; Found 371.2114.



(5r) 1-phenyl-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) propan-1-one

This compound was purified by column chromatography to afford a yellow solid in 42% yield; Melting point: 130 – 132 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.88 – 8.82 (m, 1H), 8.30 – 8.25 (m, 1H), 7.99 (dd, J = 8.0, 1.6 Hz, 1H), 7.84 – 7.79 (m, 3H), 7.74 – 7.68 (m, 1H), 7.66 – 7.60 (m, 1H), 7.51 (d, J = 7.2 Hz, 1H), 7.44 (dd, J = 8.4, 4.4 Hz, 1H), 7.42 – 7.37 (m, 2H), 7.12 – 7.07 (m, 1H), 7.03

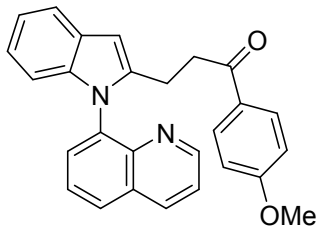
(dd, J = 8.0, 1.2 Hz, 1H), 6.81 (dd, J = 8.0, 1.2 Hz, 1H), 6.59 (d, J = 0.8 Hz, 1H), 3.36 – 3.27 (m, 2H), 2.98 – 2.91 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.9, 151.4, 145.4, 141.9, 139.4, 136.6, 136.3, 135.5, 133.1, 130.4, 129.6, 128.0, 129.56, 128.2, 128.0, 126.4, 122.0, 121.3, 120.0, 119.9, 110.0, 100.1, 37.8, 21.8. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{26}H_{20}N_2O$ 377.1648; Found 377.1647.



(5s) 3-(1-(quinolin-8-yl)-1*H*-indol-2-yl)-1-(p-tolyl) propan-1-one

This compound was purified by column chromatography to afford a brown solid in 58% yield;

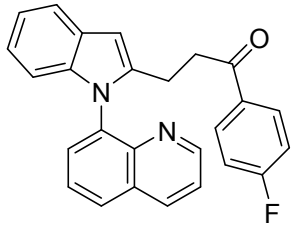
Melting point: 83 – 85 ^{o}C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.88 – 8.83 (m, 1H), 8.30 – 8.24 (m, 1H), 7.98 (dd, J = 8.4, 1.6 Hz, 1H), 7.81 (dd, J = 7.2, 1.6 Hz, 1H), 7.74 – 7.67 (m, 3H), 7.65 – 7.60 (m, 1H), 7.43 (dd, J = 8.4, 4.0 Hz, 1H), 7.19 (d, J = 8.0 Hz, 2H), 7.12 – 7.07 (m, 1H), 7.05 – 6.98 (m, 1H), 6.83 – 6.78 (m, 1H), 6.58 (d, J = 0.8 Hz, 1H), 3.33 – 3.25 (m, 2H), 2.97 – 2.90 (m, 2H), 2.38 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.6, 151.4, 145.4, 143.8, 142.0, 139.3, 136.3, 135.5, 134.2, 130.4, 129.6, 129.2, 129.0, 128.2, 128.1, 126.4, 121.9, 121.2, 120.0, 119.9, 110.0, 100.1, 37.7, 21.9, 21.6. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{27}H_{22}N_2O$ 391.1805; Found 391.1809.



(5t) 1-(4-methoxyphenyl)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) propan-1-one

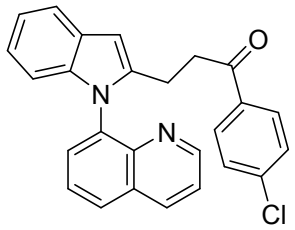
This compound was purified by column chromatography to afford a slight yellow solid in 43% yield;

Melting point: 52 – 54 ^{o}C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.87 – 8.83 (m, 1H), 8.27 (dd, J = 8.4, 1.6 Hz, 1H), 7.99 (dd, J = 8.4, 1.6 Hz, 1H), 7.83 – 7.77 (m, 3H), 7.70 (dd, J = 8.4, 7.2 Hz, 1H), 7.64 – 7.60 (m, 1H), 7.43 (dd, J = 8.4, 4.0 Hz, 1H), 7.12 – 7.06 (m, 1H), 7.05 – 6.99 (m, 1H), 6.88 – 6.84 (m, 2H), 6.82 – 6.78 (m, 1H), 6.58 (d, J = 1.2 Hz, 1H), 3.84 (s, 3H), 3.31 – 3.22 (m, 2H), 2.97 – 2.87 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.5, 163.4, 151.4, 145.4, 142.0, 139.3, 136.3, 135.5, 130.3, 130.2, 129.7, 129.6, 129.0, 128.2, 126.4, 121.9, 121.2, 120.0, 119.9, 113.7, 110.0, 100.1, 55.5, 37.4, 22.0. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{27}H_{22}N_2O_2$ 407.1754; Found 407.1755.



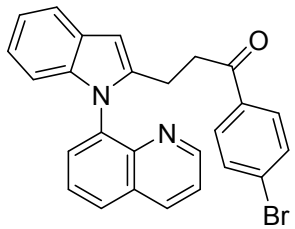
(5u) 1-(4-fluorophenyl)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) propan-1-one

This compound was purified by column chromatography to afford a yellow solid in 52% yield; Melting point: 139 – 141 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.88 – 8.82 (m, 1H), 8.27 (dd, J = 8.4, 1.6 Hz, 1H), 8.01 – 7.97 (m, 1H), 7.86 – 7.79 (m, 3H), 7.74 – 7.68 (m, 1H), 7.65 – 7.60 (m, 1H), 7.46 – 7.41 (m, 1H), 7.13 – 7.07 (m, 2H), 7.06 – 7.01 (m, 2H), 6.83 – 6.78 (m, 1H), 6.58 (d, J = 0.8 Hz, 1H), 3.32 – 3.23 (m, 2H), 3.01 – 2.90 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.3, 167.0, 164.4, 151.4, 145.3, 141.7, 139.4, 136.3, 135.4, 133.1, 133.0, 130.6, 130.5, 130.4, 129.6, 129.0, 128.2, 126.4, 122.0, 121.3, 120.0, 120.0, 115.8, 115.5, 110.0, 100.2, 37.7, 21.8. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{26}H_{19}FN_2O$ 395.1554; Found 395.1562.



(5v) 1-(4-chlorophenyl)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) propan-1-one

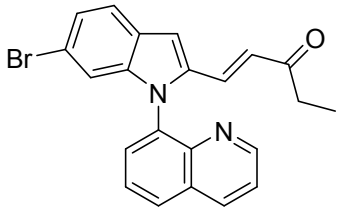
This compound was purified by column chromatography to afford a yellow solid in 42% yield; Melting point: 143 – 145 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.87 – 8.83 (m, 1H), 8.28 (dd, J = 8.4, 1.6 Hz, 1H), 8.02 – 7.97 (m, 1H), 7.81 (dd, J = 7.2, 1.6 Hz, 1H), 7.77 – 7.69 (m, 3H), 7.65 – 7.59 (m, 1H), 7.47 – 7.41 (m, 1H), 7.38 – 7.33 (m, 2H), 7.13 – 7.07 (m, 1H), 7.05 – 6.99 (m, 1H), 6.83 – 6.78 (m, 1H), 6.57 (d, J = 1.2 Hz, 1H), 3.31 – 3.23 (m, 2H), 2.99 – 2.87 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.7, 151.4, 145.3, 141.6, 139.5, 139.4, 136.3, 135.4, 134.9, 130.4, 129.6, 129.4, 129.0, 128.9, 128.2, 126.4, 122.0, 121.3, 120.0, 120.0, 110.0, 100.2, 37.8, 21.8. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{26}H_{19}ClN_2O$ 411.1259; Found 411.1266.



(5w) 1-(4-bromophenyl)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) propan-1-one

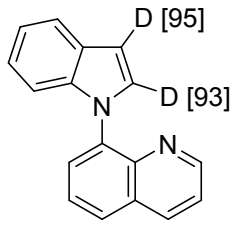
This compound was purified by column chromatography to afford a yellow solid in 43% yield; Melting point: 44 – 46 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.86 – 8.82 (m, 1H), 8.27 (dd, J = 8.4, 2.0 Hz, 1H), 8.01 – 7.97 (m, 1H), 7.82 – 7.78 (m, 1H), 7.71 (dd, J = 8.0, 7.2 Hz, 1H), 7.67 – 7.60 (m,

3H), 7.55 – 7.50 (m, 2H), 7.47 – 7.41 (m, 1H), 7.12 – 7.07 (m, 1H), 7.05 – 6.99 (m, 1H), 6.82 – 6.78 (m, 1H), 6.57 (d, J = 1.2 Hz, 1H), 3.30 – 3.23 (m, 2H), 2.97 – 2.89 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.9, 151.4, 145.3, 141.6, 139.4, 136.3, 135.4, 135.3, 131.9, 130.4, 129.6, 129.5, 129.0, 128.2, 128.2, 126.4, 122.0, 121.3, 120.0, 120.0, 110.0, 100.2, 37.8, 21.8. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{26}H_{19}BrN_2O$ 455.0754; Found 455.0749.



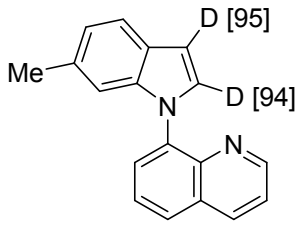
(5m') (*E*)-1-(6-bromo-1-(quinolin-8-yl)-1*H*-indol-2-yl) pent-1-en-3-one

This compound was purified by column chromatography to afford a slight yellow solid; Melting point: 162 – 164 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.85 – 8.81 (m, 1H), 8.30 (dd, J = 8.4, 1.6 Hz, 1H), 8.05 (dd, J = 8.0, 2.0 Hz, 1H), 7.79 – 7.70 (m, 2H), 7.56 (d, J = 8.4 Hz, 1H), 7.48 (dd, J = 8.4, 4.4 Hz, 1H), 7.26 – 7.22 (m, 1H), 7.21 (s, 1H), 7.09 (d, J = 16.0 Hz, 1H), 7.04 – 6.94 (m, 1H), 6.57 (d, J = 16.0 Hz, 1H), 2.43 – 2.35 (m, 2H), 1.01 – 0.95 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.2, 151.8, 144.8, 141.5, 137.6, 136.4, 133.9, 131.0, 130.3, 129.8, 129.6, 126.6, 126.4, 125.5, 124.5, 122.7, 122.4, 117.7, 113.9, 105.1, 34.5, 8.1. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{17}BrN_2O$ 405.0597; Found 405.0591.



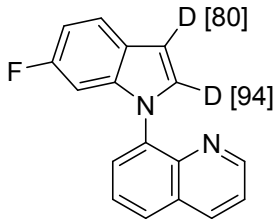
(6a) 8-(1*H*-indol-1-yl-2,3-d_2) quinoline

This compound was purified by column chromatography to afford a white solid in 93% yield; Melting point: 81 – 82 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.94 (dd, J = 4.0, 1.6 Hz, 1H), 8.30 – 8.25 (m, 1H), 7.94 – 7.84 (m, 2H), 7.78 – 7.71 (m, 1H), 7.71 – 7.65 (m, 1H), 7.62 (s, 0.07H), 7.48 (dd, J = 8.4, 4.0 Hz, 1H), 7.33 – 7.27 (m, 1H), 7.22 – 7.13 (m, 2H), 6.80 (d, J = 0.8 Hz, 0.05H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 150.8, 143.7, 137.4, 136.8, 136.3, 130.4 (t, J = 28.2 Hz), 129.6, 128.9, 127.2, 126.8, 126.2, 121.9, 121.8, 121.0, 120.2, 110.8, 102.6 (t, J = 23.6 Hz). HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{17}H_{10}D_2N_2$ 247.1199; Found 247.1203.



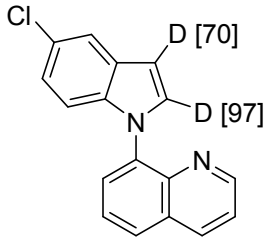
(6b) 8-(6-methyl-1*H*-indol-1-yl-2,3-d_2) quinoline

This compound was purified by column chromatography to afford a white solid in 94% yield; Melting point: 92 – 93 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.97 – 8.94 (m, 1H), 8.30 – 8.25 (m, 1H), 7.92 – 7.86 (m, 2H), 7.72 – 7.65 (m, 1H), 7.62 (d, J = 8.0 Hz, 1H), 7.54 (s, 0.06H), 7.50 – 7.46 (m, 1H), 7.11 (s, 1H), 7.02 (dd, J = 8.0, 1.6 Hz, 1H), 6.75 (s, 0.05H), 2.42 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 150.8, 143.8, 137.7, 136.9, 136.2, 131.7, 129.9 (t, J = 21.4 Hz), 129.6, 127.1, 126.9, 126.9, 126.8, 126.7, 126.2, 121.9, 121.8, 120.6, 120.6, 110.6, 102.4 (t, J = 23.4 Hz), 21.9. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{18}H_{12}D_2N_2$ 261.1355; Found 261.1353.



(6c) 8-(6-fluoro-1*H*-indol-1-yl-2,3-d_2) quinoline

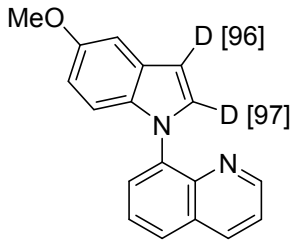
This compound was purified by column chromatography to afford a white solid in 94% yield; Melting point: 82 – 83 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.94 (dd, J = 4.4, 1.6 Hz, 1H), 8.31 – 8.25 (m, 1H), 7.91 (dd, J = 8.0, 1.6 Hz, 1H), 7.86 – 7.82 (m, 1H), 7.73 – 7.58 (m, 2H), 7.57 (s, 0.06H), 7.53 – 7.46 (m, 1H), 7.00 – 6.89 (m, 2H), 6.77 (s, 0.20H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 161.2, 158.8, 151.0, 143.5, 137.5, 137.4, 136.5, 136.3, 130.8 (t, J = 26.0 Hz), 129.6, 127.6, 126.8, 126.7, 126.4, 126.2, 125.4, 125.3, 121.9, 121.7, 121.6, 121.6, 121.5, 109.0, 108.7, 102.9, 102.7 (t, J = 25.3 Hz), 97.6, 97.3. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{17}H_9D_2FN_2$ 265.1105; Found 265.1112.



(6d) 8-(5-chloro-1*H*-indol-1-yl-2,3-d_2) quinoline

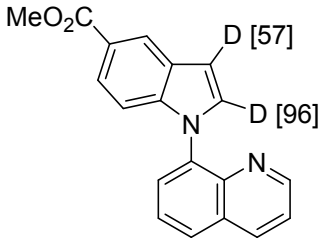
This compound was purified by column chromatography to afford a white solid in 96% yield; Melting point: 141 – 142 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.96 – 8.90 (m, 1H), 8.30 – 8.26 (m, 1H), 7.93 – 7.89 (m, 1H), 7.85 – 7.81 (m, 1H), 7.72 – 7.63 (m, 2H), 7.61 (s, 0.03H), 7.49 (dd, J = 8.4, 4.4 Hz, 1H), 7.17 (d, J = 8.8 Hz, 1H), 7.10 (dd, J = 8.8, 2.0 Hz, 1H), 7.61 (d, J = 0.8 Hz, 0.30H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 150.9, 143.5, 136.3, 136.3, 135.8, 131.6 (t, J = 28.6 Hz), 130.0, 129.9, 129.6,

127.6, 126.8, 126.2, 125.7, 122.2, 122.0, 120.3, 120.3, 111.9, 102.5, 102.2 (t, J = 25.2 Hz). HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{17}H_9D_2ClN_2$ 281.0809; Found 281.0803.



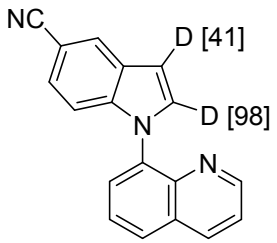
(6e) 8-(5-methoxy-1*H*-indol-1-yl-2,3-d_2) quinoline

This compound was purified by column chromatography to afford a white solid in 97% yield; Melting point: 101 – 102 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.94 (dd, J = 4.0, 1.6 Hz, 1H), 8.26 (dd, J = 8.4, 2.0 Hz, 1H), 7.90 – 7.82 (m, 2H), 7.69 – 7.63 (m, 1H), 7.60 (s, 0.03H), 7.50 – 7.45 (m, 1H), 7.24 – 7.16 (m, 2H), 6.83 (dd, J = 8.8, 2.4 Hz, 1H), 6.72 (s, 0.04H), 3.89 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 154.5, 150.7, 143.6, 136.9, 136.2, 132.6, 130.9 (t, J = 25.0 Hz), 129.6, 129.4, 127.0, 126.5, 126.2, 121.8, 112.0, 111.6, 102.7, 102.4 (t, J = 30.0 Hz), 55.9. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{18}H_{12}D_2N_2O$ 277.1304; Found 277.1298.



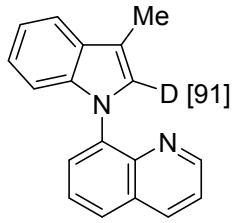
(6f) methyl 1-(quinolin-8-yl)-1*H*-indole-5-carboxylate-2,3-d_2

This compound was purified by column chromatography to afford a white solid in 98% yield; Melting point: 72 – 73 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.94 – 8.90 (m, 1H), 8.50 (d, J = 2.0 Hz, 1H), 8.28 (dd, J = 8.4, 2.0 Hz, 1H), 7.95 – 7.90 (m, 1H), 7.89 – 7.83 (m, 2H), 7.72 – 7.65 (m, 1H), 7.64 (s, 0.04H), 7.49 (dd, J = 8.4, 4.4 Hz, 1H), 7.29 – 7.21 (m, 1H), 6.87 (d, J = 0.8 Hz, 0.43H), 3.94 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.2, 151.0, 143.5, 139.9, 136.3, 136.2, 131.8 (t, J = 25.6 Hz), 129.6, 128.5, 128.4, 127.9, 127.0, 126.2, 124.0, 124.0, 123.4, 122.2, 122.0, 110.5, 104.0, 103.8 (t, J = 23.2 Hz), 51.9. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{19}H_{12}D_2N_2O_2$ 305.1254; Found 305.1256.



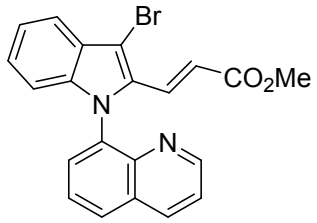
(6g) 1-(quinolin-8-yl)-1H-indole-5-carbonitrile-2,3-d_2

This compound was purified by column chromatography to afford a white solid in 96% yield; Melting point: 176 – 177 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.91 (dd, J = 4.0, 1.6 Hz, 1H), 8.34 – 8.28 (m, 1H), 8.07 (d, J = 1.6 Hz, 1H), 8.00 – 7.95 (m, 1H), 7.85 – 7.80 (m, 1H), 7.74 – 7.67 (m, 1H), 7.66 (s, 0.02H), 7.54 – 7.49 (m, 1H), 7.41 – 7.33 (m, 1H), 7.24 (d, J = 8.4 Hz, 1H), 6.84 (d, J = 0.8 Hz, 0.59H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 151.2, 143.4, 139.0, 136.4, 135.6, 132.6 (t, J = 29.0 Hz), 129.6, 128.6, 128.4, 127.2, 126.5, 126.5, 126.2, 124.9, 122.2, 120.9, 111.8, 103.2 (t, J = 43.1 Hz), 103.1. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{18}H_9D_2N_3$ 272.1151; Found 272.1146.



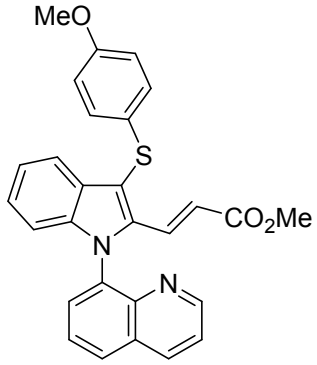
(6h) 8-(3-methyl-1*H*-indol-1-yl-2-*d*) quinoline

This compound was purified by column chromatography to afford a white solid in 83% yield; Melting point: 123 – 124 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.98 – 8.94 (m, 1H), 8.27 (dd, J = 8.4, 1.6 Hz, 1H), 7.90 – 7.80 (m, 2H), 7.72 – 7.60 (m, 2H), 7.51 – 7.45 (m, 1H), 7.42 (d, J = 1.6 Hz, 0.09H), 7.32 – 7.27 (m, 1H), 7.23 – 7.14 (m, 2H), 2.47 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 150.7, 143.6, 137.6, 137.5, 137.0, 136.3, 129.6, 127.9 (t, J = 26.4 Hz), 126.7, 126.5, 126.5, 126.3, 121.9, 121.7, 119.6, 119.1, 112.2, 112.0, 110.8, 9.8. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{18}H_{13}D_2N_2$ 260.1293; Found 260.1291.



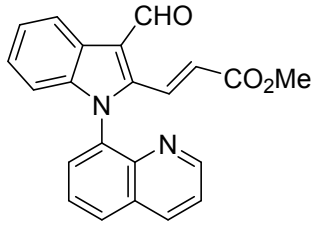
(7) methyl (*E*)-3-(3-bromo-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a yellow solid in 73% yield; Melting point: 60 – 62 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.84 (dd, J = 4.4, 1.6 Hz, 1H), 8.30 (dd, J = 8.4, 1.6 Hz, 1H), 8.07 – 8.02 (m, 1H), 7.78 – 7.64 (m, 3H), 7.51 – 7.44 (m, 2H), 7.25 – 7.15 (m, 2H), 6.78 – 6.73 (m, 1H), 6.21 (d, J = 16.0 Hz, 1H), 3.63 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.4, 151.7, 144.8, 139.8, 136.4, 134.6, 132.2, 130.5, 129.8, 129.6, 127.7, 126.4, 125.4, 122.3, 121.6, 120.3, 118.7, 111.1, 98.9, 51.6. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{21}H_{15}BrN_2O_2$ 407.0390; Found 407.0397.



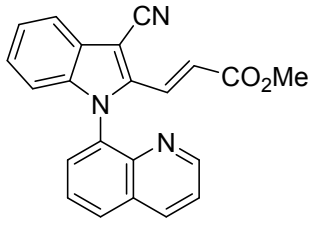
(8) methyl (*E*)-3-(3-((4-methoxyphenyl) thio)-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a yellow solid in 80% yield; Melting point: 163 – 164 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.82 (dd, J = 4.0, 1.6 Hz, 1H), 8.29 (dd, J = 8.4, 2.0 Hz, 1H), 8.07 – 8.02 (m, 1H), 7.81 (dd, J = 7.2, 1.2 Hz, 1H), 7.76 – 7.68 (m, 3H), 7.48 – 7.44 (m, 1H), 7.28 – 7.22 (m, 2H), 7.18 – 7.12 (m, 2H), 6.83 – 6.76 (m, 3H), 6.11 (d, J = 16.4 Hz, 1H), 3.75 (s, 3H), 3.58 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.4, 158.0, 151.7, 144.8, 140.6, 138.7, 136.3, 135.0, 132.3, 130.2, 130.0, 129.8, 129.5, 129.0, 128.5, 126.4, 125.0, 122.3, 121.7, 120.6, 119.4, 114.7, 111.2, 110.3, 55.3, 51.5. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{28}H_{22}N_2O_3S$ 467.1424; Found 467.1423.



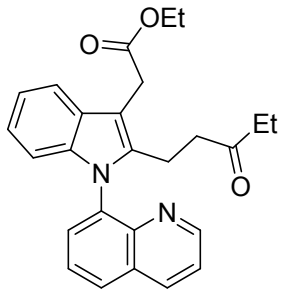
(9) methyl (*E*)-3-(3-formyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a slight yellow solid in 98% yield; Melting point: 182 – 184 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 10.45 (s, 1H), 8.81 (dd, J = 4.0, 1.6 Hz, 1H), 8.47 (d, J = 8.0 Hz, 1H), 8.31 (dd, J = 8.4, 1.6 Hz, 1H), 8.13 – 8.06 (m, 1H), 7.81 (dd, J = 7.2, 1.6 Hz, 1H), 7.75 (t, J = 7.6 Hz, 1H), 7.67 (d, J = 16.0 Hz, 1H), 7.49 (dd, J = 8.4, 4.0 Hz, 1H), 7.36 – 7.30 (m, 1H), 7.24 – 7.18 (m, 1H), 6.81 (d, J = 8.0 Hz, 1H), 6.06 (d, J = 16.0 Hz, 1H), 3.65 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 185.3, 166.1, 151.9, 144.2, 143.2, 140.0, 136.4, 133.7, 131.1, 130.4, 129.9, 129.6, 126.4, 125.8, 125.5, 125.0, 123.8, 122.6, 122.3, 118.1, 111.1, 51.9. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{16}N_2O_3$ 357.1234; Found 357.1227.



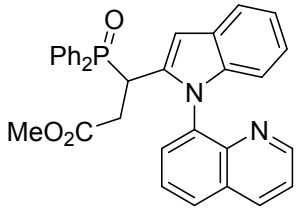
(10) methyl (*E*)-3-(3-cyano-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

This compound was purified by column chromatography to afford a slight yellow solid in 60% yield; Melting point: 205 – 206 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.84 – 8.80 (m, 1H), 8.33 (dd, J = 8.4, 1.6 Hz, 1H), 8.11 (dd, J = 8.0, 1.6 Hz, 1H), 7.88 – 7.84 (m, 1H), 7.82 – 7.73 (m, 2H), 7.51 (dd, J = 8.4, 4.0 Hz, 1H), 7.36 – 7.30 (m, 1H), 7.25 – 7.19 (m, 2H), 6.94 – 6.82 (m, 2H), 3.68 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.7, 152.0, 144.4, 141.3, 139.1, 136.4, 132.7, 130.6, 130.6, 130.2, 129.5, 127.8, 126.3, 125.6, 123.3, 123.0, 122.6, 120.0, 116.1, 111.8, 87.8, 51.9. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{22}H_{15}N_3O_2$ 354.1237; Found 354.1234.



(11) ethyl 2-(2-(3-oxopentyl)-1-(quinolin-8-yl)-1*H*-indol-3-yl) acetate

This compound was purified by column chromatography to afford a slight yellow liquid in 42% yield; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.86 (dd, J = 4.0, 1.6 Hz, 1H), 8.31 – 8.26 (m, 1H), 7.99 (dd, J = 8.4, 1.6 Hz, 1H), 7.76 (dd, J = 7.2, 1.6 Hz, 1H), 7.73 – 7.63 (m, 2H), 7.49 – 7.43 (m, 1H), 7.15 – 7.09 (m, 1H), 7.05 – 6.99 (m, 1H), 6.75 – 6.71 (m, 1H), 4.20 – 4.13 (m, 2H), 3.92 – 3.78 (m, 2H), 2.99 – 2.87 (m, 1H), 2.84 – 2.74 (m, 1H), 2.60 – 2.40 (m, 2H), 2.18 – 2.08 (m, 2H), 1.29 (t, J = 7.2 Hz, 3H), 0.87 – 0.81 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 210.3, 172.2, 151.4, 145.3, 139.2, 138.6, 136.4, 135.5, 130.5, 129.5, 129.1, 128.0, 126.3, 122.0, 121.6, 119. 9, 118.6, 110.0, 105.6, 60.8, 41.9, 35.7, 31.1, 19.3, 14.3, 7.6. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{26}H_{26}N_2O_3$ 415.2016; Found 415.2013.



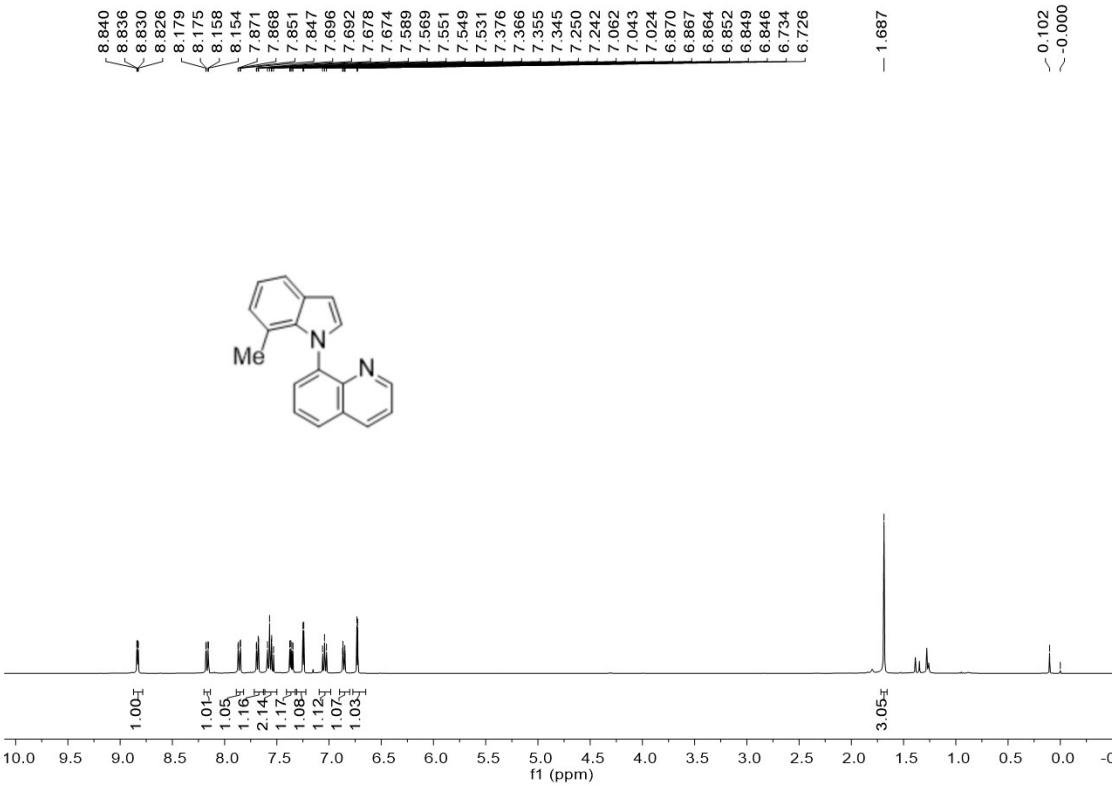
(12) methyl (*S*)-3-(diphenylphosphoryl)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) propanoate

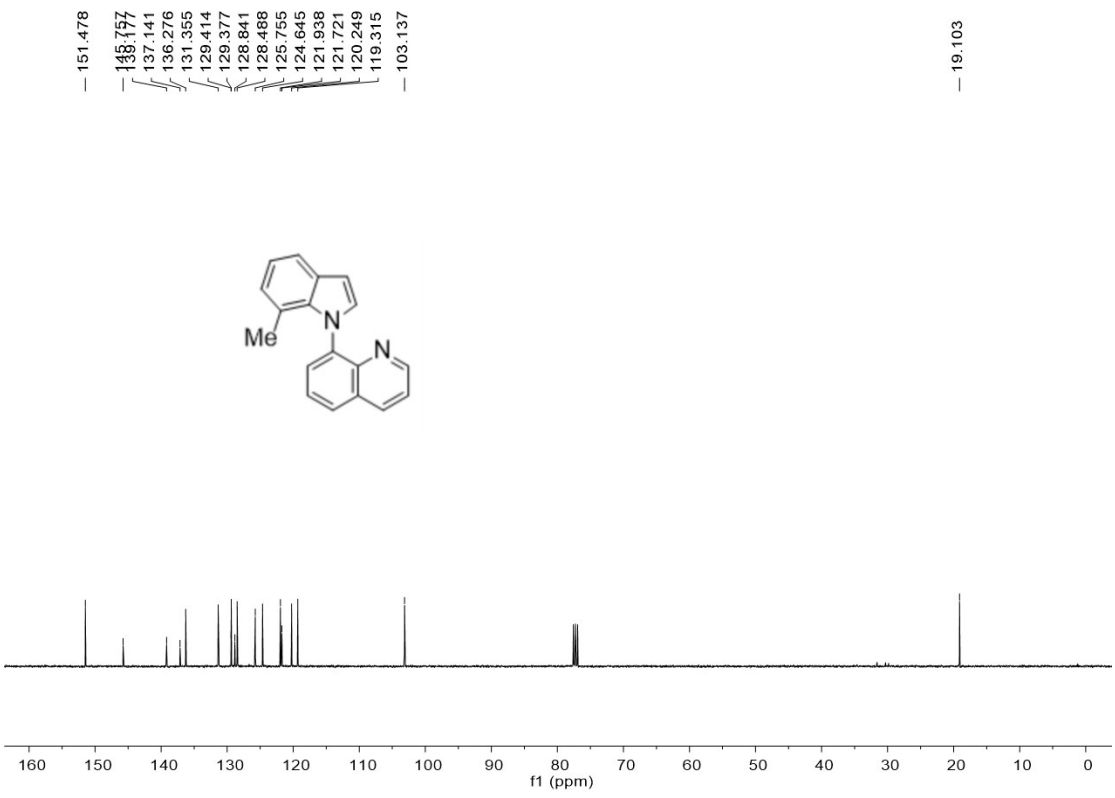
This compound was purified by column chromatography to afford a white solid in 83% yield; Melting point: 177 – 179 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.71 – 8.65 (m, 1H), 8.58 – 8.55 (m, 0.10H), 8.29 – 8.25 (m, 1H), 8.24 – 8.19 (m, 0.11H), 7.98 (dd, J = 8.4, 1.6 Hz, 1H), 7.96 – 7.93 (m, 0.13H), 7.76 – 7.72 (m, 0.13H), 7.68 (d, J = 8.0 Hz, 1H), 7.65 – 7.62 (m, 0.21H), 7.62 – 7.55 (m, 2H), 7.54 – 7.48 (m, 1H), 7.47 – 7.31 (m, 8H), 7.30 – 7.27 (m, 1H), 7.20 – 7.14 (m, 0.46H), 7.13 – 7.06 (m, 2H), 7.03 – 6.96 (m, 1H), 6.76 (dd, J = 7.2, 1.6 Hz, 1H), 6.71 (d, J = 8.4 Hz, 1H), 6.61 – 6.56 (m, 0.11H), 3.88 – 3.79 (m, 1H), 3.32 (s, 0.29H), 3.23 – 3.19 (m, 1H), 3.19 – 3.15 (m, 1H), 3.07 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.3, 171.3, 151.2, 150.5, 145.4, 138.4, 137.0, 137.0, 136.2, 134.2, 132.2, 132.0, 131.9, 131.9, 131.8, 131.8, 131.8, 131.5, 131.4, 131.2, 130.5, 130.4, 129.6, 129.2, 129.1, 128.7, 128.3,

128.3, 128.2, 128.1, 125.8, 121.8, 120.7, 120.1, 110.0, 104.1, 104.0, 51.8, 51.4, 35.8, 35.2, 34.5. ^{31}P NMR (161 MHz, None) δ 32.8, 31.1. HRMS (ESI) m/z: $[M+H]^+$ Calcd for $C_{33}H_{27}N_2O_3P$ 531.1832; Found 531.1840.

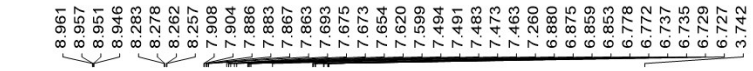
12. NMR Spectra of 1l, 1p – 1s, 3aa – 3na, 3ab – 3ao, 5a – 5w, 5w', 6a – 6h, 7 - 12

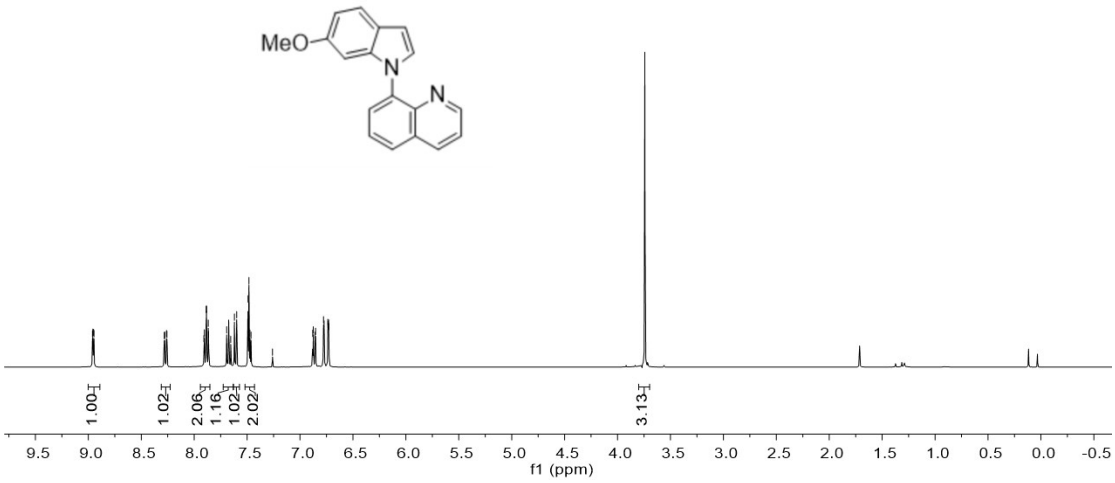
(1l) 8-(7-methyl-1*H*-indol-1-yl) quinoline

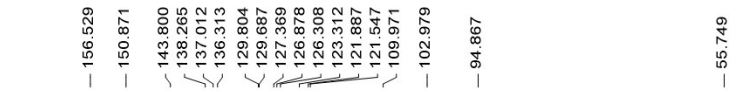


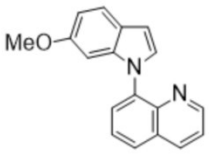


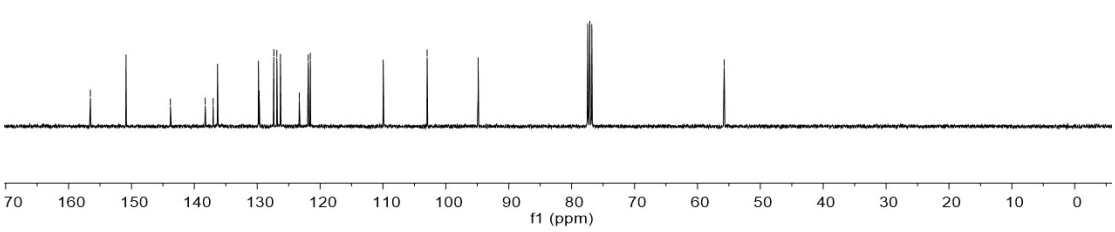
(1p) 8-(6-methoxy-1*H*-indol-1-yl) quinoline



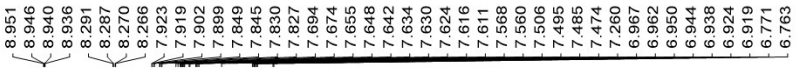


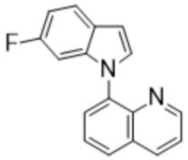


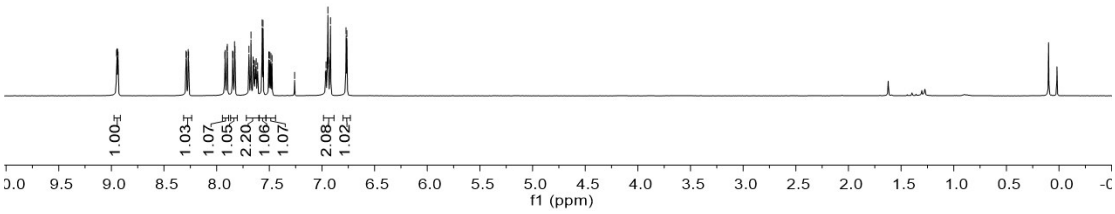


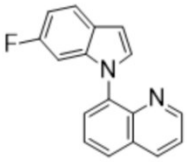


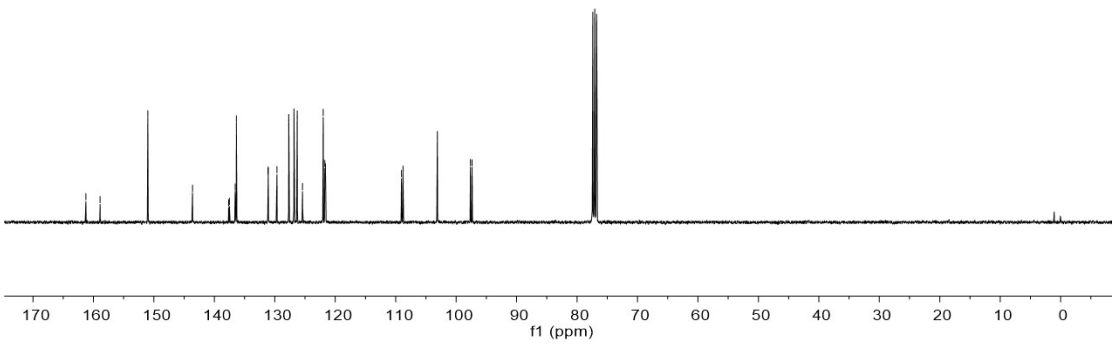
(1q) 8-(6-fluoro-1*H*-indol-1-yl) quinoline



