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

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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 ¹H NMR, 100 MHz for ¹³C NMR or 162 MHz for ³¹P NMR) spectra were recorded in CDCl₃ with TMS as the internal standard unless otherwise noted. Chemical shifts (δ) were reported in ppm referenced to the CDCl₃ residual peak (δ 7.26) for ¹H NMR. Chemical shifts of ¹³C NMR were reported relative to CDCl₃ (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 indole¹.



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*²-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 NH₄Cl 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 Na₂SO₄ and concentrated. The residue was dissolved in CH₂Cl₂ (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



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	$[Ru(p-cymene)Cl_2]_2$	26

^{*a*}Reactions were performed using **1a** (0.1 mmol), **2a** (0.2 mmol), catalyst, CCA (20 mol%) and $Cu(OAc)_2(1.0 \text{ equiv})$ in THF (0.1 **M**) of solvent at 100 °C for 48 h. ^{*b*}Isolated yield. ^{*c*}[Cp*Co(CO)I₂] (5 mol%).

Screening of Acids, Oxidant, Temp and Time

N 1a	H + CO ₂ Me	[Cp*RhCl ₂] ₂ (2.5 mc Acid Oxidant THF, Temp, Ar, Ti	me Saa	CO ₂ Me
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 <i>f</i>	B(OH) ₃ ^k	$Cu(OAc)_2^h$	100/24	89
19 ^{<i>f</i>}	B(OH) ₃ ^l	Cu(OAc) ₂ ^h	100/24	95
20 ^{<i>f</i>}	B(OH) ₃	$Cu(OAc)_2^h$	80/24	21
21 ^{<i>f</i>}	B(OH) ₃	$Cu(OAc)_2^h$	100/12	80
22 ^f	B(OH) ₃ ^{<i>I</i>}	$Cu(OAc)_2^h$	100/12	54
23 ^f	B(OH) ₃ ^l	$Cu(OAc)_2^h$	100/16	87
24 ^f	B(OH) ₃ ^{<i>l</i>}	$Cu(OAc)_2^h$	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 O₂, ^{*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

Entry ^a	Solvents (0.1M)	Yield ^b (%)
1	THF	95
2	DCE	48
3	МеОН	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*RhCl₂]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



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*RhCl₂]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

+		Catalyst B(OH) ₃ (1.5 equiv) Cu(OAc) ₂ (1.5 equiv) THF, 100 °C, air, 24 h	
1a	4a		5a
Entry ^a	Ca	atalyst (2.5 mol%)	Yield ^b (%)
1	[C	Cp*RhCl ₂] ₂	60
2	[C	$p*Co(CO)I_2]^c$	N.R.
3	[C	p*IrCl ₂] ₂	Trace
4	[R	$u(p$ -cymene) $Cl_2]_2$	10
5	Pd	$d(OAc)_2^d$	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)I₂] (5 mol%). ^{*d*}Pd(OAc)₂ (10 mol%).

Screening of Acids, Oxidant, Temp and Time

N 1a	H N H H H H H H H H H H H H H H H H H H	[Cp*RhCl ₂] ₂ (2.5 m Acid Oxidant THF, Temp, air, T	ol%) ime 5a	N N	
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	НСООН	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
9c	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
1 <i>8g</i>	B(OH) ₃	Cu(OAc) ₂	100/24	52
19 ^h	B(OH) ₃	Cu(OAc) ₂	100/24	69
20 ^{<i>i</i>}	B(OH) ₃	NaOAc	100/24	5
21 ^{<i>h</i>}	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 ^{<i>h</i>}	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



Entry ^a	Catalyst (2.5mol%)	Oxidant (1.5 equiv)	D contents (%)	
			C2	С3
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



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



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



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 ¹H-NMR spectroscopy.

7. A scale-up experiment of 3ca



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 CHCl₃ (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-MeOC₆H₄S)₂ (0.1 mmol), I₂ (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), POCl₃ (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 CH₂Cl₂, filtered through a celite pad and washed with 10-20 mL of CH₂Cl₂. 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 °C 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-2naphthol ((*R*)-H₈-BINOL) (0.075 mmol), H₂O (0.050 mmol), and THF (2 mL) under nitrogen atmosphere, and the mixture was stirred at room temperature for 5 min. Bu₂Mg (1.0 M in heptane, 50.0 μ L, 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 NH₄Cl 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 Na₂SO₄. 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 ¹H-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), D₂O (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 ¹H-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*RhCl₂]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*RhCl₂]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 °C 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 11, 1p – 1s, 3aa – 3na, 3ab – 3ao, 5a – 5w, 5w', 6a – 6h, 7 - 12



(11) 8-(7-methyl-1H-indol-1-yl) quinoline

This compound was purified by column chromatography to afford a white solid in 58% yield; Melting point: 162 - 164 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₁₈H₁₄N₂ 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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₁₈H₁₄N₂O 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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₁₇H₁₁FN₂ 263.0979; Found 263.0978.



