

Rapid construction of γ -lactam containing 3,3-disubstituted oxindoles via a silver-catalyzed cascade radical bicyclization reaction

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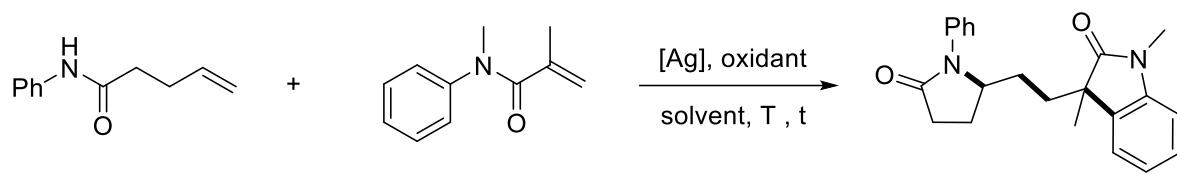
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Detailed Data for Optimization of Reaction Conditions^a



entry	1a:2a	[Ag]	Oxidant (equiv)	Solvent	T (°C)	time (h)	Yield 3aa ^b (%)
1	1:3	Ag ₂ O	K ₂ S ₂ O ₈	CH ₃ CN	100	0.5	3
2	1:3	Ag ₂ O	K ₂ S ₂ O ₈	H ₂ O	100	0.5	17
3	1:3	Ag ₂ O	K ₂ S ₂ O ₈	CH ₃ CN/H ₂ O (1:1)	100	0.5	56
4	1:3	Ag ₂ O	K ₂ S ₂ O ₈	EtOAc/H ₂ O (1:1)	100	0.5	5
5	1:3	Ag ₂ O	K ₂ S ₂ O ₈	DCM/H ₂ O (1:1)	100	0.5	30
6	1:3	Ag ₂ O	K ₂ S ₂ O ₈	DMF/H ₂ O (1:1)	100	0.5	35
7	1:3	Ag ₂ O	K ₂ S ₂ O ₈	CHCl ₃ /H ₂ O (1:1)	100	0.5	6
8	1:3	Ag ₂ O	K ₂ S ₂ O ₈	DCE/H ₂ O (1:1)	100	0.5	22
9	1:3	Ag ₂ O	K ₂ S ₂ O ₈	THF/H ₂ O (1:1)	100	0.5	31
10	1:3	Ag ₂ O	K ₂ S ₂ O ₈	DMSO/H ₂ O (1:1)	100	0.5	60
11	1:3	Ag ₂ O	K ₂ S ₂ O ₈	acetone/H ₂ O (1:1)	100	0.5	62
12	1:3	Ag ₂ O	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	87
13	1:3	Ag ₂ O	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	1	78
14	1:3	Ag ₂ O	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	2	80
15	1.5:1	Ag ₂ O	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	65
16	3:1	Ag ₂ O	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	53
17	1:1.5	Ag ₂ O	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	62
18	1:3	AgOAc	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	50
19	1:3	AgNO ₃	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	40
20	1:3	AgTFA	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	43
21	1:3	AgOTf	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	36
22	1:3	AgO	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	16
23	1:3	AgSbF ₆	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	26
24	1:3	AgF	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	27
25	1:3	AgBF ₄	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	50
26	1:3	-	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	10
27 ^c	1:3	Ag ₂ O	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	52
28 ^d	1:3	Ag ₂ O	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	70
29	1:3	Ag ₂ O	-	acetone/H ₂ O (1:3)	100	0.5	0
30	1:3	Ag ₂ O	Na ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	75
31	1:3	Ag ₂ O	(NH ₄) ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	68
32	1:3	Ag ₂ O	Oxone	acetone/H ₂ O (1:3)	100	0.5	12
33	1:3	Ag ₂ O	m-CPBA	acetone/H ₂ O (1:3)	100	0.5	0
34	1:3	Ag ₂ O	DTBP	acetone/H ₂ O (1:3)	100	0.5	0

35	1:3	Ag ₂ O	TBHP	acetone/H ₂ O (1:3)	100	0.5	0
36	1:3	Ag ₂ O	PIDA	acetone/H ₂ O (1:3)	100	0.5	0
37	1:3	Ag ₂ O	PIFA	acetone/H ₂ O (1:3)	100	0.5	0
38 ^e	1:3	Ag ₂ O	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	67
39 ^f	1:3	Ag ₂ O	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	100	0.5	57
40	1:3	Ag ₂ O	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	60	0.5	53
41	1:3	Ag ₂ O	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	80	0.5	60
42	1:3	Ag ₂ O	K ₂ S ₂ O ₈	acetone/H ₂ O (1:3)	120	0.5	73

^a Reaction conditions: 1a (0.2 mmol), Ag catalyst (0.2 equiv), oxidant (1.5 equiv) in solvent (2.0 mL). ^b Isolated yield. ^c 5% Ag₂O equiv. ^d 1.5 equiv Ag₂O. ^e 2 equiv K₂S₂O₈. ^f 2.5 equiv K₂S₂O₈.

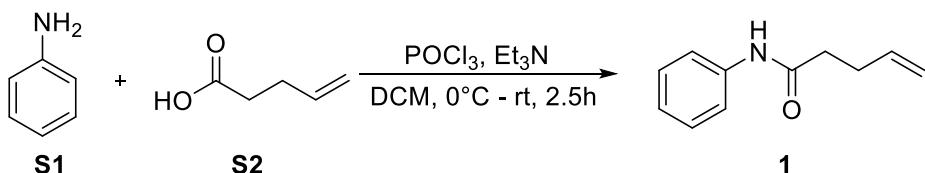
Experimental Section

Instrumentation and chemicals.

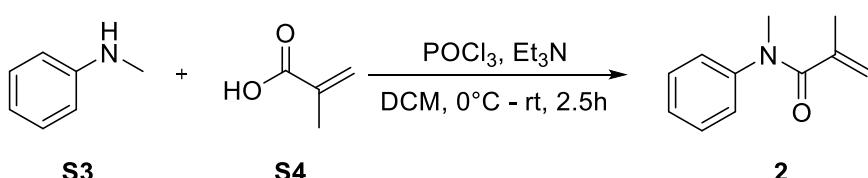
¹H NMR (400 MHz), ¹³C NMR (100 MHz), and ¹⁹F NMR (376 MHz) spectra were recorded on a Bruker NMR apparatus with CDCl₃ as the solvent. The chemical shifts are reported in δ (ppm) values. ¹H NMR chemical shifts were determined relative to internal tetramethylsilane signal at δ 0.0. ¹⁹F NMR chemical shifts were determined relative to external CFCl₃ at δ 0.0. Data for ¹H, ¹³C, and ¹⁹F NMR were recorded as follows: chemical shift (δ , ppm), multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet, dd = doublet of doublets, br = broad). Coupling constants (J) are reported in Hertz unit (Hz). Melting points were measured by SGW X-4A microscopic apparatus. HRMS was measured by Q Exactive Hybrid Quadrupole-Orbitrap LC/MS spectrometer.

The starting materials, including the aniline, 4-pentene acid, phosphorus oxychloride and triethylamine, were obtained from commercial sources such as Aladdin, Macklin, Alfa Aesar, Ourchem and used as received unless otherwise noted. The ethyl acetate and petroleum ether were used for column chromatography without further purification.

Preparation of Substrates:



The substrates **1** were synthesized according to the known literature procedures¹. Into a 250 mL round-bottom flask equipped with a magnetic stir-bar was added solution of aniline **S1** (1.0 g, 1 equiv) and triethylamine (2 equiv) in DCM (60 mL). The mixture was stirred at 0 °C. Then 4-pentene acid **S2** (1.2 equiv) was added. Phosphorus oxychloride (2 equiv) was added dropwise. The resulting solution was allowed to warm up to room temperature and stirred for 2 hours, followed by the addition of H₂O (50 mL) to quench excess acid. The aqueous layer was further extracted by ethyl acetate (2×50 mL) and the combined organic phases were dried over MgSO₄. The solvent was removed by rotary evaporation and the crude product was purified by column chromatography.



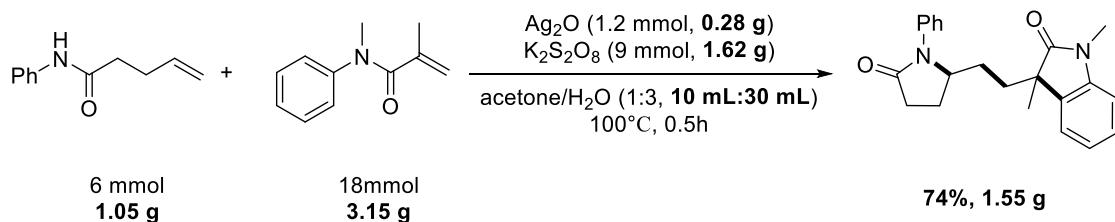
The substrates **2** were synthesized according to the known literature procedures². Into a 250 mL round-bottom flask equipped with a magnetic stir-bar was added solution of aniline **S3** (1.0 g, 1 equiv) and triethylamine (2 equiv) in DCM (60 mL). The mixture was stirred at 0 °C. Then methacrylic acid **S4** (1.2 equiv) was added. Phosphorus oxychloride (2 equiv) was added dropwise. The resulting solution was allowed to warm up to room temperature and stirred for 2 hours, followed by the addition of H₂O (50 mL) to quench excess acid. The aqueous layer was further extracted by ethyl acetate (2×50 mL) and the combined organic phases were dried over MgSO₄. The solvent was removed by rotary evaporation and the crude product was purified by column chromatography.

Experimental procedures

General procedure for the cascade radical bicyclization reaction between *N*-phenyl-4-pentenamide and *N*-methyl-*N*-phenylmethacrylamide. To a 4 mL round-bottomed flask was charged with *N*-phenyl-4-pentenamide (**1**, 0.2 mmol), *N*-methyl-*N*-phenylmethacrylamide (**2**, 0.6 mmol), Ag₂O (0.04 mmol), K₂S₂O₈ (0.3 mmol), acetone (0.5 mL) and H₂O (1.5 mL). The resulting mixture was stirred at 100 °C for 0.5 h. After the reaction was complete, the mixture was added into H₂O (25 mL) and extracted with ethyl acetate (10 mL) three times. The combined organic layer was dried over anhydrous MgSO₄ and filtered. After removal of the solvent *in vacuo*, the residue was purified by column chromatography (ethyl acetate/hexane 1:1) to afford the pure product **3**.

Large scale experiments

A mixture of *N*-phenyl-4-pentenamide (**1**, 6 mmol), *N*-methyl-*N*-phenylmethacrylamide (**2**, 18 mmol), Ag₂O (1.2 mmol), K₂S₂O₈ (9 mmol), acetone (10 mL) and H₂O (30 mL). The resulting mixture was stirred at 100 °C for 0.5 h. After reaction, the mixture was added into H₂O (50 mL) and extracted with ethyl acetate (50 mL) for three times. The combined organic layer was dried over anhydrous MgSO₄ and filtered. After removal of the solvent *in vacuo*, column chromatography (ethyl acetate/petroleum ether = 1:1) of the residue afforded the pure product. The isolated yield is 74%.



Characterization Data

1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3aa)

Colorless oil, 60.6 mg, 87% yield; ¹H NMR (400 MHz, CDCl₃): 7.26–7.04 (m, 6H), 7.01–6.95 (m, 1H), 6.85–6.66 (m, 2H), 4.05–4.02 (m, 1H), 3.06 (s, 3H), 2.47–2.37 (m, 2H), 2.19–2.13 (m, 1H), 1.83–1.78 (m, 1H), 1.73–1.68 (m, 1H), 1.63–1.54 (m, 1H), 1.21 (d, *J* = 1.84 Hz, 3H), 1.20–1.12 (m, 1H), 1.10–0.88 (m, 1H). ¹³C NMR (100 MHz, CDCl₃): δ 179.2, 179.0, 173.4, 173.2, 142.1, 142.0, 136.4, 136.1, 132.4, 132.0, 127.89, 127.86, 127.0, 126.7, 124.65, 124.59, 122.5, 121.7, 121.6, 121.25, 121.16, 107.2, 107.0, 58.5, 57.5, 46.82, 46.77, 32.3, 30.8, 30.3, 30.1, 27.3, 26.3, 25.1, 23.2, 22.7, 22.5, 22.1. HRMS-ESI(m/z): calcd for C₂₂H₂₅N₂O₂ (M+H)⁺: 349.1911, found 349.1914.

1,3-dimethyl-3-(2-(5-oxo-1-(*p*-tolyl)pyrrolidin-2-yl)ethyl)indolin-2-one (3ba)

Colorless oil, 43.0 mg, 60% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.26–7.18 (m, 1H), 7.13–7.01 (m, 5H), 6.92–6.74 (m, 2H), 4.07–3.88 (m, 1H), 3.14 (d, $J = 2.48$ Hz, 3H), 2.50–2.39 (m, 2H), 2.32 (d, $J = 10.92$ Hz, 3H), 2.27–2.18 (m, 1H), 1.93–1.83 (m, 1H), 1.81–1.71 (m, 1H), 1.71–1.61 (m, 1H), 1.29 (d, $J = 2.40$ Hz, 3H), 1.27–1.23 (m, 1H), 1.21–0.96 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.2, 179.1, 173.3, 173.1, 142.1, 142.0, 134.4, 134.3, 133.8, 133.5, 132.4, 132.0, 128.5, 126.9, 126.7, 122.7, 122.6, 121.6, 121.3, 121.2, 107.1, 106.9, 58.6, 57.6, 46.79, 46.76, 32.3, 30.9, 30.2, 30.0, 27.4, 26.3, 25.10, 25.09, 23.1, 22.8, 22.5, 22.2, 19.97, 19.96. HRMS-ESI(m/z): calcd for $\text{C}_{23}\text{H}_{27}\text{N}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 363.2067, found 363.2063.

3-(2-(1-(4-ethylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ca)

Yellow oil, 35.4 mg, 50% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.28–7.14 (m, 2H), 7.13–7.09 (m, 2H), 7.08–7.01 (m, 2H), 6.92–6.75 (m, 2H), 4.08–3.88 (m, 1H), 3.14 (d, $J = 0.76$ Hz, 3H), 2.67–2.56 (m, 2H), 2.56–2.39 (m, 2H), 2.28–2.18 (m, 1H), 1.93–1.84 (m, 1H), 1.83–1.61 (m, 2H), 1.30–1.20 (m, 6H), 1.20–1.13 (m, 1H), 1.03–0.97 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.2, 179.1, 173.3, 173.1, 142.1, 142.0, 140.8, 140.7, 133.9, 133.7, 132.4, 132.0, 127.3, 126.9, 126.7, 122.8, 122.7, 121.6, 121.3, 121.2, 107.1, 106.9, 58.7, 57.7, 46.81, 46.78, 32.3, 30.8, 30.2, 30.0, 27.40, 27.37, 27.35, 26.3, 25.1, 23.2, 22.8, 22.4, 22.2, 14.59, 14.57. HRMS-ESI(m/z): calcd for $\text{C}_{23}\text{H}_{27}\text{N}_2\text{O}_3$ ($\text{M}+\text{H}$) $^+$: 379.2016, found 379.2019.

3-(2-(1-(4-fluorophenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3da)

Yellow oil, 53.2 mg, 73% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.28–7.16 (m, 2H), 7.16–7.05 (m, 2H), 7.04–6.96 (m, 2H), 6.96–6.76 (m, 2H), 4.07–3.88 (m, 1H), 3.14 (d, $J = 0.72$ Hz, 3H), 2.57–2.42 (m, 2H), 2.26–2.19 (m, 1H), 1.92–1.85 (m, 1H), 1.82–1.73 (m, 1H), 1.69–1.59 (m, 1H), 1.30 (s, 3H), 1.26–1.20 (m, 1H), 1.16–0.96 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.1, 179.0, 173.3, 173.2, 159.2 (d, $J = 243.84$ Hz, 3H), 159.2 (d, $J = 243.78$ Hz, 3H), 142.1, 142.0, 132.35 (d, $J = 2.98$ Hz, 3H), 132.32, 132.1 (d, $J = 2.93$ Hz, 3H), 131.9, 127.0, 126.8, 124.5 (d, $J = 8.21$ Hz, 3H), 124.4 (d, $J = 8.21$ Hz, 3H), 121.64, 121.59, 121.2, 121.1, 114.7 (d, $J = 22.37$ Hz, 3H), 107.2, 107.0, 58.7, 46.8, 46.7, 32.1, 30.8, 30.1, 29.9, 27.2, 26.2, 25.1, 23.1, 22.5, 22.1. ^{19}F NMR (376 MHz, CDCl_3): δ –116.05~–116.12 (m), –116.25~–116.32 (m). HRMS-ESI(m/z): calcd for $\text{C}_{22}\text{H}_{24}\text{FN}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 367.1816, found 367.1820.

3-(2-(1-(4-chlorophenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ea)

Yellow oil, 56.9 mg, 75% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.31–7.23 (m, 2H), 7.23–7.15 (m, 2H), 7.14–7.02 (m, 2H), 6.96–6.72 (m, 2H), 4.09–3.91 (m, 1H), 3.15 (s, 3H), 2.58–2.39 (m, 2H), 2.32–2.18 (m, 1H), 1.95–1.86 (m, 1H), 1.83–1.74 (m, 1H), 1.71–1.57 (m, 1H), 1.30 (d, $J = 4.64$ Hz, 3H), 1.28–1.17 (m, 1H), 1.17–0.97 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.1, 178.9, 173.3, 173.1, 142.1, 142.0, 135.0, 134.7, 132.2, 131.8, 129.64, 129.61, 127.93, 127.90, 127.1, 126.8, 123.39, 123.35, 121.7, 121.6, 121.2, 121.1, 107.2, 107.0, 58.3, 57.2, 46.8, 46.7, 32.2, 30.7, 30.2, 30.0, 26.9, 25.9, 25.1, 23.2, 22.5, 21.9. HRMS-ESI(m/z): calcd for $\text{C}_{22}\text{H}_{24}\text{ClN}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 383.1521, found 383.1524.

3-(2-(1-(4-bromophenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3fa)

Yellow oil, 58.2 mg, 68% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.43–7.35 (m, 2H), 7.25–7.21 (m, 1H), 7.14–7.03 (m, 3H), 6.97–6.70 (m, 2H), 4.10–3.92 (m, 1H), 3.15 (d, $J = 0.92$ Hz, 3H), 2.53–2.40 (m, 2H), 2.26–2.19 (m, 1H), 2.00–1.86 (m, 1H), 1.80–1.74 (m, 1H), 1.68–1.56 (m, 1H), 1.30 (d, $J = 5.88$ Hz, 3H), 1.28–1.22 (m, 1H), 1.17–

0.97 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.1, 178.9, 173.2, 173.1, 142.1, 142.0, 135.5, 135.2, 132.2, 131.8, 130.89, 130.86, 127.1, 126.8, 123.7, 123.6, 121.8, 121.6, 121.2, 121.1, 117.5, 117.4, 107.2, 107.0, 58.3, 57.1, 46.8, 46.7, 32.2, 30.7, 30.2, 30.0, 26.9, 25.9, 25.1, 23.2, 22.52, 22.50, 21.9. HRMS-ESI(m/z): calcd for $\text{C}_{22}\text{H}_{24}\text{BrN}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 427.1016, found 427.1020.

3-(2-(1-(3-fluorophenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ga)

Yellow oil, 50.4 mg, 70% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.28–6.78 (m, 8H), 4.11–3.87 (m, 1H), 3.15 (s, 3H), 2.57–2.44 (m, 2H), 2.27–2.18 (m, 1H), 1.95–1.62 (m, 4H), 1.31 (d, $J = 3.80$ Hz, 3H), 1.20–0.97 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.1, 178.9, 173.3, 173.1, 161.8 (d, $J = 244.04$ Hz), 161.7 (d, $J = 243.85$ Hz), 142.1, 142.0, 138.0 (d, $J = 10.10$ Hz), 137.8 (d, $J = 10.12$ Hz), 132.3, 131.9, 129.0 (d, $J = 5.23$ Hz), 128.9 (d, $J = 5.25$ Hz), 127.1, 126.9, 121.68, 121.66, 121.2, 121.1, 117.2 (d, $J = 2.71$ Hz), 117.0 (d, $J = 3.01$ Hz), 111.2 (d, $J = 21.00$ Hz), 111.1 (d, $J = 20.97$ Hz), 109.5 (d, $J = 24.56$ Hz), 109.1 (d, $J = 24.61$ Hz), 107.2, 107.1, 58.3, 57.4, 46.85, 46.76, 32.4, 30.9, 30.3, 30.1, 27.0, 26.1, 25.1, 23.2, 22.6, 22.4, 21.9. ^{19}F NMR (376 MHz, CDCl_3): δ –111.4~–111.5(m). HRMS-ESI(m/z): calcd for $\text{C}_{22}\text{H}_{24}\text{FN}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 367.1816, found 367.1821.

3-(2-(1-(3-chlorophenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ha)

Colorless oil, 52.3 mg, 68% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.35–7.03 (m, 6H), 6.95–6.75 (m, 2H), 4.11–3.89 (m, 1H), 3.16 (s, 3H), 2.56–2.44 (m, 2H), 2.26–2.19 (m, 1H), 1.96–1.59 (m, 4H), 1.31 (d, $J = 3.92$ Hz, 3H), 1.15–0.98 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.1, 178.9, 173.3, 173.1, 142.1, 142.0, 137.7, 137.5, 133.5, 133.4, 132.2, 131.9, 128.82, 128.79, 127.1, 126.9, 124.6, 124.5, 122.5, 122.1, 121.71, 121.69, 121.2, 121.0, 120.2, 120.1, 107.2, 107.0, 58.3, 57.4, 46.8, 46.7, 32.4, 30.8, 30.2, 30.0, 28.7, 27.1, 26.1, 25.13, 25.12, 23.1, 22.63, 22.57, 21.9, 13.1. HRMS-ESI(m/z): calcd for $\text{C}_{22}\text{H}_{24}\text{ClN}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 383.1521, found 383.1524.

1,3-dimethyl-3-(2-(5-oxo-1-(o-tolyl)pyrrolidin-2-yl)ethyl)indolin-2-one (3ia)

Yellow oil, 54.6 mg, 75% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.27–7.09 (m, 4H), 7.03–6.94 (m, 2H), 6.87–6.76 (m, 2H), 3.82–3.66 (m, 1H), 3.10 (d, $J = 6.40$ Hz, 1H), 2.49–2.39 (m, 2H), 2.35–2.24 (m, 1H), 2.09 (d, $J = 25.72$ Hz, 3H), 1.79–1.48 (m, 3H), 1.27 (d, $J = 19.92$ Hz, 3H), 1.17–1.09 (m, 1H), 1.01–0.93 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.08, 179.06, 173.3, 173.2, 142.05, 142.02, 135.1, 134.8, 132.3, 132.2, 130.13, 130.07, 128.2, 128.0, 126.94, 126.90, 126.8, 125.6, 125.5, 121.6, 121.5, 121.3, 121.2, 59.7, 59.2, 46.9, 46.7, 32.8, 31.9, 29.5, 28.5, 27.3, 25.01, 24.99, 24.4, 23.8, 22.5, 22.4, 17.0, 16.9. HRMS-ESI(m/z): calcd for $\text{C}_{23}\text{H}_{27}\text{F}_6\text{N}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 363.2067, found 363.2064.

3-(2-(1-(2-fluorophenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ja)

Yellow oil, 45.6 mg, 62% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.27–6.76 (m, 8H), 4.04–3.86 (m, 1H), 3.13 (d, $J = 0.84$ Hz, 3H), 2.54–2.45 (m, 2H), 2.33–2.25 (m, 1H), 1.91–1.56 (m, 4H), 1.28 (d, $J = 12.96$ Hz, 3H), 1.21–1.11 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.1, 179.0, 173.71, 173.68, 156.7 (d, $J = 248.84$ Hz), 156.6 (d, $J = 248.85$ Hz), 142.05, 142.03, 132.3, 132.1, 128.4 (d, $J = 1.66$ Hz), 128.0 (d, $J = 1.80$ Hz), 127.8 (d, $J = 7.95$ Hz), 127.7 (d, $J = 7.96$ Hz), 126.9, 126.8, 123.8 (d, $J = 12.21$ Hz), 123.6 (d, $J = 12.04$ Hz), 123.44 (d, $J = 2.18$ Hz), 123.41 (d, $J = 2.20$ Hz), 121.6, 121.5, 121.3, 121.1, 115.6 (d, $J = 19.98$ Hz), 115.5 (d, $J = 19.96$ Hz), 107.03, 106.97, 58.9 (d, $J = 2.83$ Hz), 58.3 (d, $J = 3.00$ Hz), 46.74, 46.70, 32.2, 31.0, 29.6, 29.4, 28.0, 26.8, 25.1, 25.0, 23.8, 23.1, 22.9, 22.4. ^{19}F NMR (376 MHz, CDCl_3): δ –119.45~–119.51 (m), –119.9~–120.0 (m). HRMS-ESI(m/z): calcd for $\text{C}_{22}\text{H}_{24}\text{FN}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 367.1816, found 367.1811.

3-(2-(1-(2-bromophenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ka)

Yellow oil, 58.3 mg, 68% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.62–7.58 (m, 1H), 7.28–7.14 (m, 3H), 7.03–6.98 (m, 3H), 6.81–6.77 (m, 1H), 3.96–3.84 (m, 1H), 3.14 (d, $J = 6.08$ Hz, 3H), 2.52–2.46 (m, 2H), 2.36–2.27 (m, 1H), 1.88–1.52 (m, 3H), 1.29 (d, $J = 18.48$ Hz, 3H), 1.21–1.11 (m, 1H), 1.01–0.97 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.1, 173.6, 142.1, 142.0, 135.5, 135.3, 132.7, 132.5, 132.22, 132.19, 128.5, 127.2, 127.1, 126.9, 121.6, 121.5, 121.4, 121.3, 107.0, 59.1, 58.6, 46.8, 46.7, 32.5, 31.9, 29.41, 29.38, 28.1, 27.3, 25.1, 24.1, 23.6, 22.5, 22.4. HRMS-ESI(m/z): calcd for $\text{C}_{22}\text{H}_{24}\text{BrN}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 427.1016, found 427.1012.

3-(2-(1-(5-chloro-2-methylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3la)

Yellow oil, 59.0 mg, 75% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.27–6.80 (m, 7H), 3.80–3.56 (m, 1H), 3.14 (s, 3H), 2.51–2.42 (m, 2H), 2.36–2.23 (m, 1H), 2.06 (d, $J = 25.12$ Hz, 3H), 1.80–1.68 (m, 3H), 1.29 (d, $J = 15.76$ Hz, 3H), 1.18–0.93 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.1, 179.0, 173.3, 173.1, 142.05, 142.03, 136.2, 136.0, 133.9, 133.7, 132.2, 132.0, 131.2, 131.1, 130.55, 130.52, 128.3, 128.0, 127.2, 127.1, 127.0, 126.9, 121.7, 121.6, 121.23, 121.16, 107.1, 107.0, 59.7, 59.1, 46.9, 46.7, 32.7, 31.7, 29.5, 29.4, 28.7, 28.5, 27.4, 25.0, 24.3, 23.8, 22.6, 22.5, 16.62, 16.58. HRMS-ESI(m/z): calcd for $\text{C}_{23}\text{H}_{26}\text{ClN}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 397.1677, found 397.1683.

3-(2-(1-(2-fluoro-4-methylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ma)

Yellow oil, 58.3 mg, 76% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.25–7.18 (m, 1H), 7.03–6.77 (m, 7H), 3.99–3.82 (m, 1H), 3.14 (d, $J = 2.72$ Hz, 3H), 2.51–2.43 (m, 2H), 2.33 (d, $J = 5.16$ Hz, 3H), 2.30–2.22 (m, 1H), 1.90–1.57 (m, 3H), 1.28 (d, $J = 11.88$ Hz, 3H), 1.23–0.90 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.1, 179.0, 173.7, 156.4 (d, $J = 248.23$ Hz), 156.3 (d, $J = 248.22$ Hz), 142.1, 142.0, 138.5 (d, $J = 7.68$ Hz), 138.4 (d, $J = 7.72$ Hz), 132.4, 132.1, 128.0 (d, $J = 2.21$ Hz), 127.6 (d, $J = 2.25$ Hz), 126.9, 126.8, 124.1 (d, $J = 3.32$ Hz), 121.50, 121.49, 121.5, 121.3, 121.2, 120.9 (d, $J = 12.46$ Hz), 120.7 (d, $J = 12.36$ Hz), 116.0 (d, $J = 19.69$ Hz), 115.9 (d, $J = 19.67$ Hz), 107.03, 106.97, 58.9 (d, $J = 2.54$ Hz), 58.3 (d, $J = 2.71$ Hz), 46.71, 46.70, 32.1, 31.0, 29.5, 29.3, 27.9, 26.8, 25.05, 25.03, 23.8, 23.0, 22.9, 22.3, 20.08, 20.06. ^{19}F NMR (376 MHz, CDCl_3): δ –120.60~–120.65 (m), –121.0~–121.1 (m). HRMS-ESI(m/z): calcd for $\text{C}_{23}\text{H}_{26}\text{N}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 381.1973, found 381.1970.

3-(2-(1-(3-fluoro-4-methylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3na)

Yellow oil, 48.2 mg, 64% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.26–7.19 (m, 1H), 7.11–6.78 (m, 6H), 4.07–3.86 (m, 1H), 3.16 (d, $J = 1.40$ Hz, 3H), 2.57–2.39 (m, 2H), 2.23 (dd, $J_1 = 1.52$ Hz, $J_2 = 12.20$ Hz, 3H), 1.95–1.60 (m, 3H), 1.31 (d, $J = 2.20$ Hz, 3H), 1.21–0.98 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.1, 179.0, 173.2, 173.0, 159.97 (d, $J = 243.13$ Hz), 159.96 (d, $J = 243.03$ Hz), 142.10, 142.05, 135.5 (d, $J = 9.97$ Hz), 135.3 (d, $J = 9.99$ Hz), 132.3, 132.0, 130.24 (d, $J = 6.18$ Hz), 130.23 (d, $J = 6.24$ Hz), 127.0, 126.8, 121.6, 121.5, 121.2, 121.1, 121.0 (d, $J = 3.43$ Hz), 120.8 (d, $J = 3.42$ Hz), 117.4 (d, $J = 3.36$ Hz), 117.3 (d, $J = 3.34$ Hz), 109.6, 109.3, 109.0, 107.1 (d, $J = 19.10$ Hz), 107.2, 107.0, 58.4, 57.5, 46.82, 46.76, 32.3, 30.9, 30.2, 30.0, 27.1, 26.1, 25.1, 23.1, 22.6, 22.5, 21.9, 13.15 (d, $J = 2.08$ Hz), 13.12 (d, $J = 2.08$ Hz). ^{19}F NMR (376 MHz, CDCl_3): δ –115.4~–115.5 (m). HRMS-ESI(m/z): calcd for $\text{C}_{23}\text{H}_{26}\text{FN}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 381.1973, found 381.1976.

3-(2-(1-(3-chloro-4-methylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3oa)

Yellow oil, 42.1 mg, 53% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.29–6.75 (m, 7H), 4.07–3.85 (m, 1H), 3.16 (d, $J = 1.04$ Hz, 3H), 2.57–2.39 (m, 2H), 2.33 (d, $J = 12.52$ Hz, 3H), 2.28–2.18 (m, 1H), 1.90–1.59 (m, 3H), 1.31 (d, $J = 1.96$ Hz, 3H), 1.29–1.24 (m, 1H), 1.17–0.96 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.1, 179.0, 173.2, 173.1, 142.1, 142.0, 135.2, 135.0, 133.4, 133.3, 132.30, 132.28, 131.9, 129.9, 127.0, 126.8, 123.2, 122.8, 121.65, 121.56,

121.2, 121.1, 120.7, 107.2, 107.0, 58.4, 57.5, 46.8, 46.7, 32.3, 30.8, 30.2, 30.0, 27.2, 26.1, 25.13, 25.12, 23.1, 22.7, 22.6, 22.0, 18.6, 18.5. HRMS-ESI(m/z): calcd for C₂₃H₂₆ClN₂O₂ (M+H)⁺: 397.1677, found 397.1674.

3-(2-(1-(3-bromo-4-methylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3pa)

Yellow oil, 52.4 mg, 60% yield; ¹H NMR (400 MHz, CDCl₃): δ 7.47–7.38 (m, 1H), 7.24–7.01 (m, 4H), 6.93–6.75 (m, 2H), 4.07–3.85 (m, 1H), 3.16 (d, *J* = 1.08 Hz, 3H), 2.58–2.40 (m, 2H), 2.36 (t, *J* = 12.96 Hz, 3H), 2.25–2.18 (m, 1H), 1.94–1.59 (m, 3H), 1.30 (d, *J* = 2.12 Hz, 3H), 1.29–1.23 (m, 1H), 1.16–0.96 (m, 1H). ¹³C NMR (100 MHz, CDCl₃): δ 179.1, 179.0, 173.3, 173.1, 142.1, 142.0, 135.3, 135.0, 134.2, 134.1, 132.3, 131.9, 129.7, 127.0, 126.8, 126.3, 126.0, 123.7, 123.6, 121.7, 121.6, 121.4, 121.1, 107.2, 107.0, 58.4, 57.5, 46.8, 46.7, 32.3, 30.8, 30.2, 29.9, 27.2, 26.0, 25.14, 25.12, 23.1, 22.7, 22.6, 22.0, 21.40, 21.36. HRMS-ESI(m/z): calcd for C₂₃H₂₆BrN₂O₂ (M+H)⁺: 441.1172, found 441.1176.

3-(2-(1-(2,4-dimethylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3qa)

Colorless oil, 59.1 mg, 79% yield; ¹H NMR (400 MHz, CDCl₃): δ 7.26–7.22 (m, 1H), 7.03–6.90 (m, 4H), 6.81–6.71 (m, 2H), 3.77–3.63 (m, 1H), 3.12 (d, *J* = 6.92 Hz, 3H), 2.50–2.36 (m, 2H), 2.30 (d, *J* = 2.84 Hz, 3H), 2.05 (d, *J* = 22.16 Hz, 3H), 1.88–1.15 (m, 4H), 1.28 (d, *J* = 19.08 Hz, 4H), 1.21–0.93 (m, 2H). ¹³C NMR (100 MHz, CDCl₃): δ 179.1, 173.4, 142.1, 142.0, 136.5, 134.5, 132.4, 132.3, 132.1, 130.83, 130.78, 126.91, 126.89, 126.3, 126.2, 121.5, 121.4, 121.3, 107.01, 106.97, 59.7, 59.3, 46.9, 46.7, 32.8, 31.9, 29.5, 29.4, 28.7, 28.4, 27.3, 25.03, 25.00, 24.3, 23.8, 22.5, 22.4, 20.00, 19.98, 16.8. HRMS-ESI(m/z): calcd for C₂₄H₂₉N₂O₂ (M+H)⁺: 377.2224, found 377.2220.

3-(2-(1-(3,5-dimethylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ra)

Yellow oil, 43.5 mg, 58% yield; ¹H NMR (400 MHz, CDCl₃): δ 7.26–7.18 (m, 1H), 7.07–7.00 (m, 1H), 6.93–6.73 (m, 5H), 4.05–3.86 (m, 1H), 3.14 (d, *J* = 3.00 Hz, 3H), 2.57–2.38 (m, 2H), 2.26 (d, *J* = 5.24 Hz, 6H), 2.24–2.16 (m, 1H), 1.93–1.62 (m, 3H), 1.29 (d, *J* = 1.00 Hz, 3H), 1.26–1.23 (m, 1H), 1.15–0.97 (m, 1H). ¹³C NMR (100 MHz, CDCl₃): δ 179.2, 179.1, 173.3, 173.2, 142.1, 142.0, 137.5, 136.2, 136.0, 132.4, 132.1, 128.0, 127.2, 126.9, 126.70, 126.68, 121.53, 121.51, 121.2, 121.1, 120.9, 120.8, 107.1, 106.9, 59.0, 58.0, 46.8, 32.5, 30.9, 30.3, 30.1, 27.4, 26.3, 25.1, 25.0, 23.0, 22.9, 22.5, 22.3, 20.3. HRMS-ESI(m/z): calcd for C₂₄H₂₉N₂O₂ (M+H)⁺: 377.2224, found 377.2221.

3-(2-(1-mesityl-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3sa)

Colorless oil, 59.3 mg, 76% yield; ¹H NMR (400 MHz, CDCl₃): δ 7.27–7.21 (m, 1H), 7.05–6.76 (m, 5H), 3.70–3.59 (m, 1H), 3.10 (d, *J* = 20.84 Hz, 3H), 2.49–2.24 (m, 2H), 2.26 (d, *J* = 9.96 Hz, 3H), 2.03 (d, *J* = 15.44 Hz, 3H), 1.92 (d, *J* = 10.84 Hz, 3H), 1.78–1.63 (m, 3H), 1.46–1.37 (m, 1H), 1.26 (d, *J* = 28.72 Hz, 3H), 1.34–0.95 (m, 2H). ¹³C NMR (100 MHz, CDCl₃): δ 179.14, 179.05, 173.3, 173.2, 142.1, 142.0, 136.6, 136.5, 135.8, 135.7, 134.0, 132.4, 132.2, 131.2, 130.9, 128.5, 128.4, 128.3, 128.2, 127.0, 126.9, 121.6, 121.3, 121.2, 106.9, 59.4, 59.3, 46.9, 46.7, 33.5, 33.1, 29.3, 29.2, 28.5, 27.6, 25.3, 25.0, 24.9, 24.8, 22.4, 22.0, 19.94, 19.90, 17.4, 17.3, 17.1, 17.0. HRMS-ESI(m/z): calcd for C₂₅H₃₁N₂O₂ (M+H)⁺: 391.2380, found 391.2385.