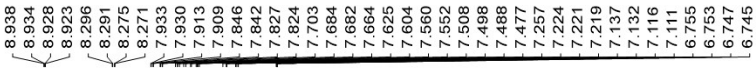


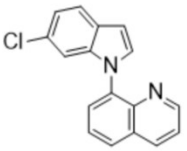


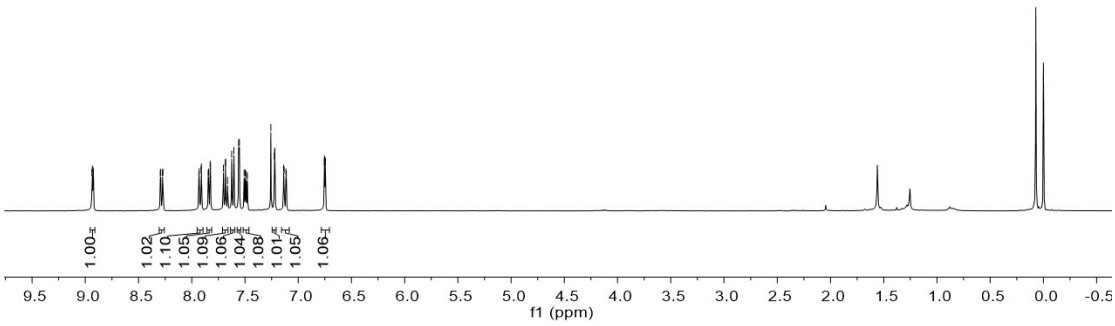


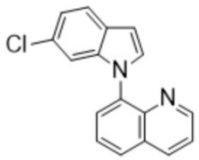


(1r) 8-(6-chloro-1*H*-indol-1-yl) quinoline

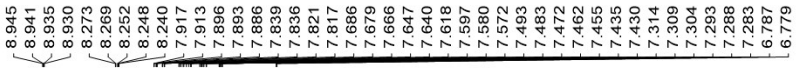


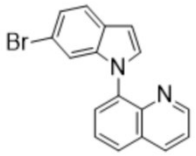


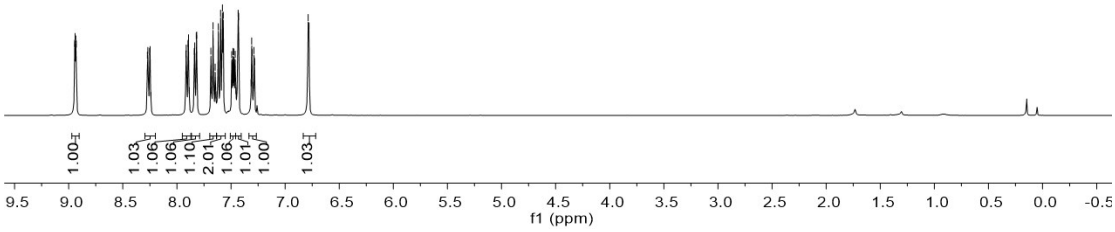


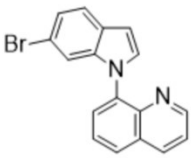


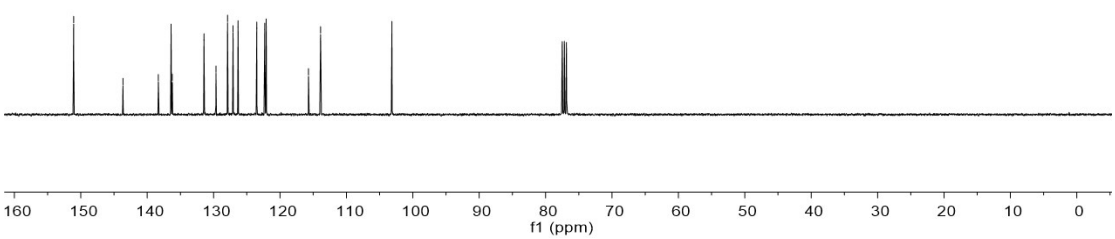
(1s) 8-(6-bromo-1*H*-indol-1-yl) quinoline



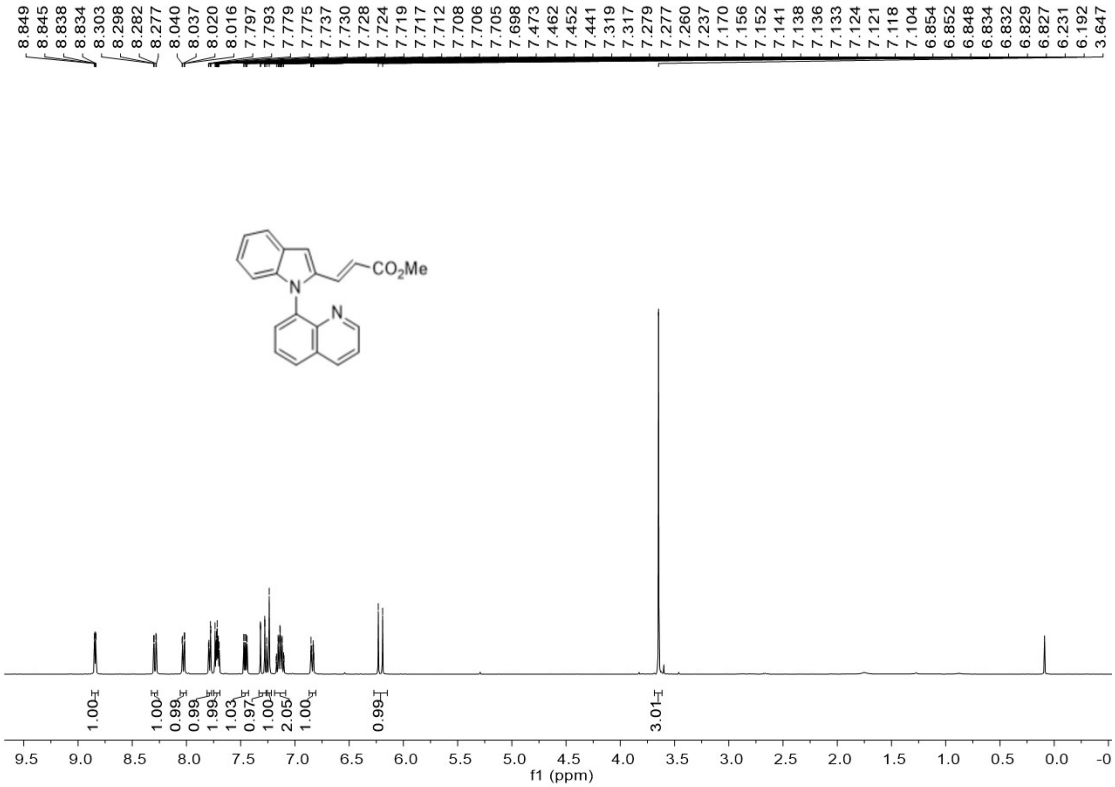


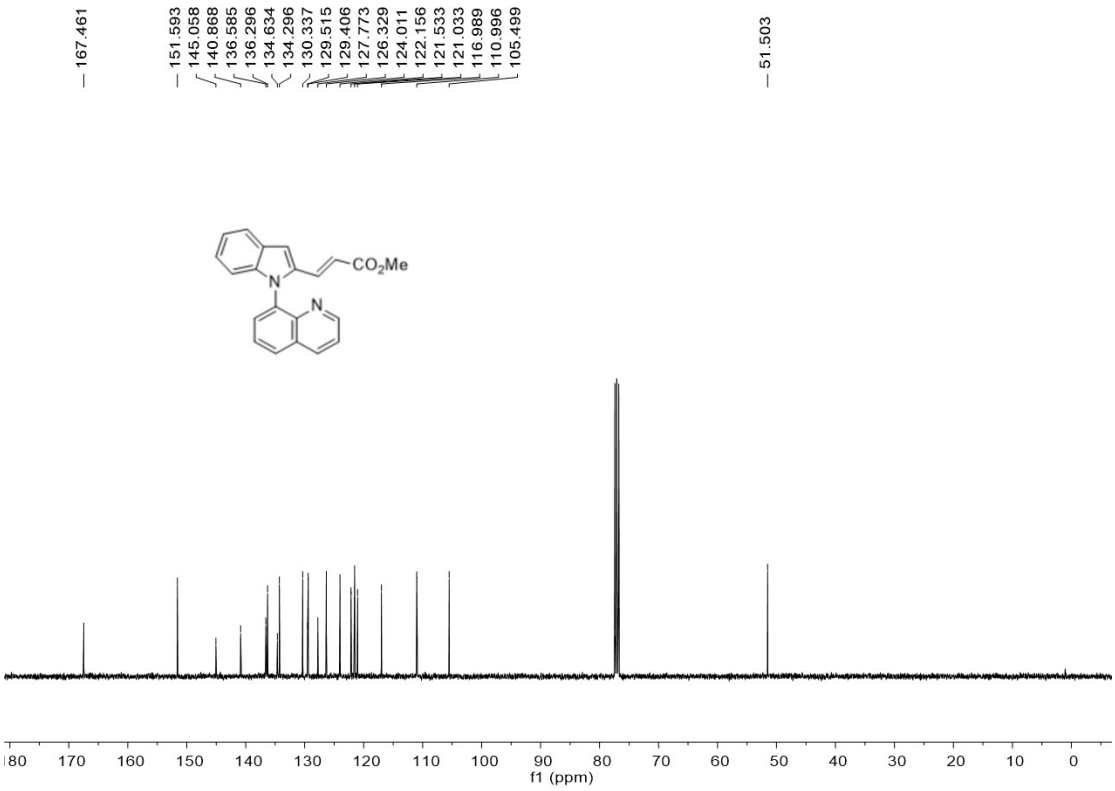




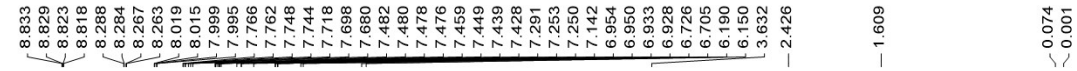


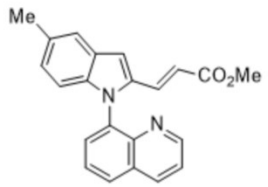
(3aa) methyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

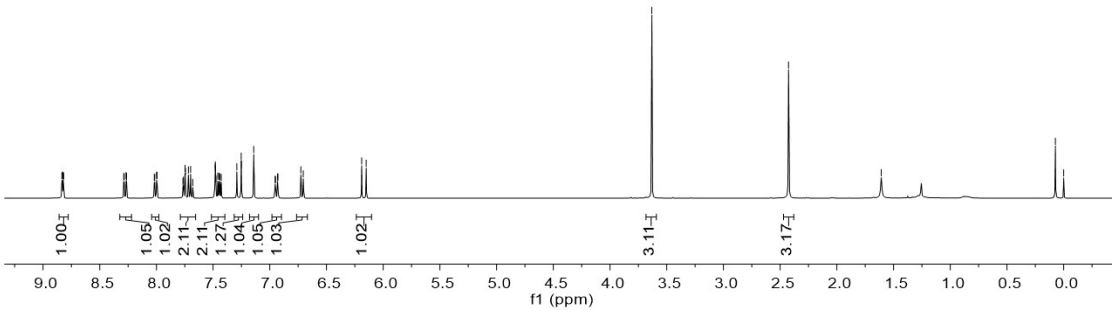


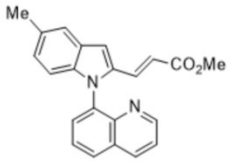


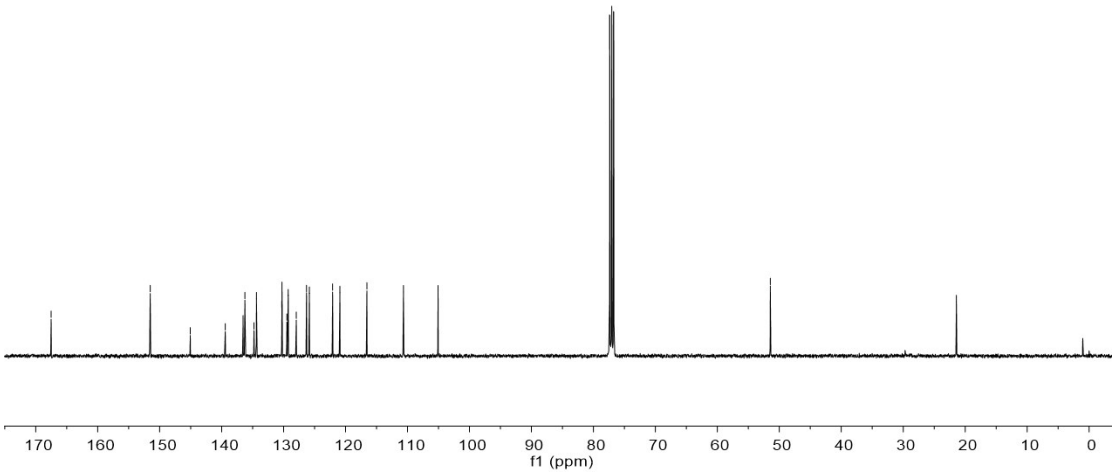
(3ba) methyl (*E*)-3-(5-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate



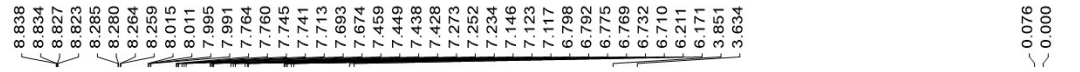


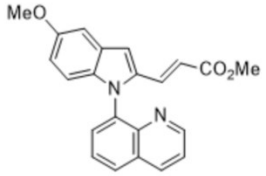


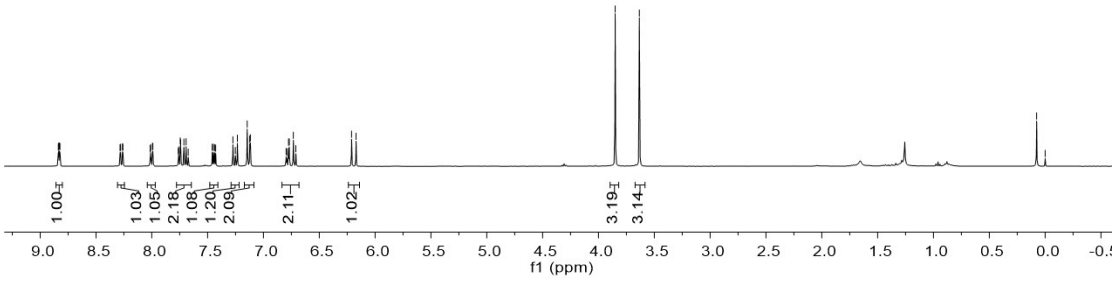


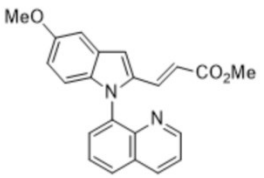


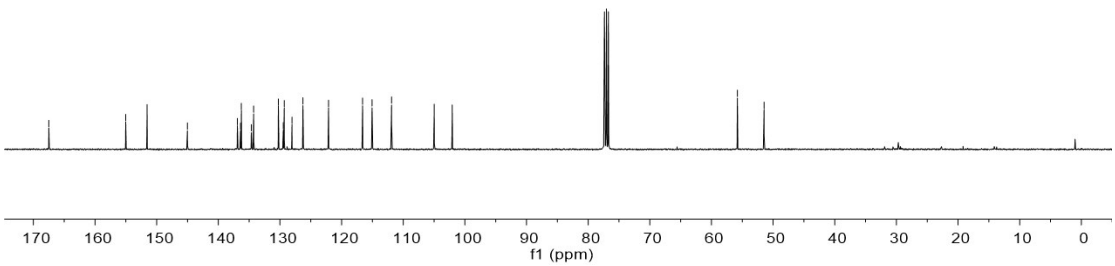
(3ca) methyl (*E*)-3-(5-methoxy-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate



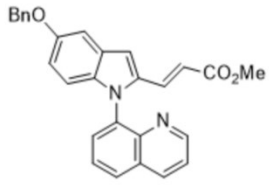


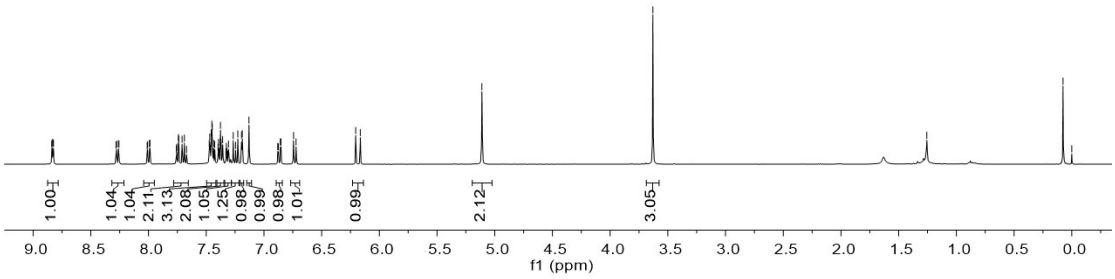


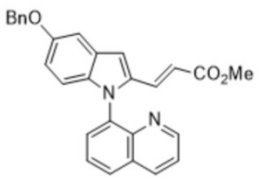


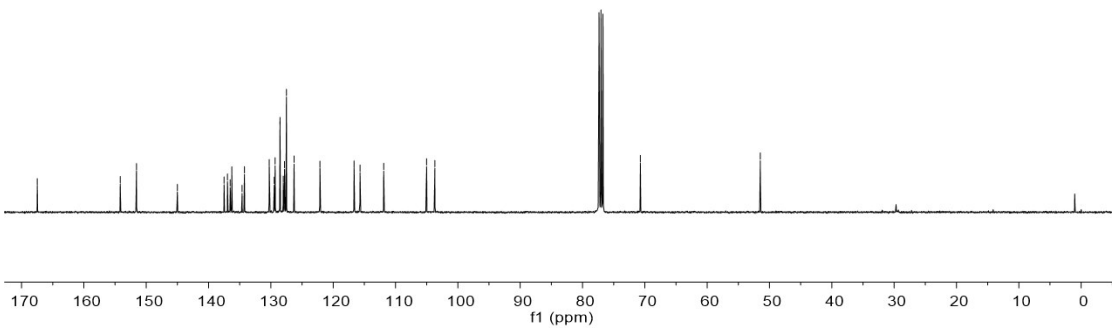


(3da) methyl (*E*)-3-(5-(benzyloxy)-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

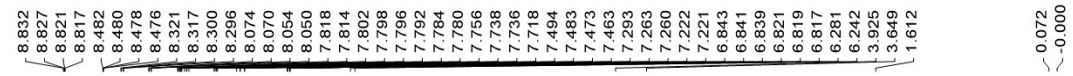


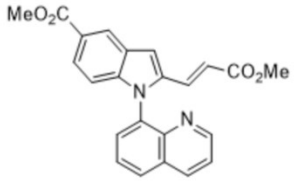


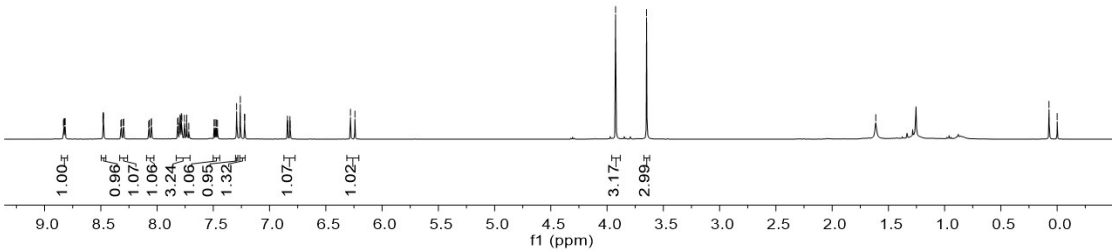


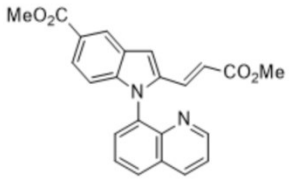


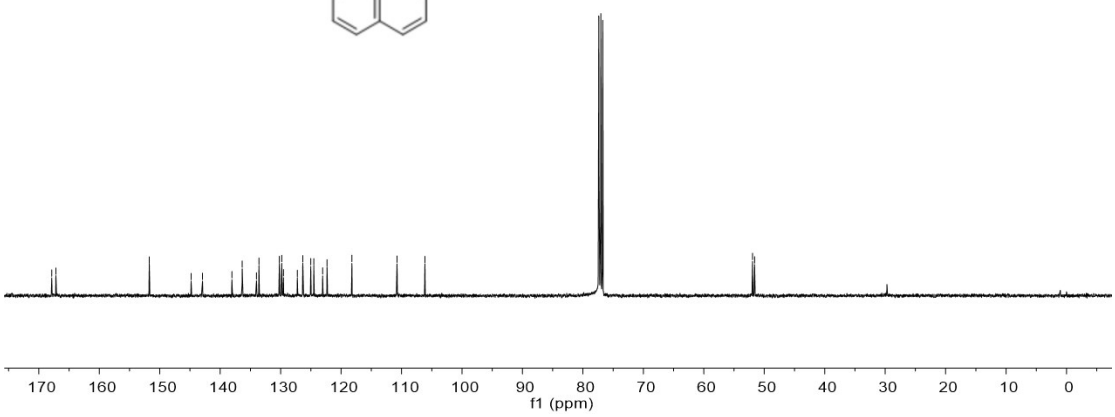
(3ea) methyl (*E*)-2-(3-methoxy-3-oxoprop-1-en-1-yl)-1-(quinolin-8-yl)-1*H*-indole-5-carboxylate



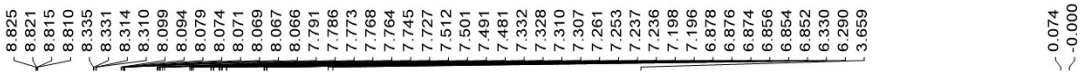


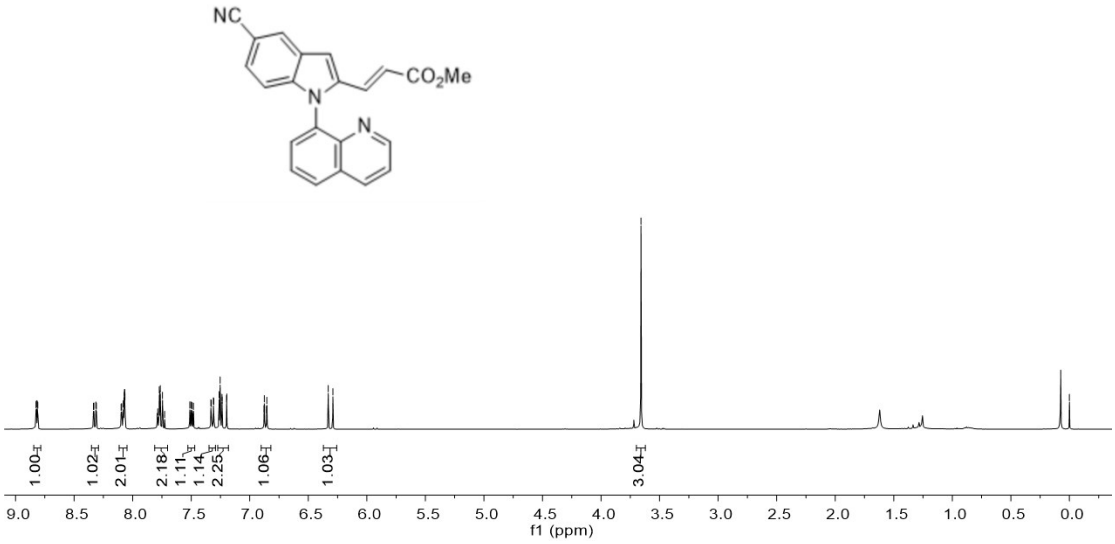


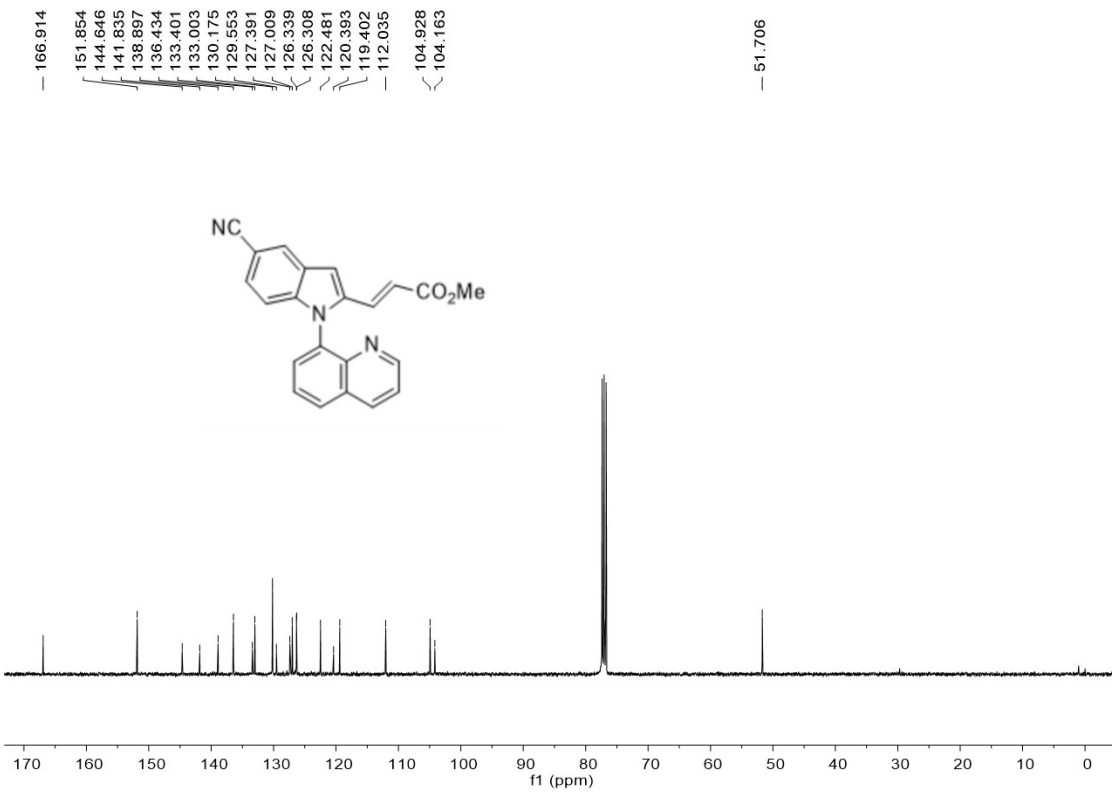




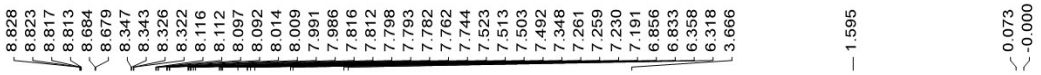
(3fa) methyl (*E*)-3-(5-cyano-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

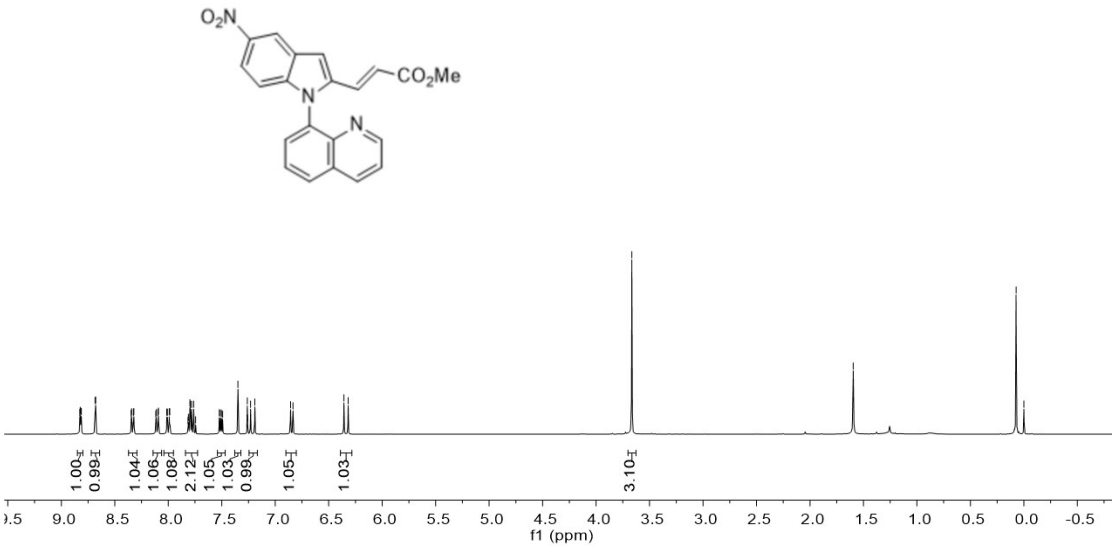


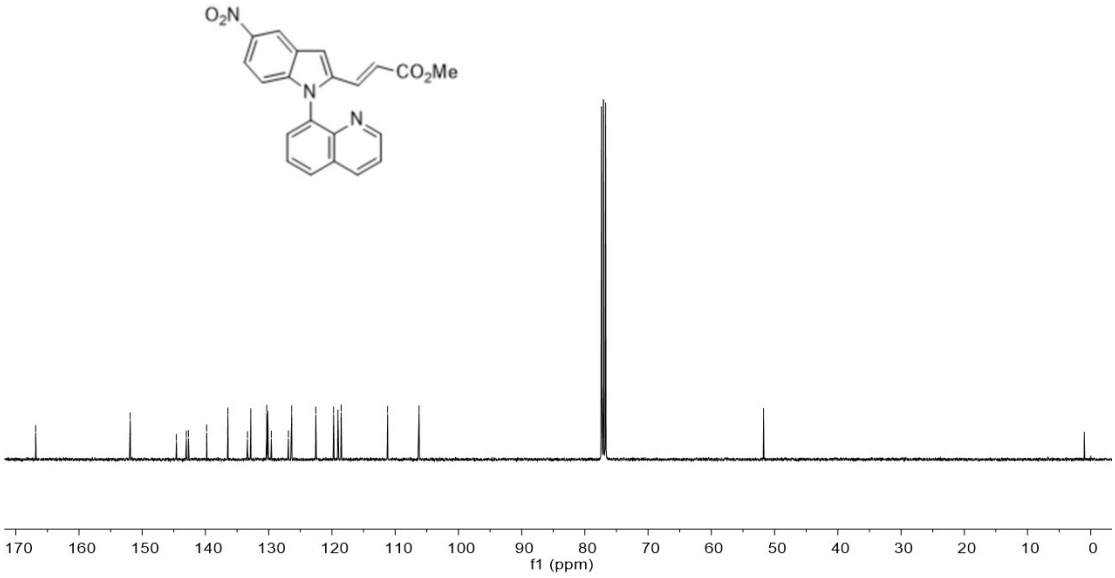




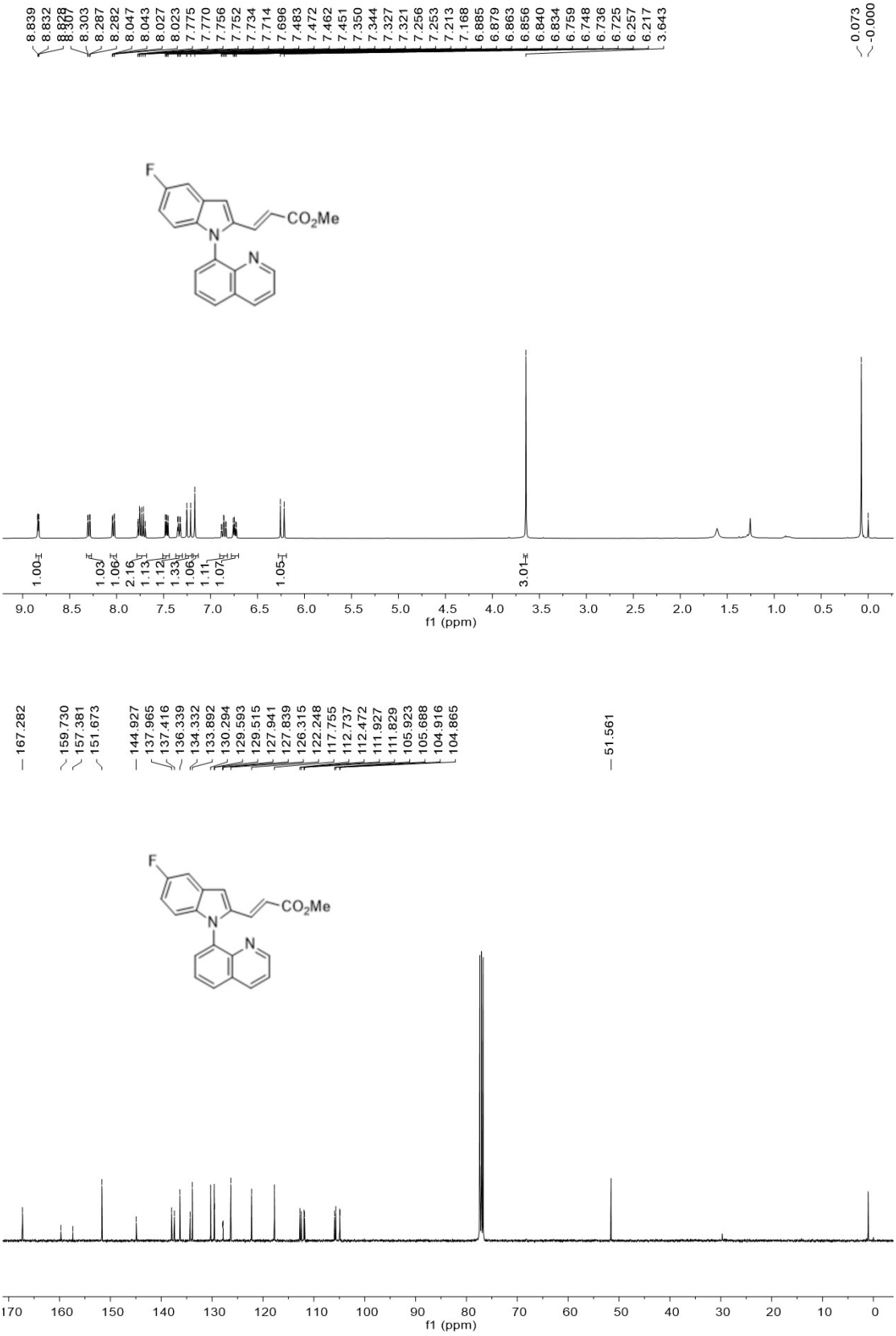
(3ga) methyl (*E*)-3-(5-nitro-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate



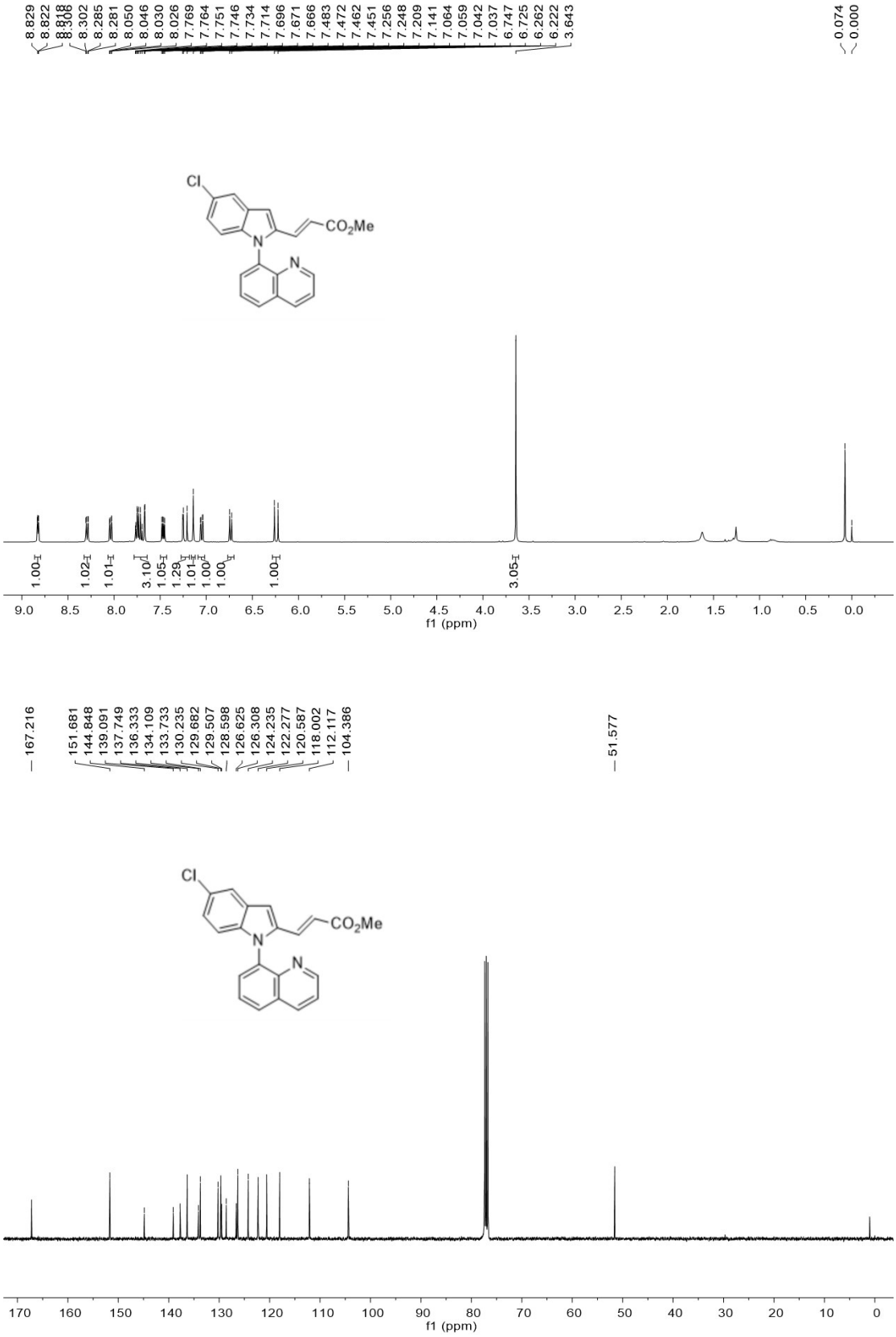




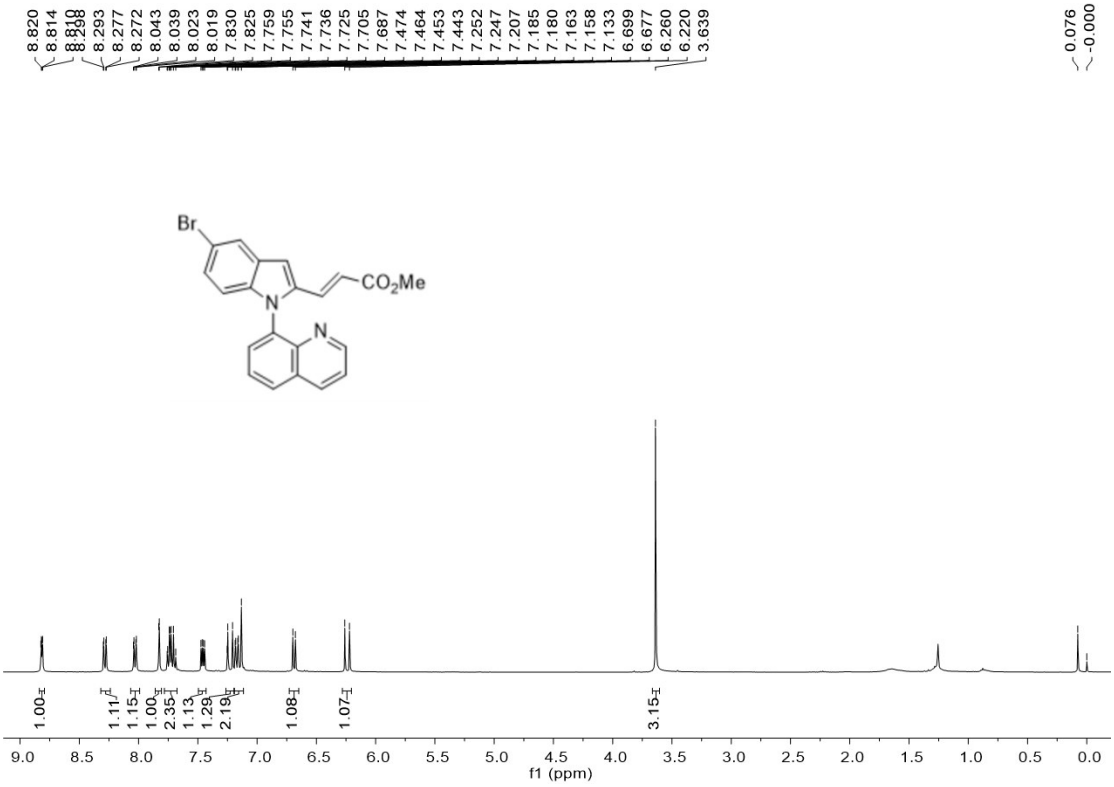
(3ha) methyl (*E*)-3-(5-fluoro-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

