

Enantioselective [3 + 2] Cycloaddition of Spirocyclopropyloxindoles with 2-(Phthalimido)acrylates: Access to Spirocyclopentaneoxindoles

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Supporting information

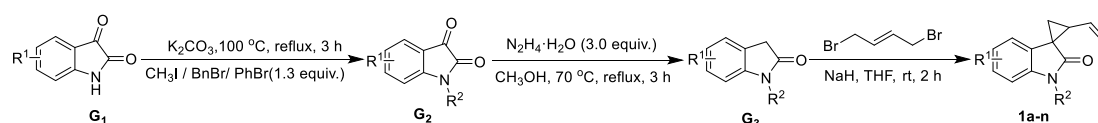
Table of contents

1. General information	1
2. General procedure for the synthesis of compounds 1	1
3. General procedure for the synthesis of compounds 2	3
4. General procedure for the synthesis of compounds 3	4
5. Procedure for the synthesis of compound 4.....	28
6. Procedure for the synthesis of compound 5.....	29
7. Procedure for the synthesis of compound 6.....	30
8. Crystallographic information for compounds of 3n, 3n'and 3n''	31
8.1 Crystallographic information for compound 3n	32
8.2 Crystallographic information for compound 3n'.....	33
8.3 Crystallographic information for compound 3n''	34
9. NMR and HPLC spectra for compounds	35
9.1 NMR spectra for compounds.....	35
9.2 HPLC spectra for compounds	122

1. General information

Reagents were purchased from commercial sources and were directly used unless otherwise noted. ^1H NMR and ^{13}C NMR (400 MHz and 101 MHz, respectively) spectra were recorded in CDCl_3 . ^1H NMR chemical shifts are reported in ppm relative to tetramethylsilane (TMS) with the solvent resonance employed as the internal standard (CDCl_3 at 7.26 ppm). Data are reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, q = quartet and m = multiplet), coupling constants (Hz) and integration. ^{13}C NMR chemical shifts are reported in ppm relative to tetramethylsilane (TMS) with the solvent resonance as the internal standard (CDCl_3 at 77.16 ppm). High performance liquid chromatography (HPLC) analysis was performed on an Agilent 1220 Infinity LC instrument equipped with a quaternary pump, using a Chiralpak AD-H, OD-H, IF, IH, AS-H Column ($250 \times 4.6\text{mm}$). UV absorption was monitored at 254 nm. High Resolution Mass spectra were recorded by Waters G2-xs ToF (ESI⁺).

2. General procedure for the synthesis of compounds 1

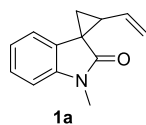


In a dry 250 mL round-bottom flask, compound **G1** (20 mmol) and K_2CO_3 (22 mmol) were accurately weighed, followed by the addition of *N,N*-dimethylformamide (40 mL). The mixture was magnetically stirred to disperse the solids sufficiently. The reaction system was placed in an oil bath at $100\text{ }^\circ\text{C}$ and refluxed for 5 min, after which methyl iodide, benzyl bromide, or phenyl bromide (26 mmol, MeI/BnBr/PhBr) was added dropwise slowly. Upon completion of the dropwise addition, the reaction was continued to reflux in the $100\text{ }^\circ\text{C}$ oil bath for 3 h, during which the reaction progress was monitored by TLC. After the reaction was completed, heating was stopped and the system was cooled to room temperature. Then, 50 mL of distilled water was added to quench the reaction, and the organic phase was extracted with ethyl acetate ($3 \times 50\text{ mL}$). The combined organic phases were washed with saturated brine three times. After each washing, the mixture was allowed to settle to achieve complete phase separation, and the organic layer was retained. The organic phase was dried over anhydrous Na_2SO_4 overnight (or until no obvious moisture was observed). After filtering off the desiccant, the solvent was removed by vacuum concentration to obtain the crude compounds **G2**.

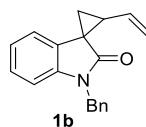
In a 250 mL round-bottom flask, the crude compounds **G2** (20 mmol) were accurately weighed, followed by the addition of methanol (100 mL). Then, hydrazine hydrate (60 mmol) was added. The reaction mixture was placed in an oil bath at $70\text{ }^\circ\text{C}$ and refluxed for 3 h. After the reaction, methanol was removed by vacuum concentration. The residue was dissolved in water and then extracted with ethyl acetate ($3 \times 50\text{ mL}$), and the combined organic phases were washed with saturated brine three times, dried over anhydrous Na_2SO_4 , filtered, and concentrated under reduced pressure to obtain the crude compounds **G3**.

In a 250 mL round-bottom flask, the crude compounds **G3** (20 mmol) were accurately weighed, and tetrahydrofuran (100 mL) was added to dissolve the compound completely. The reaction mixture was cooled to $0\text{ }^\circ\text{C}$, and sodium hydride (NaH, 60 mmol, 60% dispersion in mineral oil) was added portionwise under stirring. After stirring for 10 min, (*E*)-1,4-dibromobut-2-ene (20 mmol) was added to the mixture. The reaction system was then allowed to warm up to room temperature and stirred for 2 h, which was monitored by TLC. Upon completion, water was added to quench the reaction. The mixture was extracted with ethyl acetate ($3 \times 50\text{ mL}$), washed with saturated brine

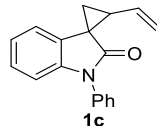
three times, and dried over anhydrous MgSO_4 . After filtration, the solvent was removed by vacuum concentration, and the crude compound was purified by flash column chromatography (hexane/ethyl acetate = 40:1 to 20:1) to obtain compounds **1**.



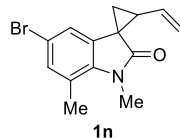
1'-Methyl-2-vinylspiro[cyclopropane-1,3'-indolin]-2'-one 1a: White solid; 1.4 g, 35% yield. ^1H NMR (400 MHz, CDCl_3) δ 7.27 - 7.23 (m, 1H), 7.06 - 7.02 (m, 1H), 6.89 - 6.84 (m, 2H), 6.30 - 6.21 (m, 1H), 5.24 (dd, $J = 17.2, 1.7$ Hz, 1H), 5.12 (dd, $J = 10.3, 1.7$ Hz, 1H), 3.27 (s, 3H), 2.53 - 2.46 (m, 1H), 1.98-1.91 (m, 2H). ^{13}C NMR (101 MHz, CDCl_3) δ 174.8, 143.3, 134.1, 130.4, 126.9, 122.1, 117.9, 116.8, 107.9, 37.2, 33.7, 26.5, 24.7.



1'-Benzyl-2-vinylspiro[cyclopropane-1,3'-indolin]-2'-one 1b: White solid; 1.7 g, 33% yield. ^1H NMR (400 MHz, CDCl_3) δ 7.30 (d, $J = 4.4$ Hz, 4H), 7.26 - 7.22 (m, 1H), 7.15 - 7.11 (m, 1H), 7.00 (t, $J = 7.4$ Hz, 1H), 6.85 (d, $J = 7.4$ Hz, 1H), 6.76 (d, $J = 7.8$ Hz, 1H), 6.36 - 6.26 (m, 1H), 5.27 (dd, $J = 17.3, 1.8$ Hz, 1H), 5.16 (dd, $J = 10.4, 1.8$ Hz, 1H), 4.97 (s, 2H), 2.54 (q, $J = 8.6$ Hz, 1H), 2.05 (dd, $J = 7.8, 4.7$ Hz, 1H), 1.97 (dd, $J = 8.7, 4.6$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 174.8, 142.4, 136.2, 134.1, 130.4, 128.8, 127.5, 127.4, 126.7, 122.1, 118.0, 116.9, 108.9, 44.1, 37.5, 33.7, 24.9.

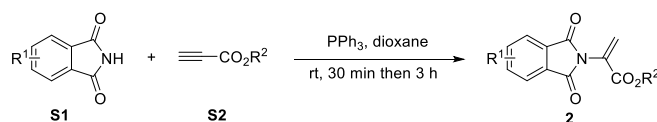


1'-Phenyl-2-vinylspiro[cyclopropane-1,3'-indolin]-2'-one 1c: White solid; 1.2 g, 23% yield. ^1H NMR (400 MHz, CDCl_3) δ 7.57 - 7.53 (m, 2H), 7.50 - 7.47 (m, 2H), 7.44 - 7.40 (m, 1H), 7.23-7.19 (m, 1H), 7.12 - 7.08 (m, 1H), 6.96 - 6.93 (m, 2H), 6.37 - 6.30 (m, 1H), 5.33 (dd, $J = 17.2, 1.8$ Hz, 1H), 5.19 (dd, $J = 10.4, 1.8$ Hz, 1H), 2.64 - 2.60 (m, 1H), 2.12 - 2.04 (m, 2H). ^{13}C NMR (101 MHz, CDCl_3) δ 174.0, 143.1, 134.9, 133.9, 130.2, 129.5, 127.8, 126.7, 126.6, 122.6, 118.2, 117.0, 109.2, 38.0, 33.8, 25.3.

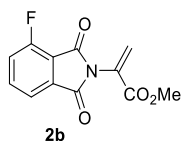


5'-Bromo-1',7'-dimethyl-2-vinylspiro[cyclopropane-1,3'-indolin]-2'-one 1n: White solid; 1.2 g, 21% yield. ^1H NMR (400 MHz, CDCl_3) δ 7.08 (d, $J = 2.0$ Hz, 1H), 6.74 (d, $J = 2.1$ Hz, 1H), 6.24 - 6.14 (m, 1H), 5.23 (dd, $J = 17.2, 1.7$ Hz, 1H), 5.11 (dd, $J = 10.3, 1.7$ Hz, 1H), 3.50 (s, 3H), 2.54 (s, 3H), 2.43 (q, $J = 8.7$ Hz, 1H), 1.95 (dd, $J = 7.9, 4.8$ Hz, 1H), 1.87 (dd, $J = 8.8, 4.7$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 174.8, 140.2, 133.7, 133.0, 132.7, 121.5, 119.0, 117.2, 114.4, 38.1, 33.3, 29.7, 25.3, 18.7.

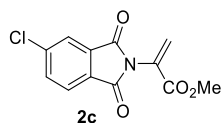
3. General procedure for the synthesis of compounds 2



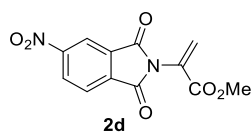
To a magnetically stirred mixture of **S1** (10 mmol) and triphenylphosphine (4 mmol) in 1,4-dioxane (4 mL) was added dropwise a solution of ethyl propiolate/methyl propiolate **S2** (10 mmol) in 1,4-dioxane (6 mL) over 30 min. The reaction mixture was maintained in a room-temperature water bath, and obvious heat evolution was observed. After stirring at room temperature for a further 3 h, the reaction was monitored by TLC until completion. The solvent was removed under reduced pressure, and the crude compound was purified by column chromatography (hexane/ethyl acetate = 3:1) to afford compounds **2**.



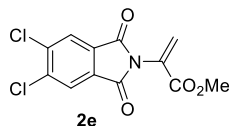
Methyl 2-(4-fluoro-1,3-dioxoisindolin-2-yl)acrylate 2b: White solid; 1.6 g, 64% yield. ^1H NMR (400 MHz, CDCl_3) δ 7.84 - 7.65 (m, 2H), 7.43 (t, $J = 8.5$ Hz, 1H), 6.69 (s, 1H), 5.99 (s, 1H), 3.80 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 165.3 (d, $J = 3.0$ Hz, 1C), 163.1, 162.6, 158.0 (d, $J = 265.0$ Hz, 1C), 137.3 (d, $J = 7.0$ Hz, 1C), 133.9, 128.8, 123.0 (d, $J = 20.0$ Hz, 1C), 120.2 (d, $J = 4.0$ Hz, 1C), 117.7 (d, $J = 12.4$ Hz, 1C), 53.0.



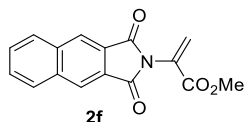
Methyl 2-(5-chloro-1,3-dioxoisindolin-2-yl)acrylate 2c: Light yellow solid; 1.1 g, 42% yield. ^1H NMR (400 MHz, CDCl_3) δ 7.87 - 7.78 (m, 2H), 7.75 - 7.64 (m, 1H), 6.66 (s, 1H), 5.97 (s, 1H), 3.78 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 165.4, 165.1, 162.5, 141.2, 134.6, 133.4, 129.8, 128.9, 128.5, 125.2, 124.3, 52.9.



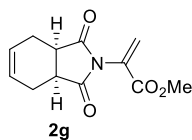
Methyl 2-(5-nitro-1,3-dioxoisindolin-2-yl)acrylate 2d: Yellow solid; 1.1 g, 40% yield. ^1H NMR (400 MHz, CDCl_3) δ 8.71 (s, 1H), 8.65 (d, $J = 8.2$ Hz, 1H), 8.12 (d, $J = 8.1$ Hz, 1H), 6.74 (s, 1H), 6.05 (s, 1H), 3.82 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 164.4, 164.1, 162.3, 152.1, 136.2, 133.3, 129.8, 129.2, 128.7, 125.3, 119.5, 53.2.



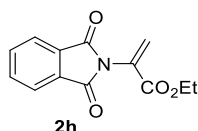
Methyl 2-(5,6-dichloro-1,3-dioxoisindolin-2-yl)acrylate 2e: Light yellow solid; 0.95 g, 32% yield. ^1H NMR (400 MHz, CDCl_3) δ 7.98 (s, 2H), 6.70 (s, 1H), 6.00 (s, 1H), 3.81 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 164.5, 162.4, 139.7, 130.9, 128.9, 128.8, 126.1, 53.1.



Methyl 2-(1,3-dioxo-1,3-dihydro-2H-benzo[f]isoindol-2-yl)acrylate 2f: White solid; 1.0 g, 36% yield. ¹H NMR (400 MHz, CDCl₃) δ 8.38 (s, 2H), 8.10 - 8.04 (m, 2H), 7.72 -7.70 (m, 2H), 6.72 (s, 1H), 6.05 (s, 1H), 3.82 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 166.3, 162.8, 135.7, 130.4, 129.6, 129.4, 128.3, 127.4, 125.6, 53.0.

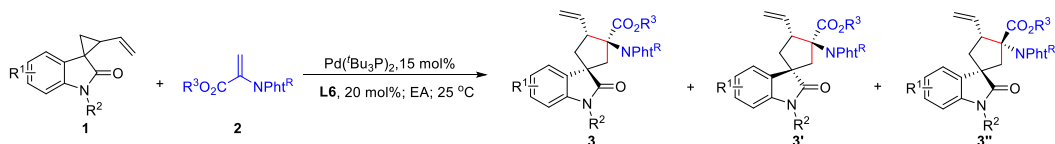


Methyl 2-(1,3-dioxo-1,3,4,5,6,7-hexahydro-2H-isoindol-2-yl)acrylate 2g: White solid; 2.1 g, 89% yield. ¹H NMR (400 MHz, CDCl₃) δ 6.54 (s, 1H), 5.90 - 5.88 (m, 2H), 5.79 (s, 1H), 3.72 (s, 3H), 3.17 - 3.15 (m, 2H), 2.57 (d, *J* = 15.7 Hz, 2H), 2.24 (d, *J* = 12.2 Hz, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 178.3, 162.1, 129.6, 128.2, 127.5, 52.7, 39.4, 23.4.

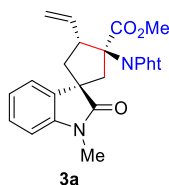


Ethyl 2-(1,3-dioxoisindolin-2-yl)acrylate 2h: White solid; 2.1 g, 86% yield. ¹H NMR (400 MHz, CDCl₃) δ 7.91 -7.87 (m, 2H), 7.78 -7.74 (m, 2H), 6.66 (s, 1H), 5.97 (s, 1H), 4.26 (q, *J* = 7.6 Hz, 2H), 1.27 (t, *J* = 7.3 Hz, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 166.5, 162.3, 134.6, 131.9, 129.5, 127.9, 124.0, 62.1, 14.1.

4. General procedure for the synthesis of compounds 3

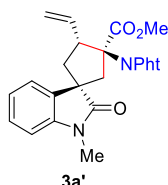


To a mixture of compounds **1** (0.20 mmol) and compounds **2** (0.24 mmol) in 2.0 mL anhydrous ethyl acetate (EA) in a 4 mL flame-dried vial, Pd(*t*-Bu₃P)₂ (0.03 mmol, 15 mol%) and **L6** (0.04 mmol, 20 mol%) were added sequentially. The mixture was stirred at room temperature under an Ar atmosphere for 24 h. After completion of the reaction indicated by TLC analysis, the reaction mixture was purified by preparative TLC (silica gel, hexane/ethyl acetate (5:1, v/v)) with 4-6 repeated developments, and visualized under UV light (254 nm). The desired band was scraped and eluted with dichloromethane. Filtration and concentration in vacuo afforded the title compounds **3**.

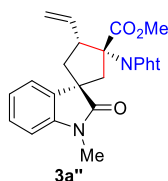


Methyl (1*S*,3*S*,4*R*)-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3a: White solid, 29.3 mg, 34% yield, 93% ee; [α]_D²⁵ = - 14.50 (*c* = 1.00, CH₂Cl₂), m.p. 98.3 - 99.4 °C. The ee was determined by chiral HPLC (Chiralcel AD-H,

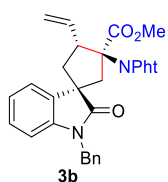
Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3a} = 12.9$ min (major), $t_{(1R,2S,4S)-3a} = 19.2$ min (minor). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.87 - 7.80 (m, 2H), 7.80 - 7.75 (m, 1H), 7.75 - 7.68 (m, 2H), 7.31 - 7.26 (m, 1H), 7.14 (td, $J = 7.5, 1.0$ Hz, 1H), 6.81 (d, $J = 7.7$ Hz, 1H), 6.23 - 6.05 (m, 1H), 5.50 - 5.39 (m, 1H), 5.16 (dt, $J = 8.3, 1.6$ Hz, 1H), 5.12 (d, $J = 1.7$ Hz, 1H), 3.71 (s, 3H), 3.53 (s, 1H), 3.17 (s, 3H), 2.58 - 2.43 (m, 2H), 2.16 (dd, $J = 12.8, 6.2$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.7, 172.0, 168.4, 143.3, 136.0, 134.2, 133.4, 131.8, 128.2, 123.7, 123.4, 123.2, 116.3, 107.8, 72.5, 52.5, 51.0, 48.0, 44.5, 40.4, 26.2. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{22}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 453.1426, found: 453.1429.



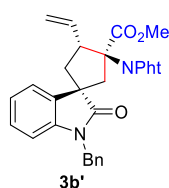
Methyl (1R,3S,4R)-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3a': White solid, 19.5 mg, 23% yield, 80% ee; $[\alpha]_{\text{D}}^{25} = -16.97$ ($c = 1.00$, CH_2Cl_2), m.p. 76.8 - 77.4 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3a'} = 10.6$ min (minor), $t_{(1S,2S,4R)-3a'} = 14.2$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.92 - 7.82 (m, 2H), 7.77 (dd, $J = 5.5, 3.1$ Hz, 2H), 7.30 - 7.22 (m, 2H), 7.01 - 6.96 (m, 1H), 6.84 (d, $J = 7.8$ Hz, 1H), 6.26 - 6.15 (m, 1H), 5.23 - 5.13 (m, 2H), 5.10 - 5.03 (m, 1H), 3.77 (s, 3H), 3.72 (d, $J = 15.2$ Hz, 1H), 3.25 (s, 3H), 2.71 (t, $J = 12.8$ Hz, 1H), 2.58 (d, $J = 15.2$ Hz, 1H), 2.00 (ddd, $J = 12.5, 6.3, 1.8$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 179.2, 170.7, 168.9, 142.8, 135.8, 135.5, 134.4, 131.7, 128.1, 123.5, 122.8, 122.6, 117.2, 108.1, 73.3, 52.8, 52.3, 47.4, 46.8, 41.3, 26.6. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{22}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 453.1426, found: 453.1429.



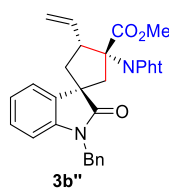
Methyl (1S,3R,4R)-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3a'': White solid, 19.5 mg, 23% yield, 88% ee; $[\alpha]_{\text{D}}^{25} = +81.27$ ($c = 1.00$, CH_2Cl_2), m.p. 78.2 - 78.4 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3a''} = 7.9$ min (minor), $t_{(1R,2S,4R)-3a''} = 10.7$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.95 (d, $J = 7.0$ Hz, 1H), 7.83 (dd, $J = 5.5, 3.0$ Hz, 2H), 7.73 (dd, $J = 5.5, 3.0$ Hz, 2H), 7.32 - 7.26 (m, 1H), 7.18 - 7.11 (m, 1H), 6.83 - 6.77 (m, 1H), 5.94 - 5.81 (m, 1H), 5.15 - 5.08 (m, 1H), 5.00 - 4.92 (m, 1H), 4.74 - 4.56 (m, 1H), 3.87 (s, 3H), 3.74 (d, $J = 15.4$ Hz, 1H), 3.18 (s, 3H), 2.77 (d, $J = 15.4$ Hz, 1H), 2.71 - 2.60 (m, 1H), 2.26 (dd, $J = 12.8, 6.8$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.2, 171.3, 168.8, 143.6, 135.2, 134.4, 132.8, 131.4, 128.2, 124.0, 123.4, 123.1, 117.7, 107.8, 71.9, 53.1, 52.1, 48.3, 43.1, 41.9, 26.3. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{22}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 453.1426, found: 453.1429.



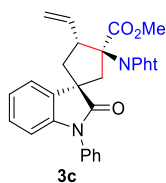
Methyl (1S,3S,4R)-1'-benzyl-3-(1,3-dioxoisindolin-2-yl)-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3b: Yellow solid, 35 mg, 35% yield, 93% ee; $[\alpha]_{\text{D}}^{25} = +4.31$ ($c = 0.50$, CH_2Cl_2), m.p. 98.2 - 98.7 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3b} = 24.8$ min (major), $t_{(1R,2S,4S)-3b} = 32.7$ min (minor)). ^1H NMR (400 MHz, CDCl_3) δ 7.88 - 7.79 (m, 3H), 7.72 (dd, $J = 5.6, 3.0$ Hz, 2H), 7.32 - 7.22 (m, 5H), 7.20 - 7.07 (m, 2H), 6.70 (d, $J = 7.6$ Hz, 1H), 6.27 - 6.09 (m, 1H), 5.57 - 5.43 (m, 1H), 5.25 - 5.10 (m, 2H), 4.96 - 4.77 (m, 2H), 3.71 (s, 3H), 3.61 (d, $J = 14.9$ Hz, 1H), 2.61 - 2.50 (m, 2H), 2.22 (dd, $J = 12.9, 6.2$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 181.9, 172.1, 168.5, 142.4, 136.0, 134.2, 133.4, 131.9, 128.9, 128.1, 127.7, 127.3, 123.9, 123.4, 123.3, 116.3, 108.8, 72.5, 52.5, 51.0, 48.3, 44.6, 43.7, 40.6. HRMS (ESI) m/z : calculated for $\text{C}_{31}\text{H}_{26}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 529.1739, found: 529.1741.



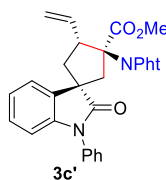
Methyl (1R,3S,4R)-1'-benzyl-3-(1,3-dioxoisindolin-2-yl)-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3b': White solid, 17.5 mg, 17% yield, 83% ee; $[\alpha]_{\text{D}}^{25} = -3.66$ ($c = 0.50$, CH_2Cl_2), m.p. 87.1 - 87.3 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3b'} = 41.0$ min (major), $t_{(1S,2S,4R)-3b'} = 43.7$ min (minor)). ^1H NMR (400 MHz, CDCl_3) δ 7.90 - 7.84 (m, 2H), 7.79 - 7.73 (m, 2H), 7.33 - 7.24 (m, 6H), 7.11 (t, $J = 7.7$ Hz, 1H), 6.94 (t, $J = 7.5$ Hz, 1H), 6.71 (d, $J = 7.8$ Hz, 1H), 6.27 - 6.14 (m, 1H), 5.26 - 5.14 (m, 2H), 5.14 - 5.07 (m, 1H), 5.01 - 4.88 (m, 2H), 3.87 - 3.76 (m, 4H), 2.79 (t, $J = 12.5$ Hz, 1H), 2.56 (dd, $J = 15.1, 1.7$ Hz, 1H), 2.11 - 2.03 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 179.4, 170.8, 169.0, 141.9, 135.9, 135.8, 135.5, 134.5, 131.7, 128.9, 128.0, 127.7, 127.3, 123.6, 122.9, 122.8, 117.3, 109.2, 73.5, 52.9, 52.5, 47.8, 46.8, 44.1, 41.5. HRMS (ESI) m/z : calculated for $\text{C}_{31}\text{H}_{26}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 529.1739, found: 529.1741.



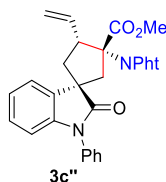
Methyl (1S,3R,4R)-1'-benzyl-3-(1,3-dioxoisindolin-2-yl)-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3b'': White solid, 17.5 mg, 17% yield, 60% ee; $[\alpha]_{\text{D}}^{25} = +27.95$ ($c = 0.50$, CH_2Cl_2), m.p. 85.9 - 86.2 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3b''} = 21.3$ min (minor), $t_{(1R,2S,4R)-3b''} = 29.6$ min (major)). ^1H NMR (400 MHz, CDCl_3) δ 7.98 (d, $J = 6.6$ Hz, 1H), 7.88 - 7.80 (m, 2H), 7.77 - 7.71 (m, 2H), 7.33 - 7.24 (m, 5H), 7.19 - 7.08 (m, 2H), 6.69 (d, $J = 7.5$ Hz, 1H), 5.96 - 5.82 (m, 1H), 5.17 - 5.09 (m, 1H), 5.02 - 4.93 (m, 2H), 4.78 (d, $J = 15.8$ Hz, 1H), 4.75 - 4.66 (m, 1H), 3.89 (s, 3H), 3.78 (d, $J = 15.4$ Hz, 1H), 2.84 (d, $J = 15.4$ Hz, 1H), 2.71 (t, $J = 12.3$ Hz, 1H), 2.31 (dd, $J = 12.8, 6.8$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 181.4, 171.4, 168.9, 142.7, 136.1, 135.1, 134.4, 132.7, 131.5, 128.9, 128.2, 127.7, 127.4, 124.2, 123.4, 123.2, 117.8, 108.9, 72.0, 53.3, 52.2, 48.3, 43.7, 43.3, 42.3. HRMS (ESI) m/z : calculated for $\text{C}_{31}\text{H}_{26}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 529.1739, found: 529.1741.



Methyl (1S,3S,4R)-3-(1,3-dioxoisindolin-2-yl)-2'-oxo-1'-phenyl-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3c: Yellow solid, 31.2 mg, 32% yield, 92% ee; $[\alpha]_{\text{D}}^{25} = +12.95$ ($c = 0.50$, CH_2Cl_2), m.p. 98.2 - 98.7 °C. The ee was determined by chiral HPLC (Chiralcel OD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3c} = 17.2$ min (major), $t_{(1R,2S,4S)-3c} = 31.0$ min (minor)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.87 - 7.80 (m, 3H), 7.71 (dd, $J = 5.5, 3.0$ Hz, 2H), 7.52 - 7.44 (m, 2H), 7.41 - 7.34 (m, 3H), 7.24 - 7.17 (m, 2H), 6.83 - 6.79 (m, 1H), 6.25 - 6.09 (m, 1H), 5.56 - 5.40 (m, 1H), 5.24 - 5.11 (m, 2H), 3.73 (s, 3H), 3.66 (d, $J = 15.1$ Hz, 1H), 2.69 - 2.56 (m, 2H), 2.33 (dd, $J = 13.0, 6.2$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.3, 172.2, 168.4, 143.2, 135.9, 134.4, 134.2, 133.2, 131.9, 129.6, 128.1, 128.0, 126.5, 124.1, 123.8, 123.4, 116.4, 109.2, 72.5, 52.6, 51.3, 48.7, 44.6, 40.9. HRMS (ESI) m/z : calculated for $\text{C}_{30}\text{H}_{24}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 515.1583, found: 515.1587.

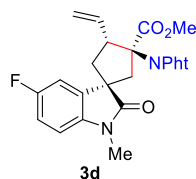


Methyl (1R,3S,4R)-3-(1,3-dioxoisindolin-2-yl)-2'-oxo-1'-phenyl-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3c': White solid, 31.2 mg, 32% yield, 84% ee; $[\alpha]_{\text{D}}^{25} = -14.42$ ($c = 0.50$, CH_2Cl_2), m.p. 95.9 - 96.3 °C. The ee was determined by chiral HPLC (Chiralcel OD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3c'} = 10.6$ min (minor), $t_{(1S,2S,4R)-3c'} = 14.2$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.91 - 7.85 (m, 2H), 7.80 - 7.74 (m, 2H), 7.55 - 7.49 (m, 2H), 7.46 - 7.38 (m, 3H), 7.36 (d, $J = 7.6$ Hz, 1H), 7.20 - 7.14 (m, 1H), 7.06 - 6.99 (m, 1H), 6.86 - 6.81 (m, 1H), 6.29 - 6.18 (m, 1H), 5.24 - 5.14 (m, 2H), 5.12 - 5.03 (m, 1H), 3.80 (d, $J = 15.2$ Hz, 1H), 3.76 (s, 3H), 2.82 (t, $J = 12.5$ Hz, 1H), 2.69 (d, $J = 15.1$ Hz, 1H), 2.16 (dd, $J = 12.9, 6.8$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 178.9, 170.7, 169.0, 142.7, 135.8, 135.6, 134.6, 134.5, 131.7, 129.7, 128.1, 128.0, 126.6, 123.6, 123.4, 123.1, 117.4, 109.5, 73.5, 52.9, 52.6, 47.9, 47.1, 42.0. HRMS (ESI) m/z : calculated for $\text{C}_{30}\text{H}_{24}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 515.1583, found: 515.1587.

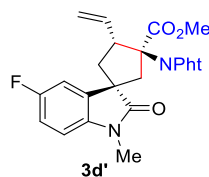


Methyl (1S,3R,4R)-3-(1,3-dioxoisindolin-2-yl)-2'-oxo-1'-phenyl-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3c'': White solid, 15.7 mg, 16% yield, 85% ee; $[\alpha]_{\text{D}}^{25} = +33.35$ ($c = 0.50$, CH_2Cl_2), m.p. 97.8 - 98.6 °C. The ee was determined by chiral HPLC (Chiralcel OD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3c''} = 15.8$ min (major), $t_{(1R,2S,4R)-3c''} = 18.8$ min (minor)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.21 - 7.98 (m, 1H), 7.93 - 7.81 (m, 2H), 7.80 - 7.71 (m, 2H), 7.55 - 7.48 (m, 2H), 7.45 - 7.36 (m, 3H), 7.25 - 7.17 (m, 2H), 6.89 - 6.78 (m, 1H), 6.00 - 5.78 (m, 1H), 5.15 (d, $J = 17.4$ Hz, 1H), 4.99 (d, $J = 10.4$ Hz, 1H), 4.82 - 4.61 (m, 1H),

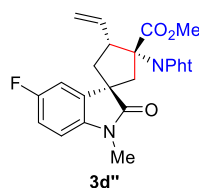
4.08 - 3.78 (m, 4H), 2.93 (d, $J = 15.5$ Hz, 1H), 2.83 - 2.72 (m, 1H), 2.44 (dd, $J = 12.8, 6.7$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 180.7, 171.2, 168.9, 143.4, 135.1, 134.5, 134.4, 132.6, 131.4, 129.6, 128.2, 128.0, 126.7, 124.5, 123.7, 123.4, 117.9, 109.2, 72.0, 53.2, 52.4, 48.2, 43.4, 42.6. HRMS (ESI) m/z : calculated for $\text{C}_{30}\text{H}_{24}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 515.1583, found: 515.1587.



Methyl (1S,3S,4R)-3-(1,3-dioxoisindolin-2-yl)-5'-fluoro-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3d: Yellow solid, 26 mg, 29% yield, 91% ee; $[\alpha]_{\text{D}}^{25} = -3.40$ ($c = 0.50$, CH_2Cl_2), m.p. 93.6 - 94.6 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3d} = 14.5$ min (major), $t_{(1R,2S,4S)-3d} = 17.9$ min (minor)). ^1H NMR (400 MHz, CDCl_3) δ 7.88 - 7.63 (m, 4H), 7.63 - 7.51 (m, 1H), 7.01 - 6.89 (m, 1H), 6.78 - 6.66 (m, 1H), 6.26 - 5.94 (m, 1H), 5.47 - 5.32 (m, 1H), 5.13 (d, $J = 4.3$ Hz, 1H), 5.10 (s, 1H), 3.69 (s, 3H), 3.47 (d, $J = 15.0$ Hz, 1H), 3.13 (s, 3H), 2.54 - 2.33 (m, 2H), 2.18 - 2.07 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 181.5, 171.9, 168.4, 159.8 (d, $J = 240.6$ Hz, 1C) 139.2, 135.8, 135.2 (d, $J = 8.3$ Hz, 1C), 134.2, 131.8, 123.4, 116.4, 114.3 (d, $J = 23.6$ Hz, 1C), 112.0 (d, $J = 25.1$ Hz, 1C), 108.2 (d, $J = 8.2.0$ Hz, 1C), 72.4, 52.5, 51.3, 48.0, 44.6, 40.4, 26.4. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaF}$ $[\text{M}+\text{Na}]^+$: 471.1332, found: 471.1335.

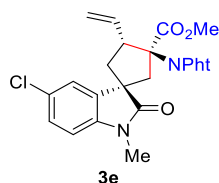


Methyl (1R,3S,4R)-3-(1,3-dioxoisindolin-2-yl)-5'-fluoro-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3d': White solid, 32.5 mg, 36% yield, 84% ee; $[\alpha]_{\text{D}}^{25} = -10.88$ ($c = 0.50$, CH_2Cl_2), m.p. 82.6 - 83.3 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3d'} = 10.2$ min (minor), $t_{(1S,2S,4R)-3d'} = 14.1$ min (major)). ^1H NMR (400 MHz, CDCl_3) δ 7.89 - 7.80 (m, 2H), 7.77 - 7.71 (m, 2H), 7.06 - 6.98 (m, 1H), 6.96 - 6.86 (m, 1H), 6.76 - 6.68 (m, 1H), 6.25 - 6.08 (m, 1H), 5.20 - 5.08 (m, 2H), 4.99 - 4.88 (m, 1H), 3.74 (s, 3H), 3.66 (d, $J = 15.2$ Hz, 1H), 3.17 (s, 3H), 2.74 - 2.63 (m, 1H), 2.53 (d, $J = 15.1$ Hz, 1H), 2.00 - 1.94 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 178.9, 170.5, 168.9, 159.3 (d, $J = 240.7$ Hz, 1C), 138.8, 137.3 (d, $J = 7.8$ Hz, 1C), 135.3, 134.5, 131.6, 123.6, 117.4, 114.1 (d, $J = 23.3$ Hz, 1C), 111.1 (d, $J = 25.2$ Hz, 1C), 108.5 (d, $J = 9.0$ Hz, 1C), 73.2, 52.8, 52.7, 47.2, 46.9, 41.3, 26.7. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaF}$ $[\text{M}+\text{Na}]^+$: 471.1332, found: 471.1335.

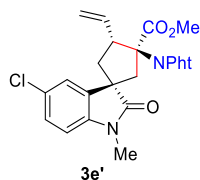


Methyl (1S,3R,4R)-3-(1,3-dioxoisindolin-2-yl)-5'-fluoro-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3d'': White solid, 13 mg, 15% yield, 77%

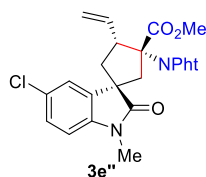
ee; $[\alpha]_D^{25} = +69.64$ ($c = 0.50$, CH_2Cl_2), m.p. 93.6 - 94.1 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3d''} = 7.0$ min (minor), $t_{(1R,2S,4R)-3d''} = 11.4$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.85 - 7.80 (m, 3H), 7.76 - 7.71 (m, 2H), 7.00 - 6.95 (m, 1H), 6.74 - 6.69 (m, 1H), 5.93 - 5.77 (m, 1H), 5.09 (d, $J = 16.3$ Hz, 1H), 4.96 (d, $J = 10.6$ Hz, 1H), 4.77 - 4.59 (m, 1H), 3.86 (s, 3H), 3.71 - 3.67 (m, 1H), 3.17 (s, 3H), 2.74 (d, $J = 15.6$ Hz, 1H), 2.69 - 2.59 (m, 1H), 2.26 - 2.17 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.0, 171.2, 168.8, 159.8 (d, $J = 240.0$ Hz, 1C), 139.6, 134.9, 134.4, 134.3 (d, $J = 7.6$ Hz, 1C), 131.4, 123.4, 117.8, 114.4 (d, $J = 23.6$ Hz, 1C), 112.5 (d, $J = 25.4$ Hz, 1C), 108.2 (d, $J = 8.3$ Hz, 1C), 71.8, 53.2, 52.6, 48.2, 43.1, 41.9, 26.4. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaF}$ $[\text{M}+\text{Na}]^+$: 471.1332, found: 471.1335.



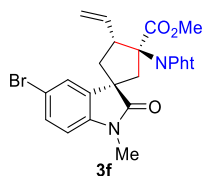
Methyl (1S,3S,4R)-5'-chloro-3-(1,3-dioxisoindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3e: White solid, 30 mg, 33% yield, 99% ee; $[\alpha]_D^{25} = -36.80$ ($c = 0.50$, CH_2Cl_2), m.p. 92.6 - 93.9 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3e} = 12.6$ min (major), $t_{(1R,2S,4S)-3e} = 19.7$ min (minor)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.88 - 7.76 (m, 3H), 7.74 - 7.67 (m, 2H), 7.23 (dd, $J = 8.2, 2.3$ Hz, 1H), 6.71 (d, $J = 8.2$ Hz, 1H), 6.19 - 6.03 (m, 1H), 5.43 - 5.33 (m, 1H), 5.17 - 5.06 (m, 2H), 3.69 (s, 3H), 3.49 (d, $J = 15.0$ Hz, 1H), 3.13 (s, 3H), 2.49 - 2.36 (m, 2H), 2.18 - 2.09 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.3, 171.9, 168.4, 141.9, 135.7, 135.1, 134.2, 131.8, 128.6, 128.1, 124.4, 123.4, 116.5, 108.8, 72.4, 52.6, 51.1, 48.0, 44.5, 40.3, 26.4. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{20}\text{N}_2\text{O}_5\text{Cl}$ $[\text{M}-\text{H}]^-$: 463.1061, found: 463.1059.



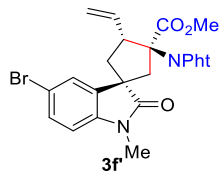
Methyl (1R,3S,4R)-5'-chloro-3-(1,3-dioxisoindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3e': White solid, 30 mg, 33% yield, 83% ee; $[\alpha]_D^{25} = -10.37$ ($c = 0.50$, CH_2Cl_2), m.p. 84.8 - 85.5 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3e'} = 10.6$ min (minor), $t_{(1S,2S,4R)-3e'} = 14.2$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.93 - 7.81 (m, 2H), 7.79 - 7.69 (m, 2H), 7.24 - 7.14 (m, 2H), 6.73 (d, $J = 8.0$ Hz, 1H), 6.25 - 6.10 (m, 1H), 5.25 - 5.10 (m, 2H), 5.00 - 4.88 (m, 1H), 3.74 (s, 3H), 3.64 (d, $J = 15.0$ Hz, 1H), 3.20 (s, 3H), 2.67 (t, $J = 12.3$ Hz, 1H), 2.60 - 2.50 (m, 1H), 2.00 (dd, $J = 12.8, 6.4$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 178.9, 170.4, 168.9, 141.4, 137.5, 135.3, 134.5, 131.6, 128.0, 127.9, 123.6, 123.3, 117.5, 109.1, 73.3, 52.8, 52.5, 47.1, 47.0, 41.3, 26.7. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{20}\text{N}_2\text{O}_5\text{Cl}$ $[\text{M}-\text{H}]^-$: 463.1061, found: 463.1059.



Methyl (1*S*,3*R*,4*R*)-5'-chloro-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate **3e':** White solid, 18 mg, 20% yield, 79% ee; $[\alpha]_D^{25} = +84.9$ ($c = 0.50$, CH₂Cl₂), m.p. 90.2 - 91.3 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1*S*,2*R*,4*S*)-3e''} = 8.5$ min (minor), $t_{(1*R*,2*S*,4*R*)-3e''} = 16.3$ min (major)). ¹H NMR (400 MHz, CDCl₃) δ 8.00 (d, $J = 2.4$ Hz, 1H), 7.89 - 7.82 (m, 2H), 7.79 - 7.72 (m, 2H), 7.29 - 7.25 (m, 1H), 6.74 (d, $J = 8.4$ Hz, 1H), 5.96 - 5.75 (m, 1H), 5.11 (d, $J = 17.3$ Hz, 1H), 4.98 (d, $J = 10.6$ Hz, 1H), 4.75 - 4.58 (m, 1H), 3.88 (s, 3H), 3.70 (d, $J = 15.6$ Hz, 1H), 3.18 (s, 3H), 2.76 (d, $J = 15.5$ Hz, 1H), 2.66 (t, $J = 12.3$ Hz, 1H), 2.23 (dd, $J = 12.7, 6.7$ Hz, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 180.8, 171.2, 168.8, 142.2, 134.9, 134.4, 134.3, 131.4, 128.5, 128.2, 124.8, 123.5, 117.9, 108.7, 71.7, 53.2, 52.4, 48.2, 43.1, 41.9, 26.4. HRMS (ESI) m/z : calculated for C₂₅H₂₀N₂O₅Cl [M-H]⁻: 463.1061, found: 463.1059.