1,3-dimethyl-3-(2-(4-methyl-5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3ta)

After purification, two pairs of mixture (**1**, **2**) were obtained, each of mixture contains two diastereoisomers. Diastereoisomer mixture **1** is a yellow oil, 35.9 mg; diastereoisomer mixtures **2** is a yellow oil, 20.2 mg. 77% yield in total.. ¹H NMR (400 MHz, CDCl₃): δ 7.33–7.18 (m, 5H), 7.13–7.01 (m, 2H), 6.95–6.77 (m, 2H), 4.08–

3.86 (m, 1H), 3.13 (d, $J = 3.00$ Hz, 3H), 2.64–2.60 (m, 1H), 2.10–2.01 (m, 1H), 1.94–1.78 (m, 2H), 1.73–1.65 (m, 1H), 1.30 (s, 3H), 1.23–1.19 (m, 3H), 1.18–0.99 (m, 1H); ^{13}C NMR (100 MHz, CDCl_3): δ 179.1, 179.0, 175.6, 175.4, 142.1, 142.0, 136.9, 136.6, 132.4, 132.0, 127.9, 127.8, 127.0, 126.8, 124.13, 124.06, 121.6, 121.4, 121.23, 121.19, 107.2, 107.0, 56.4, 55.6, 46.9, 46.8, 35.5, 35.2, 33.0, 31.6, 31.4, 30.8, 28.7, 26.7, 26.0, 25.1, 23.1, 22.7, 15.5, 15.2. HRMS-ESI(m/z): calcd for $\text{C}_{23}\text{H}_{27}\text{N}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 363.4805, found 363.4808. Diastereoisomer mixture 2 : ^1H NMR (400 MHz, CDCl_3): δ 7.32–7.02 (m, 7H), 6.90–6.60 (m, 2H), 4.02–3.78 (m, 1H), 3.13 (d, $J = 3.36$ Hz, 3H), 2.56–2.42 (m, 2H), 1.90–1.82 (m, 1H), 1.65–1.59 (m, 1H), 1.39–1.28 (m, 3H), 1.27 (s, 3H), 1.11–0.79 (m, 2H); ^{13}C NMR (100 MHz, CDCl_3): δ 179.2, 179.1, 175.75, 175.71, 142.1, 142.0, 136.4, 136.2, 132.5, 132.0, 127.73, 127.68, 127.0, 126.6, 124.7, 124.5, 123.2, 122.9, 121.7, 121.5, 121.3, 121.2, 107.1, 106.9, 56.0, 54.9, 46.8, 46.7, 35.92, 35.90, 32.8, 32.1, 31.8, 30.5, 28.7, 27.9, 26.2, 25.1, 23.2, 22.4, 15.6, 15.4. HRMS-ESI(m/z): calcd for $\text{C}_{23}\text{H}_{27}\text{N}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 363.4805, found 363.4810.

4-(2-(1,3-dimethyl-2-oxoindolin-3-yl)ethyl)-3-phenyloxazolidin-2-one (3ua)

Colorless oil, 59.6 mg, 85% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.26–7.12 (m, 5H), 7.09–6.98 (m, 2H), 6.87–6.70 (m, 2H), 4.40–4.31 (m, 1H), 4.24–4.07 (m, 1H), 4.02–3.91 (m, 1H), 3.08 (s, 3H), 1.91–1.76 (m, 1H), 1.64–1.58 (m, 1H), 1.30–1.25 (m, 1H), 1.23 (d, $J = 4.52$ Hz, 3H), 1.19–1.04 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.0, 178.7, 154.6, 154.5, 142.1, 142.0, 135.5, 135.3, 132.0, 131.6, 128.1, 127.2, 127.0, 124.1, 124.0, 121.9, 121.8, 121.1, 120.4, 120.2, 107.3, 107.1, 65.7, 65.1, 54.8, 54.0, 46.7, 31.4, 30.1, 26.0, 25.2, 25.0, 23.4, 22.6. HRMS-ESI(m/z): calcd for $\text{C}_{21}\text{H}_{23}\text{N}_2\text{O}_3$ ($\text{M}+\text{H}$) $^+$: 351.1703, found 351.1700.

1,3,5-trimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3ab)

Yellow oil, 43.5 mg, 60% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.34–7.27 (m, 2H), 7.24–7.13 (m, 3H), 7.06–6.99 (m, 1H), 6.88–6.57 (m, 2H), 4.13–3.94 (m, 1H), 3.12 (m, 3H), 2.55–2.43 (m, 2H), 2.28 (d, $J = 36.96$ Hz, 3H), 1.85–1.76 (m, 2H), 1.69–1.59 (m, 2H), 1.27 (d, $J = 3.44$ Hz, 3H), 1.21–1.02 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.2, 179.0, 173.3, 173.1, 139.71, 139.68, 136.5, 136.2, 132.5, 132.1, 131.14, 131.08, 127.8, 127.2, 127.0, 124.6, 122.6, 122.5, 122.10, 122.07, 106.9, 106.7, 58.6, 57.5, 46.9, 46.8, 32.4, 30.7, 30.3, 30.1, 28.7, 27.3, 26.4, 25.1, 23.3, 22.8, 22.5, 22.1, 20.13, 20.11. HRMS-ESI(m/z): calcd for $\text{C}_{23}\text{H}_{27}\text{N}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 363.2067, found 363.2073.

5-fluoro-1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3ac)

Yellow oil, 58.7 mg, 80% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.35–7.28 (m, 2H), 7.24–7.13 (m, 3H), 6.97–6.86 (m, 1H), 6.82–6.41 (m, 2H), 4.16–3.98 (m, 1H), 3.12 (d, $J = 2.24$ Hz, 3H), 2.59–2.42 (m, 2H), 2.29–2.20 (m, 1H), 1.95–1.74 (m, 2H), 1.67–1.56 (m, 1H), 1.28 (d, $J = 1.08$ Hz, 1H), 1.19–0.87 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3): δ 178.7, 178.6, 173.3, 173.1, 158.30 (d, $J = 239.53$ Hz), 158.25 (d, $J = 239.81$ Hz), 138.0 (d, $J = 1.78$ Hz), 137.9 (d, $J = 1.85$ Hz), 136.3, 136.0, 134.0 (d, $J = 18.08$ Hz), 133.7 (d, $J = 7.73$ Hz), 127.91, 127.88, 124.8, 122.6, 122.5, 113.2 (d, $J = 18.04$ Hz), 112.9 (d, $J = 18.08$ Hz), 109.6 (d, $J = 4.68$ Hz), 109.3 (d, $J = 4.66$ Hz), 107.6 (d, $J = 8.08$ Hz), 107.4 (d, $J = 8.09$ Hz), 58.5, 57.3, 47.29, 47.28, 32.4, 30.6, 30.3, 30.1, 28.7, 27.2, 26.0, 25.3, 25.2, 23.1, 22.8, 22.4, 22.0. ^{19}F NMR (376 MHz, CDCl_3): δ –119.9~–120.0 (m), –120.3~–120.4 (m). HRMS-ESI(m/z): calcd for $\text{C}_{22}\text{H}_{24}\text{FN}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 367.1816, found 367.1819.

5-chloro-1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3ad)

Yellow oil, 62.8 mg, 82% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.53–7.43 (m, 1H), 7.32–7.28 (m, 2H), 7.23–7.13 (m, 3H), 7.06–6.72 (m, 2H), 4.16–4.13 (m, 1H), 3.92 (d, $J = 4.12$ Hz, 3H), 2.54–2.41 (m, 2H), 2.29–2.20 (m, 1H), 1.98–1.61 (m, 4H), 1.28 (d, $J = 3.16$ Hz, 3H), 1.20–1.12 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 178.6, 178.4, 173.2, 173.1, 141.0, 140.6, 136.3, 135.9, 134.1, 133.7, 127.9, 127.0, 126.95, 126.91, 125.0, 124.8, 122.6, 122.5, 121.8, 121.7, 108.1, 107.9, 58.5, 57.4, 47.1, 32.3, 30.3, 30.1, 28.7, 27.2, 26.2, 25.23, 25.21, 23.1, 22.8, 22.4, 22.0. HRMS-ESI(m/z): calcd for $\text{C}_{24}\text{H}_{27}\text{N}_2\text{O}_4$ ($\text{M}+\text{H}$) $^+$: 407.1965, found 407.1968.

5-bromo-1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3ae)

Yellow oil, 62.4 mg, 73% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.36–7.30 (m, 3H), 7.24–6.86 (m, 4H), 6.68–6.64 (m, 1H), 4.14–3.97 (m, 1H), 3.11 (d, $J = 1.52$ Hz, 3H), 2.55–2.47 (m, 2H), 2.29–2.22 (m, 1H), 1.93–1.87 (m, 1H), 1.85–1.75 (m, 1H), 1.67–1.58 (m, 1H), 1.27 (s, 3H), 1.27–1.02 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.5, 179.3, 174.2, 174.1, 142.2, 142.1, 137.3, 137.0, 135.5, 135.2, 130.84, 130.75, 129.0, 126.1, 125.8, 125.6, 125.5, 123.6, 123.5, 115.4, 115.3, 109.6, 109.5, 59.5, 58.5, 48.1, 33.4, 31.7, 31.3, 31.1, 28.2, 27.3, 26.21, 26.19, 24.1, 23.8, 23.4, 23.1. HRMS-ESI(m/z): calcd for $\text{C}_{22}\text{H}_{24}\text{BrN}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 427.1016, found 427.1019.

methyl 1,3-dimethyl-2-oxo-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indoline-5-carboxylate (3af)

Yellow oil, 50.1 mg, 62% yield; ^1H NMR (400 MHz, CDCl_3): δ 8.01–7.94 (m, 1H), 7.76–7.53 (m, 1H), 7.25–7.09 (m, 5H), 6.84–6.80 (m, 1H), 4.13–3.95 (m, 1H), 3.92 (d, $J = 4.28$ Hz, 3H), 3.16 (d, $J = 3.00$ Hz, 3H), 2.60–2.41 (m, 2H), 2.35–2.20 (m, 1H), 1.95–1.86 (m, 1H), 1.83–1.65 (m, 2H), 1.32 (d, $J = 4.00$ Hz, 3H), 1.20–0.97 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.4, 179.3, 173.2, 173.1, 165.8, 165.7, 146.2, 146.1, 136.3, 136.0, 132.3, 132.0, 129.7, 129.6, 127.89, 127.86, 124.7, 124.6, 123.6, 123.5, 122.6, 122.5, 122.4, 106.7, 106.6, 58.4, 57.5, 51.1, 51.0, 46.7, 46.6, 32.2, 31.1, 30.3, 30.1, 27.1, 26.3, 25.32, 25.29, 22.8, 22.7, 22.3, 22.1. HRMS-ESI(m/z): calcd for $\text{C}_{24}\text{H}_{27}\text{N}_2\text{O}_4$ ($\text{M}+\text{H}$) $^+$: 407.1965, found 407.1968.

1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)-5-(trifluoromethyl)indolin-2-one (3ag)

Yellow oil, 33.3 mg, 40% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.54–7.49 (m, 1H), 7.38–7.27 (m, 2H), 7.26–7.04 (m, 4H), 6.87–6.84 (m, 1H), 4.14–3.96 (m, 1H), 3.17 (s, 3H), 2.59–2.42 (m, 2H), 2.31–2.21 (m, 1H), 1.97–1.86 (m, 1H), 1.81–1.62 (m, 2H), 1.32 (d, $J = 1.48$ Hz, 3H), 1.29–1.03 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.1, 178.8, 173.2, 173.1, 145.11 (d, $J = 10.02$ Hz), 145.00 (d, $J = 10.25$ Hz), 136.3, 136.0, 133.0, 132.7, 128.0, 127.9, 125.0, 124.9, 124.81, 124.77, 124.2 (d, $J = 93.10$ Hz), 124.1 (d, $J = 89.19$ Hz), 123.0 (d, $J = 209.29$ Hz), 122.9 (d, $J = 212.99$ Hz), 122.6, 122.4, 118.2 (q, $J = 3.12$ Hz), 106.9, 106.8, 58.5, 57.5, 46.84, 46.81, 32.4, 30.8, 30.2, 30.1, 28.7, 27.2, 26.4, 25.32, 25.30, 22.9, 22.8, 22.5, 22.1. ^{19}F NMR (376 MHz, CDCl_3): δ -61.2(s), -61.3(s). HRMS-ESI(m/z): calcd for $\text{C}_{23}\text{H}_{24}\text{F}_3\text{N}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 417.1784, found 417.1780.

1,3-dimethyl-2-oxo-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indoline-5-carbonitrile (3ah)

Yellow oil, 34.9 mg, 47% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.58–7.50 (m, 1H), 7.40–7.16 (m, 6H), 6.87–6.79 (m, 1H), 4.22–4.02 (m, 1H), 3.16 (d, $J = 3.00$ Hz, 3H), 2.62–2.45 (m, 2H), 2.34–2.20 (m, 1H), 1.97–1.76 (m, 2H), 1.76–1.57 (m, 1H), 1.29 (d, $J = 6.44$ Hz, 3H), 1.22–0.80 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.8, 179.7, 174.2, 174.1, 147.0, 146.9, 137.2, 136.8, 134.4, 134.0, 133.4, 133.3, 129.0, 126.4, 126.0, 125.6, 125.4, 123.7, 123.5, 119.1, 119.0, 108.6, 108.3, 105.9, 105.7, 59.3, 57.9, 47.7, 47.6, 33.2, 31.4, 31.1, 28.1, 26.3, 24.1, 23.8, 23.2, 22.8. HRMS-ESI(m/z): calcd for $\text{C}_{23}\text{H}_{24}\text{N}_3\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 374.1863, found 374.1868.

1,3,7-trimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3ai)

Yellow oil, 29.1 mg, 41% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.34–7.27 (m, 2H), 7.24–7.12 (m, 3H), 6.99–6.58 (m, 3H), 4.12–3.90 (m, 1H), 3.41 (s, 3H), 2.54 (d, $J = 3.72$ Hz, 3H), 2.52–2.42 (m, 1H), 2.29–2.19 (m, 1H), 1.94–1.71 (m, 3H), 1.67–1.57 (m, 1H), 1.26 (d, $J = 2.20$ Hz, 3H), 1.18–0.88 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.9, 179.7, 173.3, 173.1, 139.9, 139.8, 136.5, 136.3, 133.0, 132.7, 130.7, 130.5, 127.88, 127.85, 124.6, 124.5, 122.5, 122.4, 121.6, 121.5, 119.2, 119.1, 118.8, 118.6, 58.6, 57.6, 46.14, 46.06, 32.7, 31.2, 30.3, 30.1, 28.7, 28.4, 27.3, 26.4, 23.7, 22.8, 22.2, 18.01, 17.99. HRMS-ESI(m/z): calcd for $\text{C}_{23}\text{H}_{27}\text{N}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 363.2067, found 363.2072.

7-chloro-1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3aj)

Yellow oil, 38.3 mg, 50% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.35–7.30 (m, 2H), 7.23–6.92 (m, 5H), 6.79–6.53 (m, 1H), 4.16–3.92 (m, 1H), 3.50 (d, $J = 2.76$ Hz, 3H), 2.62–2.42 (m, 2H), 2.29–2.19 (m, 1H), 1.95–1.56 (m, 3H), 1.27 (d, $J = 1.40$ Hz, 3H), 1.16–0.83 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3): δ 179.3, 179.2, 138.0, 137.9, 136.4, 136.1, 135.2, 134.8, 129.3, 129.0, 128.0, 127.9, 124.8, 124.6, 122.6, 122.5, 122.4, 122.3, 119.7, 119.6, 114.6, 114.4, 58.4, 57.3, 46.63, 46.57, 32.6, 30.9, 30.2, 30.1, 28.7, 28.4, 27.3, 26.1, 23.6, 22.9, 22.8, 22.0. HRMS-ESI(m/z): calcd for $\text{C}_{22}\text{H}_{24}\text{ClN}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 383.1521, found 383.1517.

methyl 1,3-dimethyl-2-oxo-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indoline-7-carboxylate (3ak)

Yellow oil, 34.4 mg, 42% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.53–7.43 (m, 1H), 7.32–7.28 (m, 2H), 7.23–7.13 (m, 3H), 7.06–6.72 (m, 2H), 4.16–4.13 (m, 1H), 3.92 (d, $J = 4.12$ Hz, 3H), 2.54–2.41 (m, 2H), 2.29–2.20 (m, 1H), 1.98–1.61 (m, 4H), 1.28 (d, $J = 3.16$ Hz, 3H), 1.20–1.12 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 180.1, 179.9, 173.3, 173.1, 166.22, 166.16, 141.0, 140.9, 136.3, 136.1, 134.3, 133.9, 128.2, 128.0, 127.9, 124.8, 124.6, 123.9, 123.8, 122.7, 122.6, 120.9, 120.8, 114.7, 114.4, 58.4, 57.2, 51.4, 45.6, 45.5, 32.4, 30.6, 30.3, 30.1, 28.8, 28.7, 27.3, 26.0, 23.4, 22.8, 22.7, 22.0. HRMS-ESI(m/z): calcd for $\text{C}_{24}\text{H}_{27}\text{N}_2\text{O}_4$ ($\text{M}+\text{H}$) $^+$: 407.1965, found 407.1968.

7-bromo-1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3al)

Yellow oil, 35.1 mg, 41% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.32–7.22 (m, 4H), 7.21–7.08 (m, 3H), 6.76–6.71 (m, 1H), 4.11–3.97 (m, 1H), 3.11 (d, $J = 3.88$ Hz, 3H), 2.61–2.42 (m, 2H), 2.32–2.23 (m, 2H), 1.95–1.78 (m, 2H), 1.46 (d, $J = 1.96$ Hz, 3H), 1.20–1.11 (m, 1H), 1.02–0.86 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 178.5, 178.3, 173.2, 173.1, 144.3, 144.1, 136.4, 136.3, 129.6, 129.5, 128.53, 128.48, 127.9, 127.8, 125.8, 125.7, 124.5, 124.3, 122.2, 122.0, 117.7, 117.6, 106.2, 106.1, 58.3, 57.8, 49.5, 49.4, 30.21, 30.19, 28.8, 27.2, 25.29, 25.26, 22.8, 22.5, 20.7, 20.5. HRMS-ESI(m/z): calcd for $\text{C}_{22}\text{H}_{24}\text{BrN}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 427.1016, found 427.1019.

6-bromo-1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3al')

Yellow oil, 29.1 mg, 34% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.35–7.29 (m, 3H), 7.18–7.14 (m, 3H), 7.02–6.52 (m, 2H), 4.12–3.87 (m, 1H), 3.11 (d, $J = 1.20$ Hz, 3H), 2.57–2.46 (m, 2H), 2.27–2.22 (m, 1H), 1.87–1.82 (m, 1H), 1.66–1.58 (m, 2H), 1.26 (d, $J = 0.64$ Hz, 3H), 1.16–1.03 (m, 1H), 0.88–0.83 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 178.9, 178.8, 173.2, 173.1, 143.5, 143.4, 136.4, 136.1, 131.3, 130.9, 128.0, 124.8, 124.6, 124.4, 124.3, 122.62, 122.57, 122.5, 122.4, 120.5, 120.2, 110.7, 110.5, 58.5, 57.3, 46.7, 46.6, 32.2, 30.5, 30.3, 30.1, 28.7, 27.2, 26.1, 25.2, 23.1, 22.8, 22.3, 22.0. HRMS-ESI(m/z): calcd for $\text{C}_{22}\text{H}_{24}\text{BrN}_2\text{O}_2$ ($\text{M}+\text{H}$) $^+$: 427.1016, found 427.1012.

3-methyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)-1-phenylindolin-2-one (3am)

Yellow oil, 51.0 mg, 62% yield; ^1H NMR (400 MHz, CDCl_3): δ 7.50–7.28 (m, 5H), 7.22–7.04 (m, 7H), 6.98–6.72 (m, 2H), 4.19–3.95 (m, 1H), 2.62–2.42 (m, 2H), 2.32–2.22 (m, 1H), 2.07–1.96 (m, 1H), 1.86–1.70 (m, 2H), 1.42 (d, $J = 3.60$ Hz, 3H), 1.30–1.19 (m, 1H), 1.12–0.86 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3): δ 178.6, 178.4, 173.3,

173.1, 142.1, 142.0, 136.4, 136.1, 133.3, 133.2, 132.1, 131.7, 128.6, 128.5, 127.98, 127.95, 127.04, 127.00, 126.9, 126.7, 125.45, 125.40, 124.71, 124.68, 122.8, 122.7, 122.2, 122.1, 121.6, 121.5, 108.5, 108.3, 58.6, 57.7, 46.9, 32.8, 31.8, 30.3, 30.1, 28.7, 27.3, 26.7, 23.3, 22.8, 22.3. HRMS-ESI(m/z): calcd for C₂₇H₂₇N₂O₂ (M+H)⁺: 411.2067, found 411.2070.

1-benzyl-3-methyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3an)

Colorless oil, 44.2 mg, 52% yield; ¹H NMR (400 MHz, CDCl₃): δ 7.42–7.27 (m, 3H), 7.25–6.97 (m, 9H), 6.91–6.66 (m, 2H), 4.92–4.74 (m, 2H), 4.13–3.96 (m, 1H), 2.61–2.41 (m, 2H), 2.30–2.19 (m, 1H), 2.02–1.91 (m, 1H), 1.82–1.71 (m, 2H), 1.35 (d, J = 3.40 Hz, 3H), 1.20–1.13 (m, 2H), 1.05–0.83 (m, 1H). ¹³C NMR (100 MHz, CDCl₃): δ 179.2, 179.1, 173.2, 173.1, 141.3, 141.1, 136.4, 136.2, 134.9, 134.8, 132.3, 132.0, 127.9, 127.74, 127.71, 126.9, 126.7, 126.60, 126.58, 126.20, 126.17, 124.7, 124.6, 122.6, 122.5, 121.7, 121.6, 121.31, 121.25, 108.13, 108.07, 58.7, 57.5, 46.80, 46.78, 42.7, 42.6, 32.5, 31.1, 30.2, 30.1, 28.7, 27.4, 26.7, 23.7, 22.8, 22.3. HRMS-ESI(m/z): calcd for C₂₈H₂₉N₂O₂ (M+H)⁺: 425.2224, found 425.2220.

2-methyl-2-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)-5,6-dihydro-4*H*-pyrrolo[3,2,1-*ij*]quinolin-1(2*H*)-one (3ao)

Yellow oil, 56.2 mg, 75% yield; ¹H NMR (400 MHz, CDCl₃): δ 7.34–7.27 (m, 2H), 7.26–7.12 (m, 3H), 7.08–6.89 (m, 2H), 6.83–6.60 (m, 1H), 4.13–3.94 (m, 1H), 3.64–3.57 (m, 2H), 2.76–2.72 (m, 2H), 2.55–2.41 (m, 2H), 2.30–2.19 (m, 1H), 2.08–1.61 (m, 7H), 1.29 (d, J = 2.92 Hz, 3H), 1.23–0.86 (m, 3H). ¹³C NMR (100 MHz, CDCl₃): δ 178.1, 177.9, 173.3, 173.2, 137.9, 137.8, 136.4, 136.2, 130.9, 130.6, 127.90, 127.87, 125.8, 125.6, 124.6, 122.63, 122.57, 121.11, 121.05, 119.21, 119.16, 119.1, 119.0, 58.7, 57.8, 48.18, 48.15, 37.70, 37.68, 32.1, 30.9, 30.3, 30.1, 28.7, 27.3, 26.6, 23.54, 23.51, 22.78, 22.76, 22.3, 22.1, 20.2, 20.1. HRMS-ESI(m/z): calcd for C₂₄H₂₇N₂O₂ (M+H)⁺: 375.2067, found 375.2064.

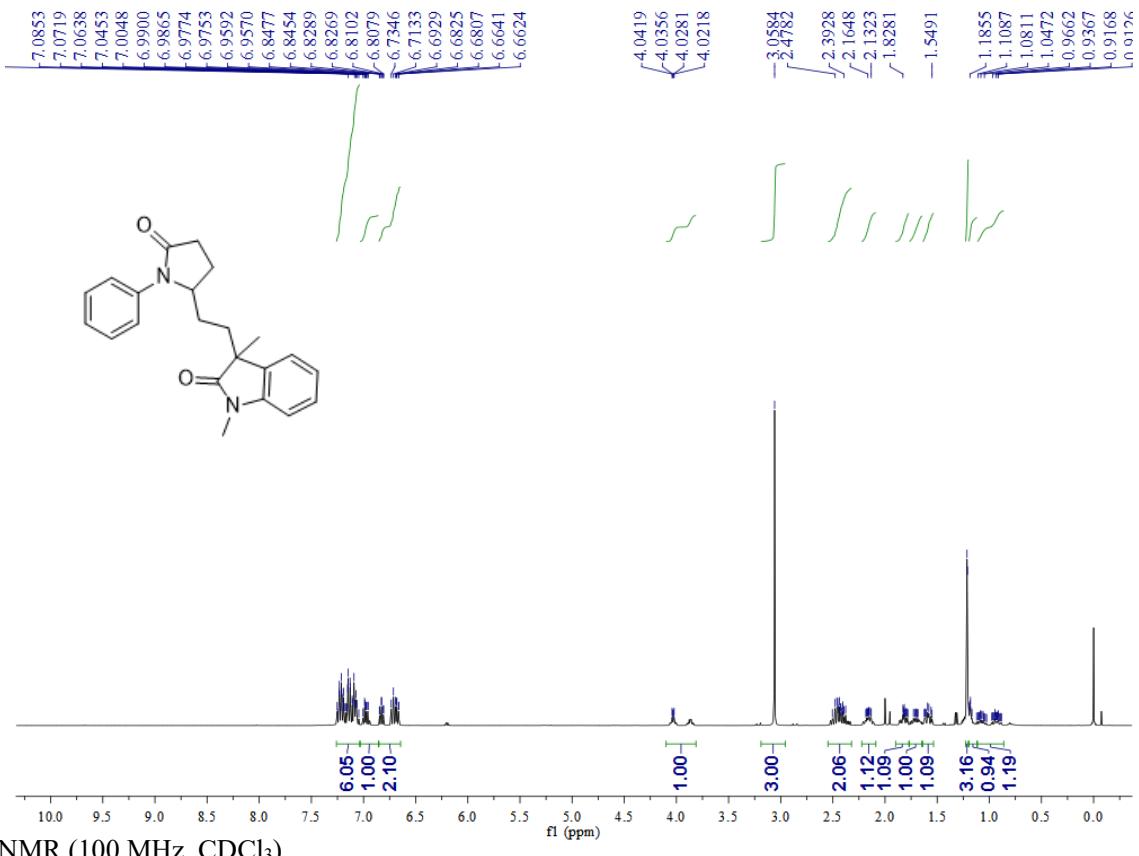
Reference:

- [1] Li, Z.; Song, L.; Li, C. Silver-Catalyzed Radical Aminofluorination of Unactivated Alkenes in Aqueous Media. *J. Am. Chem. Soc.* **2013**, *135*, 4640–4643.
- [2] Li, Y.-M.; Sun, M.; Wang, H.-L.; Tian, Q.-P.; Yang, S.-D. Direct Annulations toward Phosphorylated Oxindoles: Silver-Catalyzed Carbon-Phosphorus Functionalization of Alkenes. *Angew. Chem., Int. Ed.* **2013**, *52*, 3972–3976.

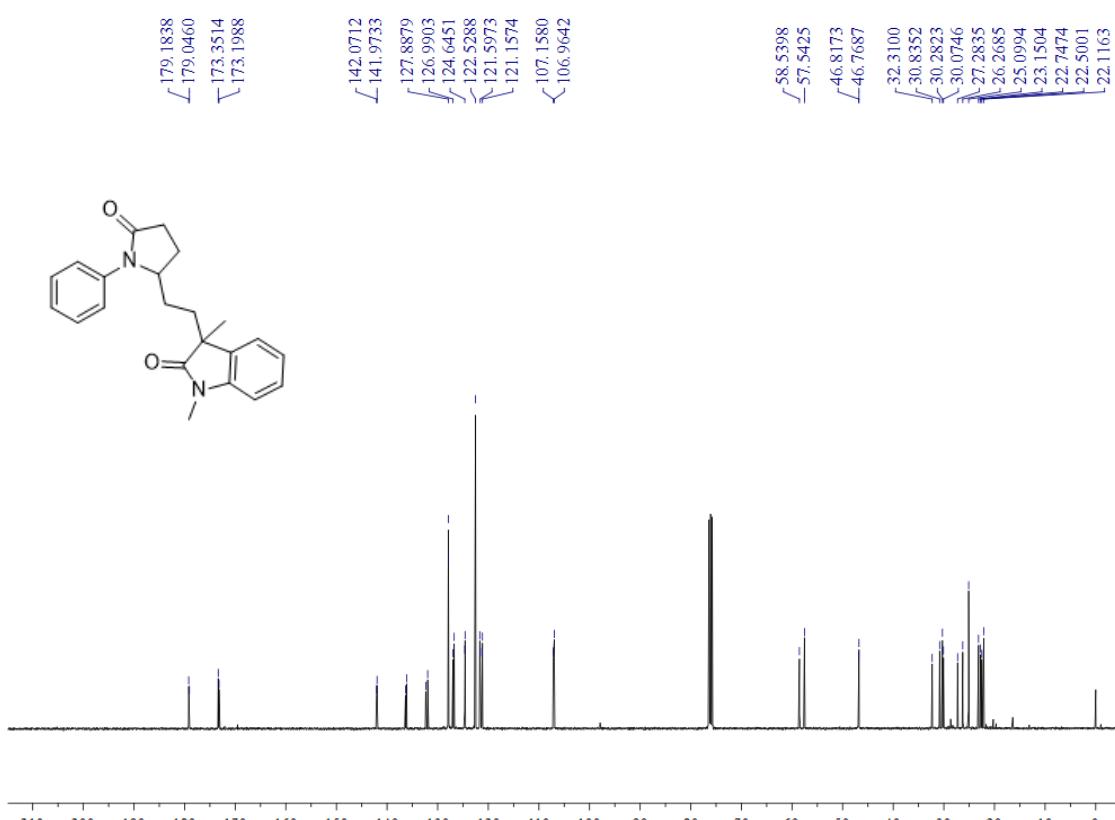
Copies of ^1H and ^{13}C NMR spectra

1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3aa)

^1H NMR (400 MHz, CDCl_3)

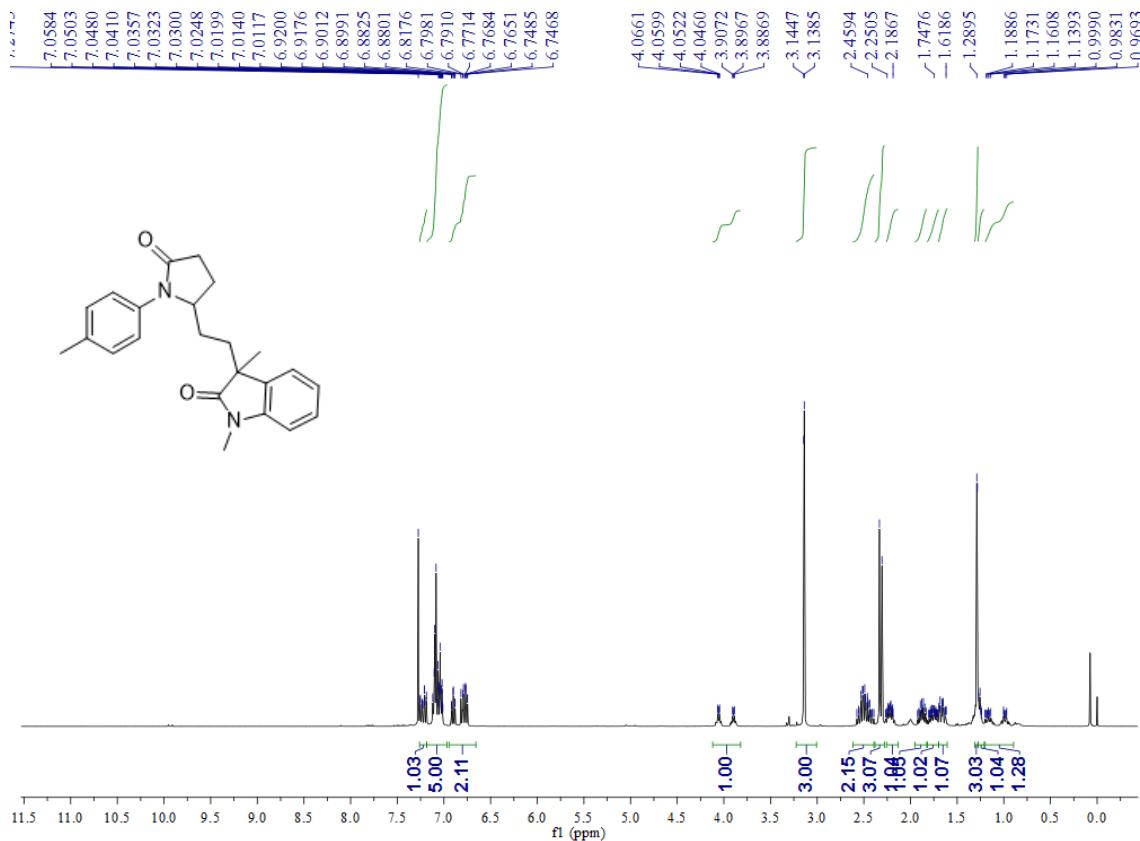


^{13}C NMR (100 MHz, CDCl_3)

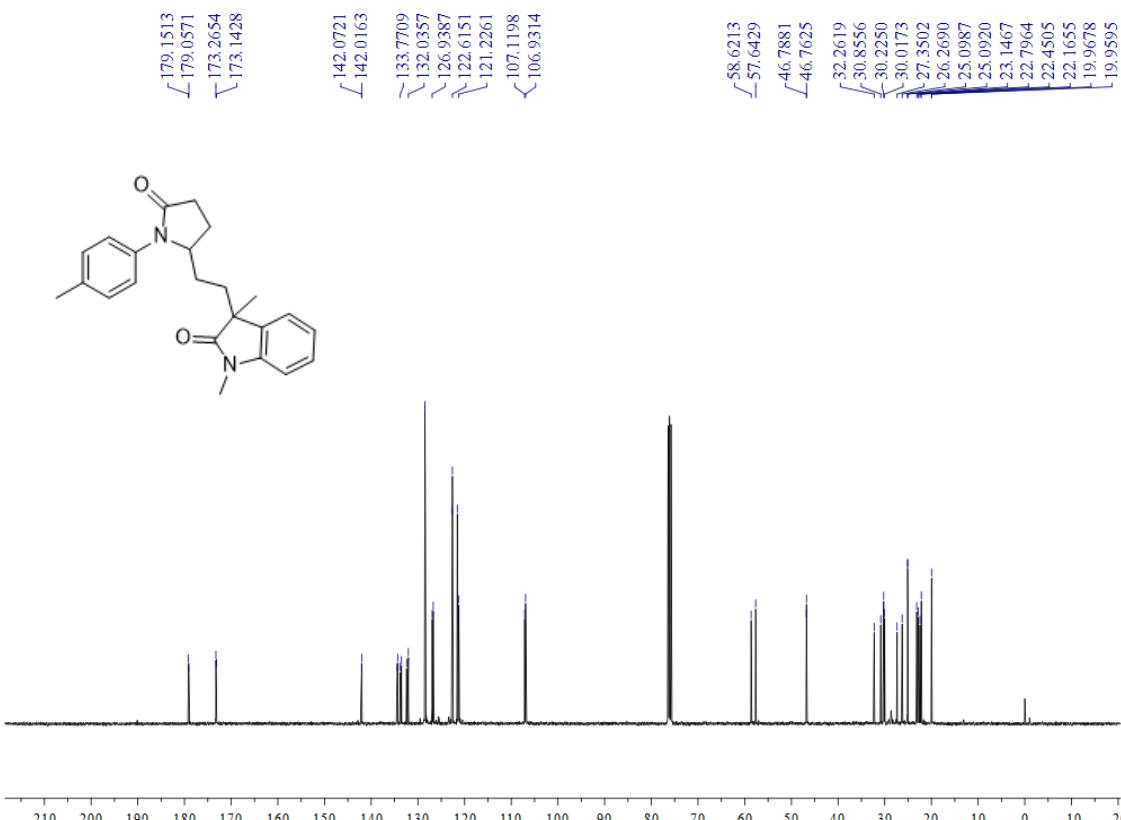


1,3-dimethyl-3-(2-(5-oxo-1-(*p*-tolyl)pyrrolidin-2-yl)ethyl)indolin-2-one (3ba)

¹H NMR (400 MHz, CDCl₃)

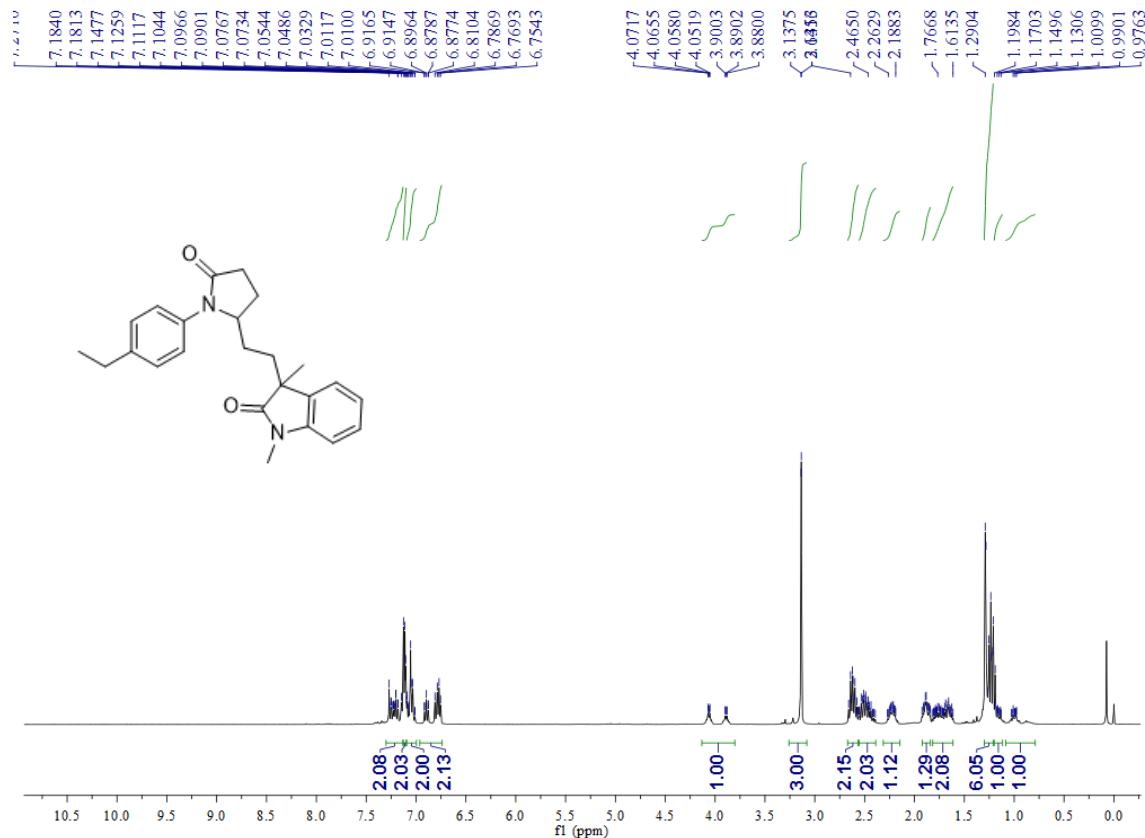


¹³C NMR (100 MHz, CDCl₃)