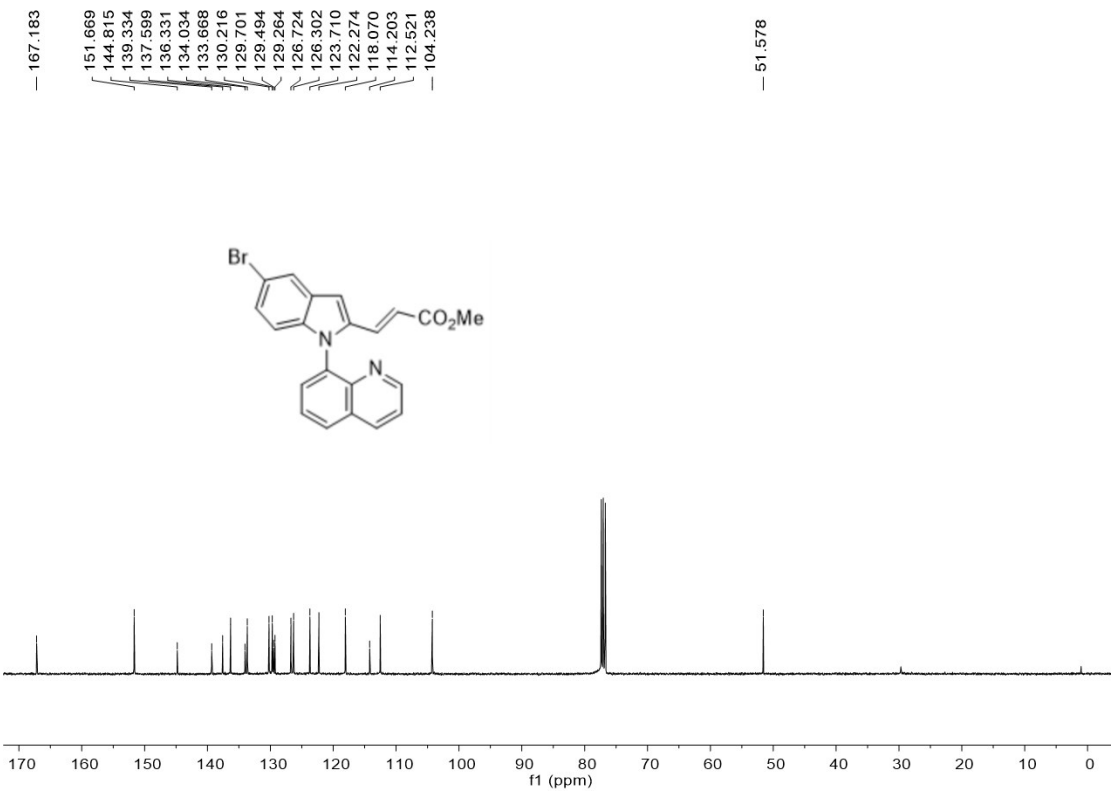


(3ia) methyl (*E*)-3-(5-chloro-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

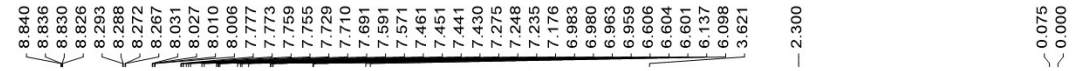


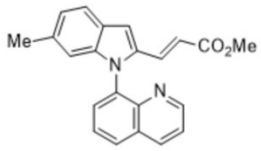
(3ja) methyl (*E*)-3-(5-bromo-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

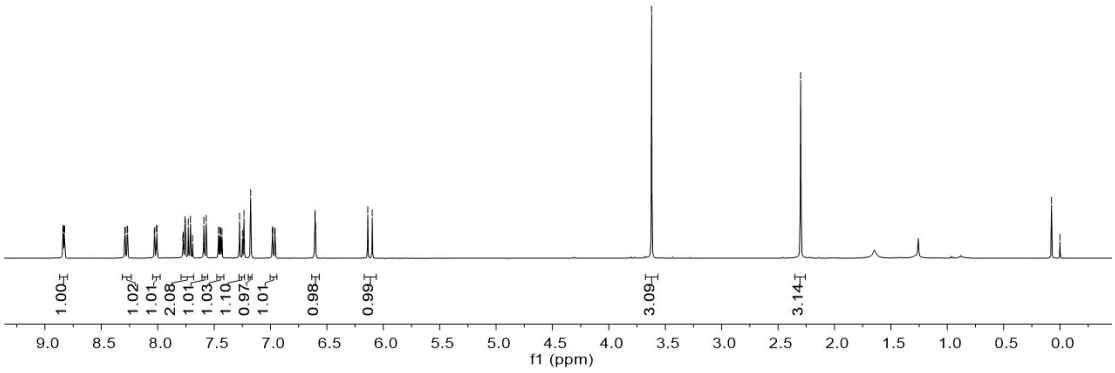


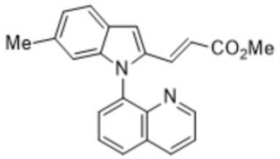


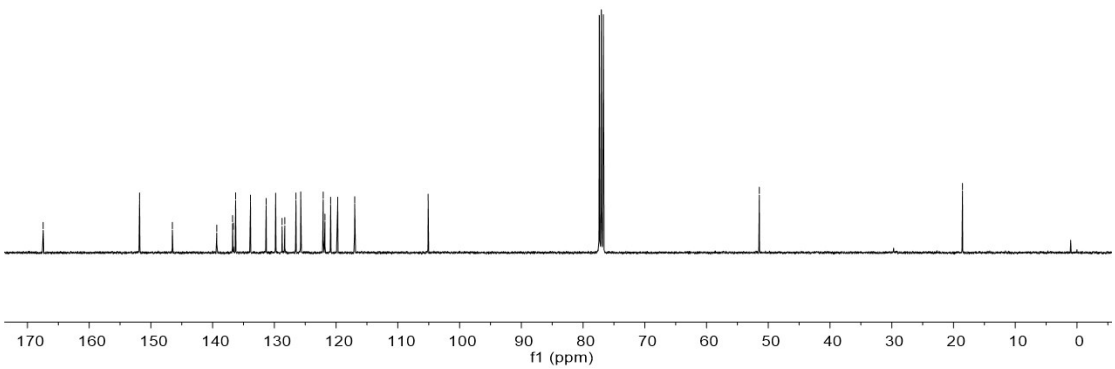
(3ka) methyl (*E*)-3-(6-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate



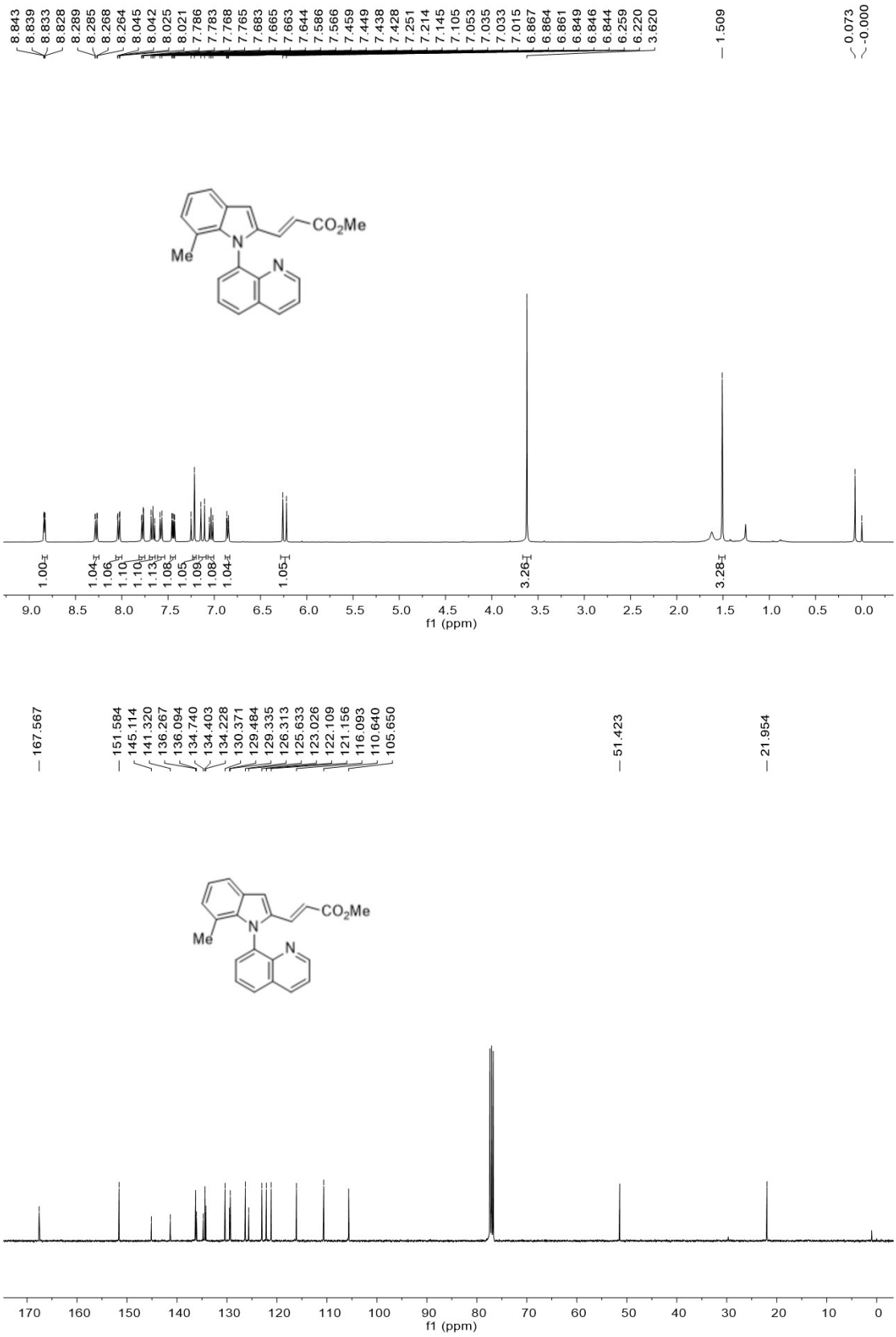




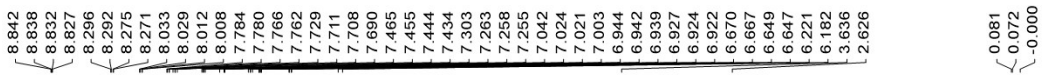


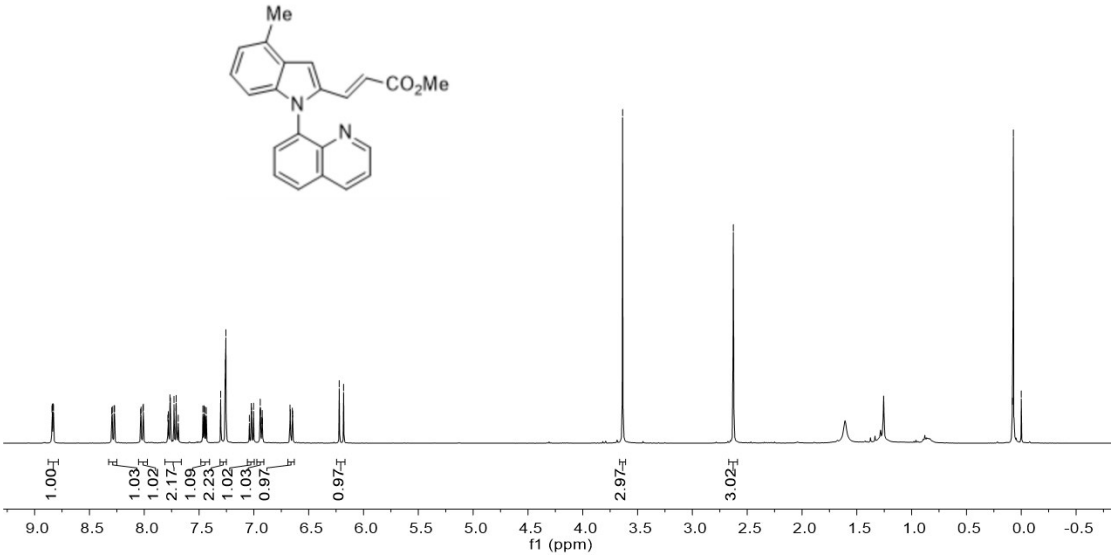


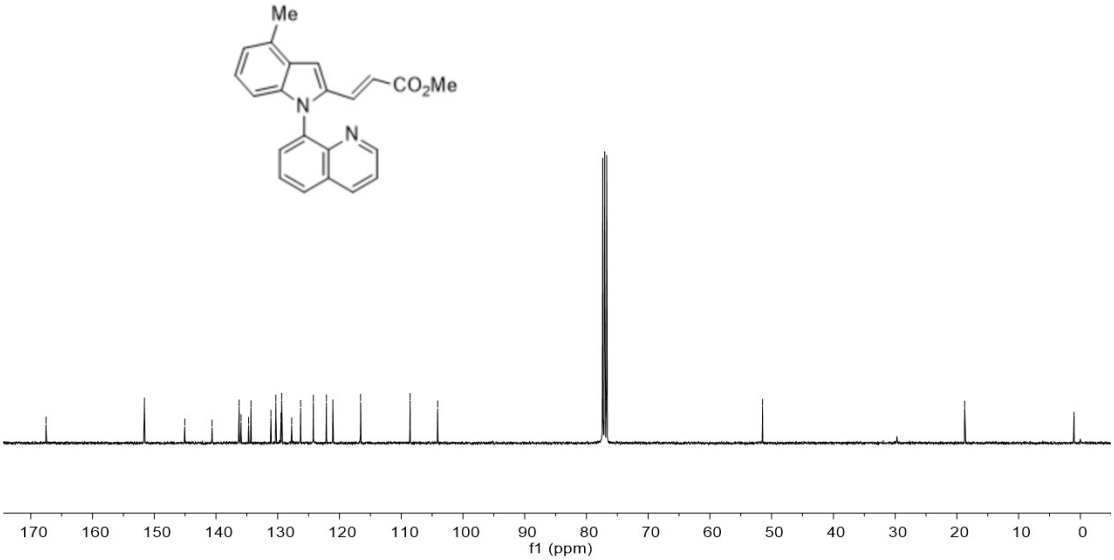
(3la) methyl (*E*)-3-(7-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate



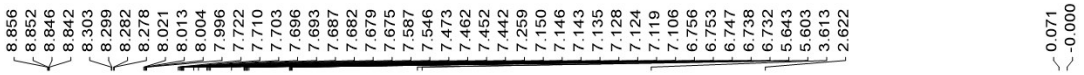
(3ma) methyl (*E*)-3-(4-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

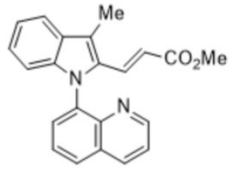


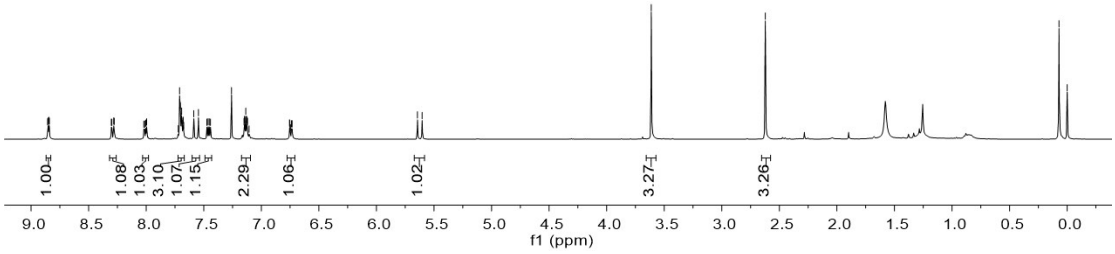


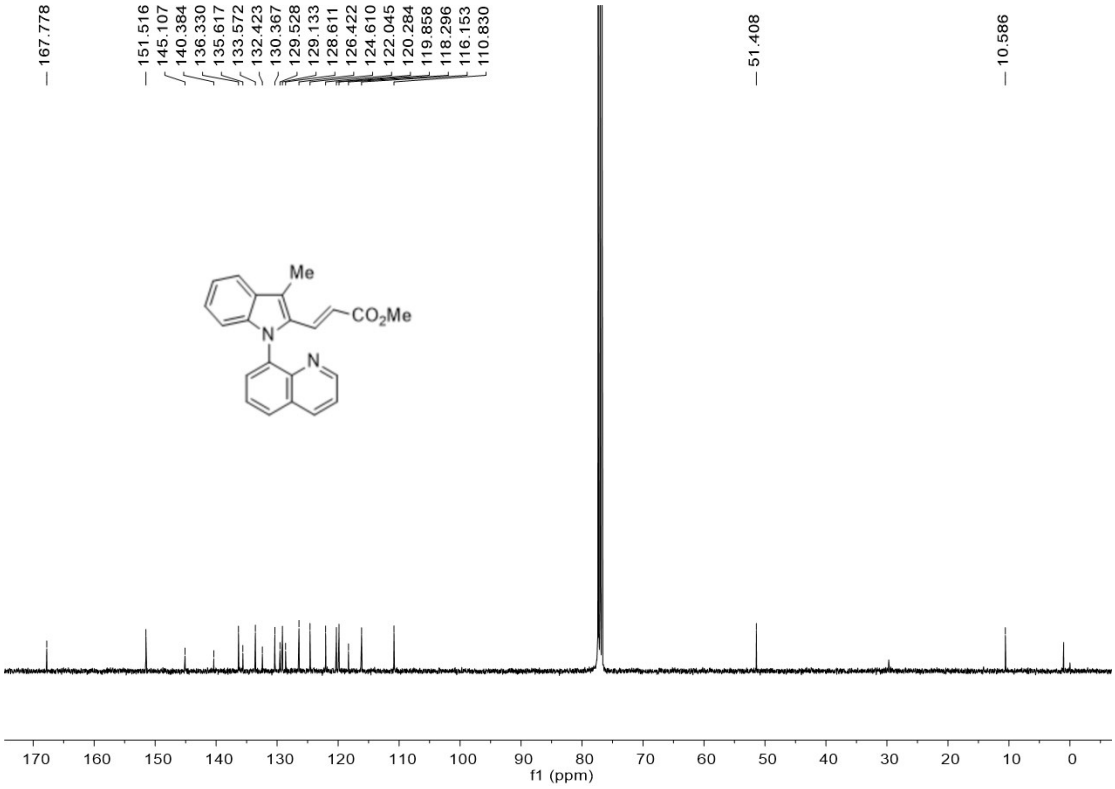


(3na) methyl (*E*)-3-(3-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

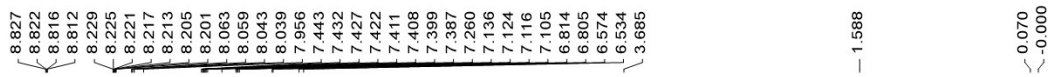


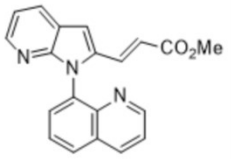


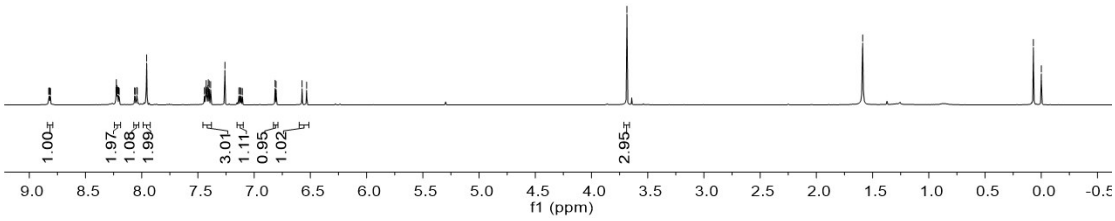


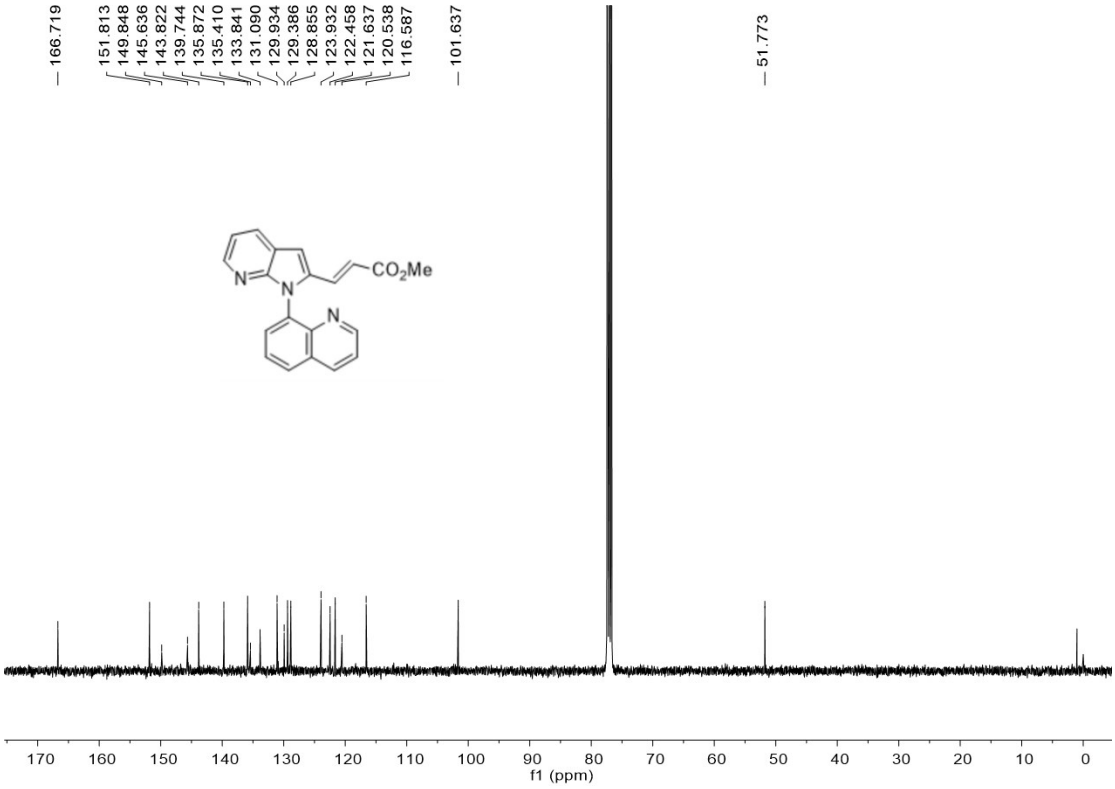


(3oa) methyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-pyrrolo[2,3-b] pyridin-2-yl) acrylate

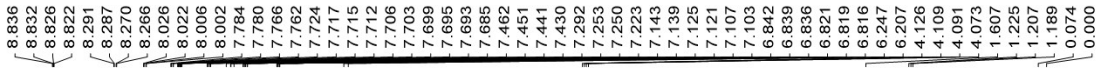


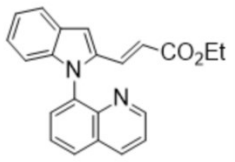


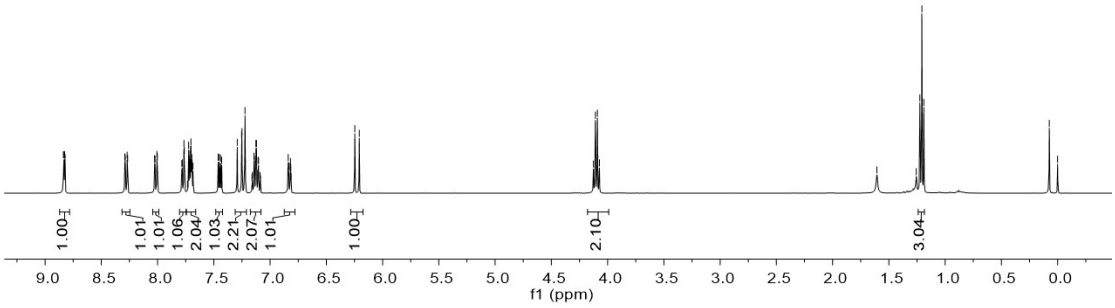


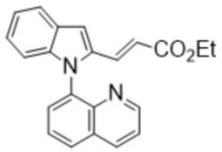


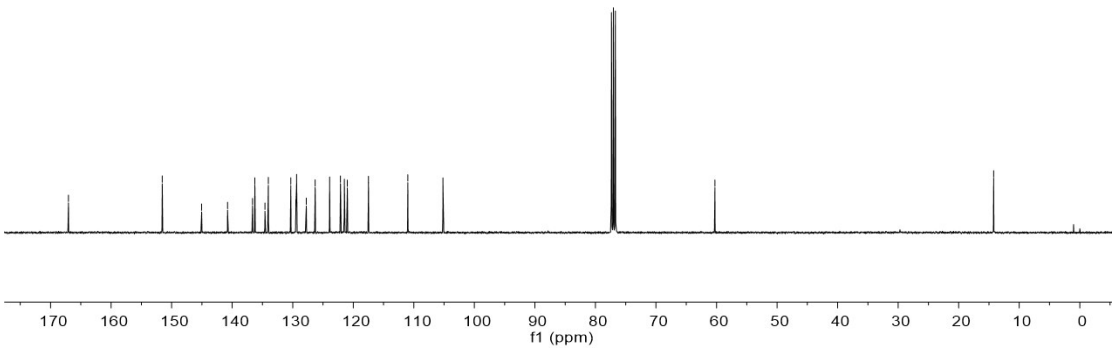
(3ab) ethyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate



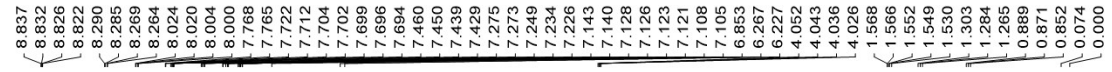


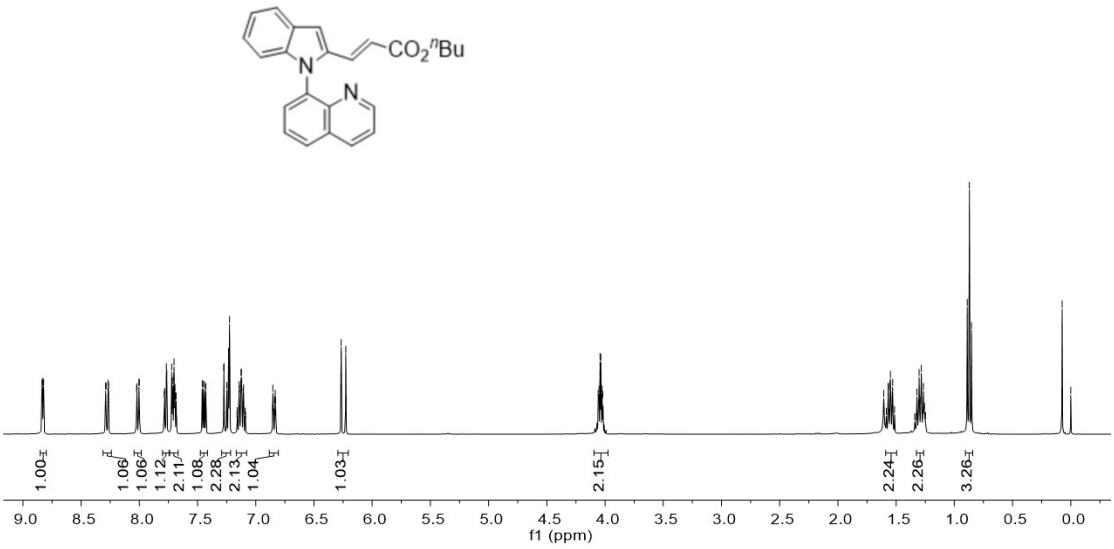


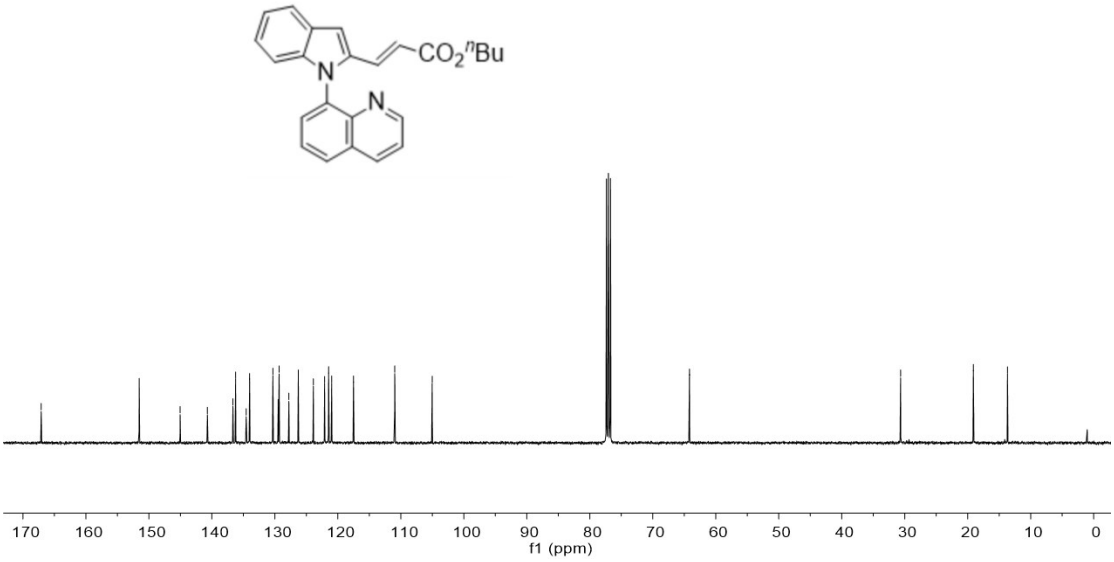




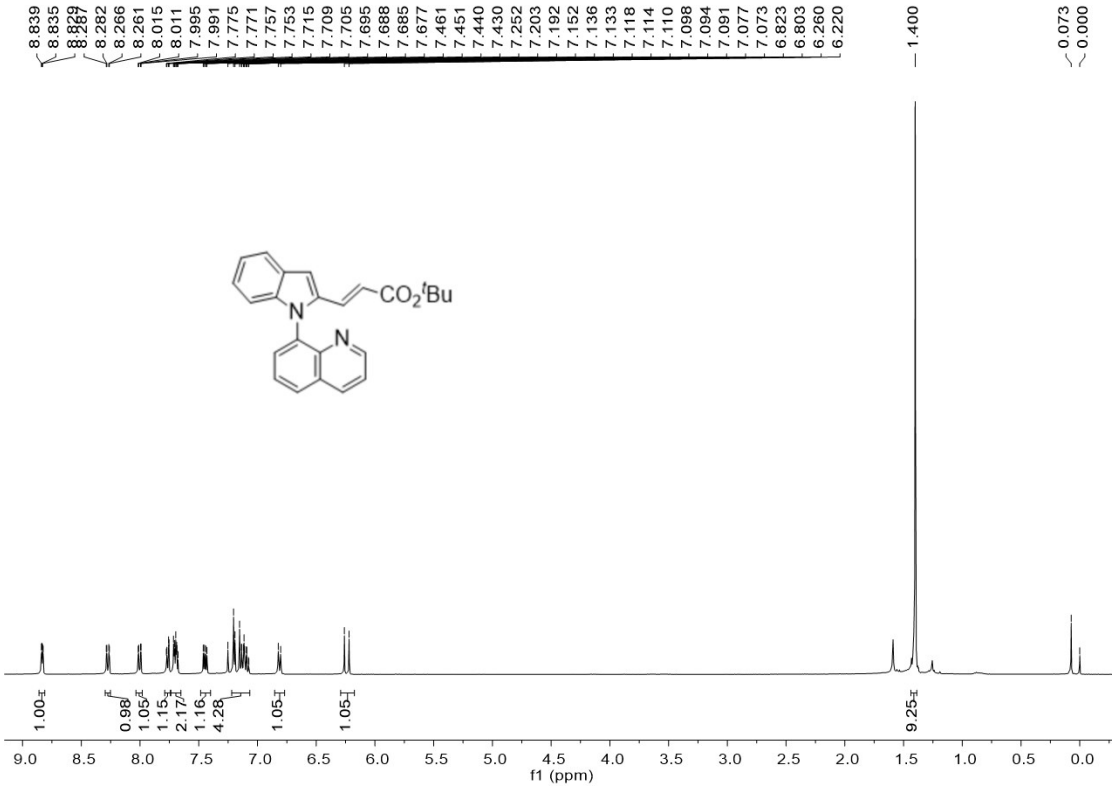
(3ac) butyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

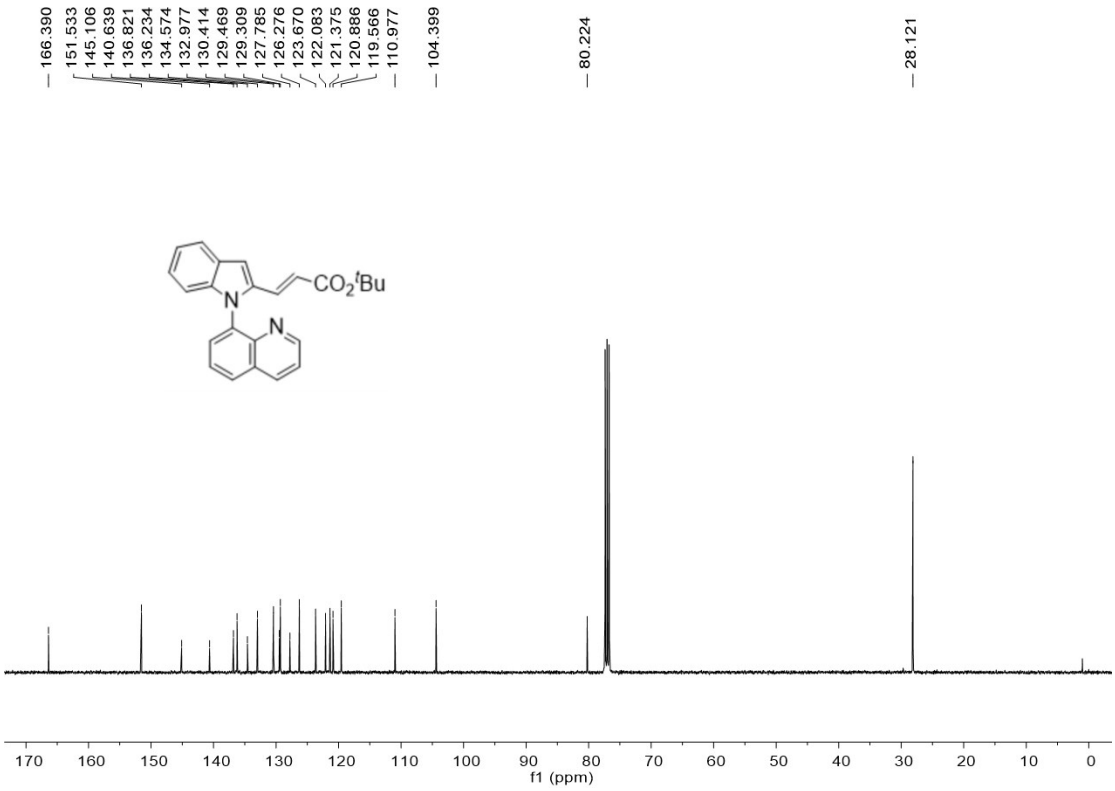




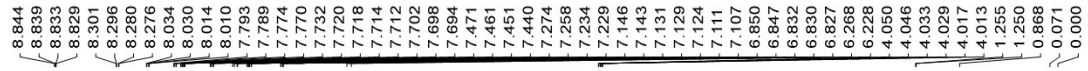


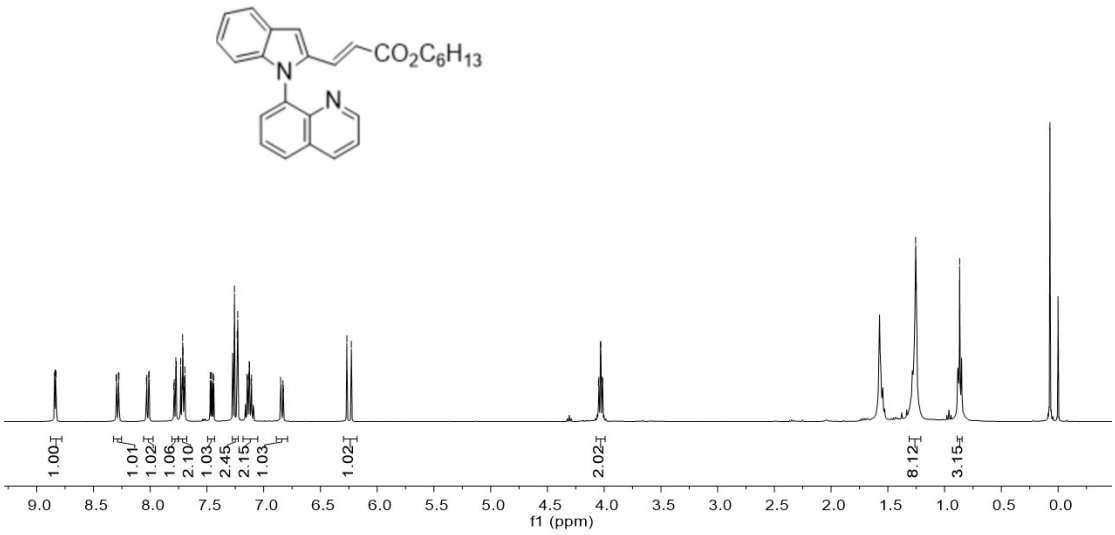
(3ad) tert-butyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

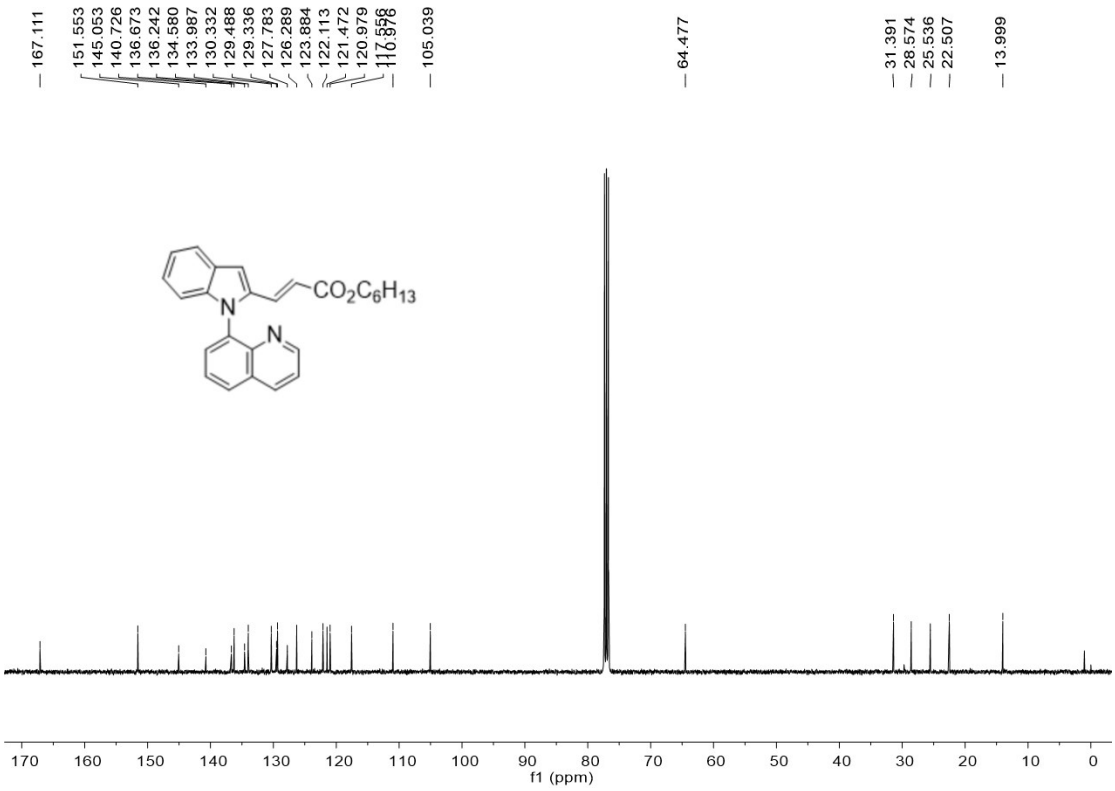




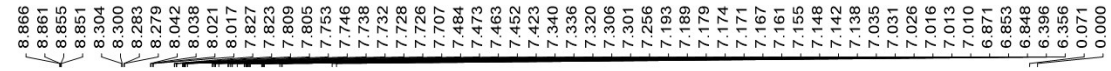
(3ae) hexyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

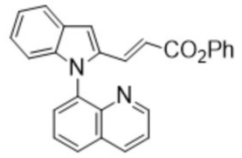


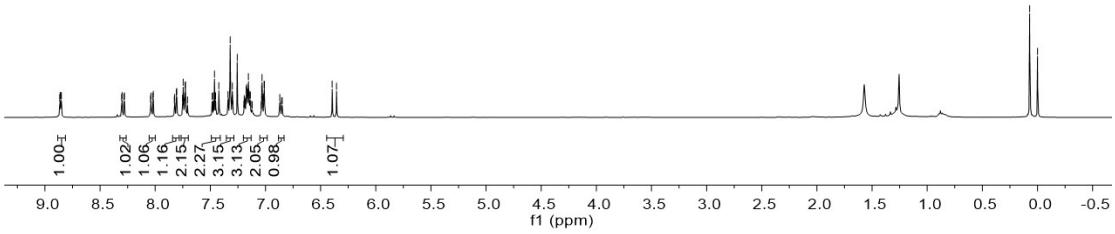


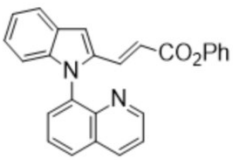


(3af) phenyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

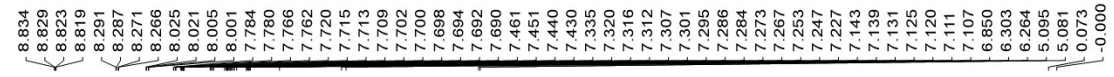


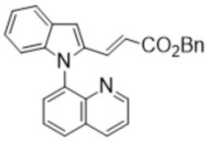


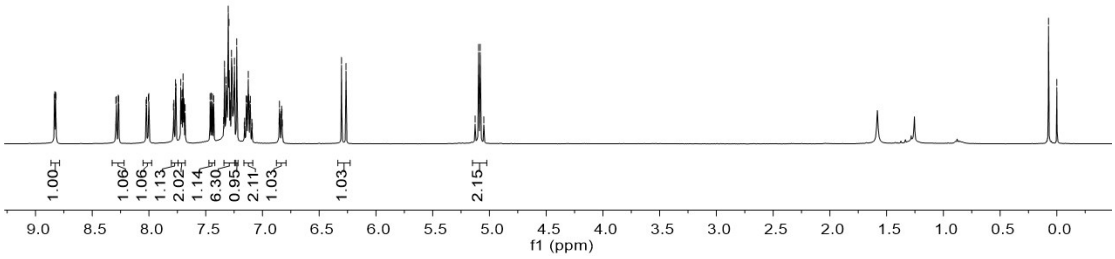


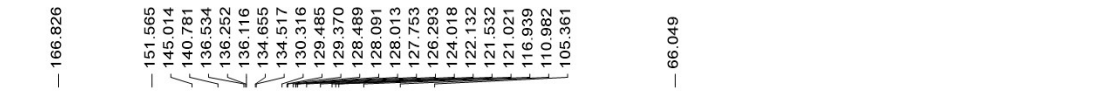


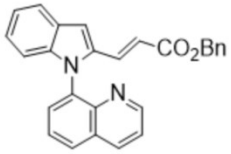
(3ag) benzyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