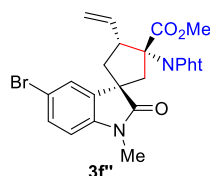


Methyl (1*S*,3*S*,4*R*)-5'-bromo-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate **3f:** White solid, 39.8 mg, 39% yield, 90% ee; $[\alpha]_D^{25} = -36.84$ ($c = 0.50$, CH₂Cl₂), m.p. 102.8 - 103.5 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1*S*,2*R*,4*R*)-3f} = 12.9$ min (major), $t_{(1*R*,2*S*,4*S*)-3f} = 21.3$ min (minor)). ¹H NMR (400 MHz, CDCl₃) δ 7.91 (s, 1H), 7.87 - 7.78 (m, 2H), 7.75 - 7.67 (m, 2H), 7.39 (d, $J = 7.9$ Hz, 1H), 6.67 (d, $J = 8.2$ Hz, 1H), 6.18 - 6.02 (m, 1H), 5.45 - 5.32 (m, 1H), 5.20 - 5.04 (m, 2H), 3.70 (s, 3H), 3.49 (d, $J = 15.0$ Hz, 1H), 3.13 (s, 3H), 2.51 - 2.38 (m, 2H), 2.14 (dd, $J = 12.9, 6.2$ Hz, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 181.2, 171.9, 168.4, 142.4, 135.7, 135.5, 134.2, 131.8, 131.1, 127.1, 123.4, 116.5, 115.9, 109.3, 72.4, 52.6, 51.1, 48.0, 44.5, 40.3, 26.4. HRMS (ESI) m/z : calculated for C₂₅H₂₁N₂O₅NaBr [M+Na]⁺: 531.0532, found: 531.0534.

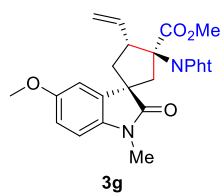


Methyl (1*R*,3*S*,4*R*)-5'-bromo-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate **3f':** White solid, 39.8 mg, 39% yield, 83% ee; $[\alpha]_D^{25} = -4.82$ ($c = 0.50$, CH₂Cl₂), m.p. 85.6 - 86.5 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1*R*,2*R*,4*S*)-3f'} = 15.6$ min (minor), $t_{(1*S*,2*S*,4*R*)-3f'} = 19.5$ min (major)). ¹H NMR (400 MHz, CDCl₃) δ 7.94 - 7.83 (m, 2H), 7.80 - 7.71 (m, 2H), 7.42 - 7.29 (m, 2H), 6.69 (d, $J = 8.8$ Hz, 1H), 6.28 - 6.10 (m, 1H), 5.24 - 5.10 (m, 2H), 4.97 - 4.88 (m, 1H), 3.74 (s, 3H), 3.63 (d, $J = 15.2$ Hz, 1H), 3.20 (s, 3H), 2.66 (t, $J = 12.4$

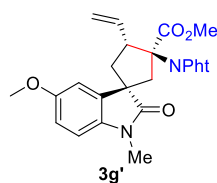
Hz, 1H), 2.56 (d, $J = 15.2$ Hz, 1H), 2.06 - 1.98 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 178.9, 170.4, 168.9, 141.9, 137.9, 135.4, 134.5, 131.6, 130.9, 126.1, 123.6, 117.5, 115.4, 109.6, 73.4, 52.8, 52.4, 47.2, 47.0, 41.4, 26.7. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaBr}$ $[\text{M}+\text{Na}]^+$: 531.0532, found: 531.0534.



Methyl (1*S*,3*R*,4*R*)-5'-bromo-3-(1,3-dioxisoindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3f'': White solid, 10.8 mg, 11% yield, 81% ee; $[\alpha]_{\text{D}}^{25} = +72.69$ ($c = 0.50$, CH_2Cl_2), m.p. 102.2 - 103.3 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1*S*,2*R*,4*S*)-3f''} = 9.0$ min (minor), $t_{(1*R*,2*S*,4*R*)-3f''} = 17.4$ min (major)). ^1H NMR (400 MHz, CDCl_3) δ 8.11 (s, 1H), 7.87 - 7.82 (m, 2H), 7.77 - 7.72 (m, 2H), 7.45 - 7.39 (m, 1H), 6.68 (d, $J = 8.3$ Hz, 1H), 5.94 - 5.75 (m, 1H), 5.10 (d, $J = 17.2$ Hz, 1H), 4.97 (d, $J = 10.6$ Hz, 1H), 4.70 - 4.57 (m, 1H), 3.87 (s, 3H), 3.68 (d, $J = 15.6$ Hz, 1H), 3.16 (s, 3H), 2.75 (d, $J = 15.4$ Hz, 1H), 2.65 (t, $J = 12.3$ Hz, 1H), 2.22 (dd, $J = 12.7, 6.7$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 180.7, 171.2, 168.8, 142.7, 134.9, 134.8, 134.4, 131.4, 131.1, 127.5, 123.5, 117.9, 115.8, 109.3, 71.7, 53.2, 52.3, 48.2, 43.1, 41.9, 26.4. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaBr}$ $[\text{M}+\text{Na}]^+$: 531.0532, found: 531.0534.

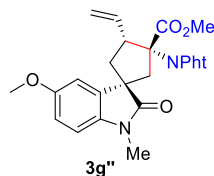


Methyl (1*S*,3*S*,4*R*)-3-(1,3-dioxisoindolin-2-yl)-5'-methoxy-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3g: Yellow solid, 18 mg, 20% yield, 90% ee; $[\alpha]_{\text{D}}^{25} = -24.44$ ($c = 0.50$, CH_2Cl_2), m.p. 89.6 - 91.7 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1*S*,2*R*,4*R*)-3g} = 13.8$ min (major), $t_{(1*R*,2*S*,4*S*)-3g} = 20.4$ min (minor)). ^1H NMR (400 MHz, CDCl_3) δ 7.93 - 7.75 (m, 2H), 7.75 - 7.67 (m, 2H), 7.43 (d, $J = 2.8$ Hz, 1H), 6.88 - 6.74 (m, 1H), 6.69 (d, $J = 8.5$ Hz, 1H), 6.26 - 5.95 (m, 1H), 5.51 - 5.32 (m, 1H), 5.22 - 5.00 (m, 2H), 3.85 (s, 3H), 3.69 (s, 3H), 3.50 (d, $J = 15.0$ Hz, 1H), 3.13 (s, 3H), 2.57 - 2.34 (m, 2H), 2.15 (dd, $J = 12.9, 6.2$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 181.5, 171.9, 168.5, 156.7, 136.8, 136.0, 134.8, 134.2, 131.9, 123.4, 116.3, 112.8, 110.9, 108.2, 72.5, 56.0, 52.5, 51.5, 48.1, 44.7, 40.6, 26.3. HRMS (ESI) m/z : calculated for $\text{C}_{26}\text{H}_{23}\text{N}_2\text{O}_6$ $[\text{M}-\text{H}]^-$: 459.1556, found: 459.1561.

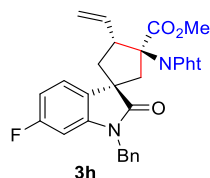


Methyl (1*R*,3*S*,4*R*)-3-(1,3-dioxisoindolin-2-yl)-5'-methoxy-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3g': Yellow solid, 30 mg, 33% yield, 78% ee; $[\alpha]_{\text{D}}^{25} = -22.62$ ($c = 0.50$, CH_2Cl_2), m.p. 75.6 - 76.4 °C. The ee was determined by chiral HPLC

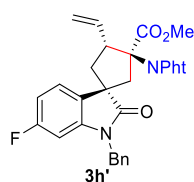
(Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)\text{-}3g'}$ = 15.9 min (major), $t_{(1S,2S,4R)\text{-}3g'}$ = 17.8 min (minor)). ^1H NMR (400 MHz, CDCl_3) δ 7.87 - 7.81 (m, 2H), 7.77 - 7.71 (m, 2H), 6.90 (s, 1H), 6.79 - 6.67 (m, 2H), 6.26 - 6.10 (m, 1H), 5.24 - 5.11 (m, 2H), 5.10 - 5.03 (m, 1H), 3.74 (s, 3H), 3.68 (d, $J = 15.2$ Hz, 1H), 3.61 (s, 3H), 3.19 (s, 3H), 2.71 - 2.61 (m, 1H), 2.48 (s, 1H), 2.05 - 1.98 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 179.0, 170.6, 168.9, 156.1, 137.0, 136.3, 135.6, 134.5, 131.7, 123.5, 117.3, 112.5, 110.0, 108.5, 73.6, 55.6, 52.9, 52.8, 47.3, 46.8, 41.4, 26.7. HRMS (ESI) m/z : calculated for $\text{C}_{26}\text{H}_{23}\text{N}_2\text{O}_6$ [M-H] $^-$: 459.1556, found: 459.1561.



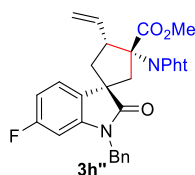
Methyl (1*S*,3*R*,4*R*)-3-(1,3-dioxisoindolin-2-yl)-5'-methoxy-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3g'': Yellow solid, 18 mg, 20% yield, 83% ee; $[\alpha]_D^{25} = +36.26$ ($c = 0.50$, CH_2Cl_2), m.p. 86.4 - 87.7 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)\text{-}3g''}$ = 9.2 min (minor), $t_{(1R,2S,4R)\text{-}3g''}$ = 14.1 min (major)). ^1H NMR (400 MHz, CDCl_3) δ 7.85 - 7.79 (m, 2H), 7.76 - 7.71 (m, 2H), 7.66 (d, $J = 2.8$ Hz, 1H), 6.85 - 6.77 (m, 1H), 6.72 - 6.67 (m, 1H), 5.94 - 5.80 (m, 1H), 5.10 (d, $J = 17.4$ Hz, 1H), 4.96 (d, $J = 10.5$ Hz, 1H), 4.73 - 4.59 (m, 1H), 3.86 (d, $J = 4.4$ Hz, 6H), 3.76 - 3.66 (m, 1H), 3.15 (s, 3H), 2.77 (d, $J = 15.5$ Hz, 1H), 2.67 - 2.59 (m, 1H), 2.29 - 2.21 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 181.0, 171.3, 168.8, 156.6, 137.2, 135.2, 134.3, 134.2, 131.5, 123.4, 117.7, 112.3, 111.8, 108.0, 71.9, 56.0, 53.1, 52.5, 48.4, 43.3, 42.1, 26.4. HRMS (ESI) m/z : calculated for $\text{C}_{26}\text{H}_{23}\text{N}_2\text{O}_6$ [M-H] $^-$: 459.1556, found: 459.1561.



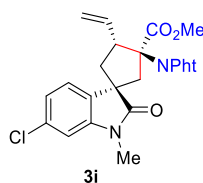
Methyl (1*S*,3*S*,4*R*)-1'-benzyl-3-(1,3-dioxisoindolin-2-yl)-6'-fluoro-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3h: White solid, 43.6 mg, 42% yield, 93% ee; $[\alpha]_D^{25} = +1.35$ ($c = 1.00$, CH_2Cl_2), m.p. 149.7 - 150.6 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)\text{-}3h}$ = 10.5 min (major), $t_{(1R,2S,4S)\text{-}3h}$ = 15.1 min (minor)). ^1H NMR (400 MHz, CDCl_3) δ 7.92 - 7.58 (m, 5H), 7.34 - 7.17 (m, 5H), 6.84 - 6.68 (m, 1H), 6.44 (d, $J = 8.4$ Hz, 1H), 6.25 - 6.04 (m, 1H), 5.54 - 5.33 (m, 1H), 5.24 - 5.02 (m, 2H), 4.93 - 4.69 (m, 2H), 3.70 (s, 3H), 3.57 (d, $J = 14.9$ Hz, 1H), 2.66 - 2.38 (m, 2H), 2.29 - 2.09 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 182.2, 172.0, 168.4, 162.9 (d, $J = 244.7$ Hz, 1C), 143.7 (d, $J = 11.5$ Hz, 1C), 135.8, 135.5, 134.2, 131.8, 128.9, 128.7 (d, $J = 3.0$ Hz, 1C), 127.8, 127.2, 125.0 (d, $J = 9.6$ Hz, 1C), 123.4, 116.4, 109.3 (d, $J = 22.1$ Hz, 1C), 97.6 (d, $J = 27.7$ Hz, 1C), 72.4, 52.5, 50.6, 48.2, 44.5, 43.8, 40.5. HRMS (ESI) m/z : calculated for $\text{C}_{31}\text{H}_{26}\text{N}_2\text{O}_5\text{F}$ [M+H] $^+$: 471.1332, found: 471.1335.



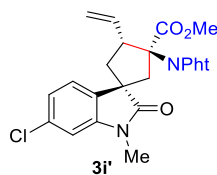
Methyl (1R,3S,4R)-1'-benzyl-3-(1,3-dioxoisindolin-2-yl)-6'-fluoro-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3h': White solid, 28.4 mg, 27% yield, 83% ee; $[\alpha]_D^{25} = -6.84$ ($c = 1.00$, CH_2Cl_2), m.p. 95.2 - 95.8 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3h'} = 14.1$ min (minor), $t_{(1S,2S,4R)-3h'} = 19.1$ min (major)). ^1H NMR (400 MHz, CDCl_3) δ 7.97 - 7.82 (m, 2H), 7.80 - 7.70 (m, 2H), 7.39 - 7.15 (m, 6H), 6.69 - 6.57 (m, 1H), 6.50 - 6.41 (m, 1H), 6.28 - 6.08 (m, 1H), 5.28 - 5.14 (m, 2H), 5.13 - 5.01 (m, 1H), 4.98 - 4.85 (m, 2H), 3.89 - 3.67 (m, 4H), 2.85 - 2.71 (m, 1H), 2.63 - 2.46 (m, 1H), 2.11 - 1.97 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 179.7, 170.6, 169.0, 162.7 (d, $J = 245.0$ Hz, 1C), 143.4 (d, $J = 11.4$ Hz, 1C), 135.4, 135.3, 134.5, 131.6, 131.3 (d, $J = 3.0$ Hz, 1C), 129.0, 127.9, 127.3, 123.8 (d, $J = 9.6$ Hz, 1C), 123.6, 117.4, 108.8 (d, $J = 22.0$ Hz, 1C), 98.0 (d, $J = 27.0$ Hz, 1C), 73.3, 52.9, 52.0, 47.7, 46.8, 44.2, 41.6. HRMS (ESI) m/z : calculated for $\text{C}_{31}\text{H}_{26}\text{N}_2\text{O}_5\text{F}$ $[\text{M}+\text{H}]^+$: 471.1332, found: 471.1335.



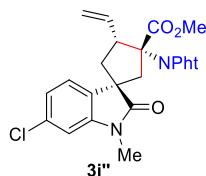
Methyl (1S,3R,4R)-1'-benzyl-3-(1,3-dioxoisindolin-2-yl)-6'-fluoro-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3h'': White solid, 17.6 mg, 17% yield, 78% ee; $[\alpha]_D^{25} = +60.13$ ($c = 1.00$, CH_2Cl_2), m.p. 109.0 - 109.7 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3h''} = 9.7$ min (minor), $t_{(1R,2S,4R)-3h''} = 12.5$ min (major)). ^1H NMR (400 MHz, CDCl_3) δ 7.97 - 7.55 (m, 4H), 7.42 - 7.15 (m, 6H), 6.78 - 6.57 (m, 1H), 6.51 - 6.23 (m, 2H), 5.08 (d, $J = 16.9$ Hz, 1H), 5.02 - 4.74 (m, 3H), 4.31 (d, $J = 15.1$ Hz, 1H), 4.20 - 4.04 (m, 1H), 3.79 (s, 3H), 2.83 - 2.60 (m, 2H), 2.55 - 2.42 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 181.6, 172.8, 168.6, 162.7 (d, $J = 244.8$ Hz, 1C), 143.7 (d, $J = 11.4$ Hz, 1C), 136.2, 135.5, 134.3, 131.7, 131.0 (d, $J = 2.9$ Hz, 1C), 129.0, 127.9, 127.4, 124.6 (d, $J = 9.8$ Hz, 1C), 123.4, 117.9, 109.1 (d, $J = 22.1$ Hz, 1C), 97.7 (d, $J = 27.5$ Hz, 1C), 72.5, 53.2, 51.9, 51.8, 44.3, 44.0, 43.0. HRMS (ESI) m/z : calculated for $\text{C}_{31}\text{H}_{26}\text{N}_2\text{O}_5\text{F}$ $[\text{M}+\text{H}]^+$: 471.1332, found: 471.1335.



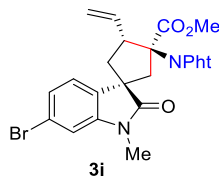
Methyl (1S,3S,4R)-6'-chloro-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3i: White solid, 6.9 mg, 40% yield, 90% ee; $[\alpha]_D^{25} = -14.8$ ($c = 0.50$, CH_2Cl_2), m.p. 231.8 - 232.6 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3i} = 12.2$ min (major), $t_{(1R,2S,4S)-3i} = 16.3$ min (minor)). ^1H NMR (400 MHz, CDCl_3) δ 7.89 - 7.77 (m, 2H), 7.76 - 7.65 (m, 3H), 7.14 - 7.02 (m, 1H), 6.78 (d, $J = 2.0$ Hz, 1H), 6.20 - 6.01 (m, 1H), 5.44 - 5.33 (m, 1H), 5.17 - 5.05 (m, 2H), 3.68 (s, 3H), 3.48 (d, $J = 15.0$ Hz, 1H), 3.13 (s, 3H), 2.48 - 2.35 (m, 2H), 2.18 - 2.08 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 181.7, 172.0, 168.4, 144.5, 135.8, 134.2, 133.9, 131.8, 124.8, 123.4, 123.0, 116.5, 108.6, 72.4, 52.6, 50.7, 48.0, 44.5, 40.3, 26.4. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaCl}$ $[\text{M}+\text{Na}]^+$: 487.1037, found: 487.1040.



Methyl (1R,3S,4R)-6'-chloro-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3i': White solid, 24.6 mg, 27% yield, 82% ee; $[\alpha]_D^{25} = -7.26$ ($c = 0.50$, CH_2Cl_2), m.p. 95.4 - 96.7 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3i'} = 14.9$ min (minor), $t_{(1S,2S,4R)-3i'} = 17.4$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.90 - 7.70 (m, 4H), 7.18 (d, $J = 7.9$ Hz, 1H), 7.03 - 6.89 (m, 1H), 6.81 (d, $J = 2.1$ Hz, 1H), 6.30 - 6.03 (m, 1H), 5.24 - 5.08 (m, 2H), 5.03 - 4.94 (m, 1H), 3.75 (s, 3H), 3.67 (d, $J = 15.2$ Hz, 1H), 3.21 (s, 3H), 2.74 - 2.63 (m, 1H), 2.55 - 2.43 (m, 1H), 1.99 - 1.92 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 179.2, 170.6, 169.0, 144.1, 135.3, 134.5, 134.2, 133.9, 131.7, 123.7, 123.6, 122.5, 117.4, 108.9, 73.2, 52.9, 52.1, 47.4, 46.9, 41.3, 26.7. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaCl}$ $[\text{M}+\text{Na}]^+$: 487.1037, found: 487.1040.

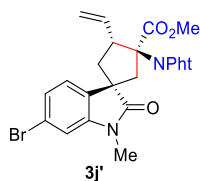


Methyl (1S,3R,4R)-6'-chloro-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3i'': White solid, 12.3mg, 13% yield, 81% ee; $[\alpha]_D^{25} = +40.37$ ($c = 0.50$, CH_2Cl_2), m.p. 89.5 - 90.0 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3i''} = 8.4$ min (minor), $t_{(1R,2S,4R)-3i''} = 11.7$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.91 (d, $J = 8.0$ Hz, 1H), 7.87 - 7.80 (m, 2H), 7.78 - 7.70 (m, 2H), 7.13 - 7.08 (m, 1H), 6.80 (d, $J = 2.1$ Hz, 1H), 5.91 - 5.79 (m, 1H), 5.13 - 5.06 (m, 1H), 5.00 - 4.93 (m, 1H), 4.71 - 4.60 (m, 1H), 3.87 (s, 3H), 3.70 (d, $J = 15.4$ Hz, 1H), 3.16 (s, 3H), 2.73 (d, $J = 15.5$ Hz, 1H), 2.68 - 2.59 (m, 1H), 2.25 - 2.17 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.2, 171.2, 168.9, 144.8, 134.9, 134.5, 134.0, 131.4, 131.0, 125.2, 123.4, 122.9, 117.8, 108.5, 71.8, 53.2, 51.9, 48.2, 43.1, 41.9, 26.4. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaCl}$ $[\text{M}+\text{Na}]^+$: 487.1037, found: 487.1040.

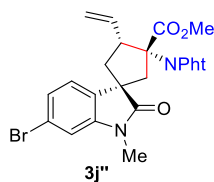


Methyl (1S,3S,4R)-6'-bromo-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3j: White solid, 38.6 mg, 38% yield, 90% ee; $[\alpha]_D^{25} = -9.59$ ($c = 0.50$, CH_2Cl_2), m.p. 159.2 - 160.4 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3j} = 11.8$ min (major), $t_{(1R,2S,4S)-3j} = 16.5$ min (minor)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.86 - 7.77 (m, 2H), 7.74 - 7.67 (m, 2H), 7.64 (d, $J = 7.9$ Hz, 1H), 7.23 (d, $J = 7.9$ Hz, 1H), 6.93 (s, 1H), 6.17 - 5.99 (m, 1H), 5.43 - 5.33 (m, 1H), 5.17 - 5.06 (m, 2H), 3.67 (s, 3H), 3.47 (d, $J = 14.9$ Hz, 1H), 3.12 (s, 3H), 2.49

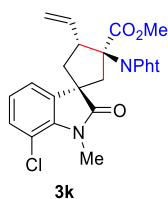
- 2.36 (m, 2H), 2.11 (dd, $J = 13.0, 6.3$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 181.5, 172.0, 168.3, 144.6, 135.7, 134.2, 132.3, 131.7, 125.9, 125.2, 123.4, 121.6, 116.4, 111.3, 72.3, 52.5, 50.7, 47.9, 44.5, 40.2, 26.4. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaBr}$ $[\text{M}+\text{Na}]^+$: 531.0532, found: 531.0535.



Methyl (1R,3S,4R)-6'-bromo-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3j': White solid, 25.7 mg, 25% yield, 82% ee; $[\alpha]_{\text{D}}^{25} = -5.37$ ($c = 0.50$, CH_2Cl_2), m.p. 85.5 - 86.7 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3j'} = 15.9$ min (minor), $t_{(1S,2S,4R)-3j'} = 17.8$ min (major)). ^1H NMR (400 MHz, CDCl_3) δ 7.87 - 7.81 (m, 2H), 7.78 - 7.73 (m, 2H), 7.15 - 7.06 (m, 2H), 6.95 (s, 1H), 6.22 - 6.08 (m, 1H), 5.22 - 5.11 (m, 2H), 5.02 - 4.94 (m, 1H), 3.74 (s, 3H), 3.66 (d, $J = 15.3$ Hz, 1H), 3.20 (s, 3H), 2.73 - 2.63 (m, 1H), 2.48 (d, $J = 15.1$ Hz, 1H), 1.98 - 1.92 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 179.1, 170.5, 168.9, 144.2, 135.3, 134.7, 134.5, 131.6, 125.5, 124.0, 123.6, 121.6, 117.4, 111.6, 73.2, 52.9, 52.1, 47.3, 46.9, 41.2, 26.7. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaBr}$ $[\text{M}+\text{Na}]^+$: 531.0532, found: 531.0535.

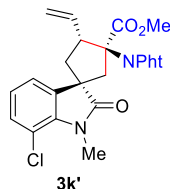


Methyl (1S,3R,4R)-6'-bromo-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3j'': White solid, 12.9 mg, 13% yield, 79% ee; $[\alpha]_{\text{D}}^{25} = +67.62$ ($c = 0.50$, CH_2Cl_2), m.p. 105.9 - 106.8 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3j''} = 8.7$ min (minor), $t_{(1R,2S,4R)-3j''} = 11.7$ min (major)). ^1H NMR (400 MHz, CDCl_3) δ 7.90 - 7.82 (m, 3H), 7.79 - 7.73 (m, 2H), 7.27 (d, $J = 7.9$ Hz, 1H), 6.96 (s, 1H), 5.96 - 5.79 (m, 1H), 5.11 (d, $J = 17.3$ Hz, 1H), 4.97 (d, $J = 10.5$ Hz, 1H), 4.73 - 4.60 (m, 1H), 3.88 (s, 3H), 3.71 (d, $J = 15.2$ Hz, 1H), 3.17 (s, 3H), 2.74 (d, $J = 15.5$ Hz, 1H), 2.69 - 2.60 (m, 1H), 2.26 - 2.18 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 181.1, 171.1, 168.9, 144.9, 134.9, 134.4, 131.5, 131.3, 125.9, 125.5, 123.4, 121.8, 117.8, 111.3, 71.7, 53.2, 51.9, 48.2, 43.0, 41.8, 26.4. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaBr}$ $[\text{M}+\text{Na}]^+$: 531.0532, found: 531.0535.

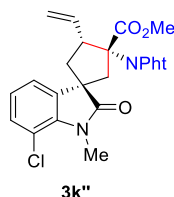


Methyl (1S,3S,4R)-7'-chloro-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3k: White solid, 38.6 mg, 42% yield, 92% ee; $[\alpha]_{\text{D}}^{25} = -1.79$ ($c = 0.50$, CH_2Cl_2), m.p. 89.0 - 89.7 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3k} = 17.2$

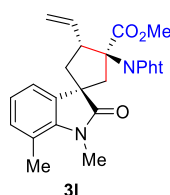
min (major), $t_{(1R,2S,4S)-3k} = 31.5$ min (minor)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.87 - 7.76 (m, 2H), 7.76 - 7.56 (m, 3H), 7.17 (d, $J = 8.2$ Hz, 1H), 7.02 (t, $J = 7.7$ Hz, 1H), 6.19 - 6.04 (m, 1H), 5.50 - 5.36 (m, 1H), 5.23 - 5.02 (m, 2H), 3.68 (s, 3H), 3.60 - 3.40 (m, 4H), 2.58 - 2.29 (m, 2H), 2.20 - 2.05 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.9, 172.1, 168.4, 139.1, 136.2, 135.7, 134.2, 131.8, 130.4, 124.0, 123.4, 122.4, 116.4, 115.1, 72.3, 52.6, 50.8, 48.5, 44.5, 40.8, 29.6. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaCl}$ $[\text{M}+\text{Na}]^+$: 487.1037, found: 487.1039.



Methyl (1R,3S,4R)-7'-chloro-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3k': White solid, 25.7 mg, 28% yield, 81% ee; $[\alpha]_{\text{D}}^{25} = -17.26$ ($c = 0.50$, CH_2Cl_2), m.p. 77.8 - 78.4 °C. The ee was determined by chiral HPLC (Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3k'} = 18.7$ min (minor), $t_{(1S,2S,4R)-3k'} = 52.4$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.89 - 7.80 (m, 2H), 7.80 - 7.71 (m, 2H), 7.22 - 7.08 (m, 2H), 6.88 (t, $J = 7.8$ Hz, 1H), 6.27 - 6.07 (m, 1H), 5.21 - 5.05 (m, 2H), 5.01 - 4.87 (m, 1H), 3.76 (s, 3H), 3.69 - 3.51 (m, 4H), 2.69 (t, $J = 12.6$ Hz, 1H), 2.56 (d, $J = 15.3$ Hz, 1H), 1.97 (dd, $J = 12.6, 6.3$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 179.7, 170.5, 168.9, 138.8, 138.7, 135.3, 134.5, 131.7, 130.4, 123.7, 123.6, 121.2, 117.4, 115.6, 73.3, 52.9, 52.1, 47.5, 47.0, 41.9, 30.1. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaCl}$ $[\text{M}+\text{Na}]^+$: 487.1037, found: 487.1039.

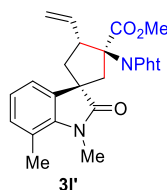


Methyl (1S,3R,4R)-7'-chloro-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3k'': White solid, 12.9 mg, 14% yield, 73% ee; $[\alpha]_{\text{D}}^{25} = +52.00$ ($c = 0.50$, CH_2Cl_2), m.p. 75.2 - 76.1 °C. The ee was determined by chiral HPLC (Chiralcel IF, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3k''} = 28.8$ min (major), $t_{(1R,2S,4R)-3k''} = 30.3$ min (minor)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.88 (d, $J = 7.2$ Hz, 1H), 7.85 - 7.79 (m, 2H), 7.77 - 7.71 (m, 2H), 7.20 (d, $J = 8.0$ Hz, 1H), 7.05 (t, $J = 7.7$ Hz, 1H), 5.92 - 5.76 (m, 1H), 5.11 (d, $J = 17.4$ Hz, 1H), 4.97 (d, $J = 10.5$ Hz, 1H), 4.72 - 4.58 (m, 1H), 3.88 (s, 3H), 3.68 (d, $J = 15.4$ Hz, 1H), 3.55 (s, 3H), 2.77 (d, $J = 15.4$ Hz, 1H), 2.69 - 2.60 (m, 1H), 2.28 - 2.19 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.4, 171.2, 168.9, 139.4, 135.5, 134.9, 134.4, 131.4, 130.5, 123.9, 123.4, 122.6, 118.0, 115.2, 71.8, 53.2, 52.0, 48.1, 43.4, 42.4, 29.7. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaCl}$ $[\text{M}+\text{Na}]^+$: 487.1037, found: 487.1039.

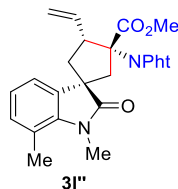


Methyl (1S,3S,4R)-3-(1,3-dioxoisindolin-2-yl)-1',7'-dimethyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3l: Yellow solid, 32 mg, 36% yield, 92%

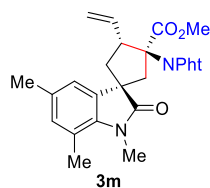
ee; $[\alpha]_D^{25} = -5.02$ ($c = 0.50$, CH_2Cl_2), m.p. 92.8 - 93.2 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3I} = 12.5$ min (major), $t_{(1R,2S,4S)-3I} = 19.1$ min (minor)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.85 - 7.79 (m, 2H), 7.76 - 7.65 (m, 2H), 7.63 (dd, $J = 6.7, 2.2$ Hz, 1H), 7.05 - 6.96 (m, 2H), 6.20 - 6.06 (m, 1H), 5.51 - 5.41 (m, 1H), 5.17 - 5.08 (m, 2H), 3.70 (s, 3H), 3.51 (d, $J = 15.1$ Hz, 1H), 3.44 (s, 3H), 2.56 (s, 3H), 2.51 - 2.39 (m, 2H), 2.12 (dd, $J = 12.9, 6.1$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 182.5, 172.1, 168.5, 141.0, 136.0, 134.1, 134.0, 131.9, 123.4, 123.2, 121.7, 119.4, 116.3, 72.5, 52.5, 50.6, 48.6, 44.5, 40.9, 29.6, 19.0. HRMS (ESI) m/z : calculated for $\text{C}_{26}\text{H}_{24}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 467.1583, found: 467.1588.



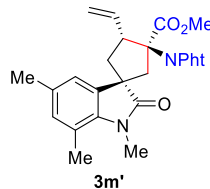
Methyl (1R,3S,4R)-3-(1,3-dioxoisindolin-2-yl)-1',7'-dimethyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3I': Yellow solid, 24 mg, 27% yield, 80% ee; $[\alpha]_D^{25} = -8.91$ ($c = 0.50$, CH_2Cl_2), m.p. 80.3 - 81.0 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3I'} = 13.0$ min (major), $t_{(1S,2S,4R)-3I'} = 14.9$ min (minor)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.90 - 7.80 (m, 2H), 7.78 - 7.71 (m, 2H), 7.12 (d, $J = 7.3$ Hz, 1H), 6.95 (d, $J = 7.7$ Hz, 1H), 6.90 - 6.79 (m, 1H), 6.28 - 6.10 (m, 1H), 5.21 - 5.08 (m, 2H), 5.01 - 4.92 (m, 1H), 3.76 (s, 3H), 3.66 (d, $J = 15.2$ Hz, 1H), 3.52 (s, 3H), 2.69 (t, $J = 12.5$ Hz, 1H), 2.56 (s, 4H), 1.97 (dd, $J = 12.2, 6.0$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 180.2, 170.7, 169.0, 140.5, 136.8, 135.7, 134.4, 131.8, 131.7, 123.5, 122.8, 120.6, 119.8, 117.2, 73.5, 52.8, 51.7, 47.6, 47.0, 41.9, 30.0, 19.3. HRMS (ESI) m/z : calculated for $\text{C}_{26}\text{H}_{24}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 467.1583, found: 467.1588.



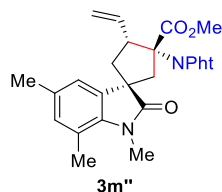
Methyl (1S,3R,4R)-3-(1,3-dioxoisindolin-2-yl)-1',7'-dimethyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3I'': Yellow solid, 24 mg, 27% yield, 86% ee; $[\alpha]_D^{25} = +78.42$ ($c = 0.50$, CH_2Cl_2), m.p. 90.1 - 90.7 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3I''} = 9.4$ min (minor), $t_{(1R,2S,4R)-3I''} = 11.4$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.85 - 7.71 (m, 5H), 7.06 - 6.98 (m, 2H), 5.96 - 5.79 (m, 1H), 5.12 (d, $J = 17.8$ Hz, 1H), 4.96 (d, $J = 10.5$ Hz, 1H), 4.73 - 4.55 (m, 1H), 3.88 (s, 3H), 3.68 (d, $J = 15.4$ Hz, 1H), 3.46 (s, 3H), 2.77 (d, $J = 15.3$ Hz, 1H), 2.63 (t, $J = 12.3$ Hz, 1H), 2.57 (s, 3H), 2.30 - 2.18 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.9, 171.4, 168.8, 141.3, 135.3, 134.4, 133.4, 132.0, 131.4, 123.4, 123.1, 121.9, 119.4, 117.8, 72.0, 53.2, 51.7, 48.2, 43.5, 42.5, 29.7, 19.1. HRMS (ESI) m/z : calculated for $\text{C}_{26}\text{H}_{24}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 467.1583, found: 467.1588.



Methyl (1S,3S,4R)-3-(1,3-dioxoisindolin-2-yl)-1',5',7'-trimethyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3m: Yellow solid, 30 mg, 33% yield, 94% ee; $[\alpha]_D^{25} = -21.69$ ($c = 0.50$, CH_2Cl_2), m.p. 98.0 - 98.9 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3m} = 6.7$ min (major), $t_{(1R,2S,4S)-3m} = 8.4$ min (minor)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.89 - 7.78 (m, 2H), 7.76 - 7.66 (m, 2H), 7.42 (s, 1H), 6.81 (s, 1H), 6.22 - 6.03 (m, 1H), 5.57 - 5.40 (m, 1H), 5.21 - 5.04 (m, 2H), 3.69 (s, 3H), 3.50 (d, $J = 15.0$ Hz, 1H), 3.41 (s, 3H), 2.51 (s, 3H), 2.50 - 2.38 (m, 2H), 2.34 (s, 3H), 2.10 (dd, $J = 12.8, 6.1$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 182.4, 172.2, 168.5, 138.6, 136.1, 134.1, 134.0, 132.7, 132.3, 131.9, 123.4, 122.2, 119.1, 116.2, 72.5, 52.5, 50.7, 48.6, 44.4, 40.9, 29.5, 21.0, 18.8. HRMS (ESI) m/z : calculated for $\text{C}_{27}\text{H}_{26}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 481.1739, found: 481.1740.

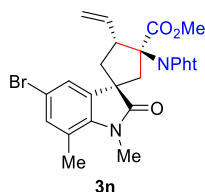


Methyl (1R,3S,4R)-3-(1,3-dioxoisindolin-2-yl)-1',5',7'-trimethyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3m': White solid, 26.7 mg, 29% yield, 90% ee; $[\alpha]_D^{25} = -18.31$ ($c = 0.50$, CH_2Cl_2), m.p. 73.2 - 74.4 °C. The ee was determined by chiral HPLC (Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3m'} = 17.8$ min (minor), $t_{(1S,2S,4R)-3m'} = 18.9$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.90 - 7.82 (m, 2H), 7.78 - 7.72 (m, 2H), 6.87 (s, 1H), 6.75 (s, 1H), 6.29 - 6.15 (m, 1H), 5.20 - 5.09 (m, 2H), 4.99 - 4.92 (m, 1H), 3.76 (s, 3H), 3.62 (d, $J = 15.1$ Hz, 1H), 3.48 (s, 3H), 2.65 (t, $J = 12.2$ Hz, 1H), 2.56 (d, $J = 15.0$ Hz, 1H), 2.51 (s, 3H), 2.13 (s, 3H), 2.00 (dd, $J = 12.6, 6.4$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 180.3, 170.7, 168.9, 138.1, 136.9, 135.8, 134.4, 132.2, 132.1, 131.7, 123.5, 121.4, 119.4, 117.2, 73.8, 52.8, 51.8, 47.4, 47.2, 42.0, 30.0, 20.9, 19.1. HRMS (ESI) m/z : calculated for $\text{C}_{27}\text{H}_{26}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 481.1739, found: 481.1740.

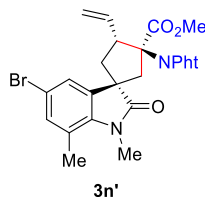


Methyl (1S,3R,4R)-3-(1,3-dioxoisindolin-2-yl)-1',5',7'-trimethyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3m'': White solid, 20 mg, 22% yield, 76% ee; $[\alpha]_D^{25} = +64.75$ ($c = 0.50$, CH_2Cl_2), m.p. 75.8 - 76.4 °C. The ee was determined by chiral HPLC (Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3m''} = 22.8$ min (minor), $t_{(1R,2S,4R)-3m''} = 56.6$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.87 - 7.81 (m, 2H), 7.76 - 7.70 (m, 2H), 7.55 (s, 1H), 6.82 (s, 1H), 5.94 - 5.79 (m, 1H), 5.12 (d, $J = 17.2$ Hz, 1H), 4.96 (d, $J = 10.5$ Hz, 1H), 4.67 - 4.56 (m, 1H), 3.89 (s, 3H), 3.68 - 3.60 (m, 1H), 3.43 (s, 3H), 2.78 (d, $J = 15.3$

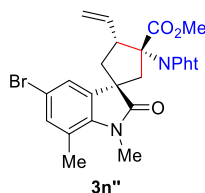
Hz, 1H), 2.61 (t, $J = 12.2$ Hz, 1H), 2.52 (s, 3H), 2.35 (s, 3H), 2.24 (dd, $J = 12.7, 6.9$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 181.8, 171.4, 168.8, 138.8, 135.4, 134.3, 133.5, 132.5, 132.4, 131.4, 123.4, 122.4, 119.1, 117.8, 72.0, 53.1, 51.7, 48.2, 43.4, 42.5, 29.6, 21.1, 18.9. HRMS (ESI) m/z : calculated for $\text{C}_{27}\text{H}_{26}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 481.1739, found: 481.1740.



Methyl (1S,3S,4R)-5'-bromo-3-(1,3-dioxoisindolin-2-yl)-1',7'-dimethyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3n: White solid, 34.3mg, 33% yield, 99% ee; $[\alpha]_{\text{D}}^{25} = -37.75$ ($c = 0.50$, CH_2Cl_2), m.p. 110.1 - 112.2 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3n} = 12.7$ min (major), $t_{(1R,2S,4S)-3n} = 22.5$ min (minor)). ^1H NMR (400 MHz, CDCl_3) δ 7.82 (dd, $J = 5.5, 3.0$ Hz, 2H), 7.75 (d, $J = 2.1$ Hz, 1H), 7.71 (dd, $J = 5.5, 3.0$ Hz, 2H), 7.20 - 7.10 (m, 1H), 6.16 - 6.03 (m, 1H), 5.47 - 5.34 (m, 1H), 5.15 - 5.12 (m, 1H), 5.12 - 5.06 (m, 1H), 3.69 (s, 3H), 3.46 (d, $J = 15.0$ Hz, 1H), 3.41 (s, 3H), 2.52 (s, 3H), 2.47 - 2.36 (m, 2H), 2.09 (dd, $J = 12.9, 6.2$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 181.9, 171.9, 168.4, 140.1, 136.0, 135.7, 134.3, 134.2, 131.8, 124.8, 123.4, 121.4, 116.4, 115.6, 72.3, 52.6, 50.6, 48.4, 44.4, 40.7, 29.6, 18.7. HRMS (ESI) m/z : calculated for $\text{C}_{26}\text{H}_{23}\text{N}_2\text{O}_5\text{NaBr}$ $[\text{M}+\text{Na}]^+$: 545.0688, found: 545.0692.

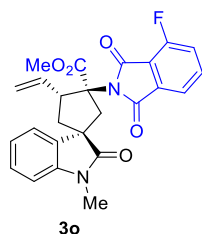


Methyl (1R,3S,4R)-5'-bromo-3-(1,3-dioxoisindolin-2-yl)-1',7'-dimethyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3n': White solid, 26.7 mg, 26% yield, 83% ee; $[\alpha]_{\text{D}}^{25} = -4.93$ ($c = 0.50$, CH_2Cl_2), m.p. 105.4 - 106.5 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3n'} = 15.7$ min (major), $t_{(1S,2S,4R)-3n'} = 17.1$ min (minor)). ^1H NMR (400 MHz, CDCl_3) δ 7.89 - 7.82 (m, 2H), 7.78 - 7.72 (m, 2H), 7.17 (s, 1H), 7.09 (s, 1H), 6.27 - 6.10 (m, 1H), 5.19 - 5.09 (m, 2H), 4.92 - 4.79 (m, 1H), 3.75 (s, 3H), 3.58 (d, $J = 15.1$ Hz, 1H), 3.48 (s, 3H), 2.68 - 2.56 (m, 2H), 2.52 (s, 3H), 2.00 (dd, $J = 12.6, 6.4$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 179.7, 170.3, 168.8, 139.6, 138.5, 135.4, 134.4, 134.0, 131.5, 123.7, 123.5, 121.6, 117.4, 114.9, 73.4, 52.7, 51.7, 47.2, 46.9, 41.8, 29.9, 18.9. HRMS (ESI) m/z : calculated for $\text{C}_{26}\text{H}_{23}\text{N}_2\text{O}_5\text{NaBr}$ $[\text{M}+\text{Na}]^+$: 545.0688, found: 545.0692.