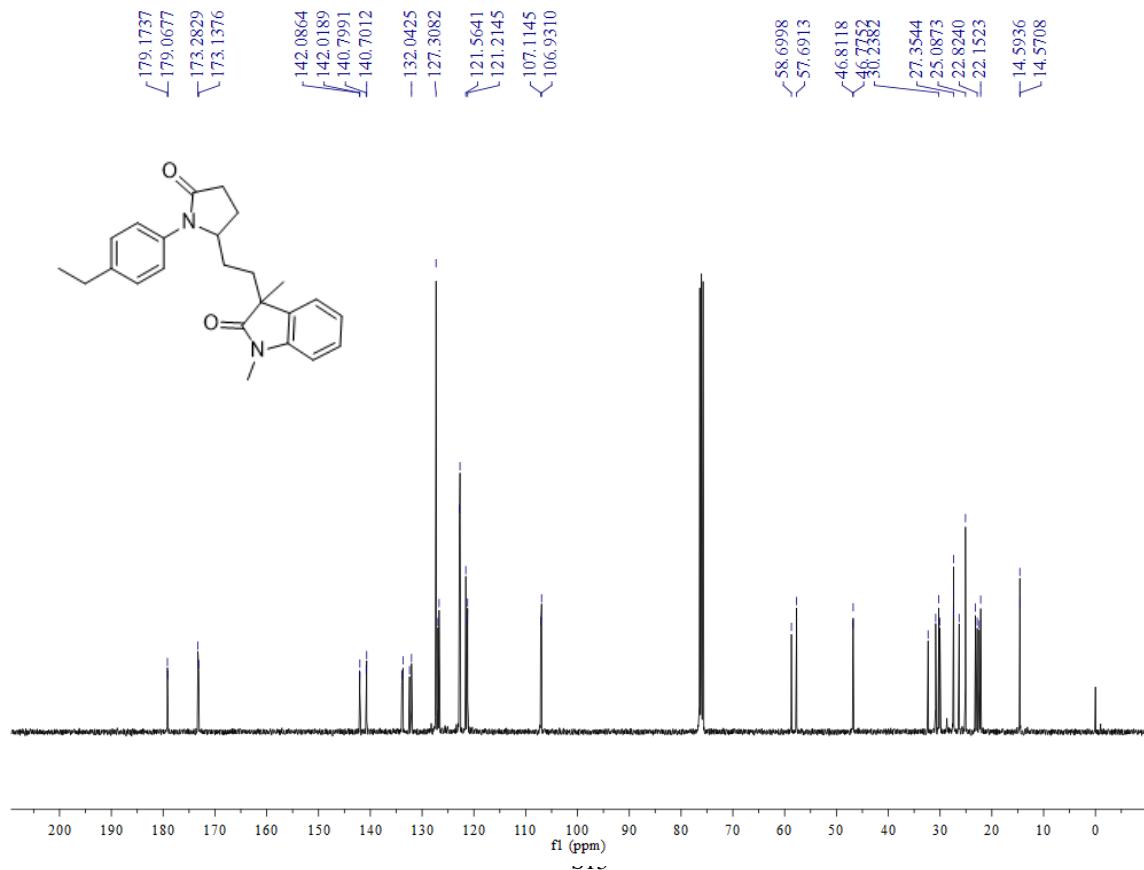


3-(2-(1-(4-ethylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ca)

¹H NMR (400 MHz, CDCl₃)

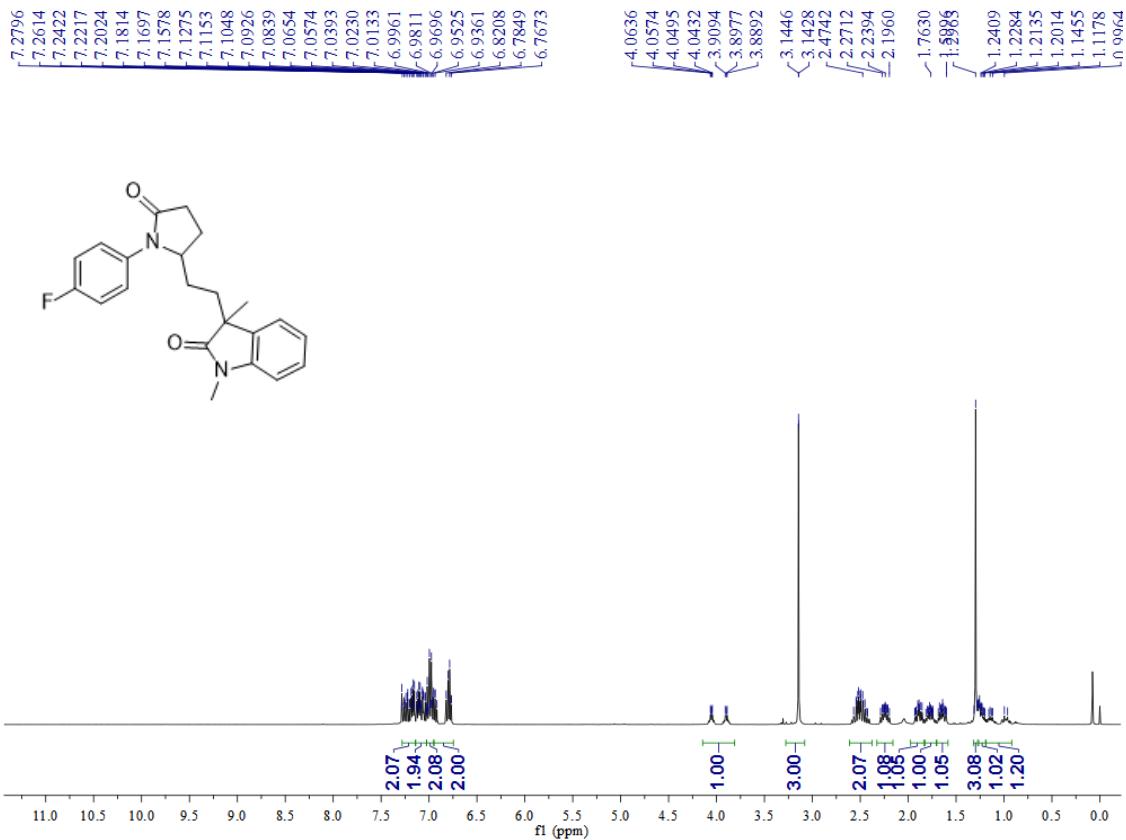


¹³C NMR (100 MHz, CDCl₃)

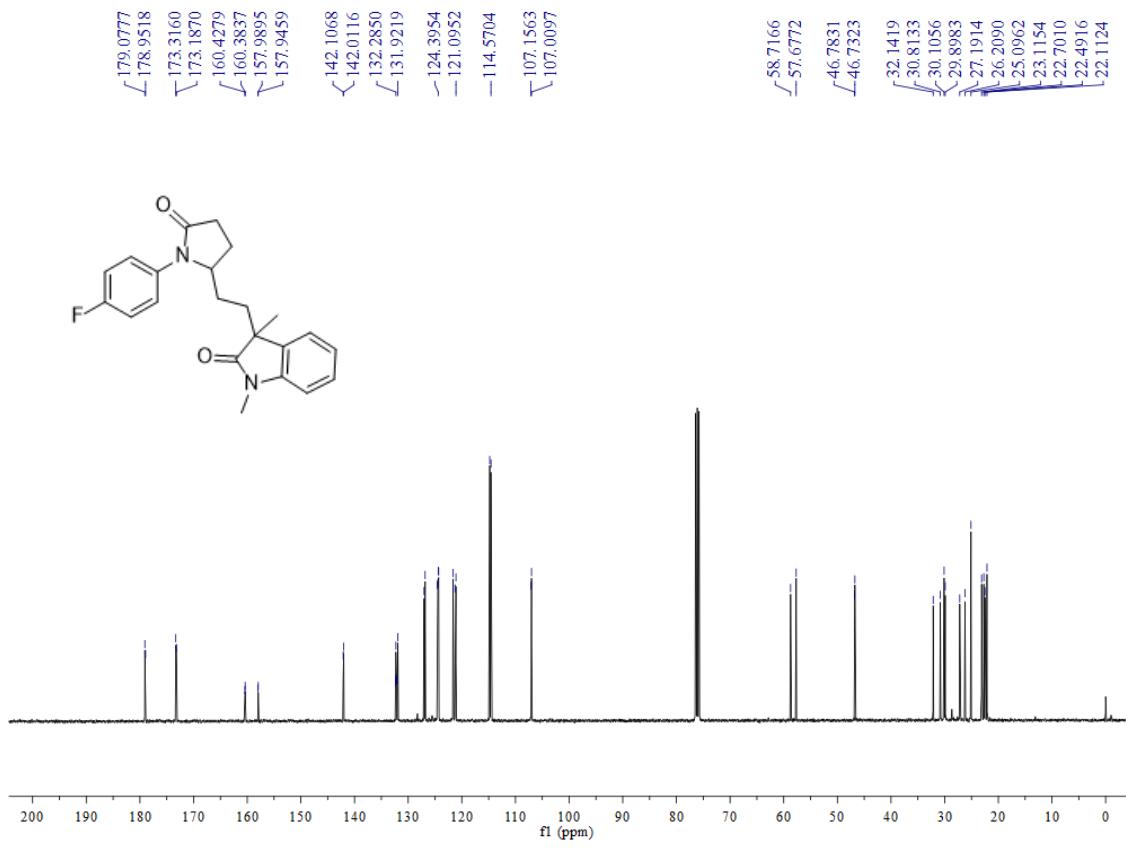


3-(2-(1-(4-fluorophenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3da)

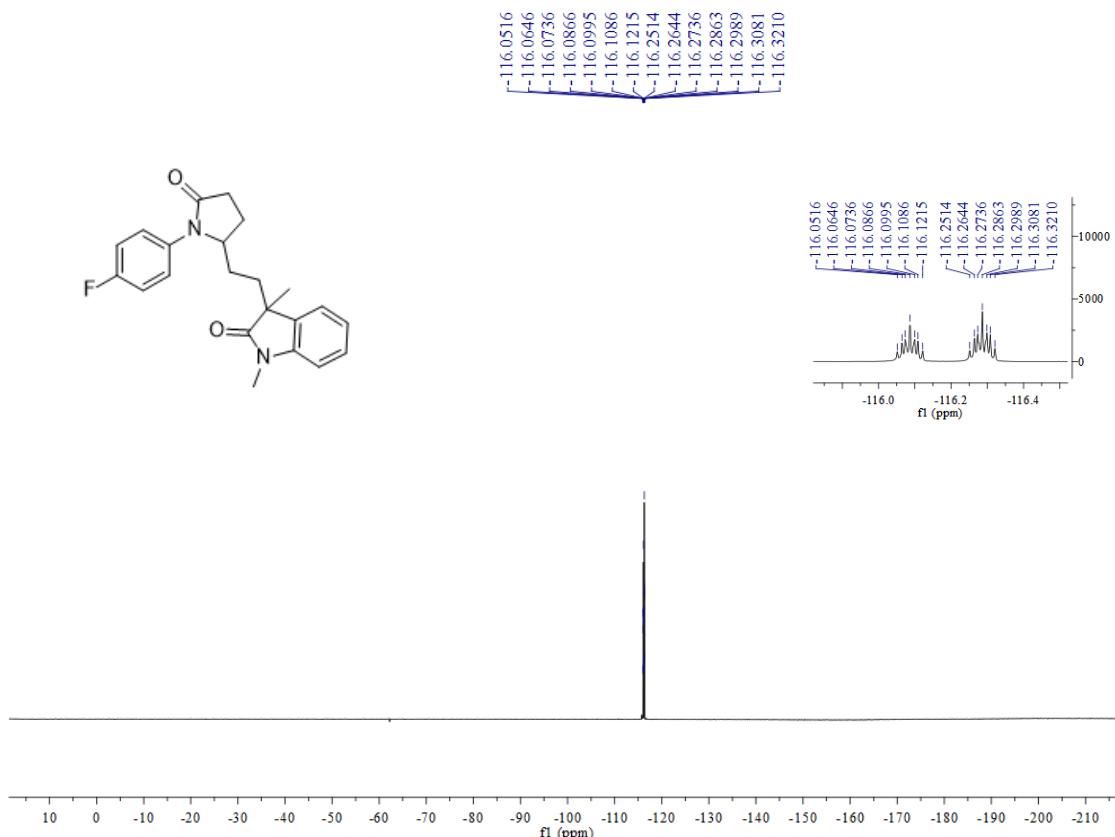
¹H NMR (400 MHz, CDCl₃)



¹³C NMR (100 MHz, CDCl₃)

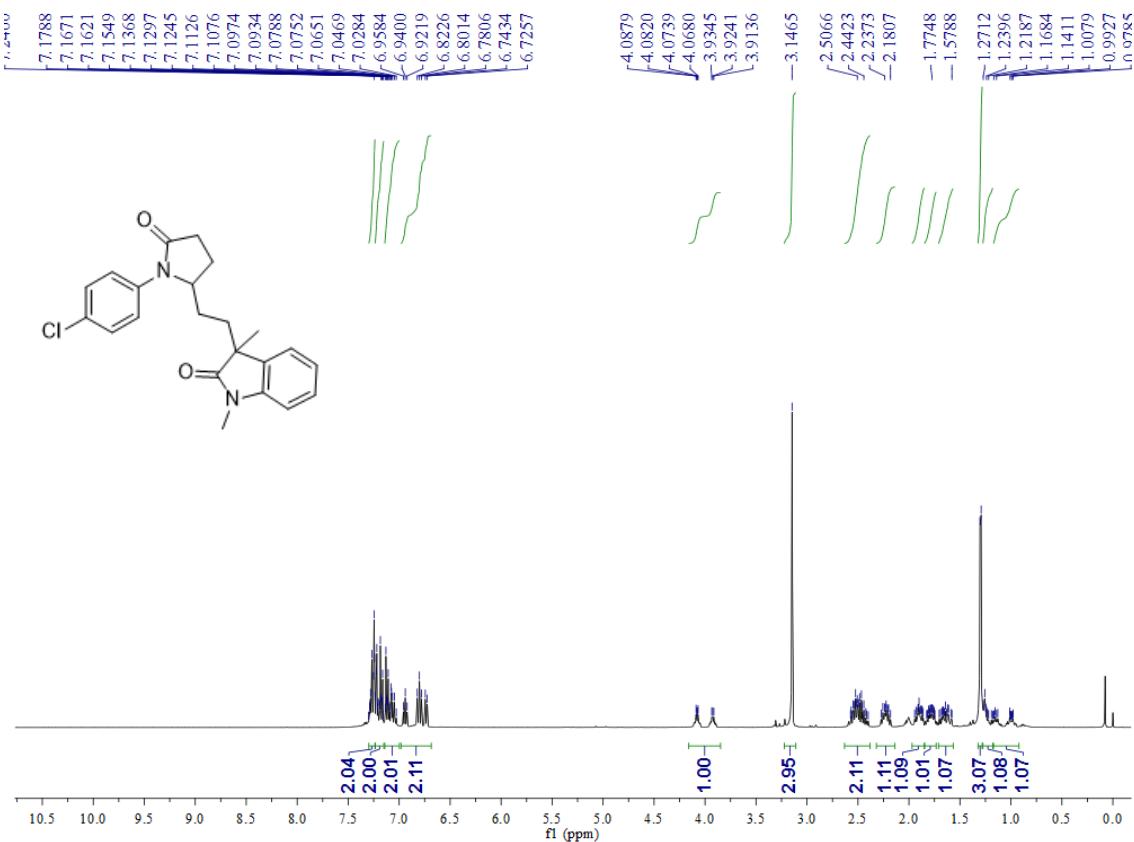


¹⁹F NMR (376 MHz, CDCl₃)

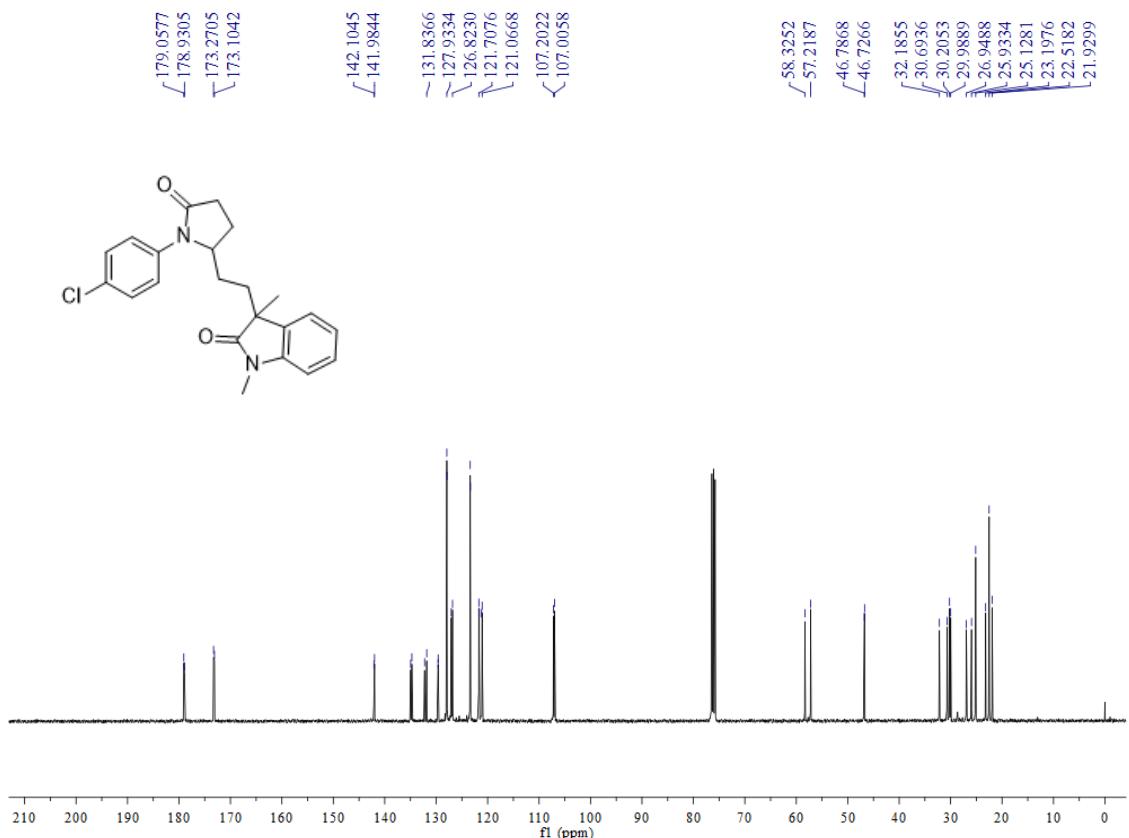


3-(2-(1-(4-chlorophenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ea)

¹H NMR (400 MHz, CDCl₃)

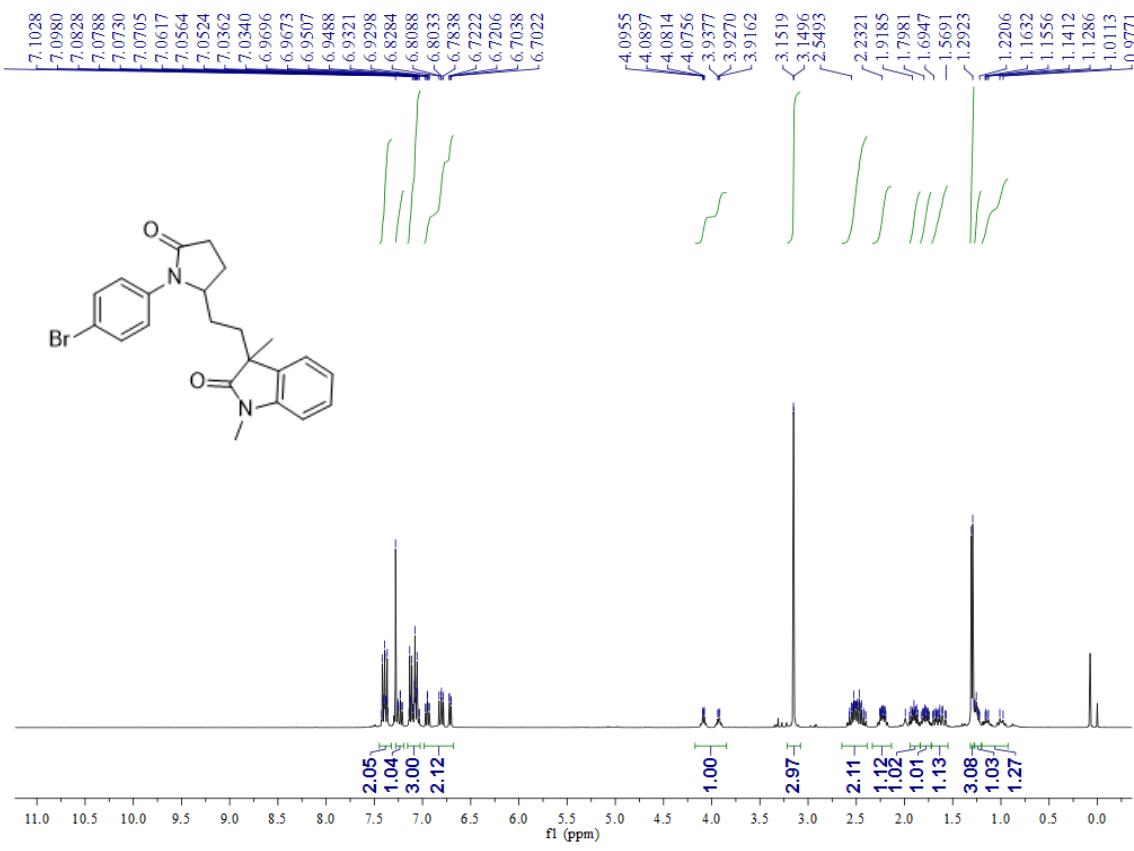


¹³C NMR (100 MHz, CDCl₃)

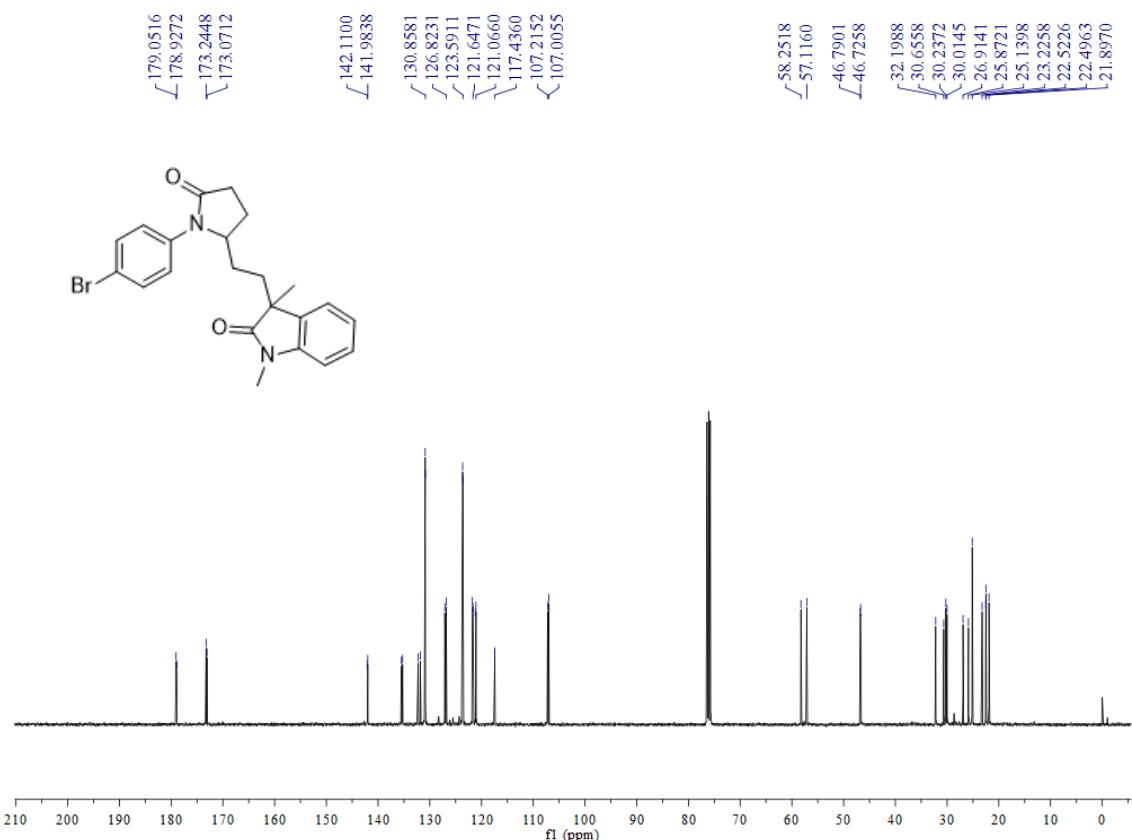


3-(2-(1-(4-bromophenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3fa)

¹H NMR (400 MHz, CDCl₃)

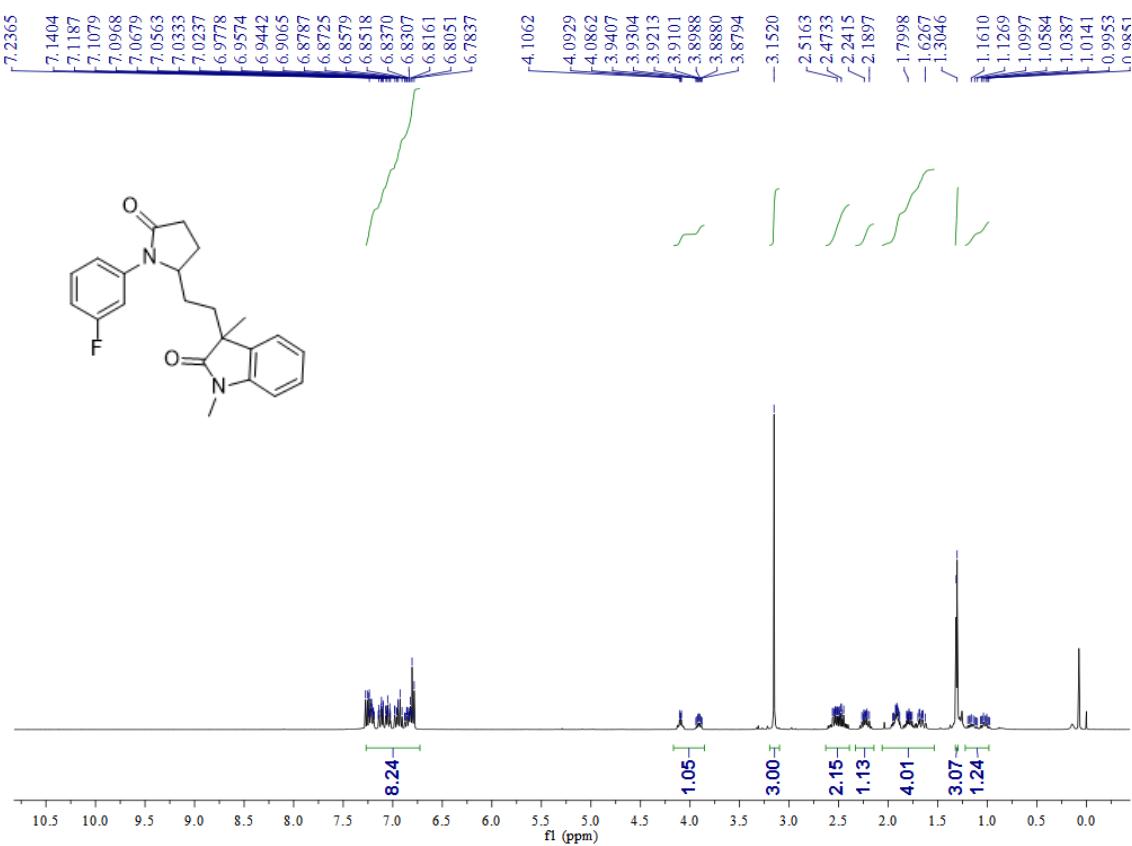


¹³C NMR (100 MHz, CDCl₃)

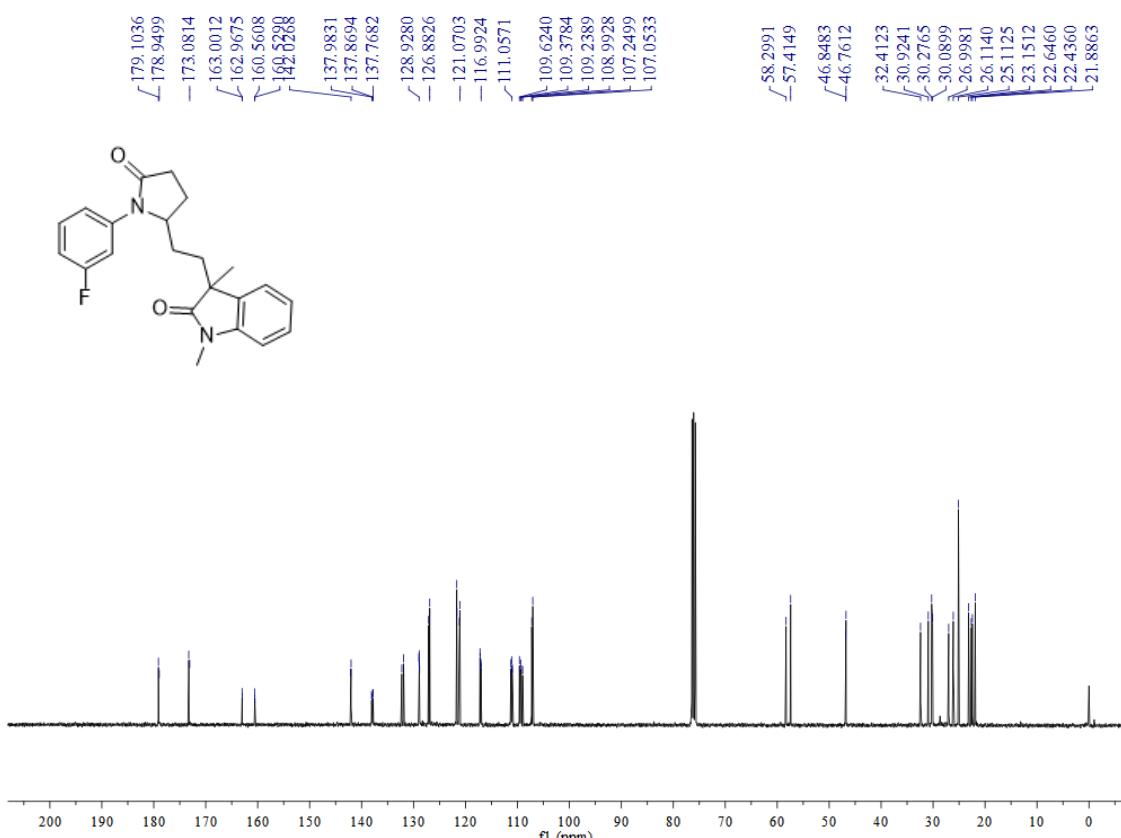


3-(2-(1-(3-fluorophenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ga)

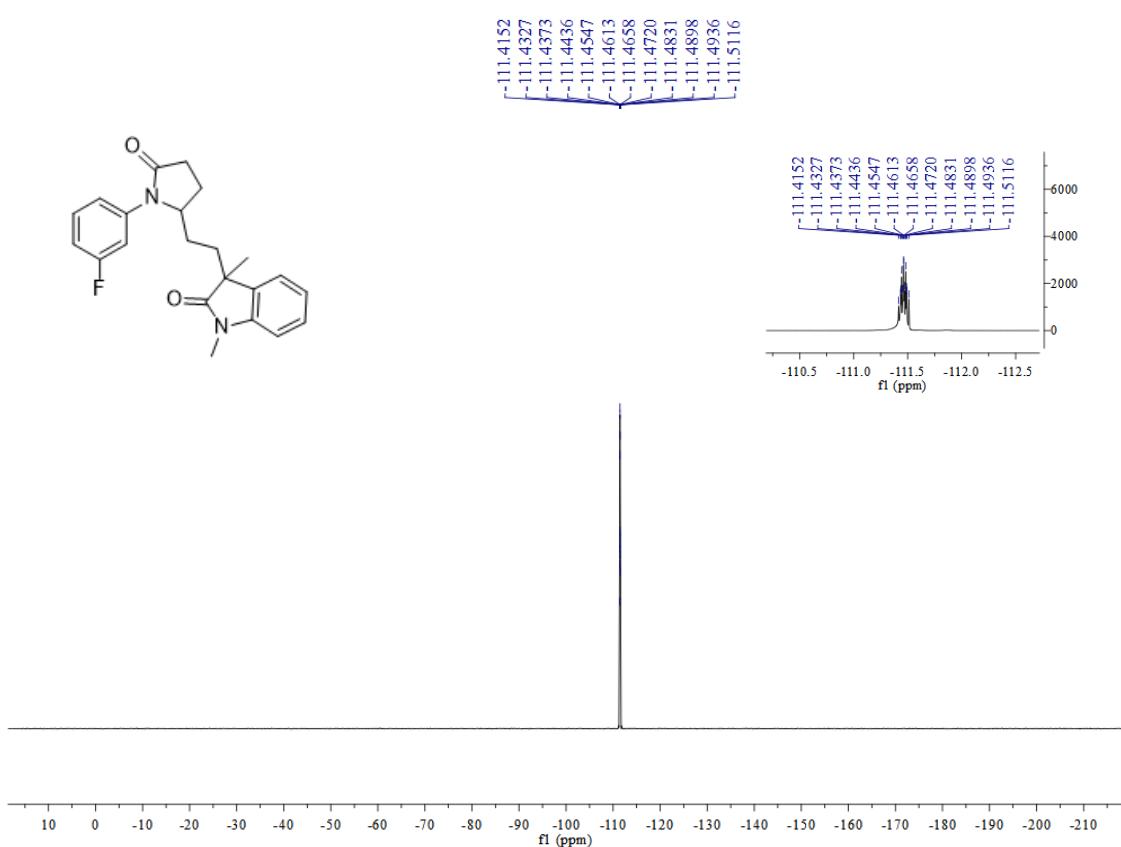
¹H NMR (400 MHz, CDCl₃)



¹³C NMR (100 MHz, CDCl₃)

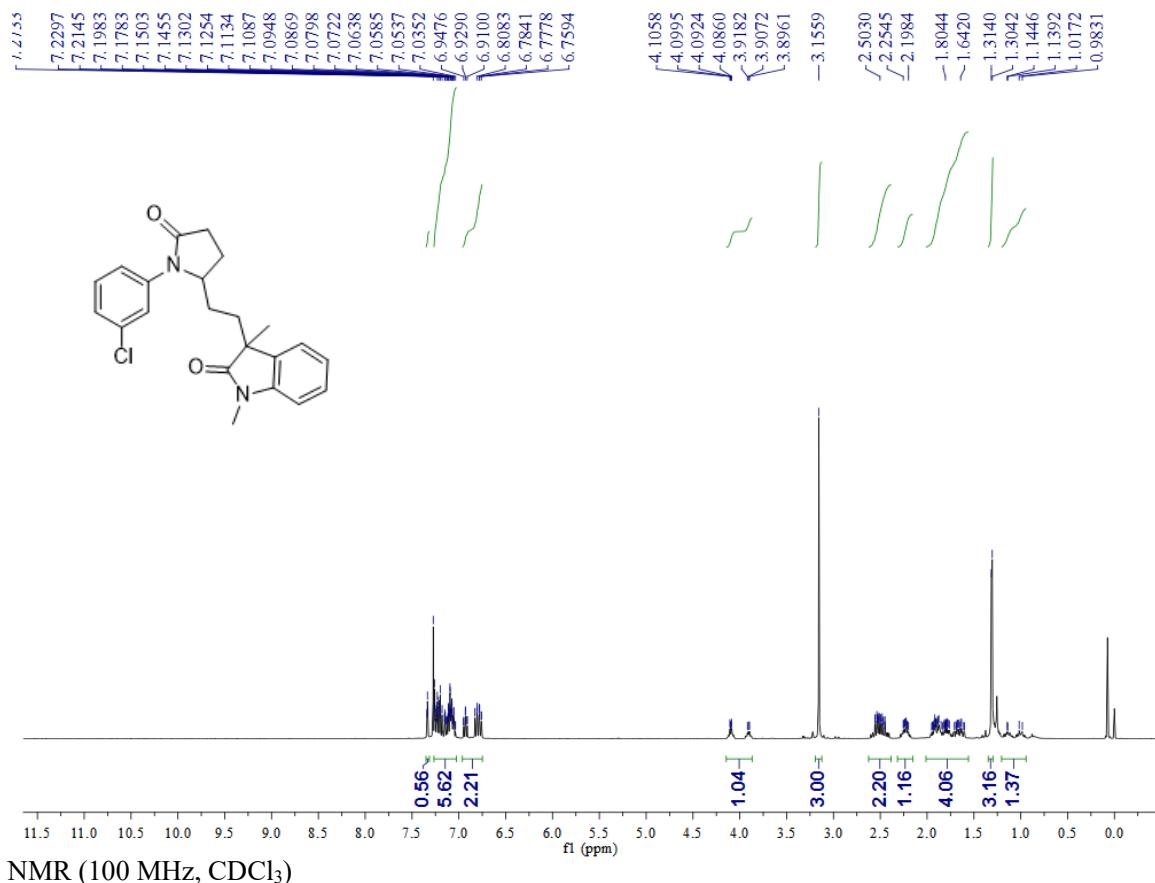


¹⁹F NMR (376 MHz, CDCl₃)