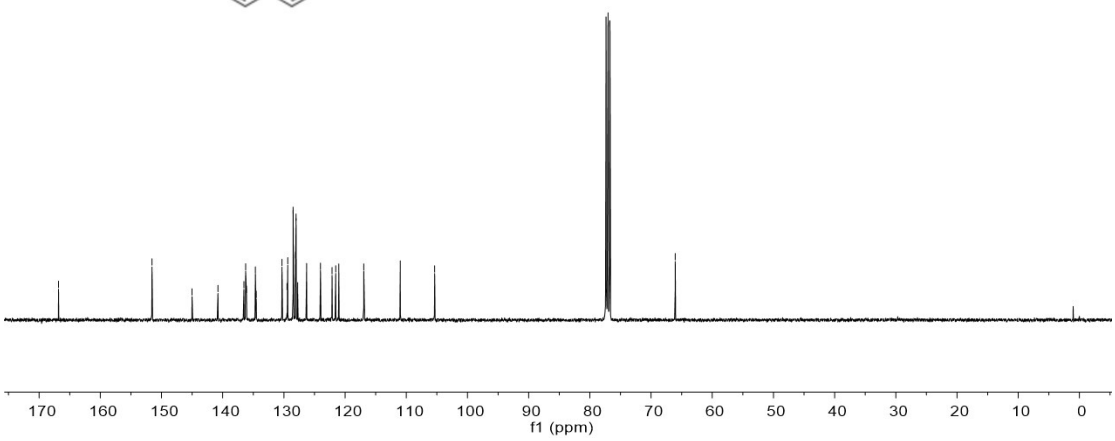




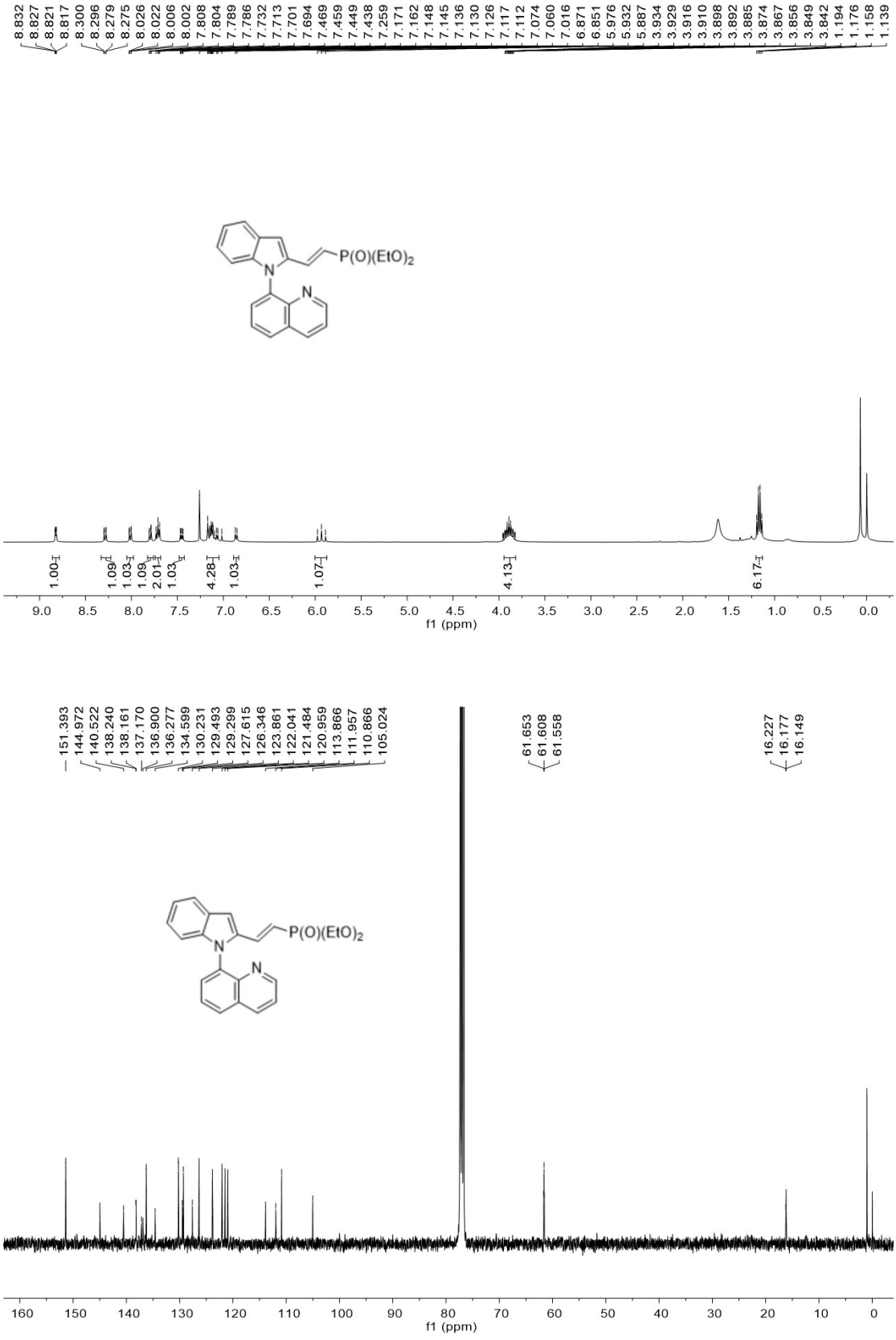


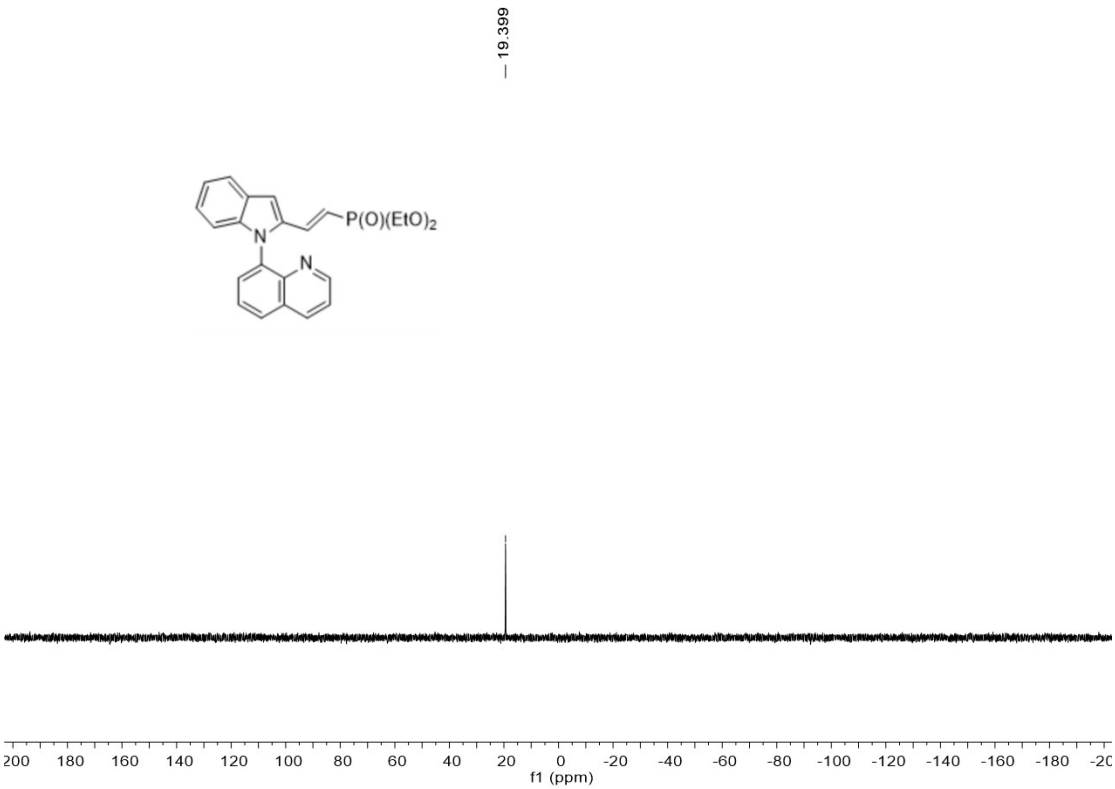




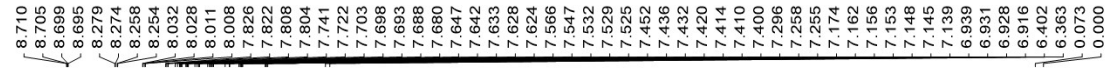


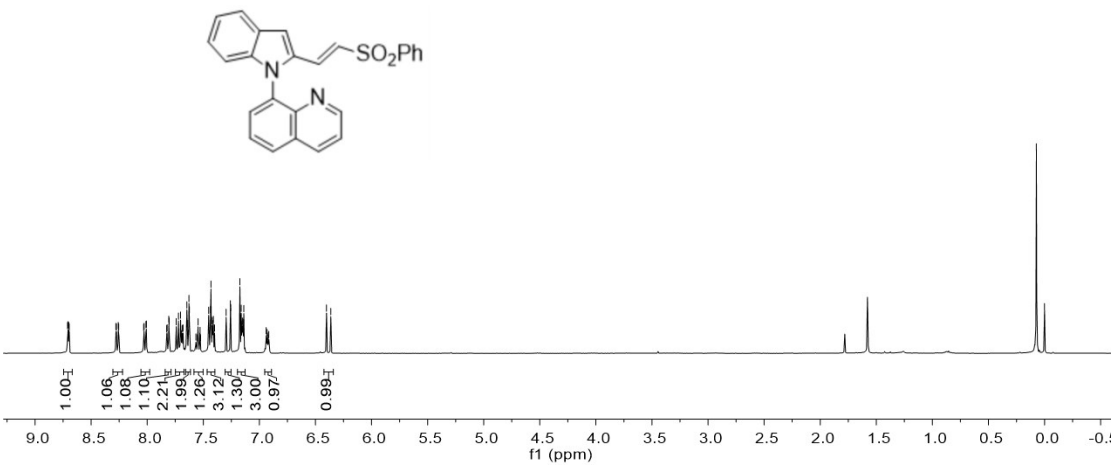
(3ah) diethyl (*E*)-(2-(1-(quinolin-8-yl)-1*H*-indol-2-yl) vinyl) phosphonate

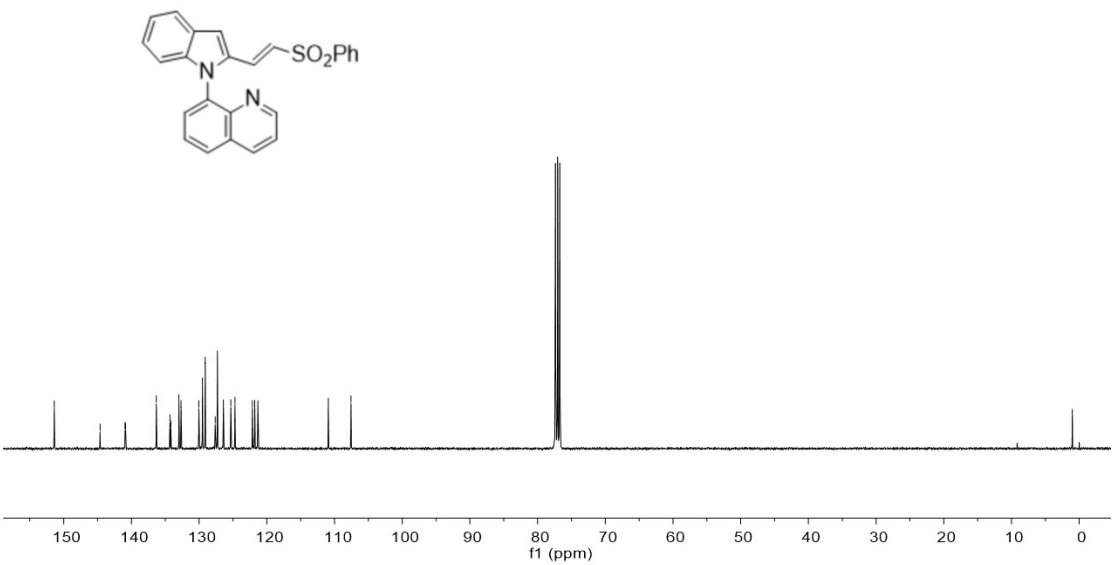



19.399
P(O)(EtO)2
N
N
200 180 160 140 120 100 80 60 40 20 0 -20 -40 -60 -80 -100 -120 -140 -160 -180 -20
f1 (ppm)

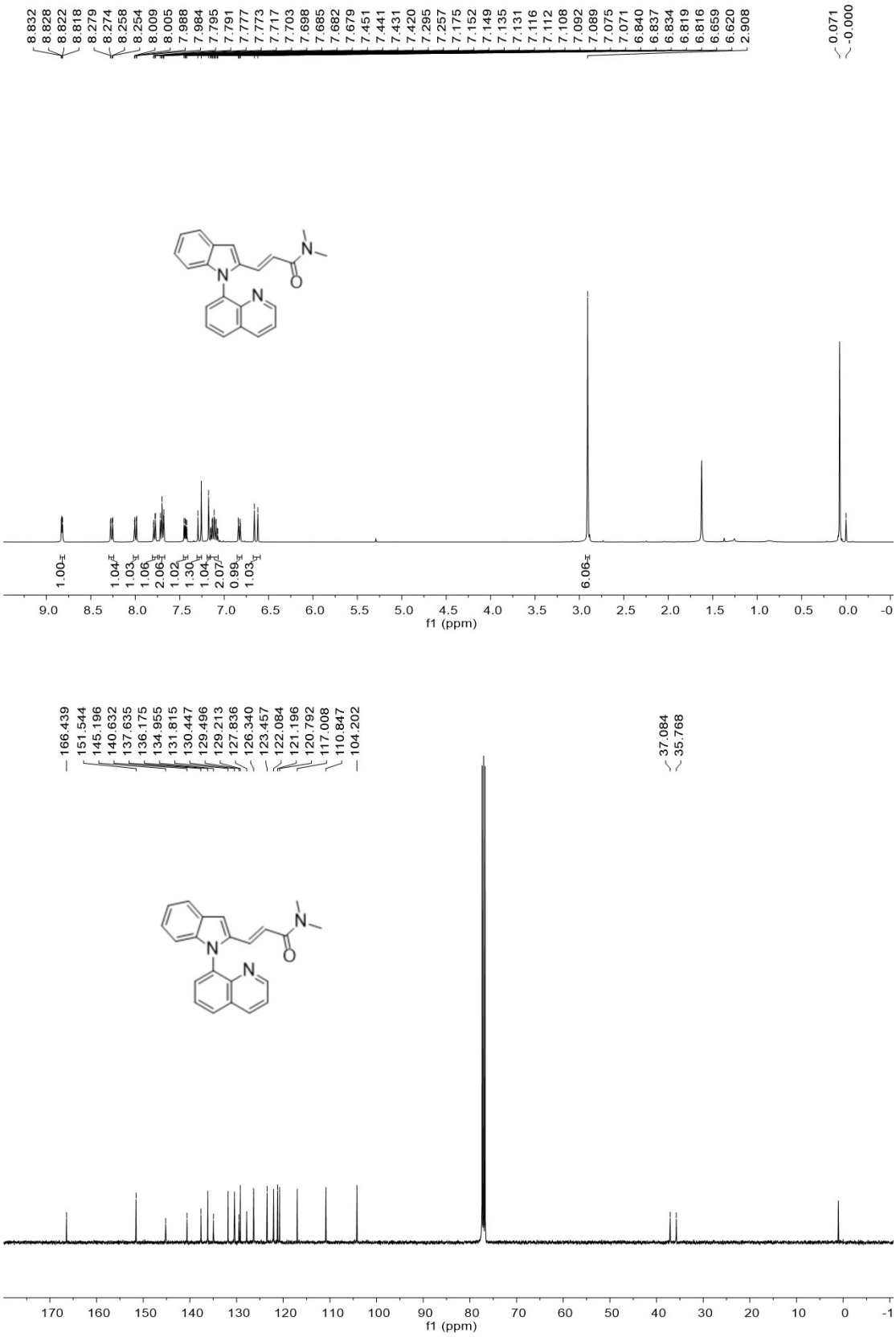
(3ai) (*E*)-8-(2-(2-(phenylsulfonyl) vinyl)-1*H*-indol-1-yl) quinoline



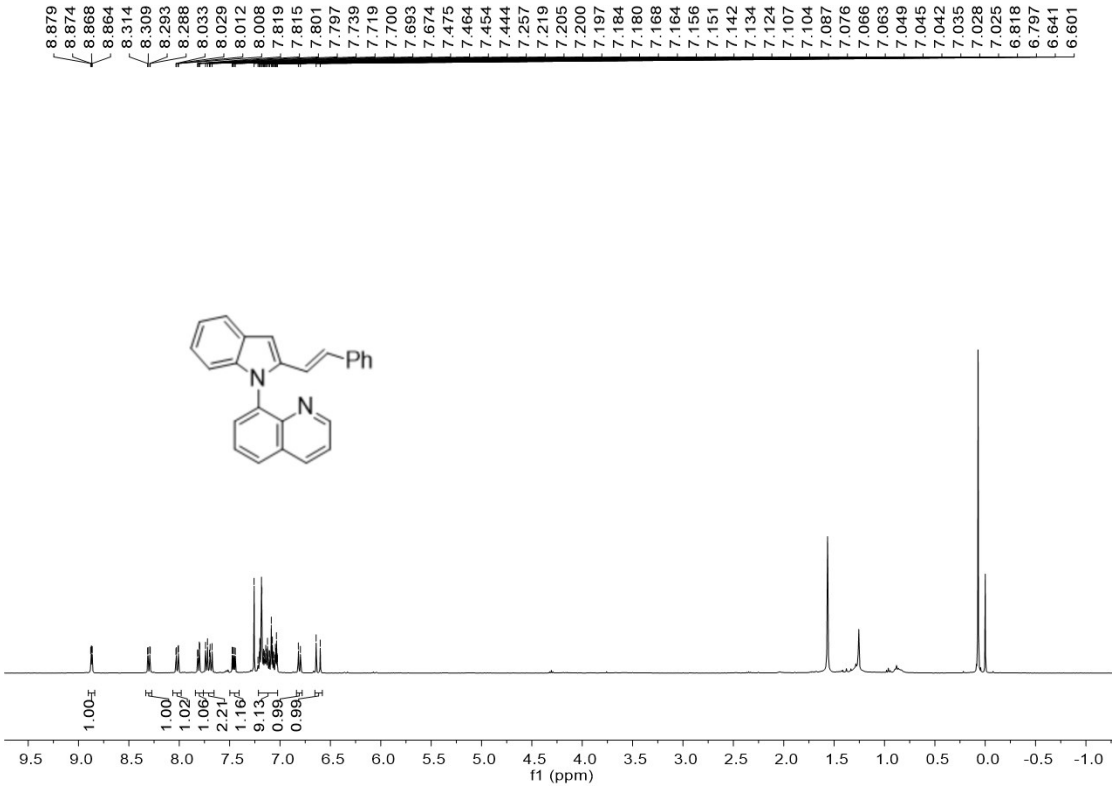


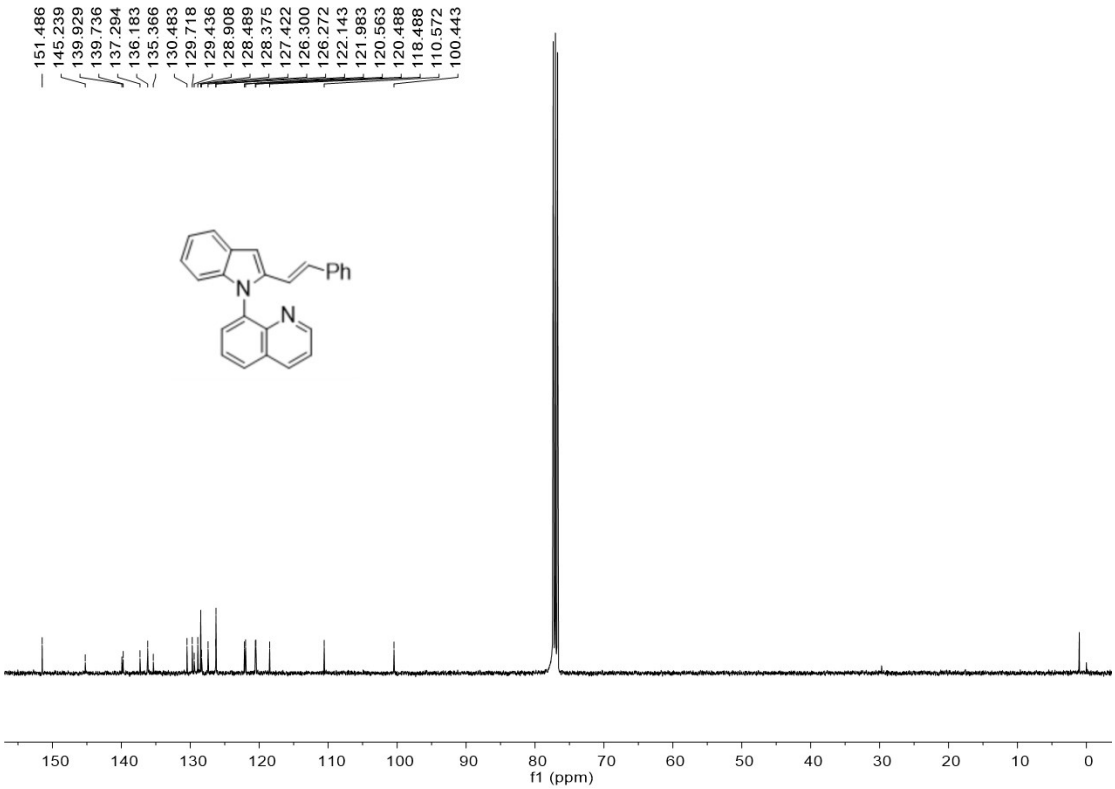


(3aj) (*E*)-*N*, *N*-dimethyl-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylamide

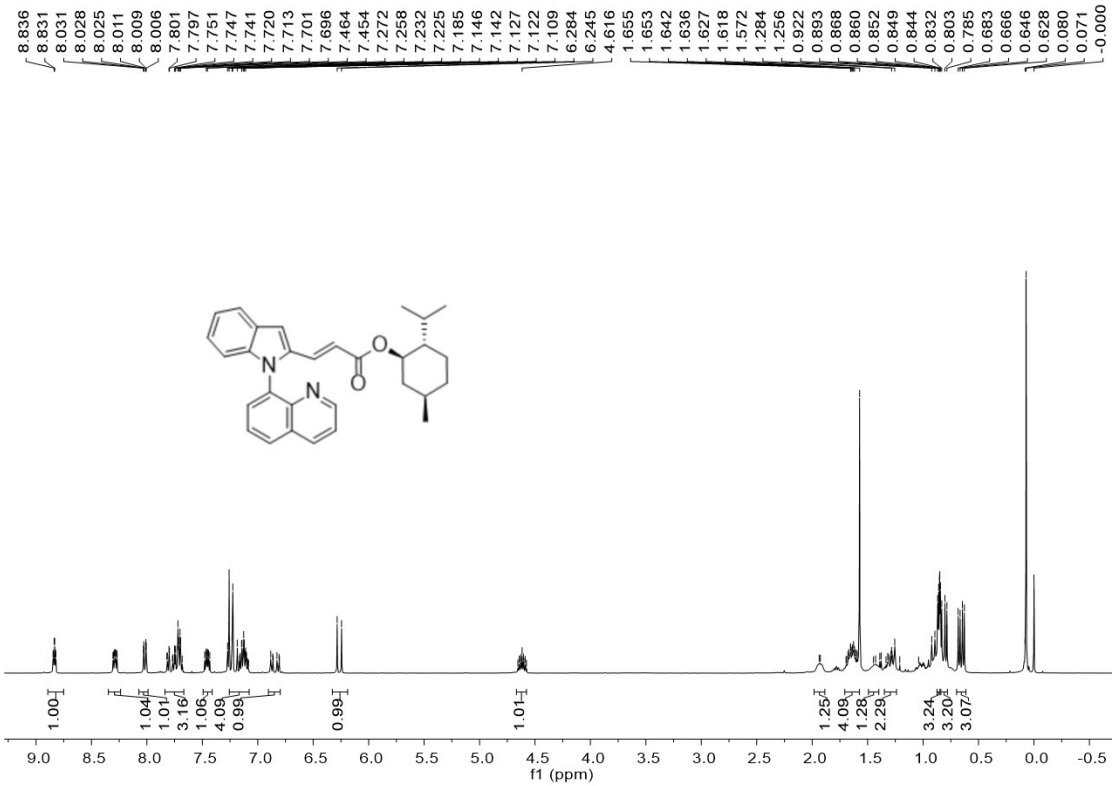


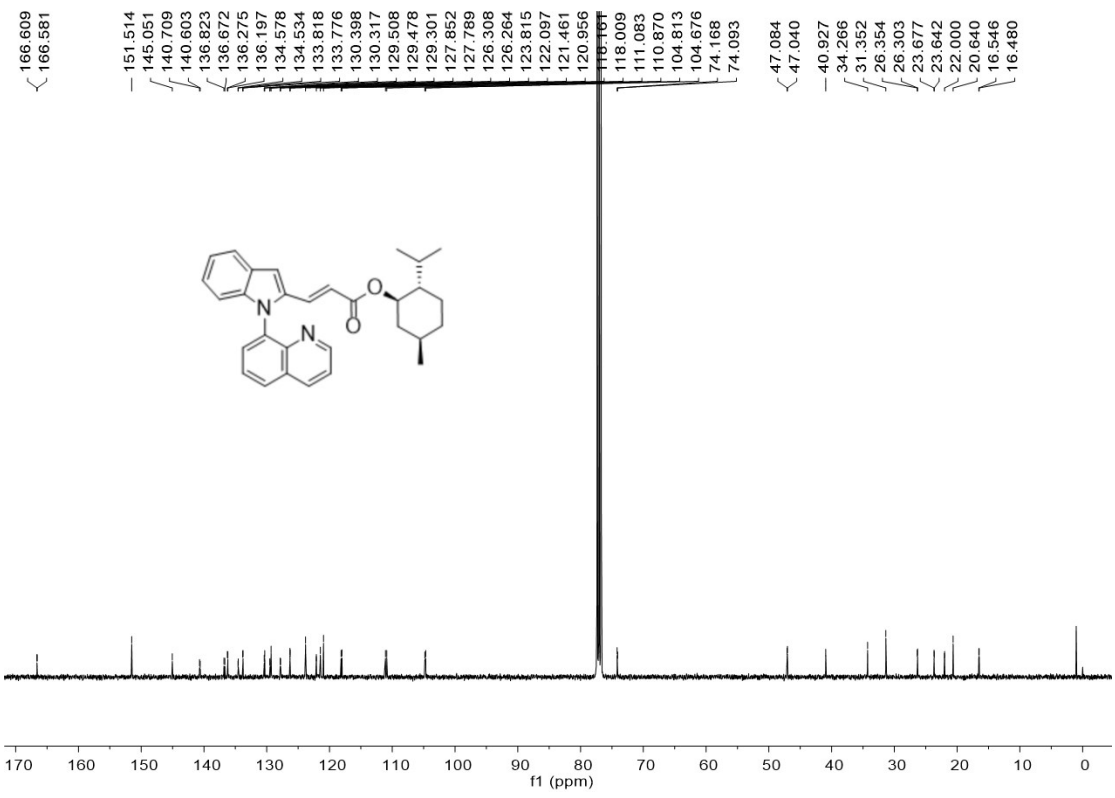
(3ak) (*E*)-8-(2-styryl-1*H*-indol-1-yl) quinoline



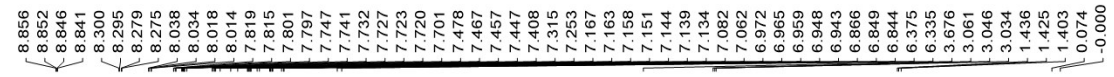


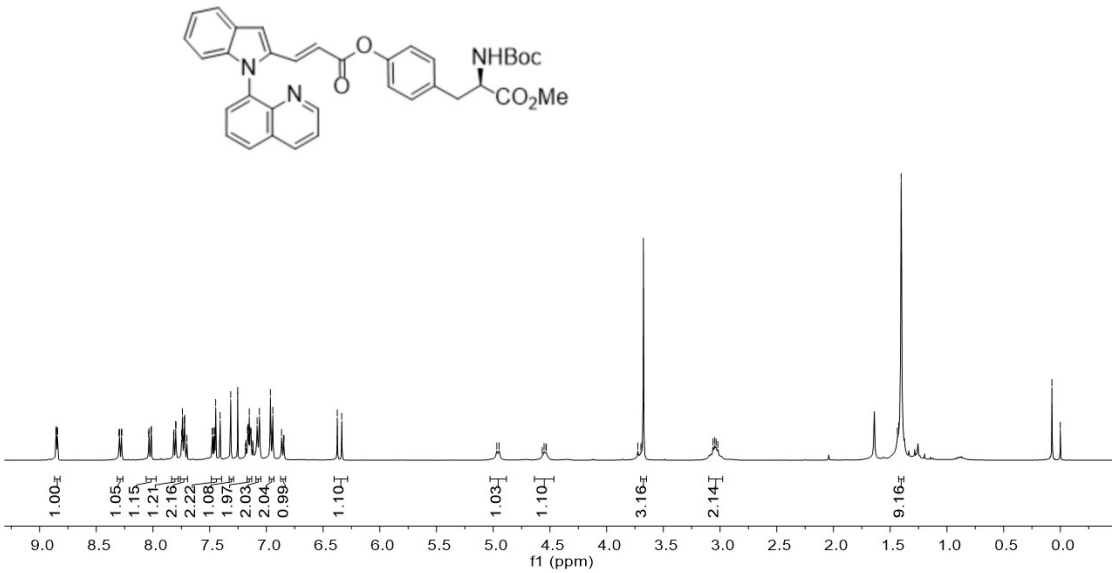
(3al) (1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

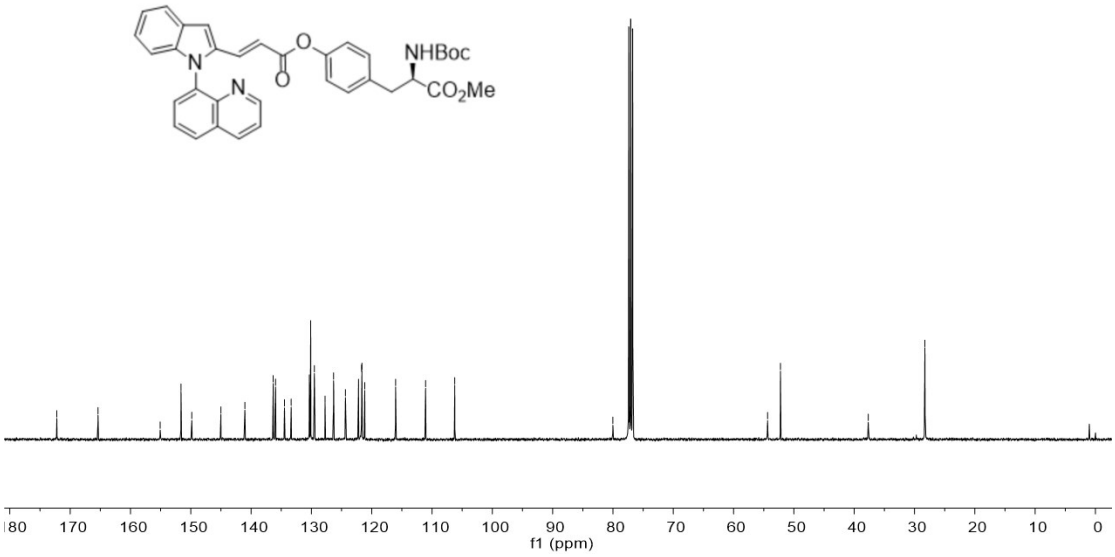




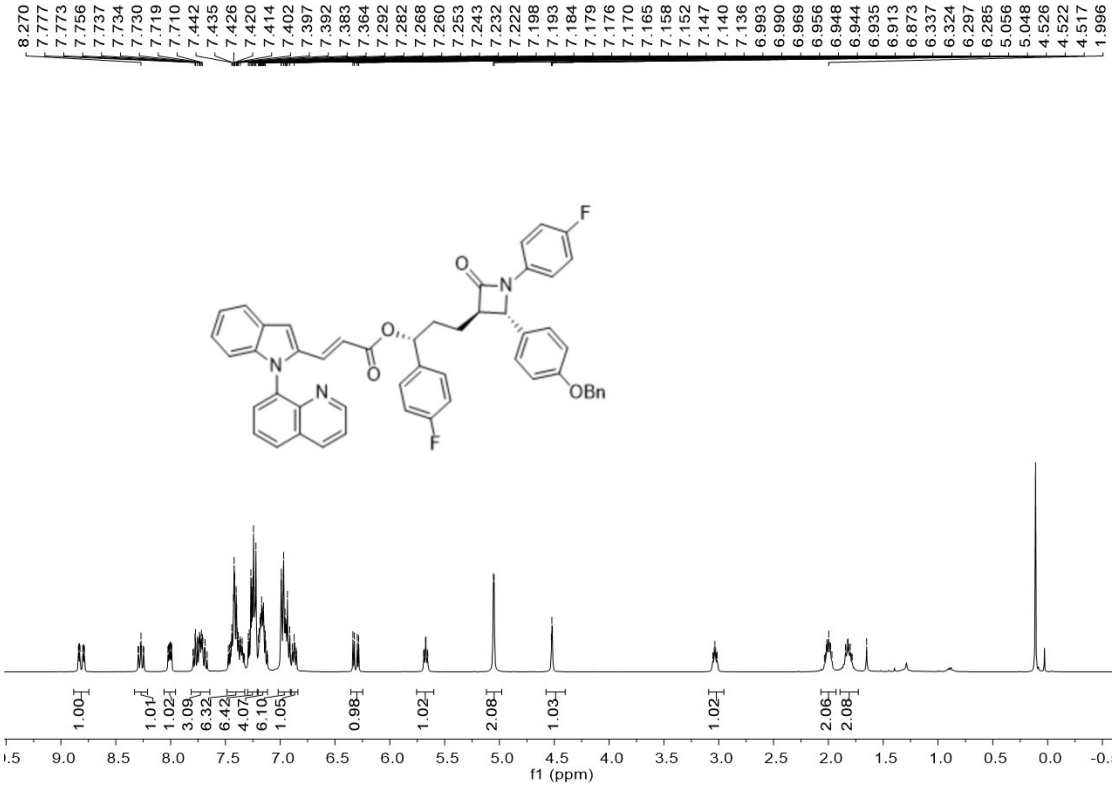
(3am) (*R*)-4-(2-((tert-butoxycarbonyl) amino)-3-methoxy-3-oxopropyl) phenyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

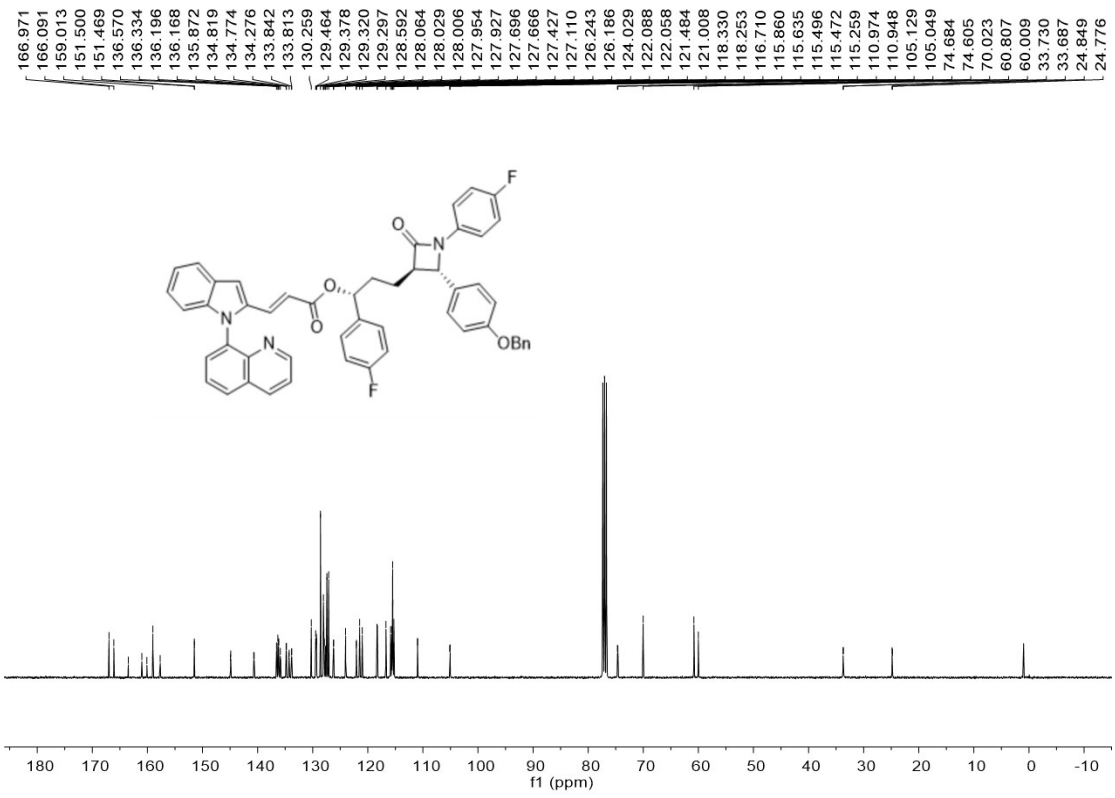




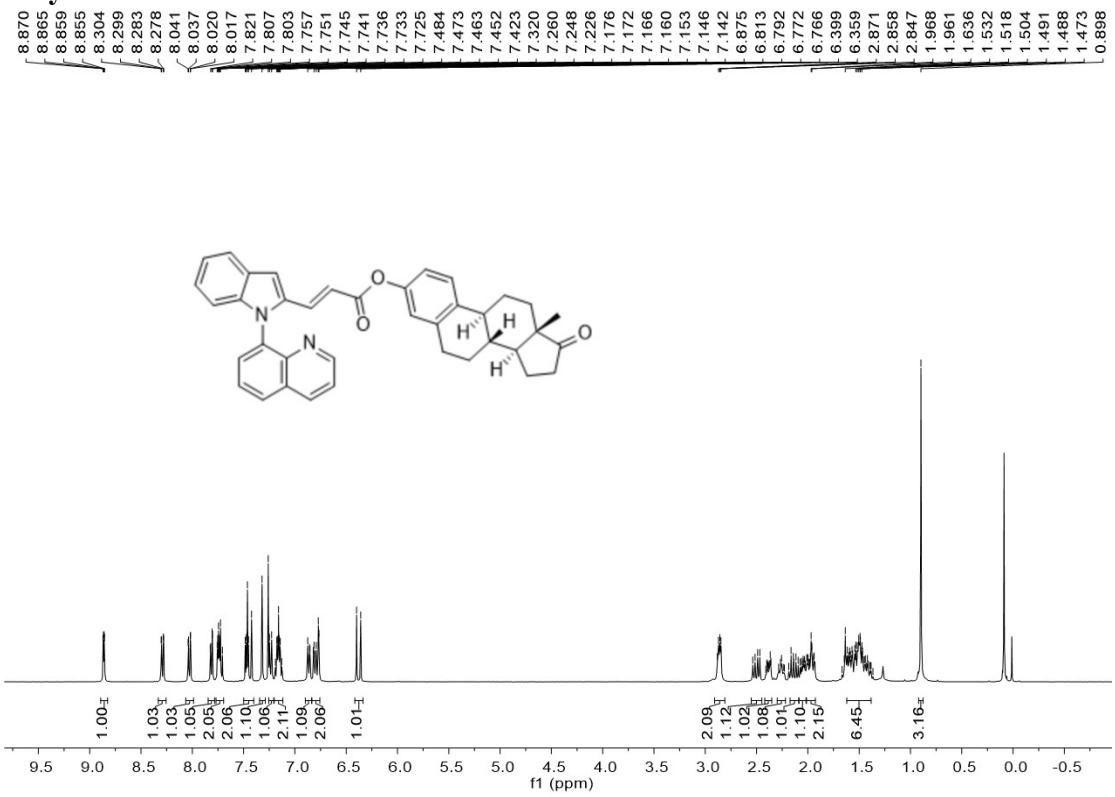


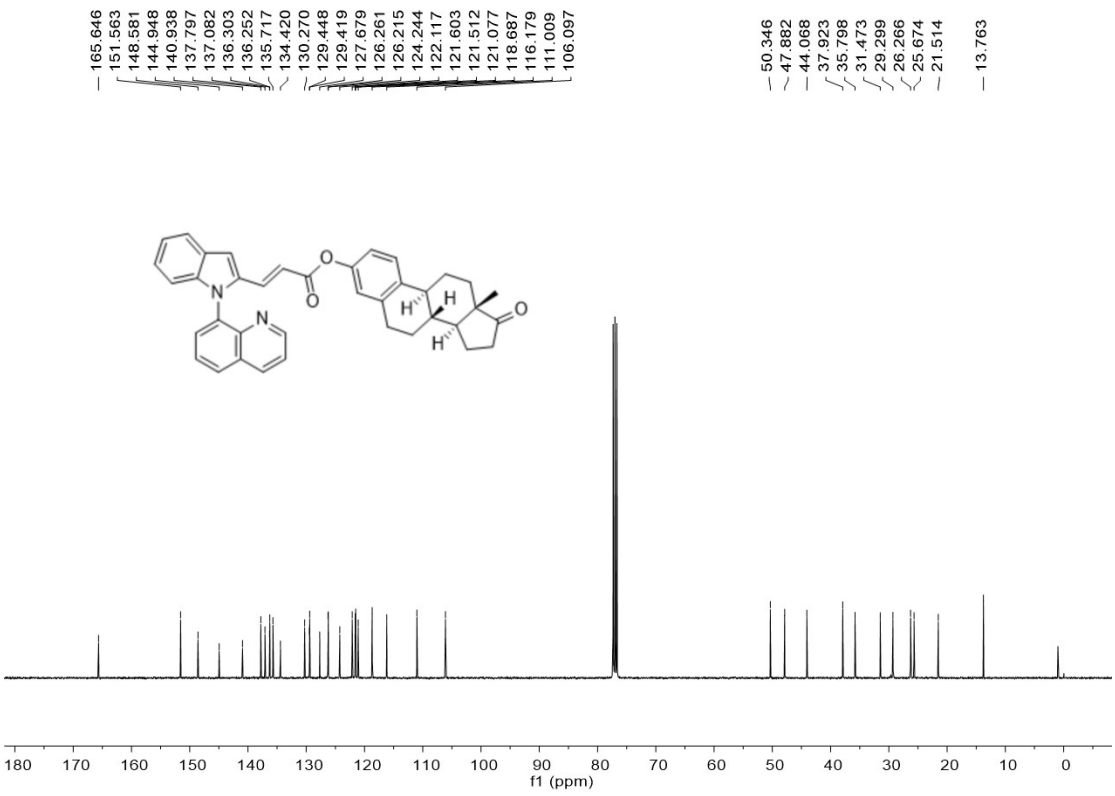
(3an) (*R*)-3-((2S,3R)-2-(4-(benzyloxy) phenyl)-1-(4-fluorophenyl)-4-oxoazetidin-3-yl)-1-(4-fluorophenyl) propyl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate