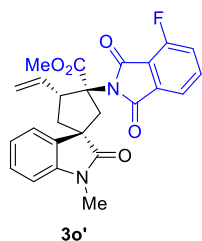


Methyl (1S,3R,4R)-5'-bromo-3-(1,3-dioxoisindolin-2-yl)-1',7'-dimethyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3n'': White solid, 15.2 mg, 15% yield, 80% ee; $[\alpha]_{\text{D}}^{25} = +128.86$ ($c = 0.50$, CH_2Cl_2), m.p. 108.6 - 109.2 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3n''} =$

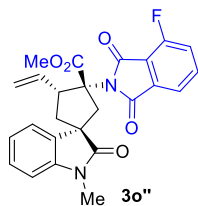
11.1 min (minor), $t_{(1R,2S,4R)-3n''} = 18.6$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.94 (d, $J = 2.3$ Hz, 1H), 7.89 - 7.80 (m, 2H), 7.78 - 7.70 (m, 2H), 7.18 - 7.14 (m, 1H), 5.93 - 5.74 (m, 1H), 5.18 - 5.07 (m, 1H), 4.96 (d, $J = 10.6$ Hz, 1H), 4.72 - 4.57 (m, 1H), 3.87 (s, 3H), 3.67 - 3.55 (m, 1H), 3.43 (s, 3H), 2.74 (d, $J = 15.4$ Hz, 1H), 2.67 - 2.58 (m, 1H), 2.53 (s, 3H), 2.19 (dd, $J = 12.7, 6.8$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.3, 171.1, 168.7, 140.4, 135.2, 134.8, 134.4, 134.3, 131.3, 125.0, 123.4, 121.3, 117.9, 115.4, 71.6, 53.1, 51.7, 48.0, 43.3, 42.2, 29.6, 18.8. HRMS (ESI) m/z : calculated for $\text{C}_{26}\text{H}_{23}\text{N}_2\text{O}_5\text{NaBr}$ $[\text{M}+\text{Na}]^+$: 545.0688, found: 545.0692.



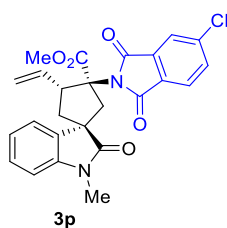
Methyl (1S,3S,4R)-3-(4-fluoro-1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3o: White solid, 35.6 mg, 40% yield, 94% ee; $[\alpha]_{\text{D}}^{25} = -12.13$ ($c = 0.50$, CH_2Cl_2), m.p. 92.9 - 93.7 °C. The ee was determined by chiral HPLC (Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3o} = 15.2$ min (minor), $t_{(1R,2S,4S)-3o} = 28.6$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.85 - 7.70 (m, 2H), 7.69 - 7.63 (m, 1H), 7.43 - 7.33 (m, 1H), 7.32 - 7.25 (m, 1H), 7.19 - 7.11 (m, 1H), 6.81 (d, $J = 7.6$ Hz, 1H), 6.21 - 6.05 (m, 1H), 5.48 - 5.35 (m, 1H), 5.21 - 5.09 (m, 2H), 3.72 (s, 3H), 3.53 (d, $J = 15.1$ Hz, 1H), 3.17 (s, 3H), 2.55 - 2.43 (m, 2H), 2.20 - 2.12 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.7, 171.7, 167.0 (d, $J = 2.8$ Hz, 1C), 165.4, 157.6 (d, $J = 266.3$ Hz, 1C), 143.3, 136.8 (d, $J = 7.4$ Hz, 1C), 135.8, 134.2, 133.2, 128.2, 123.6, 123.3, 122.5 (d, $J = 19.8$ Hz, 1C), 119.7 (d, $J = 3.8$ Hz, 1C), 117.5 (d, $J = 12.1$ Hz, 1C), 116.5, 107.9, 72.7, 52.6, 51.1, 48.0, 44.6, 40.5, 26.3. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{22}\text{N}_2\text{O}_5\text{F}$ $[\text{M}+\text{H}]^+$: 449.1513, found: 449.1516.



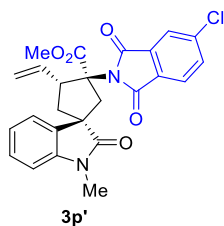
Methyl (1R,3S,4R)-3-(4-fluoro-1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3o': White solid, 17.8 mg, 20% yield, 88% ee; $[\alpha]_{\text{D}}^{25} = -16.26$ ($c = 0.50$, CH_2Cl_2), m.p. 106.1 - 106.9 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3o'} = 20.7$ min (minor), $t_{(1S,2S,4R)-3o'} = 24.9$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.80 - 7.73 (m, 1H), 7.72 - 7.67 (m, 1H), 7.46 - 7.38 (m, 1H), 7.31 - 7.23 (m, 2H), 7.06 - 6.96 (m, 1H), 6.84 (d, $J = 7.6$ Hz, 1H), 6.23 - 6.10 (m, 1H), 5.27 - 5.09 (m, 2H), 5.08 - 4.94 (m, 1H), 3.78 (s, 3H), 3.70 (d, $J = 15.2$ Hz, 1H), 3.24 (s, 3H), 2.71 (t, $J = 12.6$ Hz, 1H), 2.51 (d, $J = 15.2$ Hz, 1H), 2.02 - 1.94 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 179.2, 170.6, 167.8 (d, $J = 2.9$ Hz, 1C), 165.7, 157.8 (d, $J = 266.7$ Hz, 1C), 142.8, 137.0 (d, $J = 7.6$ Hz, 1C), 135.8, 135.4, 133.9, 128.2, 122.9, 122.7 (d, $J = 5.1$ Hz, 1C), 122.6, 119.8 (d, $J = 3.8$ Hz, 1C), 117.6 (d, $J = 11.9$ Hz, 1C), 117.4, 108.2, 73.6, 53.0, 52.4, 47.5, 46.8, 41.3, 26.6. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{22}\text{N}_2\text{O}_5\text{F}$ $[\text{M}+\text{H}]^+$: 449.1513, found: 449.1516.



Methyl (1*S*,3*R*,4*R*)-3-(4-fluoro-1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3o': White solid, 17.8 mg, 20% yield, 88% ee; $[\alpha]_D^{25} = +54.46$ ($c = 0.50$, CH₂Cl₂), m.p. 85.4 - 86.7 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1*S*,2*R*,4*S*)-3o'} = 15.3$ min (minor), $t_{(1*R*,2*S*,4*R*)-3o'} = 28.9$ min (major)). ¹H NMR (400 MHz, CDCl₃) δ 7.86 (d, $J = 7.7$ Hz, 1H), 7.70 - 7.63 (m, 1H), 7.59 (d, $J = 7.2$ Hz, 1H), 7.33 (t, $J = 8.4$ Hz, 1H), 7.24 - 7.17 (m, 1H), 7.08 (t, $J = 7.6$ Hz, 1H), 6.74 (d, $J = 7.7$ Hz, 1H), 5.87 - 5.76 (m, 1H), 5.09 - 5.01 (m, 1H), 4.95-4.89 (m, 1H), 4.63-4.54 (m, 1H), 3.81 (s, 3H), 3.65 (d, $J = 15.4$ Hz, 1H), 3.11 (s, 3H), 2.70 (d, $J = 15.5$ Hz, 1H), 2.65 (t, $J = 12.0$, 1H), 2.20 (dd, $J = 12.0, 6.8$ Hz, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 181.2, 171.0, 167.6 (d, $J = 2.8$ Hz, 1C), 165.6, 157.7 (d, $J = 266.4$ Hz, 1C), 143.6, 136.9 (d, $J = 7.5$ Hz, 1C), 135.1, 133.6, 132.7, 128.3, 124.1, 123.2, 122.7 (d, $J = 19.8$ Hz, 1C), 119.7 (d, $J = 3.7$ Hz, 1C), 117.9, 117.3 (d, $J = 12.0$ Hz, 1C), 107.8, 72.2, 53.3, 52.1, 48.2, 43.0, 41.9, 26.3. HRMS (ESI) m/z : calculated for C₂₅H₂₂N₂O₅F [M+H]⁺: 449.1513, found: 449.1516.



Methyl (1*S*,3*S*,4*R*)-3-(5-chloro-1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3p: Yellow solid, 33.6 mg, 36% yield, 93% ee; $[\alpha]_D^{25} = -9.40$ ($c = 0.50$, CH₂Cl₂), m.p. 93.2 - 94.0 °C. The ee was determined by chiral HPLC (Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1*S*,2*R*,4*R*)-3p} = 15.9$ min (minor), $t_{(1*R*,2*S*,4*S*)-3p} = 20.7$ min (major)). ¹H NMR (400 MHz, CDCl₃) δ 7.88 - 7.71 (m, 3H), 7.71 - 7.64 (m, 1H), 7.31 - 7.24 (m, 1H), 7.20 - 7.08 (m, 1H), 6.81 (d, $J = 7.6$ Hz, 1H), 6.21 - 6.01 (m, 1H), 5.48 - 5.33 (m, 1H), 5.25 - 5.09 (m, 2H), 3.71 (s, 3H), 3.52 (d, $J = 15.0$ Hz, 1H), 3.16 (s, 3H), 2.58 - 2.38 (m, 2H), 2.16 (dd, $J = 12.9, 6.2$ Hz, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 181.7, 171.7, 167.4, 167.1, 143.3, 140.8, 135.8, 134.2, 133.5, 133.2, 129.9, 128.2, 124.7, 123.8, 123.6, 123.2, 116.5, 107.8, 72.7, 52.6, 51.1, 47.9, 44.6, 40.5, 26.2. HRMS (ESI) m/z : calculated for C₂₅H₂₁N₂O₅NaCl [M+Na]⁺: 487.1037, found: 487.1037.

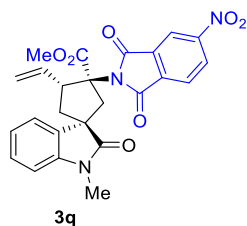


Methyl (1*R*,3*S*,4*R*)-3-(5-chloro-1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3p': Yellow solid, 20.2 mg, 22% yield, 81%

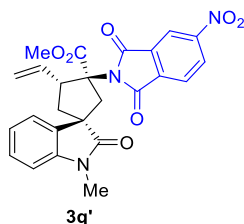
ee; $[\alpha]_D^{25} = -8.93$ ($c = 0.50$, CH_2Cl_2), m.p. 83.0 - 83.9 °C. The ee was determined by chiral HPLC (Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)\text{-}3p'} = 24.9$ min (minor), $t_{(1S,2S,4R)\text{-}3p'} = 61.0$ min (major)). ^1H NMR (400 MHz, CDCl_3) δ 7.89 - 7.76 (m, 2H), 7.74 - 7.68 (m, 1H), 7.28 - 7.22 (m, 2H), 6.99 (t, $J = 7.5$ Hz, 1H), 6.83 (d, $J = 7.8$ Hz, 1H), 6.25 - 6.05 (m, 1H), 5.24 - 5.12 (m, 2H), 5.06 - 4.96 (m, 1H), 3.77 (s, 3H), 3.70 (d, $J = 15.2$ Hz, 1H), 3.24 (s, 3H), 2.71 (d, $J = 12.5$ Hz, 1H), 2.50 (d, $J = 15.2$ Hz, 1H), 2.03 - 1.94 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 179.2, 170.6, 168.1, 167.7, 142.8, 141.2, 135.8, 135.4, 134.5, 133.4, 129.7, 128.2, 124.8, 124.0, 122.9, 122.6, 117.4, 108.2, 73.6, 52.9, 52.4, 47.5, 46.9, 41.4, 26.6. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaCl}$ $[\text{M}+\text{Na}]^+$: 487.1037, found: 487.1037.



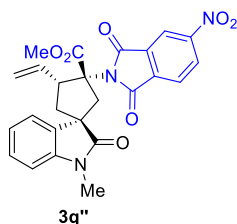
Methyl (1S,3R,4R)-3-(5-chloro-1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3p': Yellow solid, 13.5 mg, 15% yield, 83% ee; $[\alpha]_D^{25} = +91.66$ ($c = 0.50$, CH_2Cl_2), m.p. 83.7 - 84.4 °C. The ee was determined by chiral HPLC (Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)\text{-}3p''} = 15.6$ min (minor), $t_{(1R,2S,4R)\text{-}3p''} = 18.9$ min (major)). ^1H NMR (400 MHz, CDCl_3) δ 7.91 (d, $J = 7.4$ Hz, 1H), 7.86 - 7.59 (m, 3H), 7.32 - 7.25 (m, 1H), 7.14 (t, $J = 7.5$ Hz, 1H), 6.81 (d, $J = 7.6$ Hz, 1H), 5.97 - 5.76 (m, 1H), 5.10 (d, $J = 17.4$ Hz, 1H), 4.97 (d, $J = 10.6$ Hz, 1H), 4.76 - 4.53 (m, 1H), 3.87 (s, 3H), 3.71 (d, $J = 15.4$ Hz, 1H), 3.18 (s, 3H), 2.77 (d, $J = 15.5$ Hz, 1H), 2.68 - 2.55 (m, 1H), 2.27 (dd, $J = 12.8, 6.7$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 181.2, 171.0, 167.9, 167.6, 143.6, 141.1, 135.1, 134.5, 133.1, 132.8, 129.5, 128.3, 124.7, 124.0, 123.8, 123.2, 117.9, 107.9, 72.2, 53.2, 52.1, 48.3, 43.1, 41.9, 26.3. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{NaCl}$ $[\text{M}+\text{Na}]^+$: 487.1037, found: 487.1037.



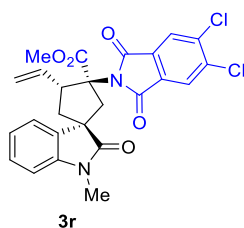
Methyl (1S,3S,4R)-1'-methyl-3-(5-nitro-1,3-dioxoisindolin-2-yl)-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3q: Yellow solid, 47.8 mg, 50% yield, 91% ee; $[\alpha]_D^{25} = -15.69$ ($c = 0.50$, CH_2Cl_2), m.p. 112.5 - 113.4 °C. The ee was determined by chiral HPLC (Chiralcel IH, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)\text{-}3q} = 11.0$ min (minor), $t_{(1R,2S,4S)\text{-}3q} = 13.8$ min (major)). ^1H NMR (400 MHz, CDCl_3) δ 8.75 - 8.51 (m, 2H), 8.04 (d, $J = 8.1$ Hz, 1H), 7.71 (d, $J = 7.4$ Hz, 1H), 7.35 - 7.24 (m, 1H), 7.19 - 7.11 (m, 1H), 6.82 (d, $J = 7.7$ Hz, 1H), 6.15 - 6.01 (m, 1H), 5.45 - 5.33 (m, 1H), 5.23 - 5.11 (m, 2H), 3.73 (s, 3H), 3.53 (d, $J = 15.1$ Hz, 1H), 3.16 (s, 3H), 2.55 - 2.42 (m, 2H), 2.26 - 2.14 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 181.7, 171.4, 166.3, 166.2, 151.9, 143.3, 136.3, 135.5, 133.1, 133.0, 129.4, 128.4, 124.7, 123.6, 123.4, 118.9, 117.0, 108.0, 73.3, 52.8, 51.2, 47.8, 44.8, 40.6, 26.3. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{22}\text{N}_3\text{O}_7$ $[\text{M}+\text{H}]^+$: 476.1458, found: 476.1462.



Methyl (1R,3S,4R)-1'-methyl-3-(5-nitro-1,3-dioxoisindolin-2-yl)-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3q': Yellow solid, 13.7 mg, 14% yield, 72% ee; $[\alpha]_{\text{D}}^{25} = -21.15$ ($c = 0.50$, CH₂Cl₂), m.p. 96.3 - 97.1 °C. The ee was determined by chiral HPLC (Chiralcel IH, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3q'} = 16.9$ min (minor), $t_{(1S,2S,4R)-3q'} = 20.2$ min (major)). ¹H NMR (400 MHz, CDCl₃) δ 8.78 - 8.50 (m, 2H), 8.07 (d, $J = 8.2$ Hz, 1H), 7.34 - 7.18 (m, 2H), 7.07 - 6.95 (m, 1H), 6.85 (d, $J = 7.8$ Hz, 1H), 6.23 - 6.03 (m, 1H), 5.28 - 5.11 (m, 2H), 5.07 - 4.95 (m, 1H), 3.88 - 3.63 (m, 4H), 3.25 (s, 3H), 2.81 - 2.66 (m, 1H), 2.57 - 2.42 (m, 1H), 2.07 - 1.94 (m, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 179.0, 170.4, 167.0, 166.6, 152.1, 142.9, 136.0, 135.7, 135.1, 133.1, 129.7, 128.3, 124.9, 122.9, 122.5, 119.1, 117.8, 108.4, 74.0, 53.1, 52.4, 47.5, 47.0, 41.4, 26.7. HRMS (ESI) m/z : calculated for C₂₅H₂₂N₃O₇ [M+H]⁺: 476.1458, found: 476.1462.

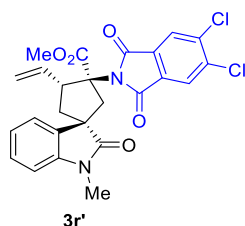


Methyl (1S,3R,4R)-1'-methyl-3-(5-nitro-1,3-dioxoisindolin-2-yl)-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3q'': Yellow solid, 13.7 mg, 14% yield, 71% ee; $[\alpha]_{\text{D}}^{25} = +63.22$ ($c = 0.50$, CH₂Cl₂), m.p. 111.8 - 113.0 °C. The ee was determined by chiral HPLC (Chiralcel IH, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3q''} = 15.8$ min (major), $t_{(1R,2S,4R)-3q''} = 28.8$ min (minor)). ¹H NMR (400 MHz, CDCl₃) δ 8.79 - 8.53 (m, 2H), 8.04 (d, $J = 8.2$ Hz, 1H), 7.91 (d, $J = 7.5$ Hz, 1H), 7.39 - 7.23 (m, 1H), 7.17 (t, $J = 7.6$ Hz, 1H), 6.83 (d, $J = 7.8$ Hz, 1H), 5.99 - 5.80 (m, 1H), 5.12 (d, $J = 17.2$ Hz, 1H), 4.99 (d, $J = 10.5$ Hz, 1H), 4.75 - 4.61 (m, 1H), 3.89 (s, 3H), 3.72 (d, $J = 15.4$ Hz, 1H), 3.20 (s, 3H), 2.81 (d, $J = 15.5$ Hz, 1H), 2.68 - 2.56 (m, 1H), 2.38 - 2.25 (m, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 181.1, 170.7, 166.8, 166.5, 152.1, 143.6, 135.7, 135.0, 132.8, 132.6, 129.6, 128.4, 124.8, 123.9, 123.2, 118.9, 118.1, 108.0, 72.7, 53.4, 52.0, 48.3, 43.0, 41.8, 26.3. HRMS (ESI) m/z : calculated for C₂₅H₂₂N₃O₇ [M+H]⁺: 476.1458, found: 476.1462.

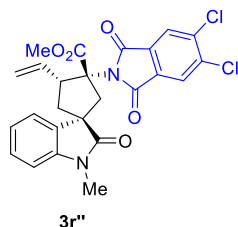


Methyl (1S,3S,4R)-3-(5,6-dichloro-1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3r: White solid, 43 mg, 43% yield, 95% ee; $[\alpha]_{\text{D}}^{25} = +5.91$ ($c = 0.50$, CH₂Cl₂), m.p. 83.7 - 84.5 °C. The ee was determined by chiral HPLC

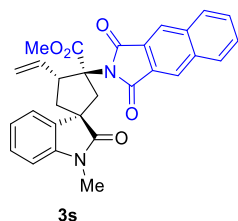
(Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3r} = 11.9$ min (minor), $t_{(1R,2S,4S)-3r} = 13.3$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.94 (s, 2H), 7.27 - 7.24 (m, 1H), 7.24 - 7.20 (m, 1H), 7.04 - 6.93 (m, 1H), 6.83 (d, $J = 7.7$ Hz, 1H), 6.24 - 6.00 (m, 1H), 5.28 - 5.10 (m, 2H), 5.06 - 4.92 (m, 1H), 3.76 (s, 3H), 3.68 (d, $J = 15.2$ Hz, 1H), 3.23 (s, 3H), 2.70 (d, $J = 15.3$ Hz, 1H), 2.47 (dd, $J = 13.8, 11.1$ Hz, 1H), 2.01 - 1.95 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 179.1, 170.5, 167.1, 142.9, 139.5, 135.7, 135.2, 130.8, 128.2, 125.7, 122.9, 122.5, 117.6, 108.3, 73.8, 53.0, 52.4, 47.5, 46.9, 41.3, 26.7. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{Cl}_2$ $[\text{M}+\text{H}]^+$: 499.0828, found: 499.0832.



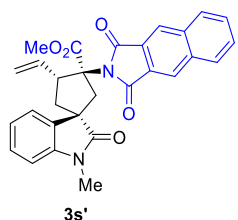
Methyl (1R,3S,4R)-3-(5,6-dichloro-1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3r': White solid, 17.2 mg, 17% yield, 77% ee; $[\alpha]_{\text{D}}^{25} = +57.8$ ($c = 0.50$, CH_2Cl_2), m.p. 149.3 - 151.0 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3r'} = 8.4$ min (minor), $t_{(1S,2S,4R)-3r'} = 14.5$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.98 - 7.83 (m, 3H), 7.32 - 7.27 (m, 1H), 7.15 (t, $J = 7.4$ Hz, 1H), 6.81 (d, $J = 7.7$ Hz, 1H), 5.90 - 5.79 (m, 1H), 5.10 (d, $J = 17.3$ Hz, 1H), 4.98 (d, $J = 10.5$ Hz, 1H), 4.70 - 4.60 (m, 1H), 3.86 (s, 3H), 3.69 (d, $J = 15.5$ Hz, 1H), 3.18 (s, 3H), 2.76 (d, $J = 15.4$ Hz, 1H), 2.60 (t, $J = 12.8$ Hz, 1H), 2.27 (dd, $J = 15.2, 1.0$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.2, 170.9, 166.9, 143.6, 139.4, 135.0, 132.7, 130.5, 128.4, 125.6, 123.9, 123.2, 118.0, 107.9, 72.4, 53.3, 52.0, 48.2, 43.0, 41.8, 26.3. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{Cl}_2$ $[\text{M}+\text{H}]^+$: 499.0828, found: 499.0832.



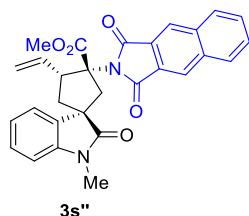
Methyl (1S,3R,4R)-3-(5,6-dichloro-1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3r'': White solid, 17.2 mg, 17% yield, 86% ee; $[\alpha]_{\text{D}}^{25} = -16.64$ ($c = 0.50$, CH_2Cl_2), m.p. 103.6 - 104.6 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3r''} = 6.5$ min (minor), $t_{(1R,2S,4R)-3r''} = 10.1$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.86 (s, 2H), 7.68 (d, $J = 7.3$ Hz, 1H), 7.24 - 7.22 (m, 1H), 7.09 (t, $J = 7.3$ Hz, 1H), 6.77 (d, $J = 7.7$ Hz, 1H), 6.07-5.99 (m, 1H), 5.36-5.29 (m, 1H), 5.13 - 5.09 (m, 2H), 3.66 (s, 3H), 3.46 (d, $J = 15.0$ Hz, 1H), 3.12 (s, 3H), 2.38-2.47 (m, 2H), 2.13 (dd, $J = 13.1, 6.2$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.6, 171.6, 166.5, 143.3, 139.1, 135.6, 133.1, 130.9, 128.3, 125.5, 123.6, 123.3, 116.7, 107.9, 72.9, 52.7, 51.1, 47.8, 44.6, 40.5, 26.3. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}_5\text{Cl}_2$ $[\text{M}+\text{H}]^+$: 499.0828, found: 499.0832.



Methyl (1S,3S,4R)-3-(1,3-dioxo-1,3-dihydro-2H-benzo[f]isoindol-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3s: White solid, 28 mg, 29% yield, 94% ee; $[\alpha]_D^{25} = -27.98$ ($c = 0.50$, CH_2Cl_2), m.p. 116.9 - 117.4 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 70/30, flow rate 2.0 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3s} = 10.8$ min (major), $t_{(1R,2S,4S)-3s} = 20.4$ min (minor)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.30 (s, 2H), 8.08 - 7.97 (m, 2H), 7.81 (d, $J = 7.4$ Hz, 1H), 7.74 - 7.62 (m, 2H), 7.35 - 7.23 (m, 1H), 7.20 - 7.06 (m, 1H), 6.81 (d, $J = 7.7$ Hz, 1H), 6.28 - 6.10 (m, 1H), 5.59 - 5.46 (m, 1H), 5.24 - 5.06 (m, 2H), 3.71 (s, 3H), 3.60 (d, $J = 15.0$ Hz, 1H), 3.17 (s, 3H), 2.61 - 2.43 (m, 2H), 2.25 - 2.13 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.8, 172.0, 168.2, 143.3, 136.1, 135.6, 133.5, 130.3, 129.2, 128.2, 127.6, 124.8, 123.8, 123.3, 116.2, 107.8, 72.9, 52.5, 51.0, 48.1, 44.6, 40.4, 26.3. HRMS (ESI) m/z : calculated for $\text{C}_{29}\text{H}_{24}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 503.1583, found: 503.1584.

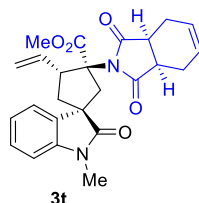


Methyl (1R,3S,4R)-3-(1,3-dioxo-1,3-dihydro-2H-benzo[f]isoindol-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3s': White solid, 28 mg, 29% yield, 81% ee; $[\alpha]_D^{25} = -27.04$ ($c = 0.50$, CH_2Cl_2), m.p. 95.0 - 95.9 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 70/30, flow rate 2.0 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3s'} = 7.7$ min (minor), $t_{(1S,2S,4R)-3s'} = 23.0$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.35 (s, 2H), 8.11 - 7.99 (m, 2H), 7.77 - 7.62 (m, 2H), 7.33 (d, $J = 7.6$ Hz, 1H), 7.29 - 7.15 (m, 1H), 6.97 (t, $J = 7.6$ Hz, 1H), 6.82 (d, $J = 7.8$ Hz, 1H), 6.33 - 6.17 (m, 1H), 5.26 - 5.08 (m, 3H), 3.87 - 3.69 (m, 4H), 3.24 (s, 3H), 2.73 (t, $J = 12.4$ Hz, 1H), 2.58 (d, $J = 15.1$ Hz, 1H), 2.09 - 1.97 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 179.3, 170.7, 168.7, 142.8, 136.0, 135.8, 135.7, 130.4, 129.4, 128.1, 127.3, 125.1, 122.9, 122.7, 117.2, 108.1, 73.7, 52.8, 52.4, 47.5, 46.9, 41.4, 26.6. HRMS (ESI) m/z : calculated for $\text{C}_{29}\text{H}_{24}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 503.1583, found: 503.1584.

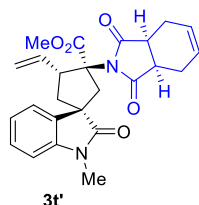


Methyl (1S,3R,4R)-3-(1,3-dioxo-1,3-dihydro-2H-benzo[f]isoindol-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3s'': White solid, 18.7 mg, 20% yield, 87% ee; $[\alpha]_D^{25} = +108.48$ ($c = 0.50$, CH_2Cl_2), m.p. 216.9 - 217.5 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3s''} =$

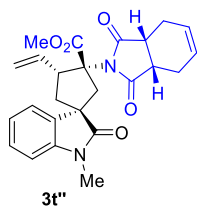
16.6 min (major), $t_{(1R,2S,4R)-3t} = 19.3$ min (minor)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.33 (s, 2H), 8.11 - 7.91 (m, 3H), 7.77 - 7.60 (m, 2H), 7.35 - 7.23 (m, 1H), 7.22 - 7.12 (m, 1H), 6.82 (d, $J = 7.8$ Hz, 1H), 6.01 - 5.80 (m, 1H), 5.13 (d, $J = 17.3$ Hz, 1H), 4.96 (d, $J = 10.5$ Hz, 1H), 4.81 - 4.63 (m, 1H), 4.07 - 3.73 (m, 4H), 3.20 (s, 3H), 2.88 - 2.59 (m, 2H), 2.37 - 2.21 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.3, 171.3, 168.6, 143.6, 135.7, 135.3, 132.9, 130.4, 129.4, 128.2, 127.1, 124.9, 124.1, 123.2, 117.7, 107.8, 72.3, 53.2, 52.2, 48.4, 43.1, 42.0, 26.3. HRMS (ESI) m/z : calculated for $\text{C}_{29}\text{H}_{24}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 503.1583, found: 503.1584.



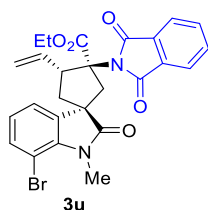
Methyl (1S,3S,4R)-3-(1,3-dioxo-1,3,4,7-tetrahydro-2H-isoindol-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3t: Yellow solid, 41 mg, 47% yield, 93% ee; $[\alpha]_{\text{D}}^{25} = +45.53$ ($c = 0.50$, CH_2Cl_2), m.p. 62.1 - 62.9 °C. The ee was determined by chiral HPLC (Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3t} = 10.4$ min (minor), $t_{(1R,2S,4S)-3t} = 14.0$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.72 (dd, $J = 7.4, 1.2$ Hz, 1H), 7.29 - 7.23 (m, 1H), 7.16 - 7.06 (m, 1H), 6.80 (d, $J = 7.6$ Hz, 1H), 6.12 - 6.01 (m, 1H), 6.00 - 5.86 (m, 2H), 5.31 - 5.18 (m, 1H), 5.13 - 4.96 (m, 2H), 3.67 (s, 3H), 3.41 (d, $J = 15.0$ Hz, 1H), 3.17 (s, 3H), 3.15 - 3.09 (m, 1H), 3.09 - 3.00 (m, 1H), 2.65 - 2.54 (m, 2H), 2.38 (t, $J = 12.8$ Hz, 1H), 2.30 - 2.19 (m, 3H), 2.10 (dd, $J = 12.8, 6.3$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.6, 180.5, 179.8, 171.3, 143.2, 136.1, 133.4, 128.1, 127.5, 127.4, 123.6, 123.1, 115.9, 107.7, 73.1, 52.2, 50.7, 47.2, 44.0, 40.2, 39.2, 38.6, 26.2, 23.6, 23.4. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{26}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 457.1739, found: 457.1739.



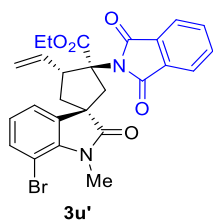
Methyl (1R,3S,4R)-3-(1,3-dioxo-1,3,4,7-tetrahydro-2H-isoindol-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3t': Yellow solid, 16.4 mg, 19% yield, 77% ee; $[\alpha]_{\text{D}}^{25} = +48.73$ ($c = 0.50$, CH_2Cl_2), m.p. 58.9 - 60.7 °C. The ee was determined by chiral HPLC (Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3t'} = 18.1$ min (minor), $t_{(1S,2S,4R)-3t'} = 19.9$ min (major)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.30 - 7.24 (m, 2H), 7.08 - 7.00 (m, 1H), 6.91 - 6.81 (m, 1H), 6.16 - 6.04 (m, 1H), 5.95 - 5.90 (m, 1H), 5.89 - 5.81 (m, 1H), 5.16 - 5.06 (m, 2H), 4.94 - 4.81 (m, 1H), 3.74 (s, 3H), 3.53 (d, $J = 15.1$ Hz, 1H), 3.22 (s, 3H), 3.16 - 3.09 (m, 2H), 2.70 - 2.55 (m, 3H), 2.39 - 2.31 (m, 1H), 2.30 - 2.20 (m, 2H), 1.95 - 1.83 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 180.8, 180.5, 179.2, 170.1, 142.7, 135.8, 135.3, 128.1, 127.7, 127.7, 122.7, 122.6, 117.1, 108.1, 73.6, 52.7, 51.9, 46.6, 46.2, 41.0, 39.2, 38.8, 26.5, 23.7, 23.6. HRMS (ESI) m/z : calculated for $\text{C}_{25}\text{H}_{26}\text{N}_2\text{O}_5\text{Na}$ $[\text{M}+\text{Na}]^+$: 457.1739, found: 457.1739.



Methyl (1*S*,3*R*,4*R*)-3-(1,3-dioxo-1,3,4,7-tetrahydro-2H-isoindol-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3t'': Yellow solid, 16.4 mg, 19% yield, 83% ee; $[\alpha]_{\text{D}}^{25} = +32.53$ ($c = 0.50$, CH₂Cl₂), m.p. 60.2 - 60.9 °C. The ee was determined by chiral HPLC (Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1*S*,2*R*,4*S*)-3t''} = 15.1$ min (minor), $t_{(1*R*,2*S*,4*R*)-3t''} = 18.1$ min (major)). ¹H NMR (400 MHz, CDCl₃) δ 7.85 (d, $J = 7.4$ Hz, 1H), 7.28 (s, 1H), 7.16 - 7.09 (m, 1H), 6.79 (d, $J = 7.7$ Hz, 1H), 5.96 - 5.89 (m, 2H), 5.81 - 5.67 (m, 1H), 5.11 (d, $J = 17.2$ Hz, 1H), 5.03 (d, $J = 10.5$ Hz, 1H), 4.64 - 4.48 (m, 1H), 3.82 (s, 3H), 3.54 (d, $J = 15.4$ Hz, 1H), 3.17 (s, 3H), 3.14 - 3.03 (m, 2H), 2.65 - 2.53 (m, 4H), 2.30 - 2.23 (m, 2H), 2.17 (dd, $J = 12.7, 6.8$ Hz, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 181.3, 180.7, 180.3, 171.1, 143.5, 135.3, 132.7, 128.2, 127.9, 127.8, 124.0, 123.1, 117.8, 107.7, 72.3, 53.0, 52.2, 47.8, 42.4, 42.2, 39.2, 38.6, 26.2, 23.6, 23.5. HRMS (ESI) m/z : calculated for C₂₅H₂₆N₂O₅Na [M+Na]⁺: 457.1739, found: 457.1739.

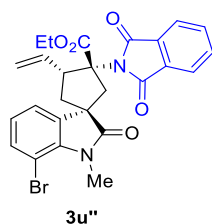


Ethyl (1*S*,3*S*,4*R*)-7'-bromo-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3u: White solid, 33.8 mg, 33% yield, 84% ee; $[\alpha]_{\text{D}}^{25} = -6.11$ ($c = 0.50$, CH₂Cl₂), m.p. 88.9 - 90.6 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1*S*,2*R*,4*R*)-3u} = 7.9$ min (major), $t_{(1*R*,2*S*,4*S*)-3u} = 13.5$ min (minor)). ¹H NMR (400 MHz, CDCl₃) δ 7.91 - 7.77 (m, 2H), 7.77 - 7.62 (m, 3H), 7.35 (d, $J = 8.0$ Hz, 1H), 7.00 - 6.88 (m, 1H), 6.24 - 5.99 (m, 1H), 5.52 - 5.33 (m, 1H), 5.13 (d, $J = 5.7$ Hz, 1H), 5.10 (s, 1H), 4.26 - 4.10 (m, 2H), 3.62 - 3.39 (m, 4H), 2.54 - 2.34 (m, 2H), 2.19 - 2.08 (m, 1H), 1.22 - 1.16 (m, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 182.1, 171.5, 168.4, 140.5, 136.6, 135.8, 134.2, 133.7, 131.8, 124.4, 123.4, 122.9, 116.4, 102.0, 72.0, 61.9, 50.8, 48.5, 44.5, 40.9, 29.8, 14.3. HRMS (ESI) m/z : calculated for C₂₆H₂₃N₂O₅NaBr [M+Na]⁺: 545.0688, found: 545.0692.



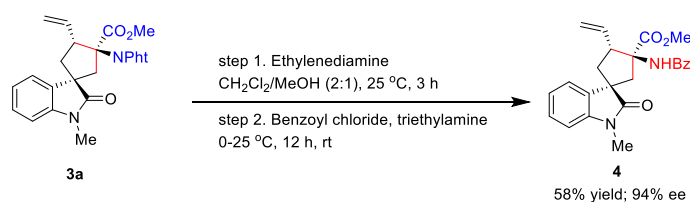
Ethyl (1*R*,3*S*,4*R*)-7'-bromo-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 3u': White solid, 33.8 mg, 33% yield, 77% ee; $[\alpha]_{\text{D}}^{25} = -23.93$ ($c = 0.50$, CH₂Cl₂), m.p. 75.2 - 76.2 °C. The ee was determined by chiral HPLC

(Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3u'} = 10.1$ min (major), $t_{(1S,2S,4R)-3u'} = 11.8$ min (minor)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.88 - 7.81 (m, 2H), 7.77 - 7.72 (m, 2H), 7.32 (d, $J = 8.1$ Hz, 1H), 7.20 (d, $J = 7.3$ Hz, 1H), 6.87 - 6.75 (m, 1H), 6.24 - 6.11 (m, 1H), 5.20 - 5.08 (m, 2H), 5.01 - 4.92 (m, 1H), 4.28 - 4.17 (m, 2H), 3.69 (d, $J = 15.3$ Hz, 1H), 3.61 (s, 3H), 2.71 (t, $J = 12.6$ Hz, 1H), 2.51 (d, $J = 15.3$ Hz, 1H), 2.01 - 1.94 (m, 1H), 1.32 - 1.26 (m, 3H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 179.9, 170.0, 169.0, 140.1, 139.2, 135.4, 134.5, 133.7, 131.7, 124.0, 123.5, 121.7, 117.4, 102.5, 73.1, 62.2, 52.0, 47.8, 46.8, 42.0, 30.3, 14.3. HRMS (ESI) m/z : calculated for $\text{C}_{26}\text{H}_{23}\text{N}_2\text{O}_5\text{NaBr}$ $[\text{M}+\text{Na}]^+$: 545.0688, found: 545.0692.



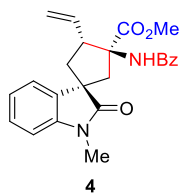
Ethyl (1*S*,3*R*,4*R*)-7'-bromo-3-(1,3-dioxoisindolin-2-yl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 2-(((1*S*,3*R*,4*R*)-7'-bromo-3-(ethoxycarbonyl)-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indolin]-3-yl)-12-azaneyl)carbonyl)benzoic acid **3u'':** White solid, 11.3 mg, 11% yield, 87% ee; $[\alpha]_{\text{D}}^{25} = +62.93$ ($c = 0.50$, CH_2Cl_2), m.p. 76.6 - 77.5 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3u''} = 8.7$ min (major), $t_{(1R,2S,4R)-3u''} = 9.4$ min (minor)). $^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.94 (d, $J = 7.2$ Hz, 1H), 7.87 - 7.78 (m, 2H), 7.77 - 7.70 (m, 2H), 7.37 (d, $J = 8.0$ Hz, 1H), 7.02 - 6.95 (m, 1H), 5.94 - 5.77 (m, 1H), 5.11 (d, $J = 17.4$ Hz, 1H), 4.96 (d, $J = 10.5$ Hz, 1H), 4.71 - 4.58 (m, 1H), 4.46 - 4.26 (m, 2H), 3.68 (d, $J = 15.5$ Hz, 1H), 3.56 (s, 3H), 2.76 (d, $J = 15.4$ Hz, 1H), 2.70 - 2.59 (m, 1H), 2.26 - 2.17 (m, 1H), 1.33 - 1.28 (m, 3H). $^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 181.5, 170.6, 168.8, 140.8, 135.9, 135.0, 134.4, 133.8, 131.4, 124.3, 123.4, 123.1, 117.8, 102.0, 71.9, 62.2, 52.0, 48.1, 43.5, 42.5, 29.9, 14.1. HRMS (ESI) m/z : calculated for $\text{C}_{26}\text{H}_{23}\text{N}_2\text{O}_5\text{NaBr}$ $[\text{M}+\text{Na}]^+$: 545.0688, found: 545.0692.

5. Procedure for the synthesis of compound 4



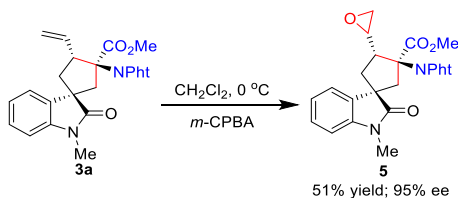
Step1. Ethylenediamine (0.5 mmol) was added dropwise to a solution of compound **3a** (0.25 mmol) in $\text{CH}_2\text{Cl}_2/\text{MeOH}$ (2:1, v/v, 3 mL) at room temperature. The mixture was stirred for 6 h, and then purified by flash column chromatography (petroleum hexane /ethyl acetate = 2:1) to afford the deprotected intermediate.

Step2. To a solution of intermediate (0.15 mmol) and triethylamine (0.20 mmol) in anhydrous THF (4 mL) at 0 °C, benzoyl chloride (0.18 mmol) was added dropwise. The reaction mixture was warmed to room temperature and stirred for 12 h. The reaction was quenched by adding saturated aqueous NH_4Cl (10 mL), and the mixture was extracted with CH_2Cl_2 (3×10 mL). The combined organic phases were washed with saturated brine, dried over anhydrous Na_2SO_4 , filtered and concentrated in vacuo. Purification by flash column chromatography (petroleum hexane /ethyl acetate = 5:1) afforded the compound **4**.