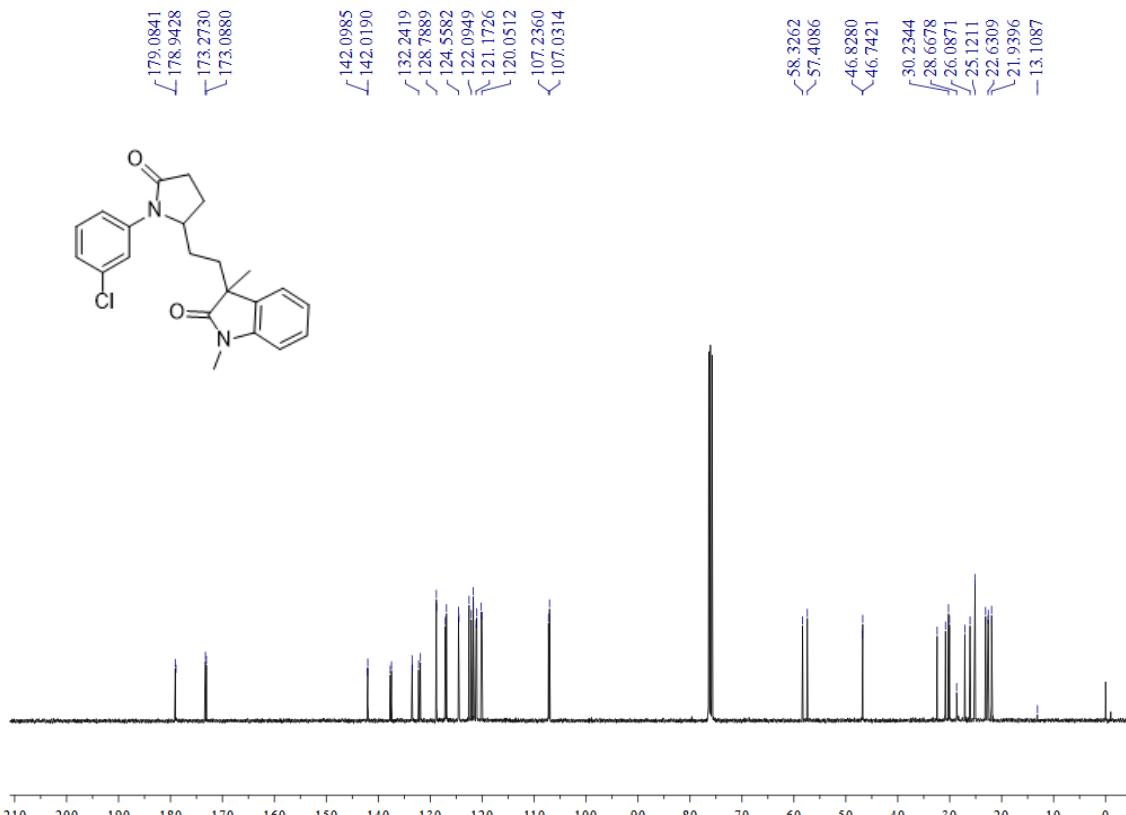


3-(2-(1-(3-chlorophenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ha)

¹H NMR (400 MHz, CDCl₃)

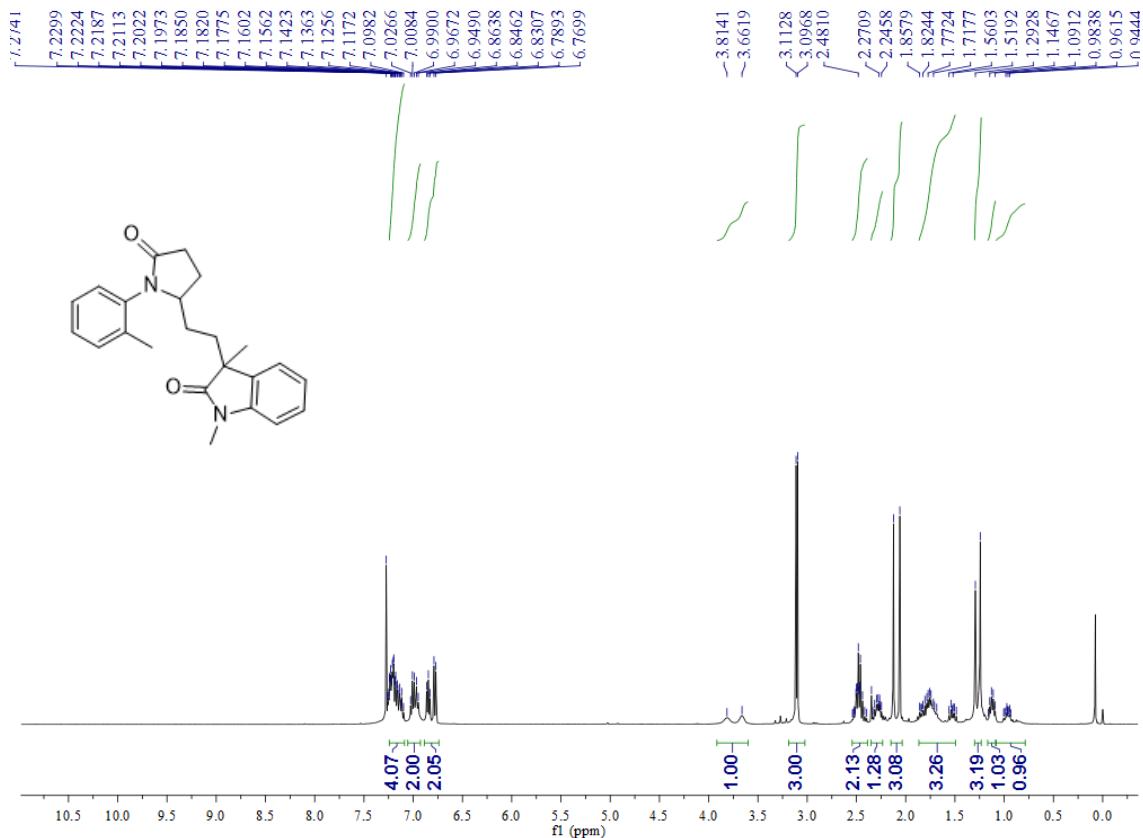


¹³C NMR (100 MHz, CDCl₃)

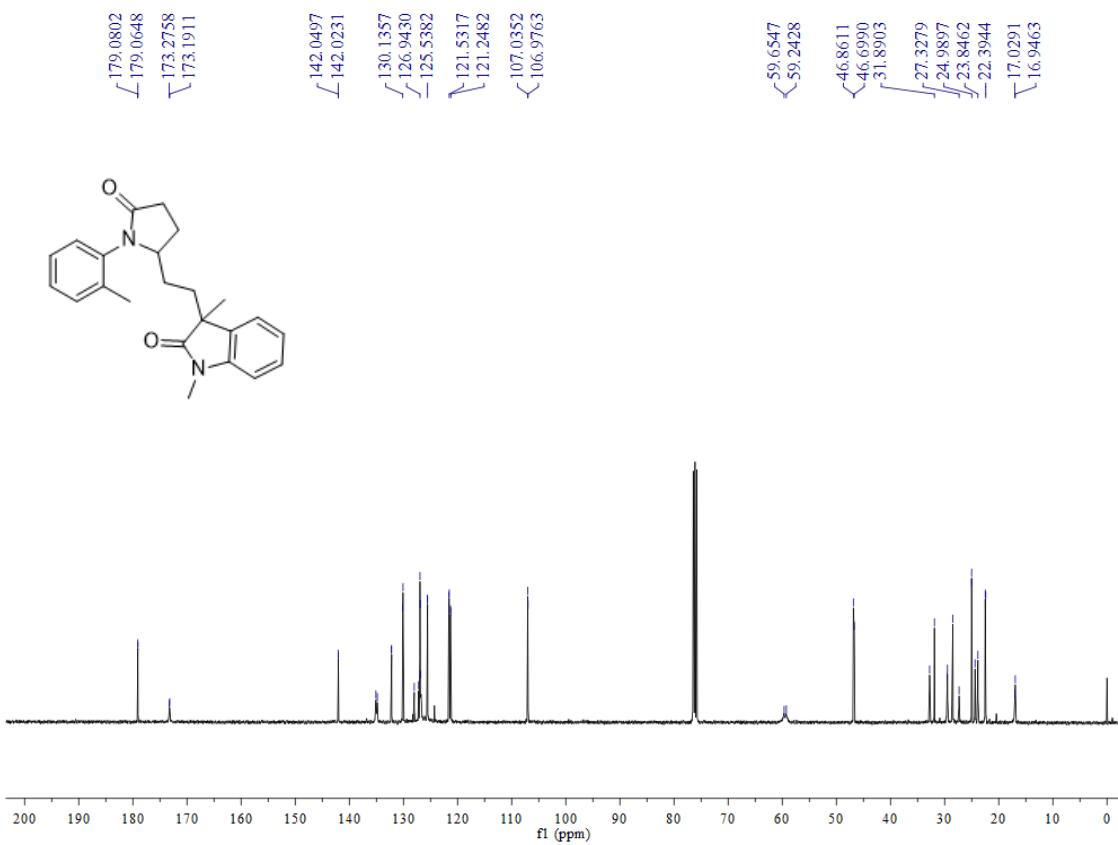


1,3-dimethyl-3-(2-(5-oxo-1-(*o*-tolyl)pyrrolidin-2-yl)ethyl)indolin-2-one (3ia)

¹H NMR (400 MHz, CDCl₃)

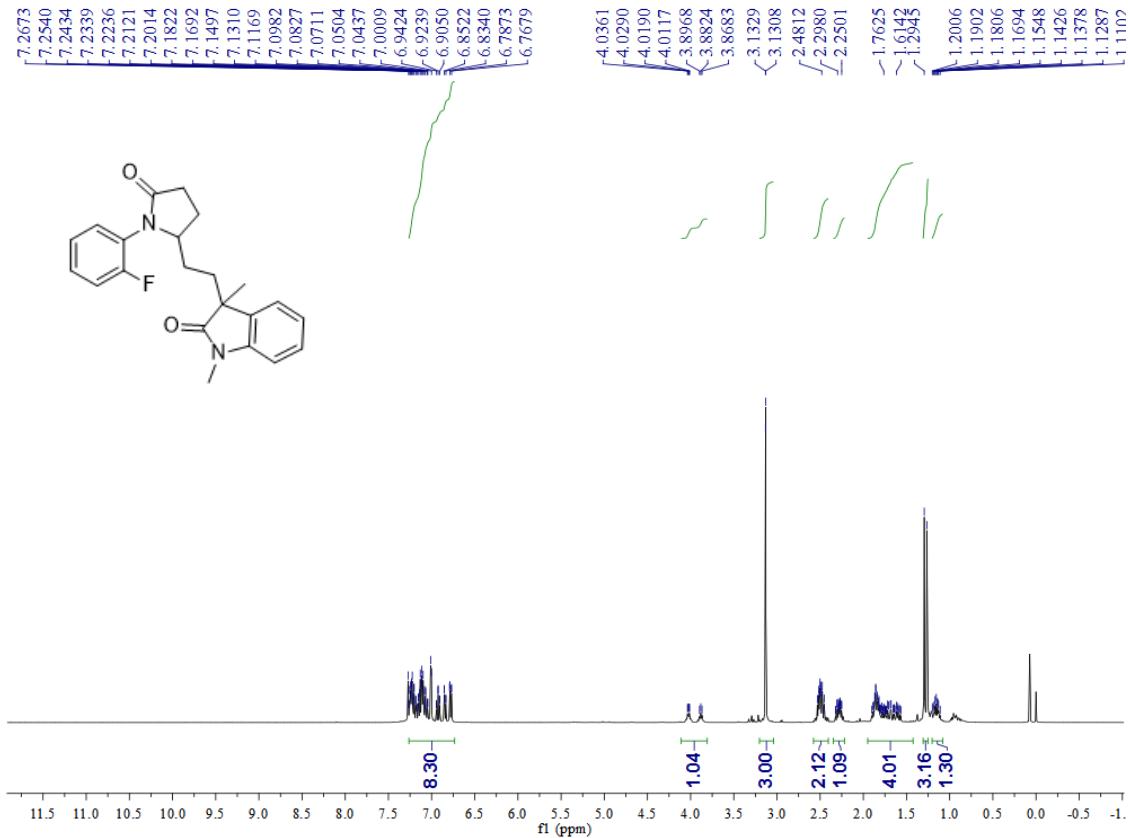


¹³C NMR (100 MHz, CDCl₃)

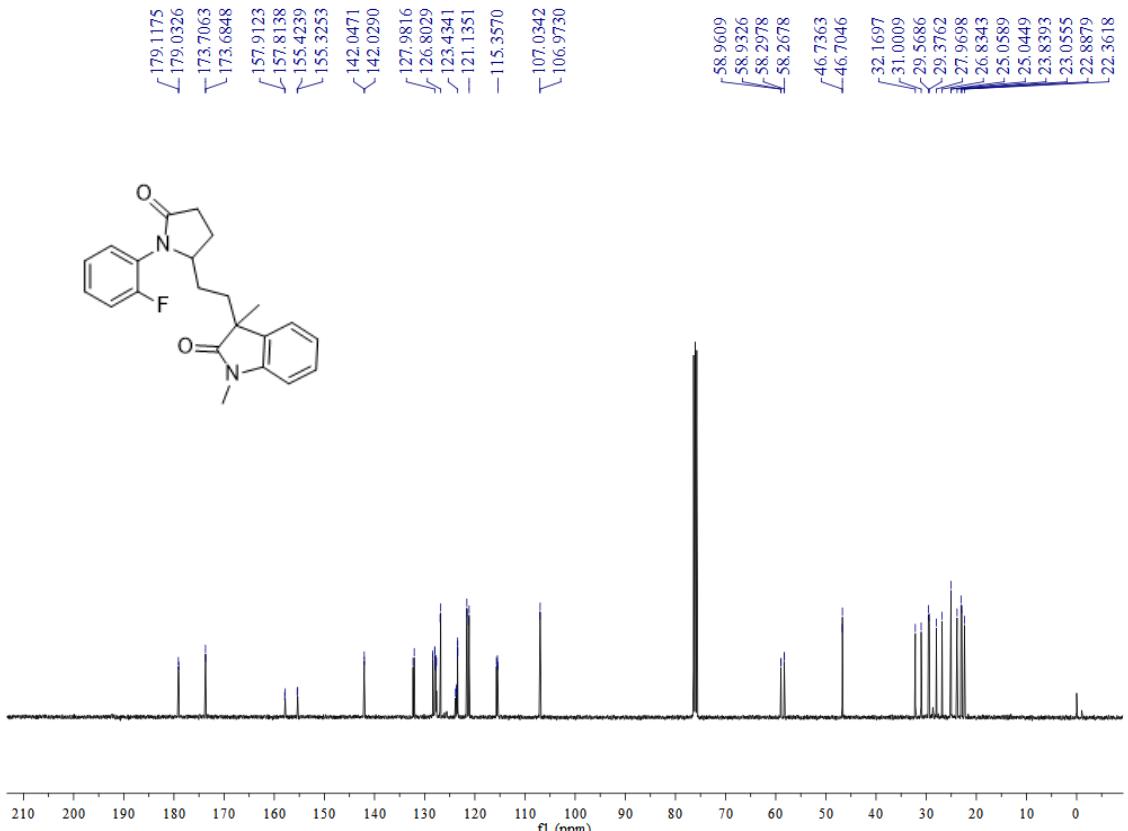


3-(2-(1-(2-fluorophenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ja)

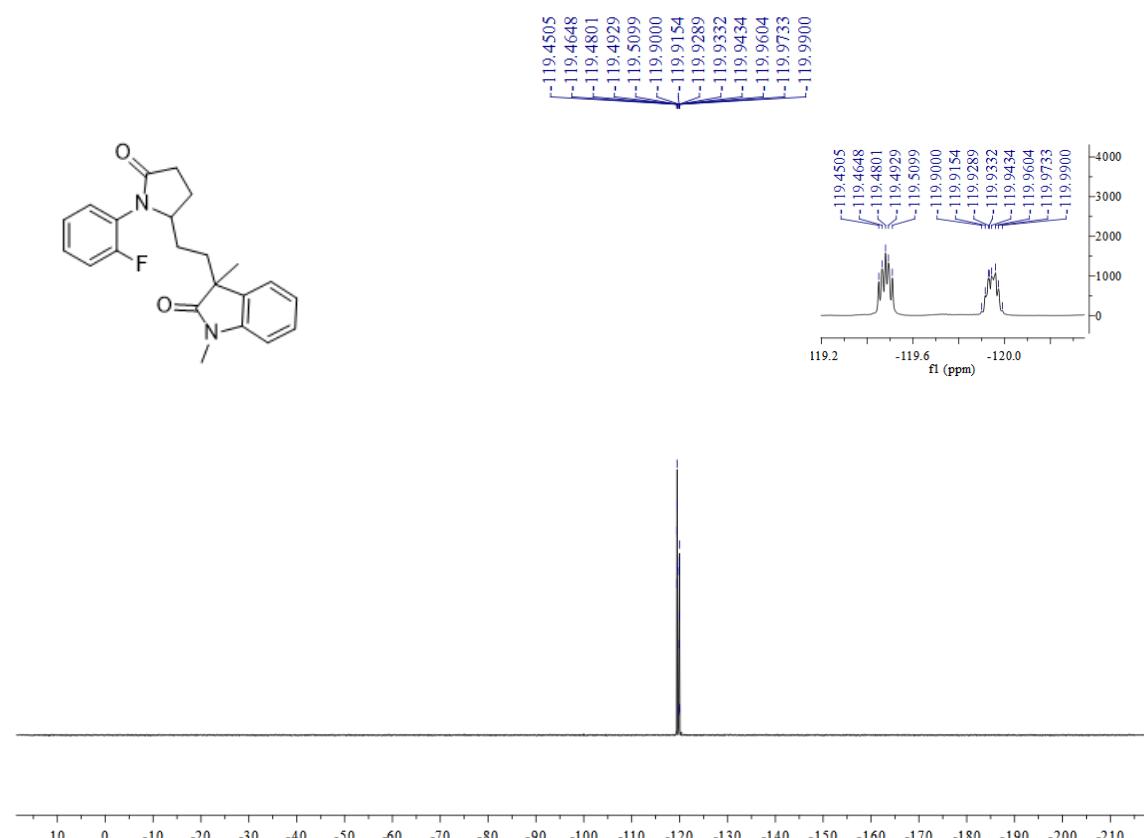
¹H NMR (400 MHz, CDCl₃)



¹³C NMR (100 MHz, CDCl₃)

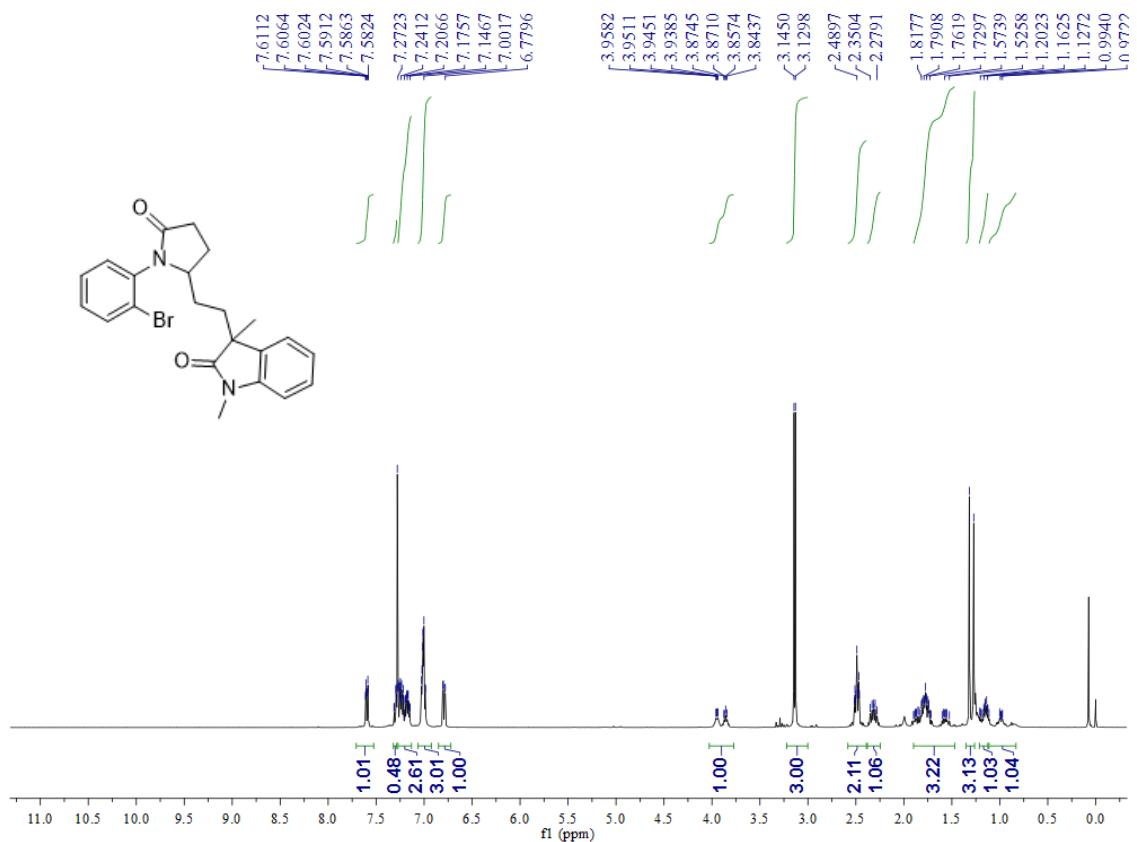


¹⁹F NMR (376 MHz, CDCl₃)

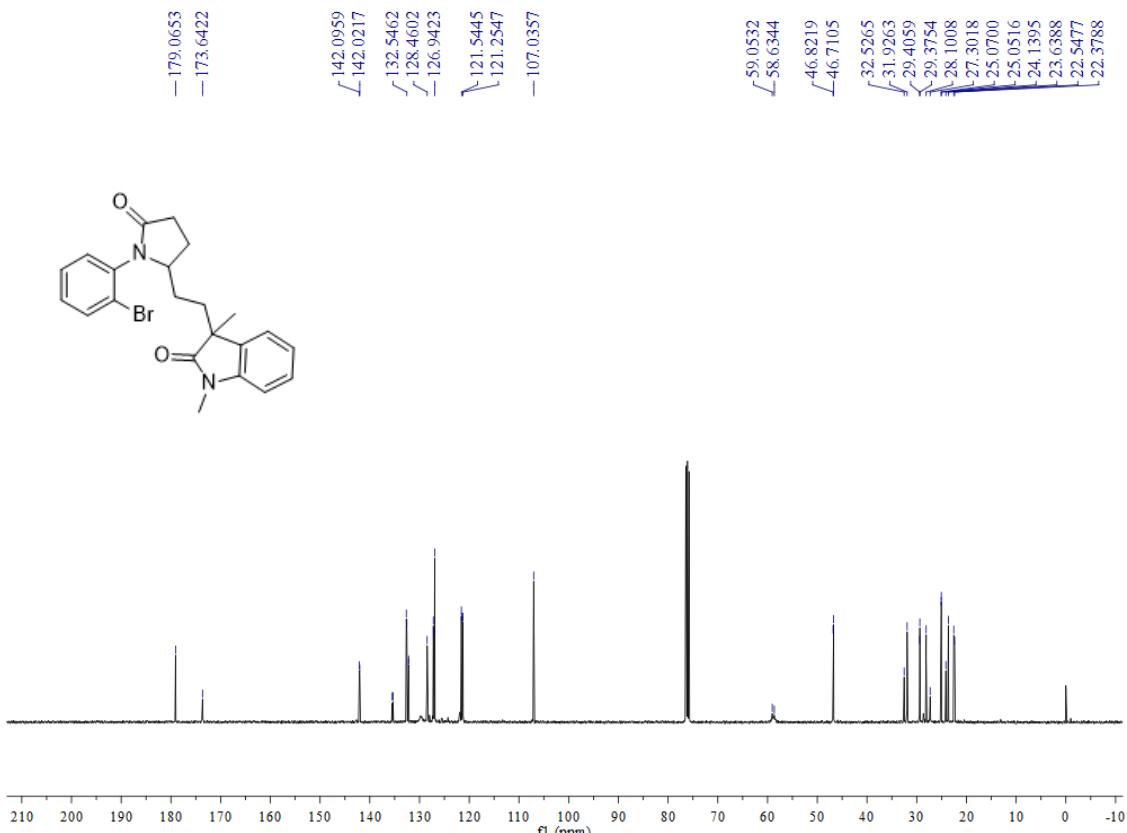


3-(2-(1-(2-bromophenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ka)

¹H NMR (400 MHz, CDCl₃)

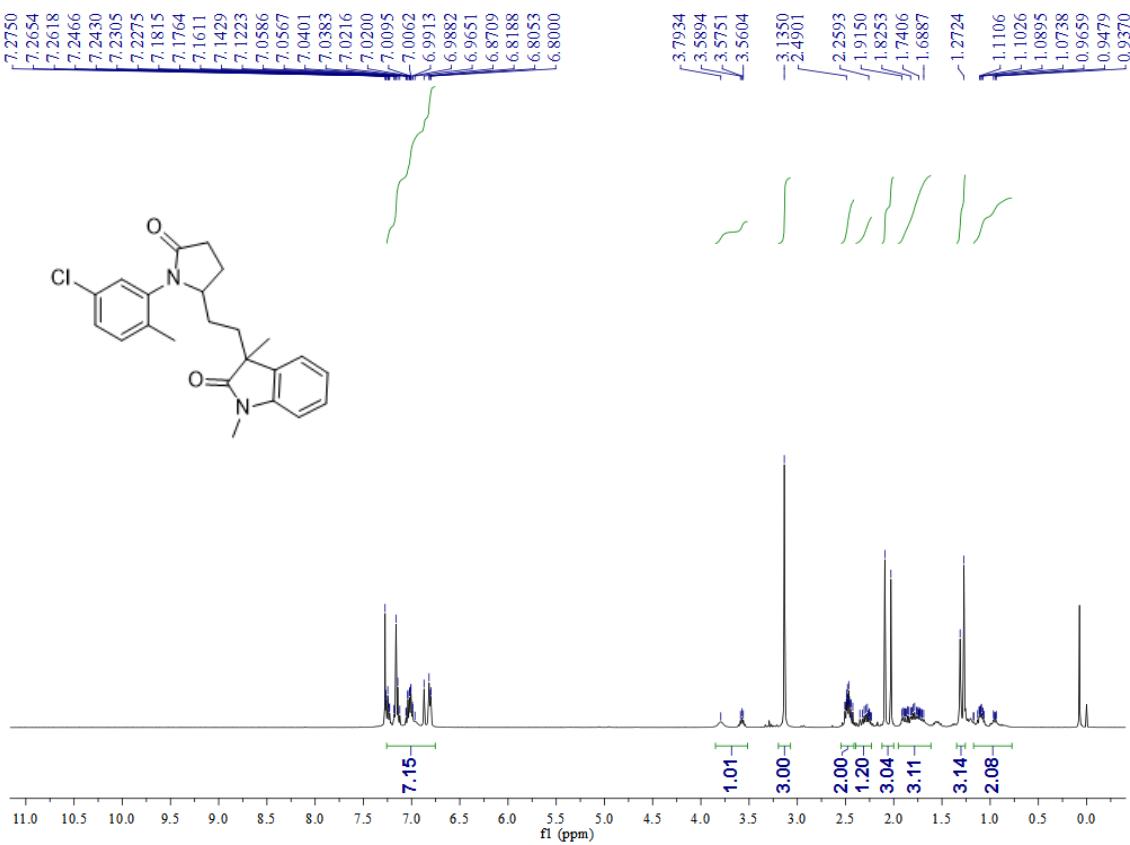


¹³C NMR (100 MHz, CDCl₃)

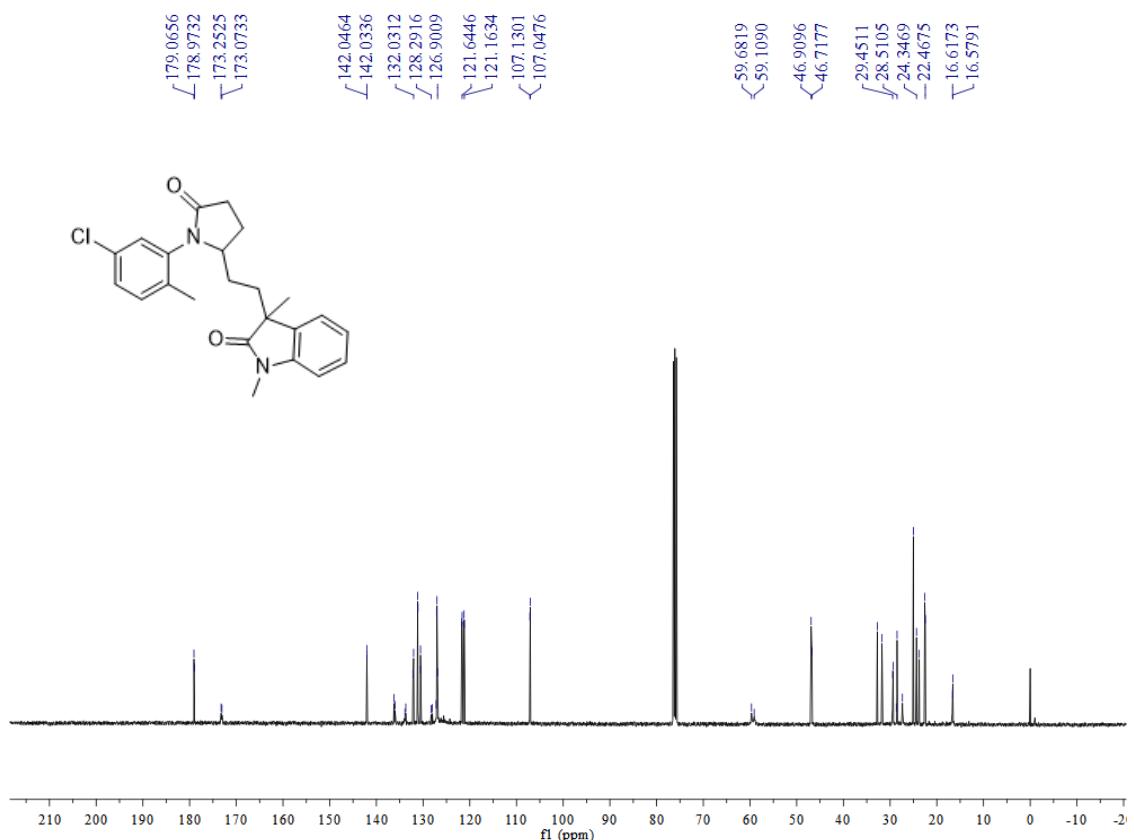


3-(2-(1-(5-chloro-2-methylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3la)

¹H NMR (400 MHz, CDCl₃)

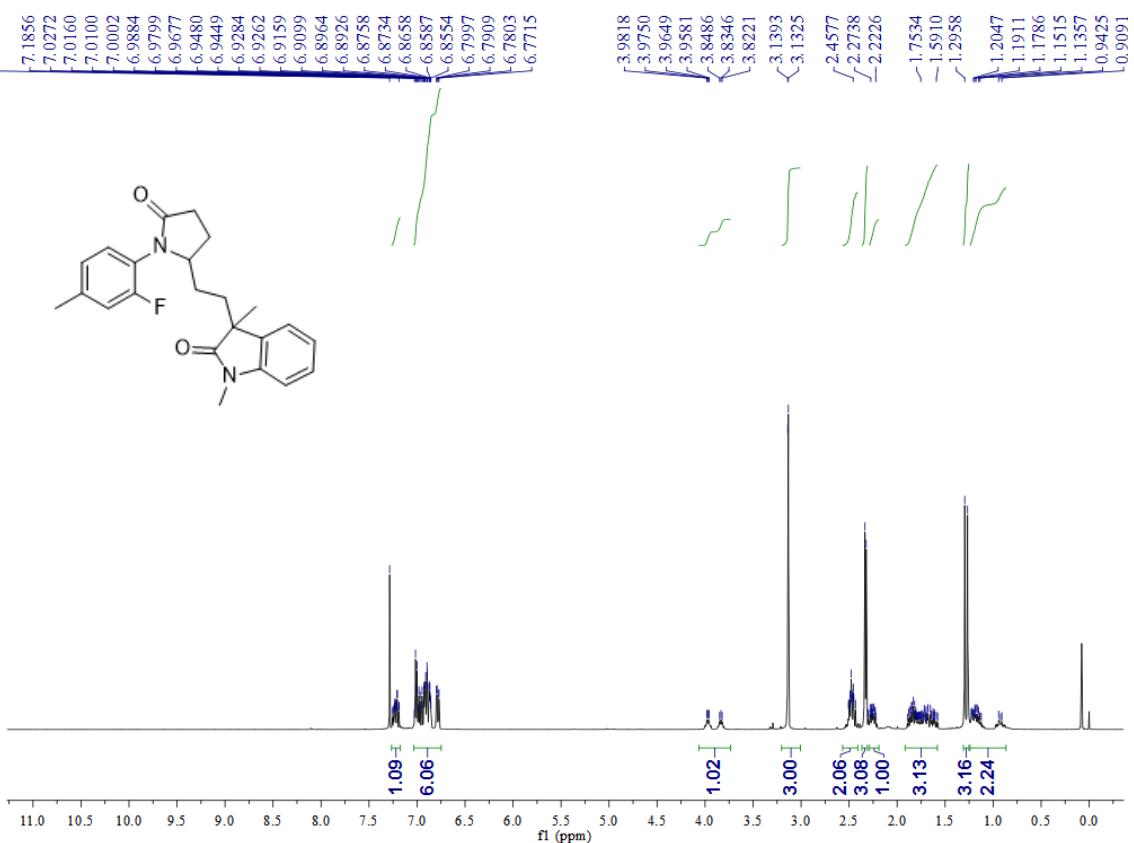


¹³C NMR (100 MHz, CDCl₃)

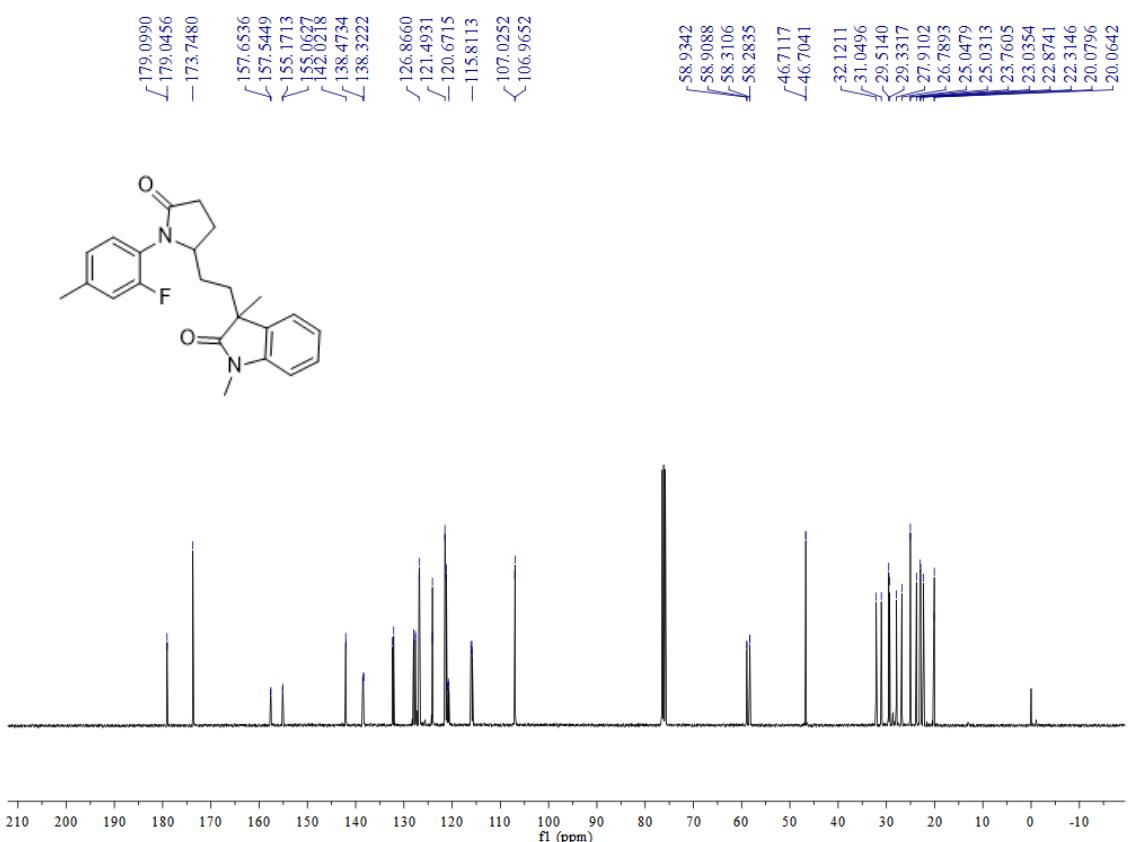


3-(2-(1-(2-fluoro-4-methylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ma)

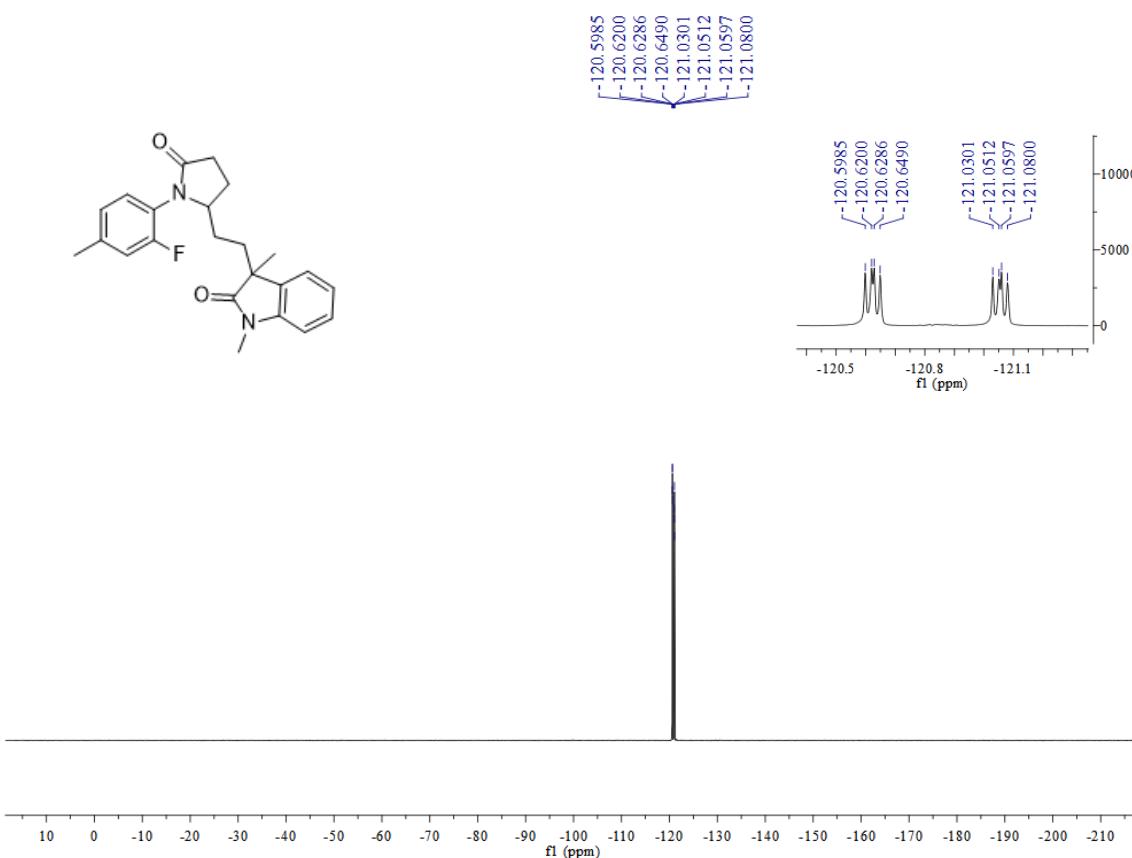
¹H NMR (400 MHz, CDCl₃)