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

This compound was purified by column chromatography to afford a white solid in 88% yield; Melting point: 120 - 122 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₁₇H₁₁ClN₂



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

This compound was purified by column chromatography to afford a white solid in 86% yield; Melting point: 133 – 134 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₁₇H₁₁BrN₂ 323.0178; Found 323.0177.



(3aa) methyl (E)-3-(1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₁H₁₆N₂O₂ 329.1285; Found 329.1292.



(3ba) methyl (E)-3-(5-methyl-1-(quinolin-8-yl)-1H-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.¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₈N₂O₂ 343.1441; Found 343.1438.



(3ca) methyl (E)-3-(5-methoxy-1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₈N₂O₃ 359.1390; Found 359.1388.



(3da) methyl (E)-3-(5-(benzyloxy)-1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₈H₂₂N₂O₃ 435.1703; Found 435.1697.



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

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

Melting point: 190 - 192 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₃H₁₈N₂O₄ 387.1339; Found 387.1337.



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

This compound was purified by column chromatography to afford a yellow solid in 94% yield; Melting point: 175 - 176 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₅N₃O₂ 354.1237; Found 354.1239.



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

This compound was purified by column chromatography to afford a yellow solid in 82% yield; Melting point: 227 – 230 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₁H₁₅N₃O₄ 374.1135; Found 374.1133.



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

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

Melting point: 176 - 177 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₁H₁₅FN₂O₂ 347.1190; Found 347.1197.



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

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

Melting point: $194 - 196 \,^{\circ}$ C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₁H₁₅ClN₂O₂ 363.0895; Found 363.0888.



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

This compound was purified by column chromatography to afford a brown solid in 94% yield; Melting point: 194 – 196 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₁H₁₅BrN₂O₂ 407.0390; Found 407.0385.



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

This compound was purified by column chromatography to afford a brown solid in 94% yield; Melting point: 160 – 162 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₈N₂O₂ 343.1441; Found 343.1448.



(3la) methyl (E)-3-(7-methyl-1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₈N₂O₂ 343.1441; Found 343.1443.



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

This compound was purified by column chromatography to afford a yellow solid in 93% yield; Melting point: 136 – 138 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₈N₂O₂ 343.1441; Found 343.1439.



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

This compound was purified by column chromatography to afford a yellow solid in 43% yield; Melting point: 178 - 180 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₈N₂O₂ 343.1441; Found 343.1447.



(30a) methyl (E)-3-(1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₀H₁₅N₃O₂ 330.1237; Found 330.1235.



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

This compound was purified by column chromatography to afford a brown solid in 90% yield; Melting point: 110 – 112 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₈N₂O₂ 343.1441; Found 343.1439.



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

This compound was purified by column chromatography to afford a brown solid in 92% yield; Melting point: 42 – 44 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₄H₂₂N₂O₂ 371.1754; Found 371.1755.



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

This compound was purified by column chromatography to afford a brown solid in 60% yield; Melting point: 52 - 54 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₄H₂₂N₂O₂ 371.1754; Found 371.1761.



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

This compound was purified by column chromatography to afford a brown solid in 76% yield; Melting point: 27 – 30 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₆H₂₆N₂O₂ 399.2067; Found 399.2066.



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

This compound was purified by column chromatography to afford a brown solid in 64% yield; Melting point: 60 - 62 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₆H₁₈N₂O₂ 391.1441; Found 391.1443.



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

This compound was purified by column chromatography to afford a brown solid in 93% yield; Melting point: 37 - 39 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₇H₂₀N₂O₂ 405.1598; Found 405.1603.



(3ah) diethyl (E)-(2-(1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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. ³¹P NMR (161 MHz, None) δ 19.4. HRMS (ESI) m/z: [M+H]⁺ Calcd for C₂₃H₂₃N₂O₃P 407.1519; Found 407.1518.



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

This compound was purified by column chromatography to afford a yellow solid in 34% yield; Melting point: 164 – 167 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₅H₁₈N₂O₂S 411.1162; Found 411.1155.



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

This compound was purified by column chromatography to afford a white solid in 37% yield; Melting point: 258 - 260 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₉N₃O 342.1601; Found 342.1606.



(3ak) (E)-8-(2-styryl-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₅H₁₈N₂ 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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₃₀H₃₂N₂O₂ 453.2537; Found 453.2542.





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

Melting point: 59 – 61 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₃₅H₃₃N₃O₆ 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: $95 - 97 \,^{\circ}$ C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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, 130.3, 129.5, 129.4, 129.3, 129.3, 128.6, 128.1, 128.0, 128.0, 128.0, 127.9, 127.7, 127.4, 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 C₅₁H₃₉F₂N₃O₄ 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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₃₈H₃₄N₂O₃ 567.2642; Found 567.2645.



(5a) 1-(1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₂₀N₂O 329.1648; Found 329.1650.



(5b) 1-(4-methyl-1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₃H₂₂N₂O 343.1805; Found 343.1809.