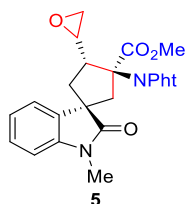


Methyl (1*S*,3*S*,4*R*)-3-benzamido-1'-methyl-2'-oxo-4-vinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 4 : White solid, 58.0 mg, 58% yield, 94% ee; $[\alpha]_{\text{D}}^{25} = -11.73$ ($c = 0.50$, CH_2Cl_2), m.p. 80.2 - 80.9 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 93/7, flow rate 0.8 mL/min, $\lambda = 254$ nm, $t_{(1*S*,2*R*,4*R*)-4} = 31.9$ min (major), $t_{(1*R*,2*S*,4*S*)-4} = 41.6$ min (minor)). ^1H NMR (400 MHz, CDCl_3) δ 7.87 - 7.73 (m, 3H), 7.48 - 7.43 (m, 1H), 7.43 - 7.36 (m, 2H), 7.31 - 7.23 (m, 1H), 7.22 - 7.08 (m, 2H), 6.81 (d, $J = 7.7$ Hz, 1H), 5.81 - 5.65 (m, 1H), 5.28 (d, $J = 17.0$ Hz, 1H), 5.17 (d, $J = 10.4$ Hz, 1H), 3.92 - 3.79 (m, 1H), 3.76 (s, 3H), 3.43 (d, $J = 14.9$ Hz, 1H), 3.18 (s, 3H), 2.43 - 2.27 (m, 2H), 2.11 - 2.01 (m, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 182.3, 173.1, 168.0, 143.1, 134.8, 133.9, 133.5, 131.8, 128.5, 128.1, 127.3, 123.9, 123.4, 118.4, 107.8, 68.7, 52.6, 52.4, 52.0, 47.3, 41.3, 26.4. HRMS (ESI) m/z : calculated for $\text{C}_{24}\text{H}_{24}\text{N}_2\text{O}_4\text{Na}$ $[\text{M}+\text{Na}]^+$: 427.1634, found: 427.1638.

6. Procedure for the synthesis of compound 5



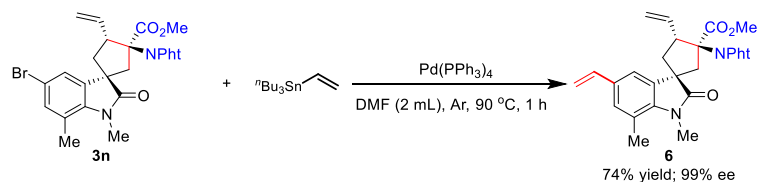
A solution of compound **3a** (0.2 mmol) in dichloromethane (5 mL) was cooled to 0 °C in an ice bath. To this stirring solution was added a solution of *m*-chloroperbenzoic acid (0.52 mmol) in dichloromethane (5 mL) dropwise. The reaction mixture was stirred at 0 °C for 24 h. Upon completion, the reaction mixture was washed with a saturated aqueous sodium NaHCO_3 solution (2×20 mL). The organic layer was separated, washed with water (2×10 mL), and dried over anhydrous NaSO_4 . After filtration, the solution was concentrated under reduced pressure. Purification by flash column chromatography (petroleum hexane /ethyl acetate = 10:1) afforded the compound **5**.



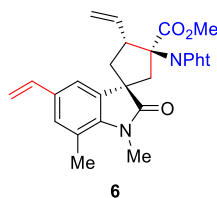
Methyl (1*S*,3*S*,4*S*)-3-(1,3-dioxoisindolin-2-yl)-1',4-dimethyl-2'-oxospiro[cyclopentane-1,3'-indoline]-3-carboxylate 5 : Colorless oil, 27.0 mg, 51% yield, 95% ee; $[\alpha]_{\text{D}}^{25} = -49.82$ ($c = 0.50$, CH_2Cl_2). The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 93/7, flow rate 0.8 mL/min, $\lambda = 254$ nm, $t_{(1*S*,2*R*,4*R*)-5} = 99.4$ min (minor), $t_{(1*R*,2*S*,4*S*)-5} = 118.1$ min (major)). ^1H NMR (400 MHz, CDCl_3) δ 7.91 - 7.84 (m, 2H), 7.80 - 7.75 (m, 2H), 7.25 - 7.19 (m, 1H), 7.09 (d, $J = 7.3$ Hz, 1H), 6.98 - 6.86 (m, 1H), 6.80 (d, $J = 7.7$ Hz, 1H), 3.93 - 3.83 (m, 4H), 3.76 - 3.71 (m, 1H), 3.51 (d, $J = 15.2$ Hz, 1H), 3.21 (s, 3H), 3.01 (d, $J = 15.2$ Hz, 1H), 2.82 - 2.75 (m, 1H), 2.60 - 2.55 (m, 1H), 2.48 (dd, $J = 13.3, 8.7$ Hz, 1H), 2.21 (dd, $J = 13.3, 7.0$ Hz, 1H). ^{13}C NMR (101 MHz,

CDCl₃) δ 180.2, 170.3, 168.9, 142.9, 135.9, 134.6, 131.7, 128.2, 123.7, 123.1, 122.5, 108.2, 72.6, 53.3, 51.7, 51.1, 48.2, 46.0, 45.7, 39.7, 26.6. HRMS (ESI) m/z : calculated for C₂₅H₂₂N₂O₆Na [M+Na]⁺: 469.1376, found: 469.1380.

7. Procedure for the synthesis of compound 6



In a 4 mL flame-dried vial with a stir bar, a mixture of compound **3n** (0.10 mmol), tetrakis (triphenylphosphine) palladium(0) (5 mol%) and allyltributylstannane (0.2 mmol) in 2.0 mL anhydrous DMF was heated at 90 °C for 1 h under an inert atmosphere, which was monitored by TLC. After completion of the reaction, the mixture was cooled to room temperature and then purified by column chromatography on silica gel (petroleum hexane /ethyl acetate = 10:1 to 5:1) to afford the target compound **6**.



Methyl (1*S*,3*S*,4*R*)-3-(1,3-dioxoisindolin-2-yl)-1',7'-dimethyl-2'-oxo-4,5'-divinylspiro[cyclopentane-1,3'-indoline]-3-carboxylate 6 : White solid , 30.0 mg, 74% yield, 99% ee; $[\alpha]_D^{25} = -56.26$ ($c = 0.50$, CH₂Cl₂), m.p. 97.6 - 98.0 °C. The ee was determined by chiral HPLC (Chiralcel AD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1*S*,2*R*,4*R*)-6} = 20.7$ min (major), $t_{(1*R*,2*S*,4*S*)-6} = 38.5$ min (minor)). ¹H NMR (400 MHz, CDCl₃) δ 7.88 - 7.79 (m, 2H), 7.76 - 7.68 (m, 3H), 7.09 - 6.98 (m, 1H), 6.77 - 6.61 (m, 1H), 6.18 - 6.08 (m, 1H), 5.74 (d, $J = 17.6$ Hz, 1H), 5.51 - 5.41 (m, 1H), 5.22 - 5.09 (m, 3H), 3.70 (s, 3H), 3.52 (d, $J = 15.0$ Hz, 1H), 3.43 (s, 3H), 2.55 (s, 3H), 2.51 - 2.41 (m, 2H), 2.16 - 2.08 (m, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 182.5, 172.1, 168.5, 140.7, 136.4, 136.0, 134.4, 134.2, 133.0, 131.9, 130.3, 123.4, 119.3, 119.2, 116.3, 112.5, 72.5, 52.6, 50.6, 48.5, 44.5, 40.9, 29.6, 19.0. HRMS (ESI) m/z : calculated for C₂₈H₂₇N₂O₅ [M+H]⁺: 471.1920, found: 471.1922.

8. Crystallographic information for compounds of 3n, 3n'and 3n''

Sample preparation: A solution of each compound **3n, 3n'and 3n''** in a mixed solvent of EA (1 mL), DCM (1 mL) and petroleum ether (3 mL) was placed in a vial (15 mL). The single crystal was obtained by slowly evaporating the solvent at room temperature under the air condition.

8.1 Crystallographic information for compound 3n

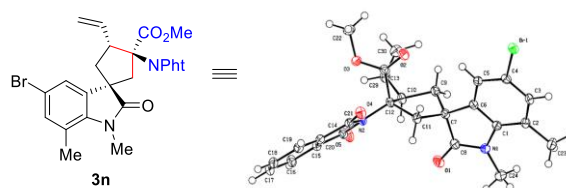


Table 1 Crystal data and structure refinement for 3n.

Identification code	CCDC 2528101
Empirical formula	C ₂₆ H ₂₃ BrN ₂ O ₅
Formula weight	523.37
Temperature/K	100.00(10)
Crystal system	orthorhombic
Space group	P2 ₁ 2 ₁ 2 ₁
a/Å	12.13710(10)
b/Å	12.75540(10)
c/Å	14.93530(10)
α/°	90
β/°	90
γ/°	90
Volume/Å ³	2312.19(3)
Z	4
ρ _{calc} /cm ³	1.503
μ/mm ⁻¹	2.771
F(000)	1072.0
Crystal size/mm ³	0.14 × 0.13 × 0.11
Radiation	Cu Kα (λ = 1.54184)
2θ range for data collection/°	9.118 to 149.38
Index ranges	-15 ≤ h ≤ 14, -11 ≤ k ≤ 15, -18 ≤ l ≤ 18
Reflections collected	21994
Independent reflections	4616 [R _{int} = 0.0163, R _{sigma} = 0.0108]
Data/restraints/parameters	4616/0/318
Goodness-of-fit on F ²	1.030
Final R indexes [I ≥ 2σ (I)]	R ₁ = 0.0170, wR ₂ = 0.0454
Final R indexes [all data]	R ₁ = 0.0171, wR ₂ = 0.0455
Largest diff. peak/hole / e Å ⁻³	0.24/-0.20
Flack/Hooft parameter	-0.025(3)/-0.006(2)

8.2 Crystallographic information for compound 3n'

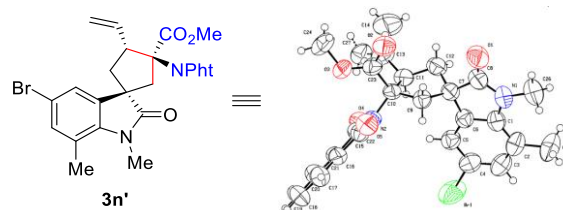


Table 2 Crystal data and structure refinement for 3n'.

Identification code	CCDC 2528102
Empirical formula	C ₂₆ H ₂₃ BrN ₂ O ₅
Formula weight	523.37
Temperature/K	293.01(10)
Crystal system	orthorhombic
Space group	P2 ₁ 2 ₁ 2 ₁
a/Å	10.6564(2)
b/Å	10.6915(3)
c/Å	21.0319(4)
α/°	90
β/°	90
γ/°	90
Volume/Å ³	2396.23(9)
Z	4
ρ _{calc} /cm ³	1.451
μ/mm ⁻¹	2.674
F(000)	1072.0
Crystal size/mm ³	0.14 × 0.12 × 0.1
Radiation	Cu Kα (λ = 1.54184)
2θ range for data collection/°	8.408 to 145.916
Index ranges	-13 ≤ h ≤ 13, -13 ≤ k ≤ 12, -25 ≤ l ≤ 25
Reflections collected	25238
Independent reflections	4569 [R _{int} = 0.0406, R _{sigma} = 0.0184]
Data/restraints/parameters	4569/1/320
Goodness-of-fit on F ²	1.072
Final R indexes [I ≥ 2σ (I)]	R ₁ = 0.0435, wR ₂ = 0.1233
Final R indexes [all data]	R ₁ = 0.0479, wR ₂ = 0.1276
Largest diff. peak/hole / e Å ⁻³	0.32/-0.68
Flack/Hooft parameter	-0.039(7)/-0.012(5)

8.3 Crystallographic information for compound 3n''

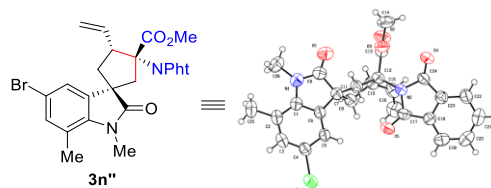
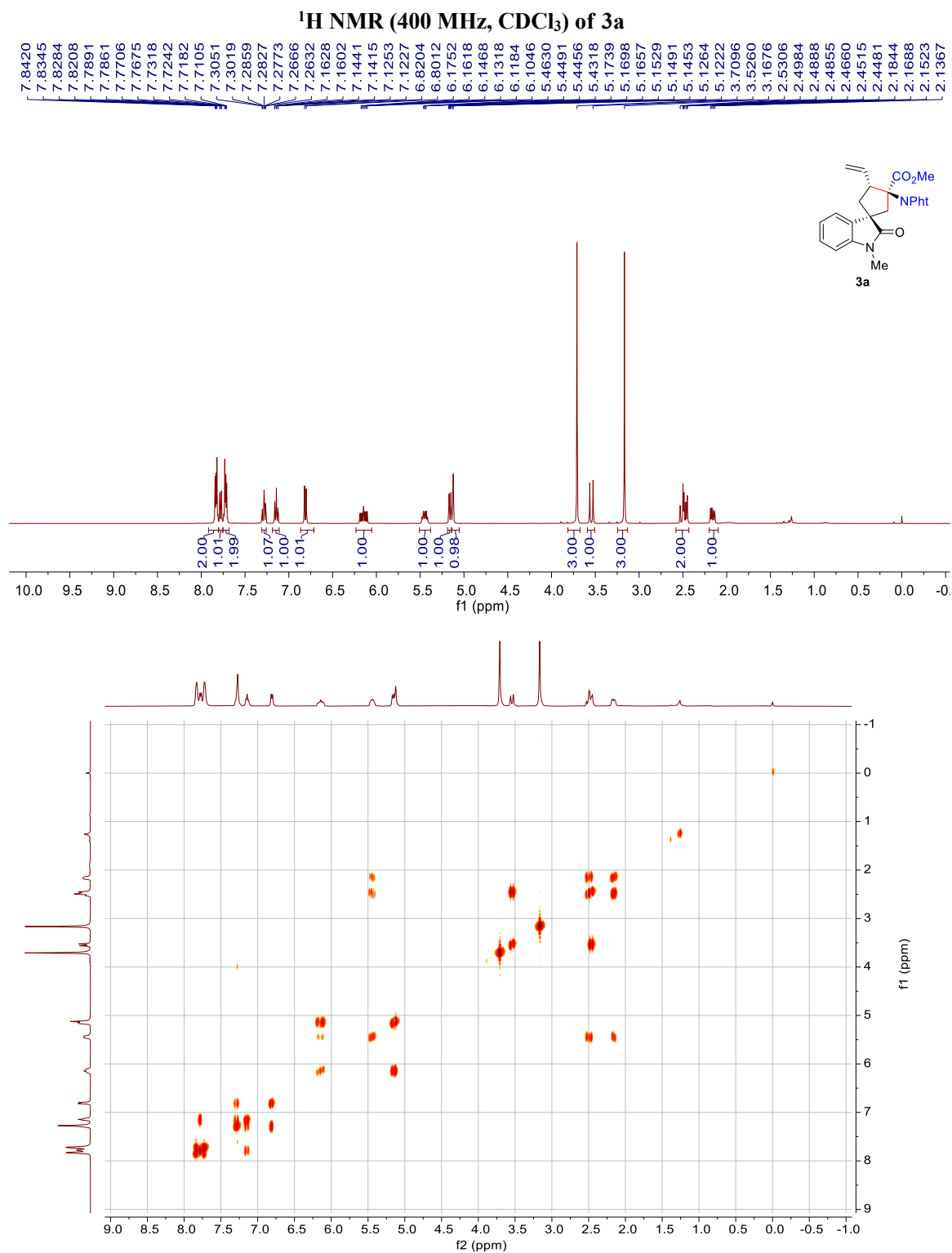


Table 3 Crystal data and structure refinement for 3n''.

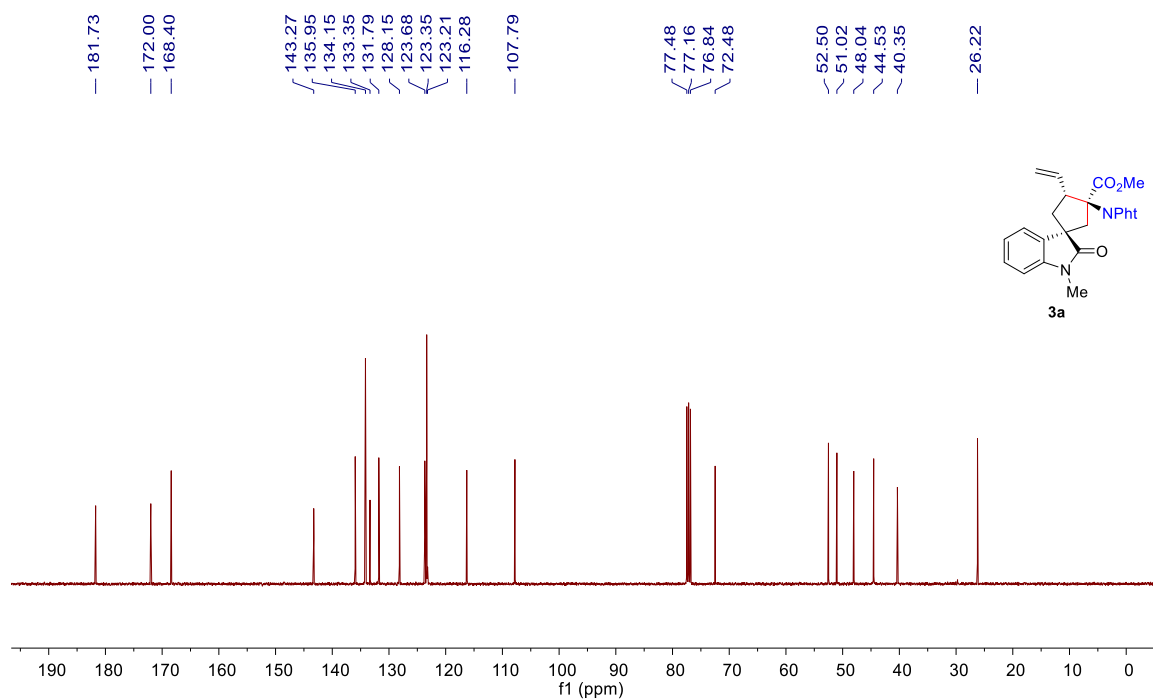
Identification code	CCDC 2528103
Empirical formula	C ₂₆ H ₂₃ BrN ₂ O ₅
Formula weight	523.37
Temperature/K	293.00(10)
Crystal system	tetragonal
Space group	P4 ₃ 2 ₁ 2
a/Å	11.22494(5)
b/Å	11.22494(5)
c/Å	40.1510(4)
α/°	90
β/°	90
γ/°	90
Volume/Å ³	5059.00(7)
Z	8
ρ _{calc} /cm ³	1.374
μ/mm ⁻¹	2.533
F(000)	2144.0
Crystal size/mm ³	0.14 × 0.13 × 0.12
Radiation	Cu Kα (λ = 1.54184)
2θ range for data collection/°	8.178 to 146.426
Index ranges	-13 ≤ h ≤ 13, -13 ≤ k ≤ 13, -46 ≤ l ≤ 38
Reflections collected	54525
Independent reflections	4812 [R _{int} = 0.0328, R _{sigma} = 0.0133]
Data/restraints/parameters	4812/0/318
Goodness-of-fit on F ²	1.021
Final R indexes [I >= 2σ (I)]	R ₁ = 0.0275, wR ₂ = 0.0787
Final R indexes [all data]	R ₁ = 0.0287, wR ₂ = 0.0794
Largest diff. peak/hole / e Å ⁻³	0.18/-0.33
Flack/Hooft parameter	-0.017(4)/-0.021(3)

9. NMR and HPLC spectra for compounds

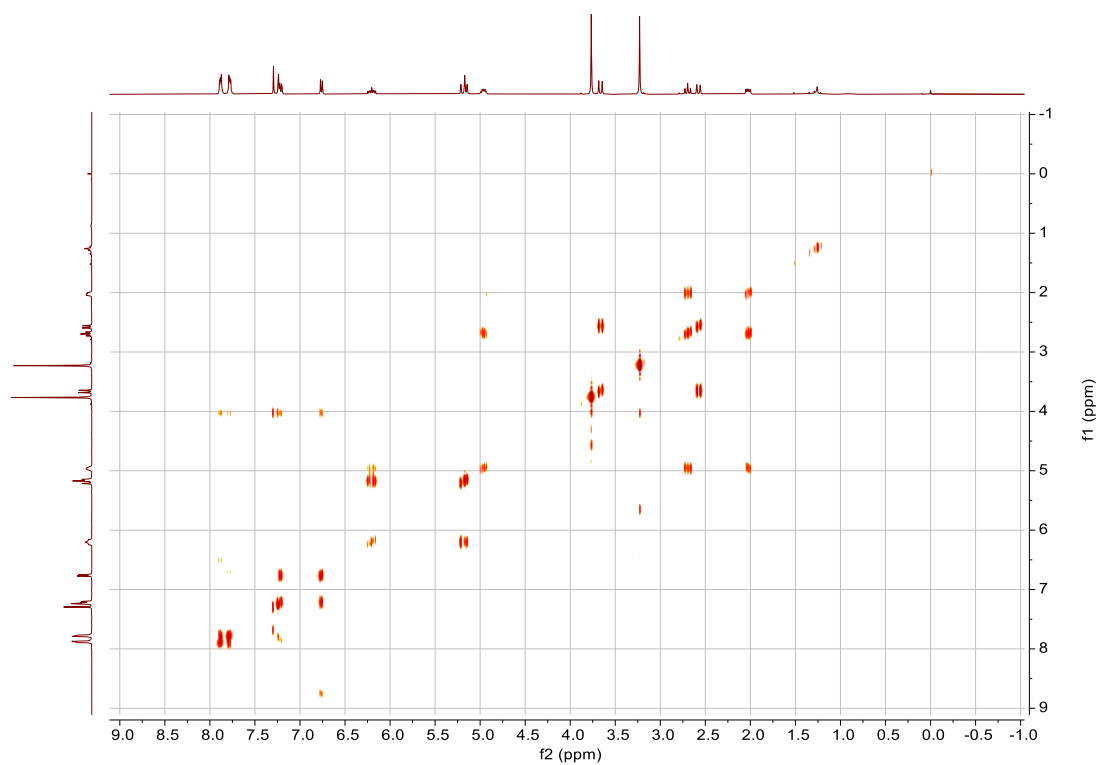
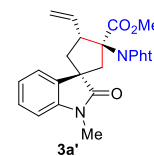
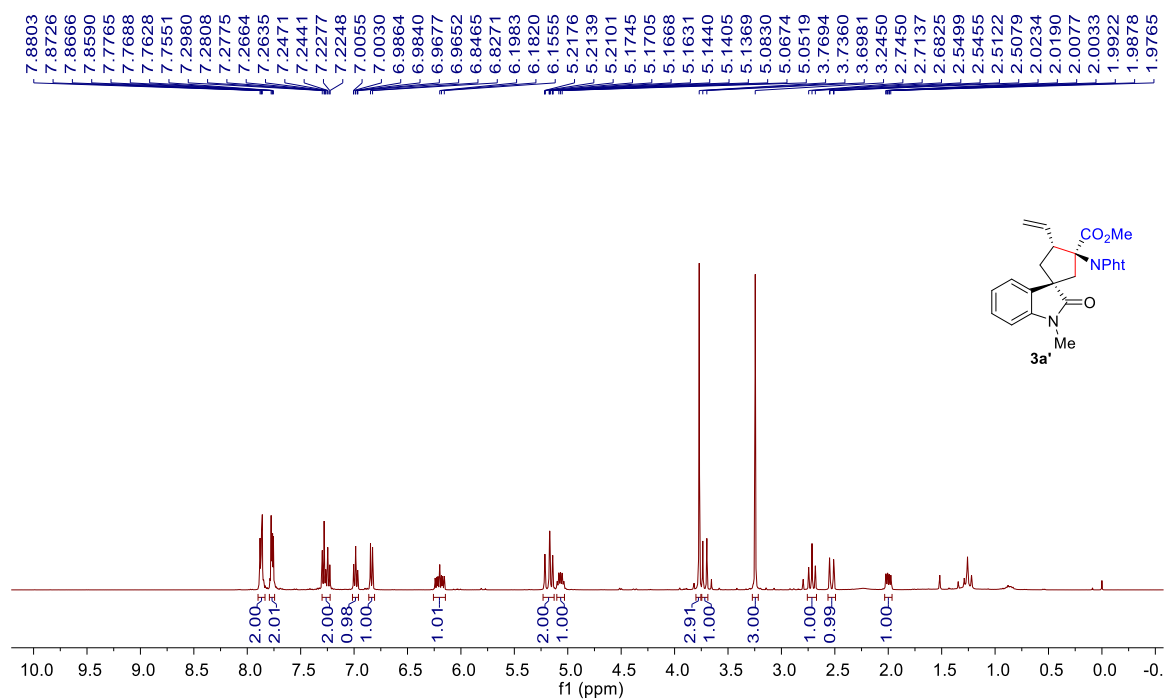
9.1 NMR spectra for compounds



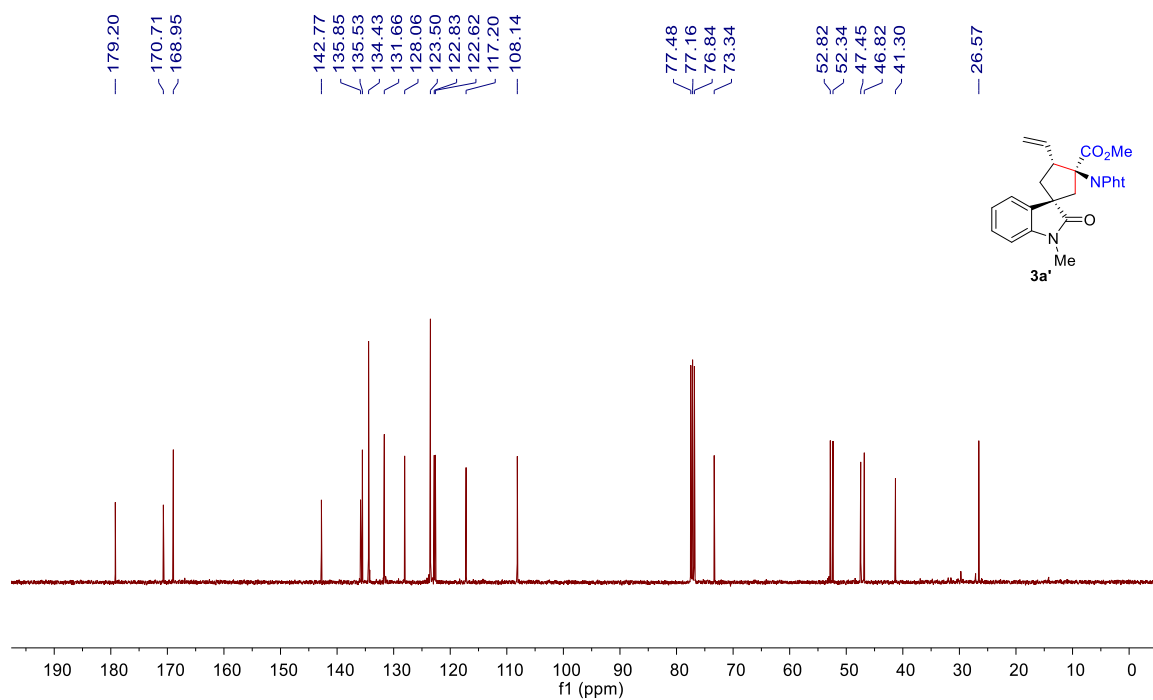
¹³C NMR (101 MHz, CDCl₃) of 3a



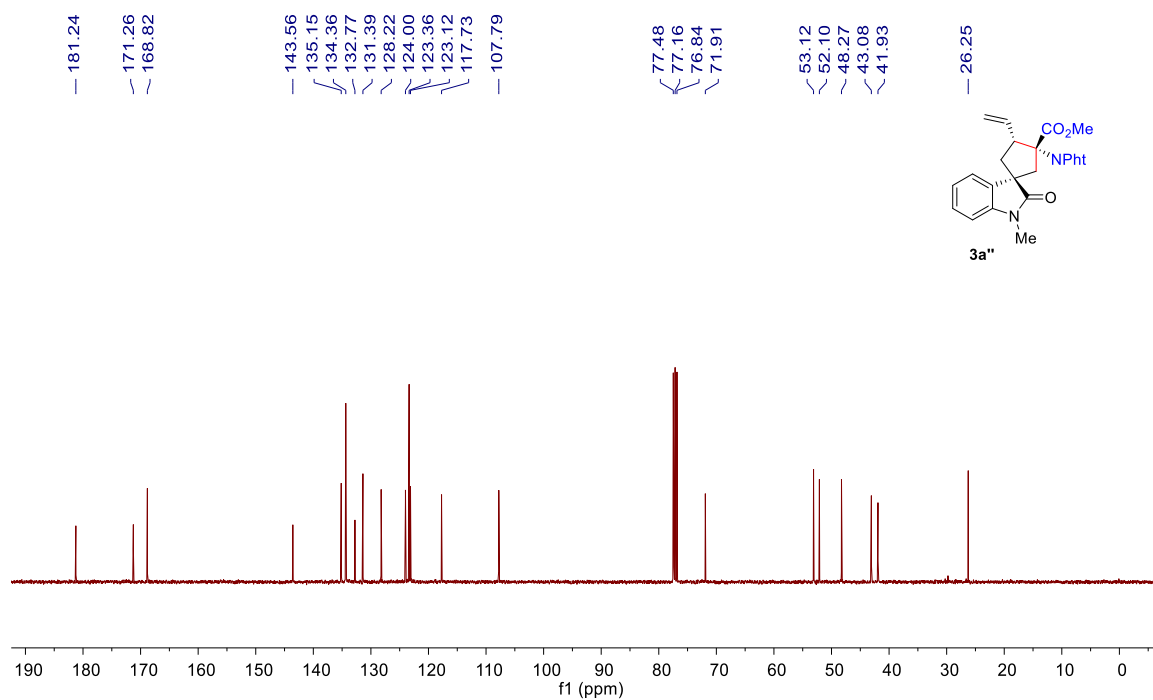
¹H NMR (400 MHz, CDCl₃) of 3a'



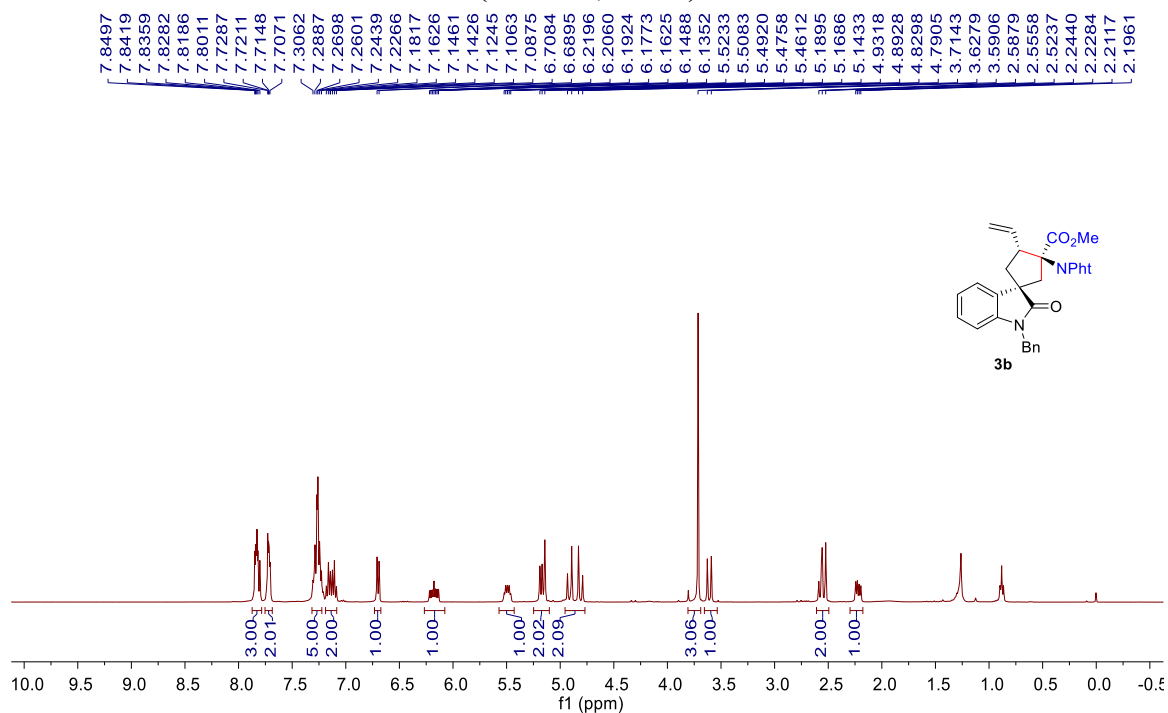
¹³C NMR (101 MHz, CDCl₃) of 3a'



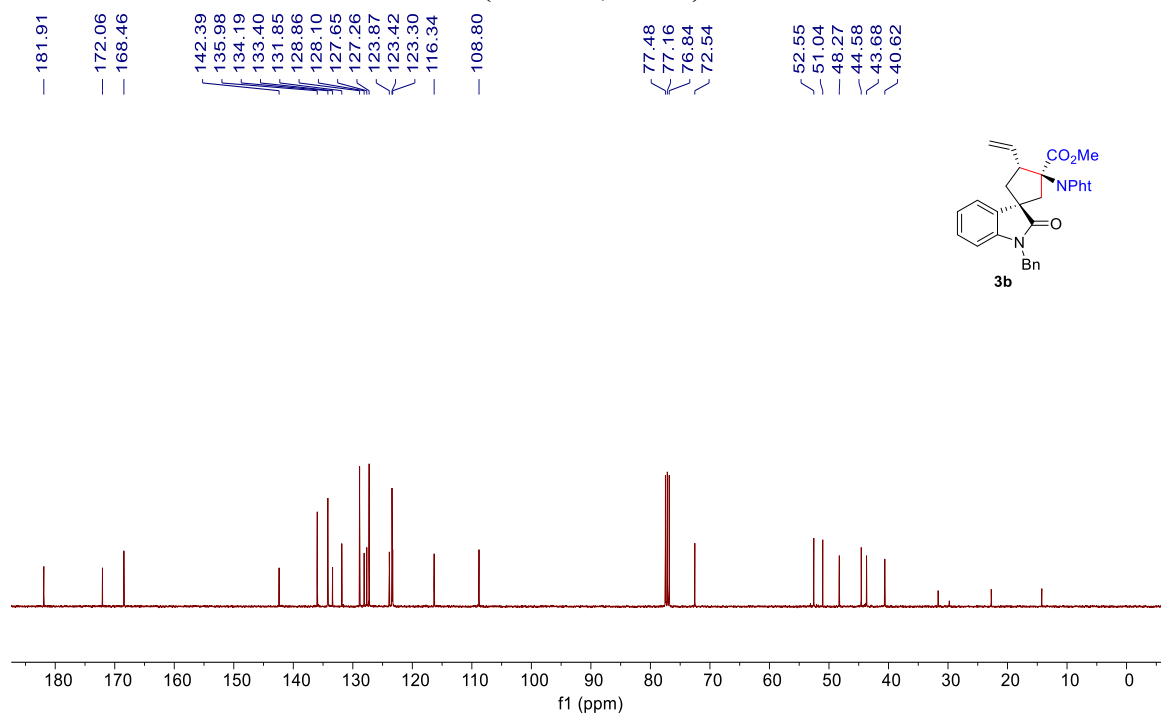
¹³C NMR (101 MHz, CDCl₃) of 3a''



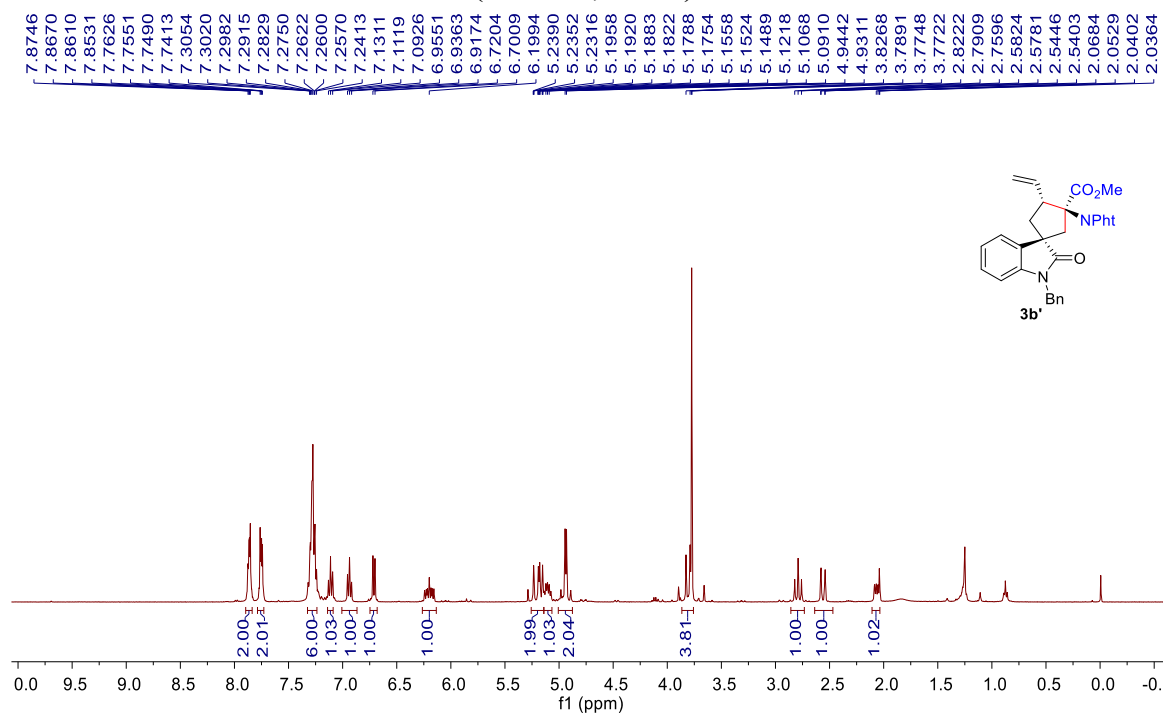
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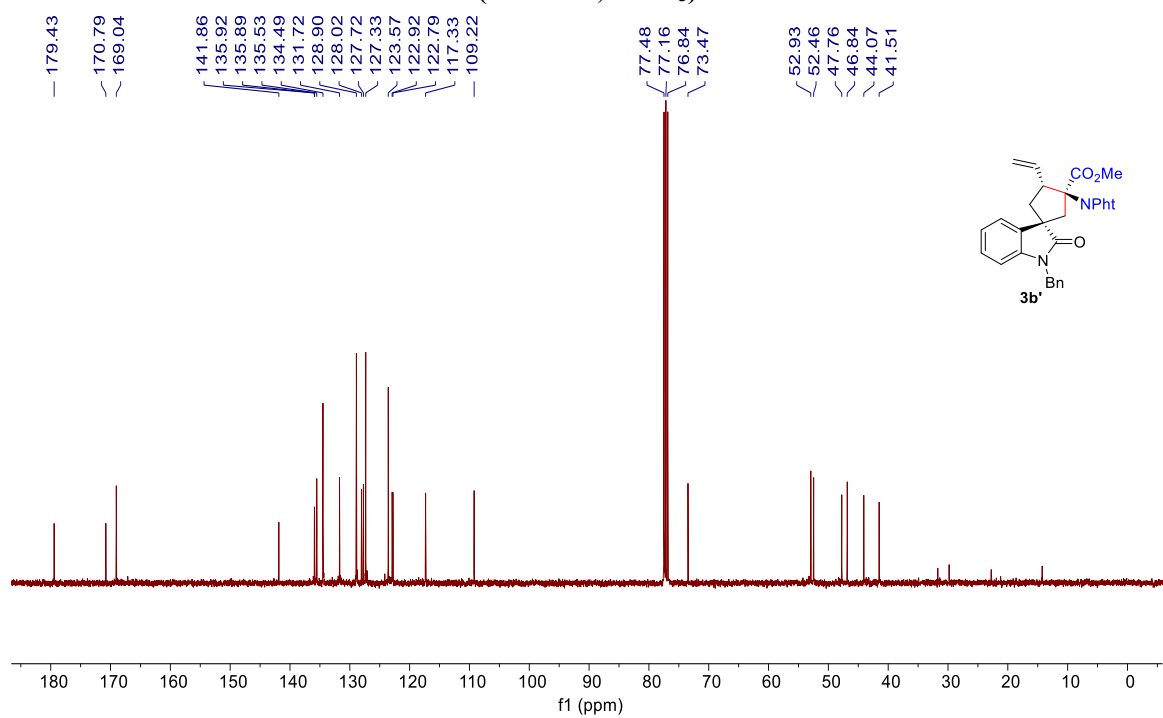
¹³C NMR (101 MHz, CDCl₃) of 3b