¹³C NMR (100 MHz, CDCl₃)

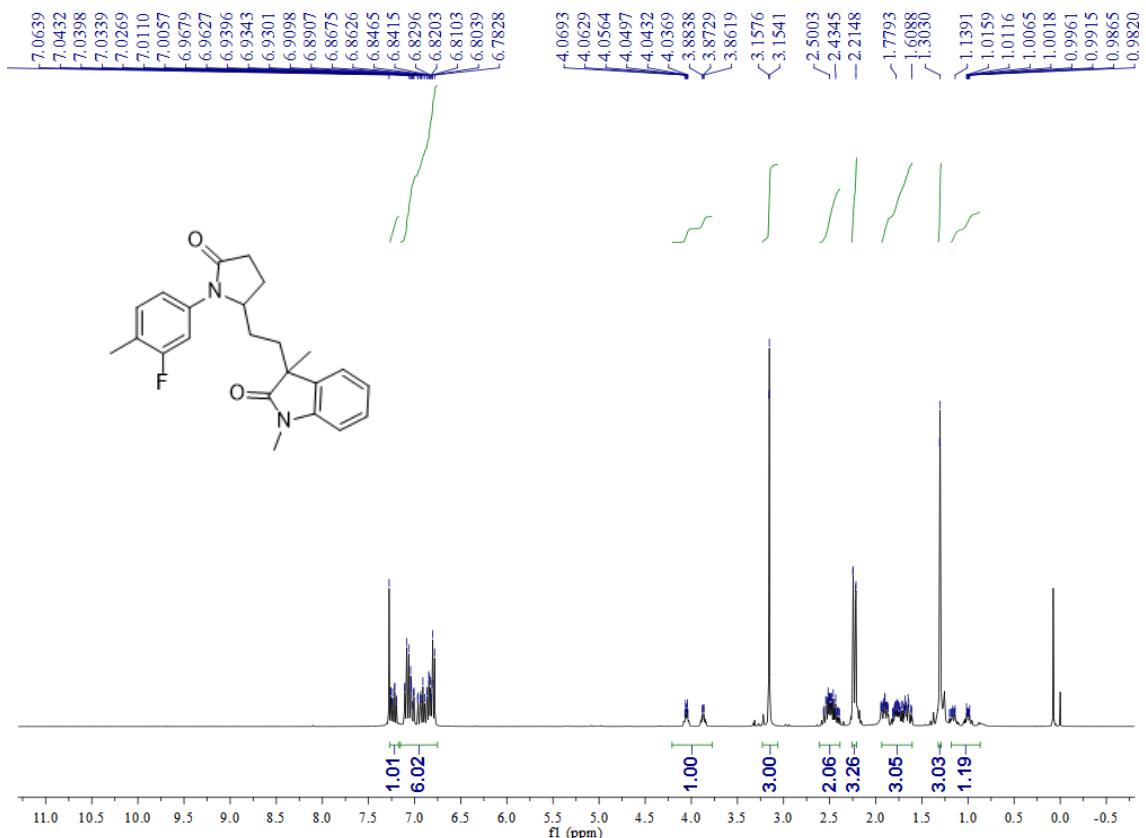


¹⁹F NMR (376 MHz, CDCl₃)

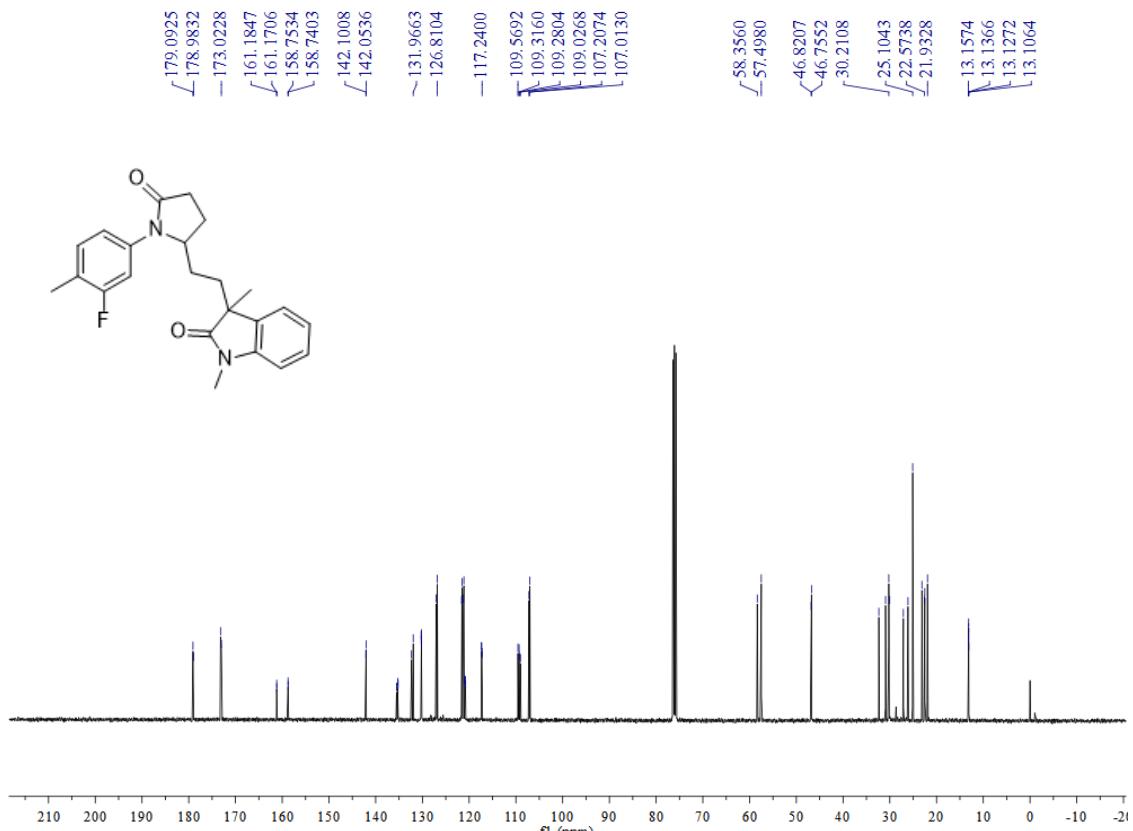


3-(2-(1-(3-fluoro-4-methylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3na)

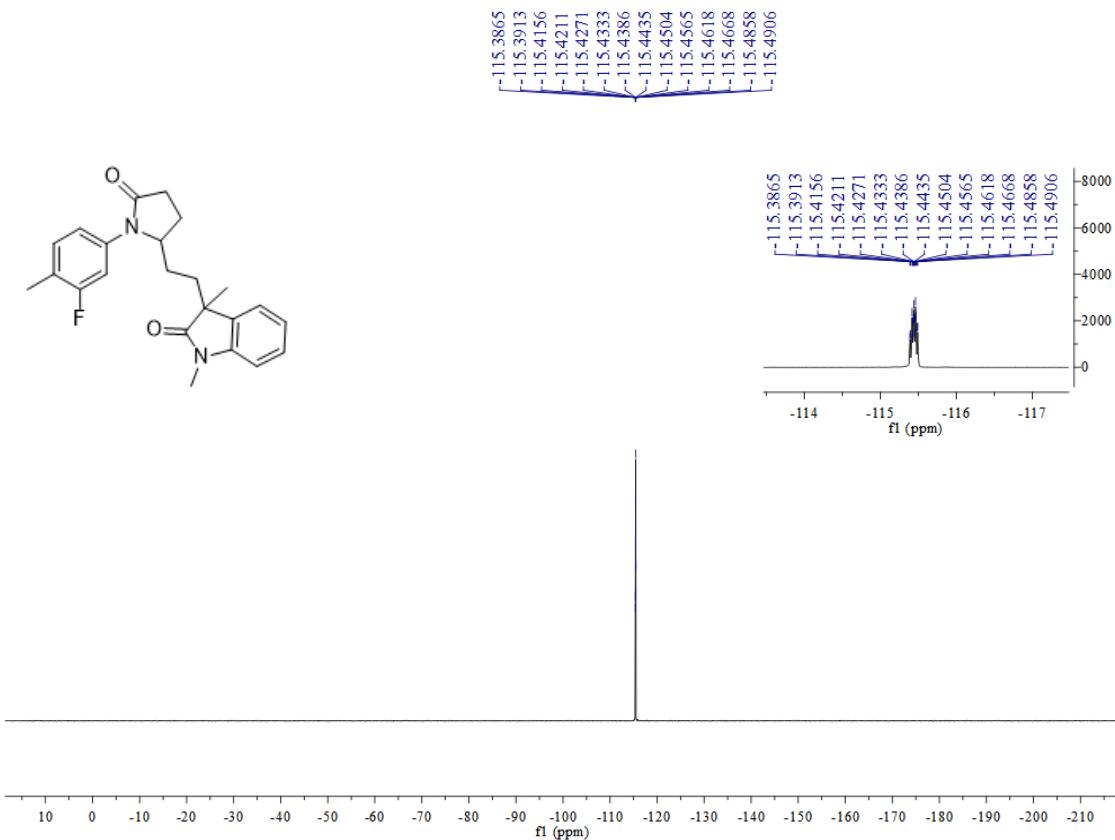
¹H NMR (400 MHz, CDCl₃)



¹³C NMR (100 MHz, CDCl₃)

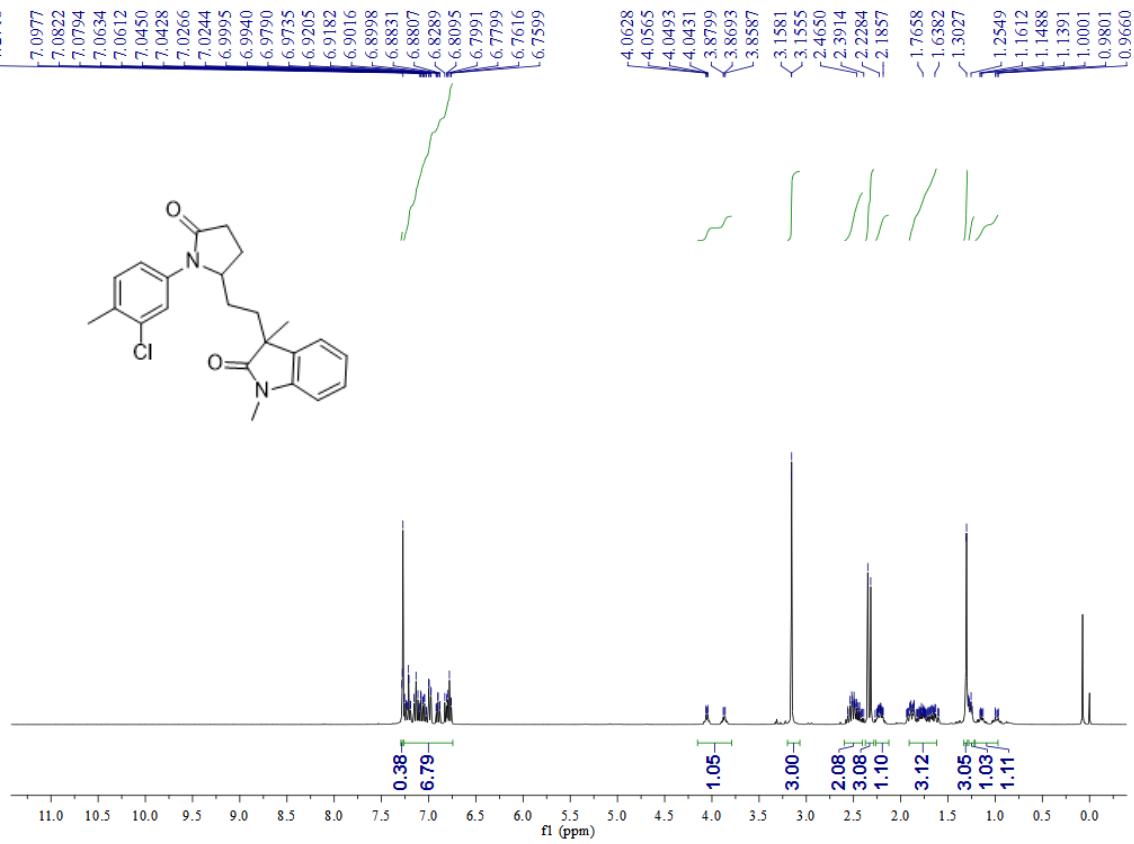


¹⁹F NMR (376 MHz, CDCl₃)

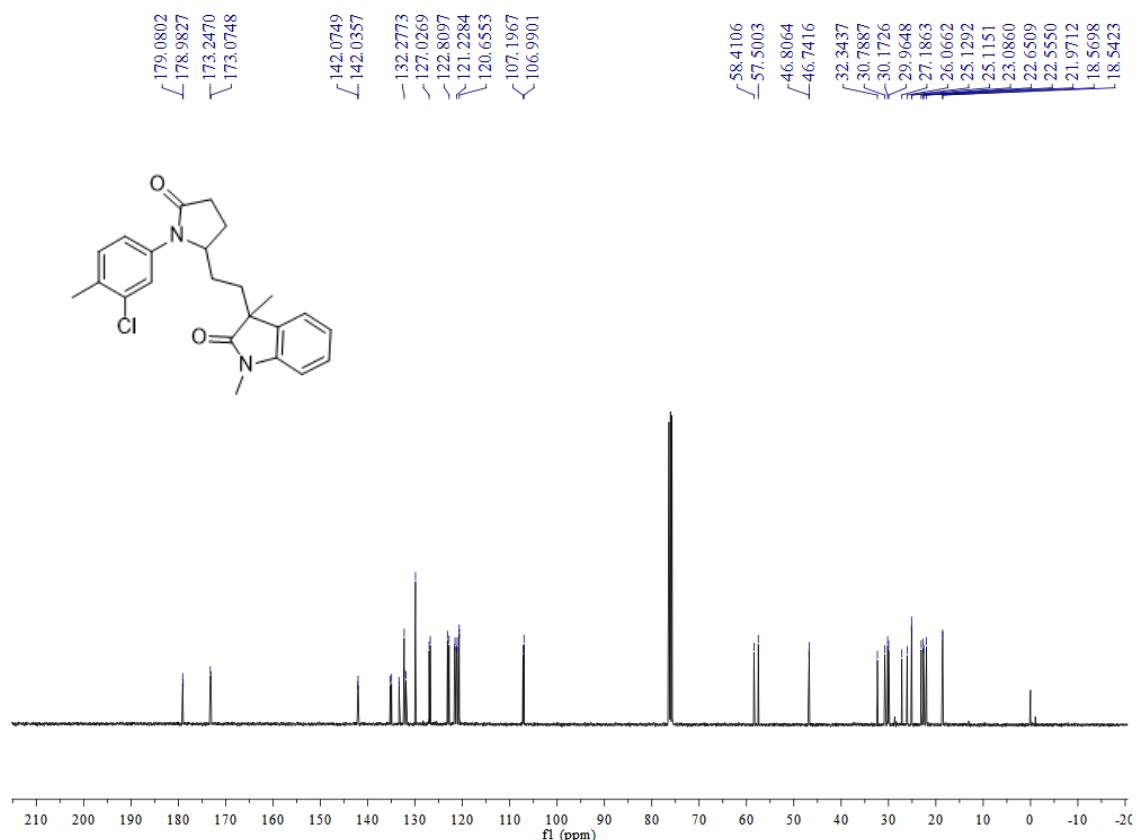


3-(2-(1-(3-chloro-4-methylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3oa)

¹H NMR (400 MHz, CDCl₃)

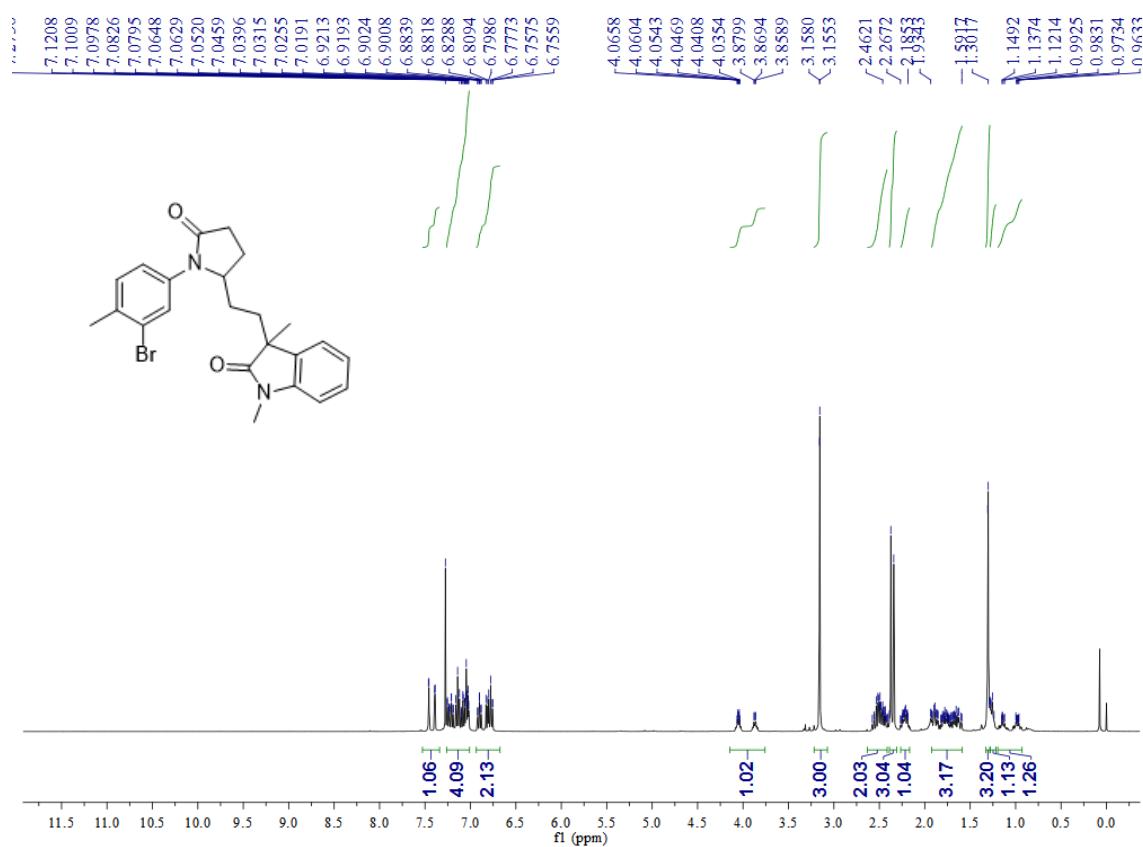


¹³C NMR (100 MHz, CDCl₃)

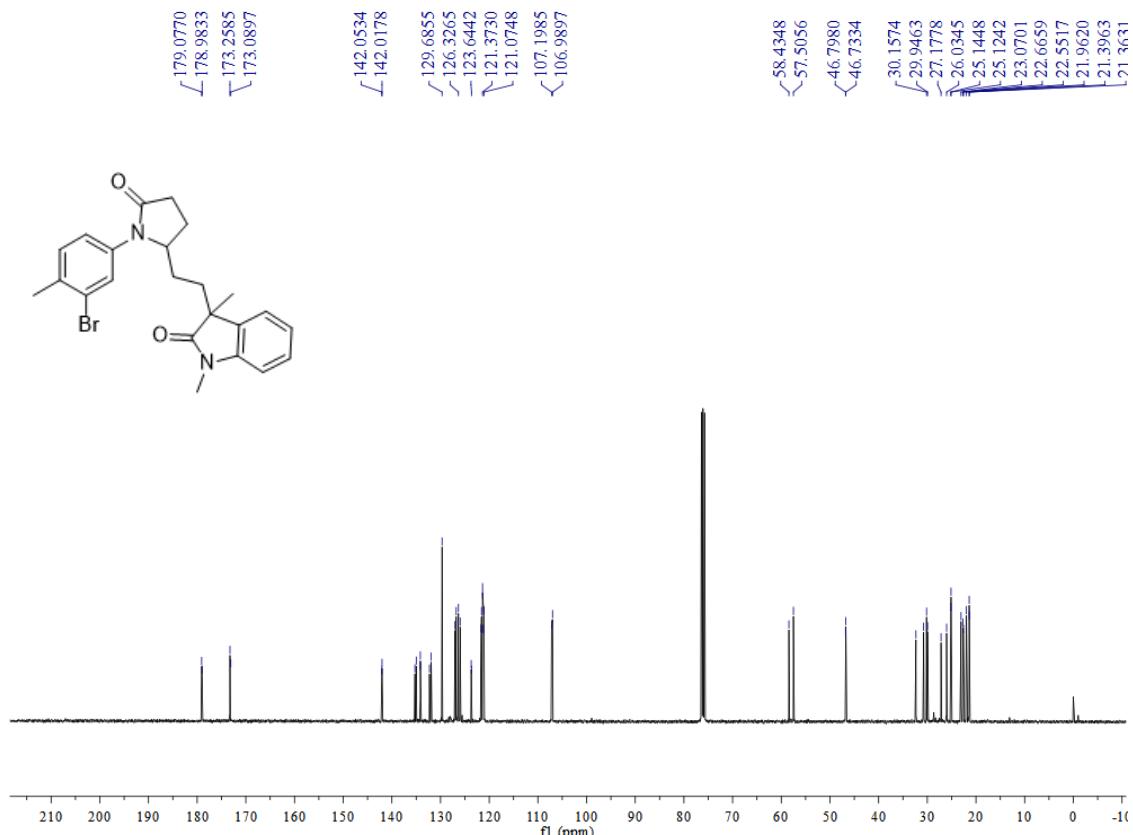


3-(2-(1-(3-bromo-4-methylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3pa)

¹H NMR (400 MHz, CDCl₃)

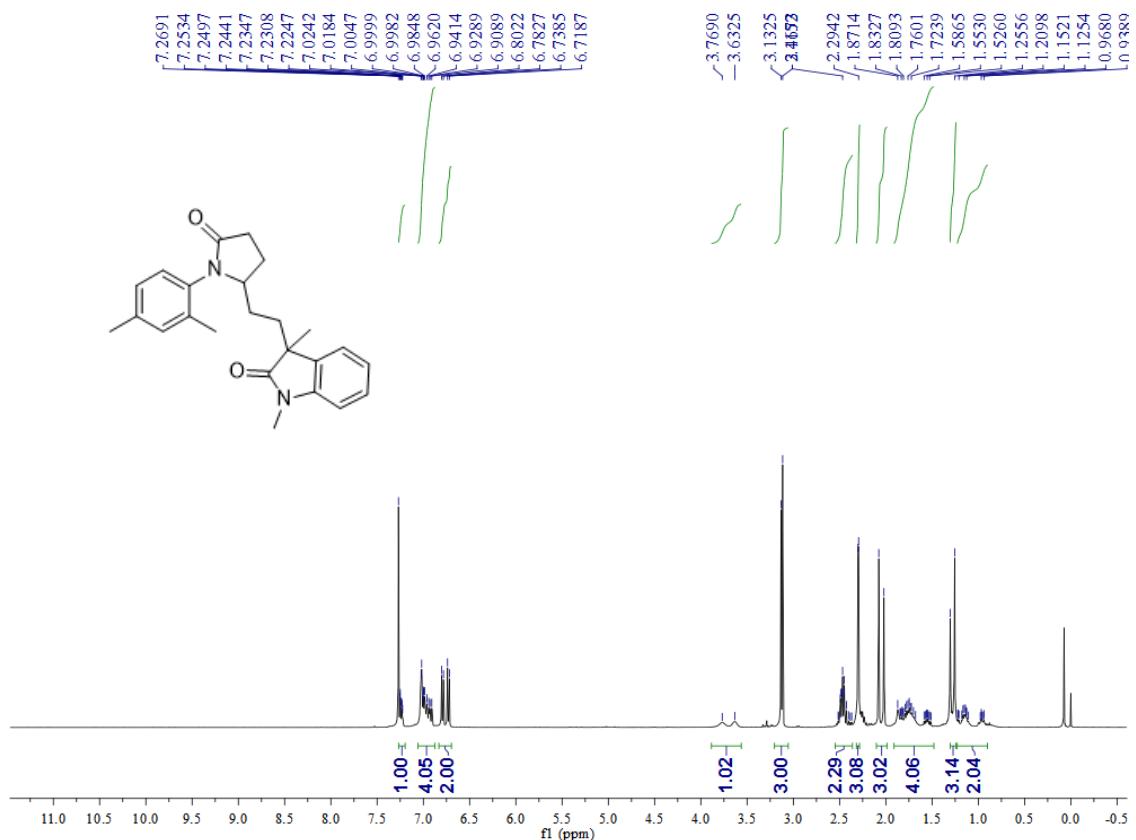


¹³C NMR (100 MHz, CDCl₃)

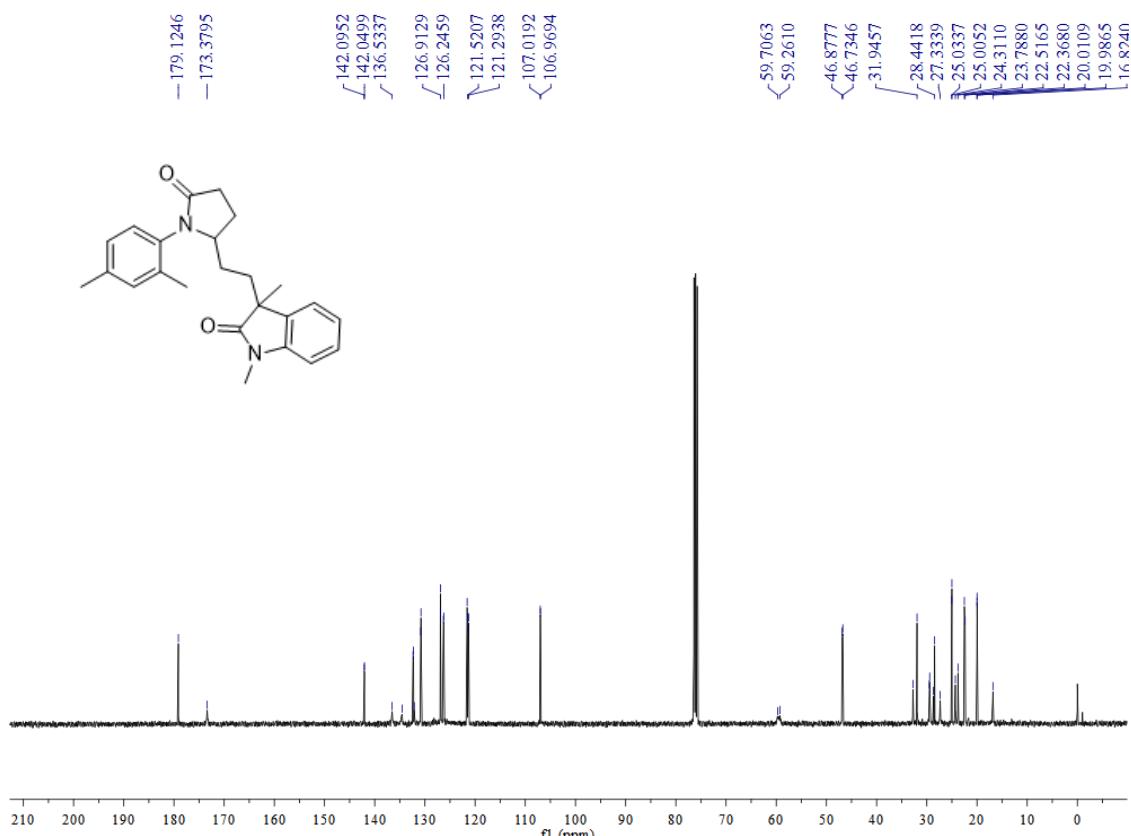


3-(2-(1-(2,4-dimethylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3qa)

¹H NMR (400 MHz, CDCl₃)

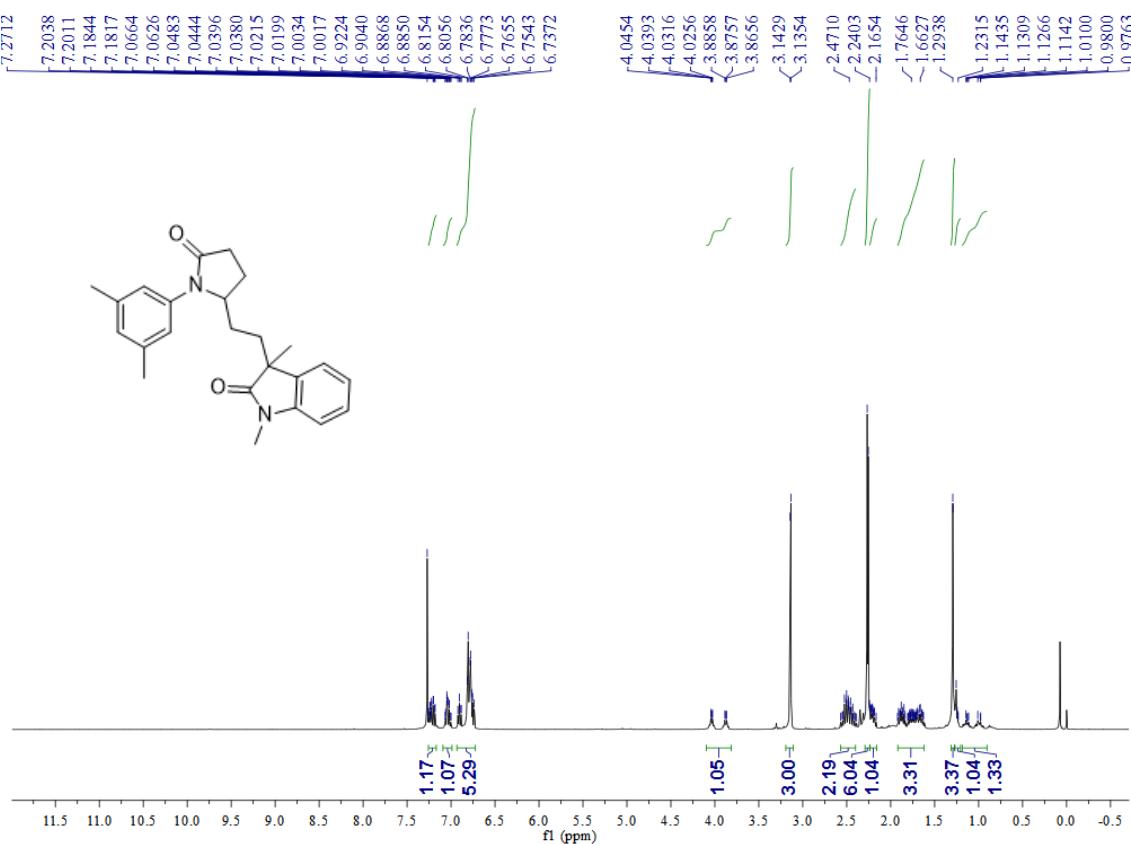


¹³C NMR (100 MHz, CDCl₃)

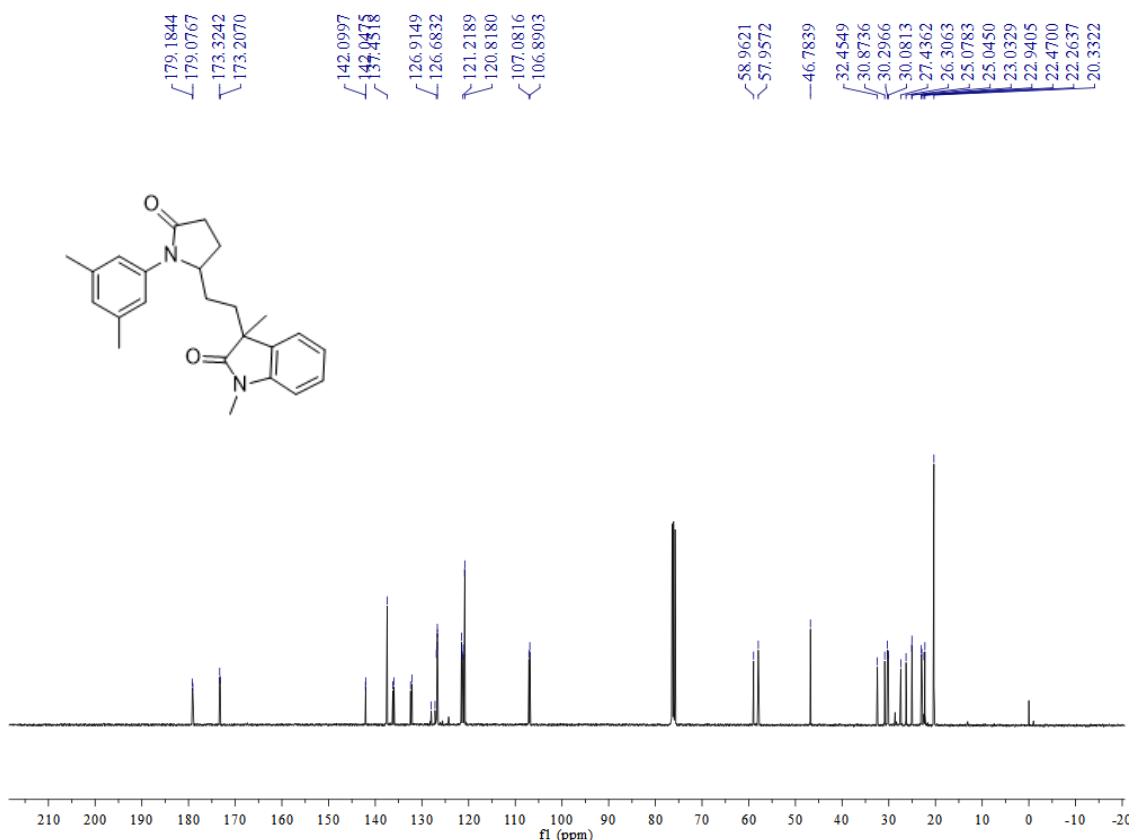


3-(2-(1-(3,5-dimethylphenyl)-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3ra)

¹H NMR (400 MHz, CDCl₃)

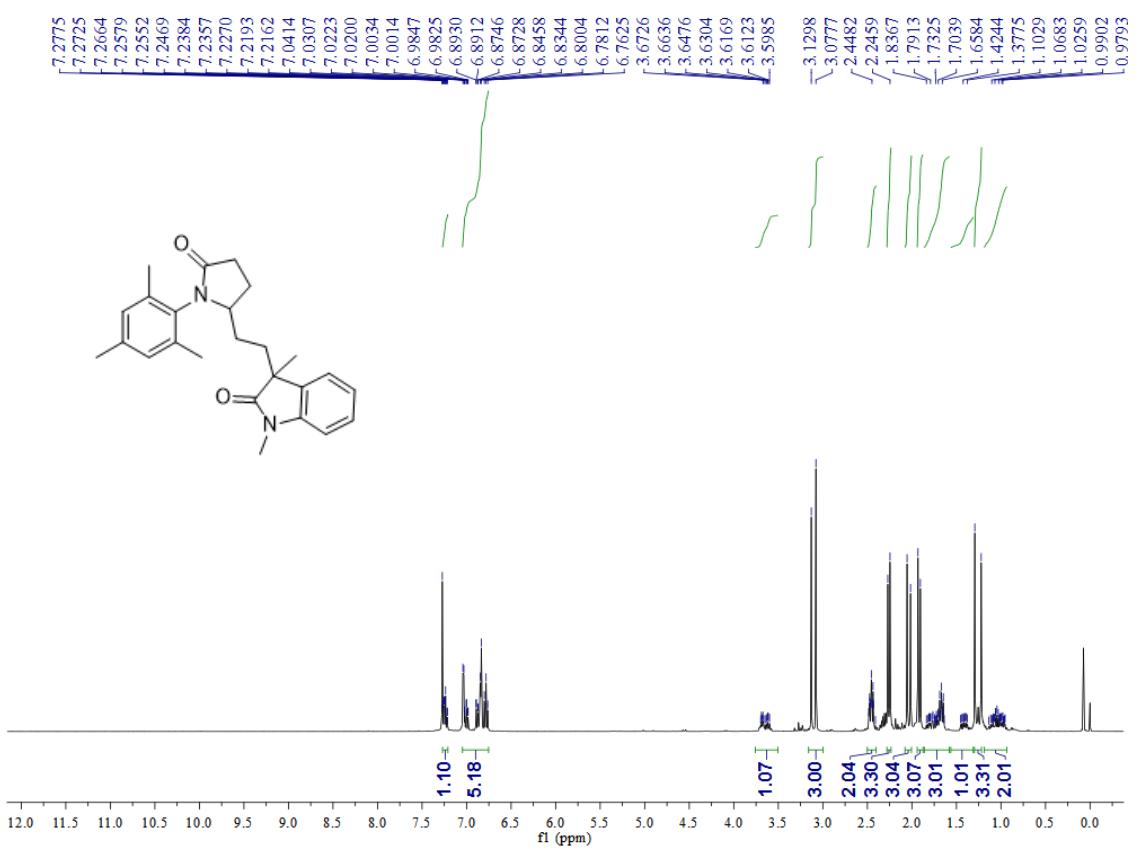


¹³C NMR (100 MHz, CDCl₃)