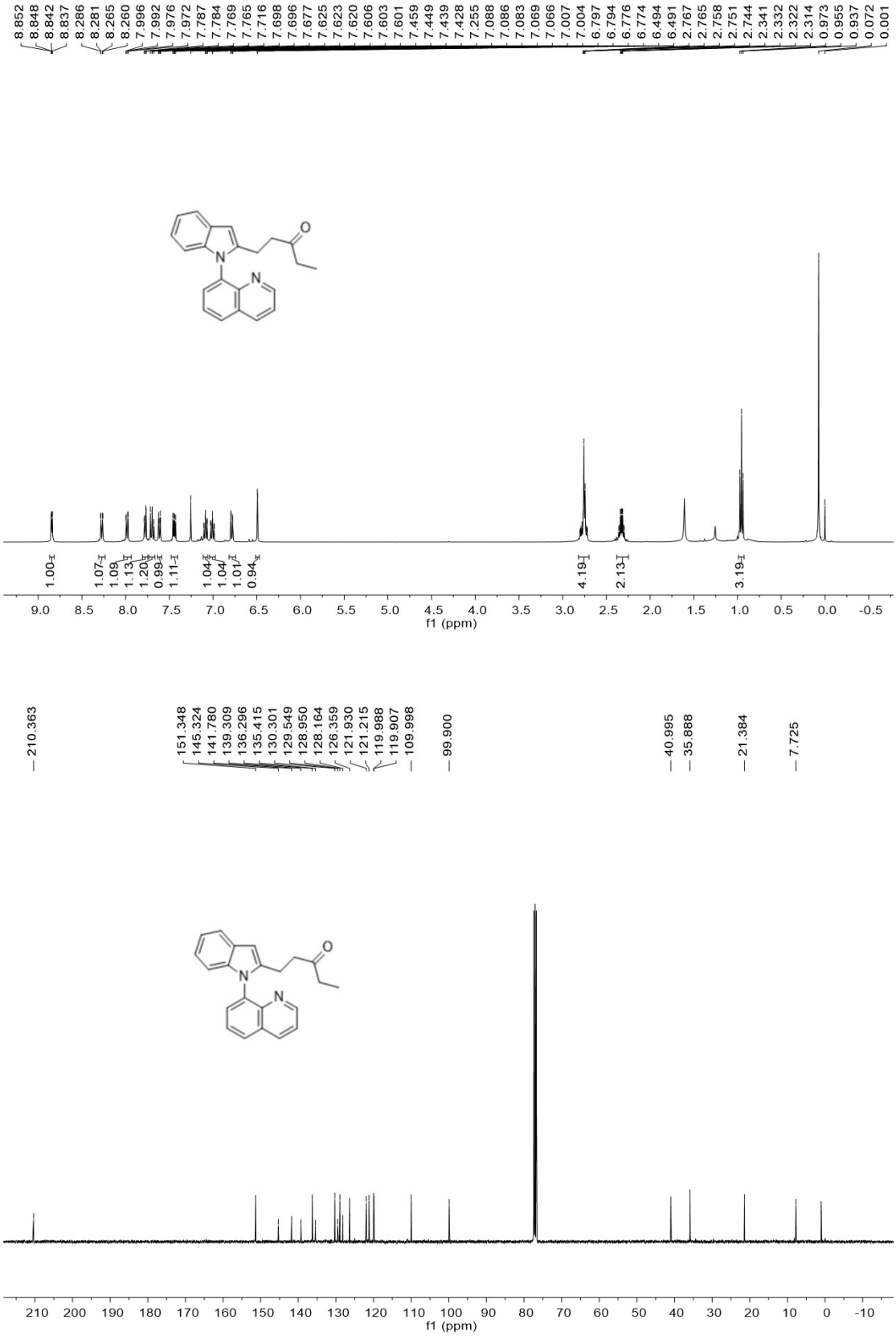


(3ao) (8*R*,9*S*,13*S*,14*S*)-13-methyl-17-oxo-7,8,9,11,12,13,14,15,16,17-decahydro-6*H*-cyclopenta[a]phenanthren-3-yl (*E*)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

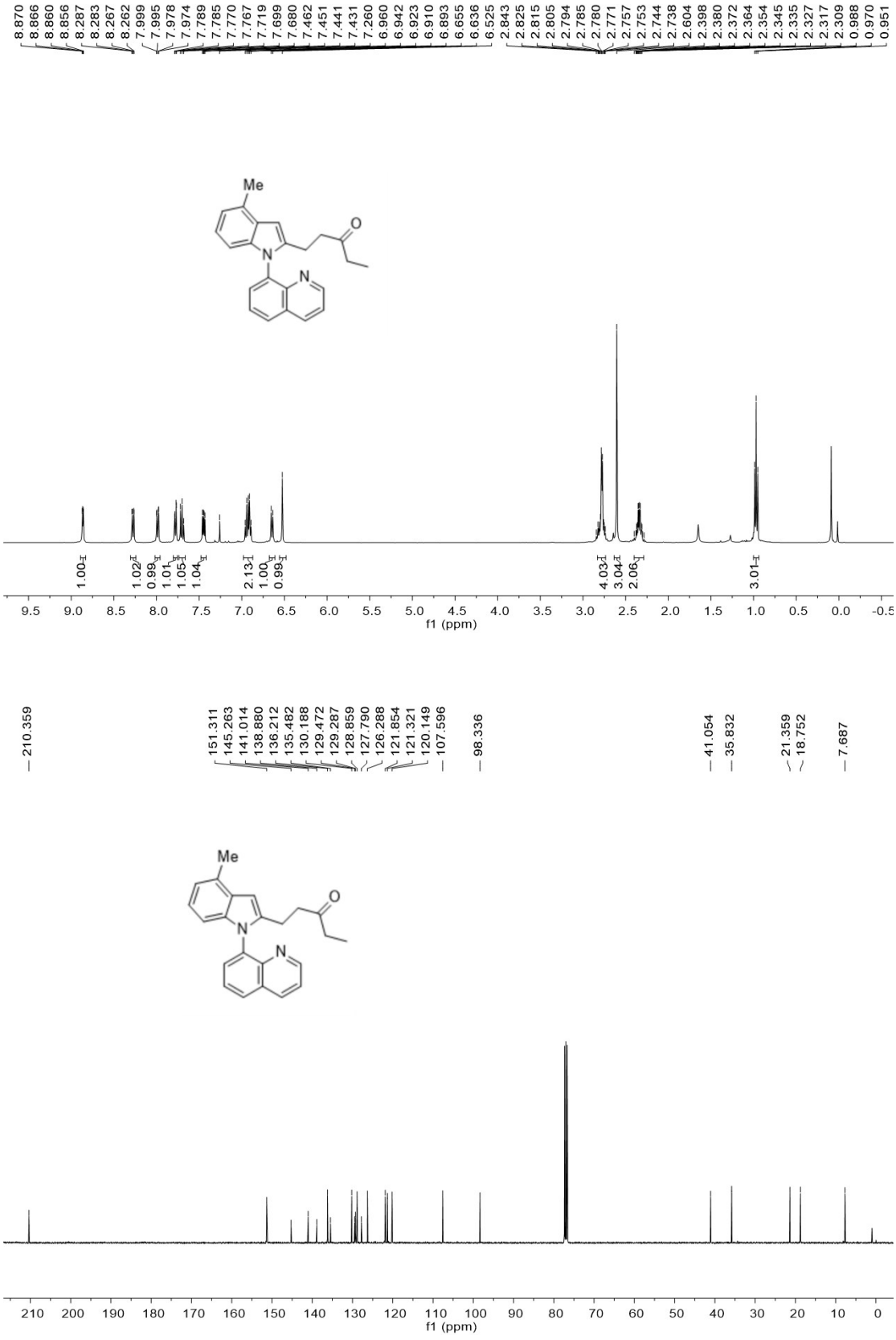




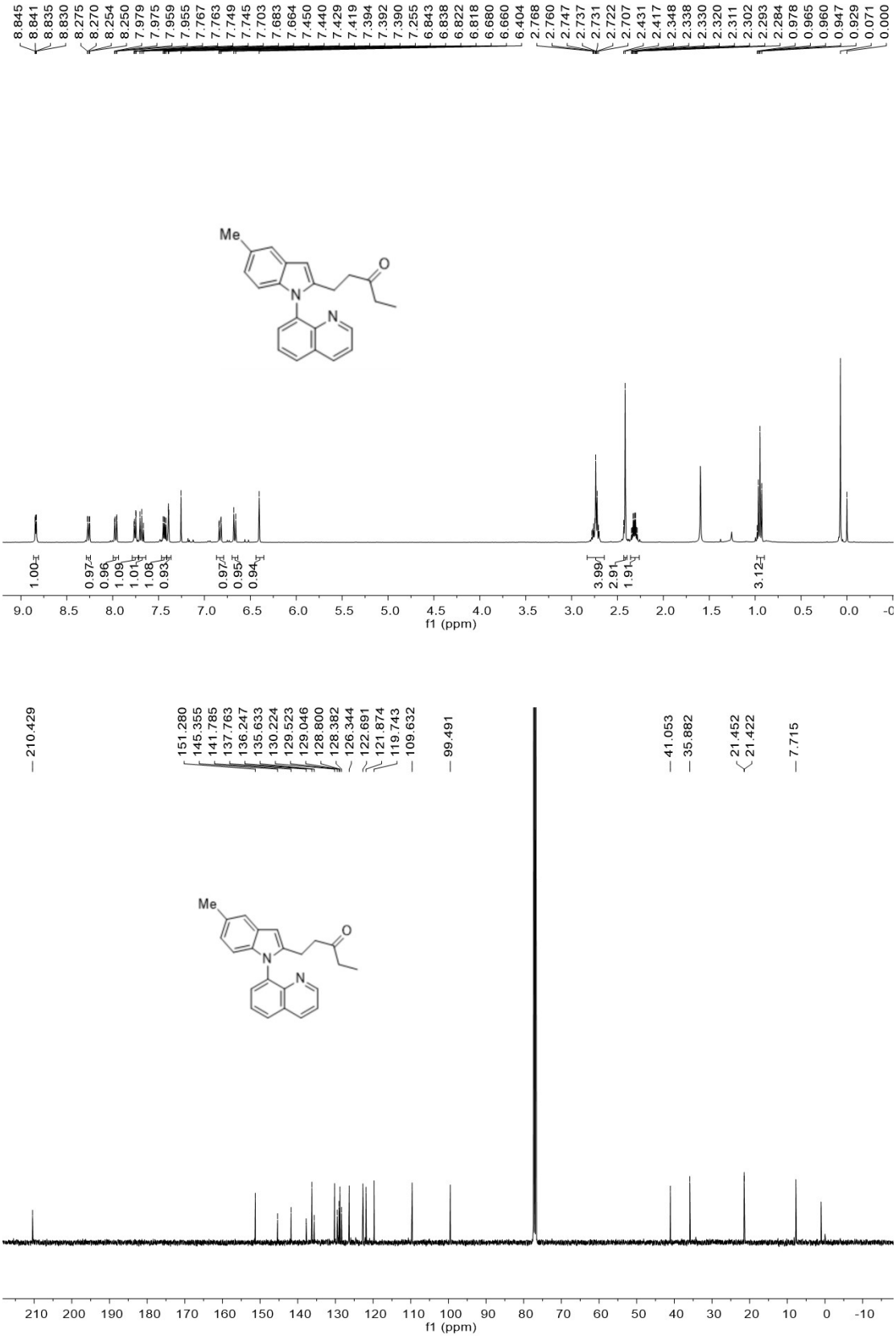
(5a) 1-(1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one



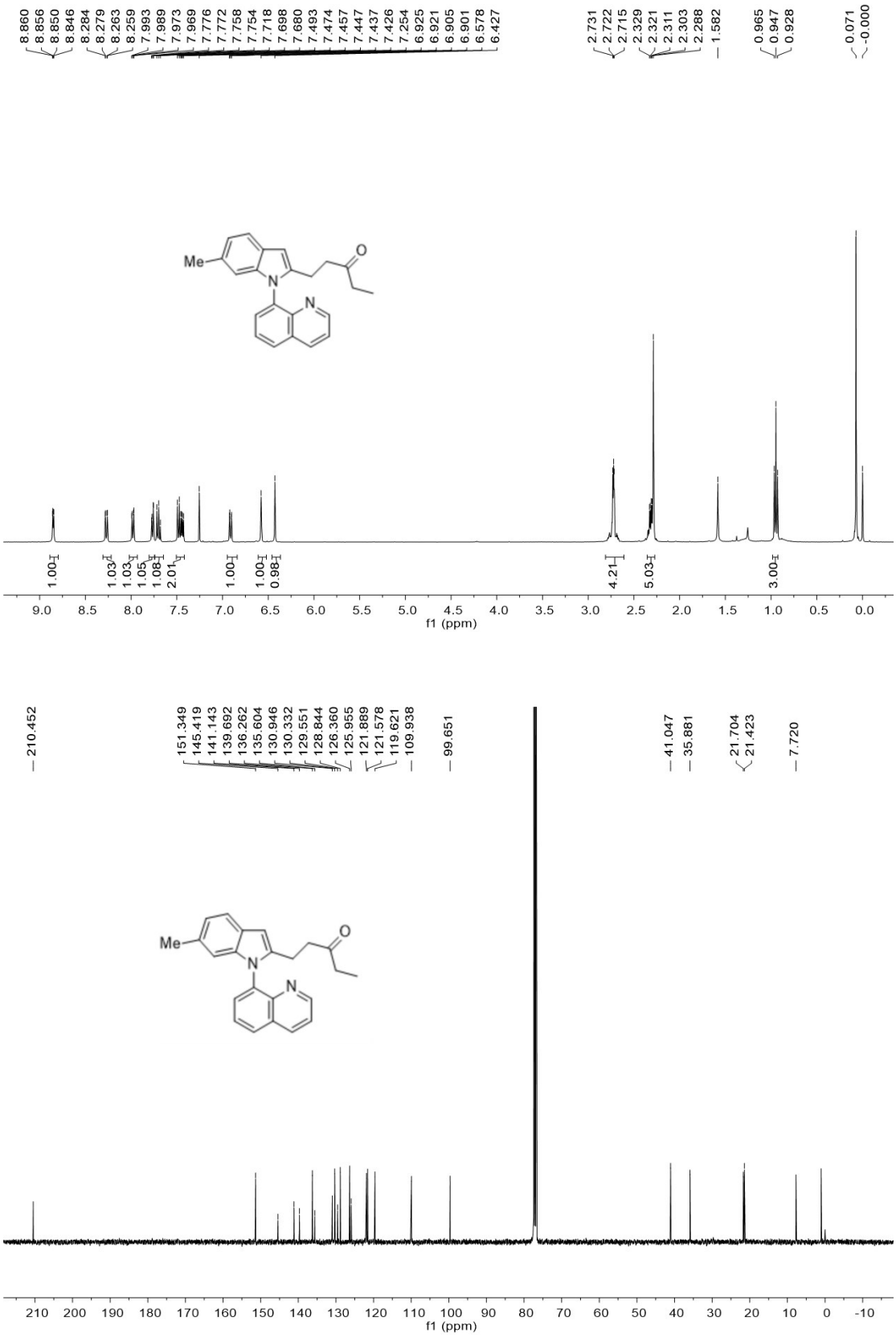
(5b) 1-(4-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one



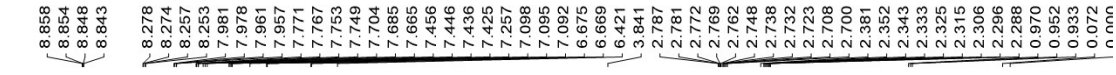
(5c) 1-(5-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

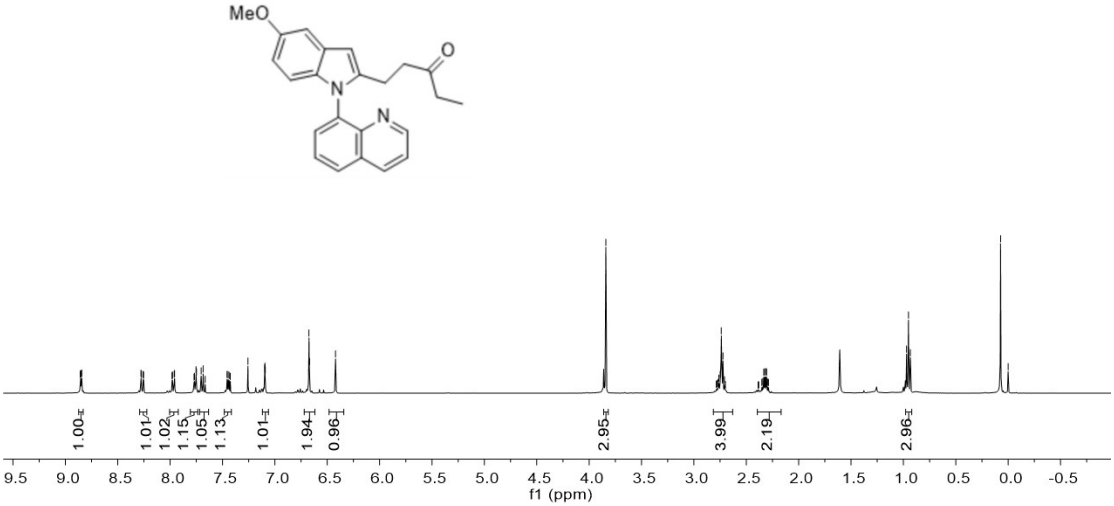


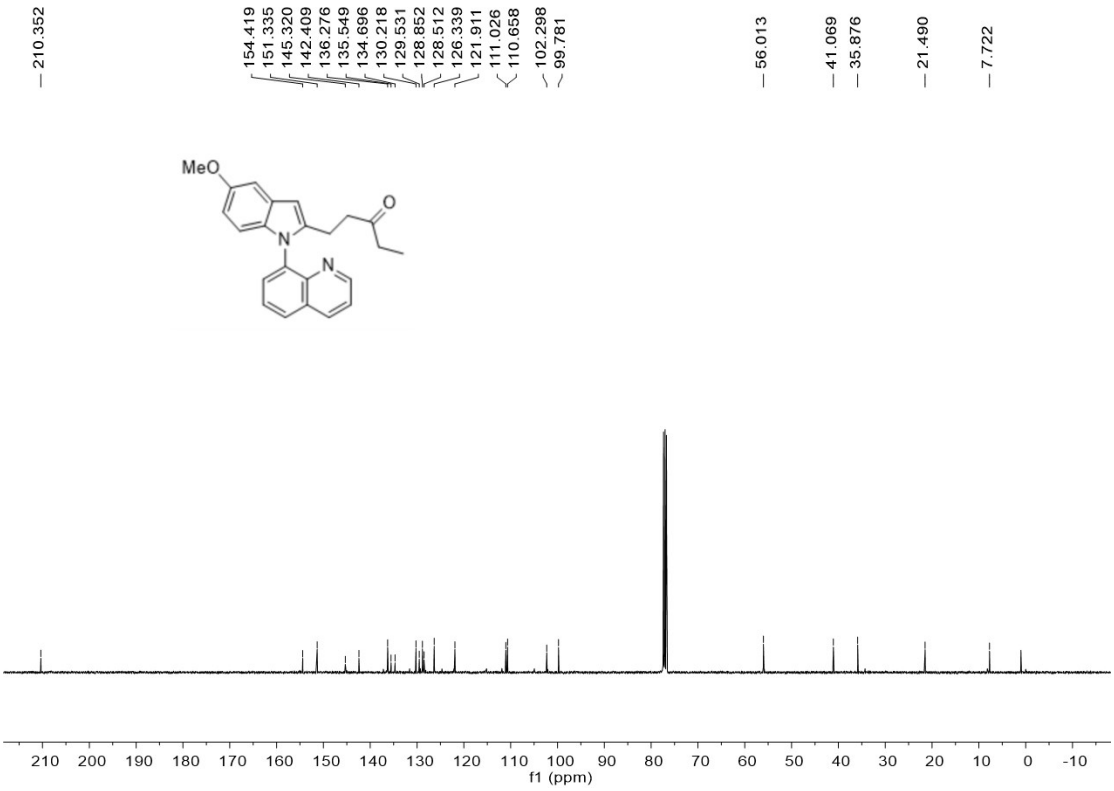
(5d) 1-(6-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one



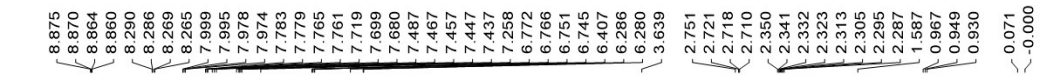
(5e) 1-(5-methoxy-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

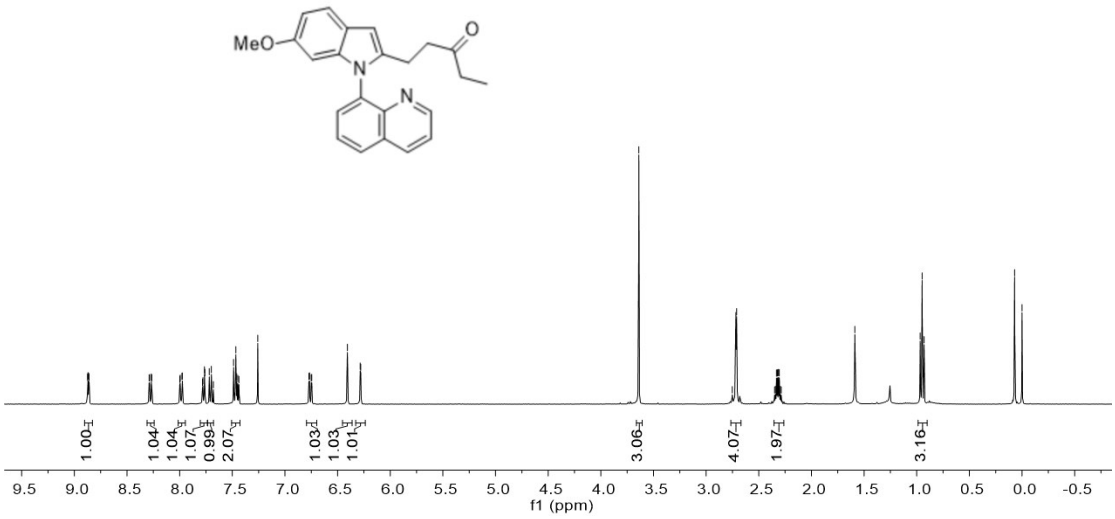


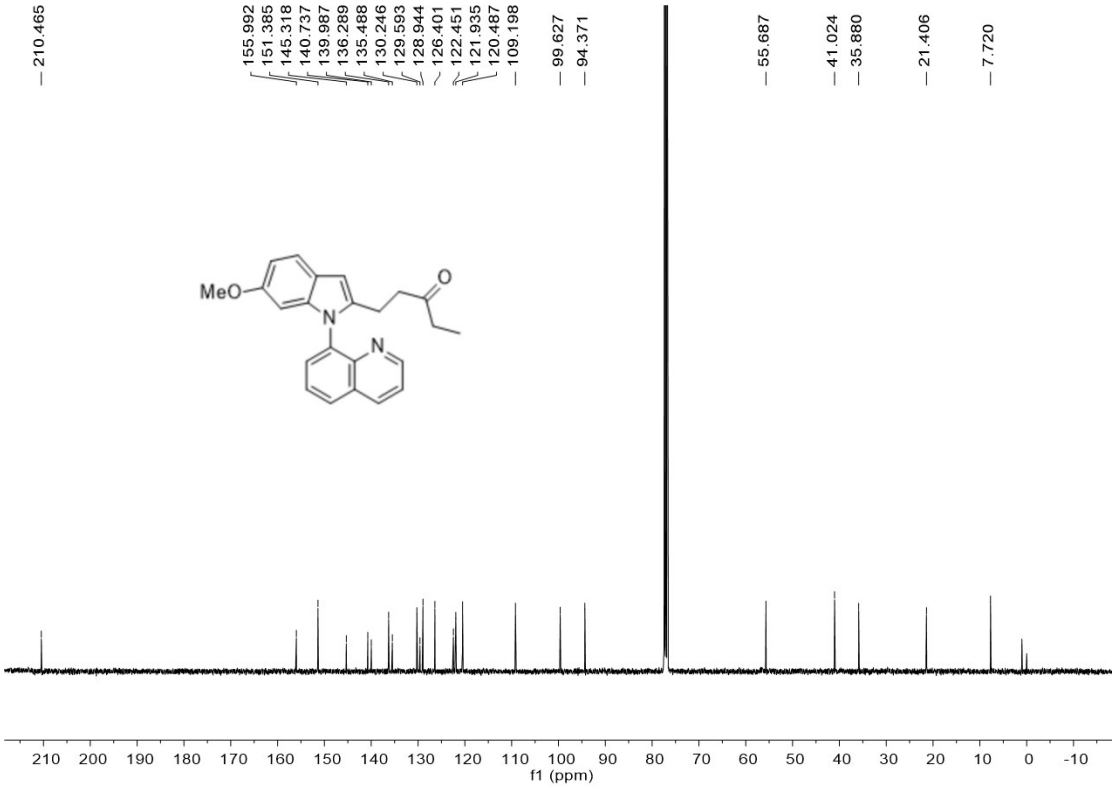




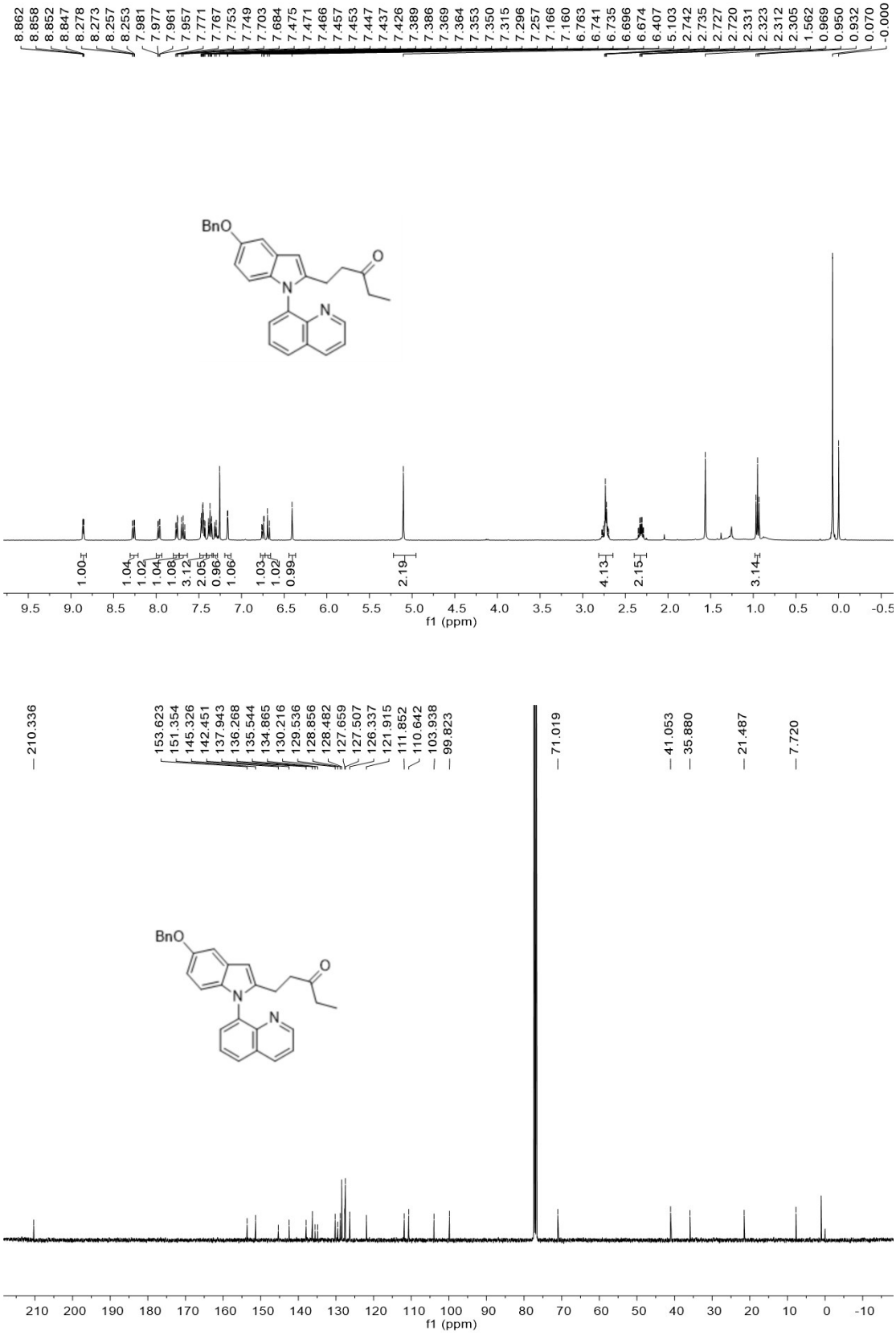
(5f) 1-(6-methoxy-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one



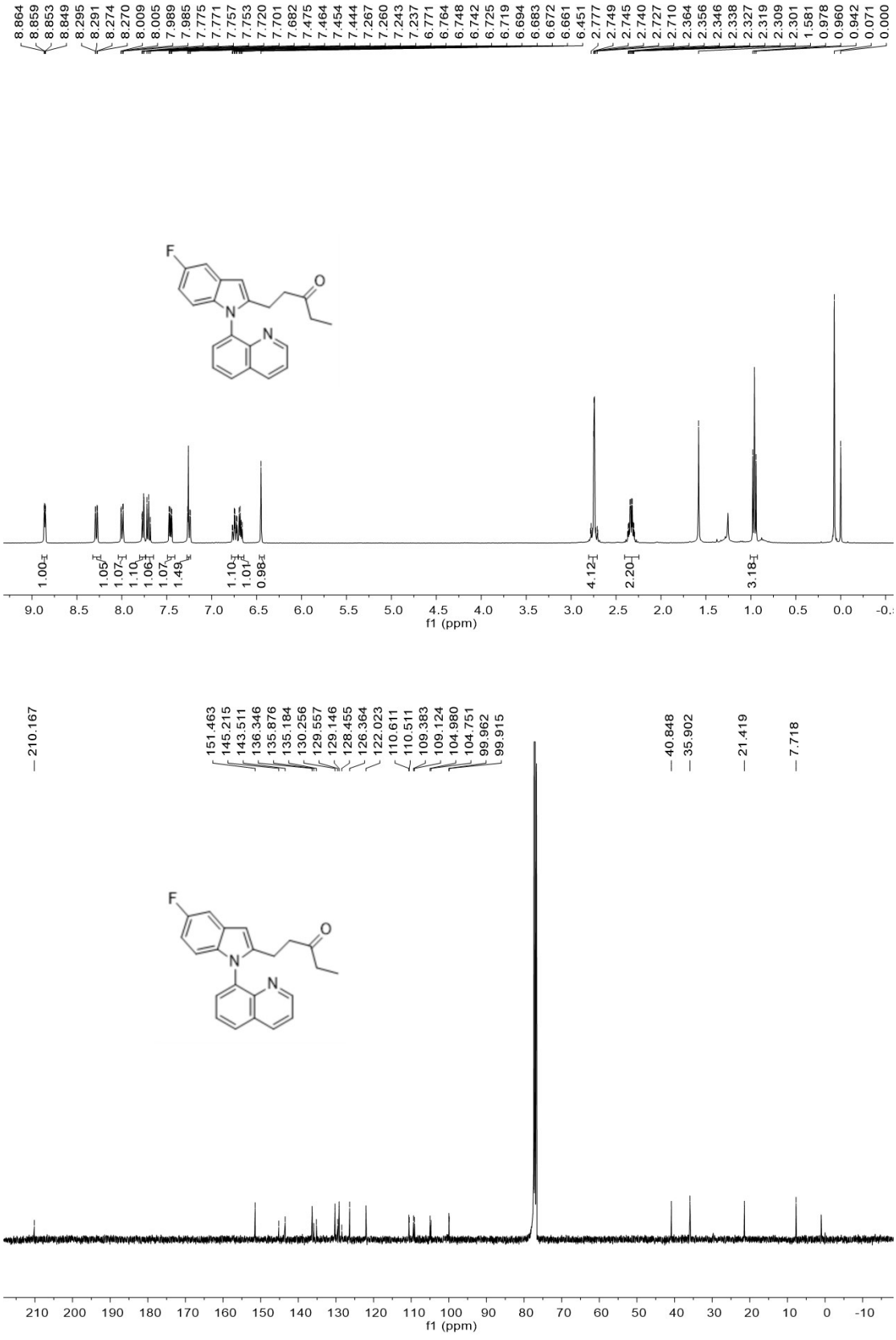




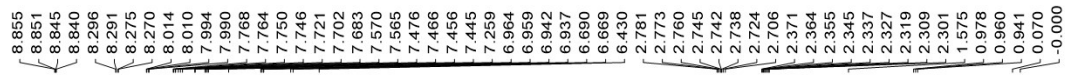
(5g) 1-(5-(benzyloxy)-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

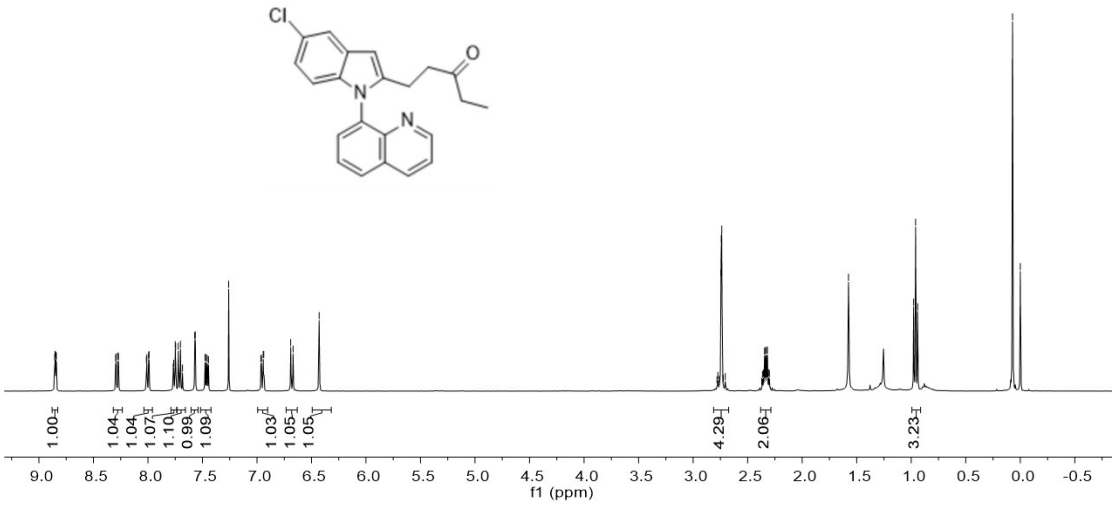


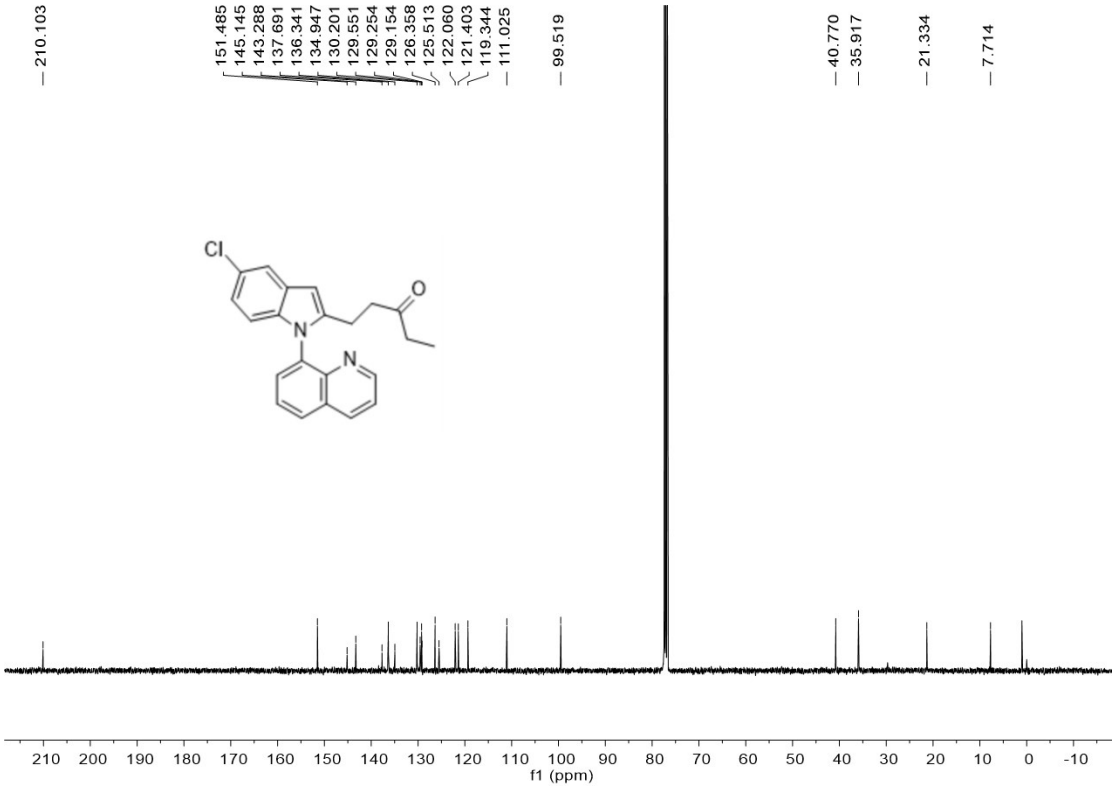
(5h) 1-(5-fluoro-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one