(5c) 1-(5-methyl-1-(quinolin-8-yl)-1H-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. ¹H 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 = 8.0, 1.6 Hz, 1H), 7.76 (dd, J = 7.2, 1.6 Hz, 1H), 7.72 - 7.64 (m, 1H), 7.43 (dd, J = 8.0, 1.6 Hz, 1H), 7.76 (dd, J = 7.2, 1.6 Hz, 1H), 7.72 - 7.64 (m, 1H), 7.43 (dd, J = 8.0, 1.6 Hz, 1H), 7.76 (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 = 8.0, 1.6 Hz, 1H), 7.76 (dd, J = 8.0, 1.6 Hz, 1H), 7.83 (dd, J = 8.0, 1.6 Hz, 1H), 7.76 (dd, J = 8.0, 1.6 Hz, 1H), 7.76 (dd, J = 8.0, 1.6 Hz, 1H), 7.83 (dd, J = 8

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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₃H₂₂N₂O 343.1805; Found 343.1800.



(5d) 1-(6-methyl-1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₃H₂₂N₂O 343.1805; Found 343.1803.



(5e) 1-(5-methoxy-1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₃H₂₂N₂O₂ 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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₃H₂₂N₂O₂ 359.1754; Found 359.1755.



(5g) 1-(5-(benzyloxy)-1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₉H₂₆N₂O₂ 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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₉FN₂O 347.1554; Found 347.1558.



(5i) 1-(5-chloro-1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₉ClN₂O 363.1259; Found 363.1262.



(5j) 1-(5-bromo-1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₉BrN₂O 407.0754; Found 407.0753.



(5k) 1-(6-fluoro-1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₉FN₂O 347.1554; Found 347.1559.



(5l) 1-(6-chloro-1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₉ClN₂O 363.1259; Found 363.1252.



(5m) 1-(6-bromo-1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₉BrN₂O 407.0754; Found 407.0746.



(5n) 1-(5-nitro-1-(quinolin-8-yl)-1H-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 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₉N₃O₃ 374.1499; Found 374.1492.



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

This compound was purified by column chromatography to afford a yellow solid in 41% yield; Melting point: 170 – 172 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₄H₂₂N₂O₃ 387.1703; Found 387.1707.



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

This compound was purified by column chromatography to afford a slight yellow solid in 50% yield; Melting point: 42 - 44 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₃H₁₉N₃O 354.1601; Found 354.1605.



(5q) 1-(1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₅H₂₆N₂O 371.2118; Found 371.2114.



(5r) 1-phenyl-3-(1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₆H₂₀N₂O 377.1648; Found 377.1647.



(5s) 3-(1-(quinolin-8-yl)-1H-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 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₇H₂₂N₂O 391.1805; Found 391.1809.



(5t) 1-(4-methoxyphenyl)-3-(1-(quinolin-8-yl)-1H-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 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₇H₂₂N₂O₂ 407.1754; Found 407.1755.



(5u) 1-(4-fluorophenyl)-3-(1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₆H₁₉FN₂O 395.1554; Found 395.1562.



(5v) 1-(4-chlorophenyl)-3-(1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₆H₁₉ClN₂O 411.1259; Found 411.1266.



(5w) 1-(4-bromophenyl)-3-(1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₆H₁₉BrN₂O 455.0754; Found 455.0749.



(5m') (E)-1-(6-bromo-1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₇BrN₂O 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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₁₇H₁₀D₂N₂ 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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₁₈H₁₂D₂N₂ 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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₁₇H₉D₂FN₂ 265.1105; Found 265.1112.



(6d) 8-(5-chloro-1*H*-indol-1-yl-2,3-d₂) quinoline

This compound was purified by column chromatography to afford a white solid in 96% yield; Melting point: 141 – 142 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₁₇H₉D₂ClN₂ 281.0809; Found 281.0803.



(6e) 8-(5-methoxy-1*H*-indol-1-yl-2,3-d₂) quinoline

This compound was purified by column chromatography to afford a white solid in 97% yield; Melting point: 101 - 102 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₁₈H₁₂D₂N₂O 277.1304; Found 277.1298.



(6f) methyl 1-(quinolin-8-yl)-1H-indole-5-carboxylate-2,3-d2

This compound was purified by column chromatography to afford a white solid in 98% yield; Melting point: 72 – 73 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₁₉H₁₂D₂N₂O₂ 305.1254; Found 305.1256.



(6g) 1-(quinolin-8-yl)-1H-indole-5-carbonitrile-2,3-d₂

This compound was purified by column chromatography to afford a white solid in 96% yield; Melting point: 176 - 177 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₁₈H₉D₂N₃ 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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₁₈H₁₃D₂N₂ 260.1293; Found 260.1291.



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

This compound was purified by column chromatography to afford a yellow solid in 73% yield; Melting point: 60 - 62 °C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₁H₁₅BrN₂O₂ 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. ¹H 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). ¹³C NMR (101 MHz, CDCl₃) 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 C₂₈H₂₂N₂O₃S 467.1424; Found 467.1423.