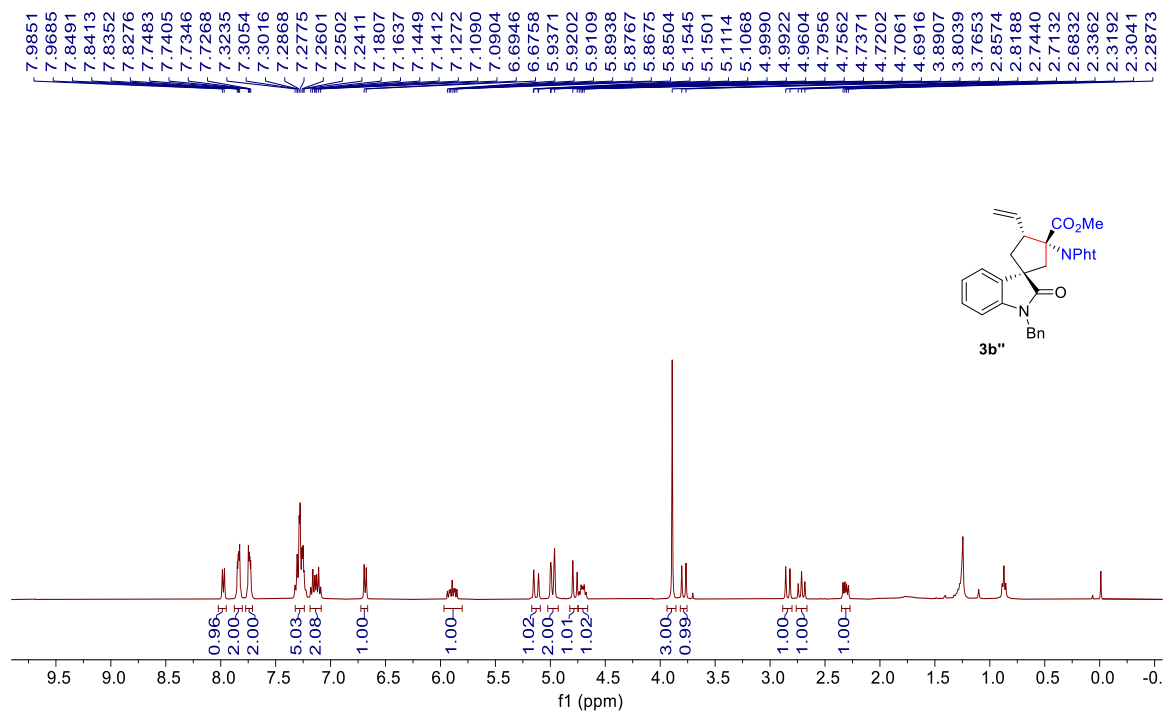
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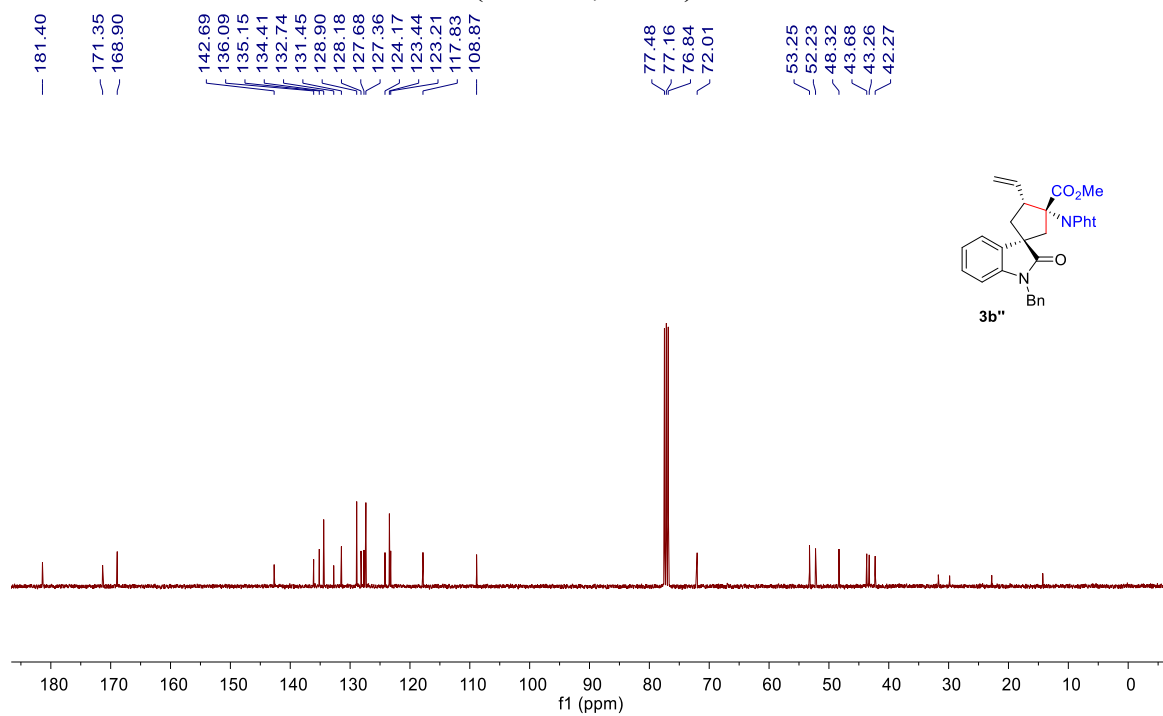
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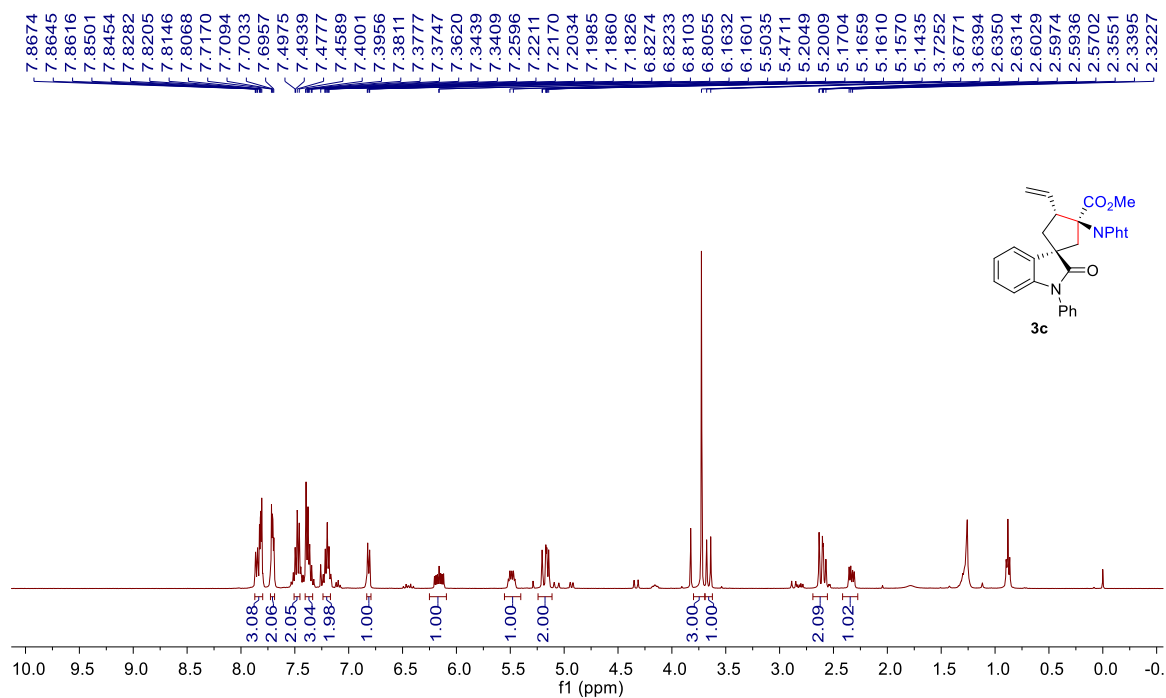
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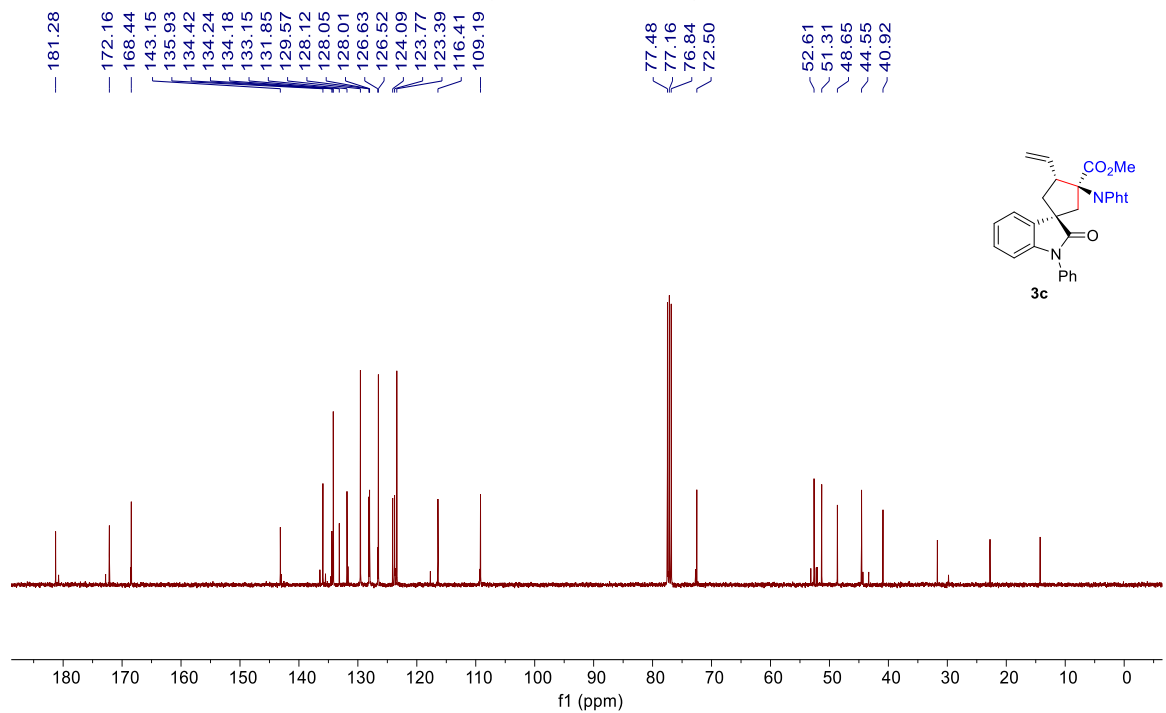
¹³C NMR (101 MHz, CDCl₃) of 3b''



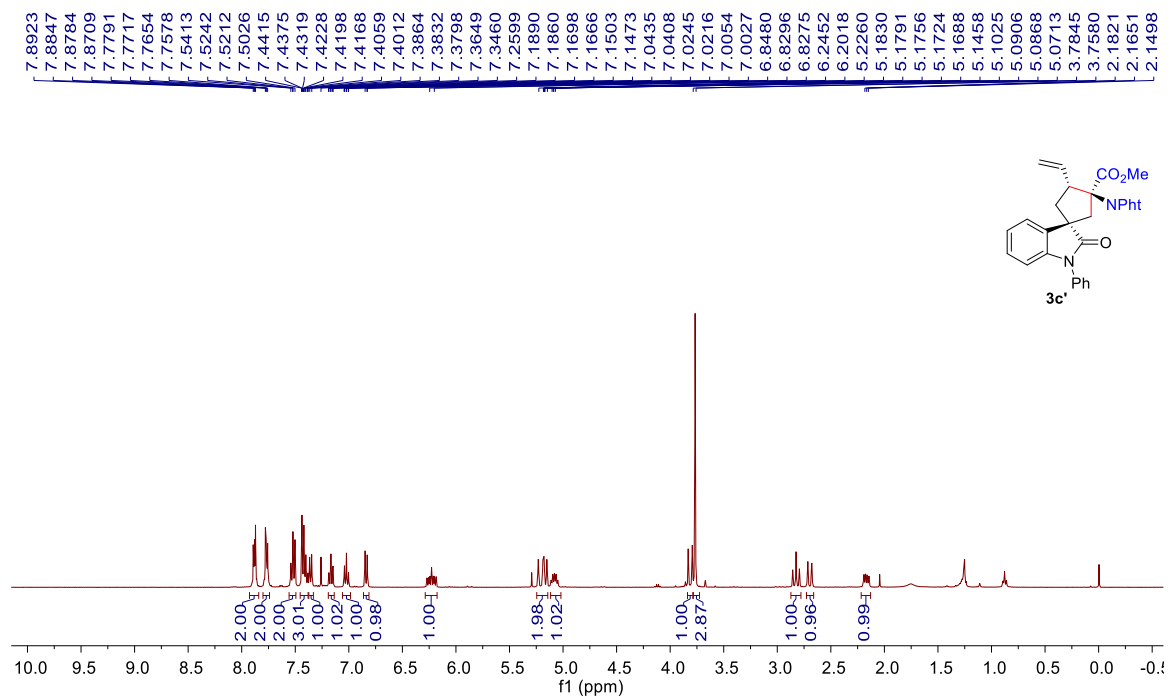
¹H NMR (400 MHz, CDCl₃) of 3c



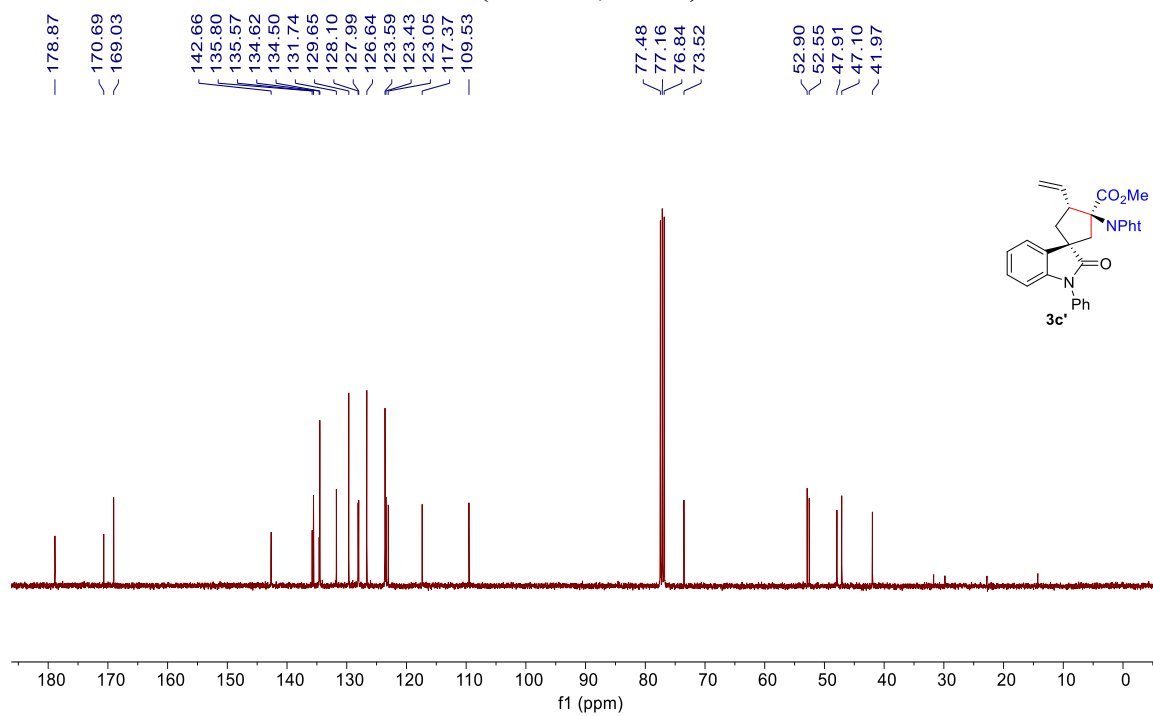
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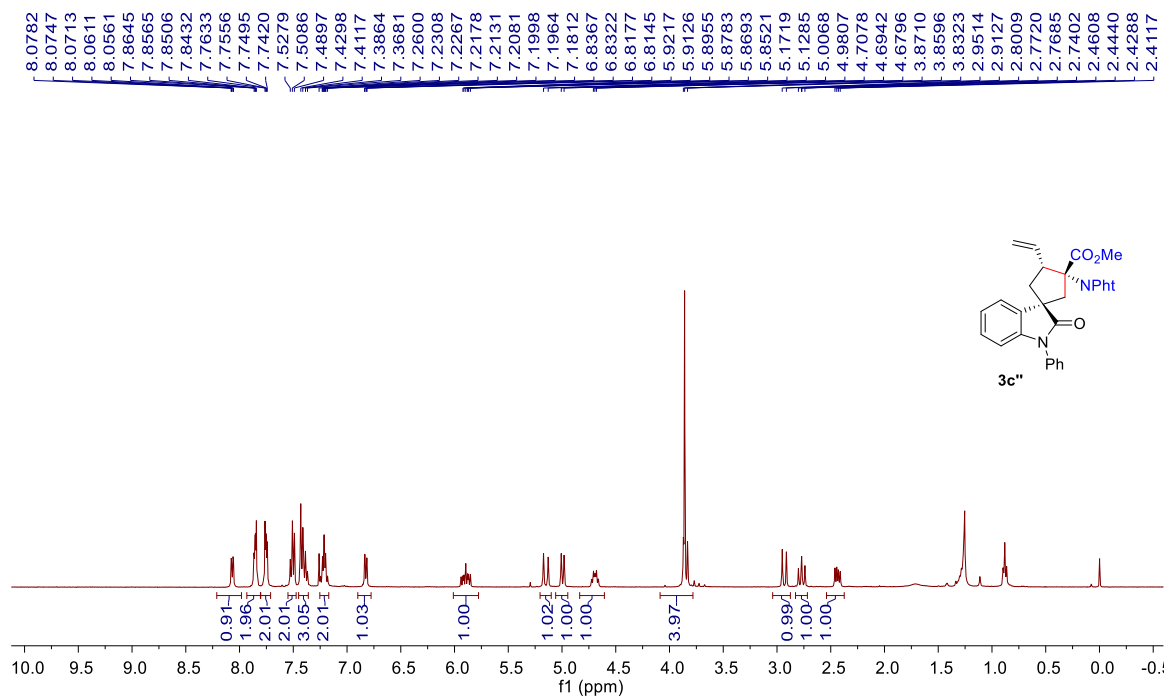
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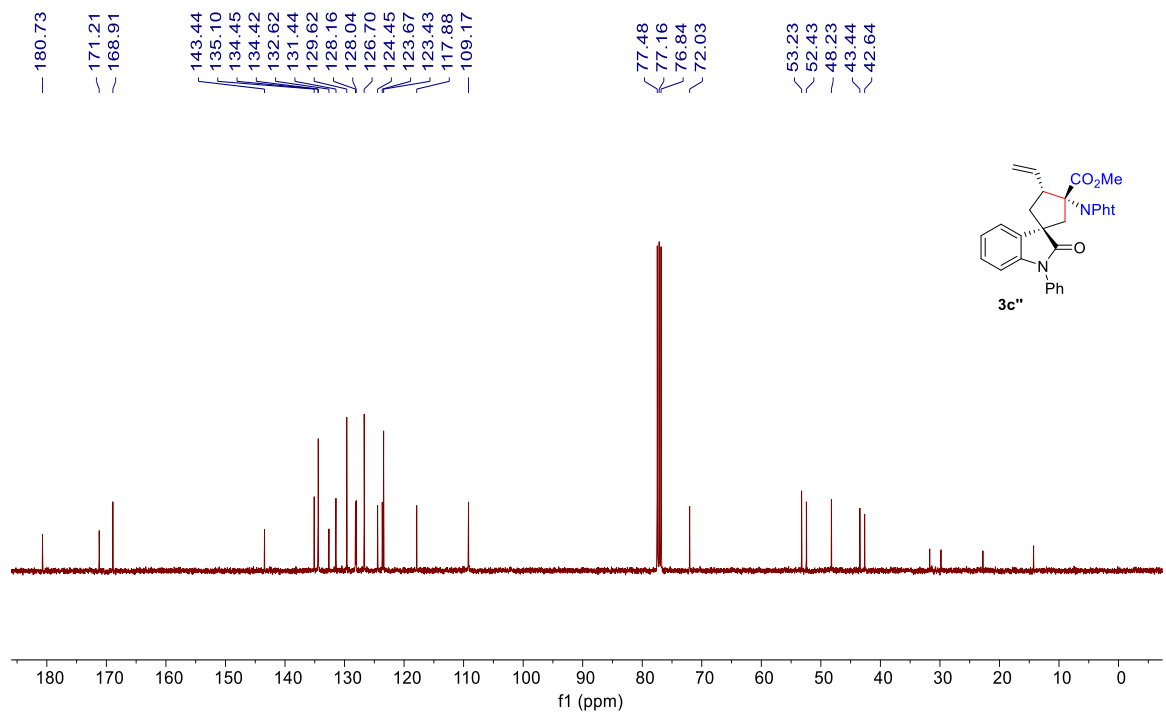
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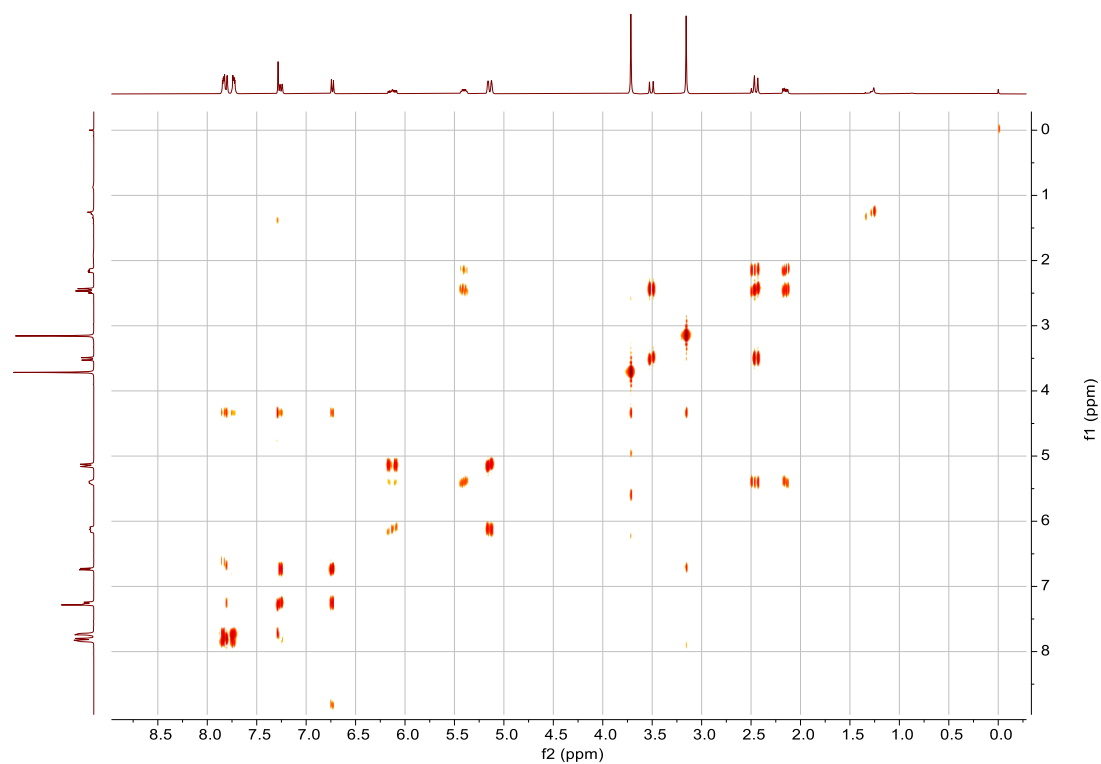
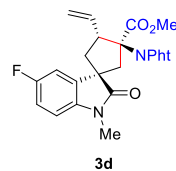
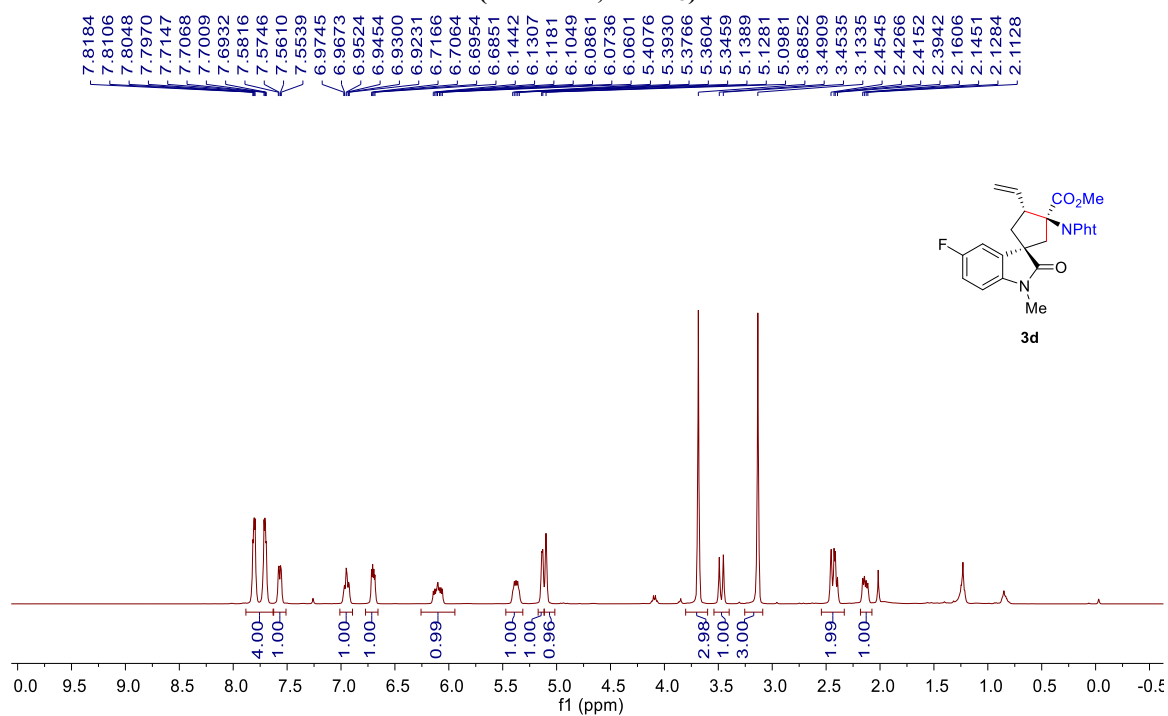
¹H NMR (400 MHz, CDCl₃) of 3c''



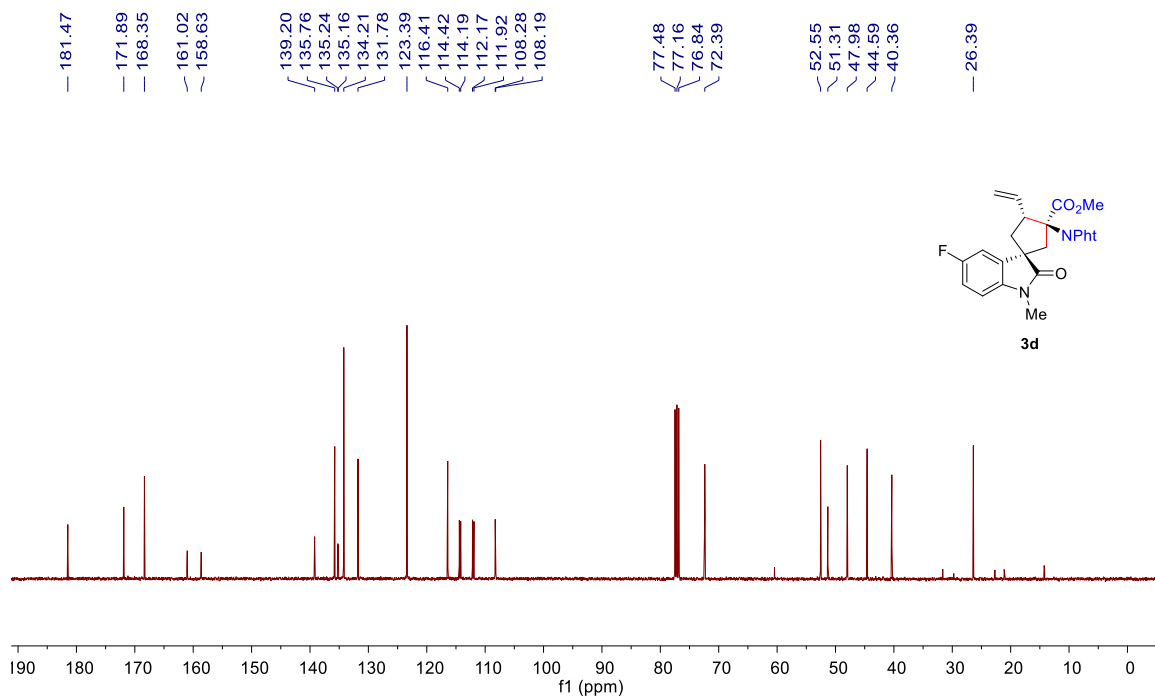
¹³C NMR (101 MHz, CDCl₃) of 3c''



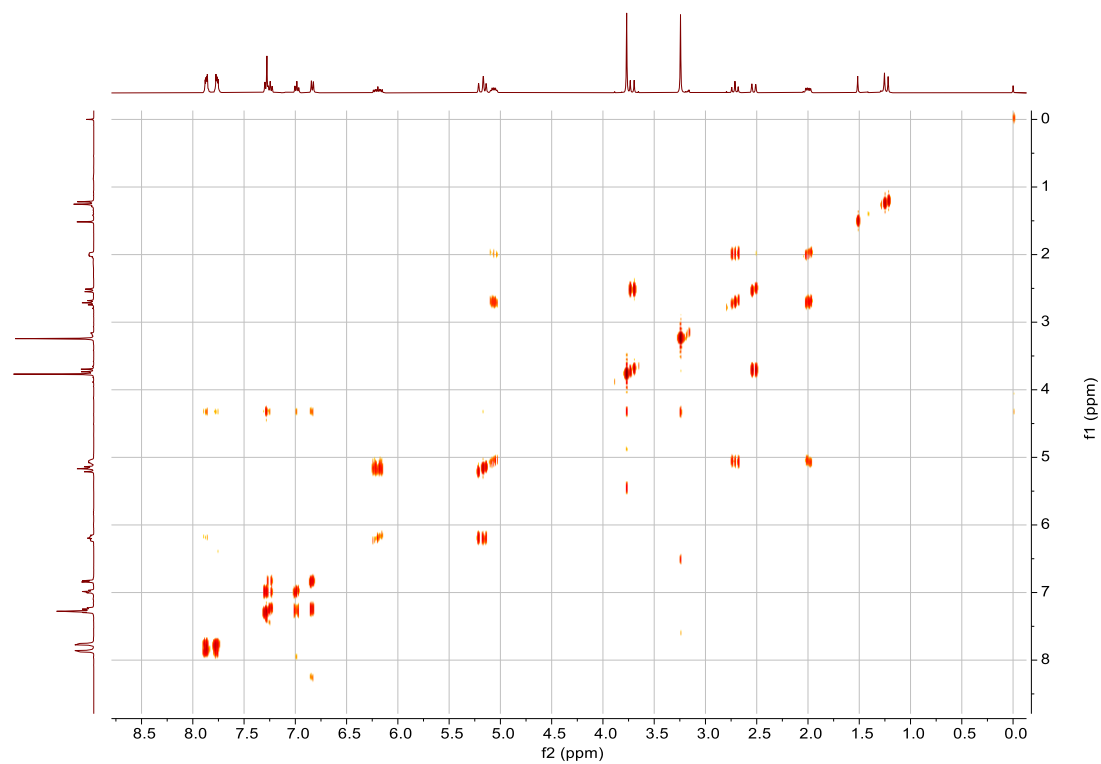
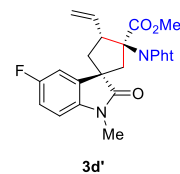
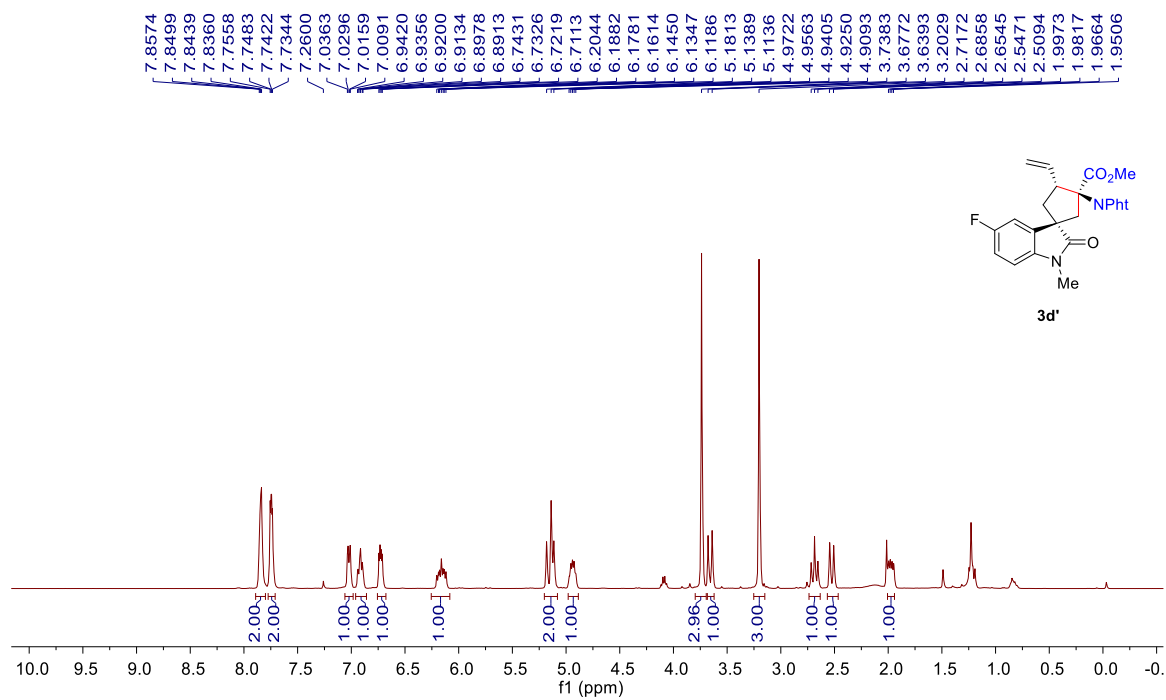
¹H NMR (400 MHz, CDCl₃) of 3d



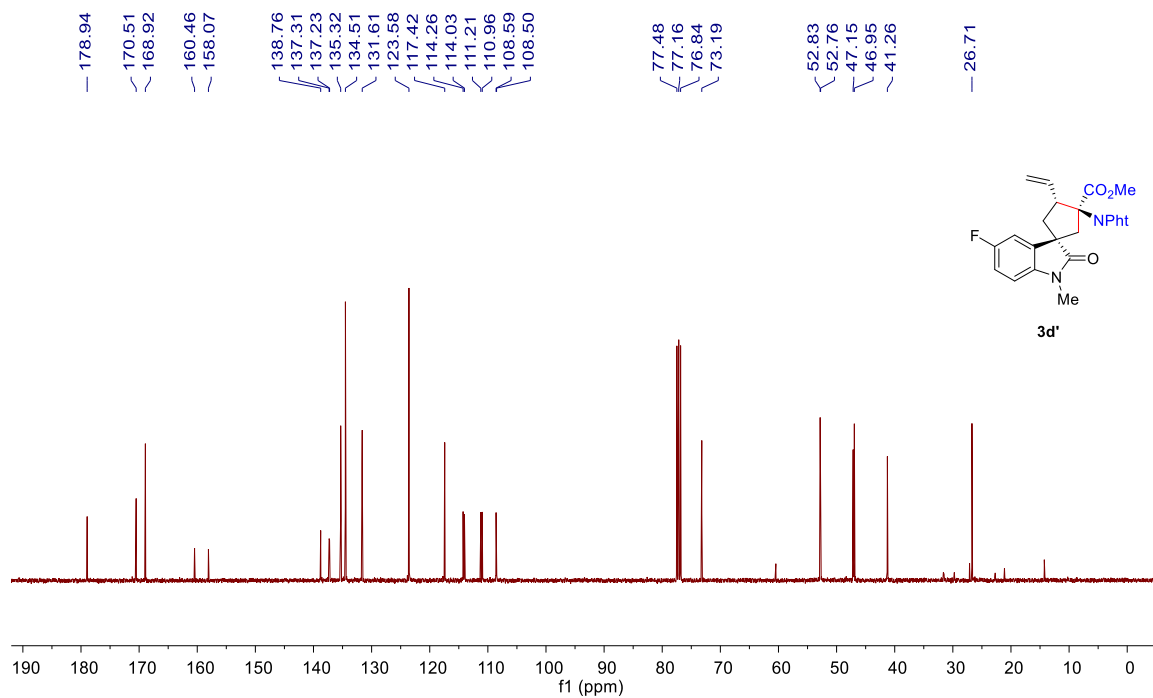
^{13}C NMR (101 MHz, CDCl_3) of 3d



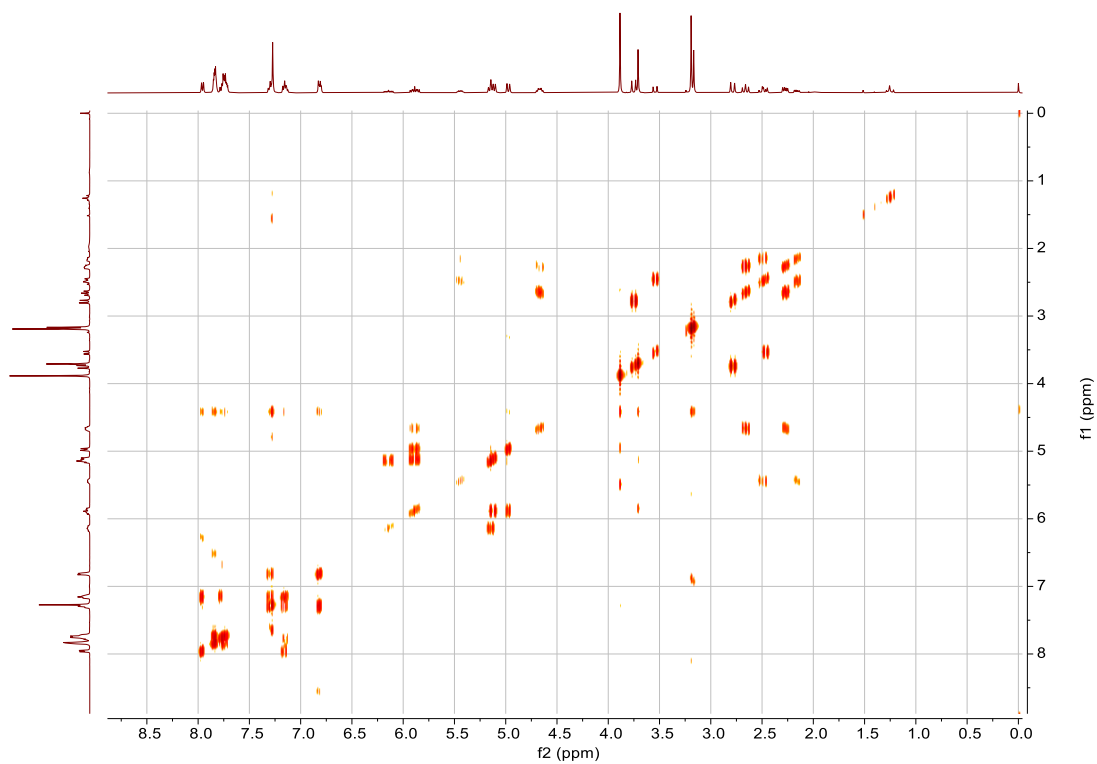
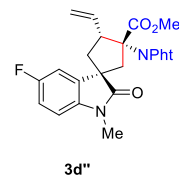
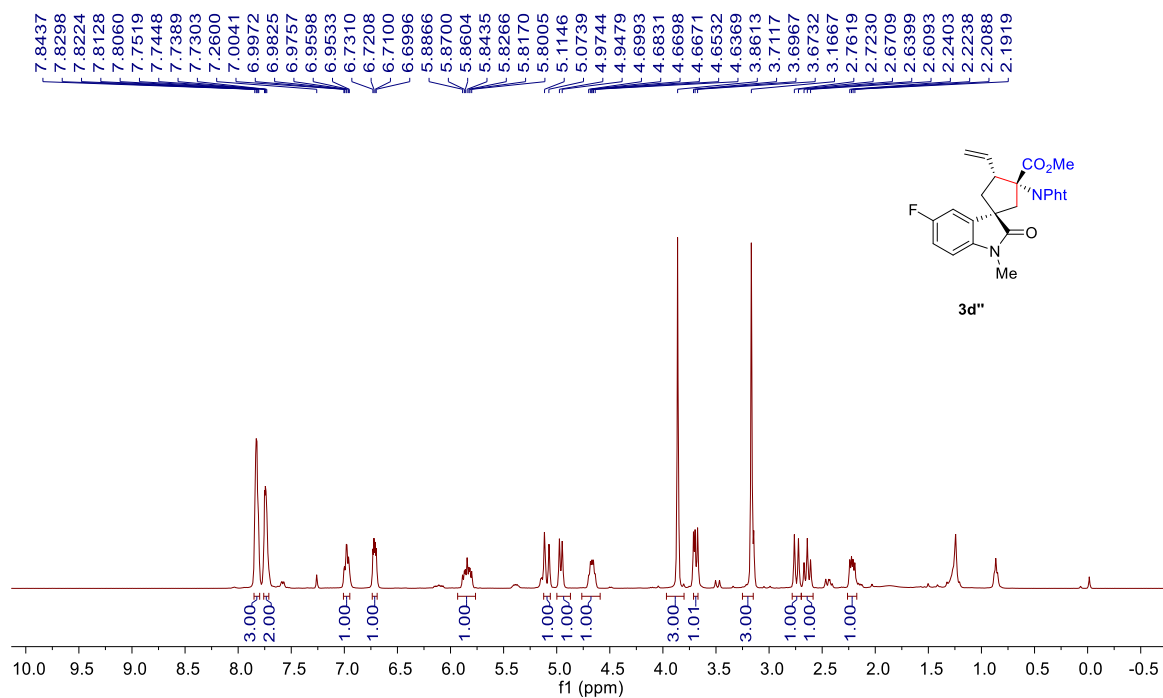
¹H NMR (400 MHz, CDCl₃) of 3d'