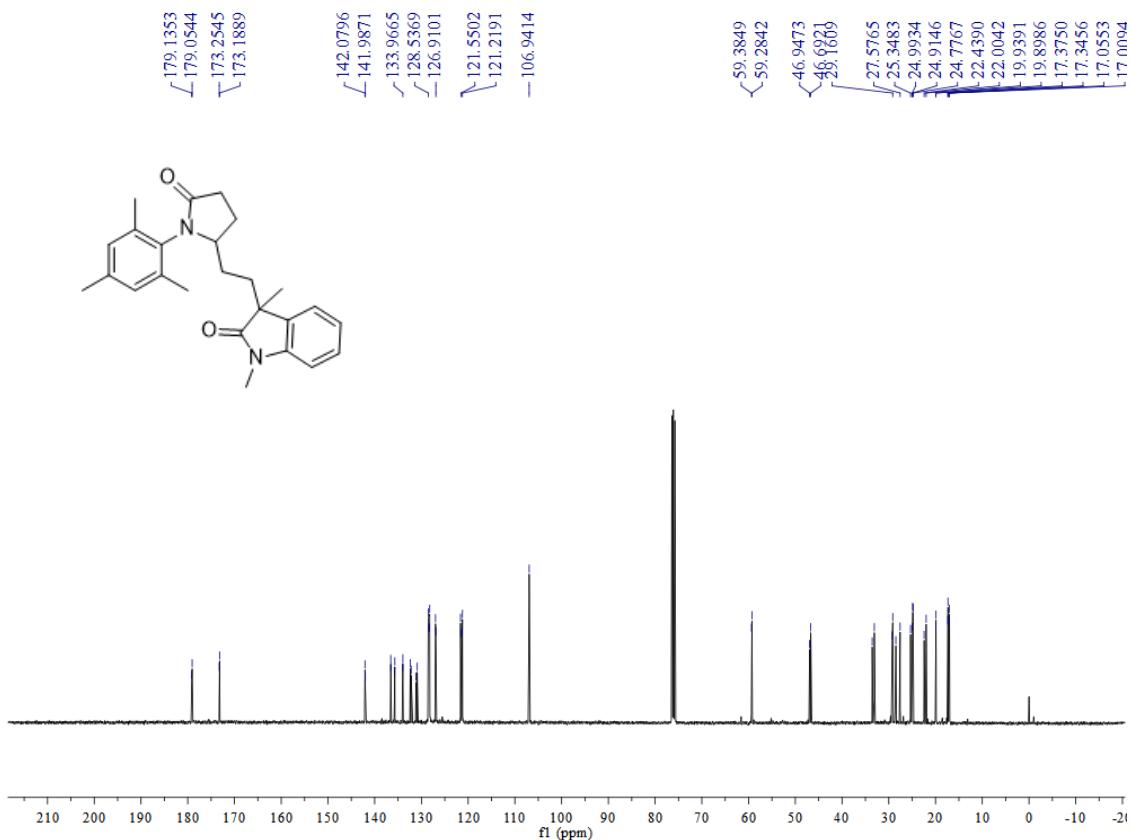


3-(2-(1-mesityl-5-oxopyrrolidin-2-yl)ethyl)-1,3-dimethylindolin-2-one (3sa)

¹H NMR (400 MHz, CDCl₃)



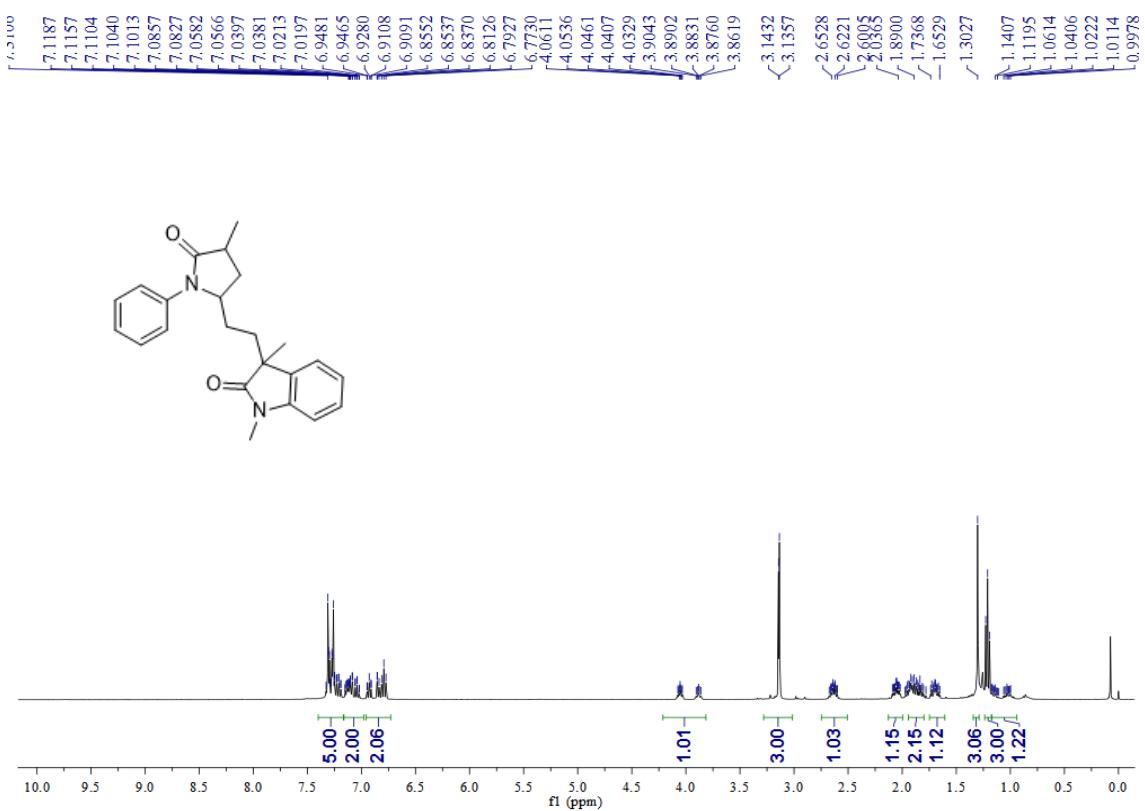
¹³C NMR (100 MHz, CDCl₃)



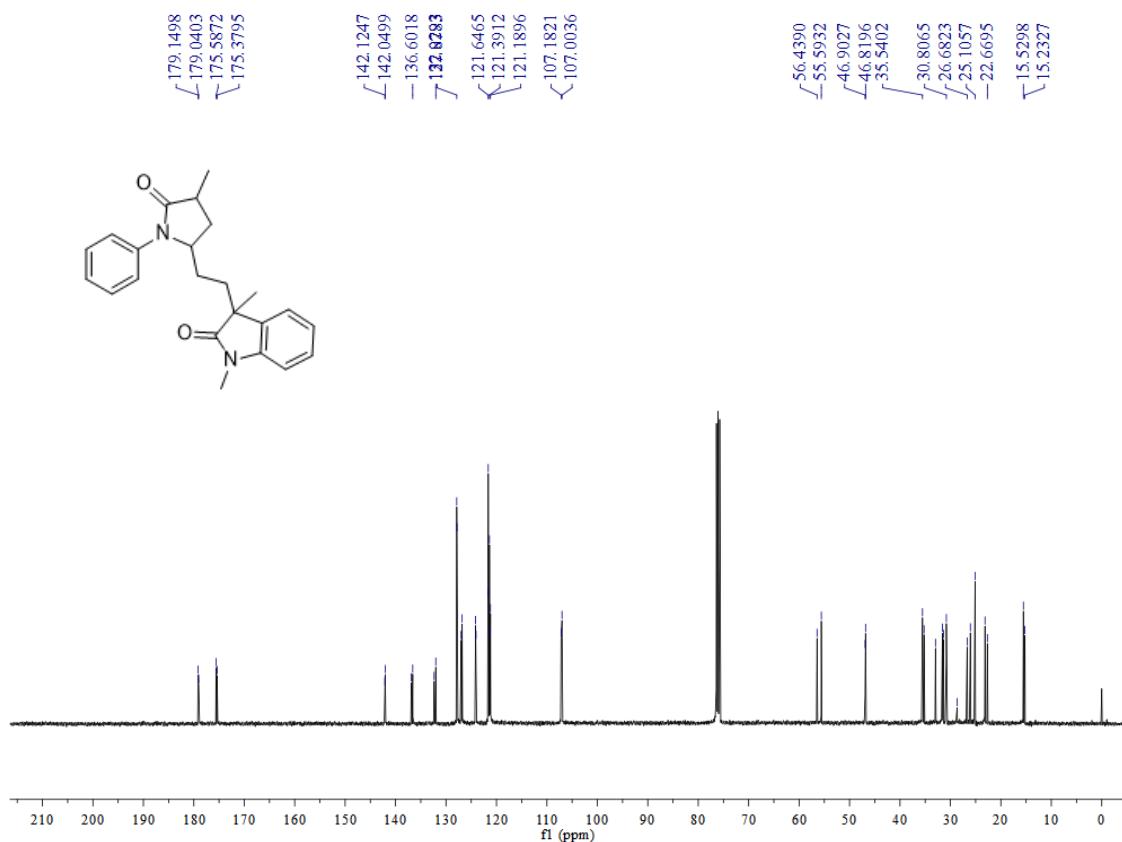
1,3-dimethyl-3-(2-(4-methyl-5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3ta)

First diasteromer mixture

¹H NMR of first diastereomer mixture of 3ta (400 MHz, CDCl₃)

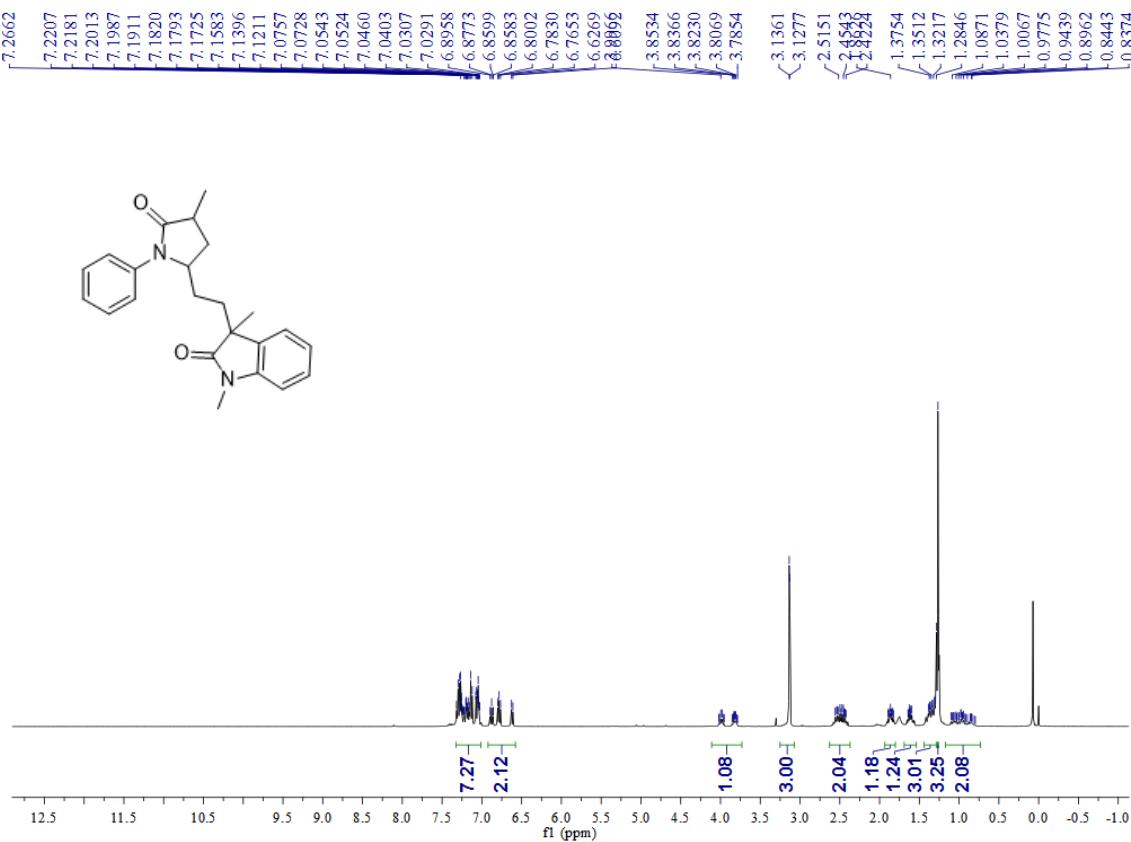


¹³C NMR of first diastereomer mixture of 3ta (100 MHz, CDCl₃)

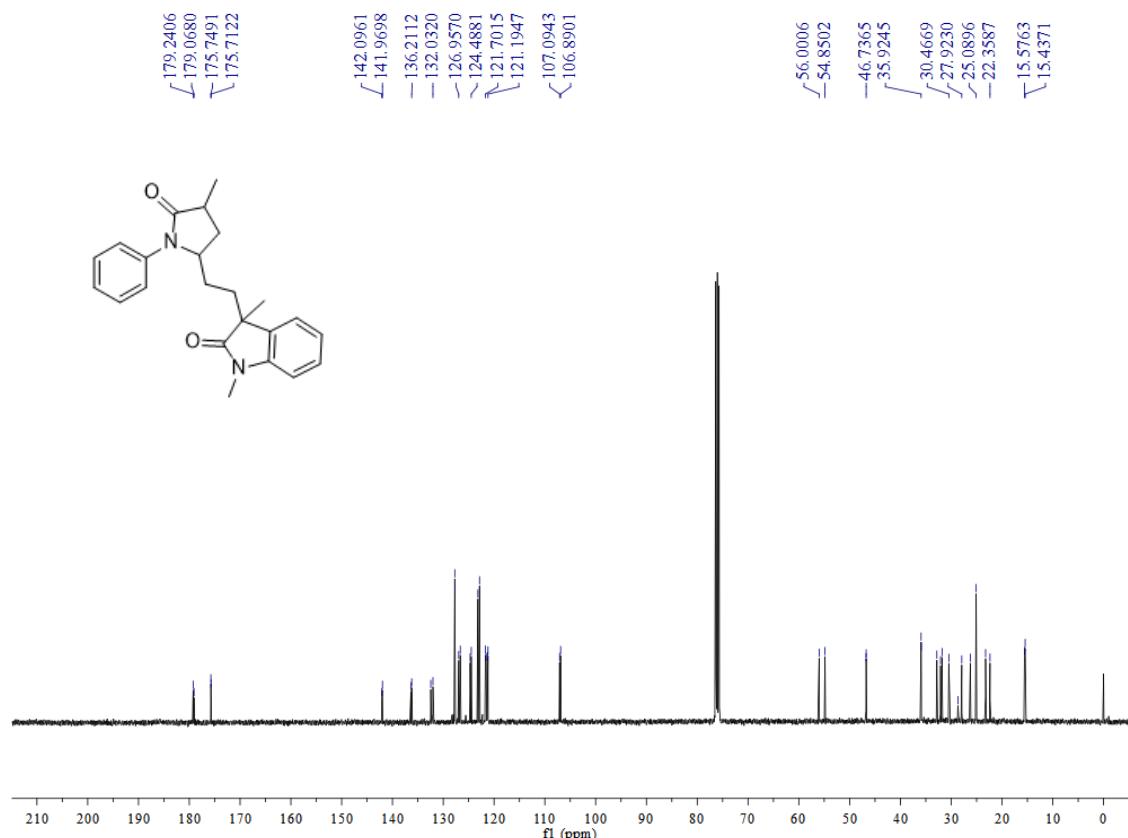


Second diasteromer mixture

¹H NMR of second diastereomer mixture of 3ta (400 MHz, CDCl₃)

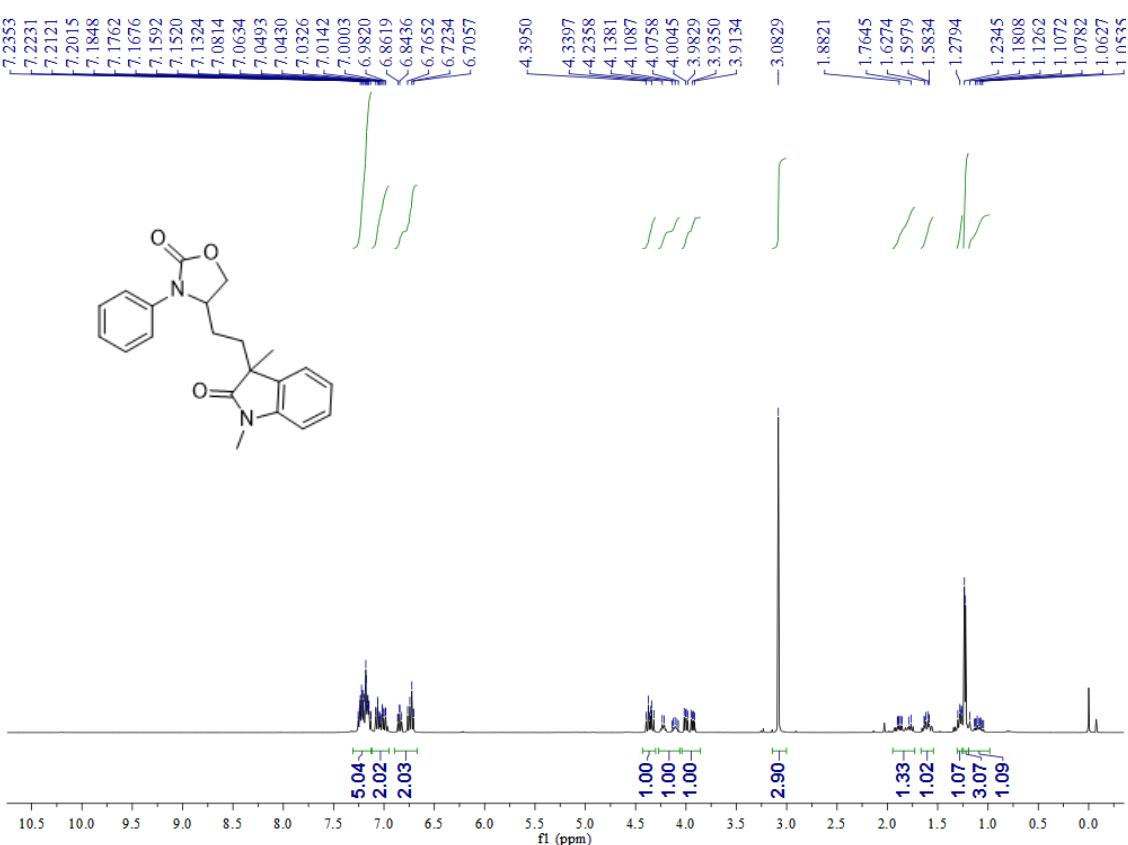


¹³C NMR of second diastereomer mixture of 3ta (400 MHz, CDCl₃)

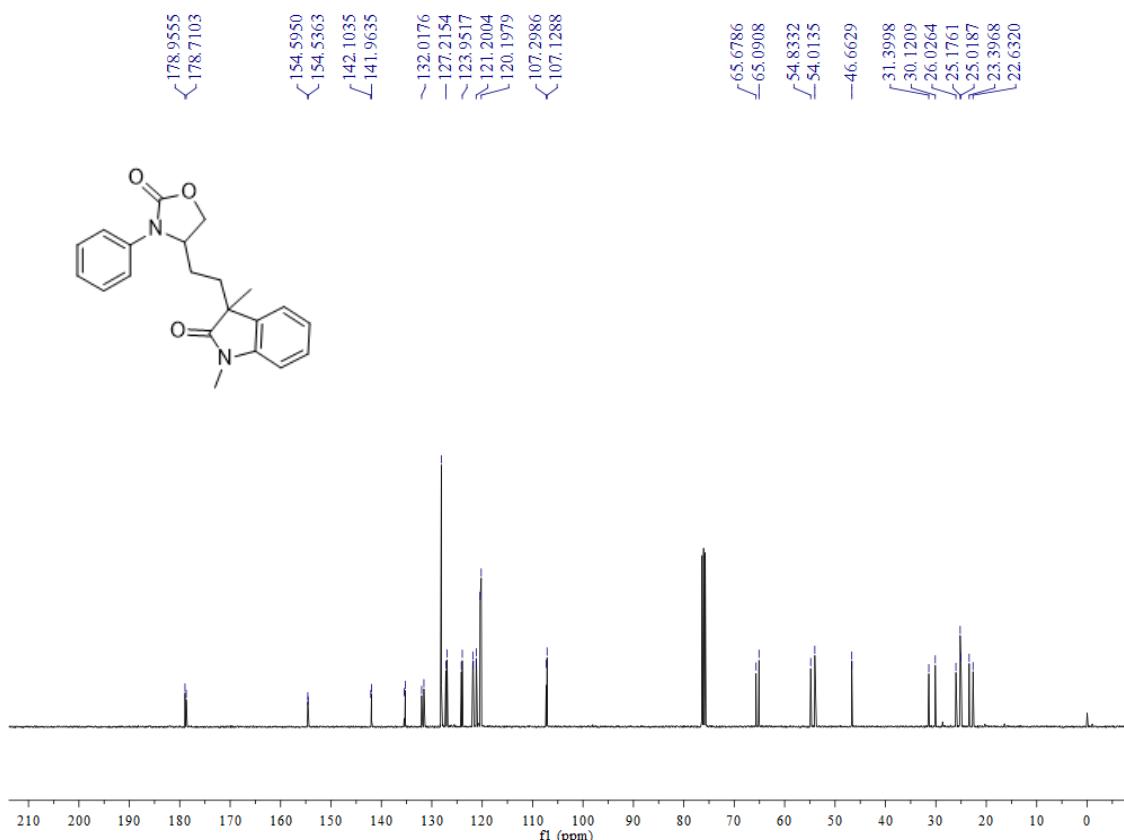


4-(2-(1,3-dimethyl-2-oxoindolin-3-yl)ethyl)-3-phenyloxazolidin-2-one (3ua)

¹H NMR (400 MHz, CDCl₃)

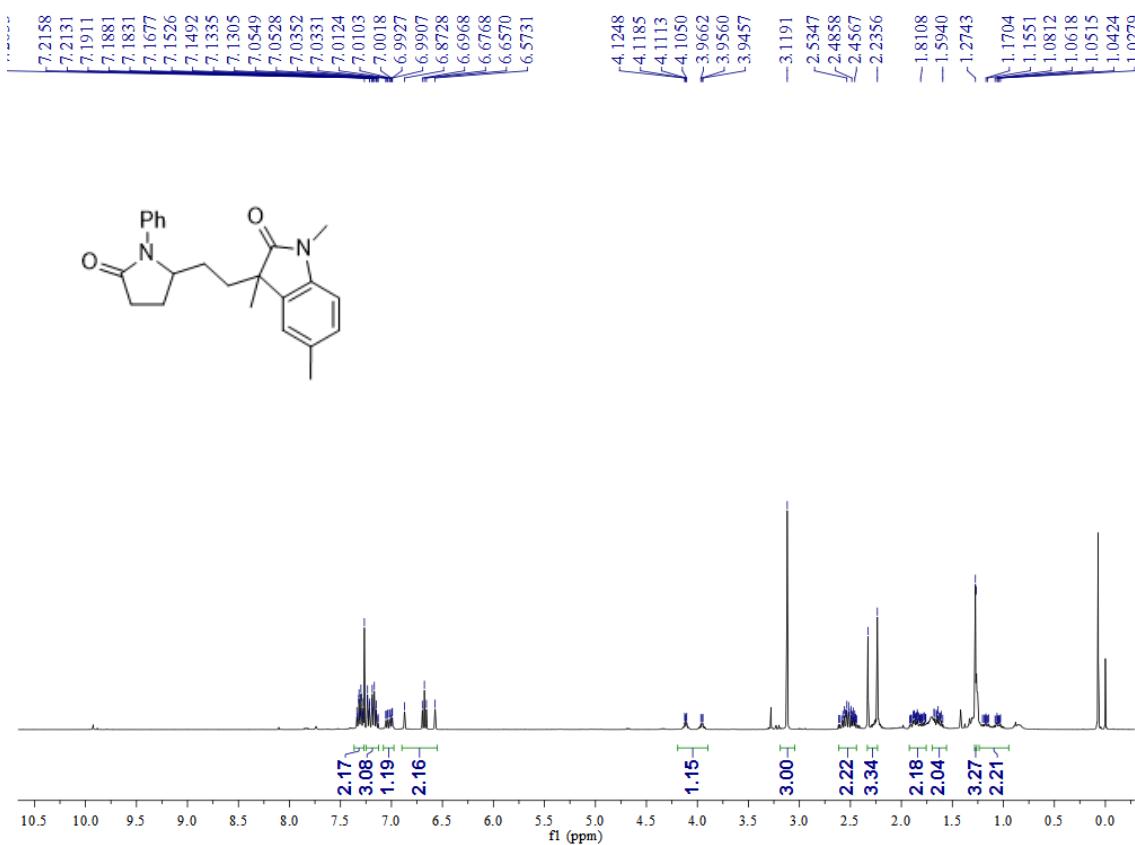


¹³C NMR (100 MHz, CDCl₃)

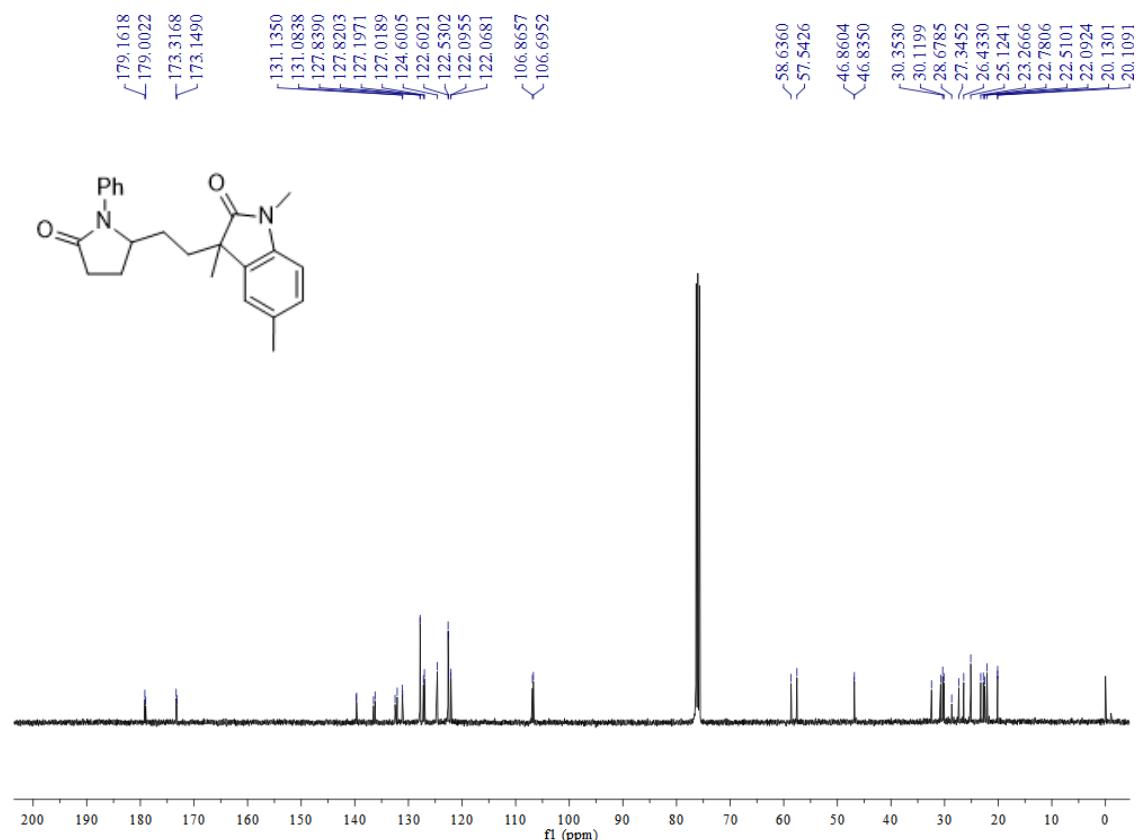


1,3,5-trimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3ab)

¹H NMR (400 MHz, CDCl₃)

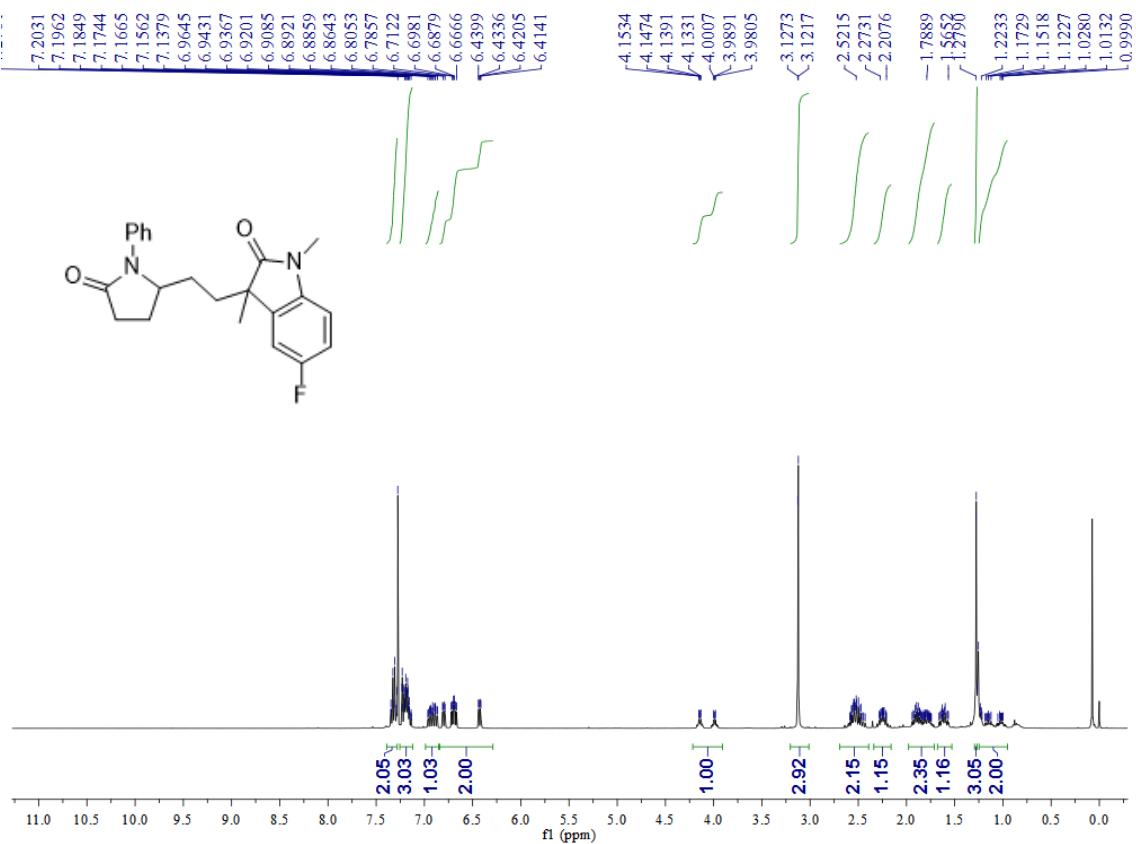


¹³C NMR (100 MHz, CDCl₃)

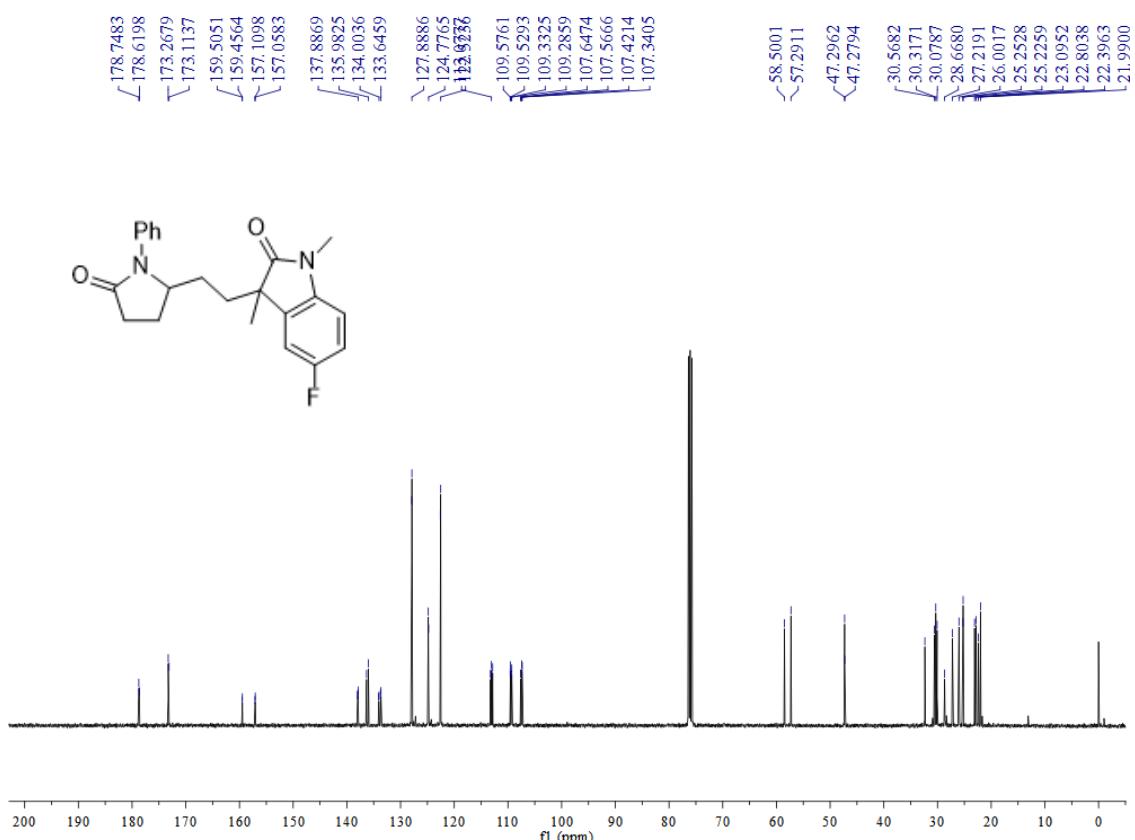


5-fluoro-1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3ac)

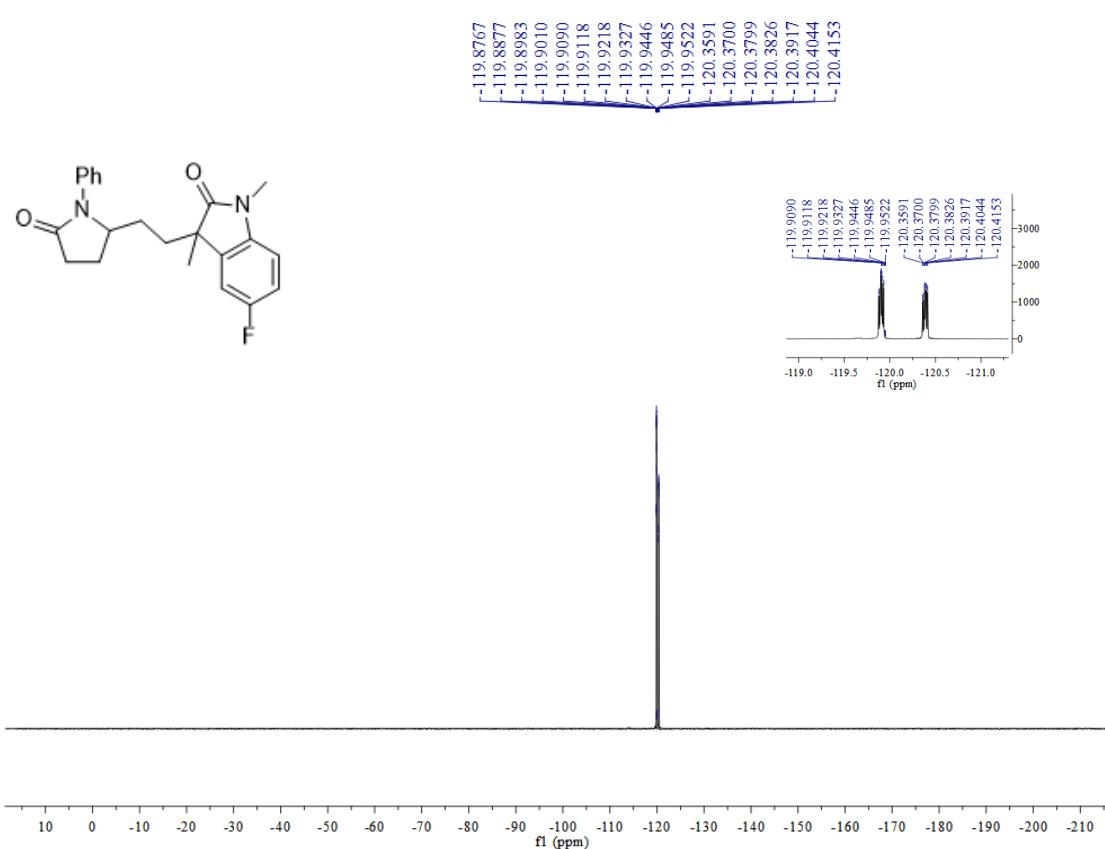
¹H NMR (400 MHz, CDCl₃)



¹³C NMR (100 MHz, CDCl₃)

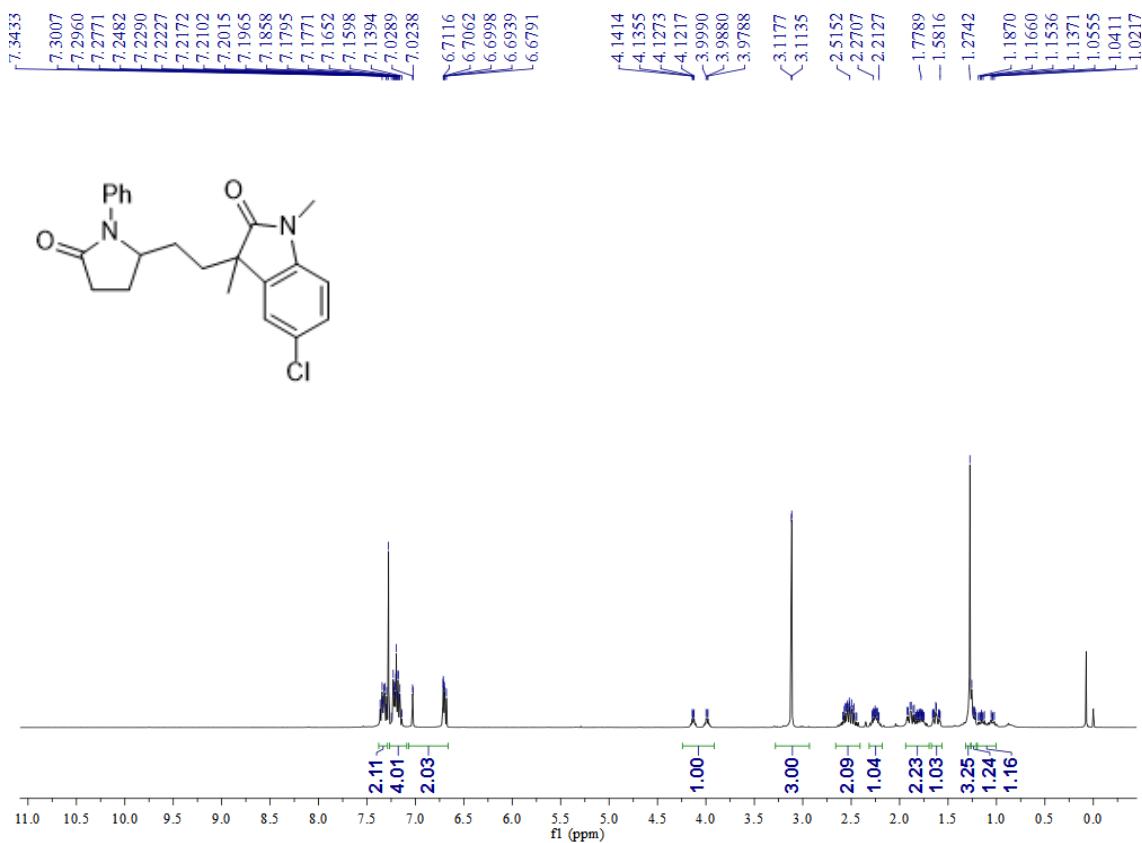


¹⁹F NMR (376 MHz, CDCl₃)