(9) methyl (E)-3-(3-formyl-1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₆N₂O₃ 357.1234; Found 357.1227.



(10) methyl (E)-3-(3-cyano-1-(quinolin-8-yl)-1H-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. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₂H₁₅N₃O₂ 354.1237; Found 354.1234.



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

This compound was purified by column chromatography to afford a slight yellow liquid in 42% yield; ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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 C₂₆H₂₆N₂O₃ 415.2016; Found 415.2013.



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

This compound was purified by column chromatography to afford a white solid in 83% yield; Melting point: $177 - 179 \,^{\circ}$ C. ¹H 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). ¹³C NMR (100 MHz, CDCl₃) 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, 131.8, 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. ³¹P NMR (161 MHz, None) δ 32.8, 31.1. HRMS (ESI) m/z: [M+H]⁺ Calcd for C₃₃H₂₇N₂O₃P 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

8,840 8,8175 8,1759 8,1758 8,1758 8,1758 8,1758 8,1758 8,1758 8,1758 7,589 7,599 7,5	1.687	0.102 -0.000
	1	57



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

									-										-	-		_														
961	957	951	946	283	278	262	257	908	904	386	383	367	363	593	375	373	354	320	669	194	191	183	\$73	163	260	380	375	359	353	778	772	737	735	729	727	742
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œ	œ	œ	œ	œ	œ	œ	œ	~	~	~	2	7	~	~	7	~	7	~	~	~	7	~	~	7	2	œ	œ.	œ.	ø	ø	œ.	œ	œ.	œ.	œ.	e
-		,	-	6		1	_		_	_	-	-	-	-	-	_	-	-		_	_			-			-								_	_



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

8.951 8.946 8.940 8.936 8.291 8.291 8.287 8.287 8.270 8.270	0.200 7.923 7.923 7.929 7.845 7.845 7.830 7.654 7.654 7.655 7.654 7.655 7.654 7.655 7.755 7.655 7.7557 7.7557 7.7557 7.7557 7.7557 7.7557 7.7557 7.7557 7.7557 7.7557 7.7557 7.7557 7.7557 7.7557 7.75577 7.75577 7.755777 7.75577777777	7.630 7.624 7.616 7.611 7.568 7.568 7.560 7.495 7.495 7.485	7.200 6.967 6.962 6.950 6.944 6.938 6.938 6.919 6.771 6.773





151,001 137,512 137,5102 137,5102 137,510 136,556 130,554 131,126 131,126 131,126 131,126 131,126 121,524 122,626 122,525 122,525 122,526 122,525 122,526 122,527 122,526 123,526 124,526 124,526 124,526 124,526 124,526 124,526 124,526 124,526 124,526 124,526 124,526 124,526 124,526 124,526 124,526 124,526 124,526 124, ~ 161.250 ~ 158.895





90 80 f1 (ppm)

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

	· ·			• • •	
938 934	928	296 291 275	271 933 930 913 913 913 846 846 842 842	824 703 684 682 664 625 604	5550 5552 558 498 4477 4477 552 4477 137 137 137 137 755 755 755 755 7755 7
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	11	411-	111111		



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

8.945 8.941 8.935 8.930 8.273 8.273 8.269 8.269 8.252 8.252 8.240	8.240 7.917 7.913 7.996 7.893 7.893 7.836 7.836 7.817 7.817 7.666 7.666	7.640 7.597 7.572 7.572 7.572 7.572 7.572 7.455 7.455 7.455 7.455 7.455 7.455 7.455 7.455 7.455 7.455 7.455 7.455 7.455 7.572 8.723 8.7283 6.787 6.787 6.787 6.787 6.787 6.787 6.787 6.787 7.5727 7.57277 7.57277 7.57277 7.572777 7.5727777777777	6.779
			_











80 70 f1 (ppm)

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

3.845 3.845 3.838 3.838 3.834 3.834 3.834 3.203 3.298 3.298 3.298 3.282 3.277	3.037 3.037 3.020 3.016 7.797 7.793 7.779	7.775 7.737 7.730 7.730 7.728 7.724 7.719 7.719 7.712 7.712	7.705 7.698 7.698 7.473 7.462 7.462 7.462 7.462 7.462 7.461 7.319 7.317 7.317	7.237 7.237 7.237 7.156 7.156 7.156 7.152 7.156 7.152 7.138 7.138 7.133 7.133	7.121 7.118 5.854 5.854 5.854 5.854 5.833 5.833 5.833 5.832 5.832 5.832 5.823 5.8325 5.832 5.832 5.832 5.832 5.832 5.832 5.832 5.832 5.832



(3ba) methyl	(E)-3-(5-methyl-1-(quinolin-8-yl)-1H-indol-2-yl) acr	ylate
000004000	NONON0400000000000000000000000000000000	