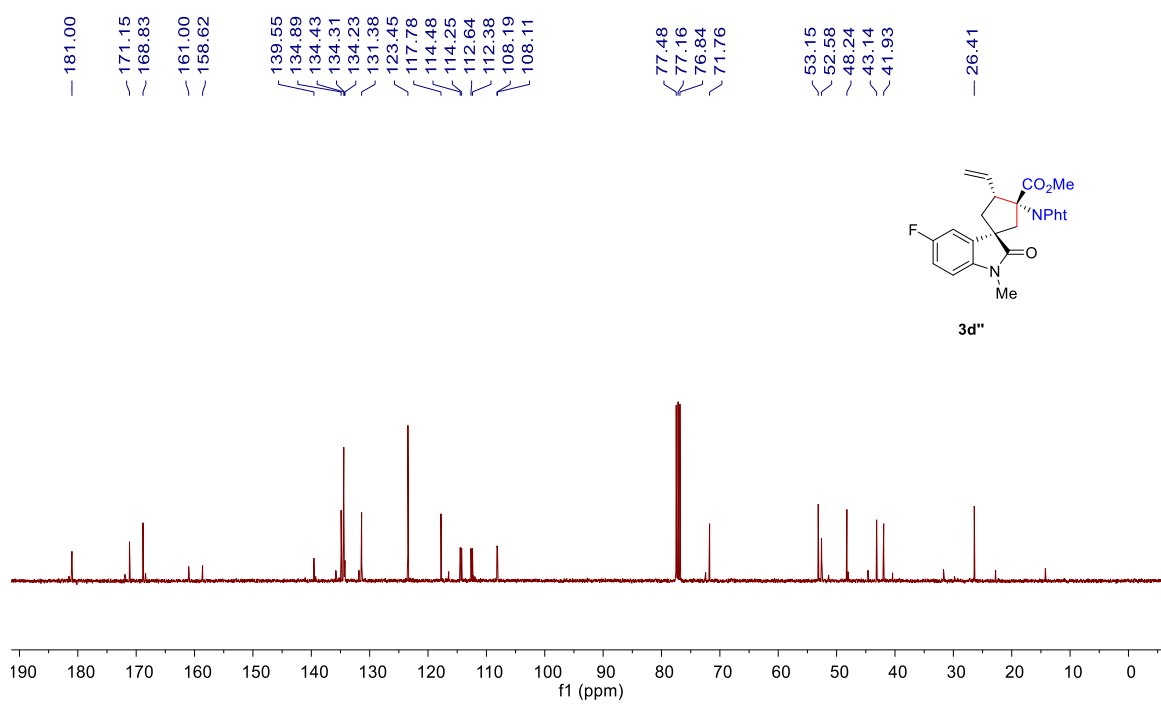
¹³C NMR (101 MHz, CDCl₃) of 3d'



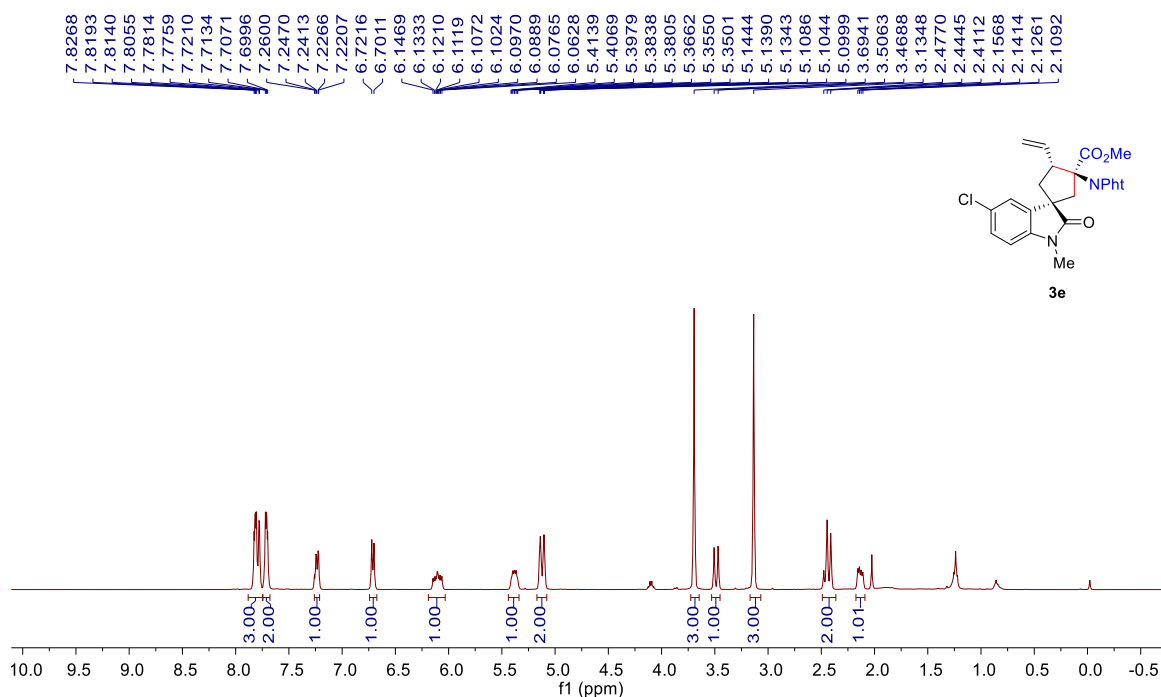
¹H NMR (400 MHz, CDCl₃) of 3d''



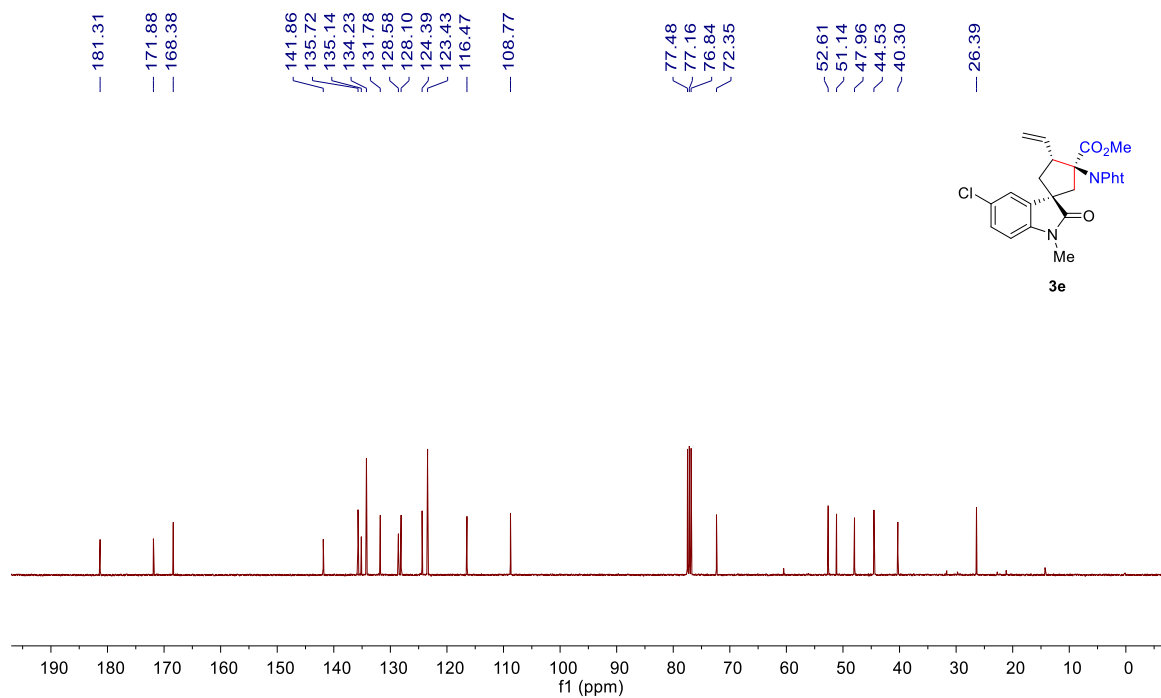
¹³C NMR (101 MHz, CDCl₃) of 3d''



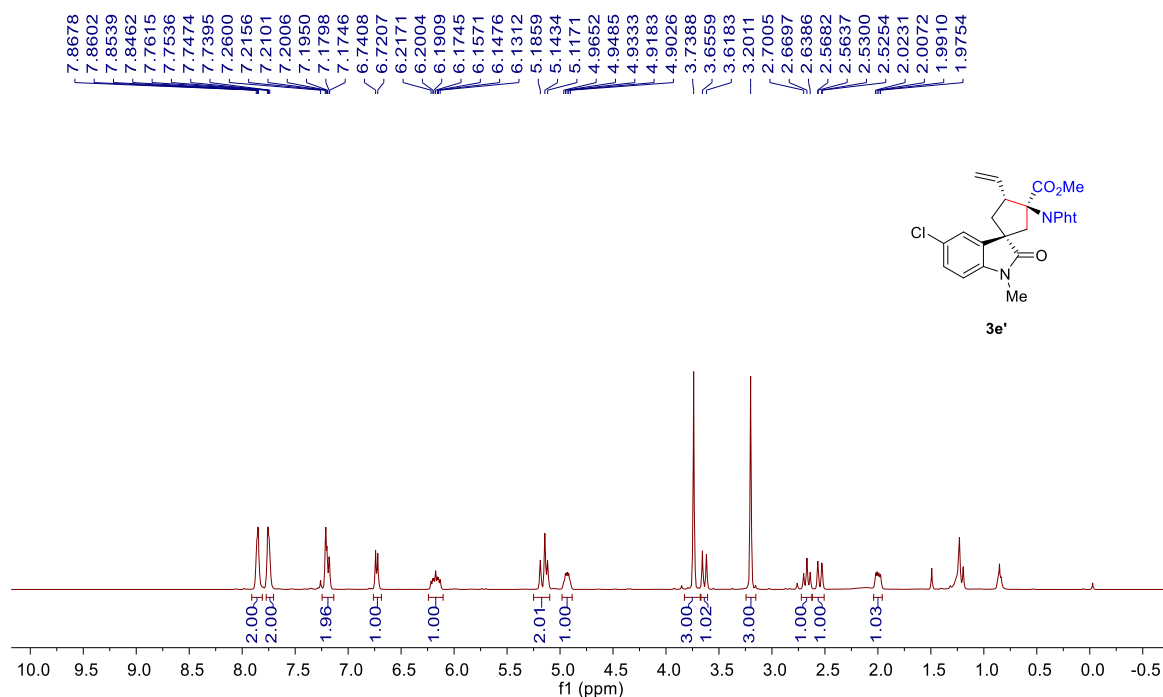
¹H NMR (400 MHz, CDCl₃) of 3e



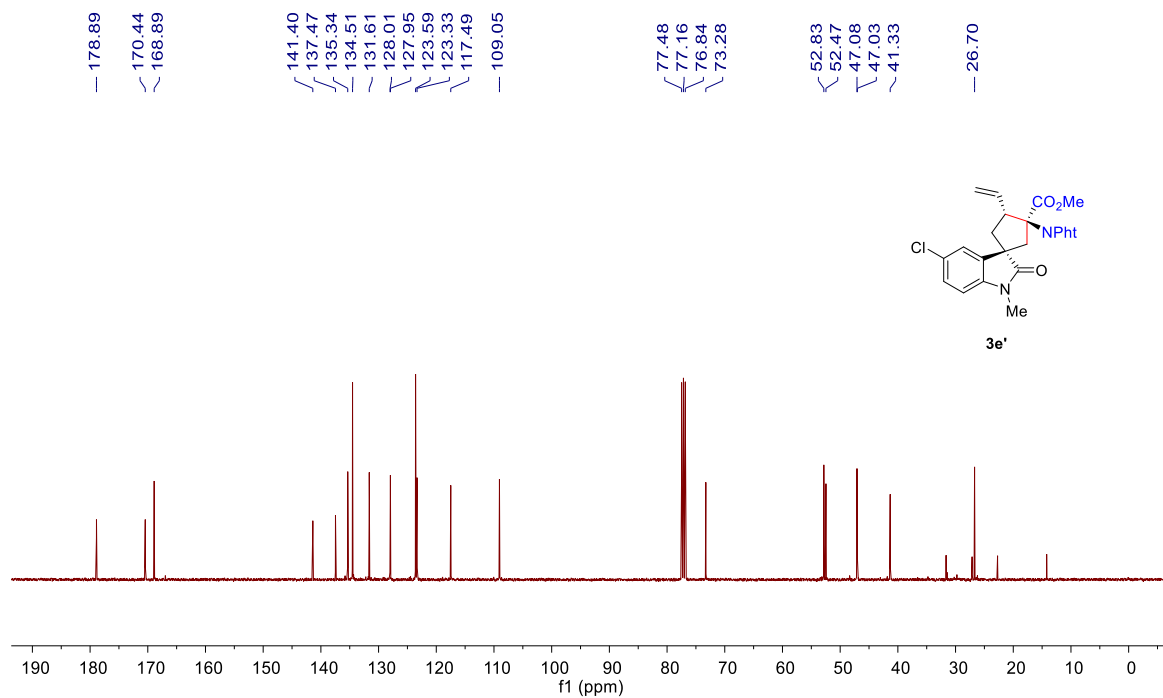
¹³C NMR (101 MHz, CDCl₃) of 3e



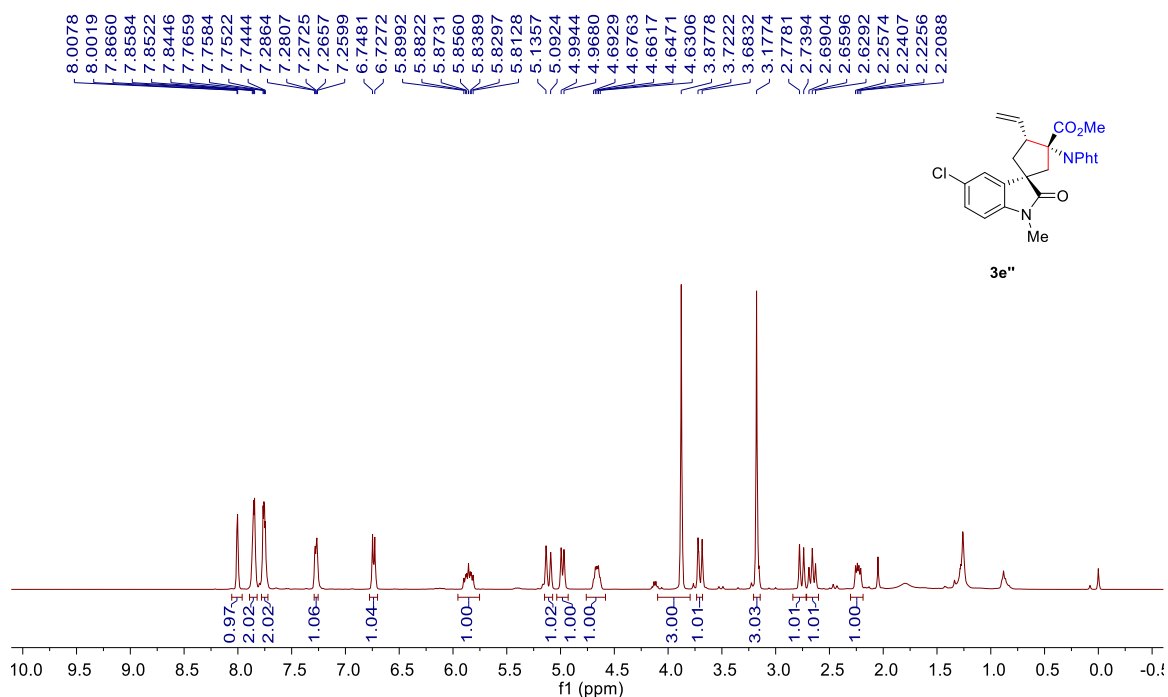
¹H NMR (400 MHz, CDCl₃) of 3e'



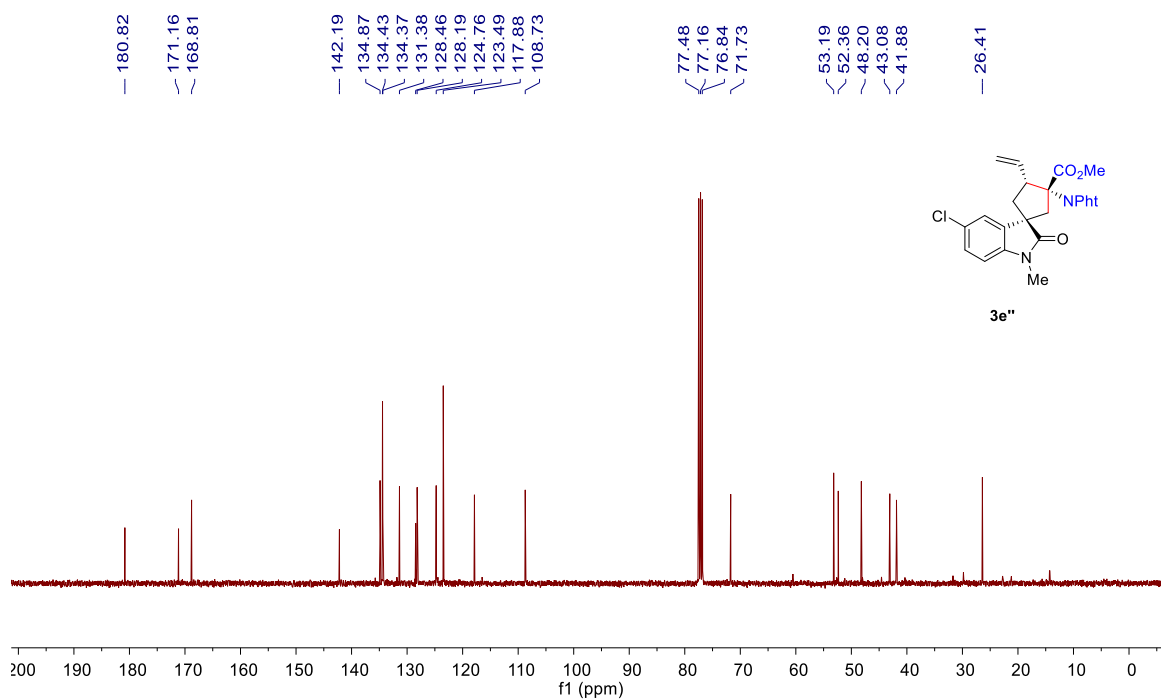
¹³C NMR (101 MHz, CDCl₃) of 3e'



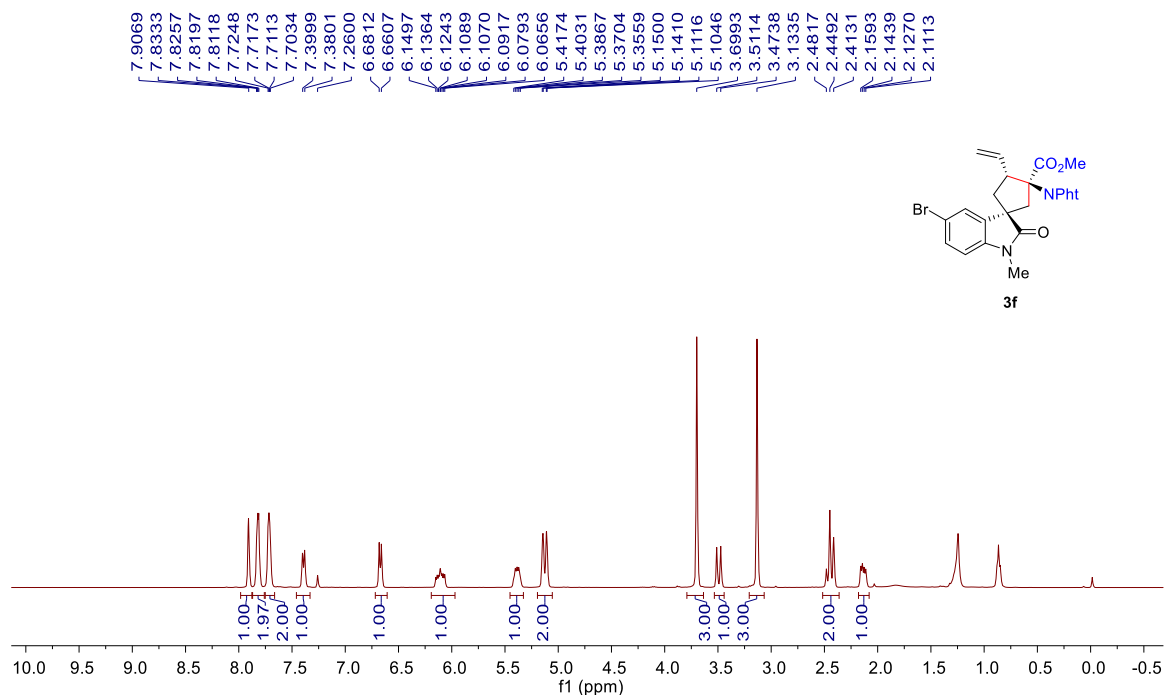
¹H NMR (400 MHz, CDCl₃) of 3e''



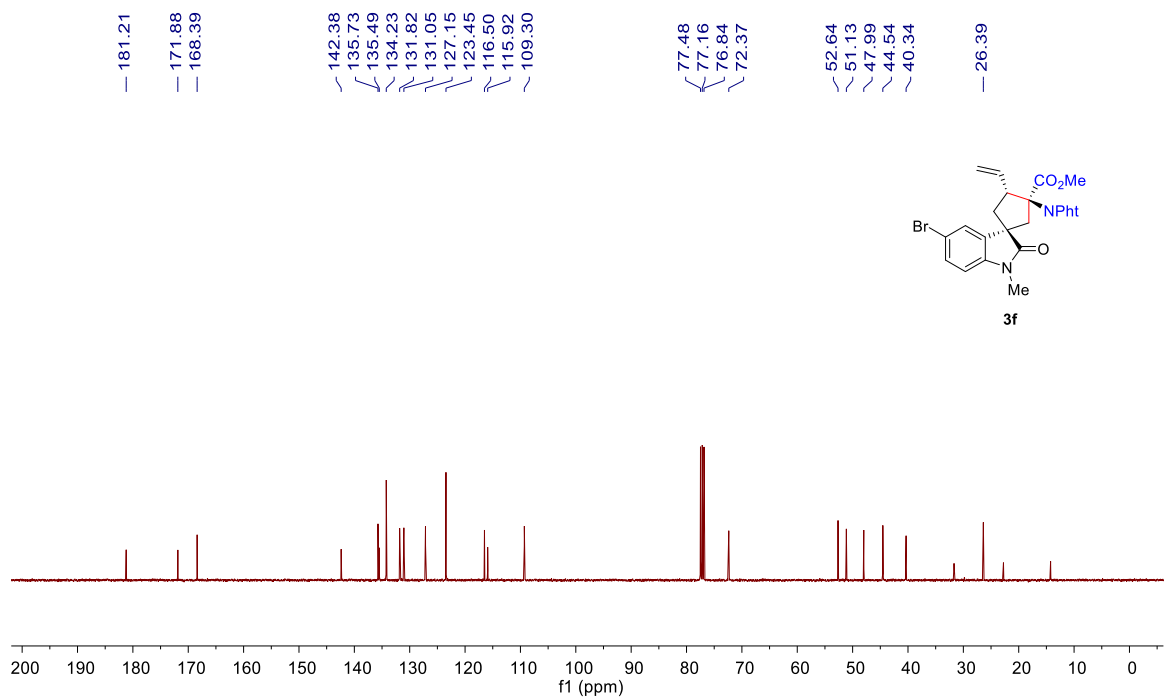
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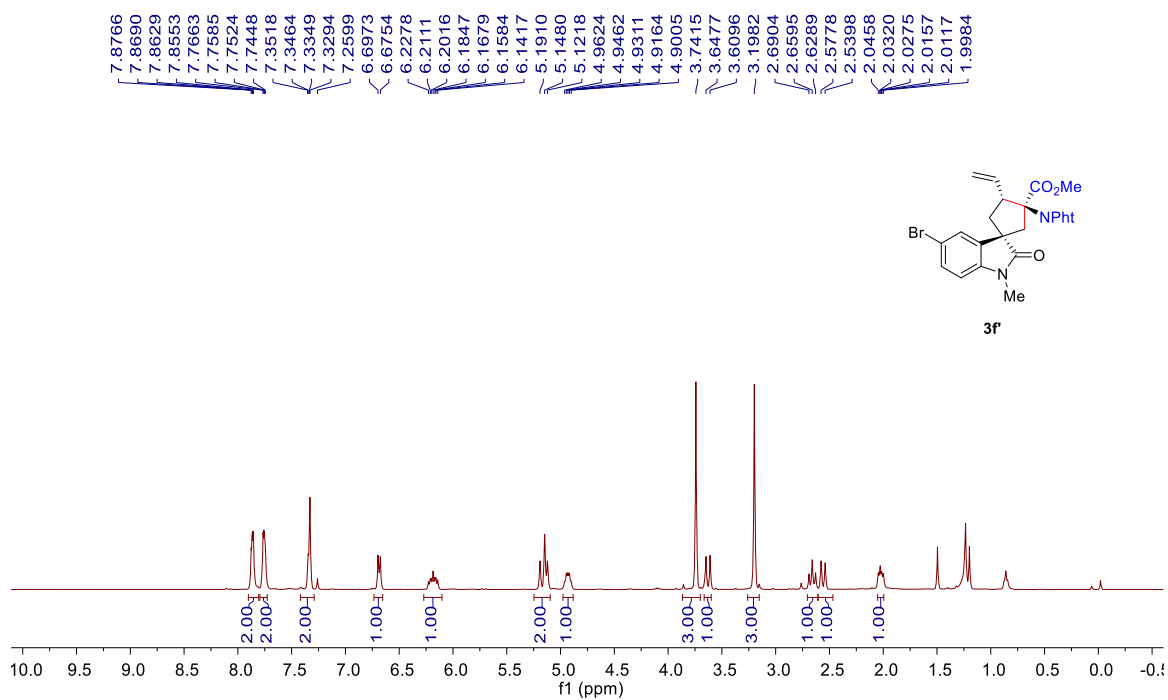
¹H NMR (400 MHz, CDCl₃) of 3f



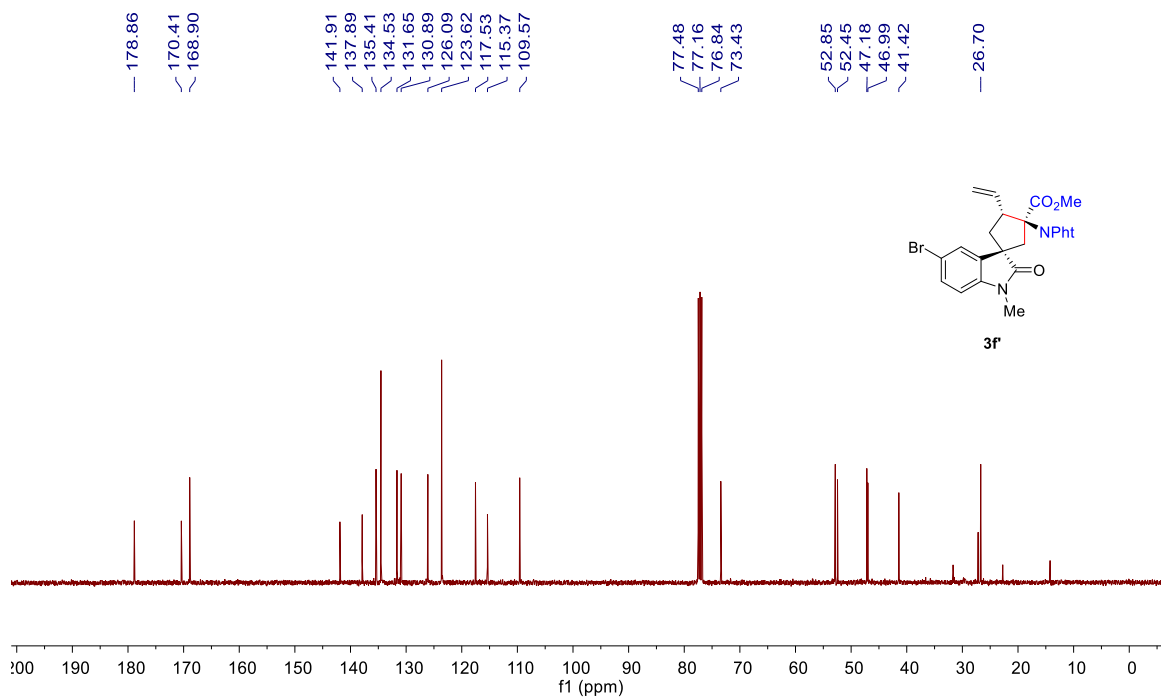
¹³C NMR (101 MHz, CDCl₃) of 3f



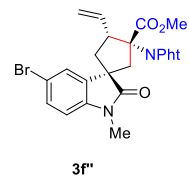
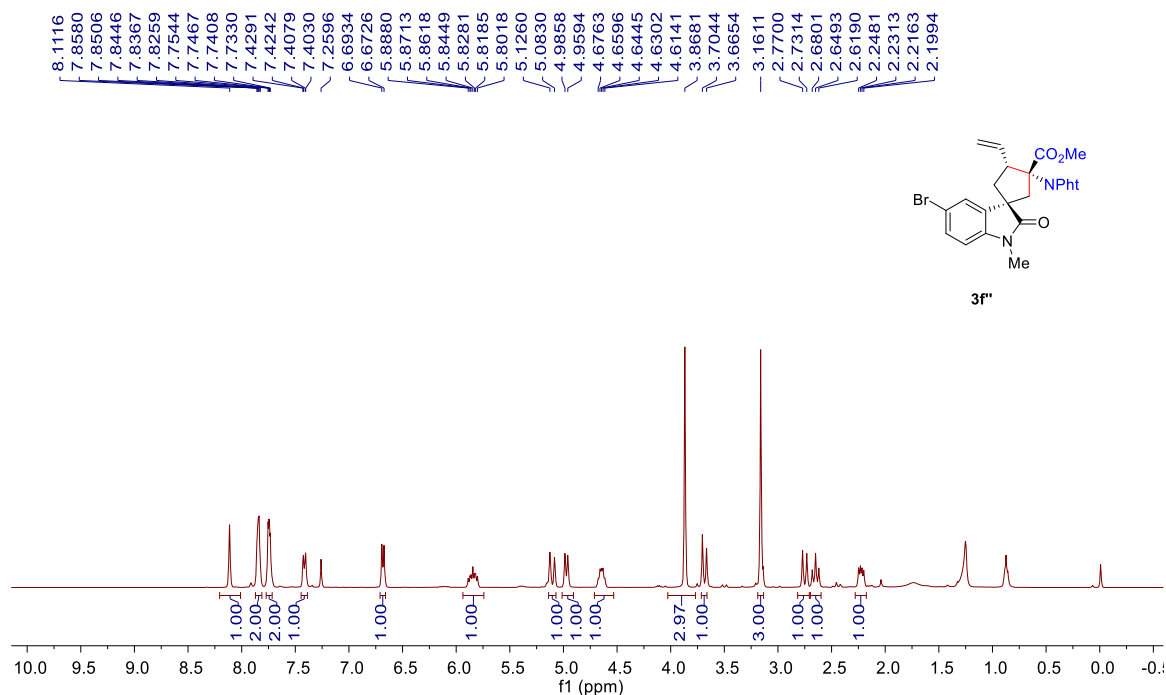
¹H NMR (400 MHz, CDCl₃) of 3f'



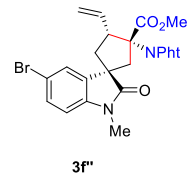
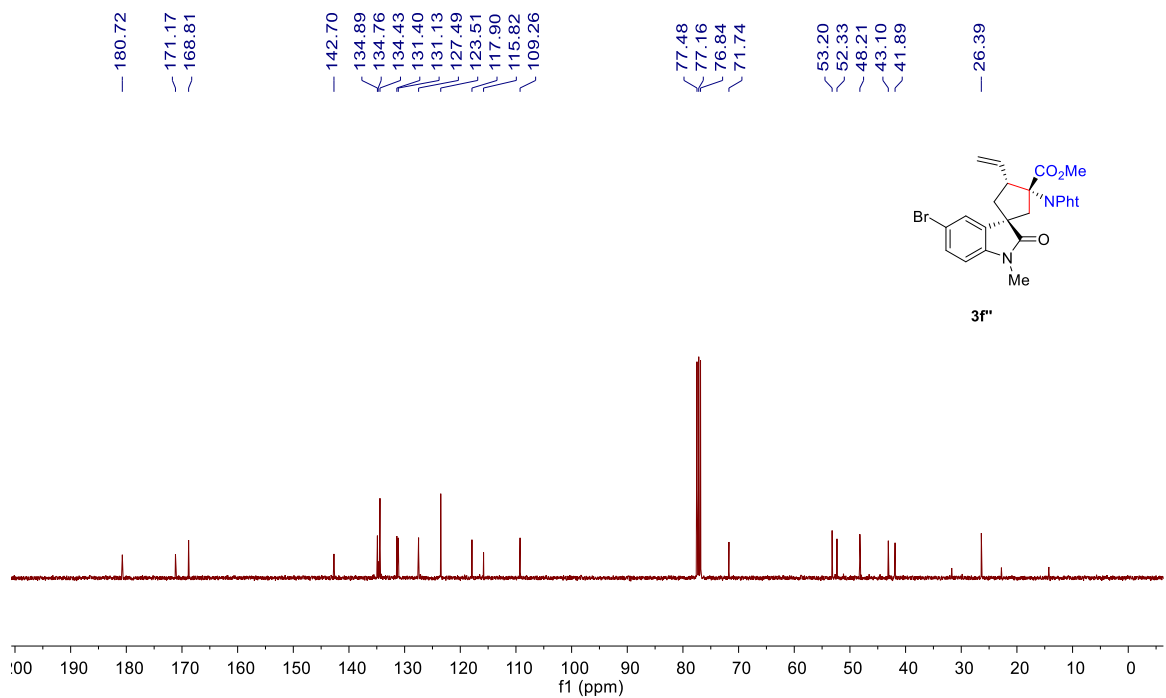
¹³C NMR (101 MHz, CDCl₃) of 3f'



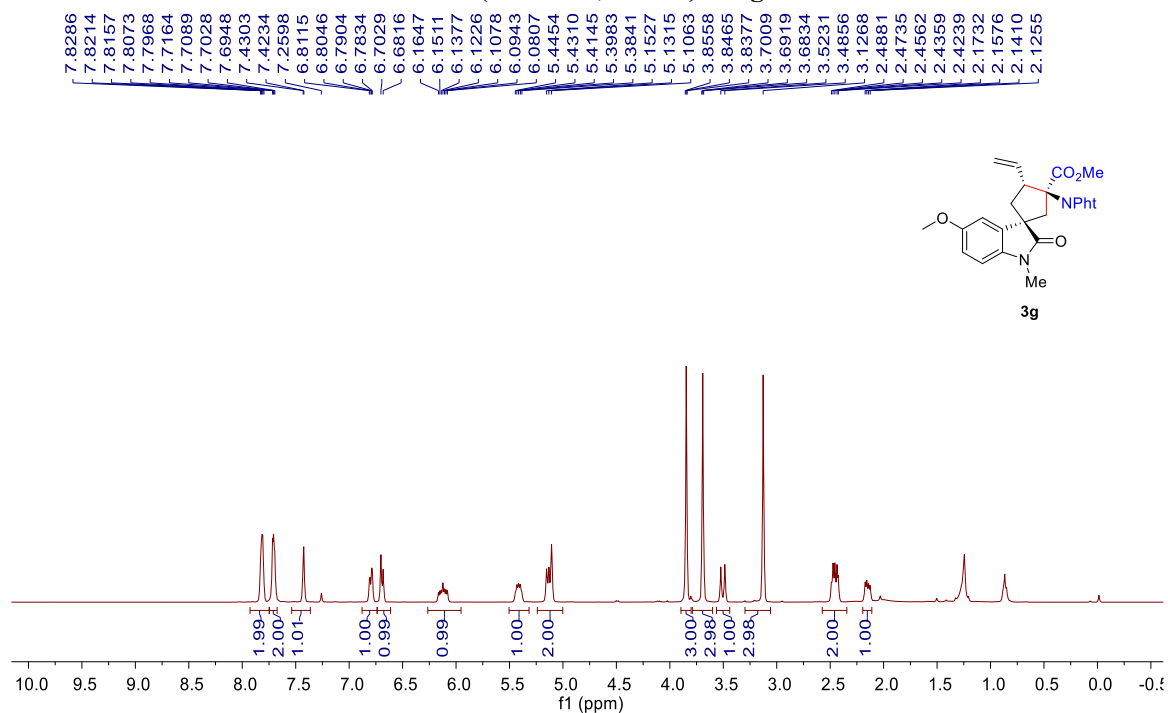
¹H NMR (400 MHz, CDCl₃) of 3f''



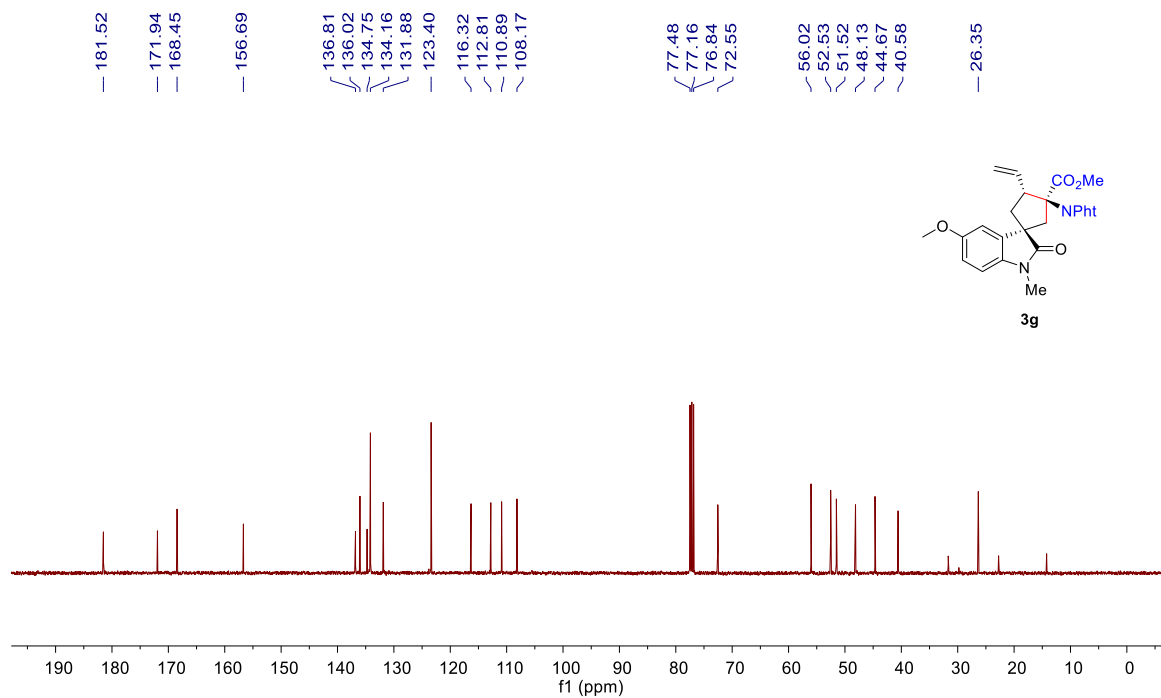
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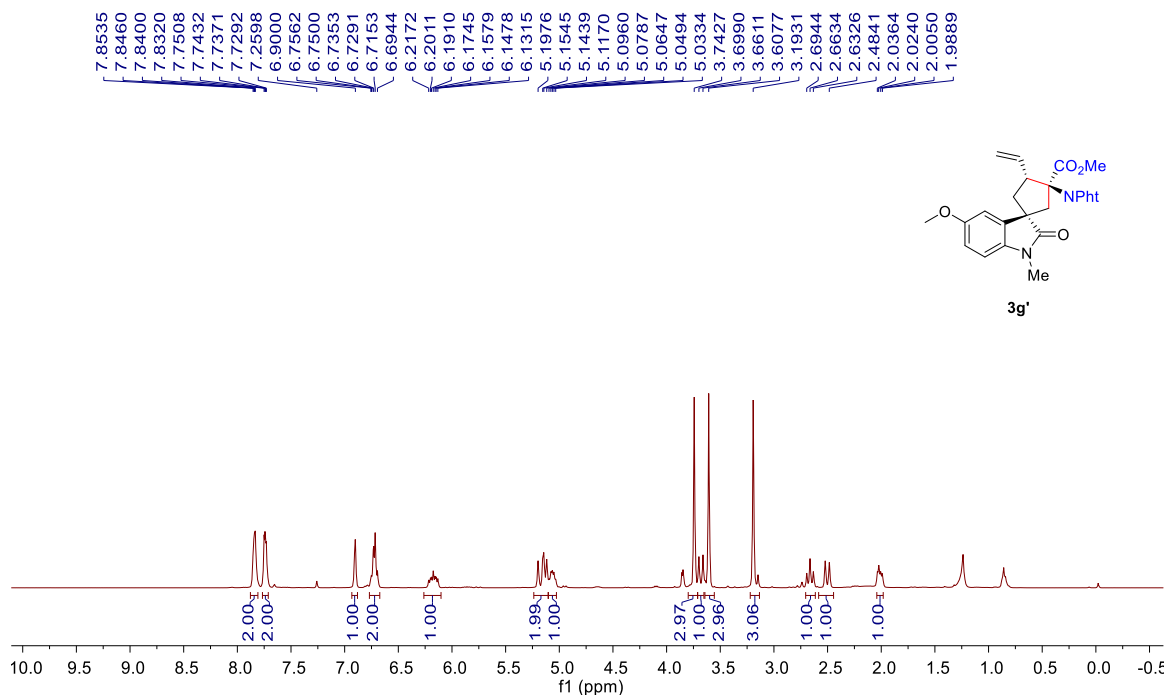
¹H NMR (400 MHz, CDCl₃) of 3g