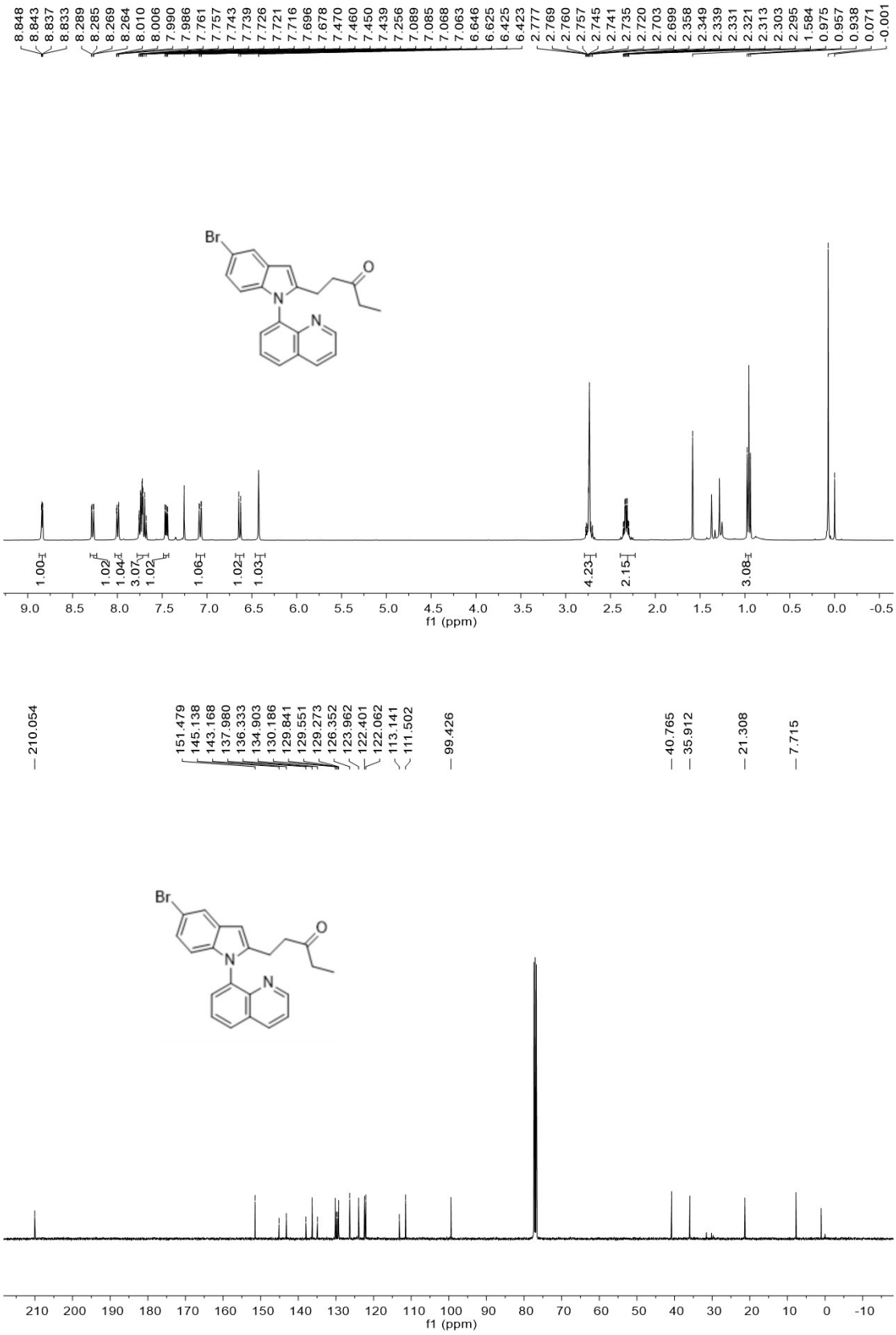
(5i) 1-(5-chloro-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one



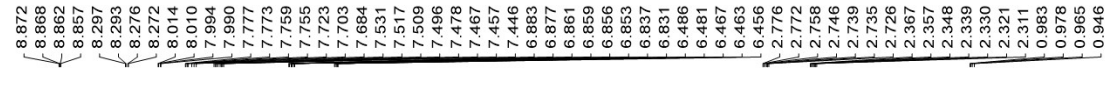


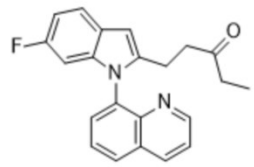


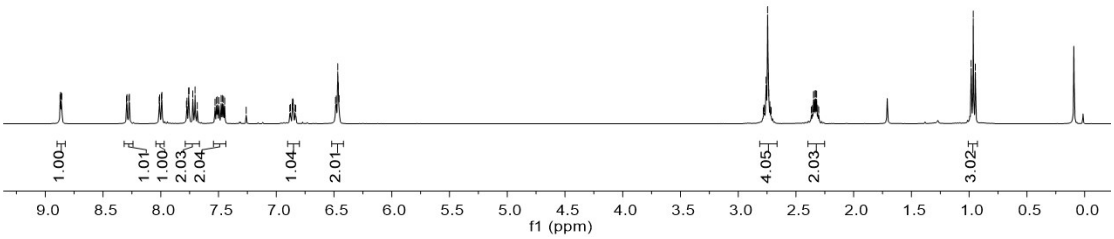
(5j) 1-(5-bromo-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

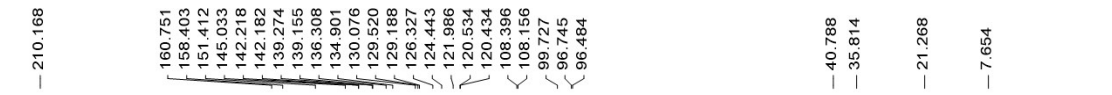


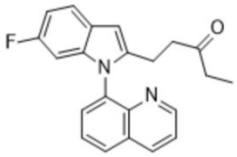
(5k) 1-(6-fluoro-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

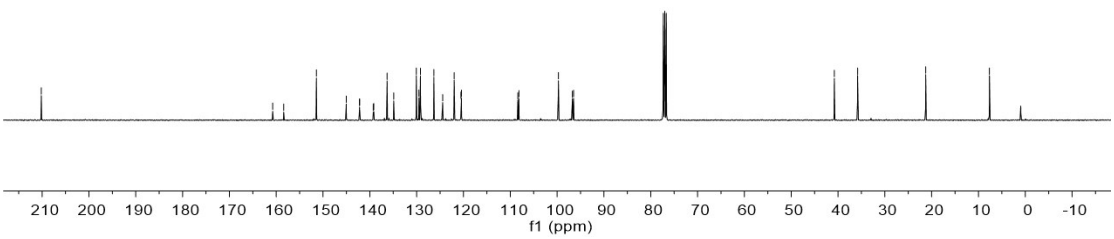




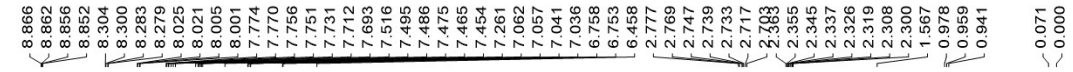


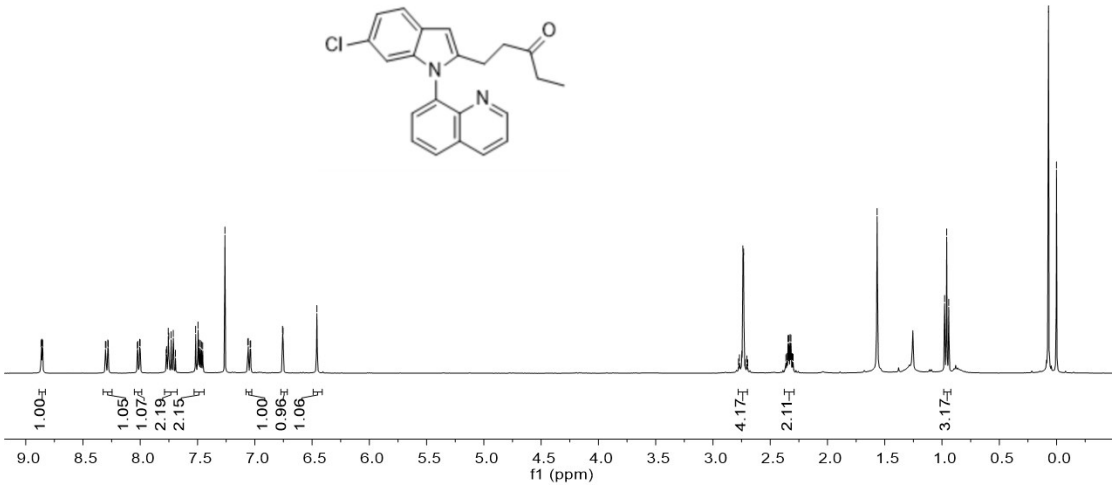


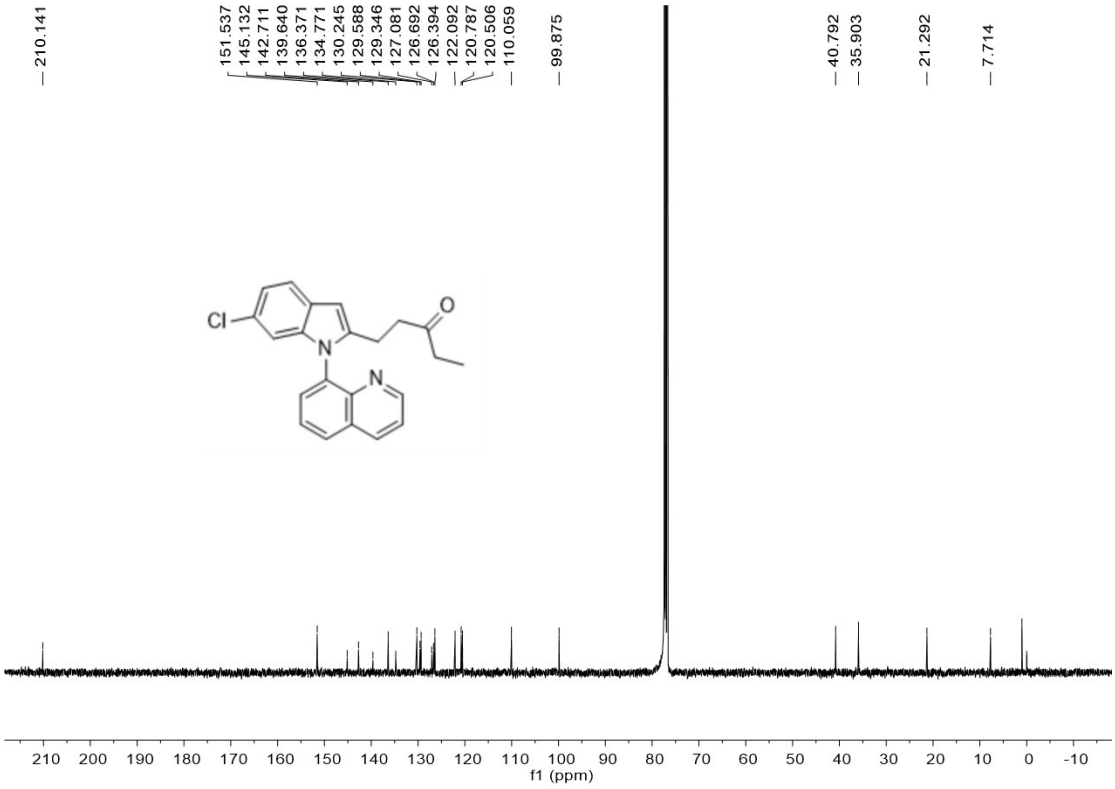




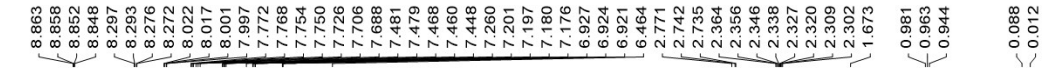
(5l) 1-(6-chloro-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

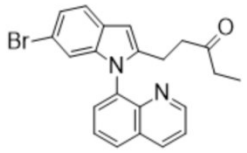


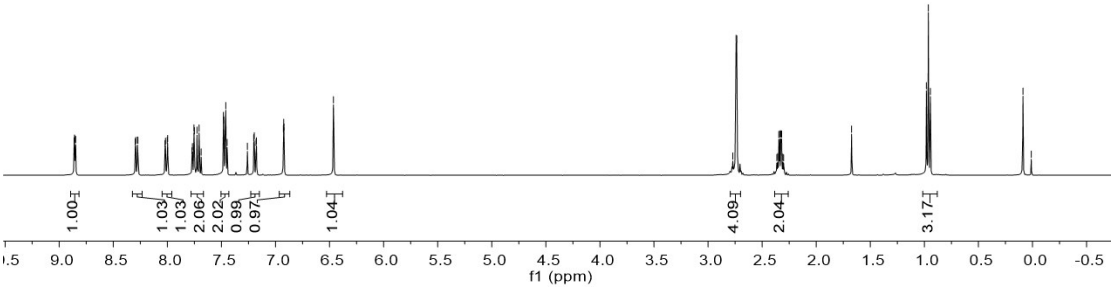


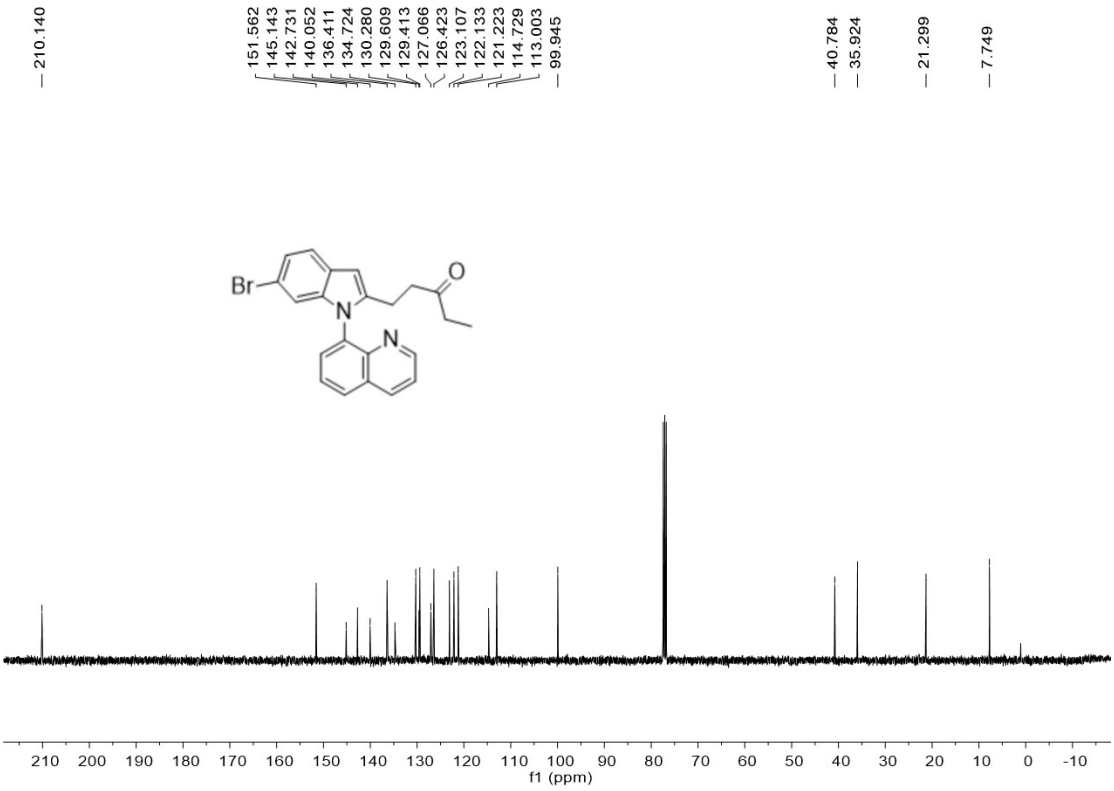


(5m) 1-(6-bromo-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

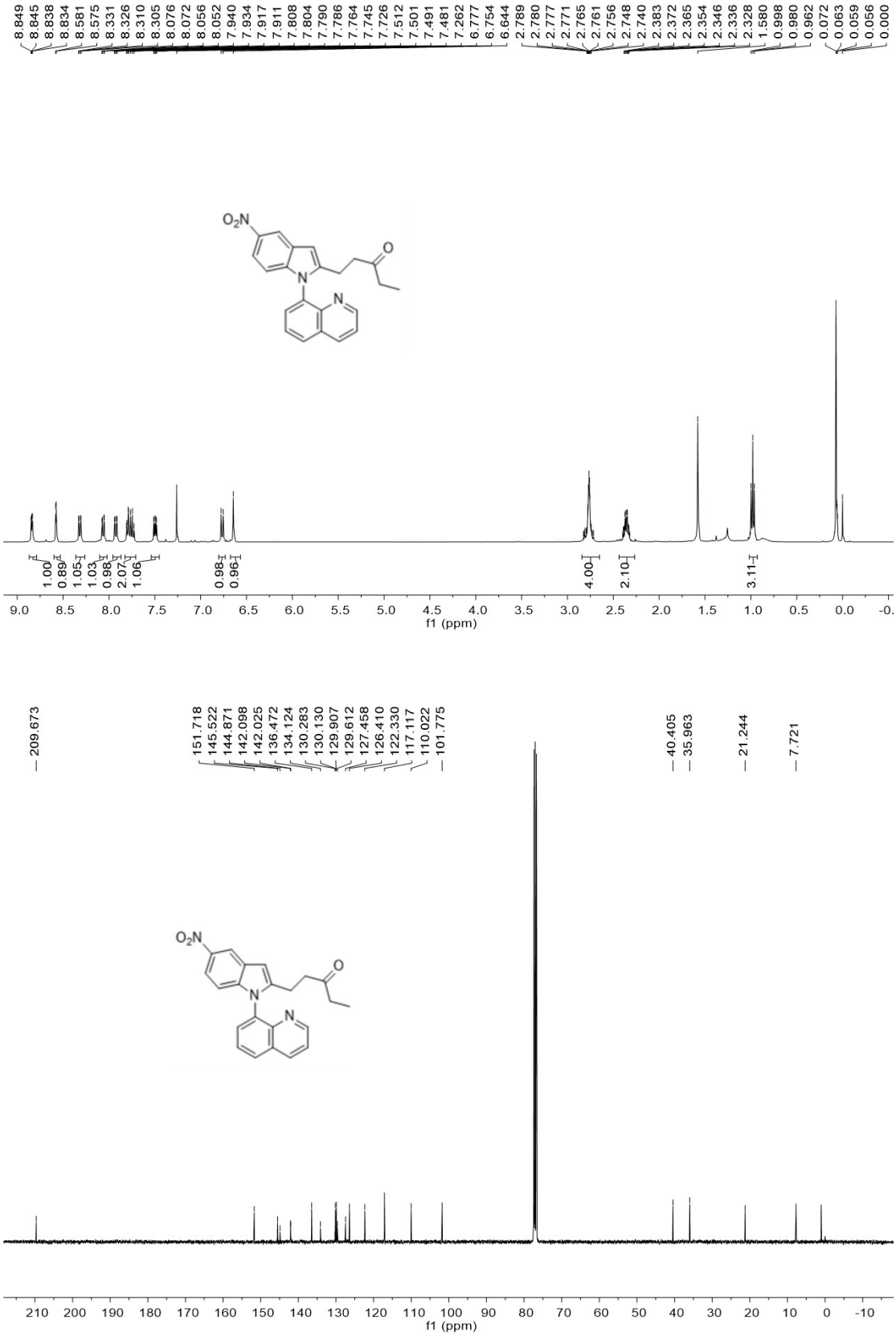




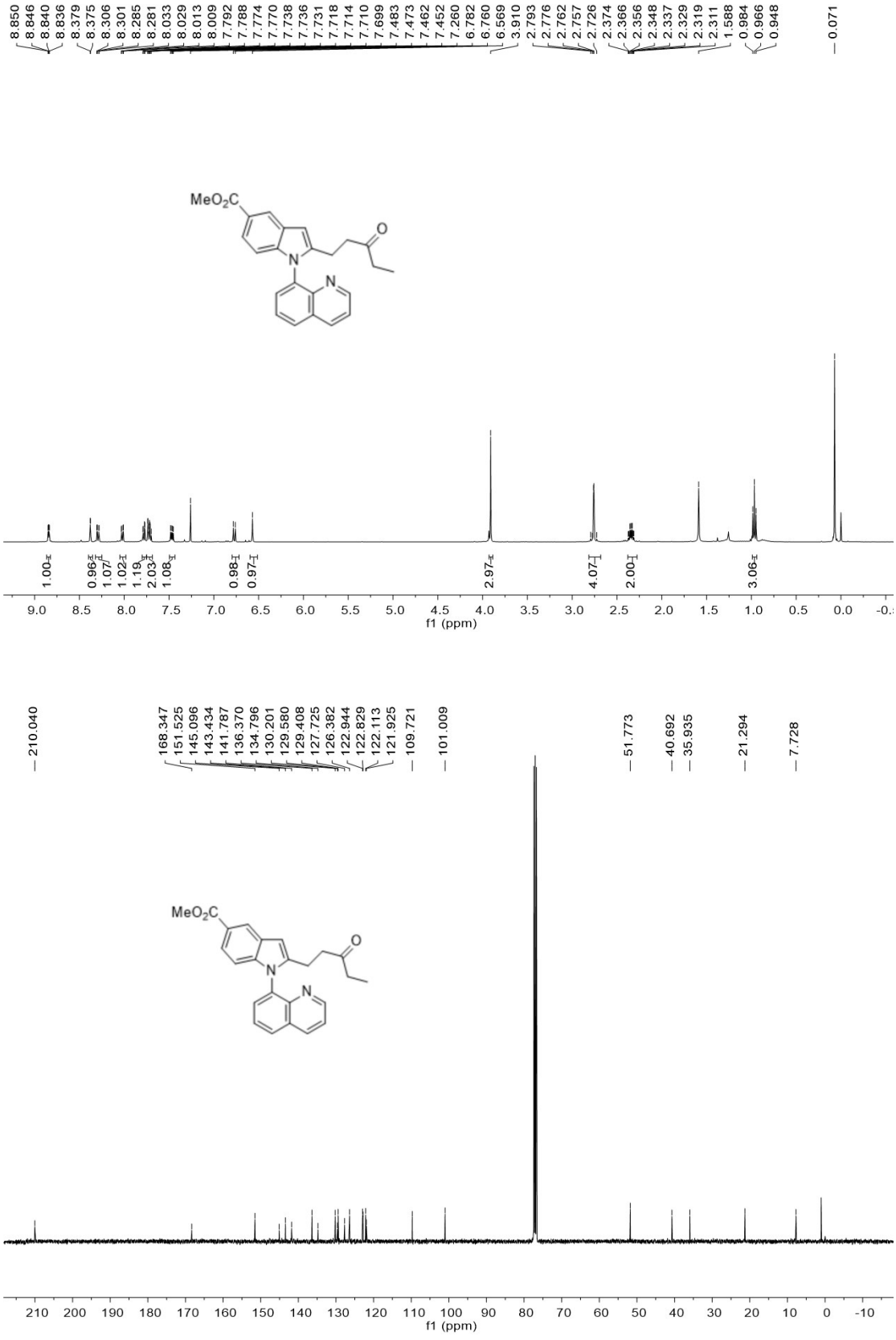




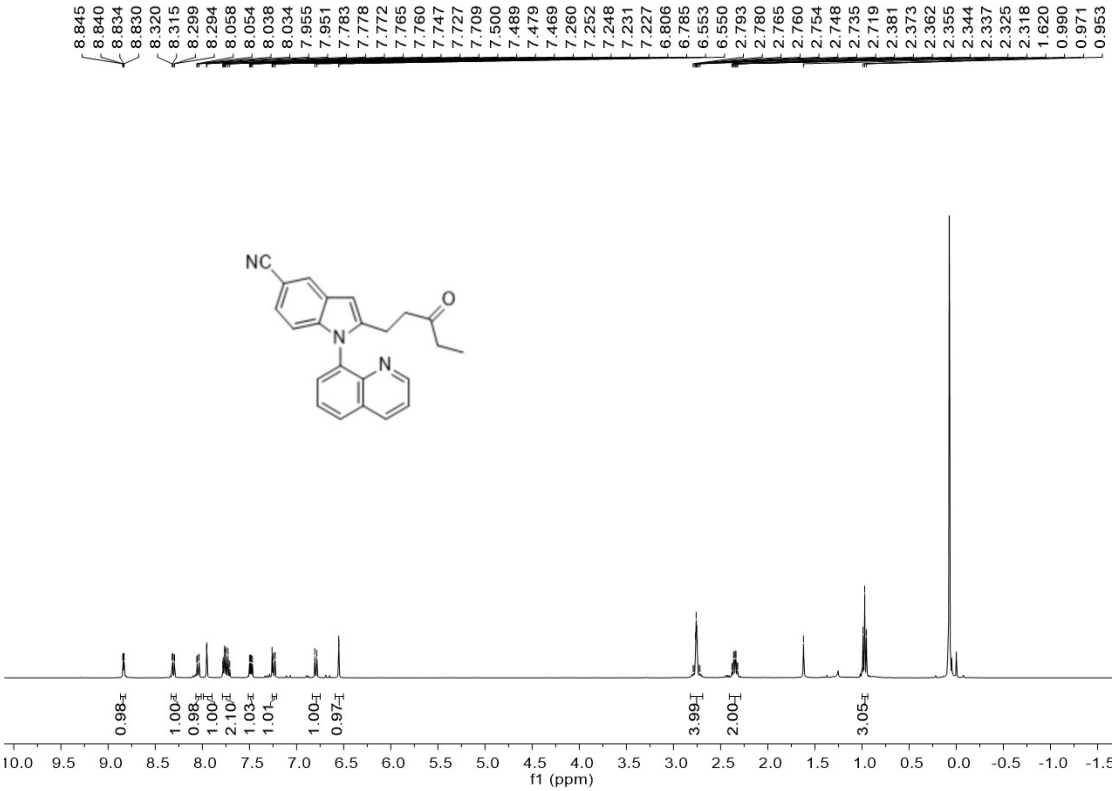
(5n) 1-(5-nitro-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

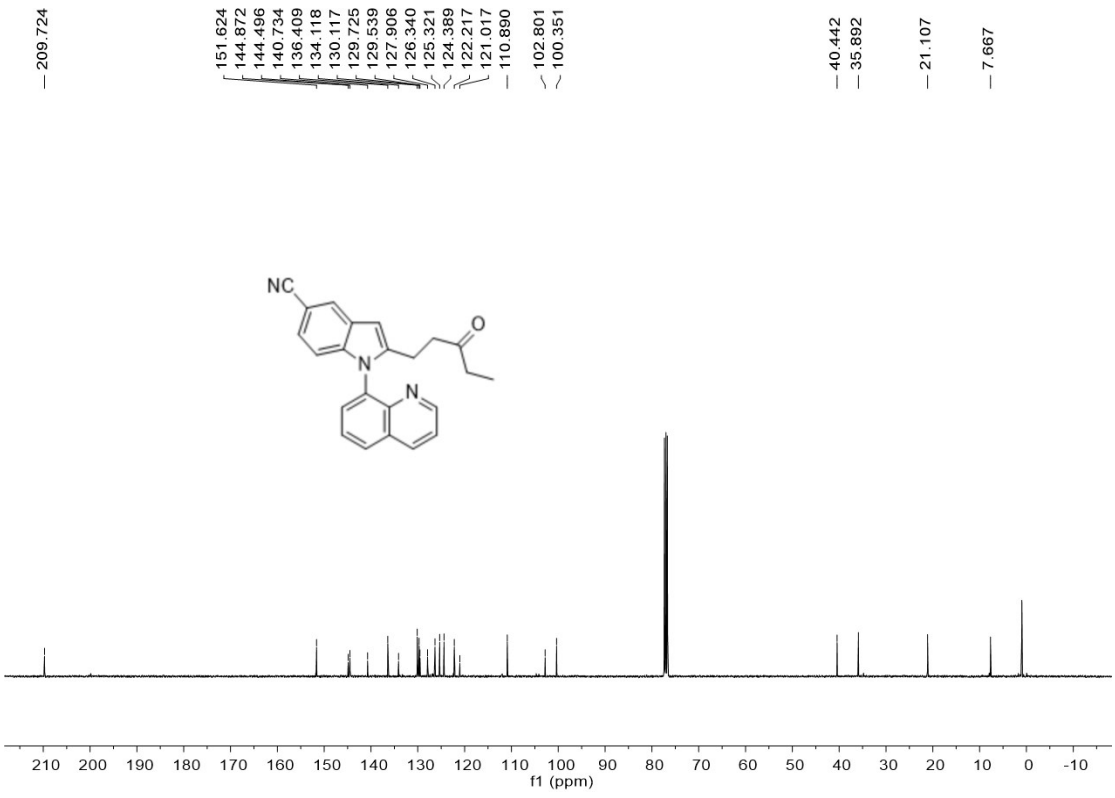


(5o) methyl 2-(3-oxopentyl)-1-(quinolin-8-yl)-1*H*-indole-5-carboxylate

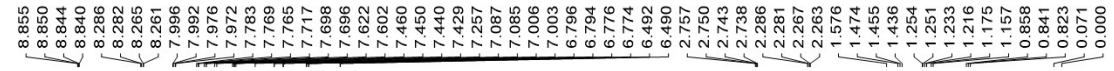


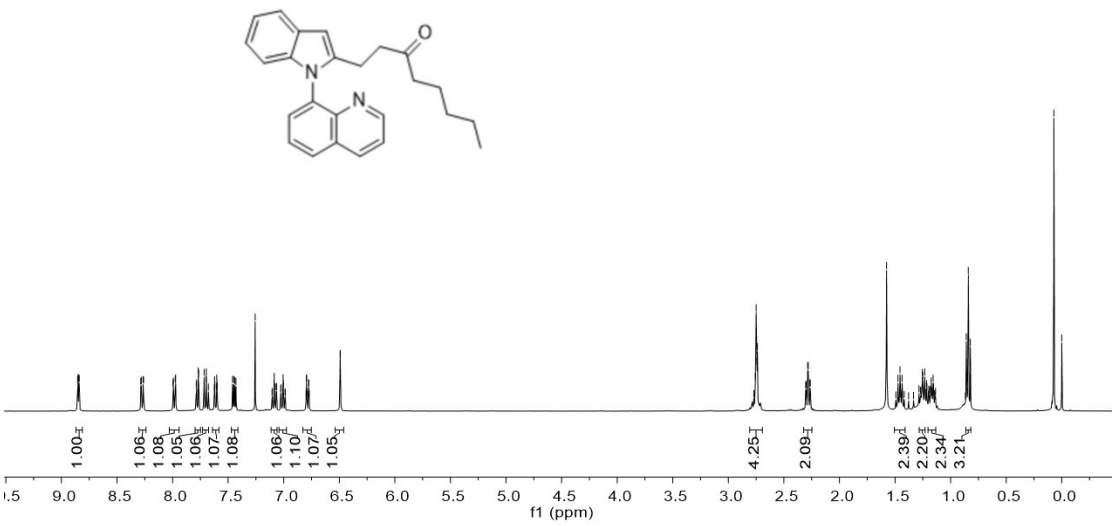
(5p) 2-(3-oxopentyl)-1-(quinolin-8-yl)-1*H*-indole-5-carbonitrile

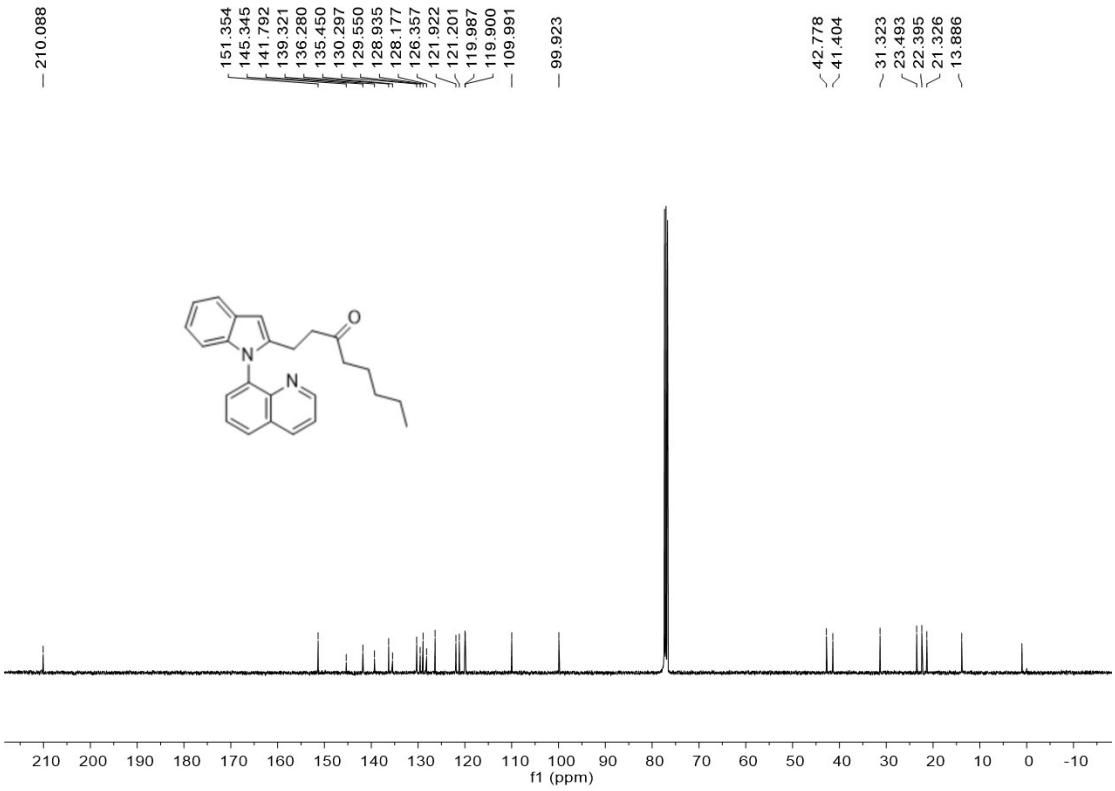




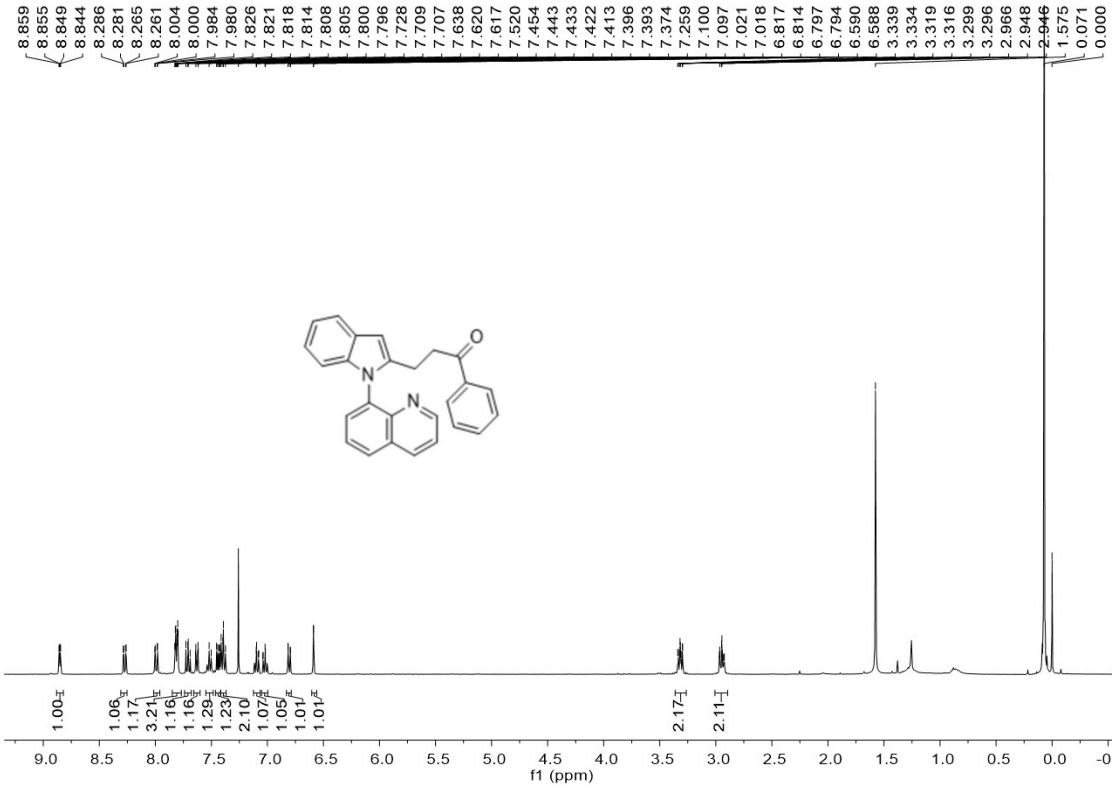
(5q) 1-(1-(quinolin-8-yl)-1*H*-indol-2-yl) octan-3-one

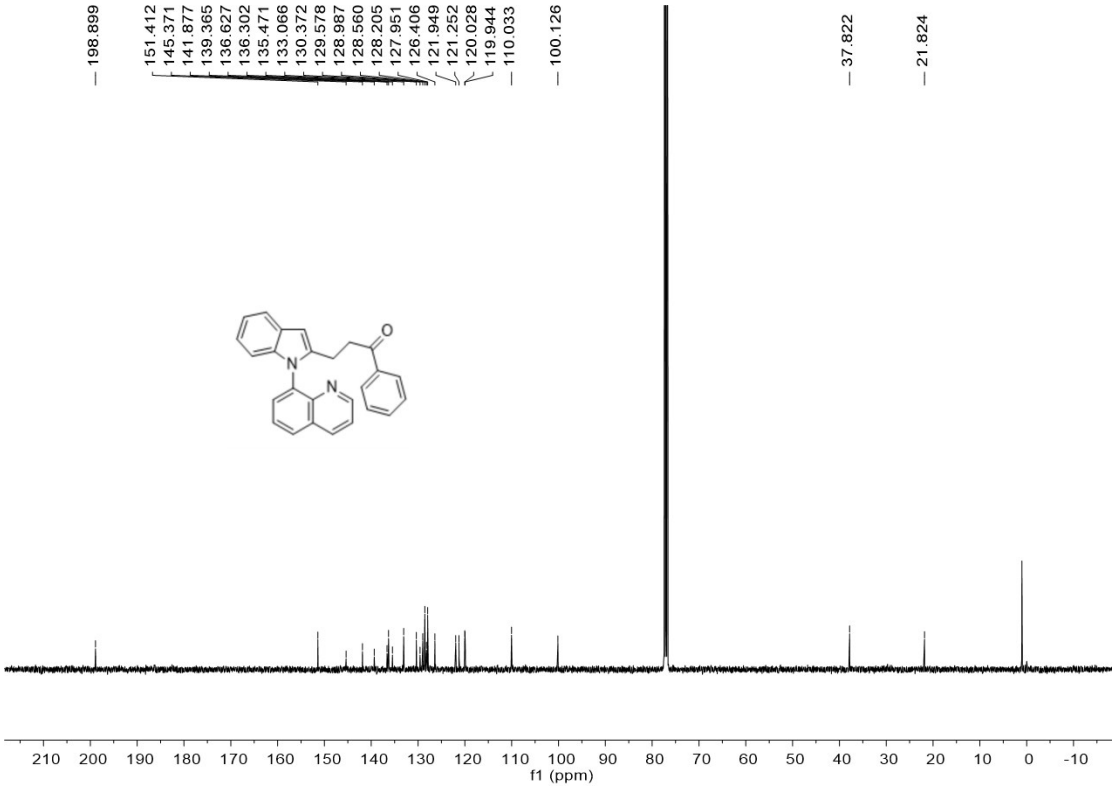




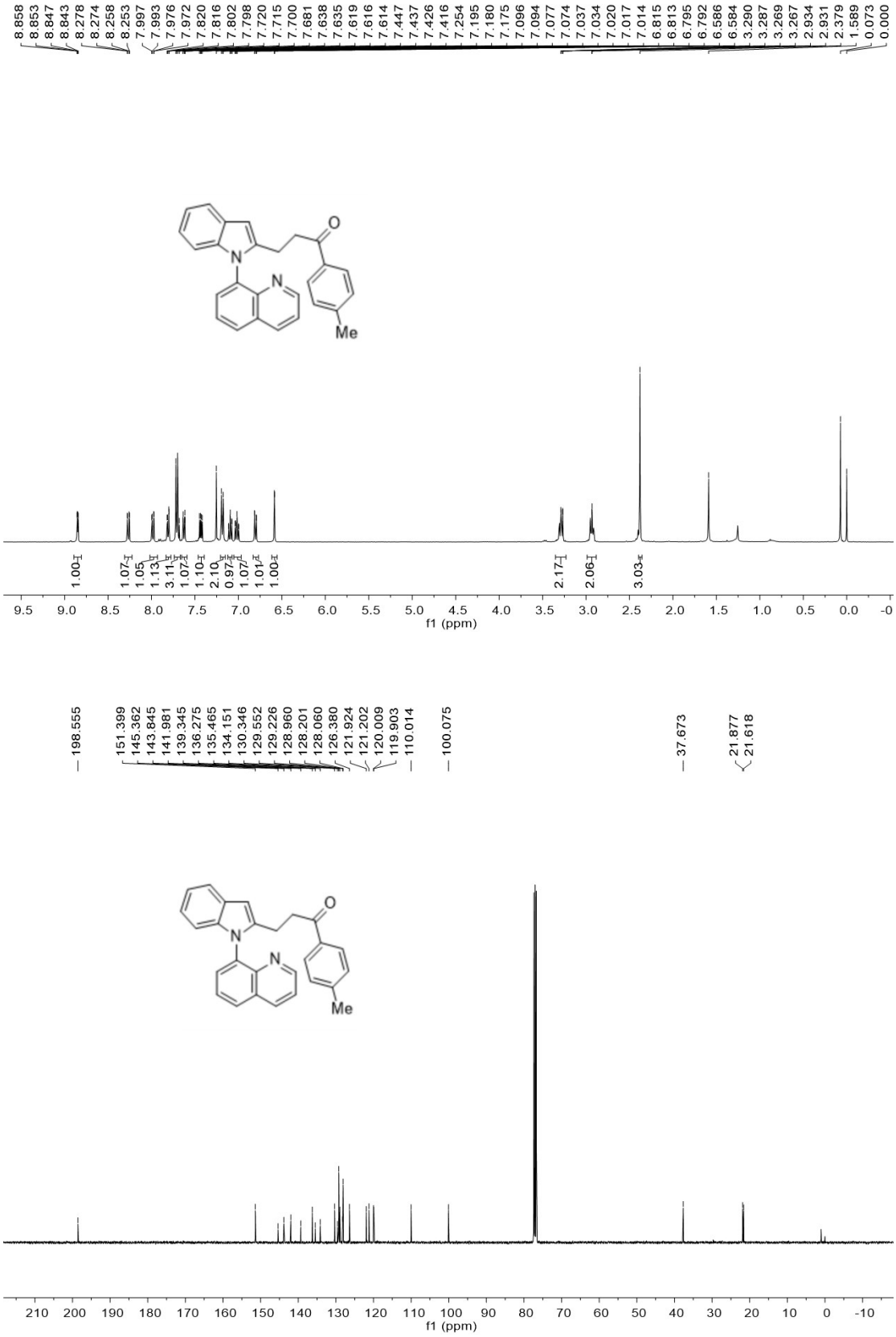


(5r) 1-phenyl-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) propan-1-one