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	833 829 823 823 818 288 288 288	267 263 263 019	015	995 766	748	718 698 680	482 480 478	476 459	449 439 428 291	253 250 142	950 950 933	928 726 190 150 632	426	609	074
	ໝ່ ໝໍ ໝໍ ໝໍ ໝໍ ໝໍ ໝ	ວ່ໝ່ໝ່	00 M	NN	NNT			NN	NNNN	アファ	000		N	÷.	юÖ
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90 80 f1 (ppm) 

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

0.076

838	834	827	823	285	280	264	259	015	011	366	991	764	760	745	741	713	69	674	459	446	438	428	273	252	234	146	123	117	796	792	775	769	732	710	211	171	851	634	
ω	8	00	8	00	ω	ω	œ	80	ω	~	~	2	~	~	~	~	2	~	~	~	~	2	~	~	~	~	~	~	G	9	ø	G	9	G	9	ø	3	3	
۰.	- 4	1	1	4		2	_	1	-	_	_	_	_	-	-	_	_	_	-	_	-									-			_	_	-	_	_		



90 80 f1 (ppm) 





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

8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 8.827 7.728 8.827 7.728 8.827 7.728 8.827 7.728 8.827 7.728 8.827 7.728 8.827 7.728 8.827 7.728 8.827 7.728 8.827 7.728 8.827 7.728 8.727 7.728 8.727 7.728 8.727 7.728 8.727 7.728 8.727 7.728 8.777 7.728 8.777 7.7798 7.7798 7.7798 7.7798 7.7778 7.7788 7.7778 8.7778 7.7788 7.7778 8.7778 7.7788 7.7778 8.7778 7.7788 7.7778 8.7778 7.7788 7.7778 8.7778 7.7788 7.7778 8.7778 7.7788 7.7778 8.7778 7.7778 8.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.7778 7.77787778	7.738 7.736 7.736 7.493 7.483 7.483 7.483 7.463 7.263 7.263 7.263 7.222 7.222 6.841 6.841	6.839 6.821 6.819 6.2817 6.2817 6.242 6.242 7.3.925 1.612	0.072 ~ -0.000
MeO ₂ C N CO ₂ Me			
00-1 00-7 00-7 1-2-6 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2-1 1-2	- ¹ -21. - ¹ -24.		
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5	5.0 4.5 4.0 3.5 3.0 f1 (ppm)	2.5 2.0 1.5 1.0	0.5 0.0
167.179 167.179 167.179 1142.926 1142.926 1142.926 1142.926 1142.926 1142.926 1122.359 1122.355 1122.355 1122.329 1122.329	- 106.134 51.943	> 51,603	



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

✓ 0.074
✓ -0.000







170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 f1 (ppm)

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

0.073



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

0.074



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

0.076



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

·	/	•	· ·				•	. •	• /	• /	•
010	.840 .836 .830 .826 .293 .293	.272 .267	.027	.010	.773 .759	.729	.591 .571	.451 .451 .441 .430		.601 .137 .098 .098 .621 .300	.075
0	~~~~~~~	0 00 0	c co c	ထထ၊			ファフ	N N N N		N 3000	0 0
		1-									57





(3la) methyl (E)-3-(7-methyl-1-(quinolin-8-yl)-1H-indol-2-yl) a	crylate	
4 % % % % % % % % % % % % % % % % % % %	60	73

8.843 8.8239 8.8238 8.8285 8.8285 8.8285 8.8285 8.8285 8.8285 8.8285 8.8285 8.8285 8.8285 8.8285 8.8285 8.8285 8.8285 8.8265 8.8255 7.7565 7.7565 7.7565 7.7565 7.7565 7.7565 7.7565 7.7565 7.7565 7.7565 7.7566 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.7556 7.75567 7.75567 7.75567 7.75567 7.75567 7.75567 7.75567 7.75567 7.75567 7	•	,	•	•	/	· ·	•	<ul> <li>I</li> </ul>	• •	• •	•	
	_r 8.843	8.839 8.833 8.828 8.289 8.289	8.268	8.042	8 021	L 7.786 L 7.783 L 7.768	- 7.765 - 7.683 - 7.665 - 7.663 - 7.663 - 7.663 - 7.686	- 7.566 - 7.459 - 7.449 - 7.438	. 7.428 7.251 7.2145 7.145 7.145 7.1053 7.053 7.035 7.035 7.033 7.033 6.864 6.864	6.849 6.846 6.846 6.844 6.259 6.259 6.220 1.3.620	— 1.509	0.073









- 18.732

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

0.071





170 90 80 f1 (ppm) 70 60 10 0 160 150 140 130 120 110 100 50 40 30 20

(3oa) methyl (A	E)-3-(1-(quinolin-8-yl)-1	1 <i>H</i> -pyrrolo[2,3-b] py	ridin-2-yl)	acrylat
827 822 816 812 223 223 223 223 223 223 223 223 223	201 063 063 063 063 043 043 443 443 441 441 441 441 443 387 387 387 126	1116 1116 574 534 685 685	588	070
			-	Ö





90 80 f1 (ppm) 