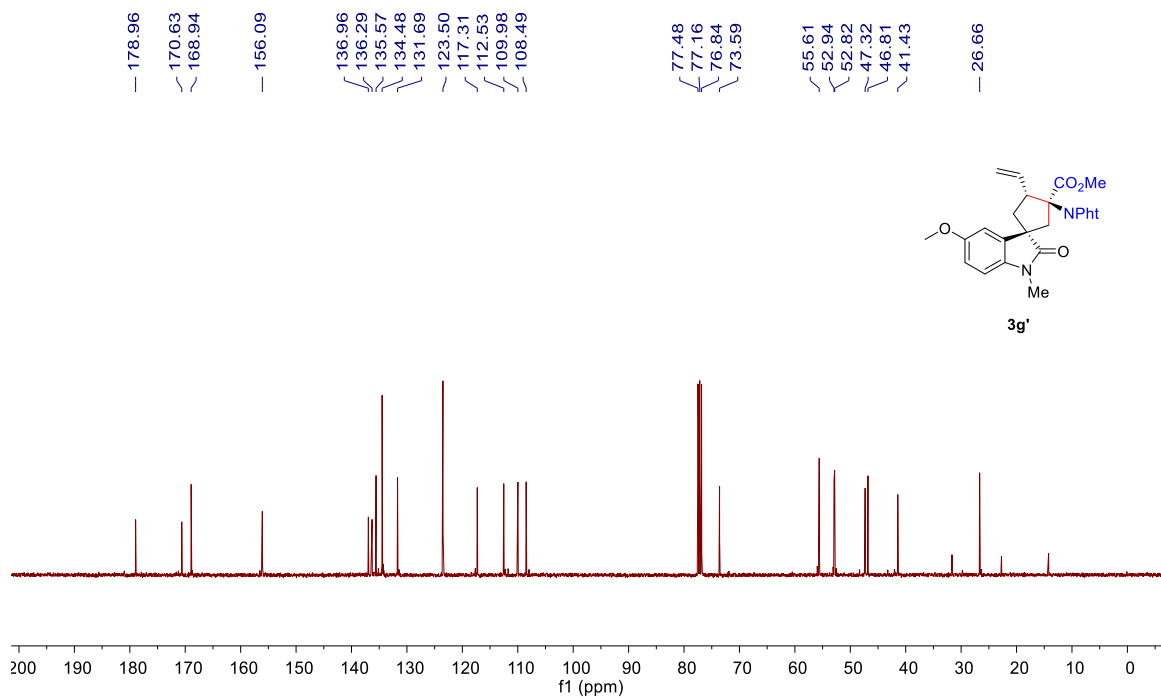
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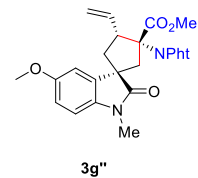
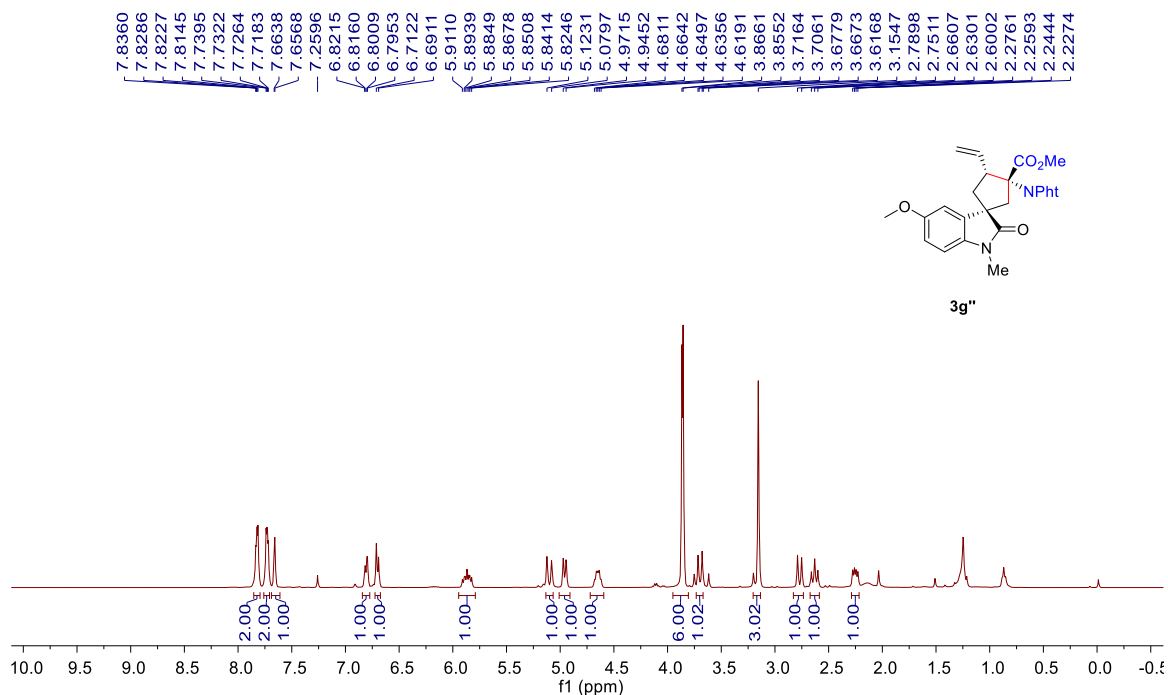
¹H NMR (400 MHz, CDCl₃) of 3g'



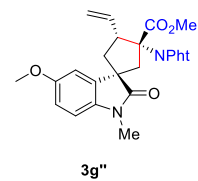
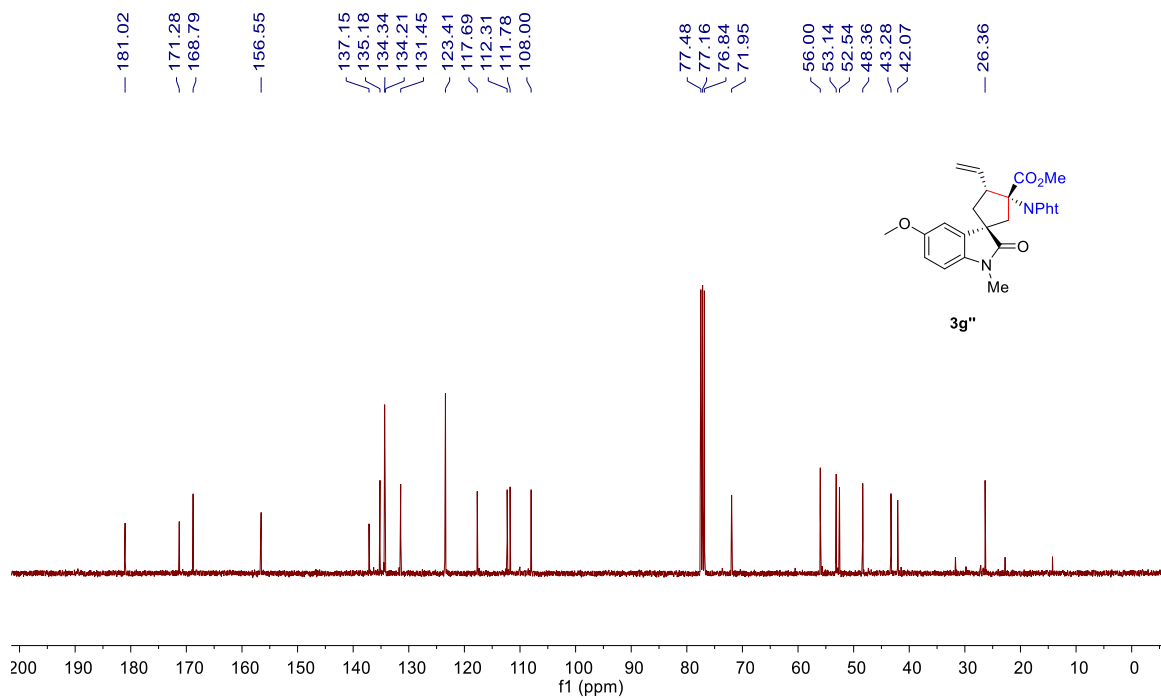
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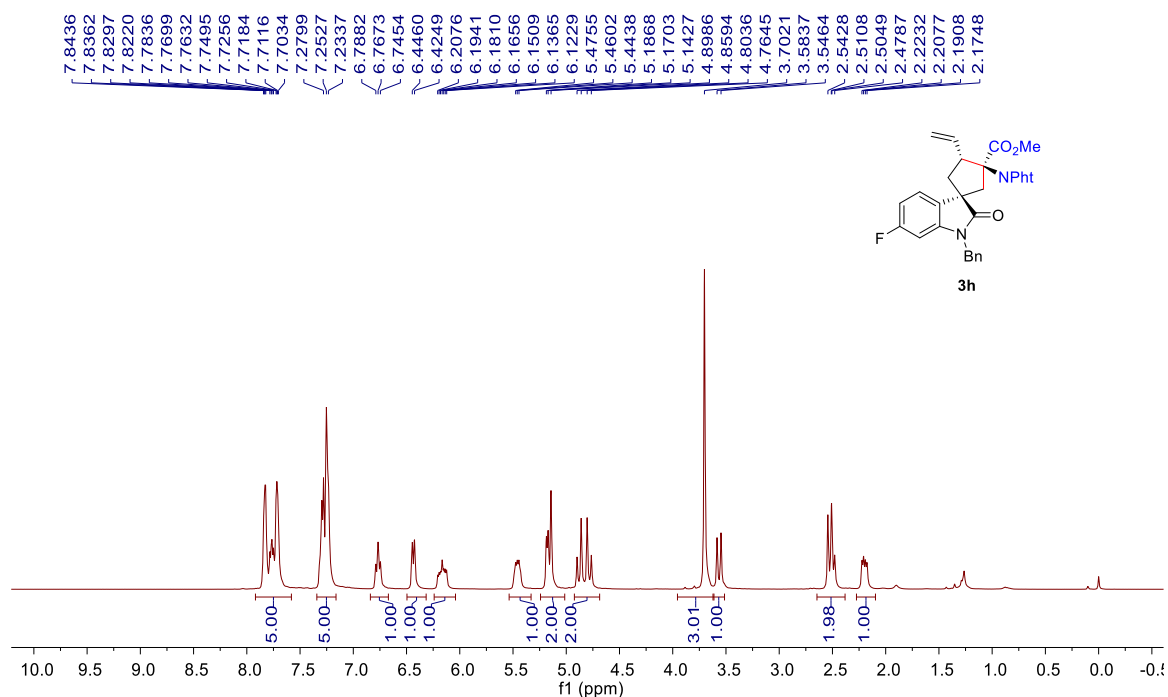
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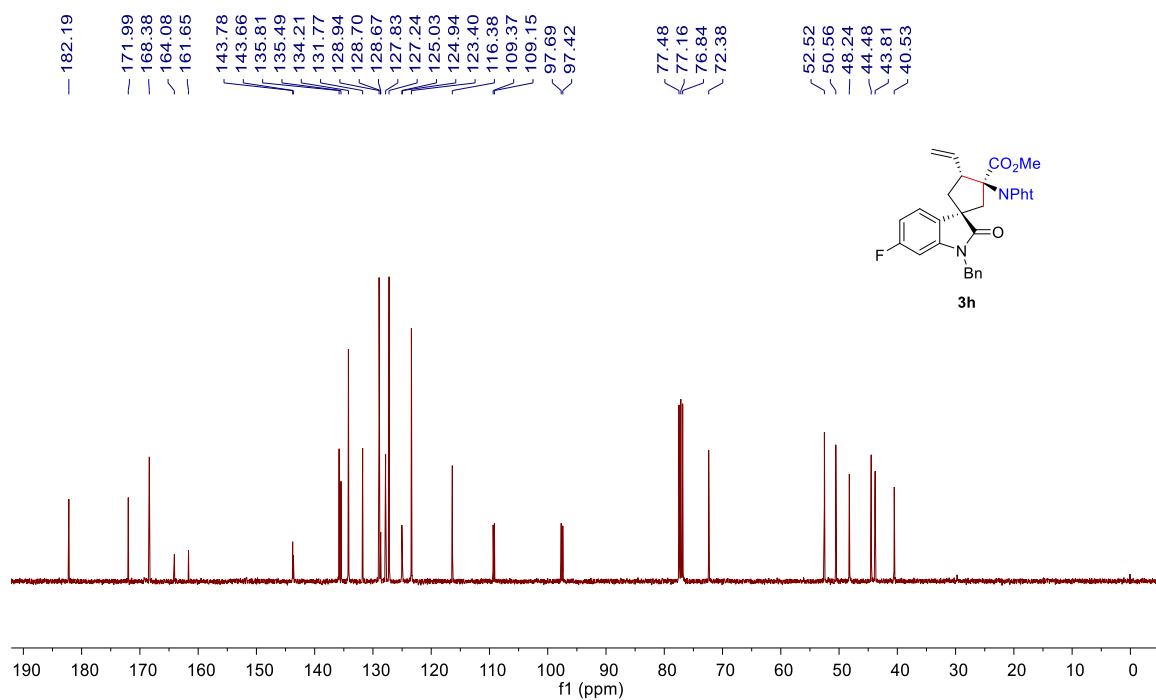
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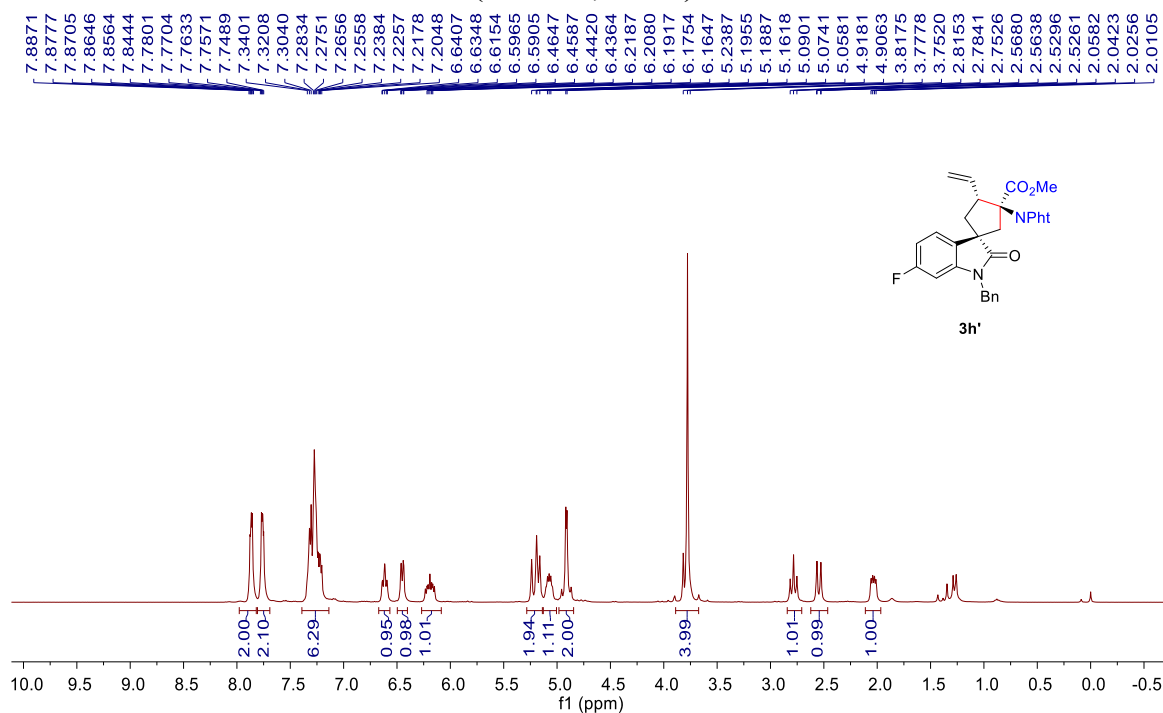
¹H NMR (400 MHz, CDCl₃) of 3h



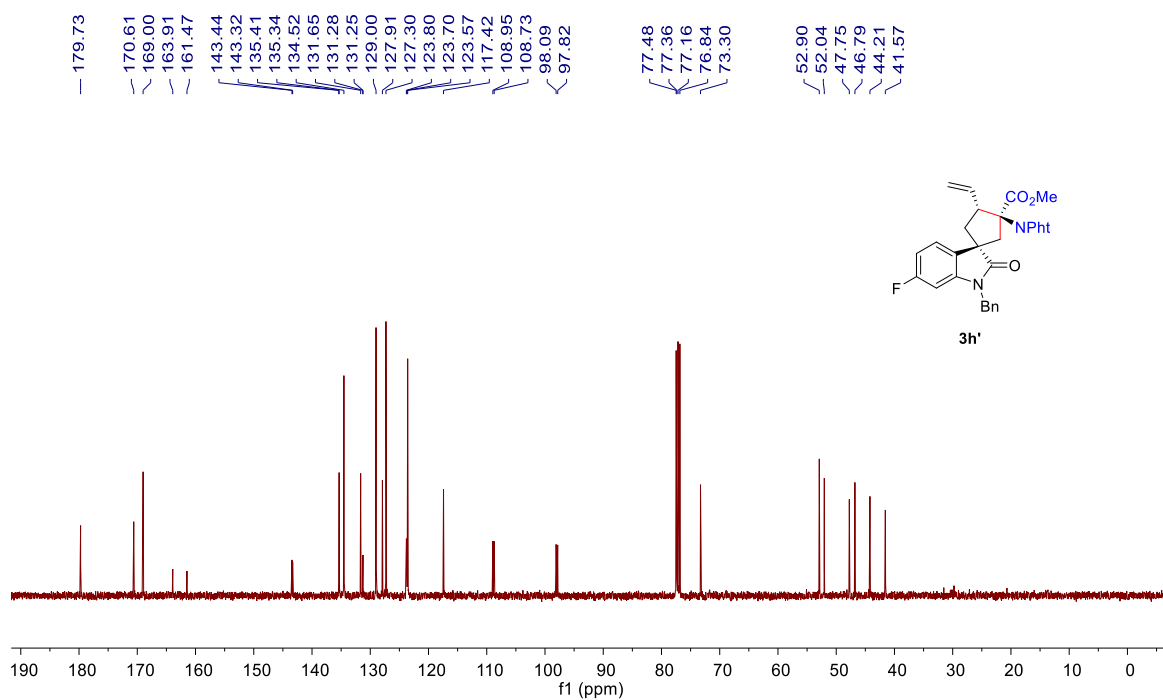
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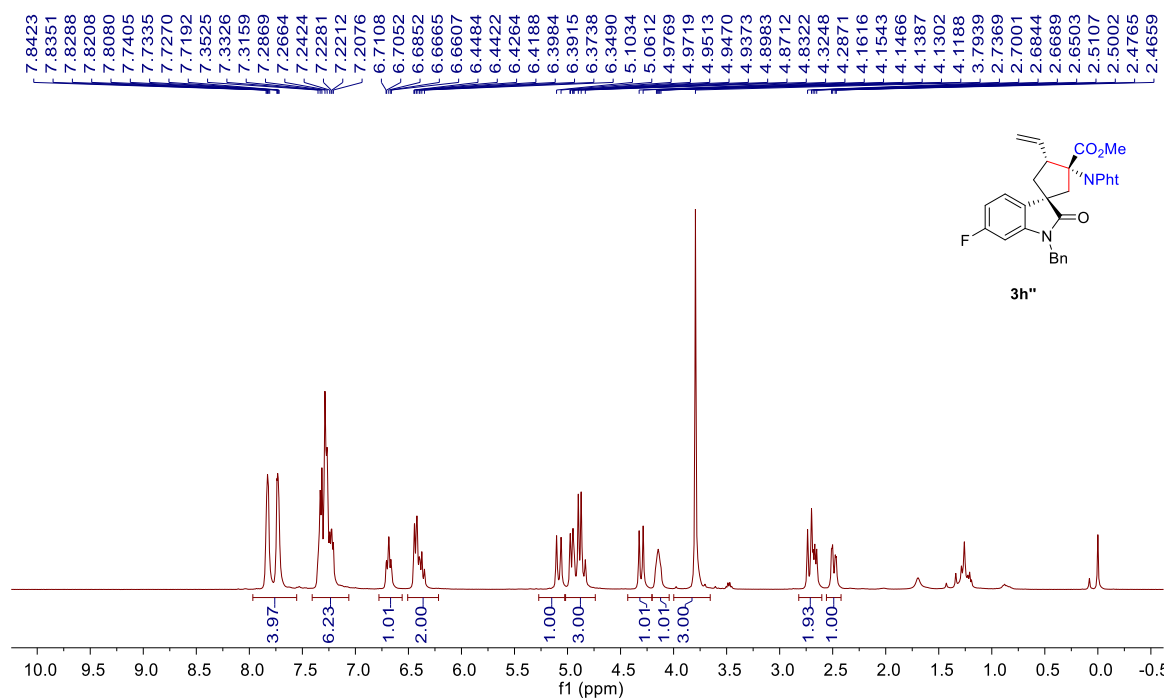
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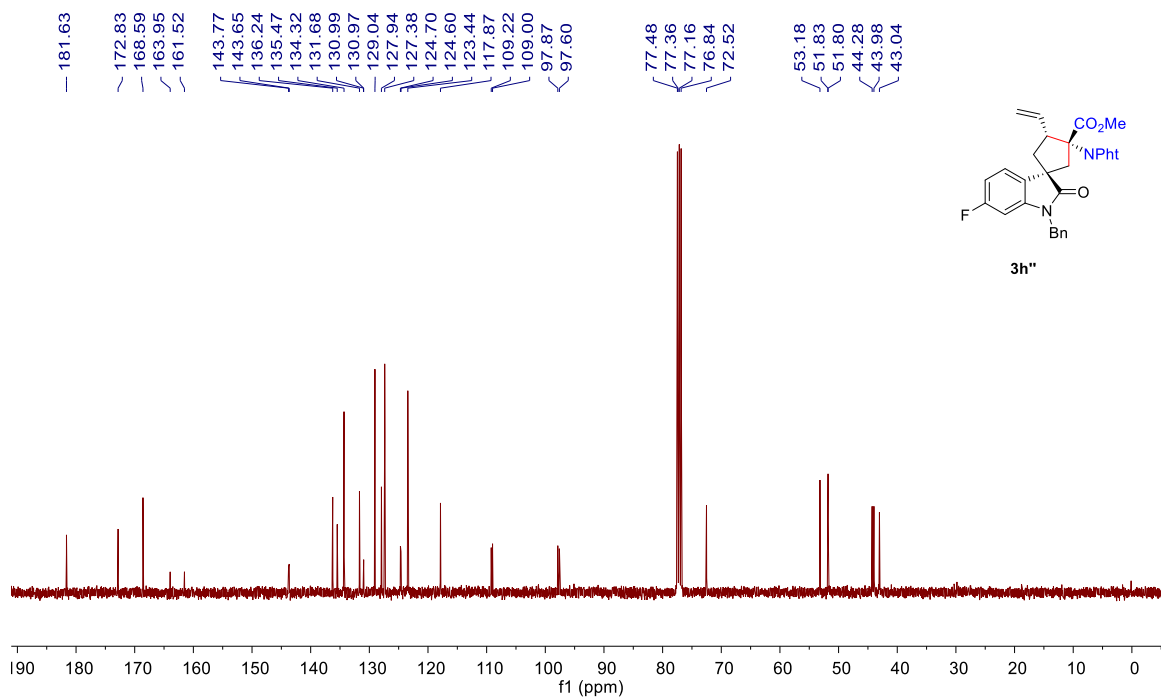
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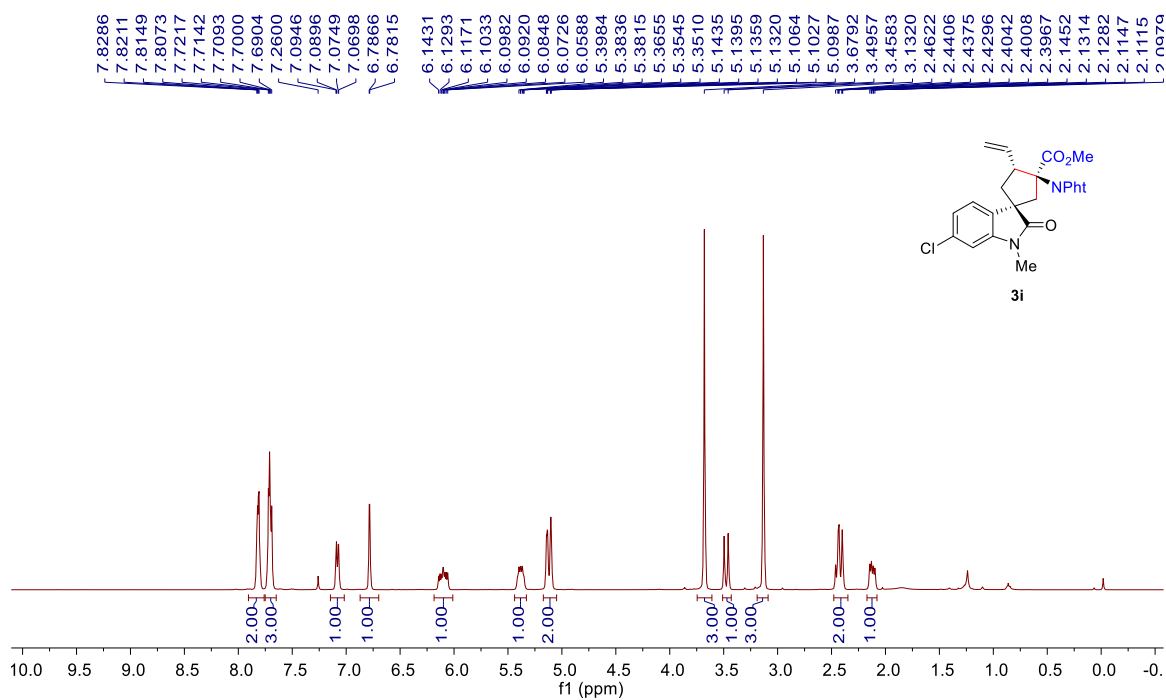
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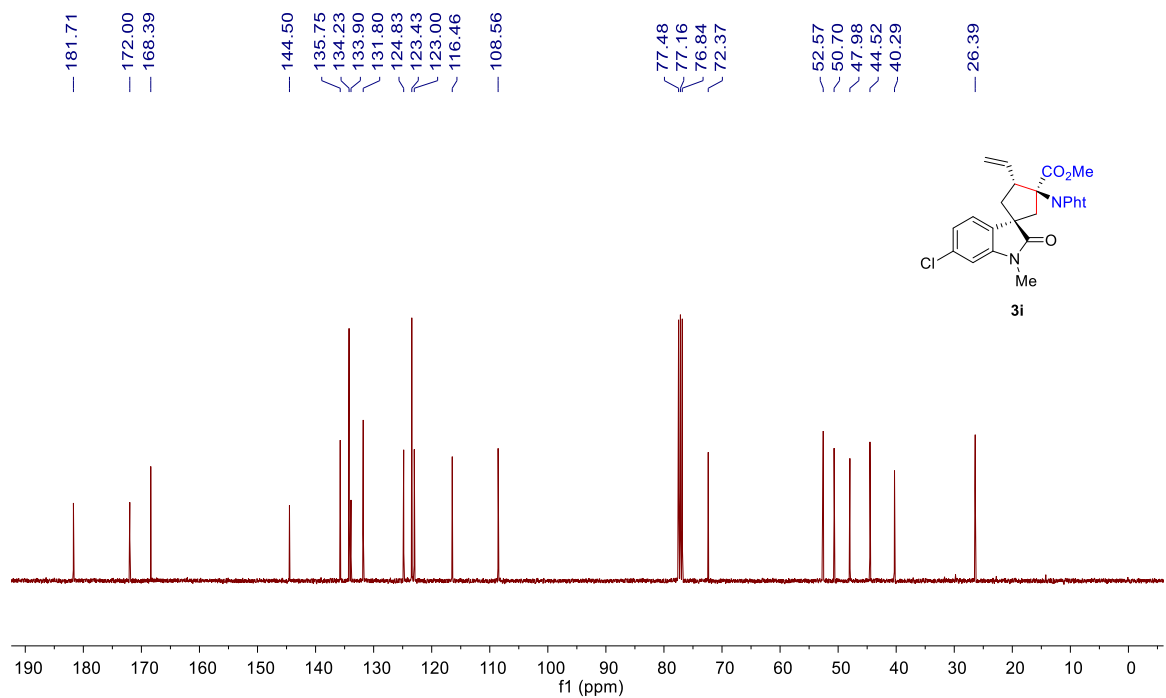
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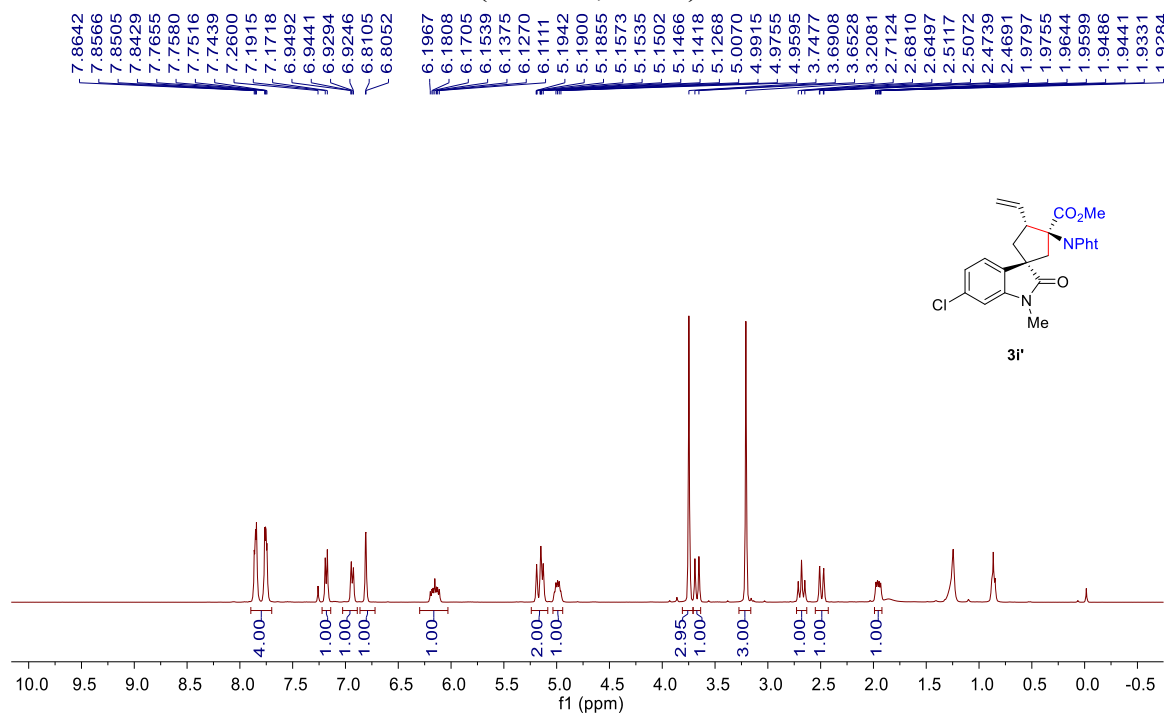
¹H NMR (400 MHz, CDCl₃) of 3i