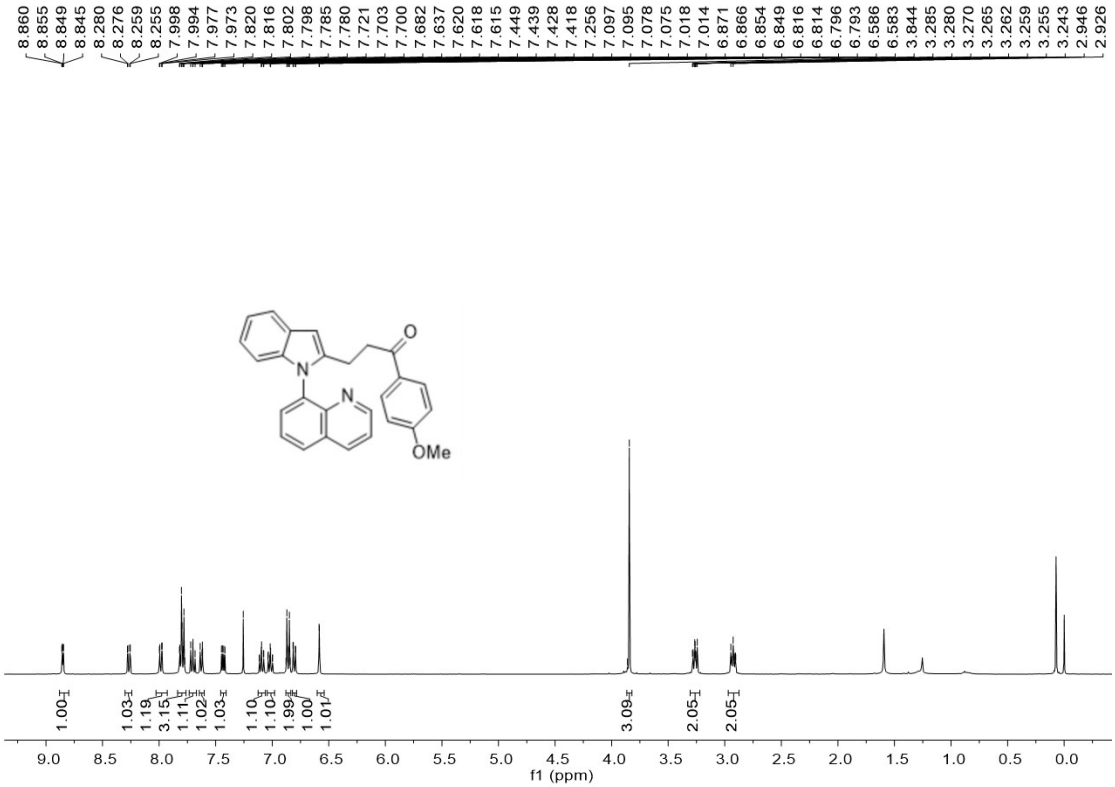


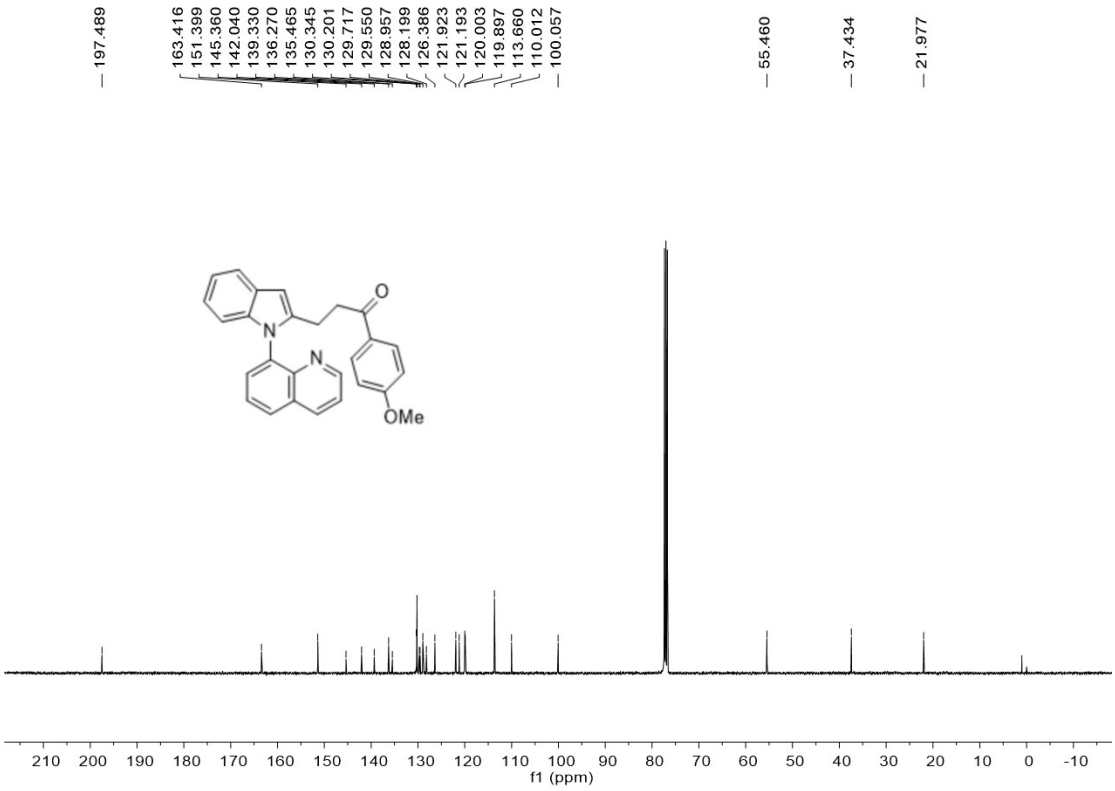


(5s) 3-(1-(quinolin-8-yl)-1*H*-indol-2-yl)-1-(p-tolyl) propan-1-one

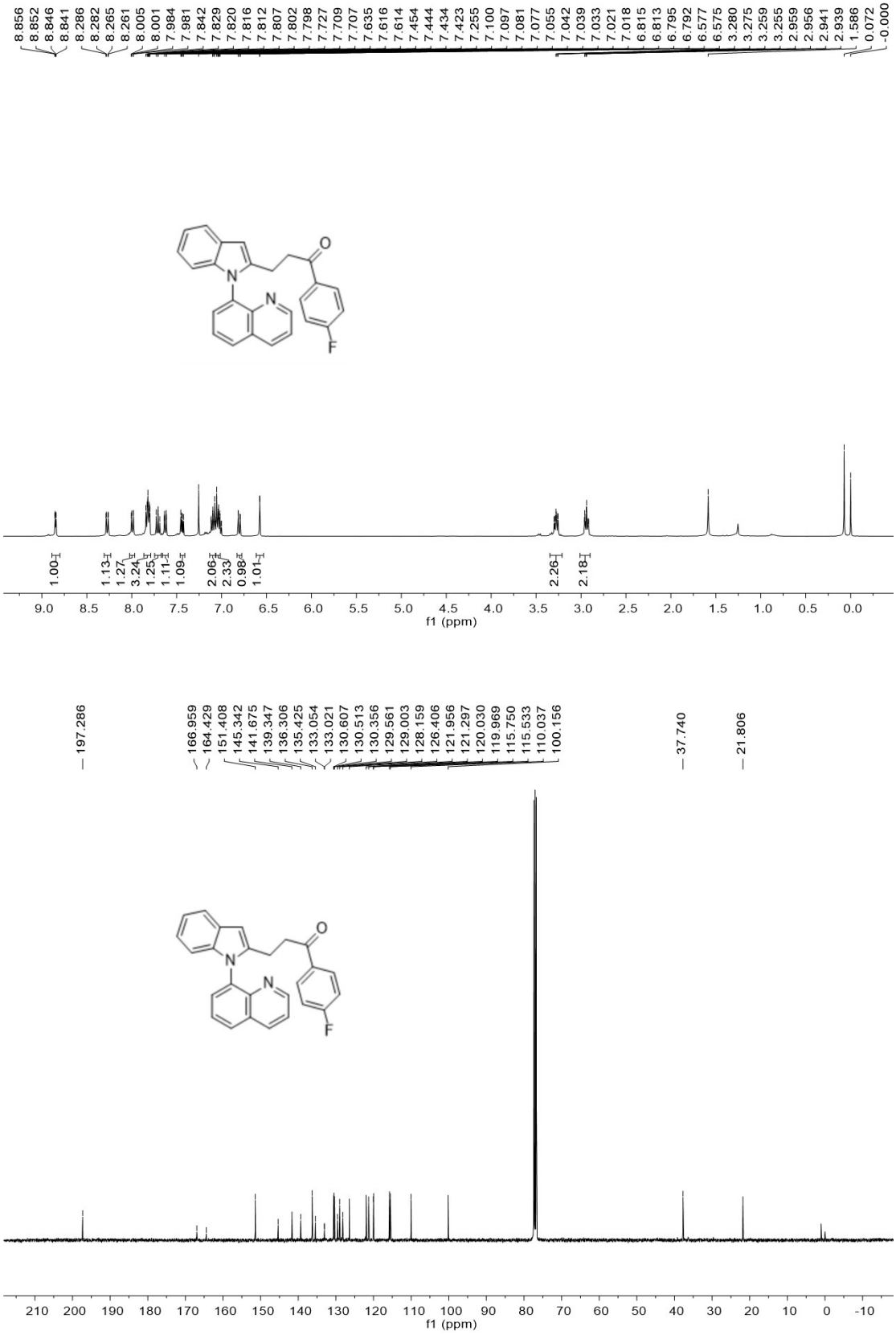


(5t) 1-(4-methoxyphenyl)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) propan-1-one

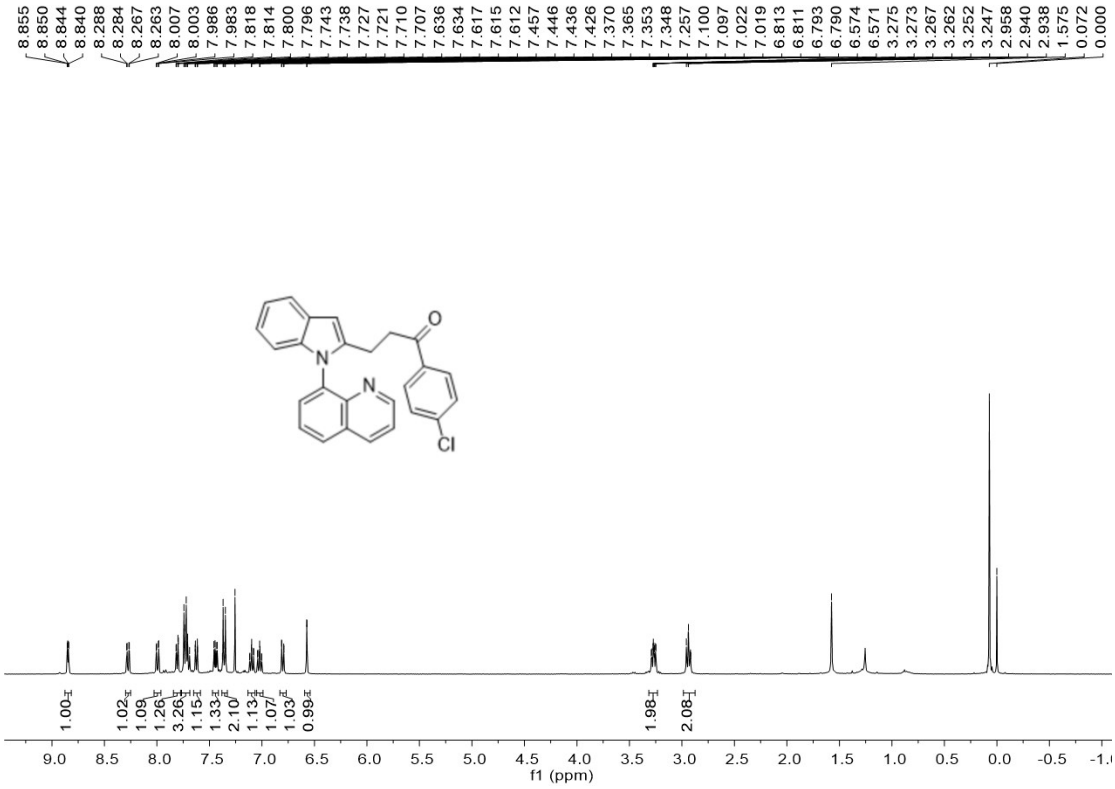


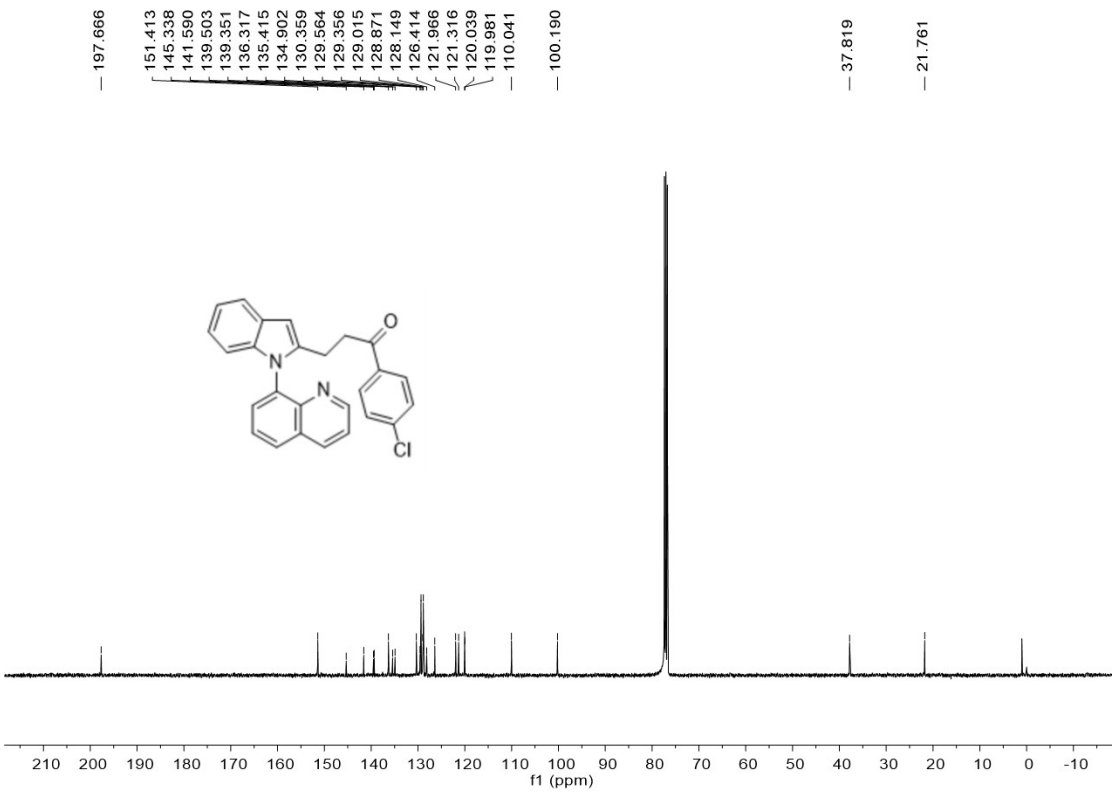


(5u) 1-(4-fluorophenyl)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) propan-1-one

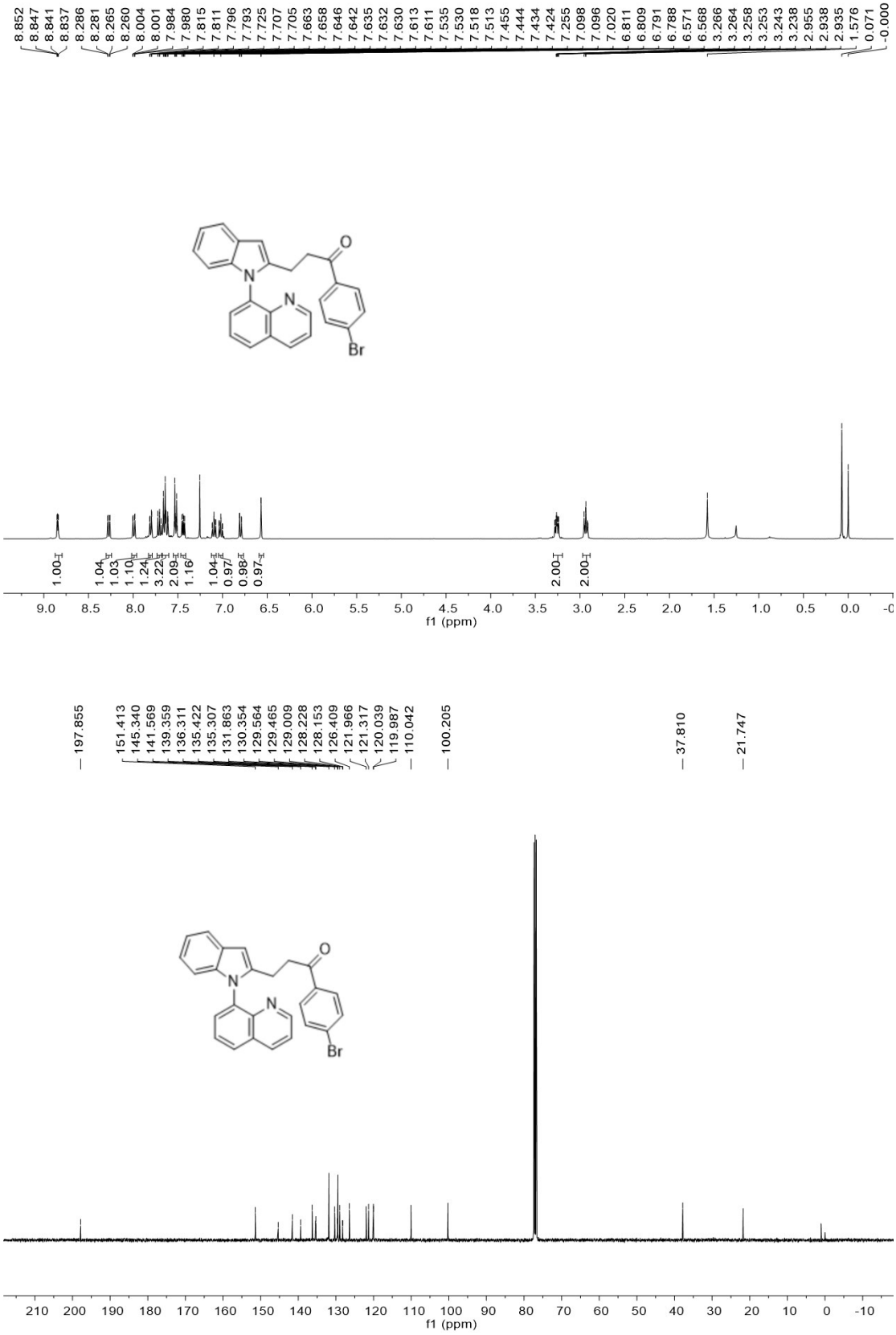


(5v) 1-(4-chlorophenyl)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) propan-1-one

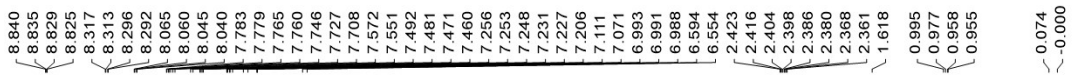


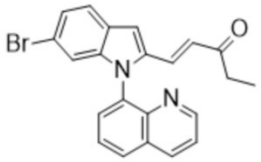


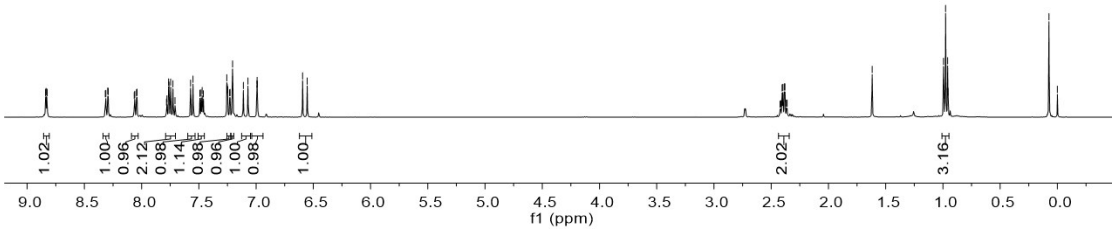
(5w) 1-(4-bromophenyl)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) propan-1-one

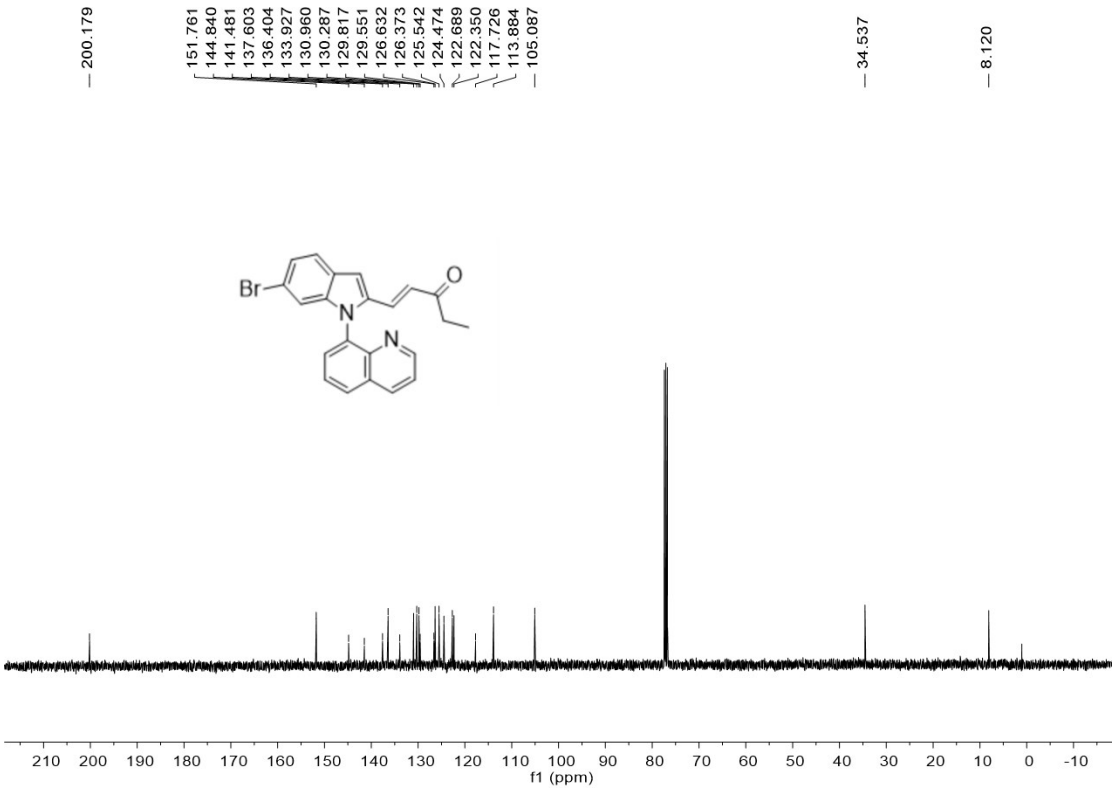


(5m') (*E*)-1-(6-bromo-1-(quinolin-8-yl)-1*H*-indol-2-yl) pent-1-en-3-one

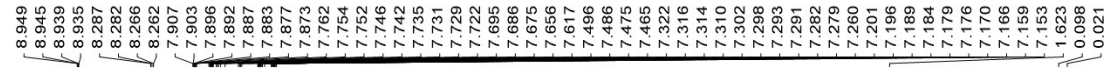


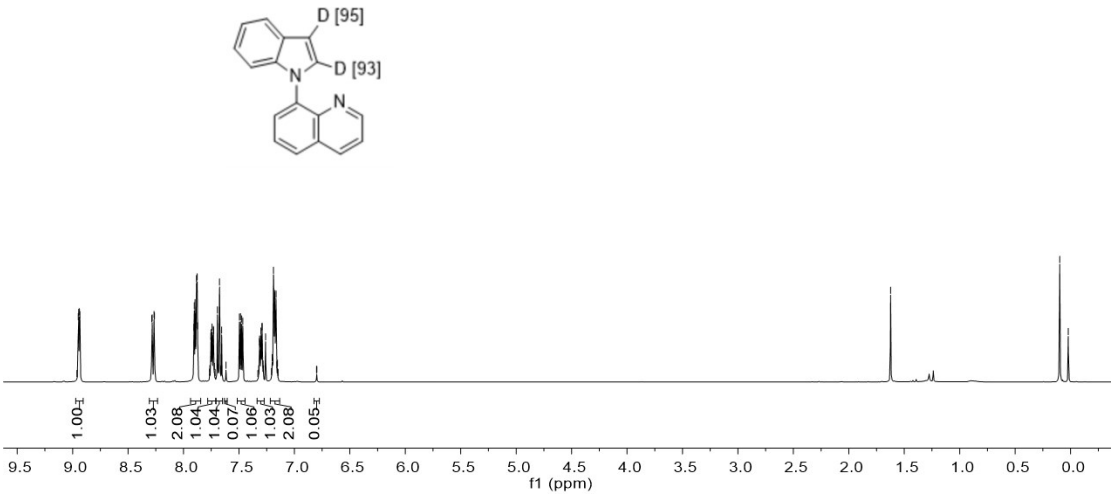


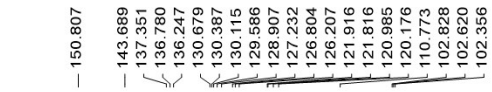


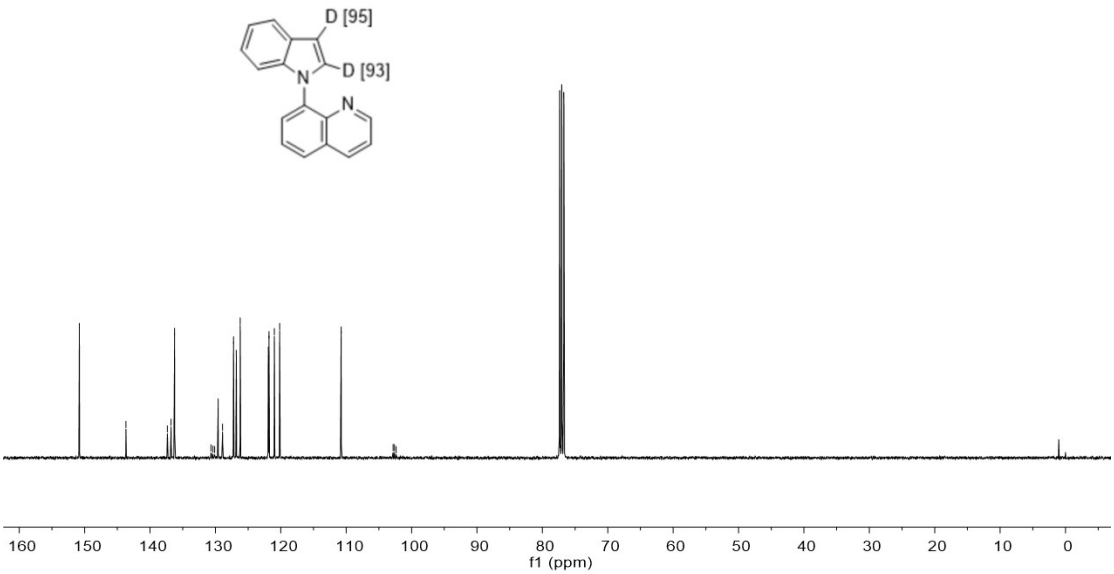


(6a) 8-(1*H*-indol-1-yl-2,3-d_2) quinoline

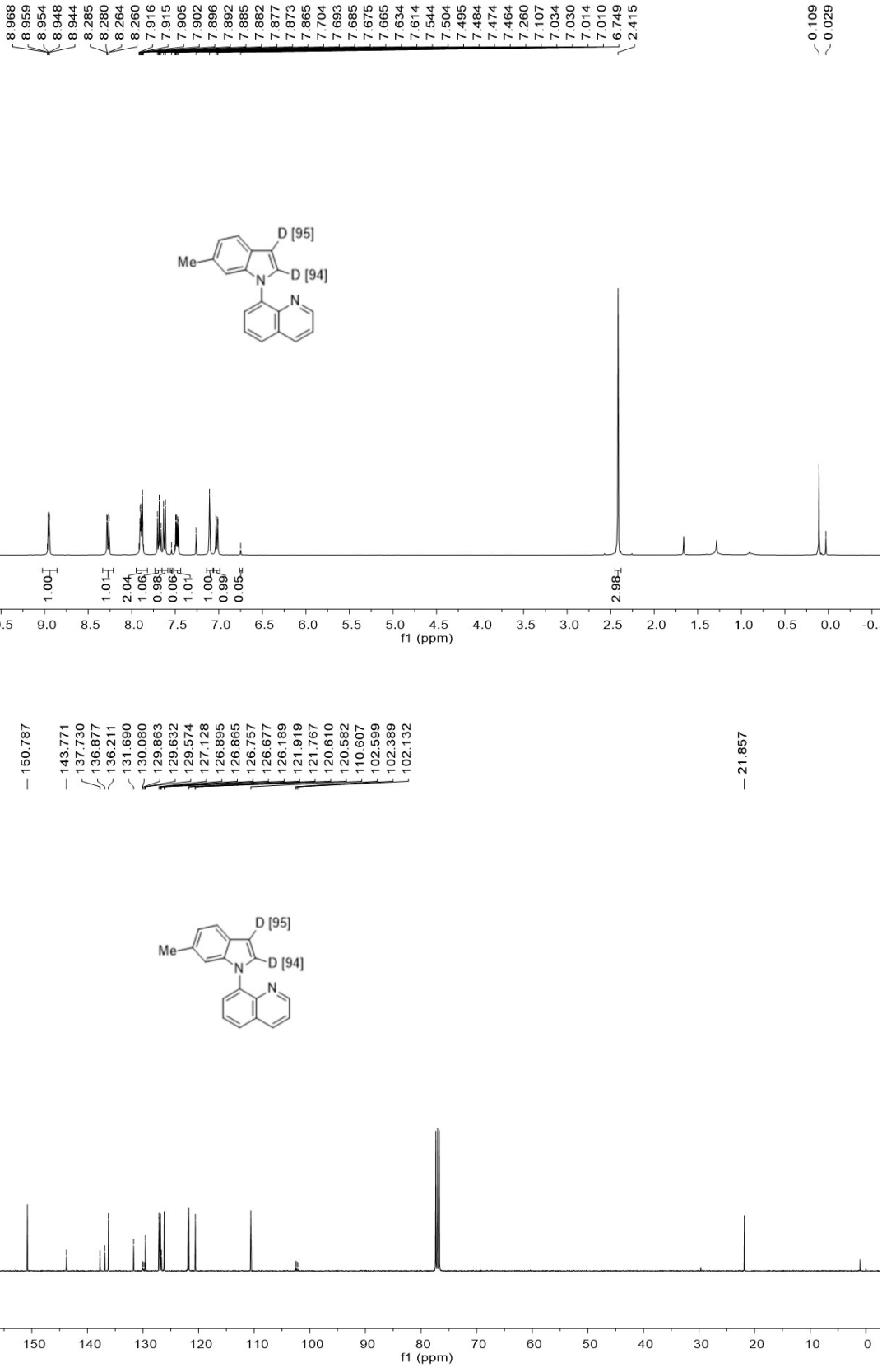




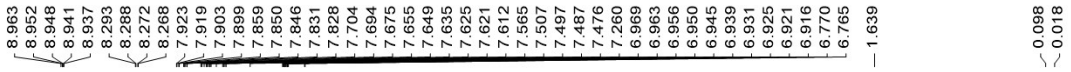


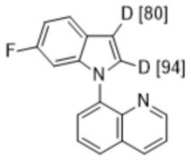


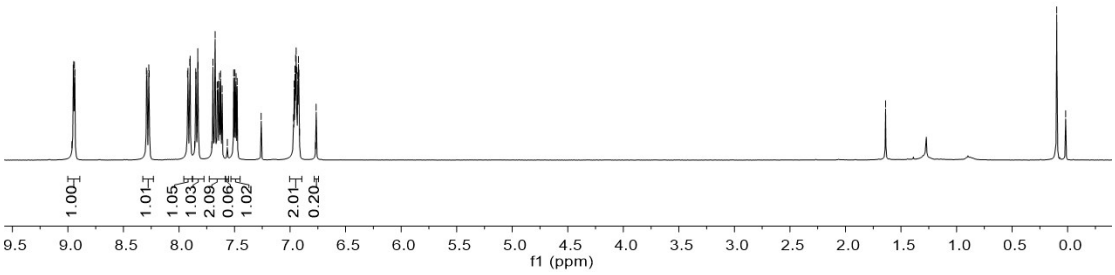
(6b) 8-(6-methyl-1*H*-indol-1-yl-2,3-d_2) quinoline

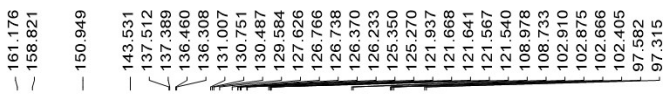


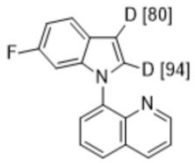
(6c) 8-(6-fluoro-1*H*-indol-1-yl-2,3-d_2) quinoline

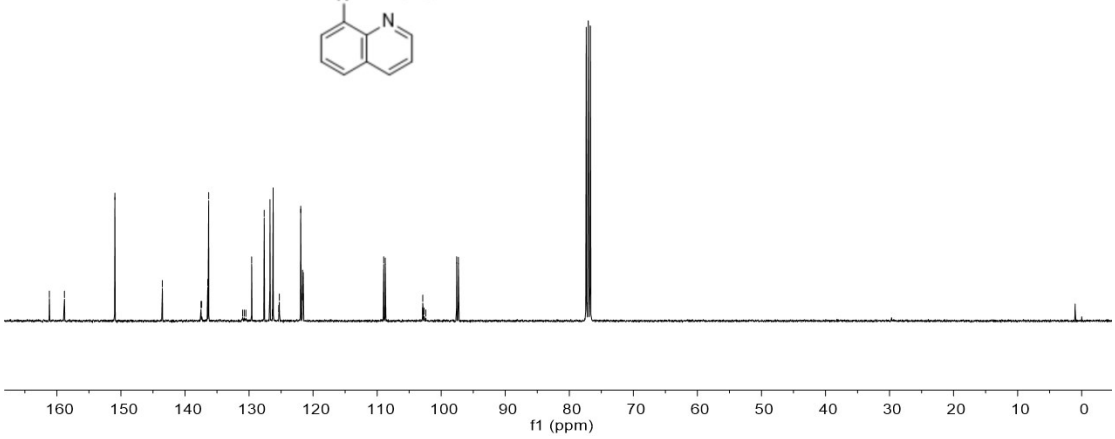




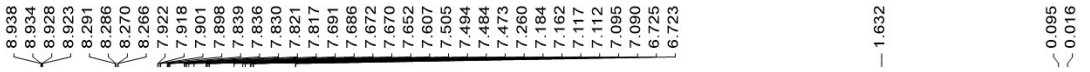


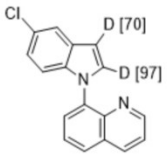


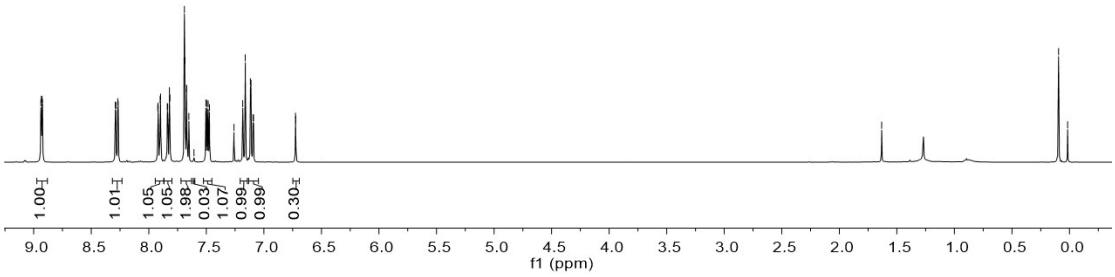


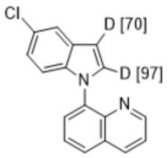


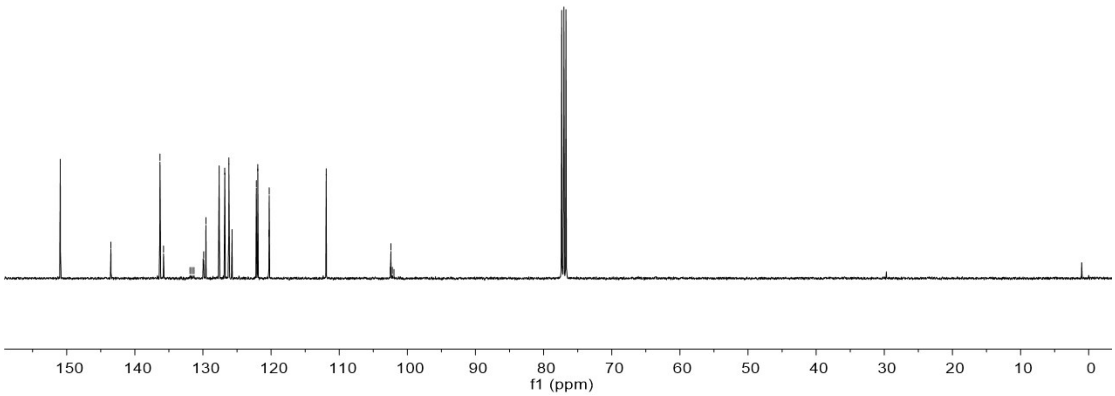
(6d) 8-(5-chloro-1*H*-indol-1-yl-2,3-d_2) quinoline