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

0000017000000	40004100000	0 / - D O O O O O O / - / / / / / / / / / / / /	0404040040040040040
	8 9 9 7 7 7 7 0 0 8	0 0 0 0 0 0 0 1 1 1 0 0 0 0 0 1 1 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0	2 4 6 6 7 5 5 5 6 6 6 6 6 6 6 6 6 6 6 6 6 6
	~~~~~~~~		-00000000444400



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

V N O N O D O 4 4 O 4	0 8 9 9 9 9 9 9 9 9 9 9 9 9 9 9 9 9 9 9	0004000000-0000	· / ころののののころのののからの - こ + 0
000000000000000	/ ^ ^ 0 0 0 0 0 0 / / / 0 0 0	V 4 6 0 4 4 0 0 0 0 0 0 0	0 0 0 4 0 0 0 0 0 4 0 0 0 0 0 0 0 0 0 0
00000000000000	0 / / / / / / 0 0 0 4 4 4 4	00000	000000000000000000000000000000000000000
mmmmmmmmm	MNNNNNNNNNNN	~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~	
	W = = = = = = = = =		







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

44 33 30 30 30 30 30 30 30 40 50 50 50 50 50 50 50 50 50 5	1 2 2 2 2 3 3 9 3 1 4 1 2 2 2 2 2 3 2 4 1 2 1 2 2 2 2 2 1 2 1 2 1 2 1 2 1 2	3 2 2 4 0 1 2 3 3 4 4 9 3 2 4 4 9 3 5 4 4 9 3 5 4 4 9 4 9 5 5 4 4 9 5 5 4 4 9 5 5 4 4 9 5 5 5 4 5 5 5 5	71 233 33 26 28 27 20 20 20 20 20 20 20 20 20 20 20 20 20
	002277777700	00744440000	
	1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1 1	~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~ ~	 000444444000
V V	the standard and and standard as		



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

866 861 855 855 855 855 855 80 9 827 9 042 038 827 9 827 9 827 9 827 9 827 9 827 75 827 75 827 75 827 775 827 775 827 775 827 775 80 80 80 80 80 855 855 855 855 855 855	732 772 772 772 772 772 772 772 772 772	161 155 148 142 142 035 035 031 142 035 031 142 035 00 000 000 000





- 165 453 165 453 165 453 165 453 165 453 165 453 165 453 165 453 165 453 136 355 136 356 136 359 137 359 136 359 137 359 136 359 137 359 1



90 80 f1 (ppm) 170 160 100 70 60 40 30 20 10 0 150 140 130 120 110 50

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



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

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

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90 80 f1 (ppm) -1

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

8.877 8.877 8.868 8.868 8.364 8.309 8.233 8.2388 8.2388 8.2388 8.2388 8.033 8.029 8.029	7,000 7,700 7,710 7,710 7,710 7,710 7,710 7,454 7,464 7,464 7,464 7,464 7,167 7,107 7,107 1,104 7,105 7,107 1,104 7,107 1,104 7,107 1,104 7,107 1,104 7,107 1,104 7,107 1,104 7,107 1,104 7,107 1,104 7,107 1,104 7,107 1,1041	7.049 7.045 7.045 7.035 7.035 7.035 6.818 6.818 6.797 6.641 6.641



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

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

·		·	 I 	• /		
8 856	8.852 8.846 8.846	8.300	8.279 8.275 8.038 8.038 8.034	8.018 8.014 7.819 7.815 7.815 7.815 7.801 7.797 7.747 7.747 7.741	7.723 7.701 7.467 7.467 7.467 7.467 7.467 7.467 7.467 7.467 7.467 7.467 7.467 7.467 7.468 7.315	7,167 7,1167 7,1151 7,1151 7,1151 7,1151 7,139 7,139 7,139 6,959 6,959 6,959 6,959 6,959 6,954 6,955 6,955 6,955 6,956 6,956 6,956 6,956 6,957 6,956 6,956 6,956 6,9576 6,9576 6,9576 6,9576 6,9576 6,
	~1/	1	1111			



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



166.971 166.091 151.500 151.500 151.500 151.500 151.500 136.151 136.151 136.151 136.151 136.196 136.151 136.151 136.151 136.156 135.872 135.872 135.873 135.873 135.873 135.875 135.875 135.875 135.875 135.875 135.875 135.875 135.875 135.875 135.875 135.875 135.875 122.954 122.954 122.955 122.954 122.955 122.956 122.956 122.956 122.957 122.958 122.958 122.958 122.958 <td



(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

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

55 442 881 881 881 881 77 887 77 887 76 887 16 55 987 16 55 987 16 55 987 887 887 887 887 887 887 887 887 887	999 999 999 999 999 999 999 999 999 99	883 97 94 94 94 94 94 94 94 94 94 94 94 94 94	55 55 55 55 55 73 73 73 73 73 73 73 73 73 73 73 73 73