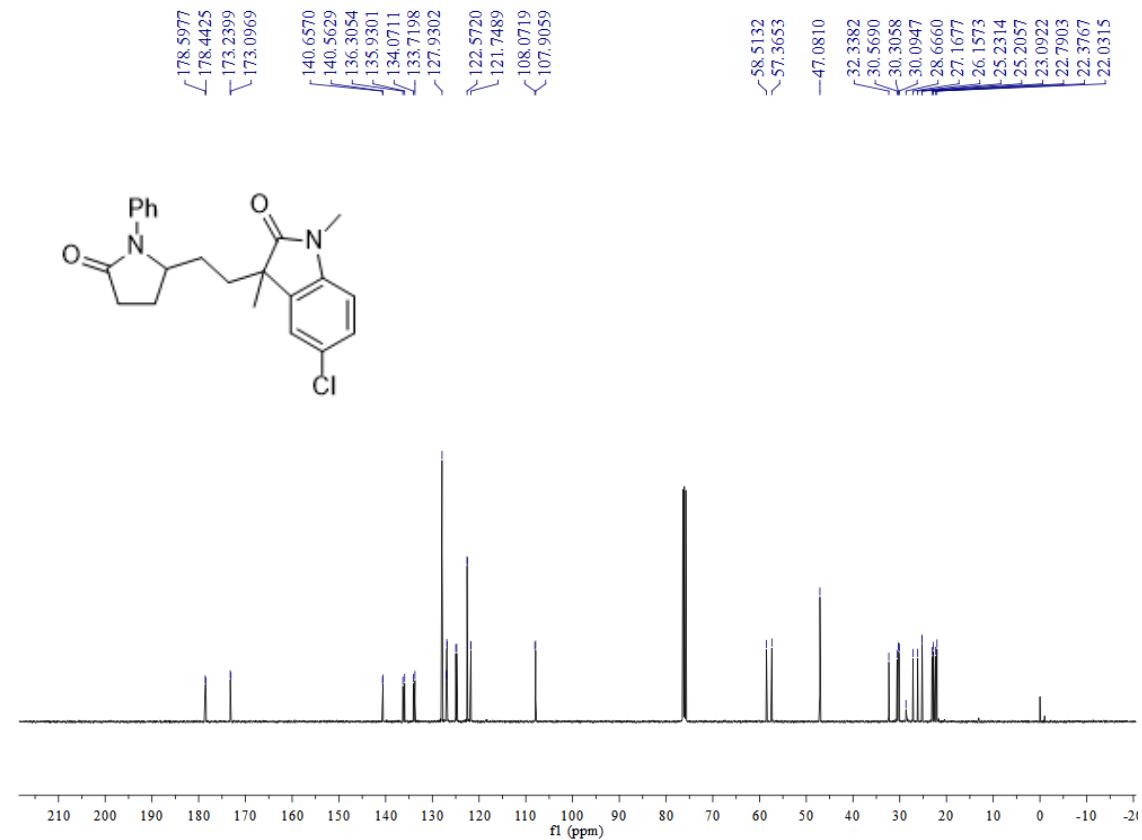


5-chloro-1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3ad)

¹H NMR (400 MHz, CDCl₃)

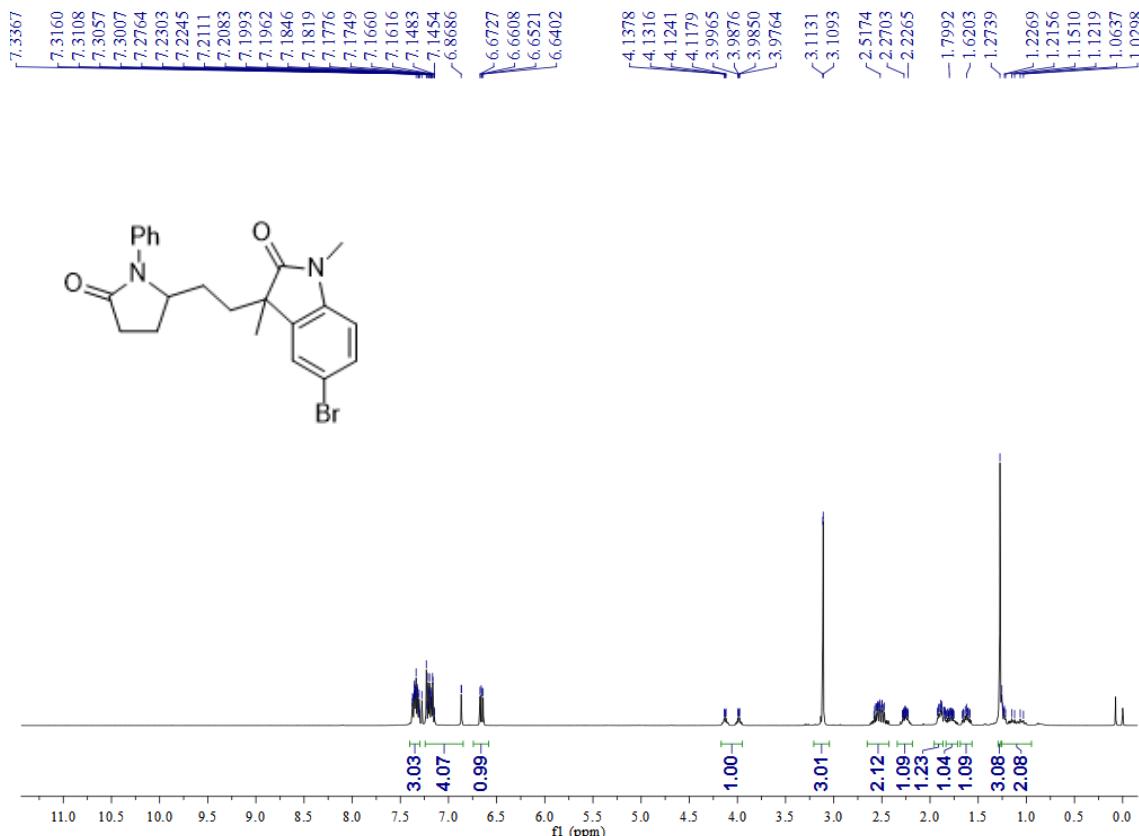


¹³C NMR (100 MHz, CDCl₃)

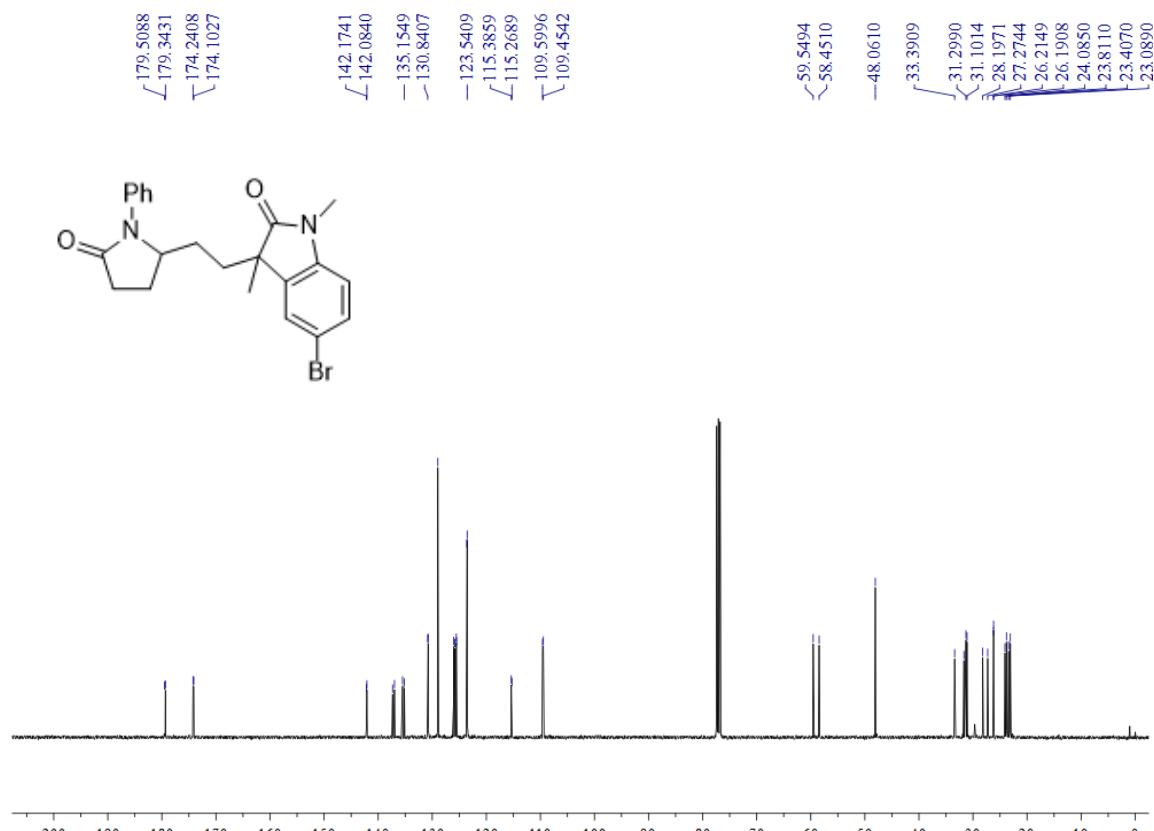


5-bromo-1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3ae)

¹H NMR (400 MHz, CDCl₃)

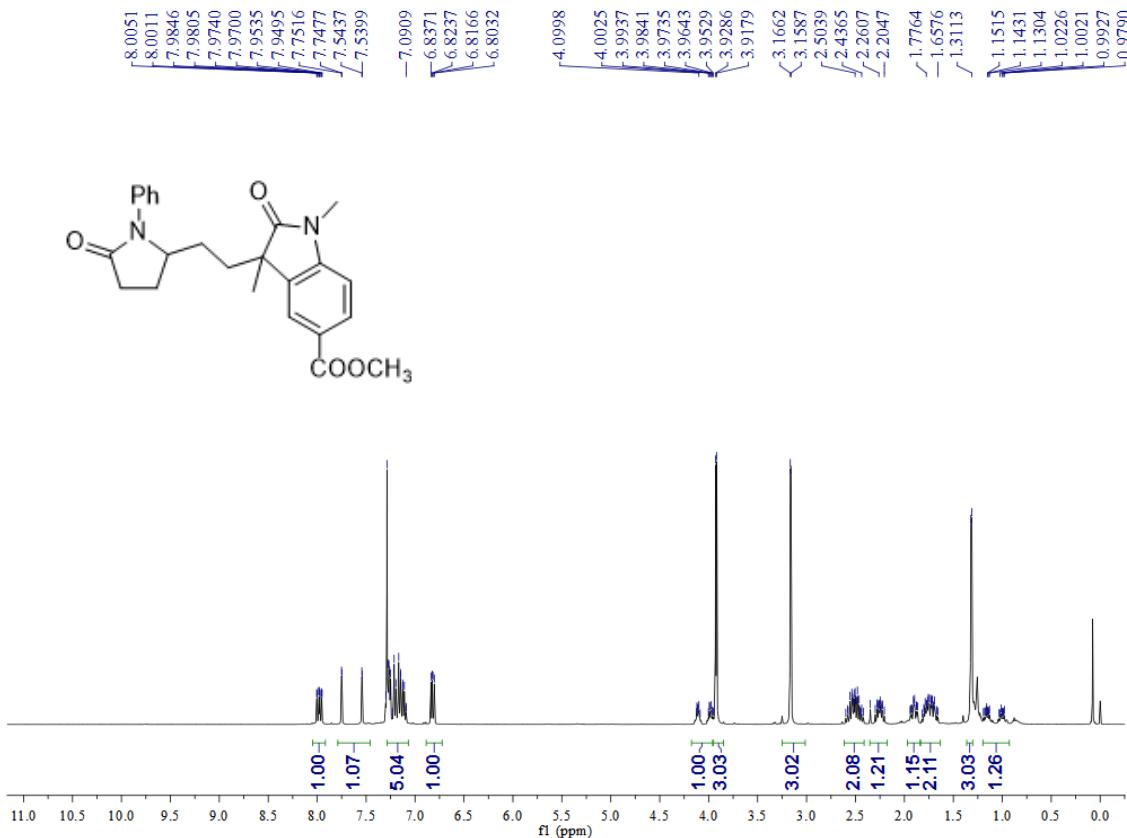


¹³C NMR (100 MHz, CDCl₃)

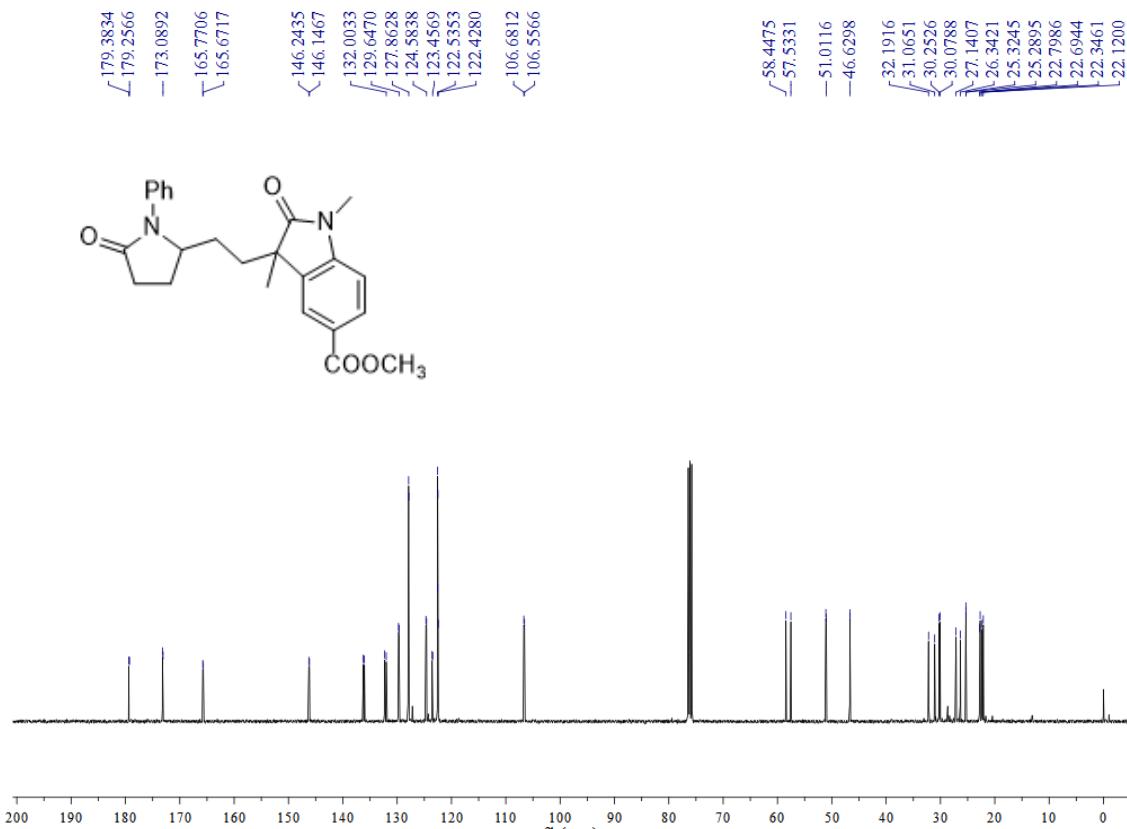


Methyl 1,3-dimethyl-2-oxo-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indoline-5-carboxylate (3af)

¹H NMR (400 MHz, CDCl₃)

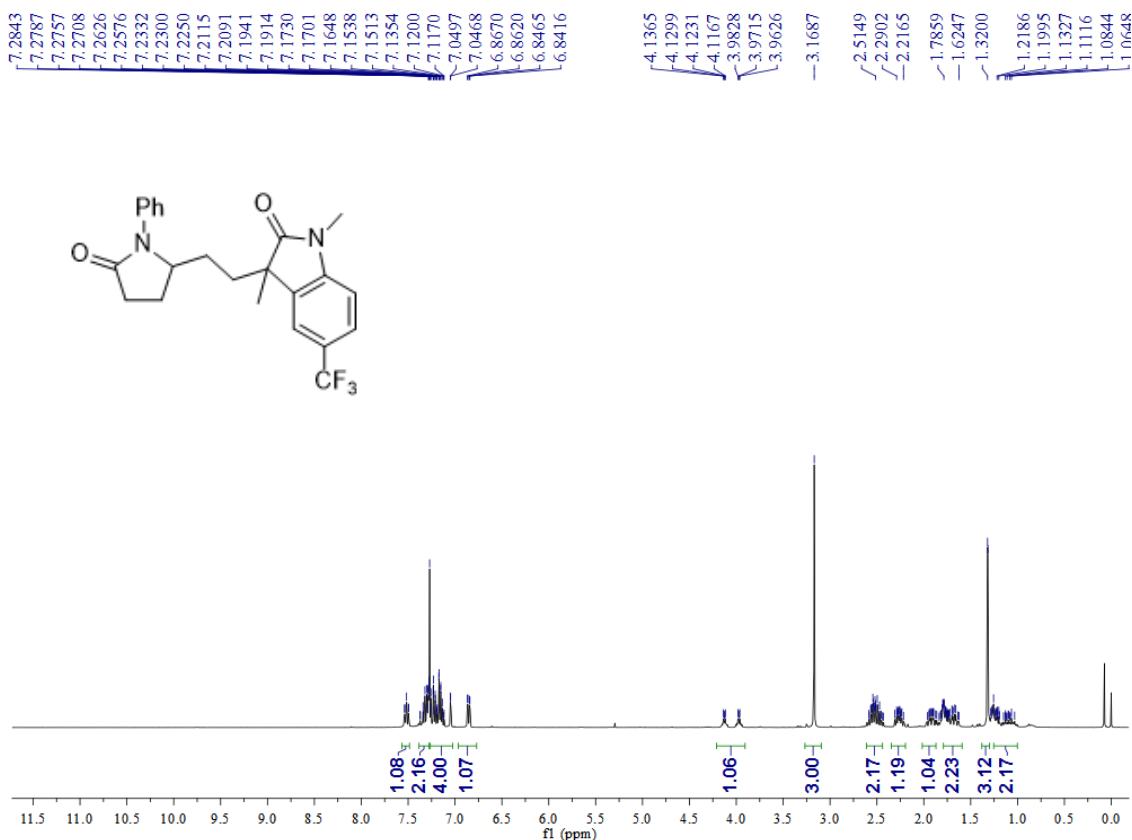


¹³C NMR (100 MHz, CDCl₃)

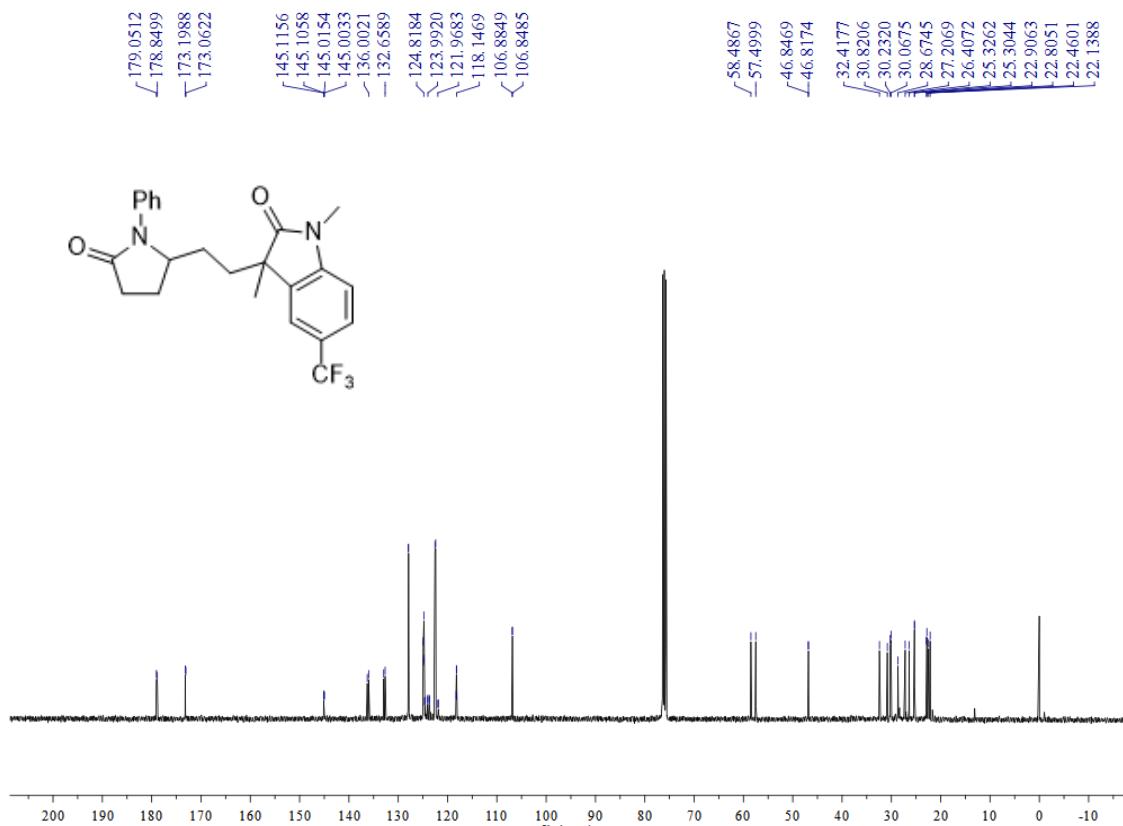


1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)-5-(trifluoromethyl)indolin-2-one (3ag)

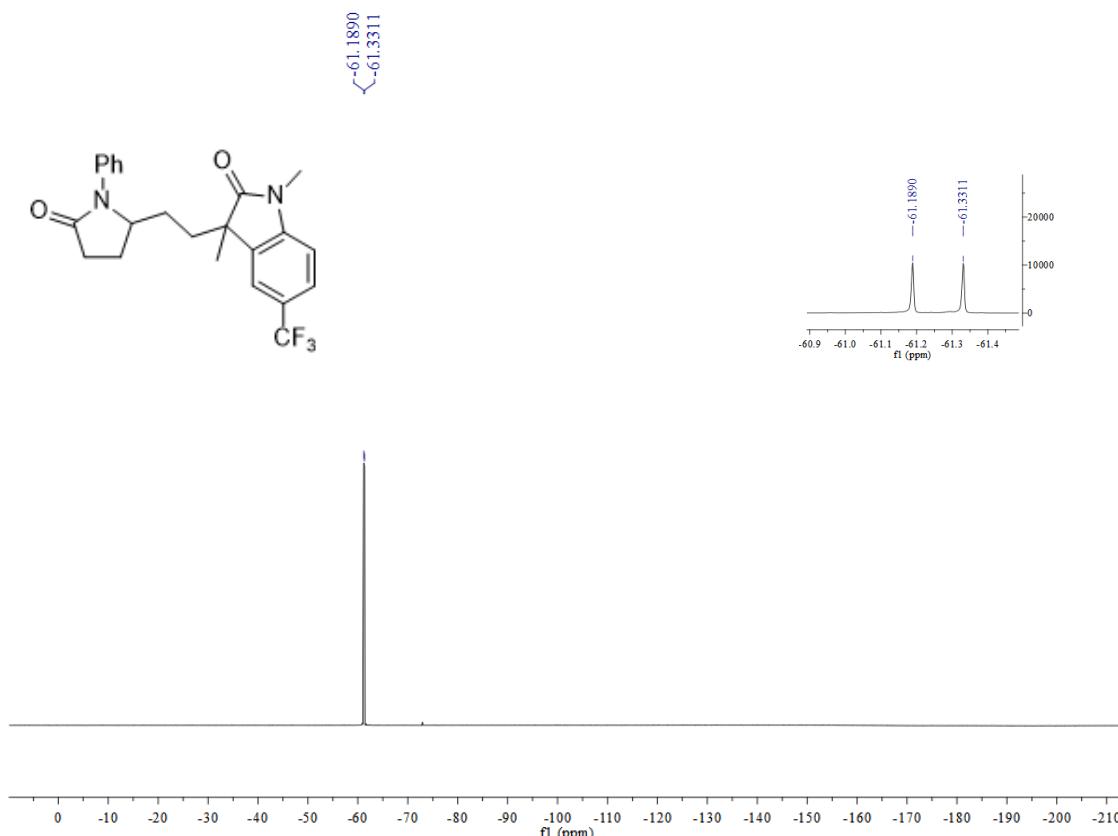
¹H NMR (400 MHz, CDCl₃)



¹³C NMR (100 MHz, CDCl₃)

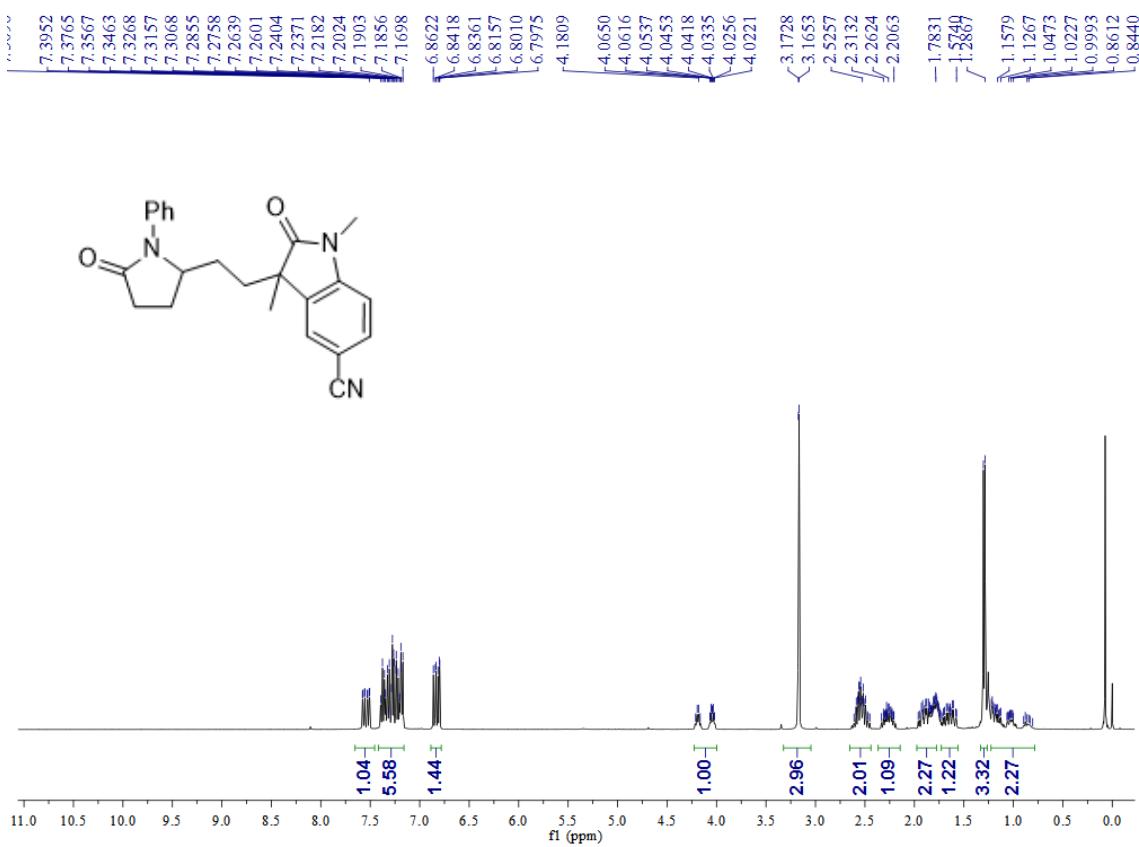


¹⁹F NMR (376 MHz, CDCl₃)

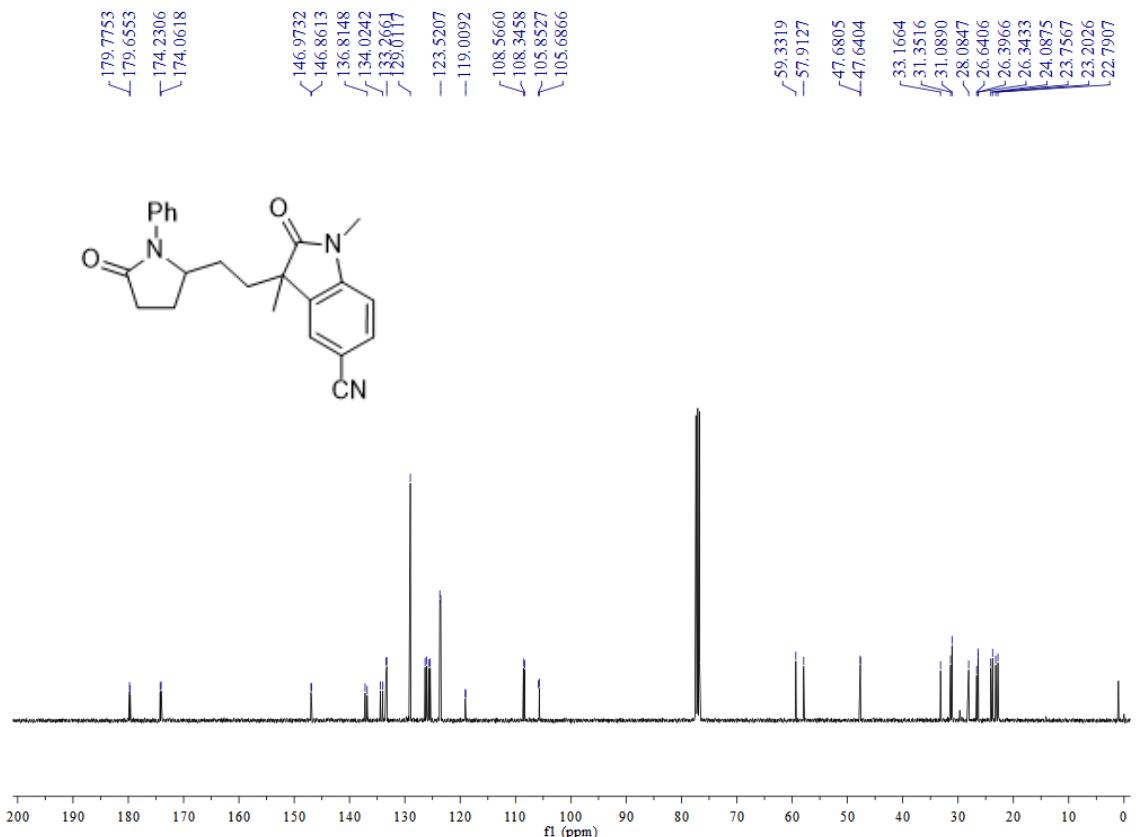


1,3-dimethyl-2-oxo-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indoline-5-carbonitrile (3ah)

¹H NMR (400 MHz, CDCl₃)

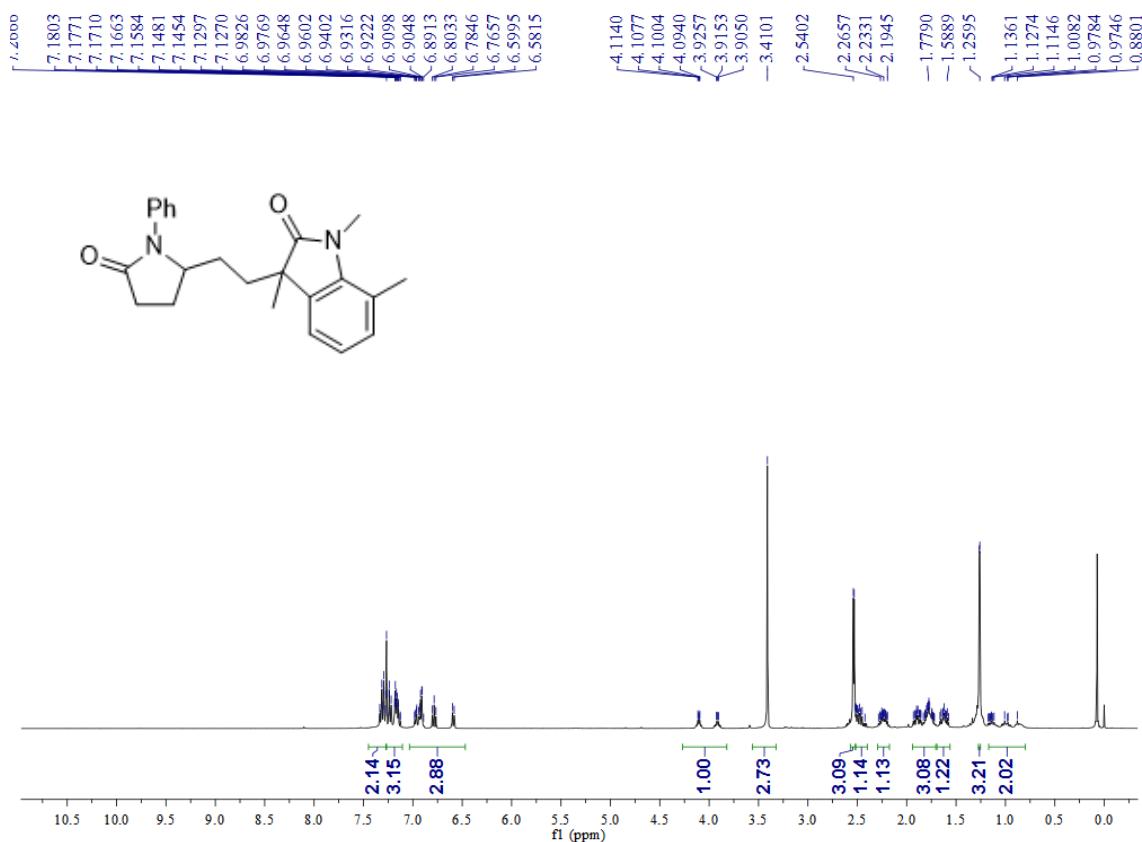


¹³C NMR (100 MHz, CDCl₃)

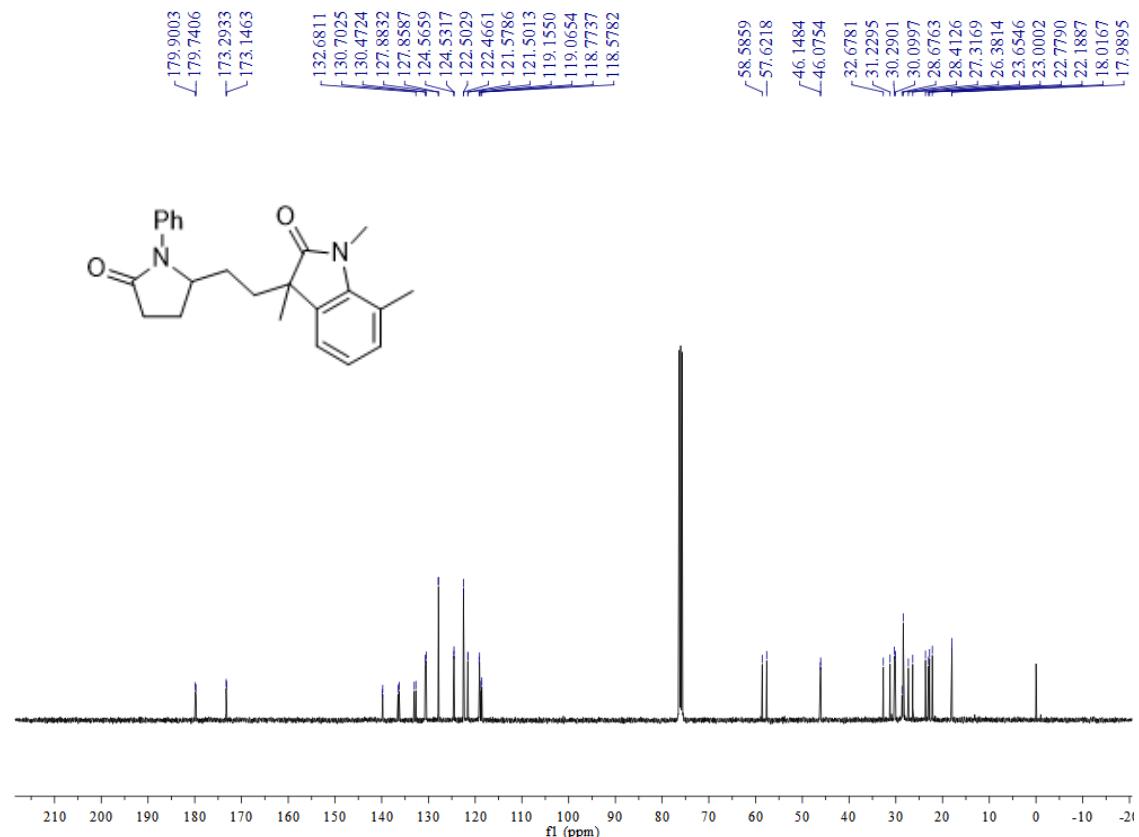


1,3,7-trimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3ai)

¹H NMR (400 MHz, CDCl₃)