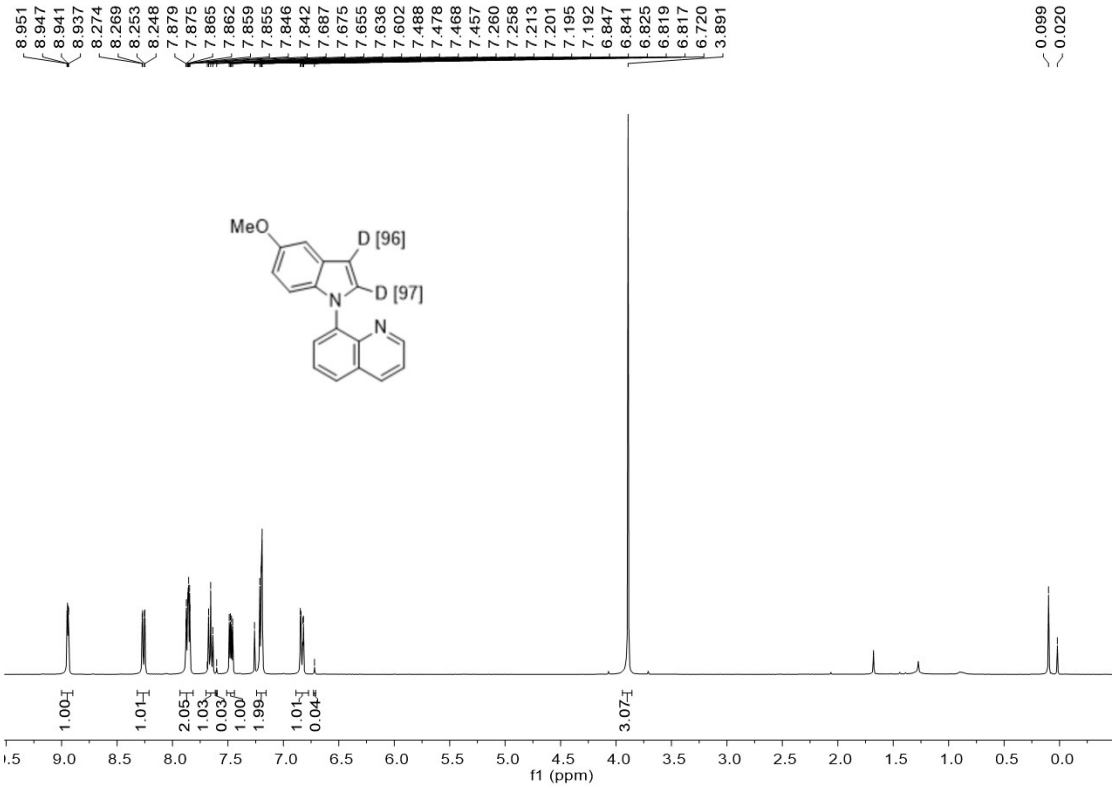


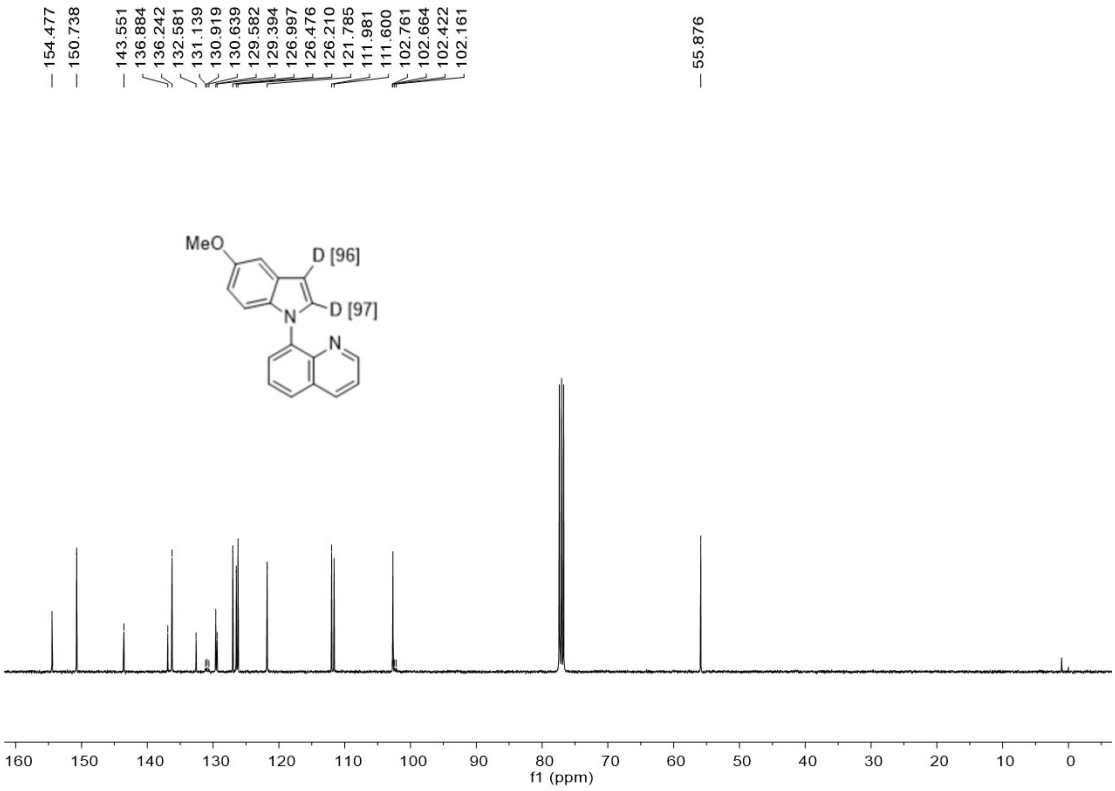




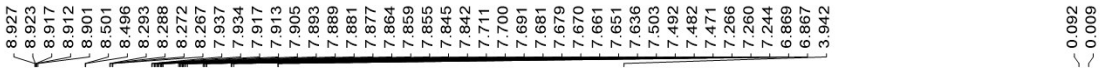


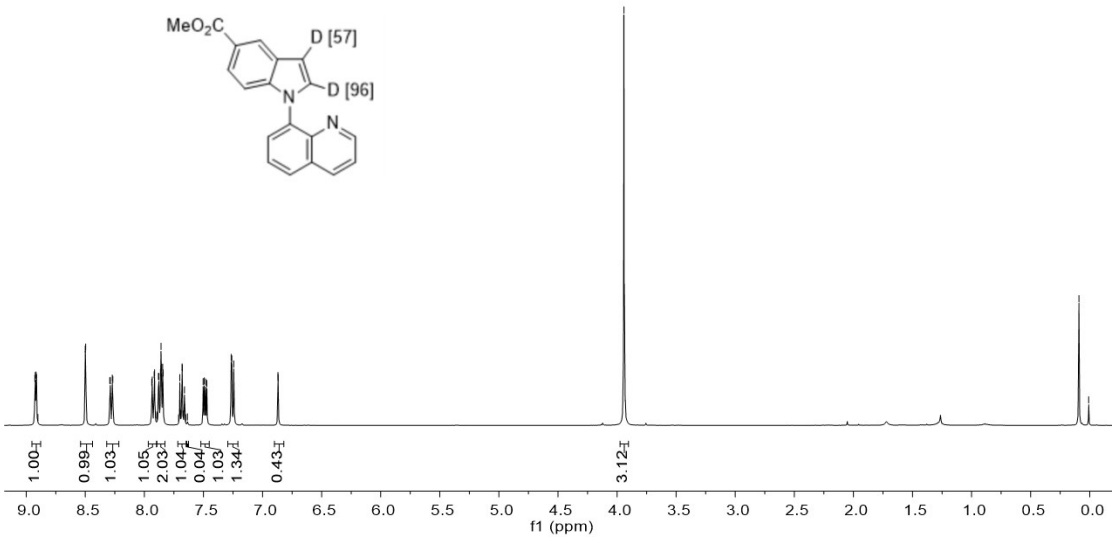
(6e) 8-(5-methoxy-1*H*-indol-1-yl-2,3-d_2) quinoline

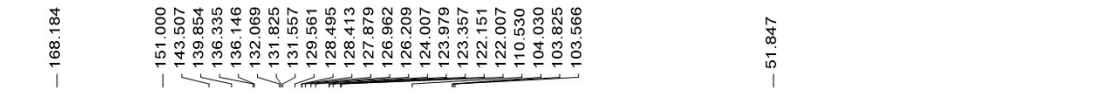


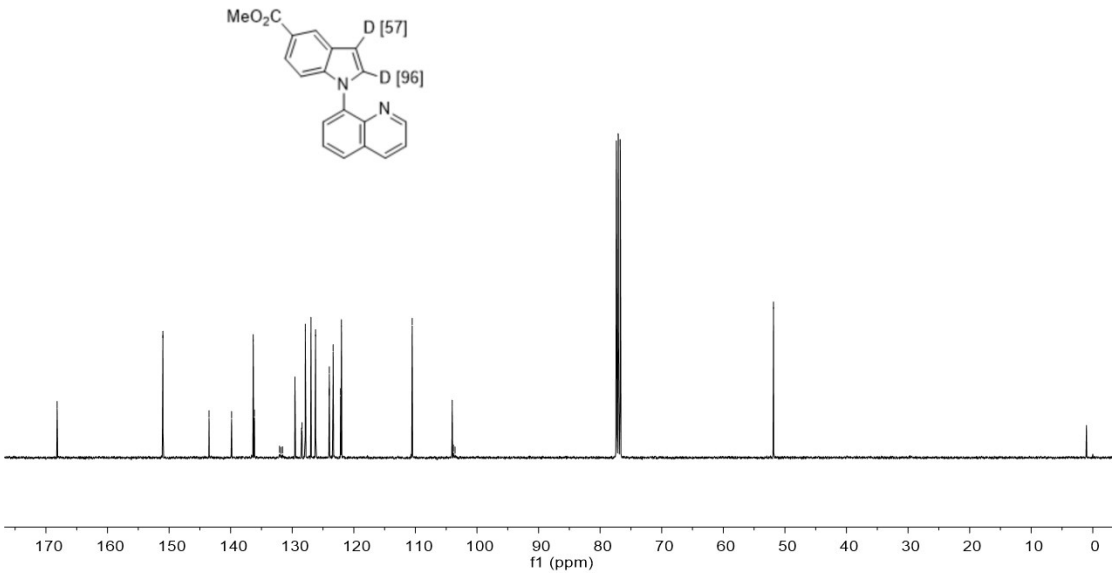


(6f) methyl 1-(quinolin-8-yl)-1*H*-indole-5-carboxylate-2,3-d_2

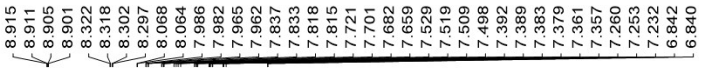


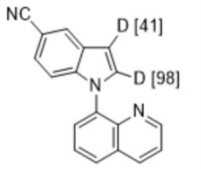


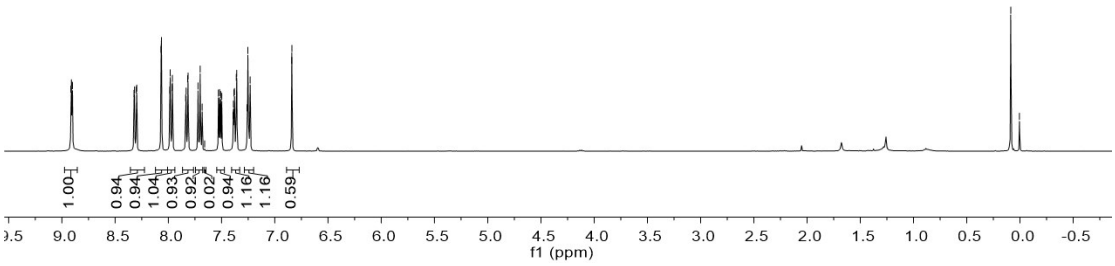


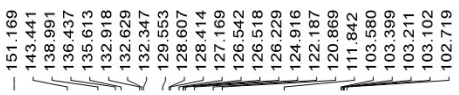


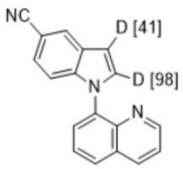
(6g) 1-(quinolin-8-yl)-1H-indole-5-carbonitrile-2,3-d_2

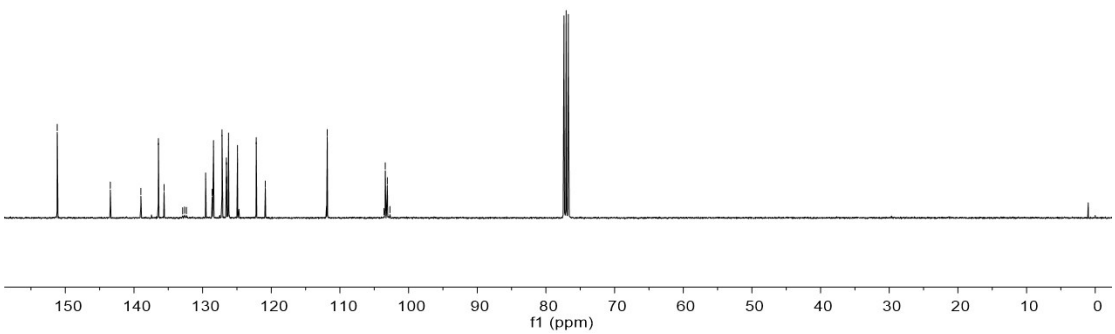




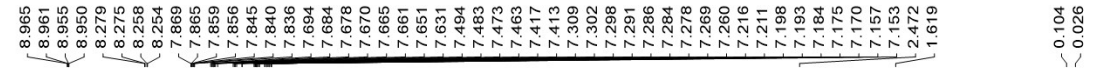


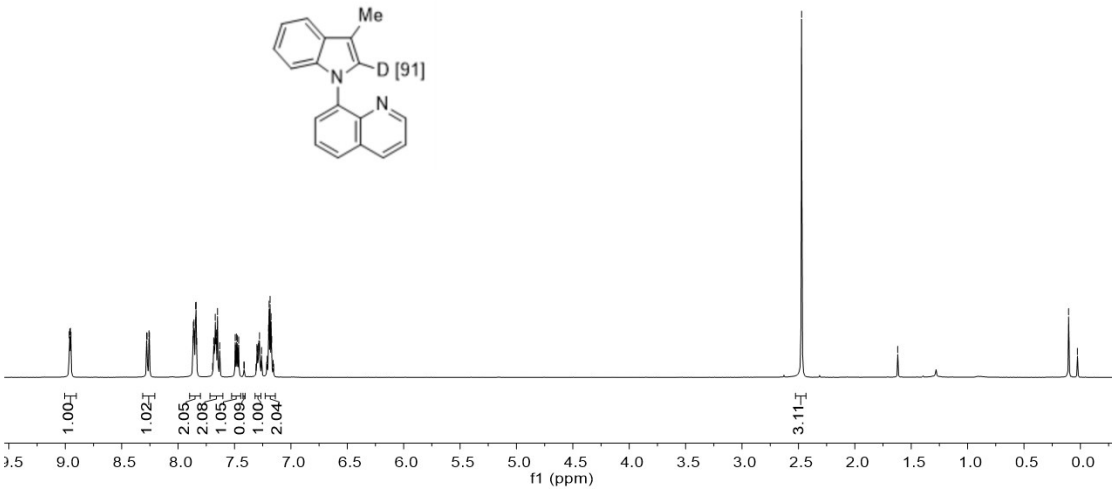


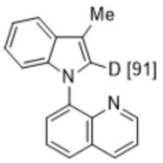


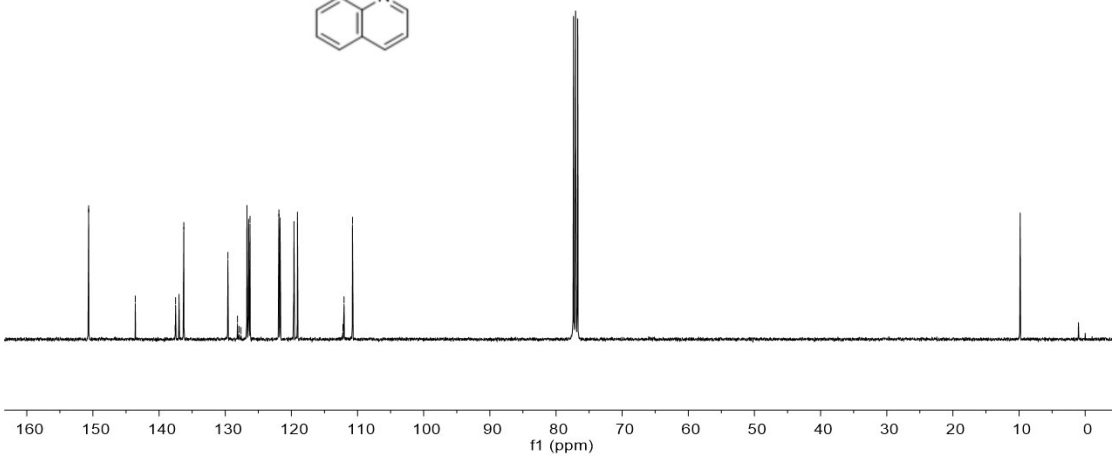


(6h) 8-(3-methyl-1*H*-indol-1-yl-2-*d*) quinoline

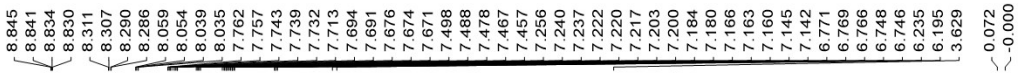


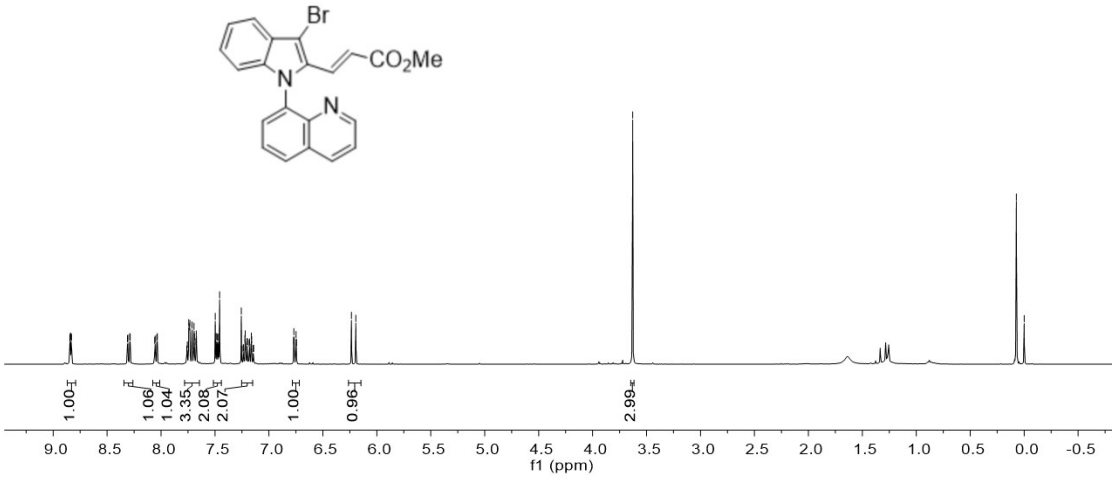


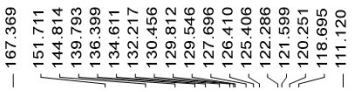


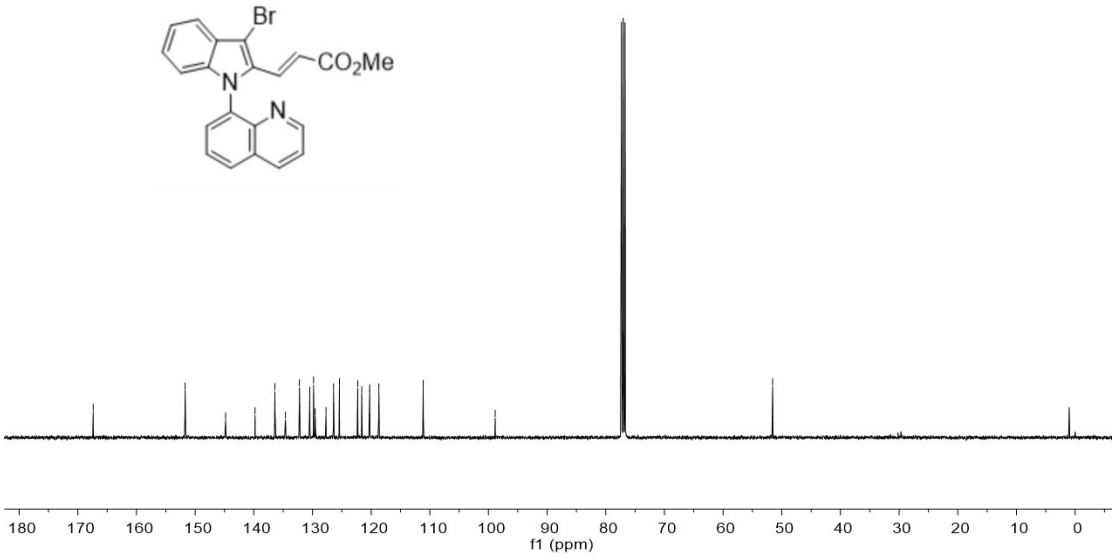


(7) methyl (*E*)-3-(3-bromo-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

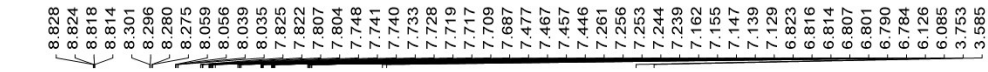


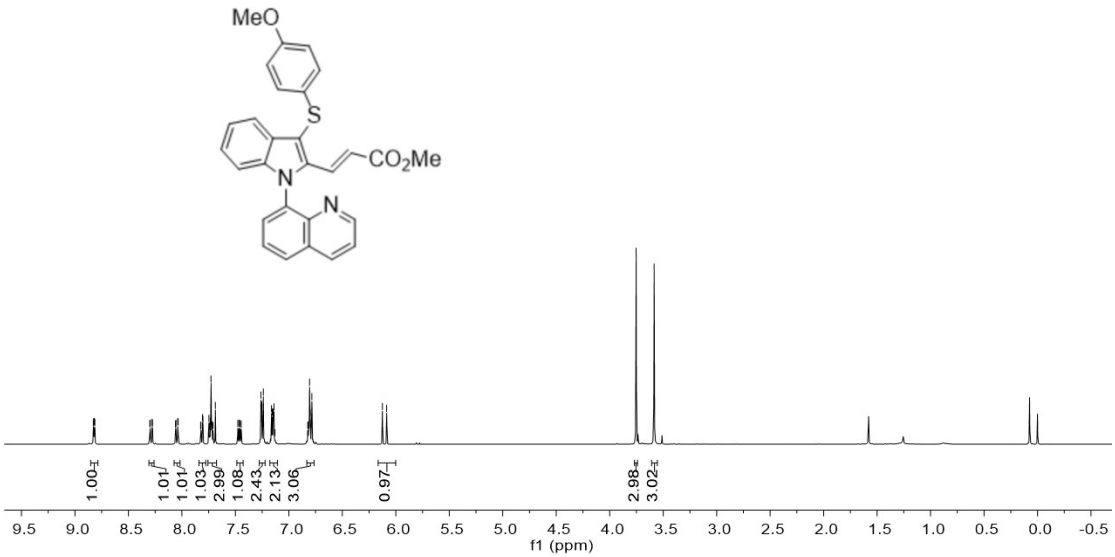


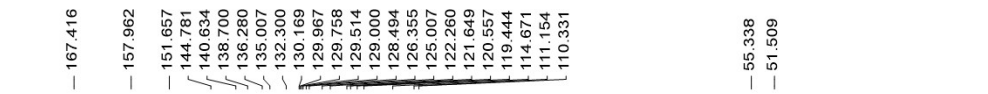


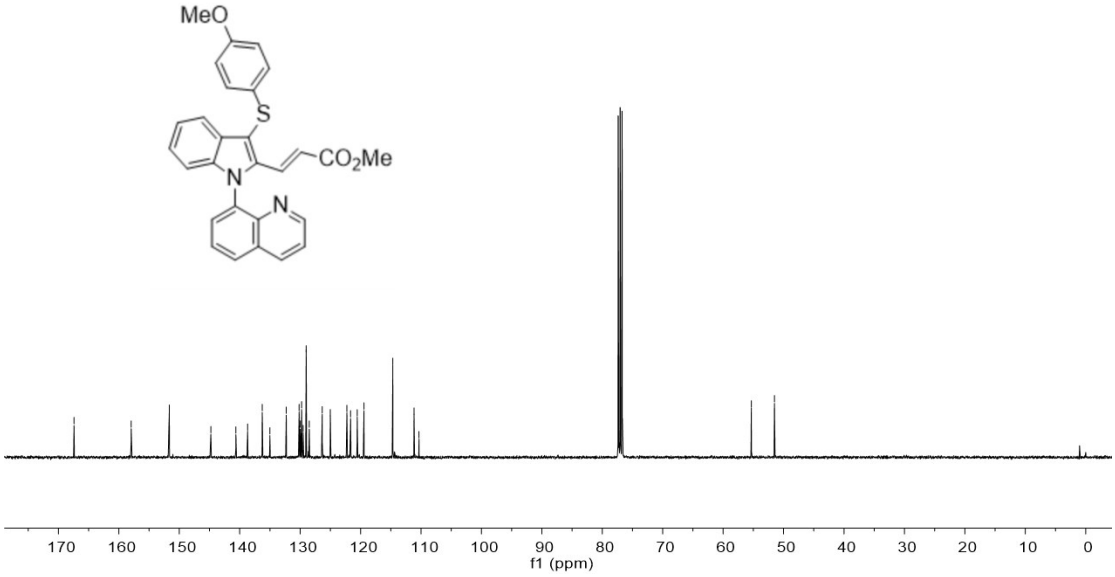


(8) methyl (*E*)-3-(3-((4-methoxyphenyl) thio)-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

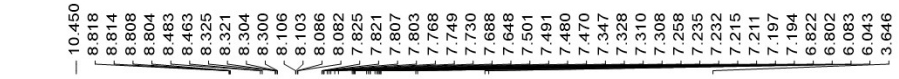


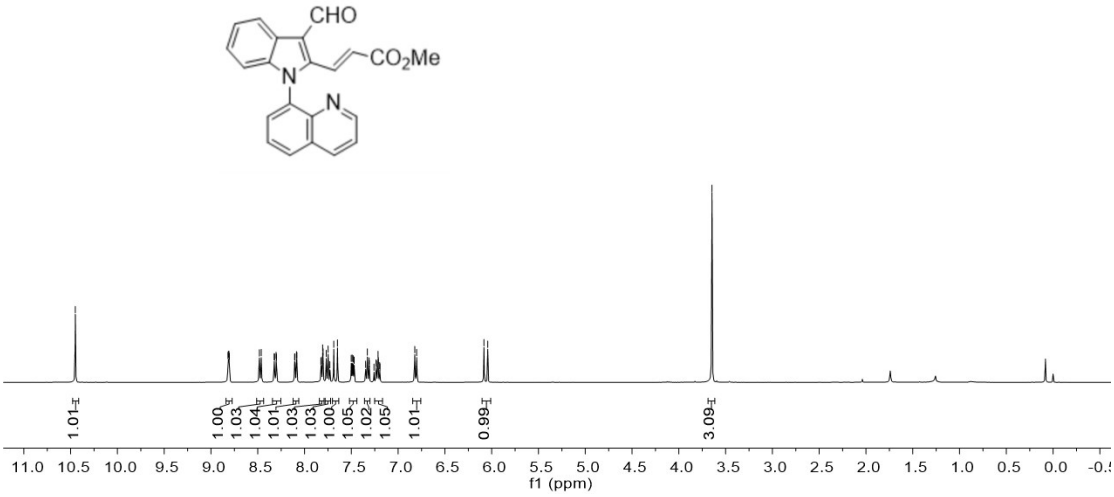


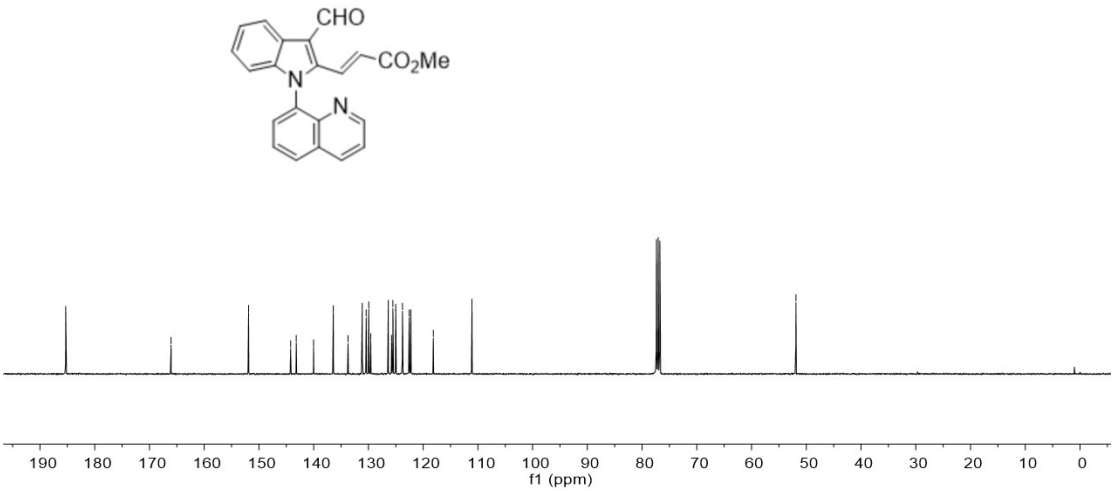




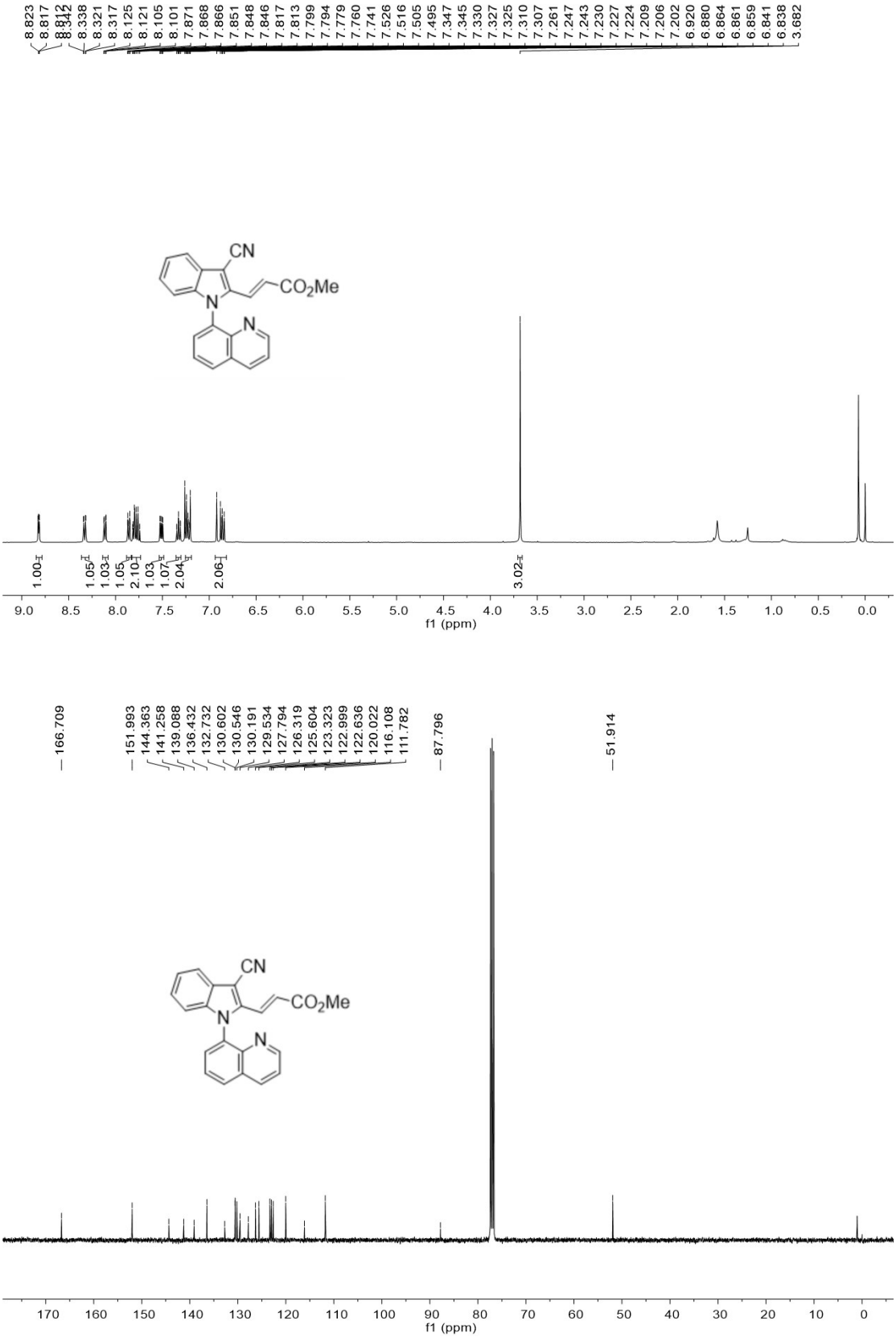
(9) methyl (*E*)-3-(3-formyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate



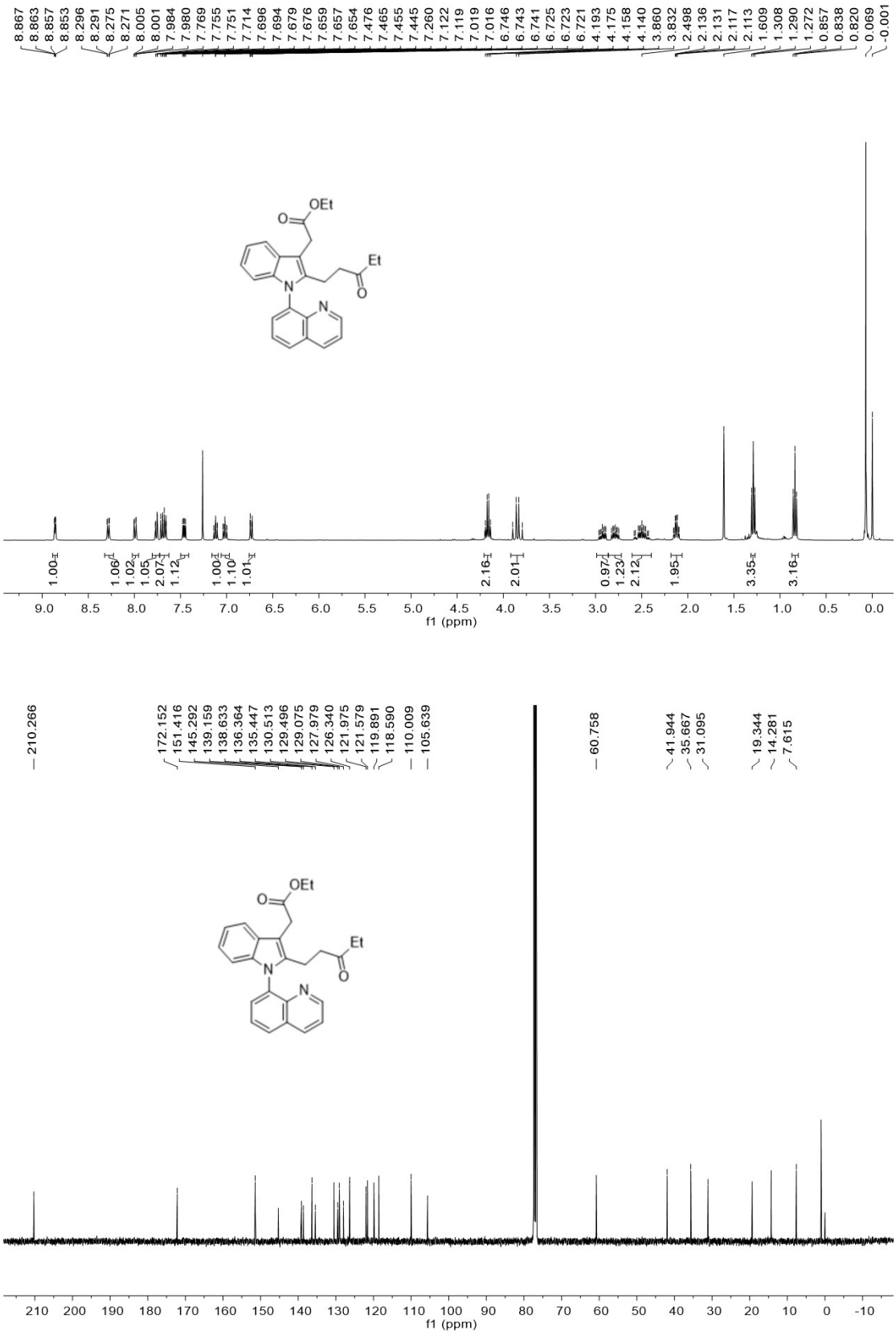




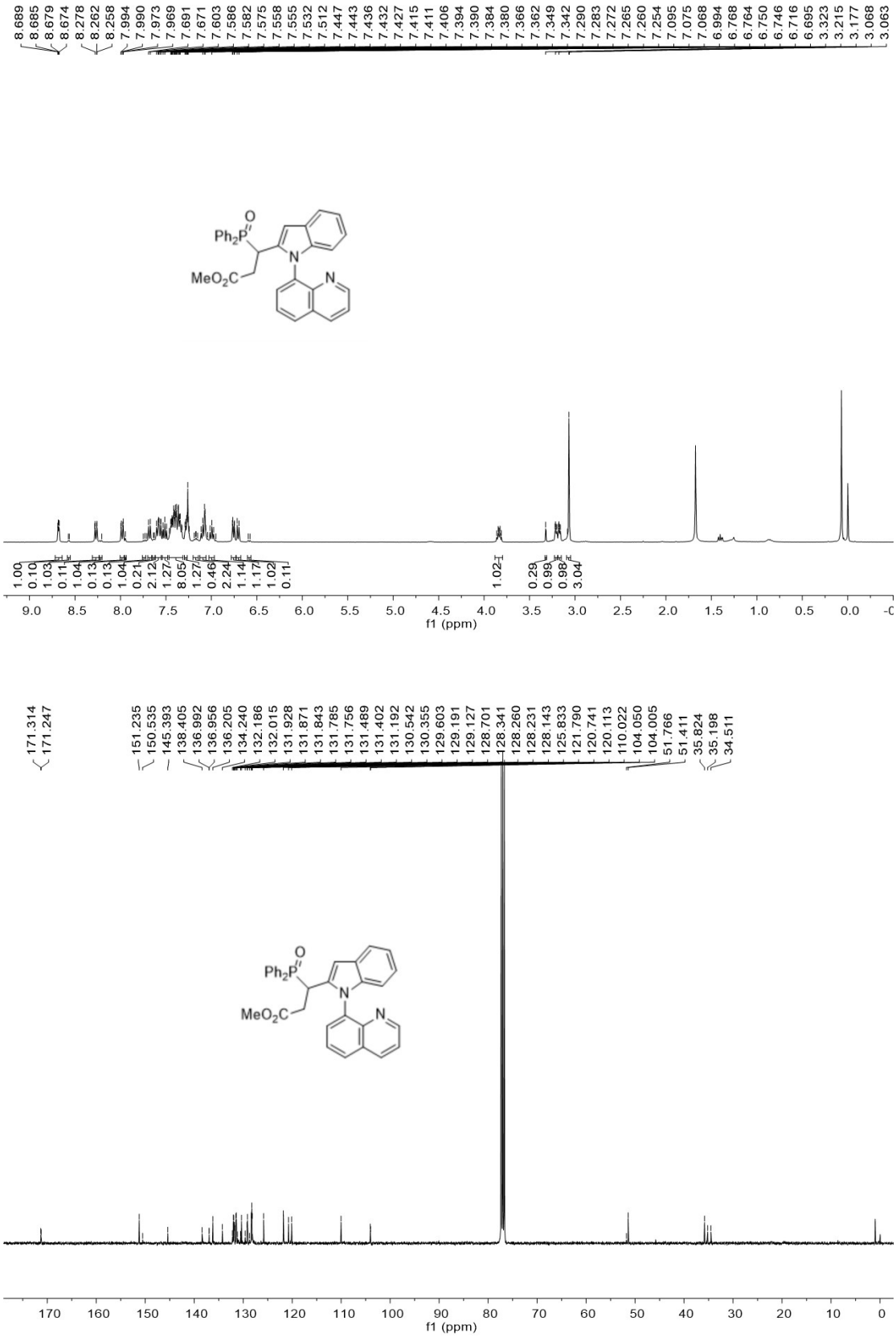
(10) methyl (*E*)-3-(3-cyano-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate

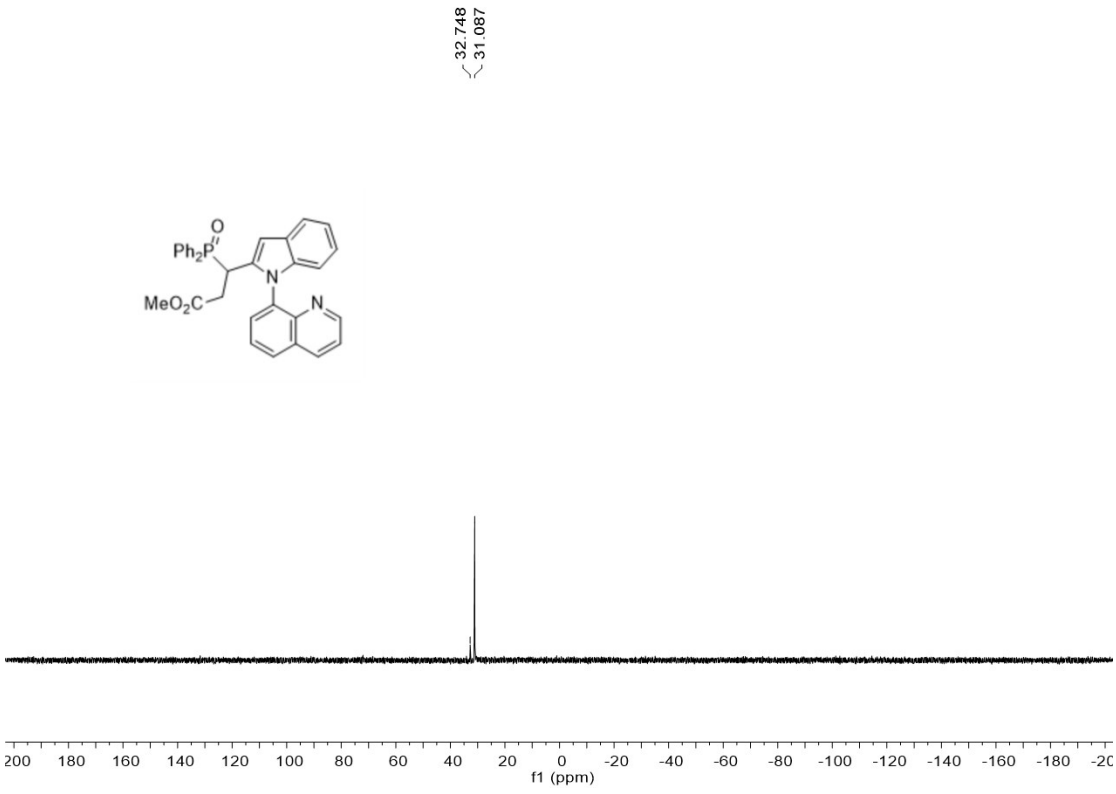


(11) ethyl 2-(2-(3-oxopentyl)-1-(quinolin-8-yl)-1*H*-indol-3-yl) acetate



(12) methyl (*S*)-3-(diphenylphosphoryl)-3-(1-(quinolin-8-yl)-1*H*-indol-2-yl) propanoate

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31.087
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Ph2P
MeO2C
N
N
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f1 (ppm)