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(5b) 1-(4-methyl-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

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

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

858 854 848 848 843	2778 22577 22577 22577 22577 22577 22577 2779 2957 7771 7771 7771 7771 7771 7771 7771 7	0.022 0.
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(5f) 1-(6-metho	xy-1-(quinolin-8-yl)-1 <i>H-</i> ir	1dol-2-yl) pentan-3-one	
8.875 8.875 8.864 8.290 8.290 8.286 8.286 8.286 8.265 7.999	7.995 7.978 7.978 7.974 7.779 7.779 7.779 7.7719 7.761 7.761 7.761 7.761 7.761 7.761 7.761 7.761 7.761 7.761 7.467 7.467 7.467 7.467 7.467 7.467 7.467 7.467 7.467 7.467 7.773 6.776 7.773 7.743 7.7447 7.723 7.7447 7.723 7.7447 7.723 7.723 7.723 7.723 7.723 7.7447 7.7237 7.7237 7.7237 7.7237 7.7237 7747 7.7237 7777 7.7237 77777 7777777777777	6.751 6.745 6.245 6.286 6.286 6.280 2.510 2.718 2.7211 2.223 2.2333 2.23333 2.2333 2.2333 2.2333 2.23333 2.23333 2.2333 2.2333 2.233333 2.23333 2.23333 2.233333 2.23333 2.233333 2.23333 2.23	- 0.071



(5g	5g) 1-(5-(benzyloxy)-1-(quinolin-8-yl)-1 <i>H</i> -indol-2-yl) pentan-3-one																																												
8.862	8.852	8.847 8.278	8.273	8.253	7.981	7.961	7.957	7.771	7.753	7.749	7.703	1.084	7.471	7.466	7.457	7.453	7.447	7.437	7 200	7 386	7.369	7.364	7.353	7.350	7.315	7.296	7 165/	7 160	6.763	6.741	6.735	6.674	6.407	5.103	2.735	2.727	2.720	2.331	2.323	2.312	2.305	200-1	0.950	0.932	000.0-



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

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

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3.855	3.851 3.845	3.840 3.296	3.291	8.270	3.014	3.010	1.994	066.7	291.1	7.764	09/-	146	121.	201.	0023	2010.7	924 2	1466	994.7	7 445	7.259	964	696 8	070	240.0	069 9	6669	5.430	2.781	2.773	2.760	2.745	2.742	2.738	2.724	90/.7	1/2.7	2555	345	337	2.327	2.319	2.309	2.301	1.575	978	0.960	0.70	0000.0	222.0
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(5k) 1-(6-fluoro-1-(quinolin-8-yl)-1*H*-indol-2-yl) pentan-3-one

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

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	\leq	57



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

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

850 88466 88456 88456 88456 88456 88456 88456 88350 9333759 933759 7774 7774 7774 7774 7774 7775 7775 7	071
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(5p) 2-(3-oxopentyl)-1-(quinolin-8-yl)-1*H*-indole-5-carbonitrile

845 845 834 834 833 834 833 833 833 833 833 833	034 034 035 035 035 035 035 035 035 035 035 035	222424 2222428 28066 265532322 76855338 76855338 76855 76855 77855 77855 77935 77935 77935 77935 77935 77935 77935 77935 77935 77935 77935 77935 77935 77935 77935 77935 77955 777557 777557 777557 77755757 777557 7775757 7775757 7775757 77757577 77757577775777777
	00	
		└────────────────────────────────────



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

8.8555 8.8150 8.8286 8.2826 8.2826 8.2265 8.2265 9.926 7.7976 9.926 7.7559 7.775 7.7559 7.775 7.7559 7.775 7.7559 7.775 7.7559 7.775 7.7559 7.775 7.7557 7.75577 7.75577 7.75577 7.75577 7.755777 7.755777 7.755777 7.7557777 7.75577777777	6.492 6.492 2.750 2.757 2.757 2.758 2.758 2.738 2.738 2.738 2.238 1.576 1.576 1.1455 1.1455 1.1455 1.1455 1.1257 1.1257 0.057 0.058 841 0.057 0.0070 0.0070 0.0070





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

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(5u) 1-(4-fluorophe	nyl)-3-(1-(quinolin-8	-yl)-1 <i>H</i> -indol-2-yl) p	oropan-1-one
8.8556 8.846 8.8416 8.841 8.841 8.286 8.2865 8.2655 8.2655 8.2655 8.2655 8.2655 8.2655 8.2655 8.2655 8.2655 8.2655 8.2655 8.2655 7.3842 7.3842 7.3842	7.820 7.816 7.815 7.807 7.807 7.708 7.707 7.707 7.707 7.707 7.707 7.707 7.707 7.616 7.616 7.616 7.616 7.616 7.614	7.434 7.423 7.255 7.100 7.097 7.081 7.081 7.077 7.055 7.039 7.0333 7.033	6.813 6.792 6.577 6.577 6.577 3.280 3.285 3.255 3.255 3.255 3.255 3.255 3.255 3.255 3.255 3.255 1.556 1.576 1.5866 1.5866 1.586 1.586 1.5866 1.5866 1.5866 1.5866 1.5866 1.586