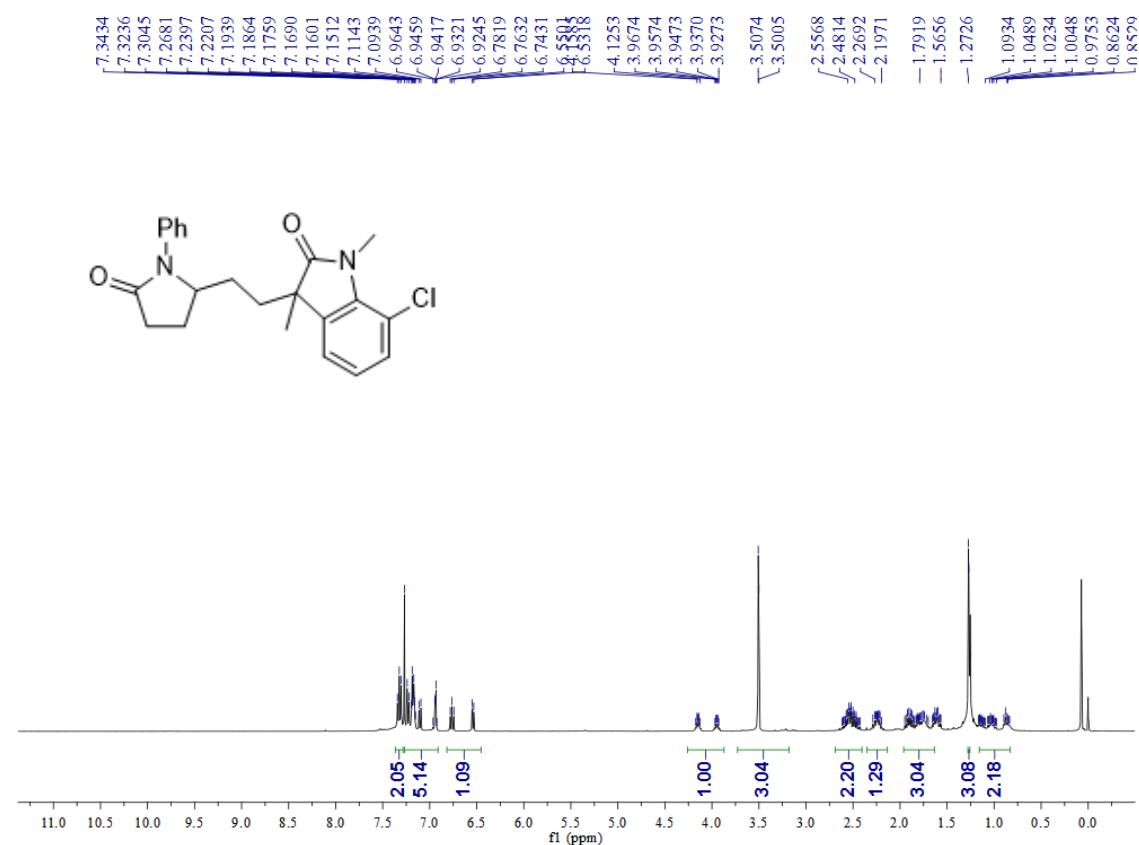


¹³C NMR (100 MHz, CDCl₃)

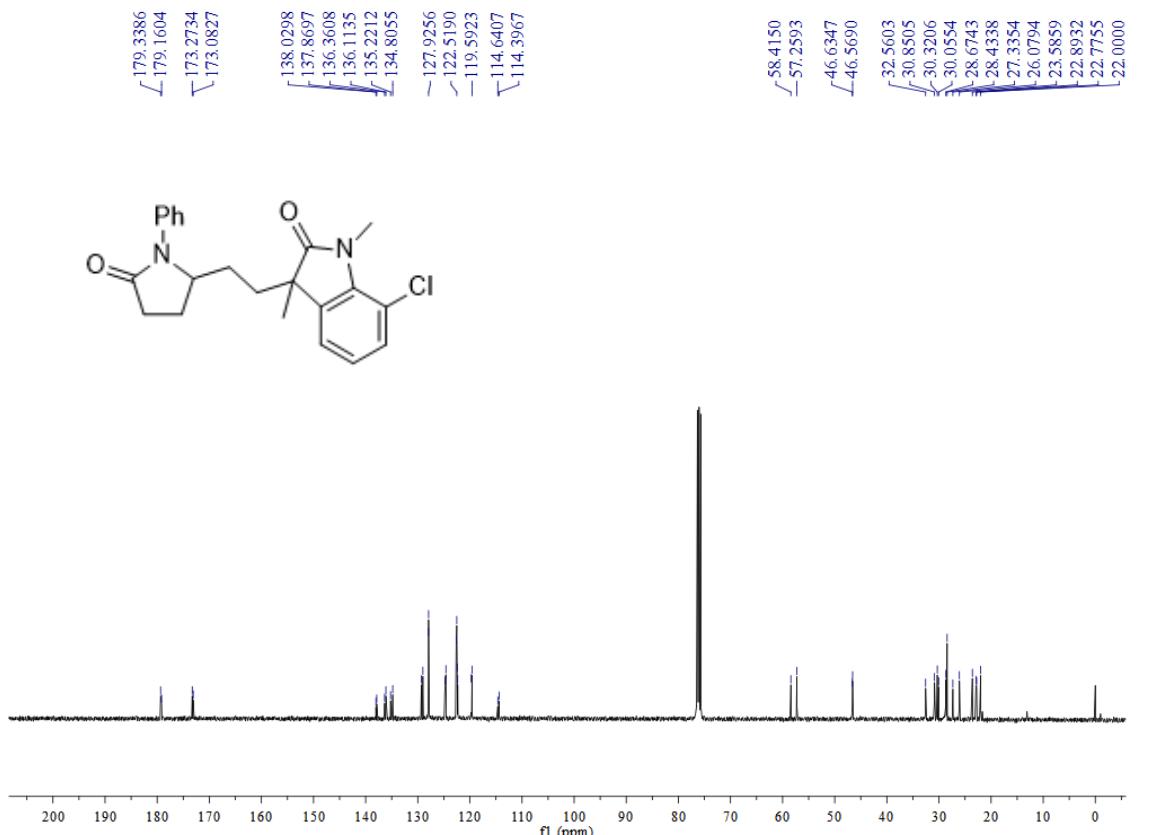


7-chloro-1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3aj)

¹H NMR (400 MHz, CDCl₃)

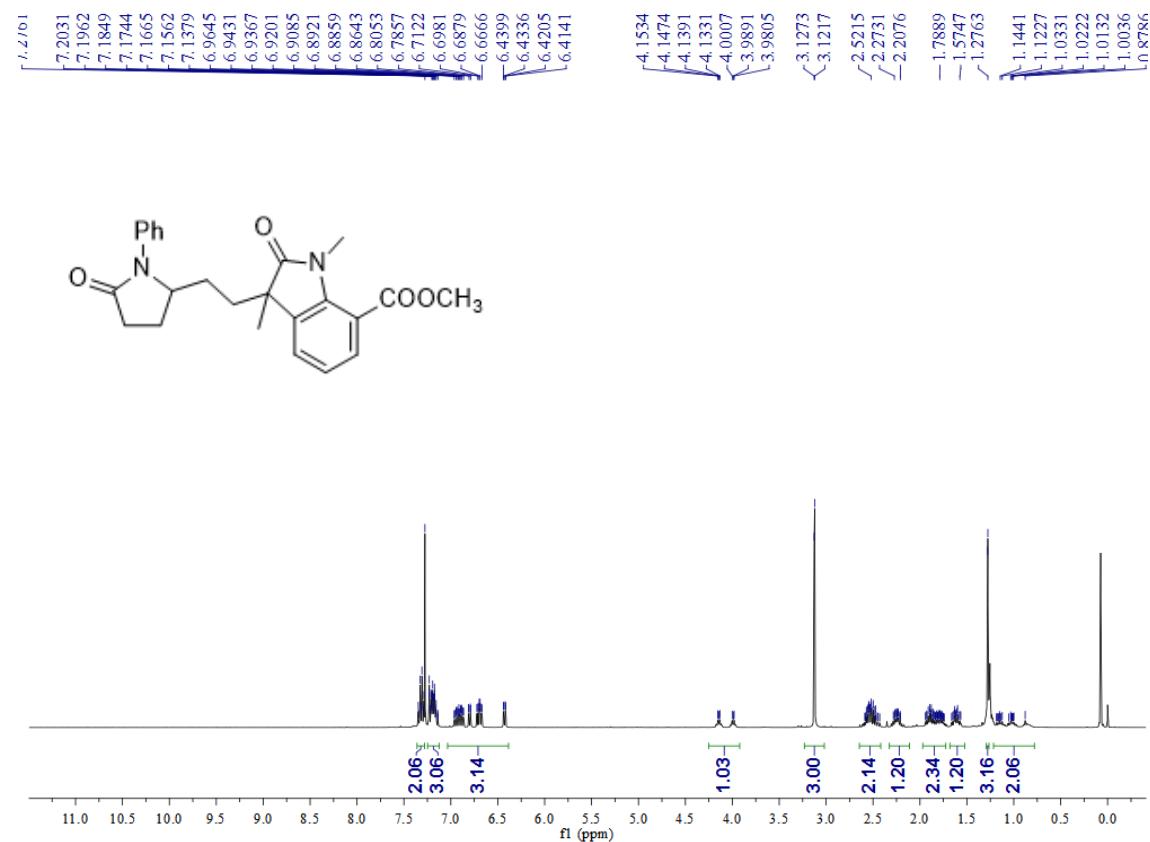


¹³C NMR (100 MHz, CDCl₃)

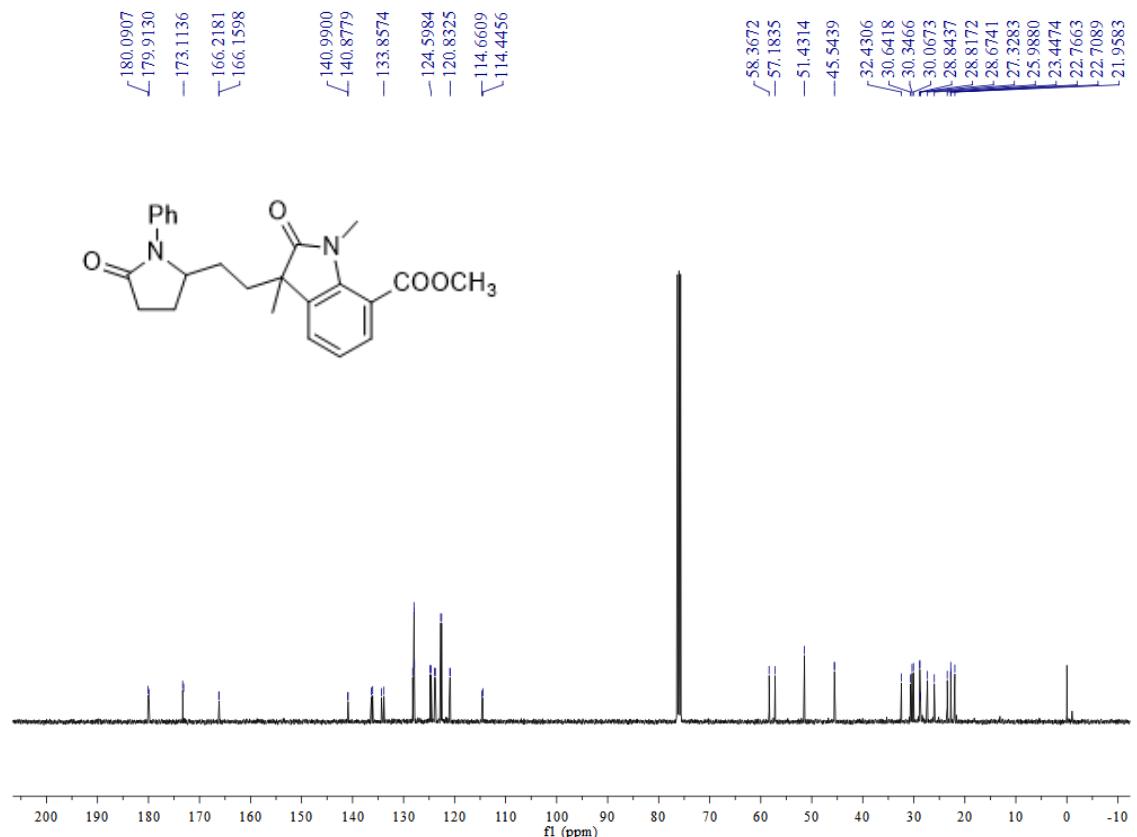


Methyl 1,3-dimethyl-2-oxo-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indoline-7-carboxylate (3ak)

¹H NMR (400 MHz, CDCl₃)

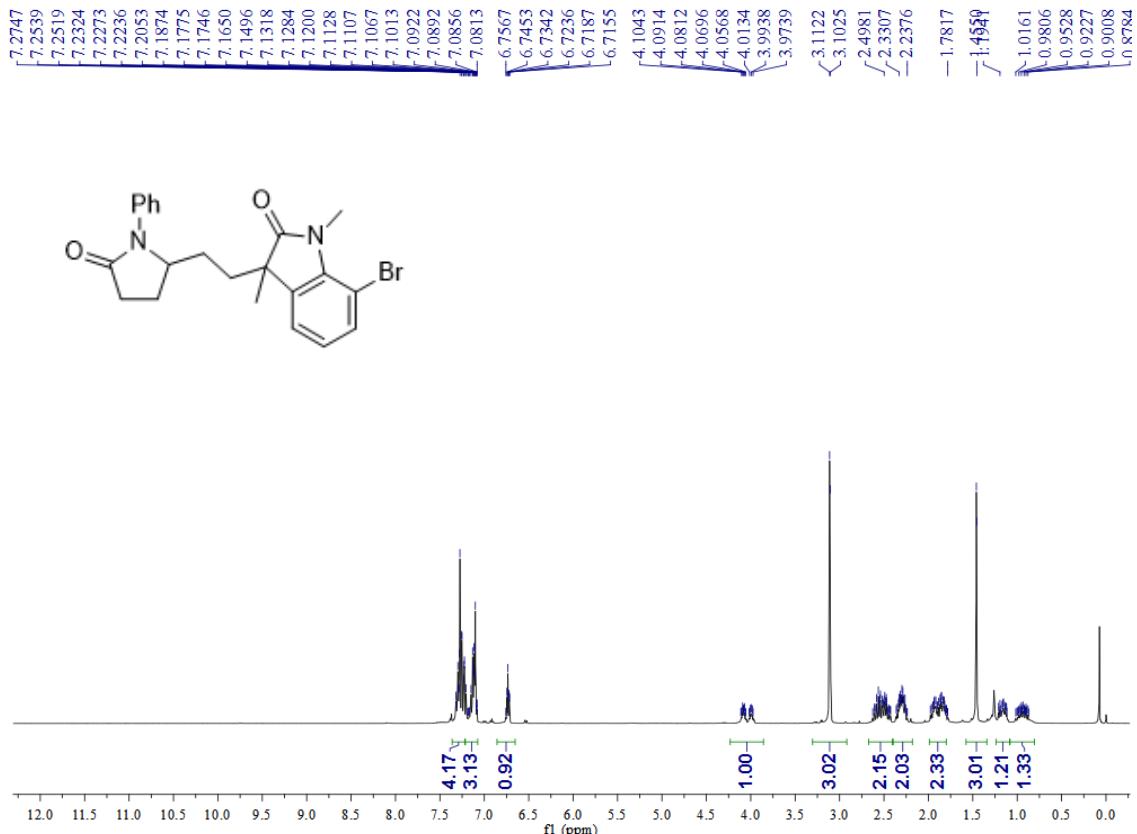


¹³C NMR (100 MHz, CDCl₃)

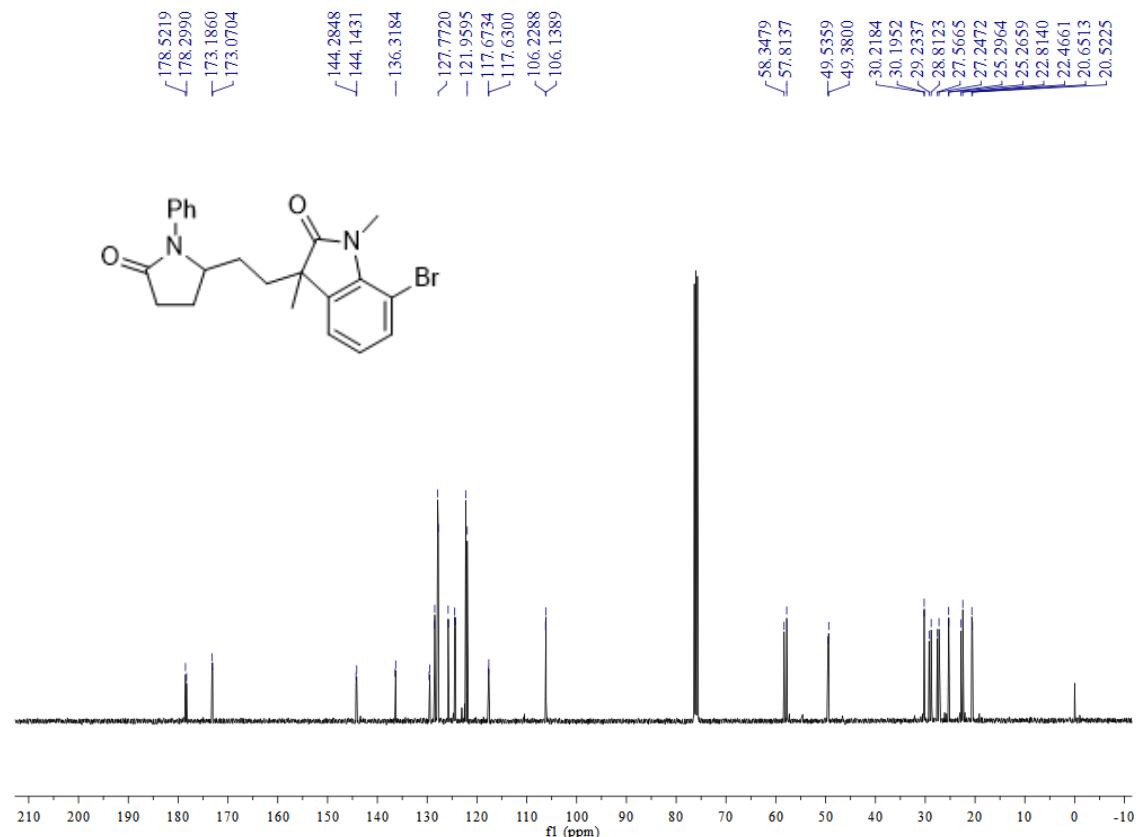


7-bromo-1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3al)

¹H NMR (400 MHz, CDCl₃)

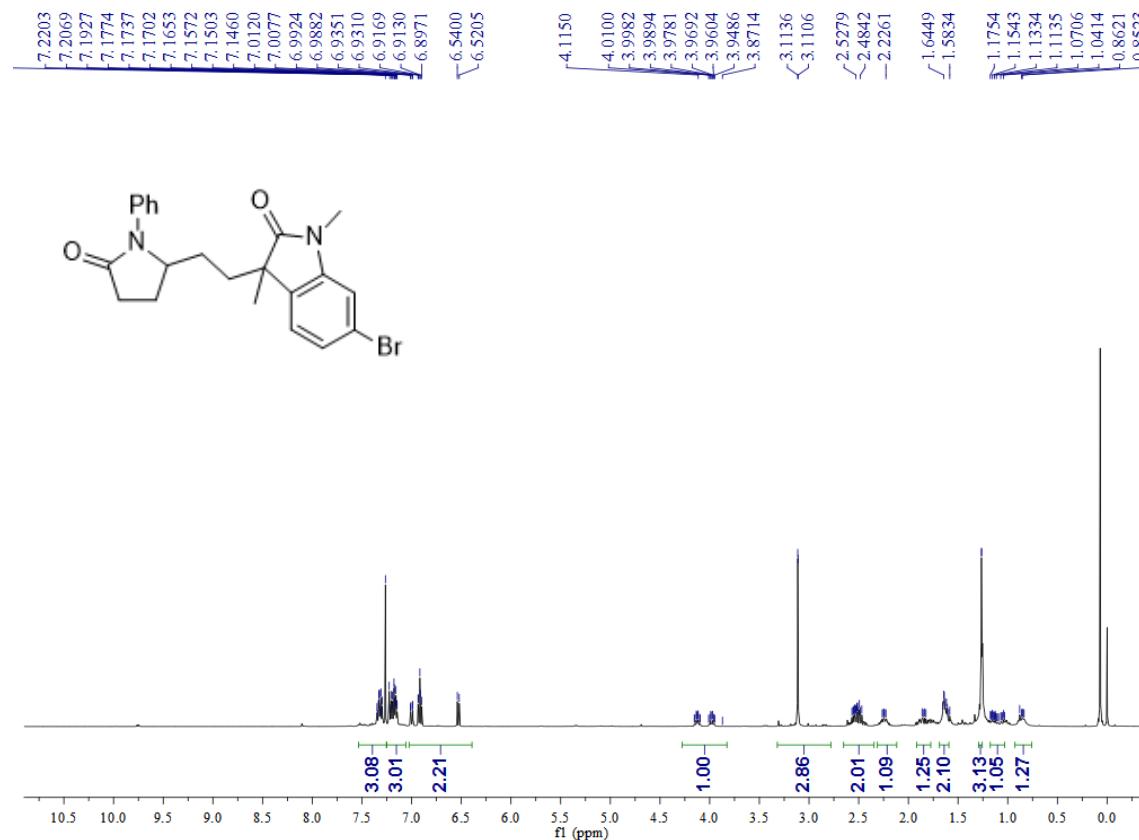


¹³C NMR (100 MHz, CDCl₃)

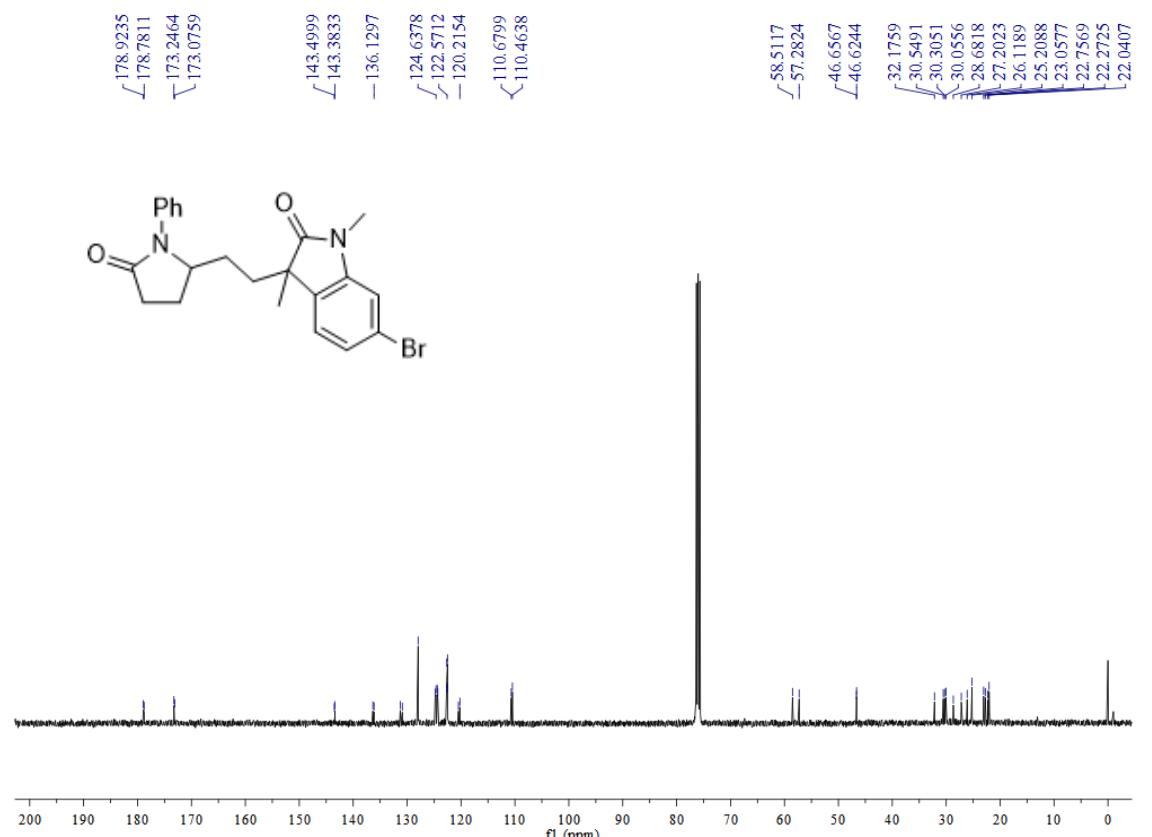


6-bromo-1,3-dimethyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3al')

¹H NMR (400 MHz, CDCl₃)

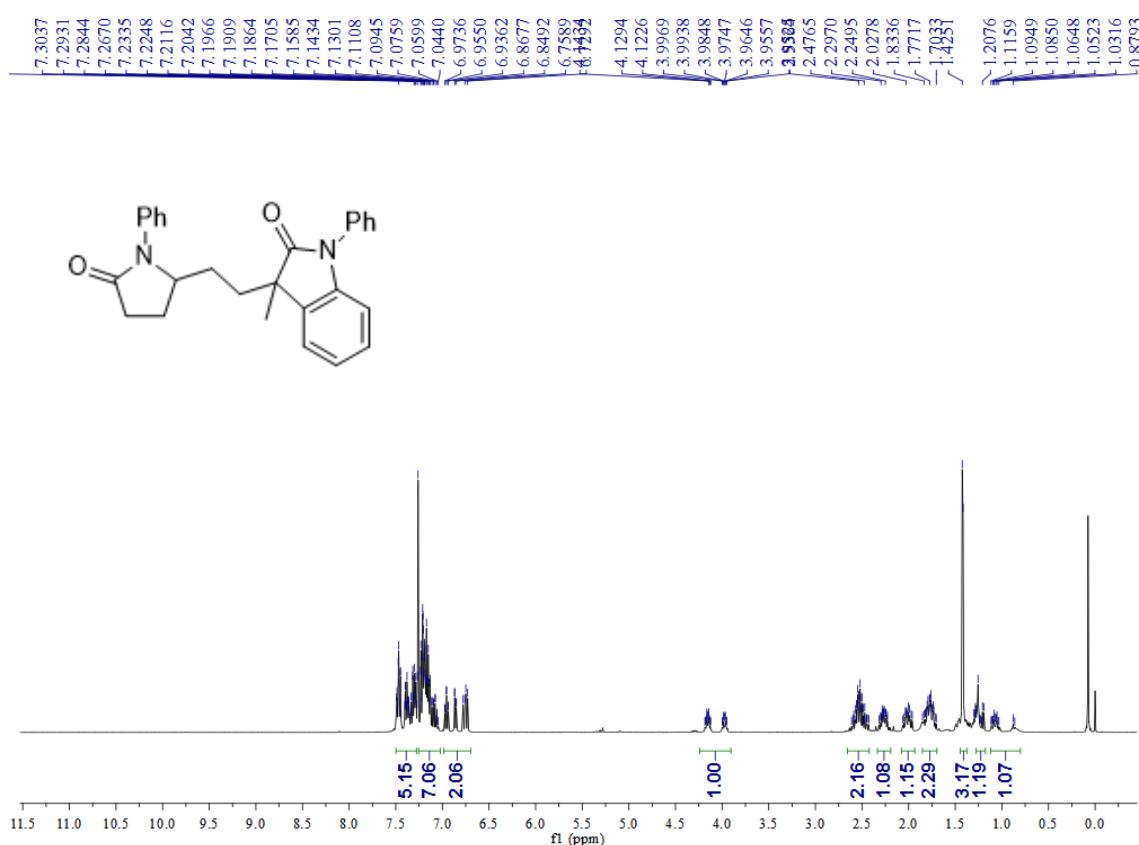


¹³C NMR (100 MHz, CDCl₃)

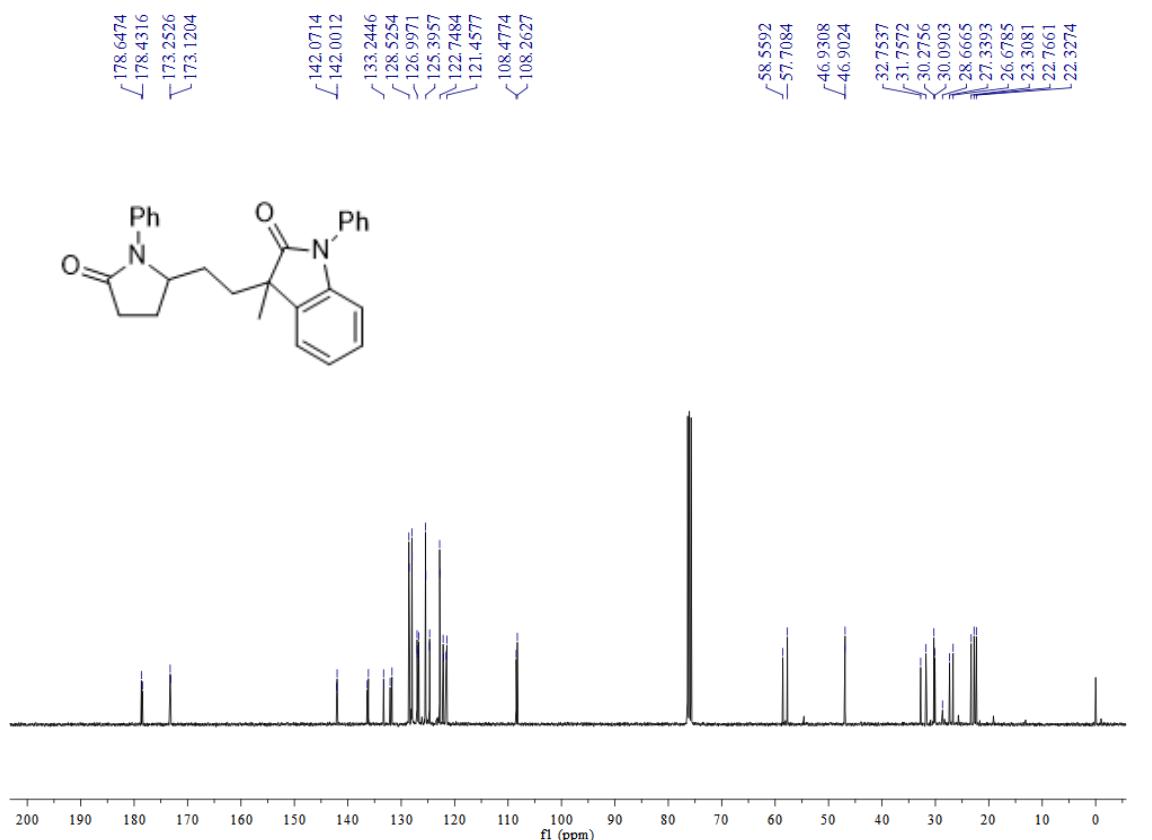


3-methyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)-1-phenylindolin-2-one (3am)

¹H NMR (400 MHz, CDCl₃)

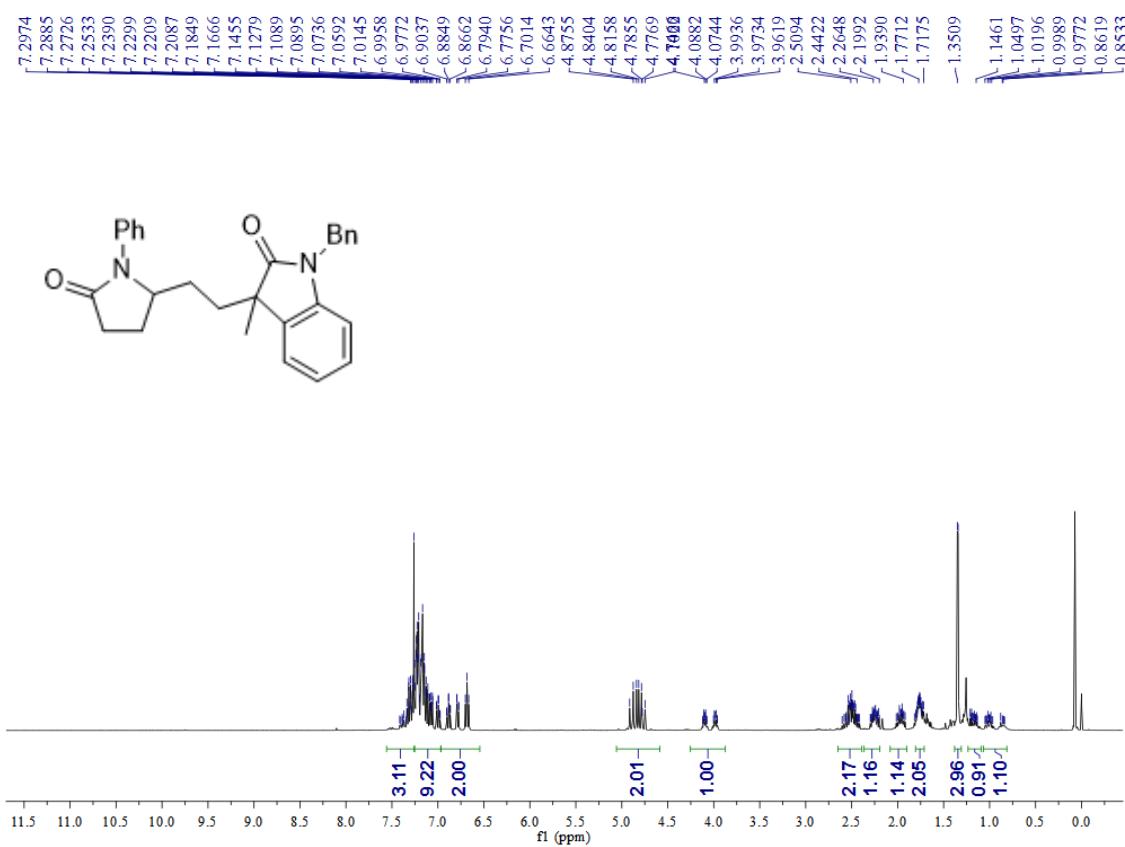


¹³C NMR (100 MHz, CDCl₃)

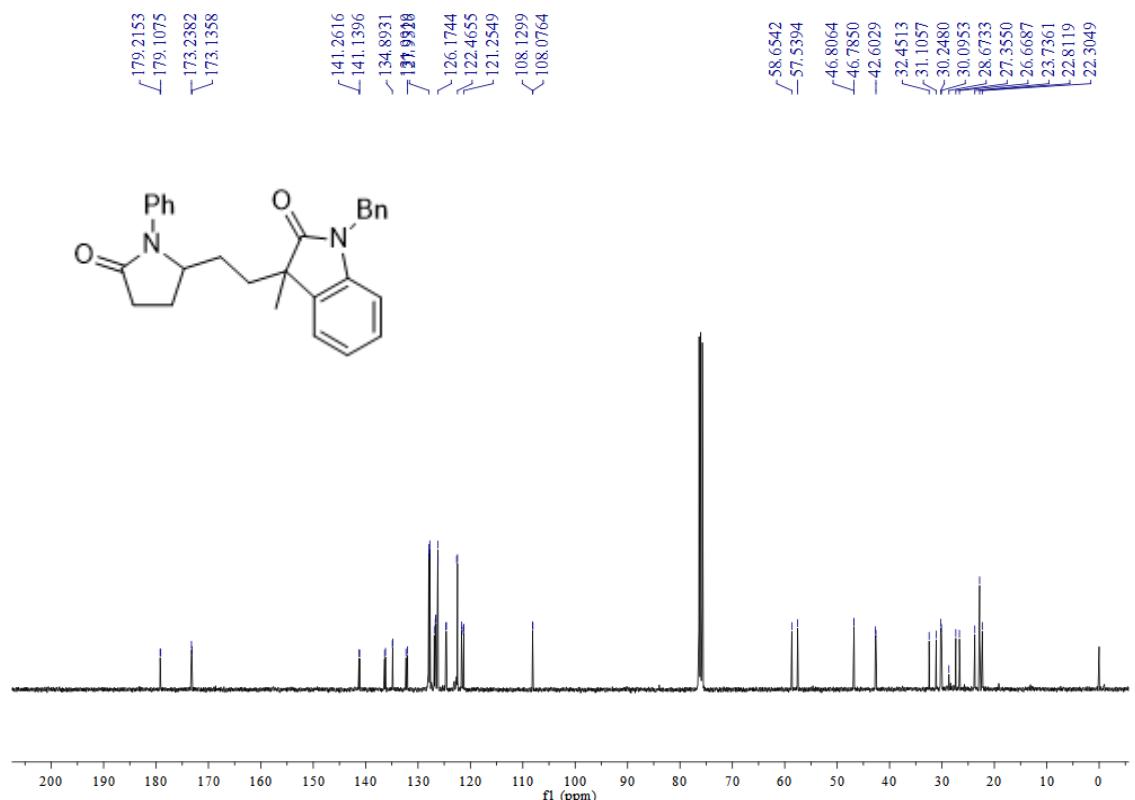


1-benzyl-3-methyl-3-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)indolin-2-one (3an)

¹H NMR (400 MHz, CDCl₃)

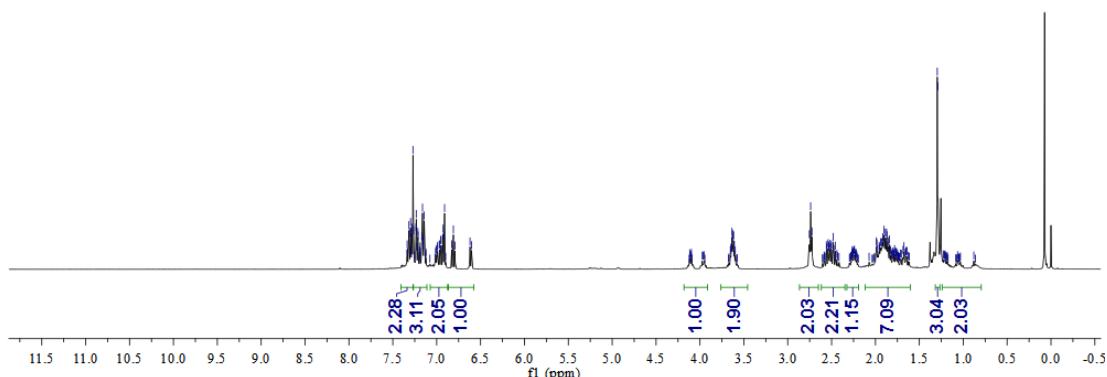
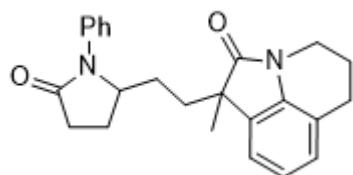


¹³C NMR (100 MHz, CDCl₃)



**2-methyl-2-(2-(5-oxo-1-phenylpyrrolidin-2-yl)ethyl)-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-1(2H)-one
(3ao)**

¹H NMR (400 MHz, CDCl₃)



¹³C NMR (100 MHz, CDCl₃)