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

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(5w) 1-(4-bromophen	yl)-3-(1-(quinolin-8-y	yl)-1 <i>H</i> -indol-2-yl) _l	propan-1-one
852 847 847 841 843 833 286 286 286 984 984 984 980 984 980 980 981 5815	7793 7773 7775 7775 7775 663 6653 6646 6646 6642 6635 6632 6632 6632 6632 6632 6632 663	513 513 513 513 513 513 513 513 513 513	788 571 568 568 266 2568 258 253 955 955 935 935 935 935 935 935 935 9
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_f 8.840	K 8.835	8.825	_r 8.317	8.313	8.296	8.292	- 8.065	L 8.060	8.045	8.040	- 1./83	P11.1 -	CO1.1 -	U01.1 -	7777	7 708	7 570	7 551	7.492	7 481	- 7.471	- 7.460	- 7.256	7.253	- 7.248	- 7.231	- 7.227	- 7.206	- 7.111	- 7.071	- 6.993	6 988	6 594	6.554	r 2.423	r 2.416	2.404	2.398	2 380	2.368	L 2.361	1.618	_f 0.995	176.0	0.958	L 0.955	0.074	000.0









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

8.949 8.945 8.939 8.939	8.287 8.287 8.282	8.266 8.262 7.907	7 896	7.892	7.883	7.877	7.762	7.754	7.746	7.742	7.735	1C1.1	7.722	7.695	7.686	7.656	7.617	7.496	7 475	7.465	7.322	7.316	7.310	7.302	7.298	7 291	7.282	7.279	7.201	7.196	7.184	7.179	7.176	7.170	7.166	7.153	1.623	0.098
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(6b) 8-(6-methyl-1*H*-indol-1-yl-2,3-*d*₂) quinoline

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(6c) 8-(6-fluoro-1 <i>H</i> -indol-1-yl-2,3- <i>d</i> ₂) quinoline		
8,952 8,954 8,954 8,954 8,954 8,954 8,954 8,293 8,293 8,272 8,293 8,272 8,293 8,272 8,293 8,272 8,293 8,272 8,293 7,2919 7,2919 7,2919 7,2919 7,2919 7,2919 7,265 7,7020	- 1.639 - 0.098	010.0





- 150.949 - 150.949 - 150.949 - 150.949 - 137.512 13



90 80 f1 (ppm) 0 160 150 140 130 120 110 100 70 60 50 40 30 20 10



- 150.934 - 133.511 - 135.304 - 135.787 - 135.787 - 135.788 - 131.611 - 135.788 - 131.611 - 135.788 - 131.612 - 135.788 - 131.611 - 125.728 - 125.620 - 122.620 - 112.9563 - 122.620 - 112.9563 - 122.728 - 112.9563 - 122.528 - 112.9563 - 122.528 - 112.9563 - 122.528 - 112.9563 - 122.528 - 112.9563 - 122.528 - 110.2468 -




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

0 0) (5	c)	0	LC L	4	3	3	C I	3	0	0	0	0	0	ω	ω	ω	ω	æ	8	ω	ω	ω	~	\sim	œ	0	6	6	0	Θ	6	LCD	4	4	4	C I	C I	3	ω,	50	0	
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0.092 0.009



(6g) 1-(quinolin-8-yl)-1H-indole-5-carbonitrile-2,3-d₂

8.91	8.91	8.90	8.90	8.32	8.31	8.30	8.29	8.06	8.06	7.98	7.98	7.96	7.96	7.83	7.83	7.81	7.81	7.72	7.70	7.68	7.65	7.52	7.51	7.50	7.49	7.39	7.38	7.38	7.37	7.36	7.35	7.26	7.25	7.23	6.84	6.84
-	-	+	-	L	4	2	T	4	-	-	-	-	-	-	-	-	-	-		-	-	-	-	-		1	1	1	-	-		-		-	-	_

0.087





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

965 965 955 955 955 955 955 955 955 955	.104
	0 0
	57



(7) methyl (*E*)-3-(3-bromo-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate (8588 (7) methyl (*E*)-3-(3-bromo-1-(quinolin-8-yl)-1*H*-indol-2-yl) acrylate (8588 (8588 (8588 (8588 (8588) (



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

 8.828

 8.824

 8.824

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100 90 f1 (ppm) 180 170

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

1 1 1 3 3 3 3 3 3 3 3	888888888888888888888888888888888888888
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VVV	





210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)

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

00040004000000	000000000000000000000000000000000000000	000000000000000000000000000000000000000
887779999997997088	000000000000000000000000000000000000000	4408709000707000074707709
	0000044444444000000	0015367777780005555533
mmmmmnnnnnnnnn		



32.748 31.087



200 180 160 140 120 100 80 60 40 20 0 -20 -40 -60 -80 -100 -120 -140 -160 -180 -2C f1 (ppm)