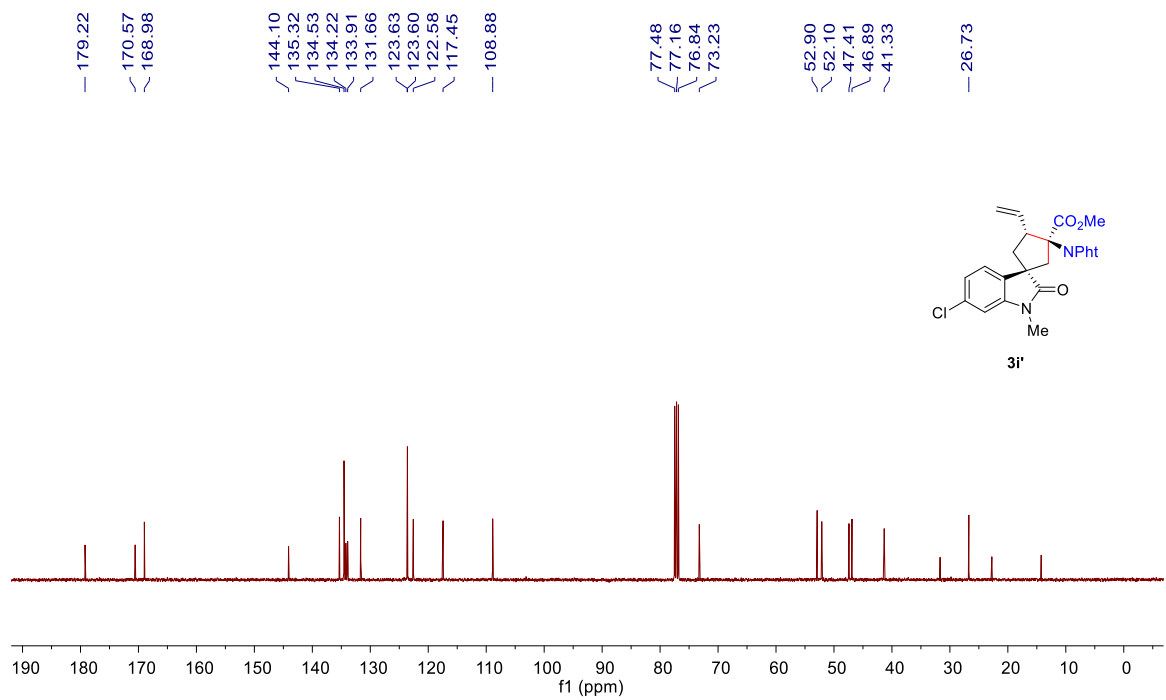
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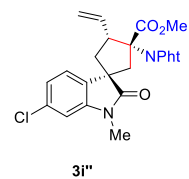
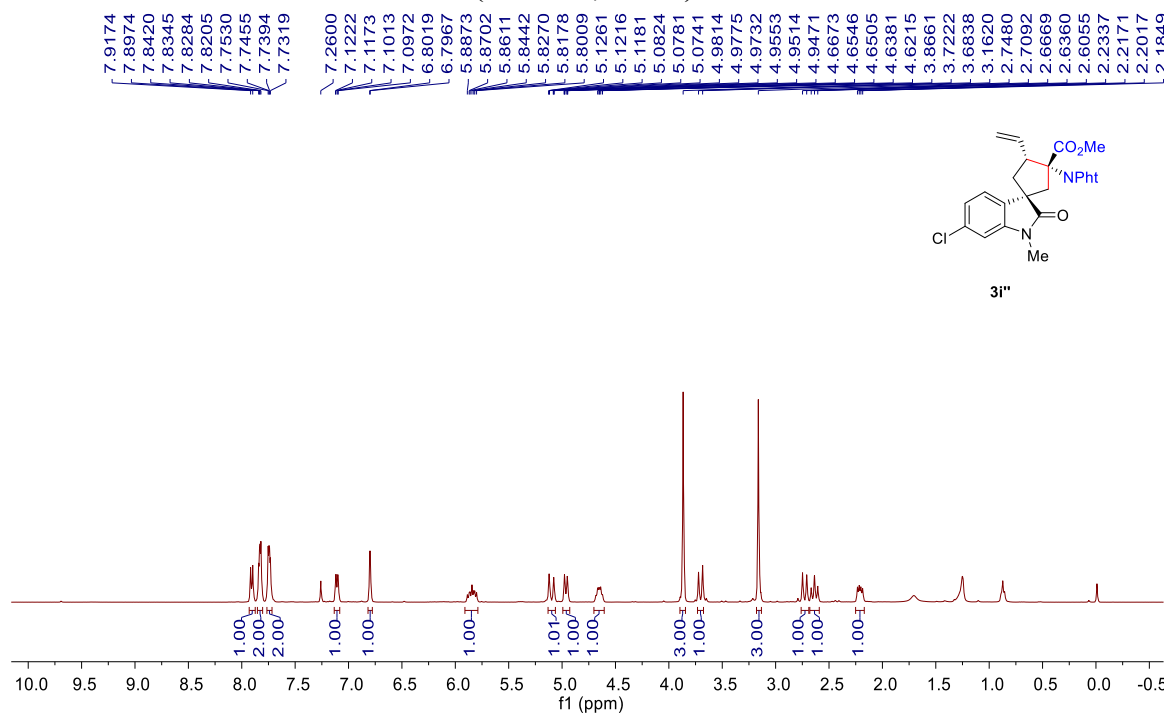
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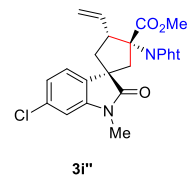
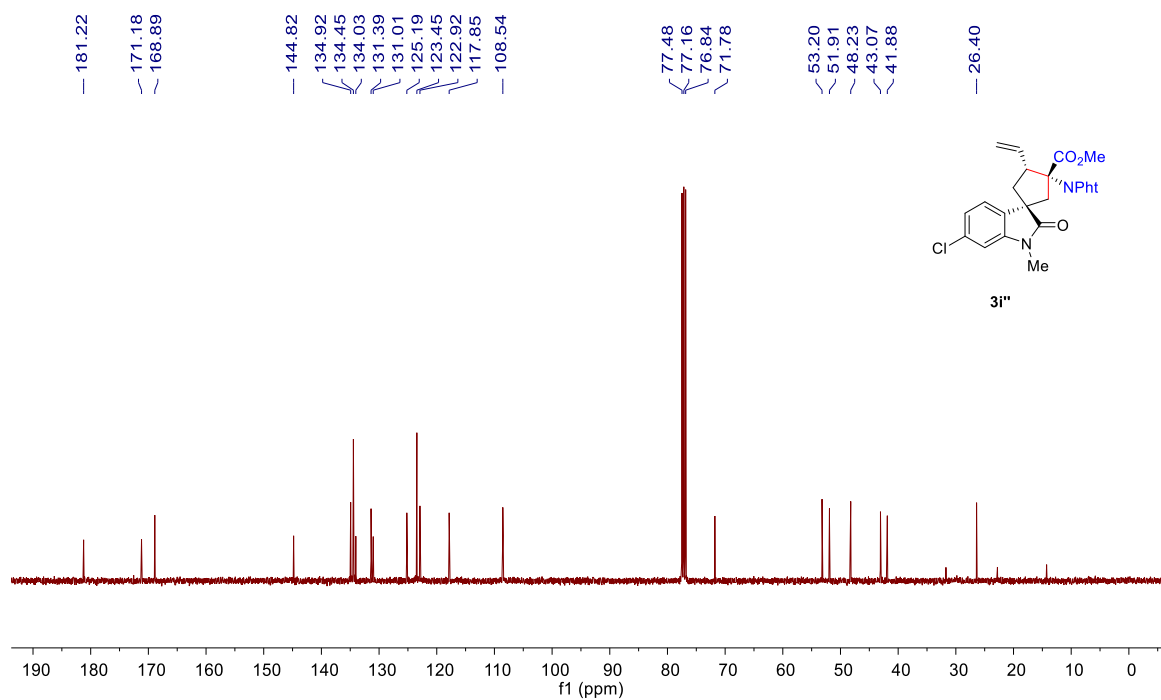
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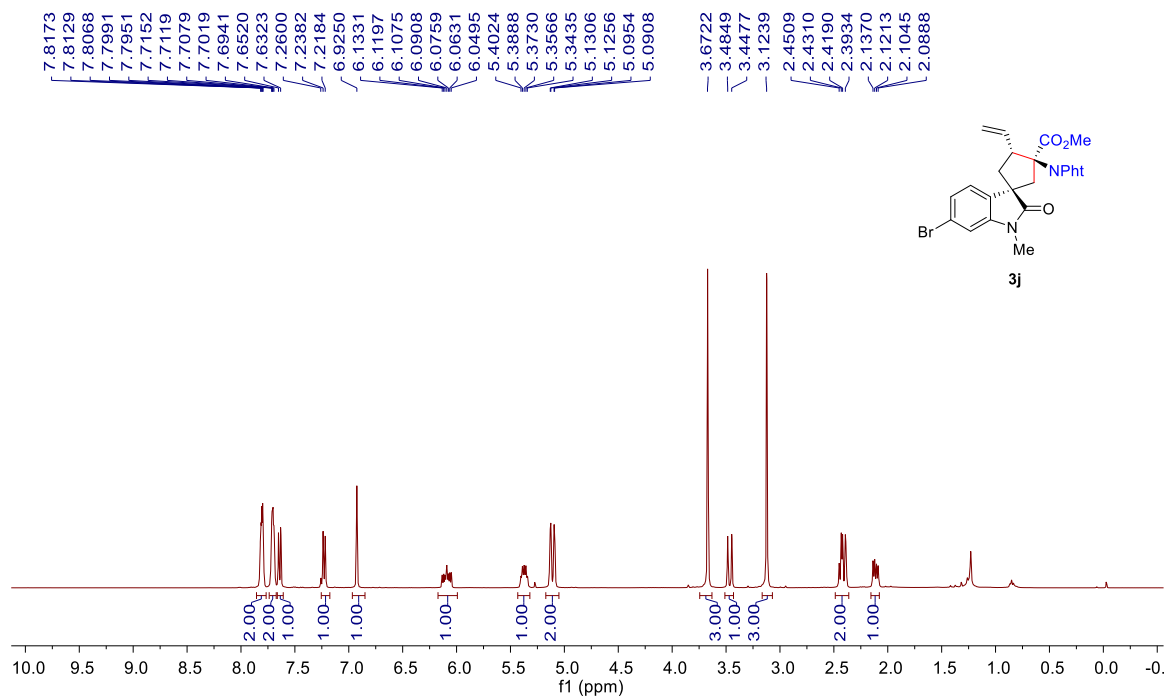
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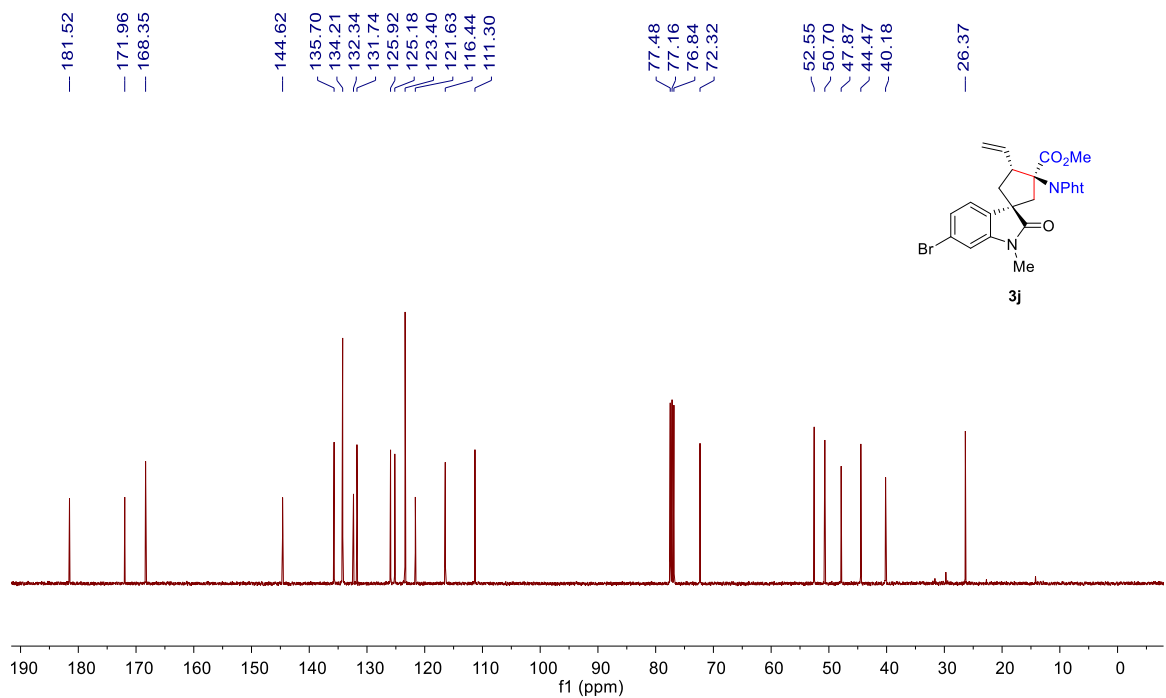
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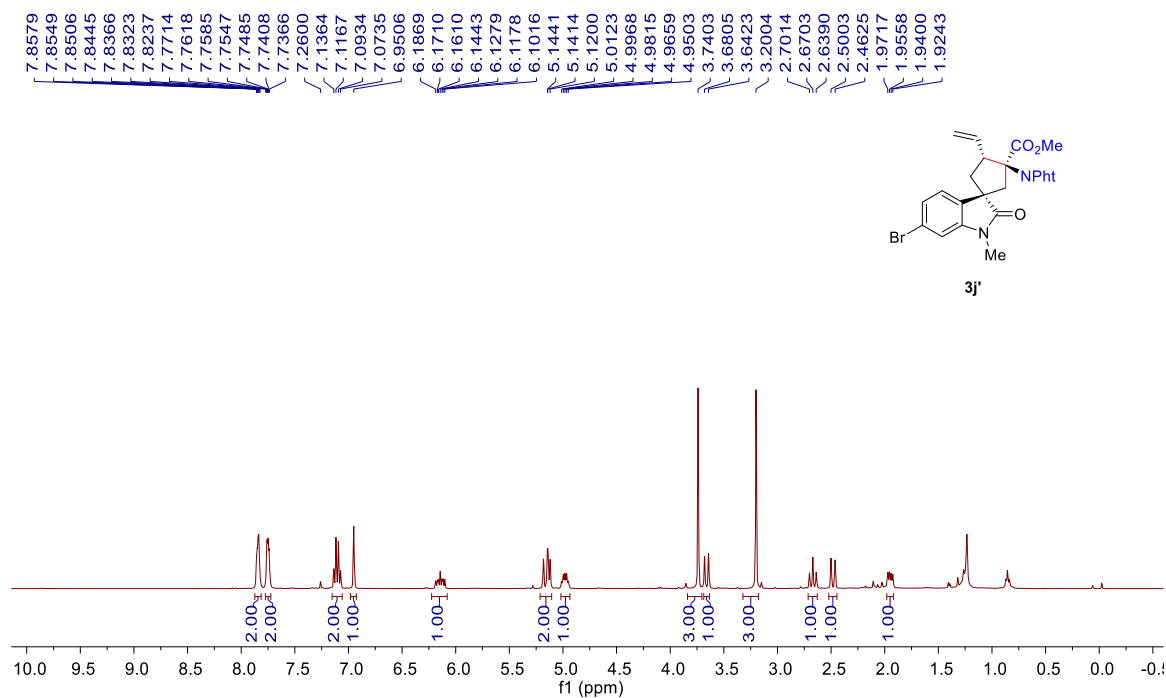
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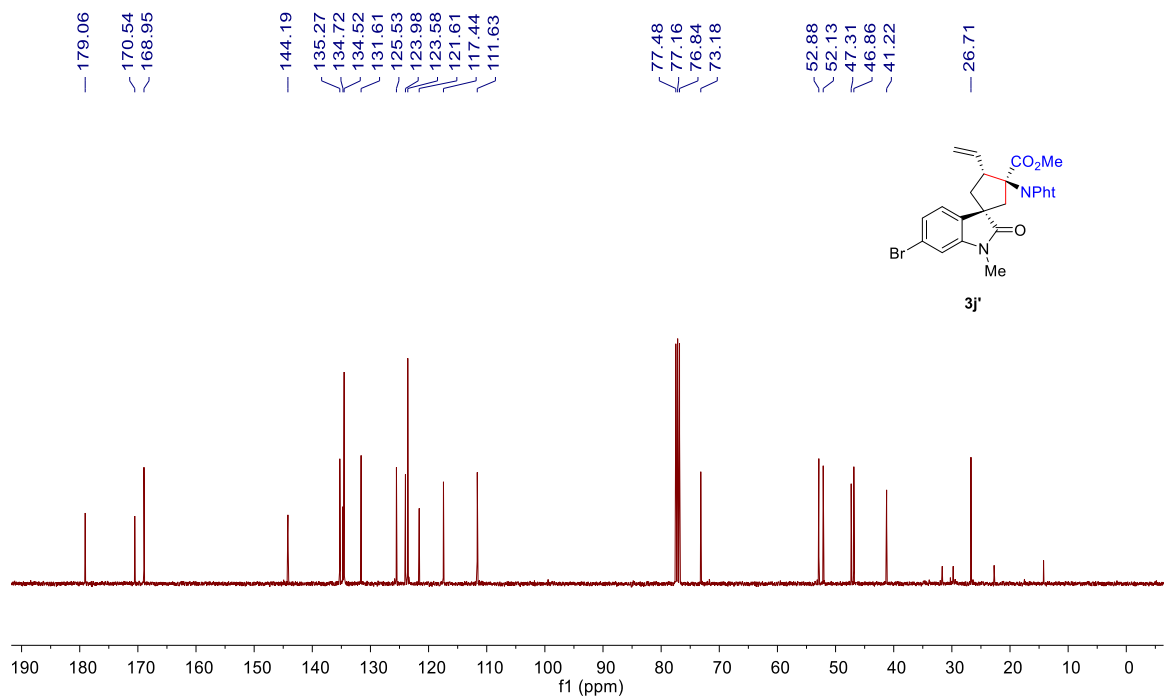
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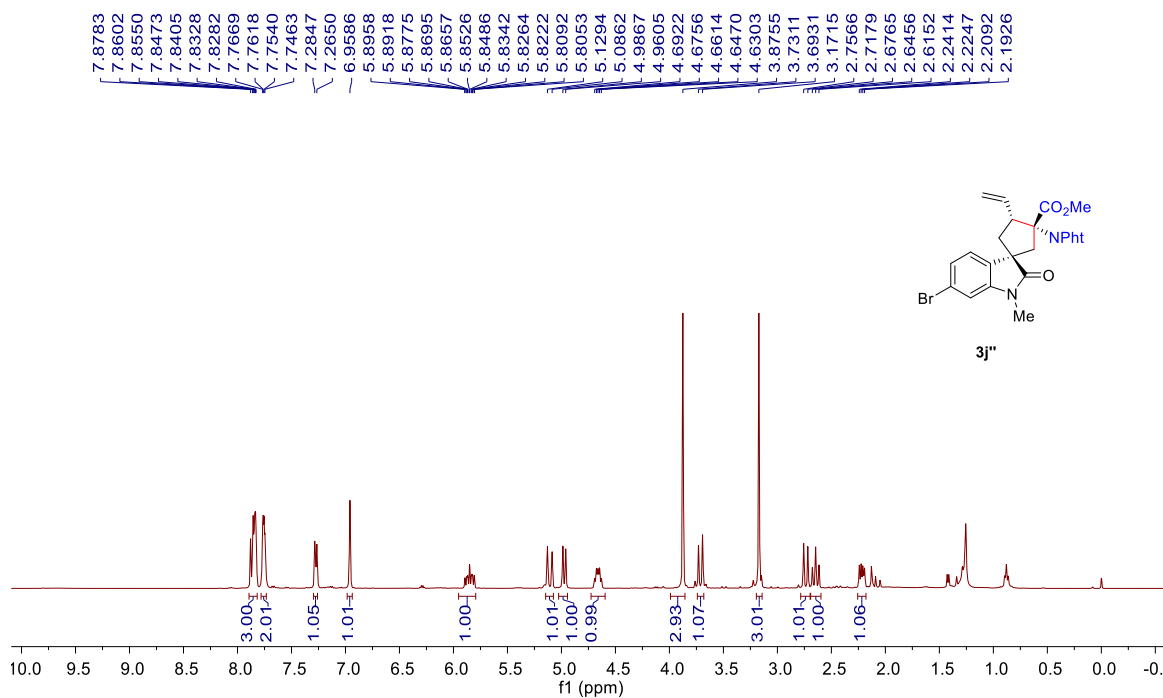
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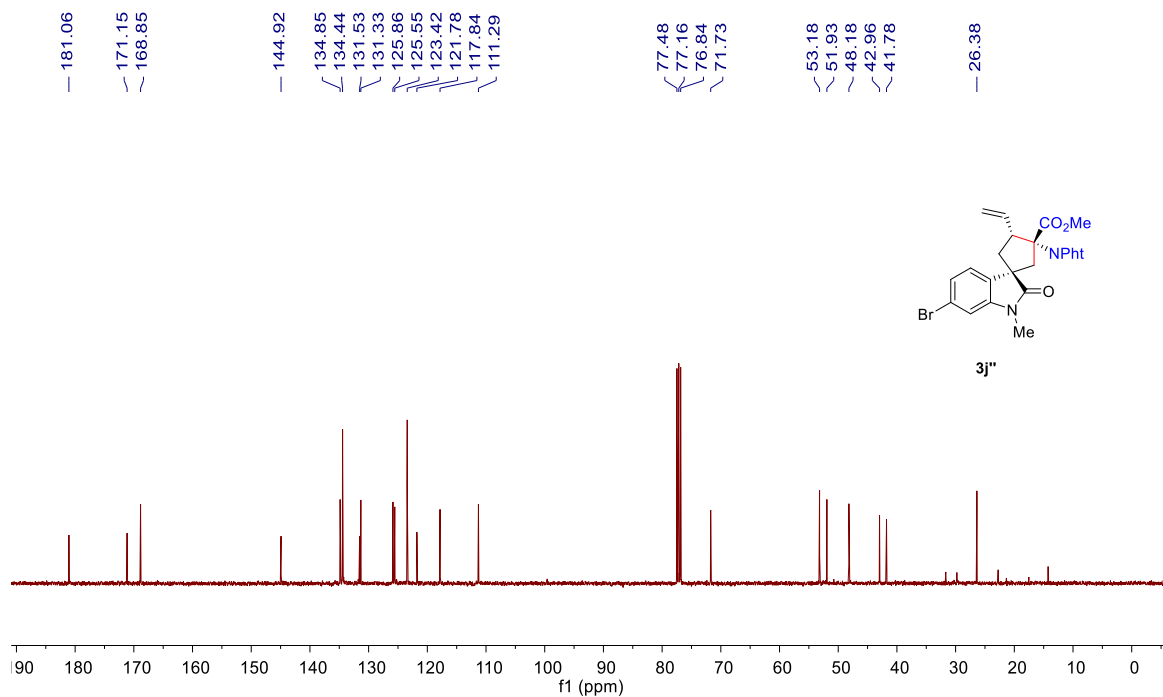
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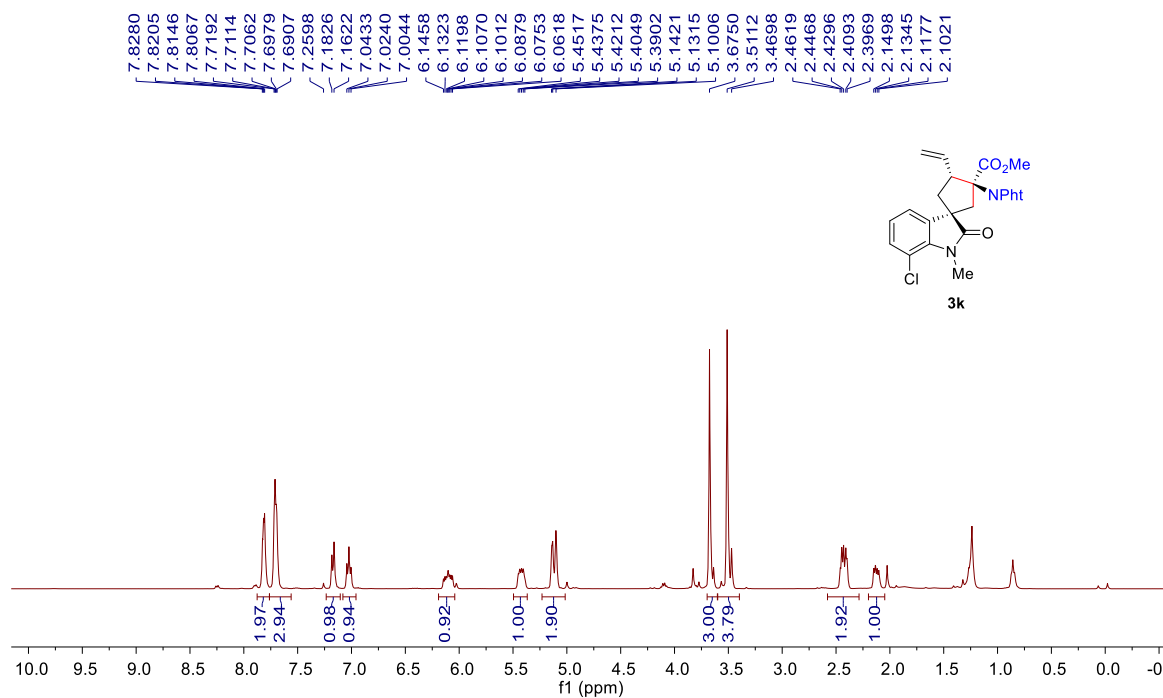
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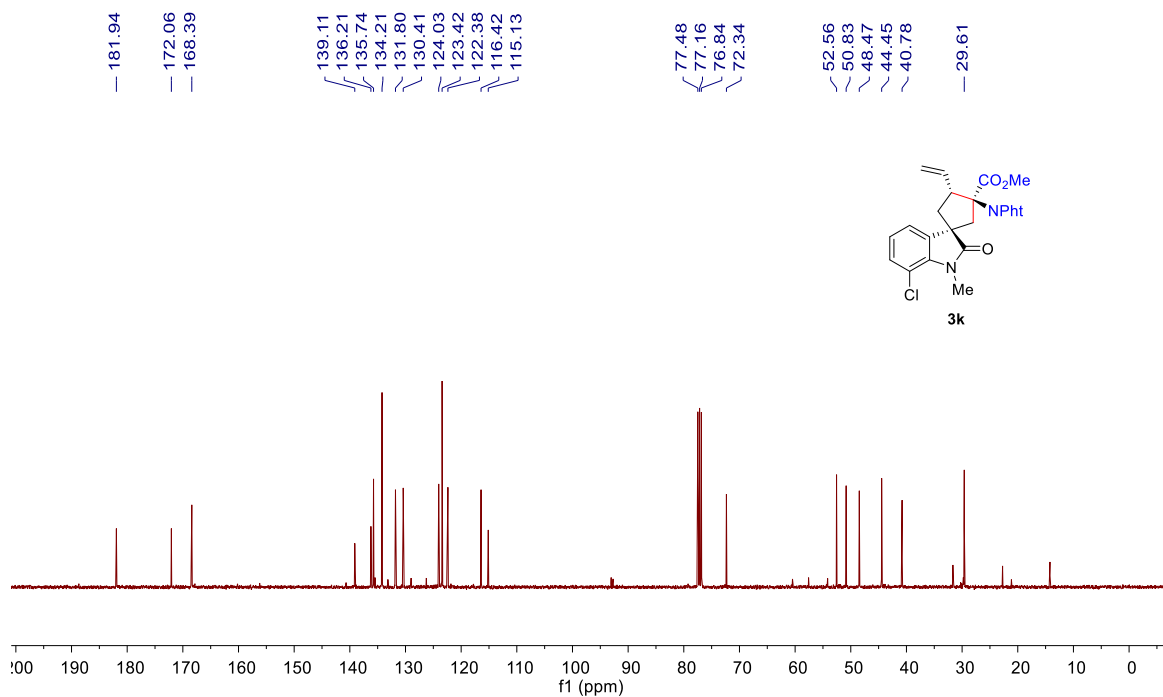
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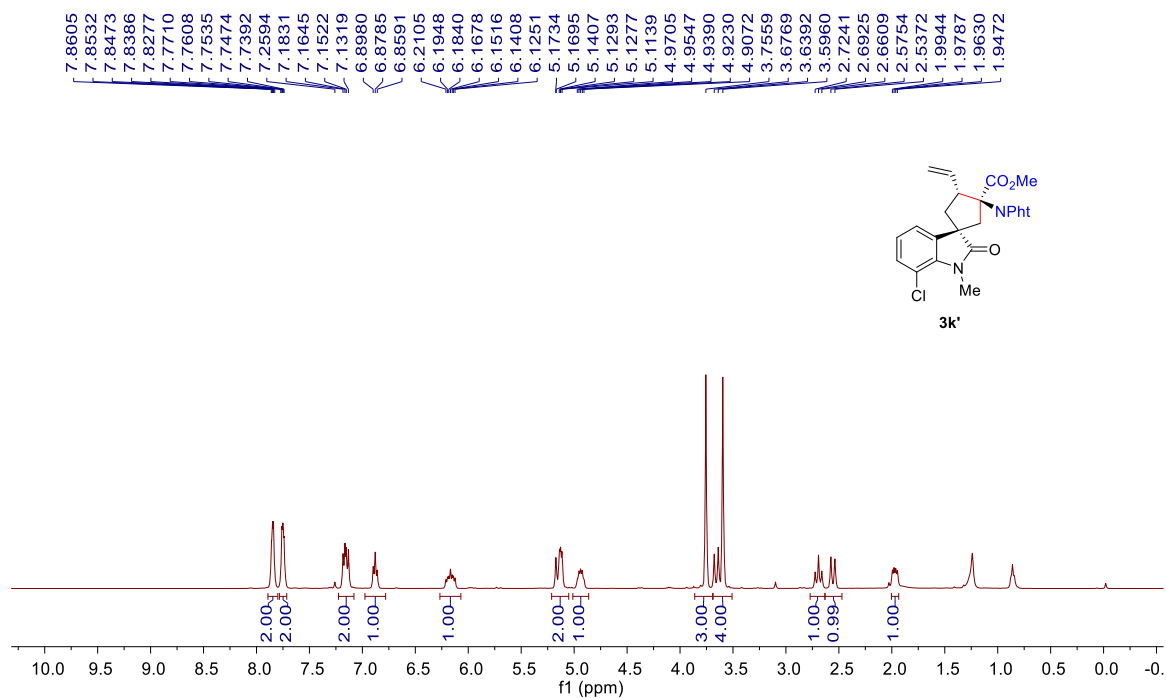
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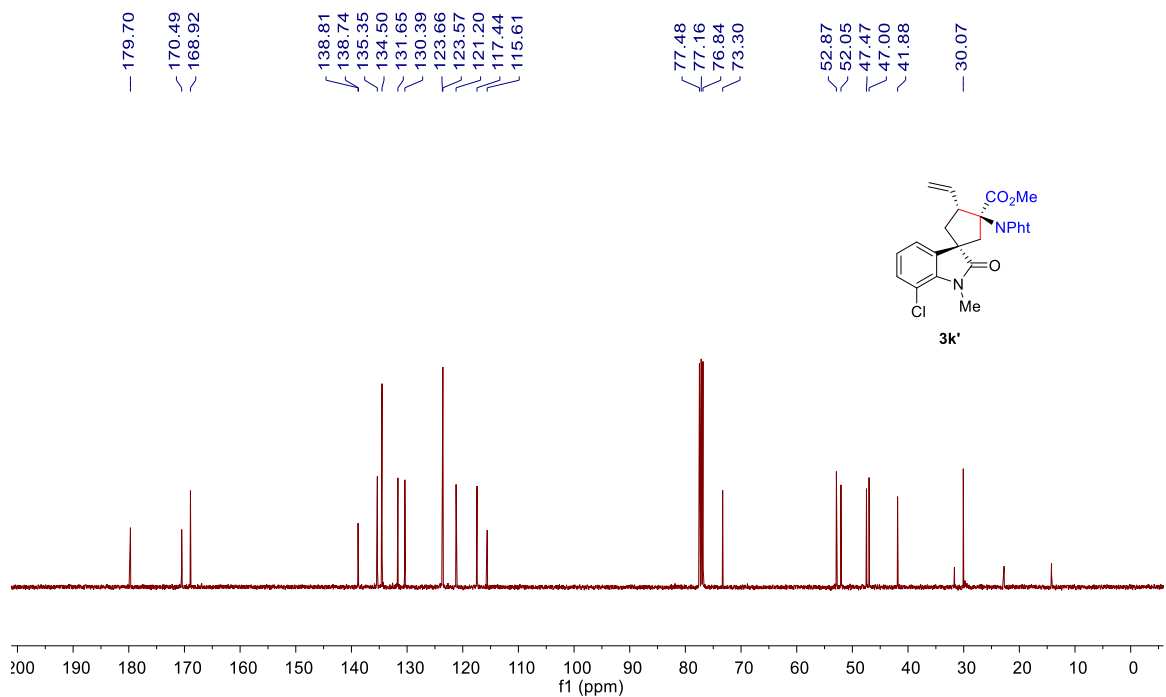
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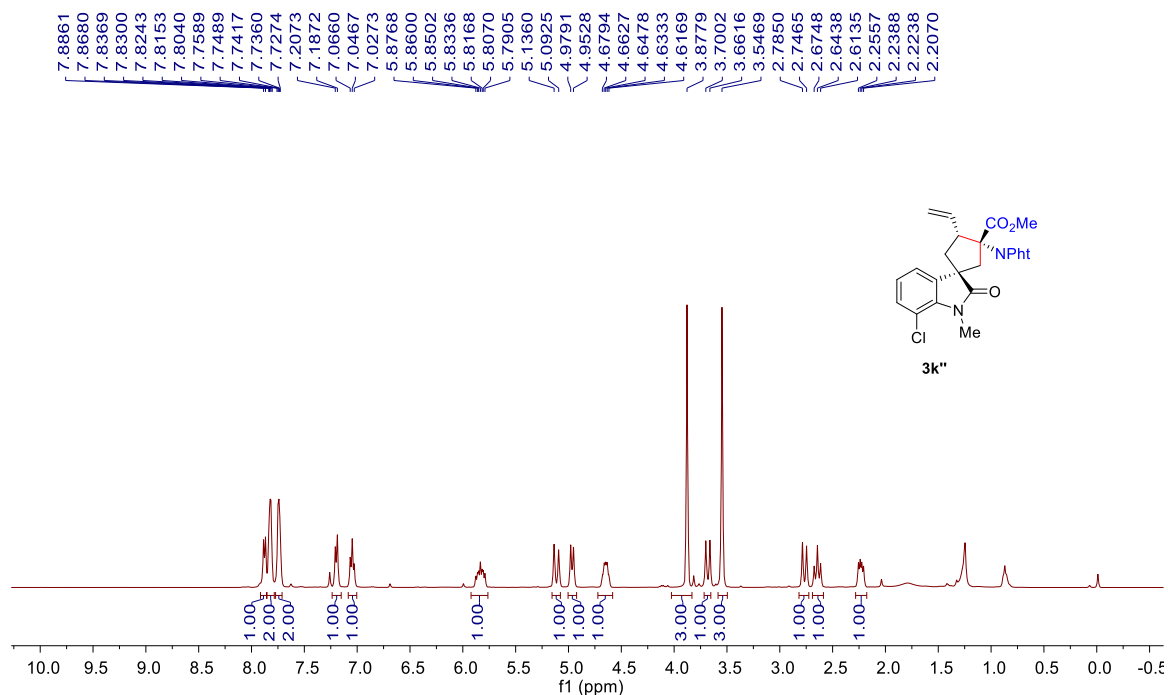
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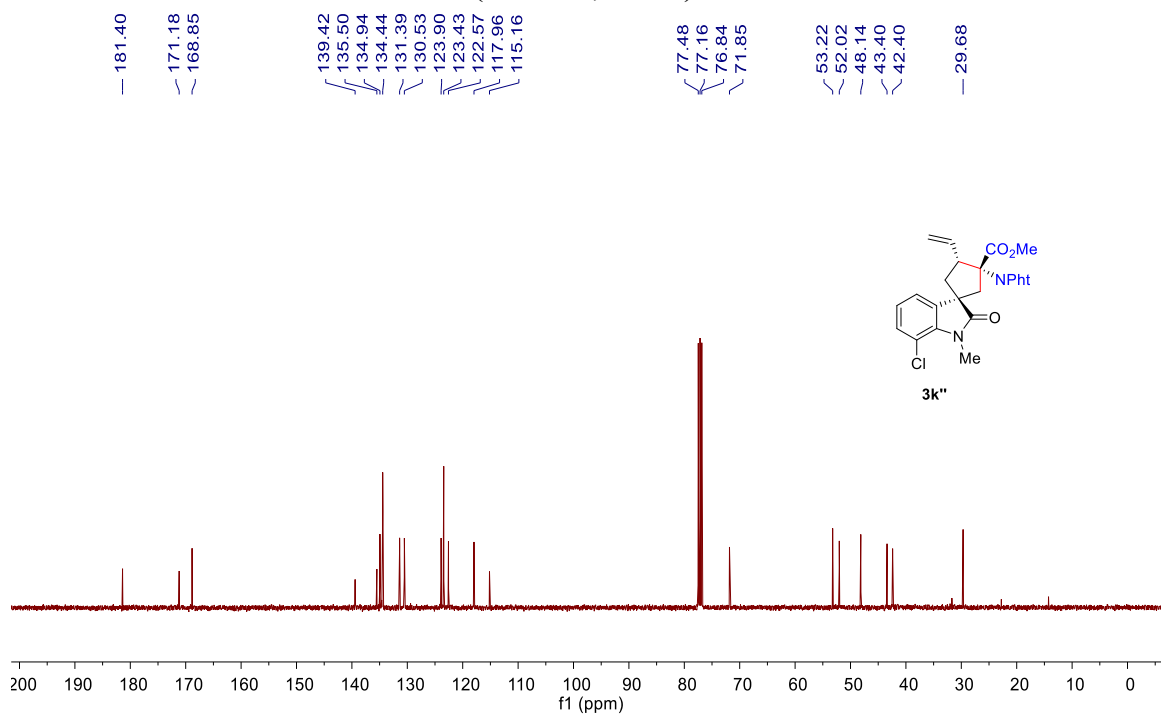
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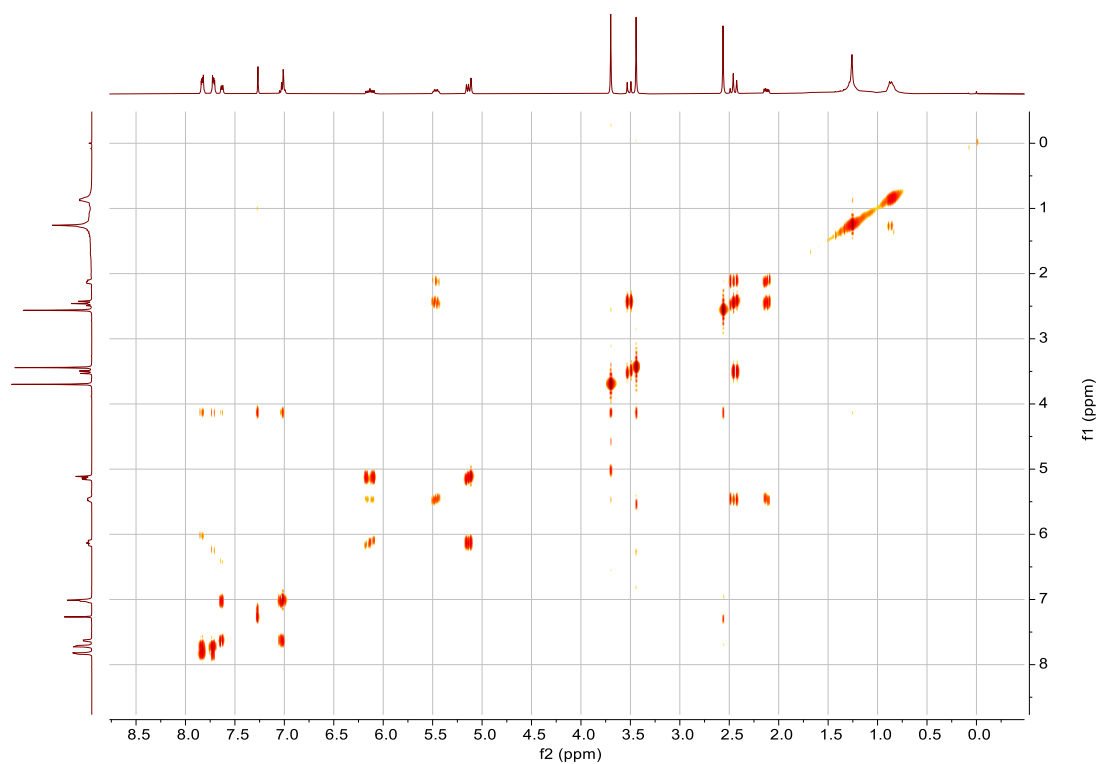
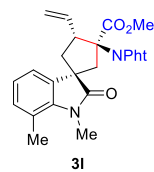
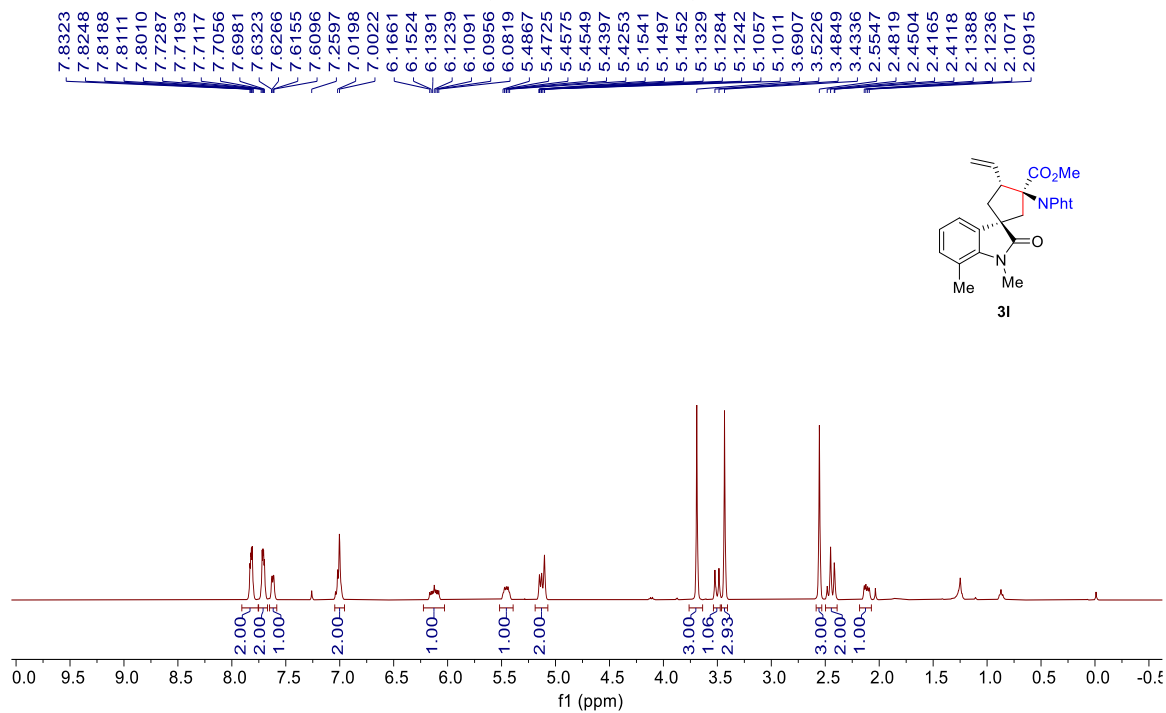
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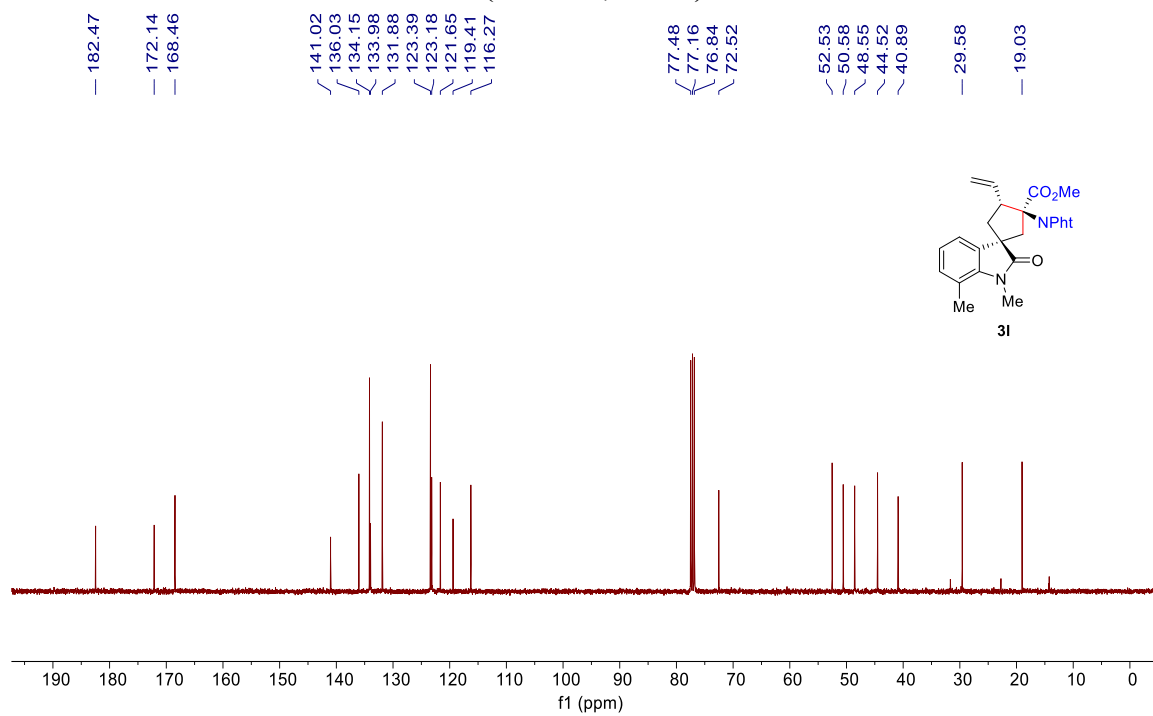
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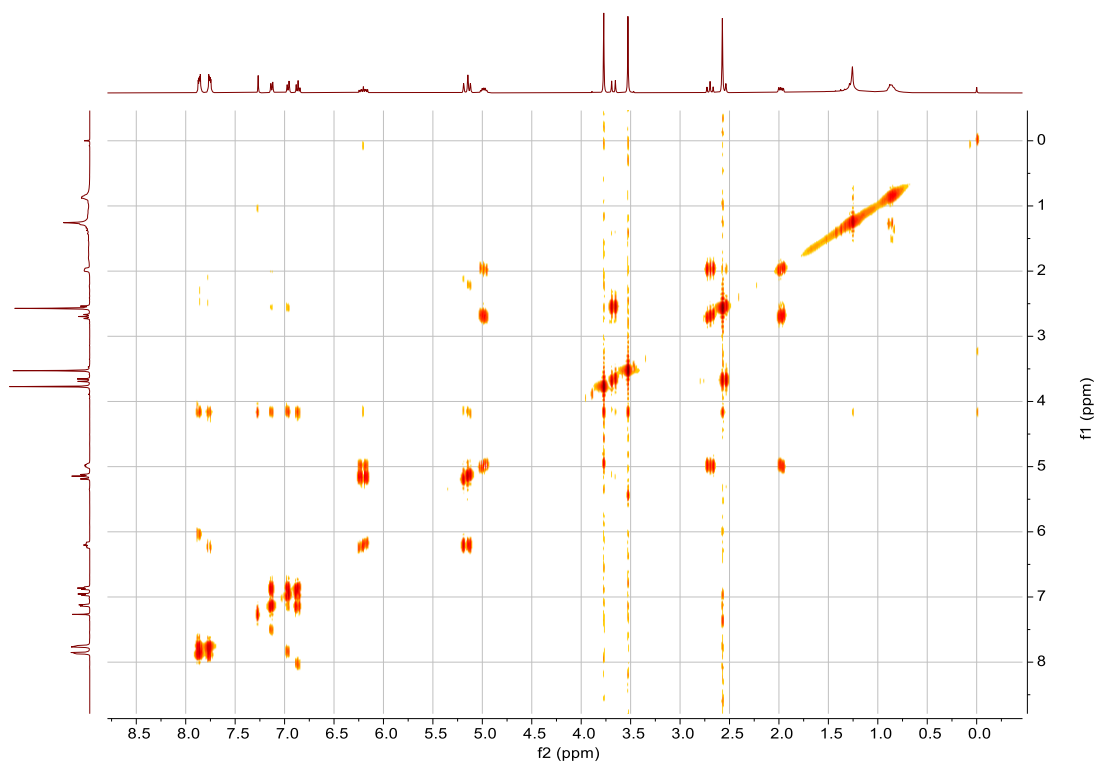
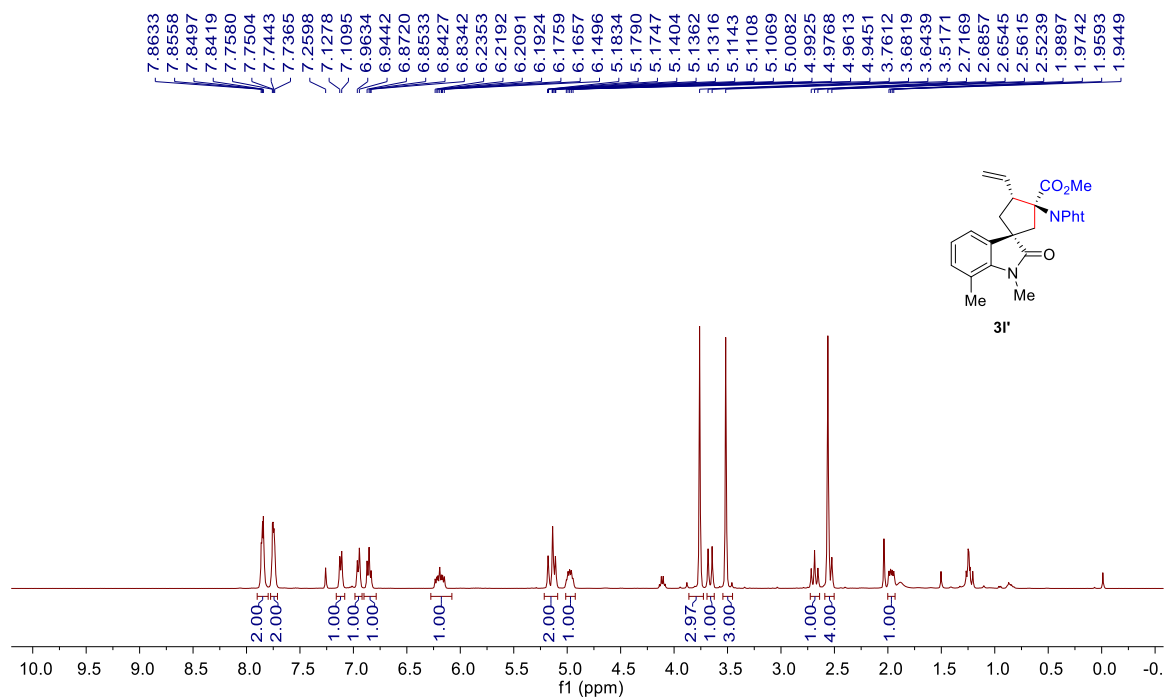
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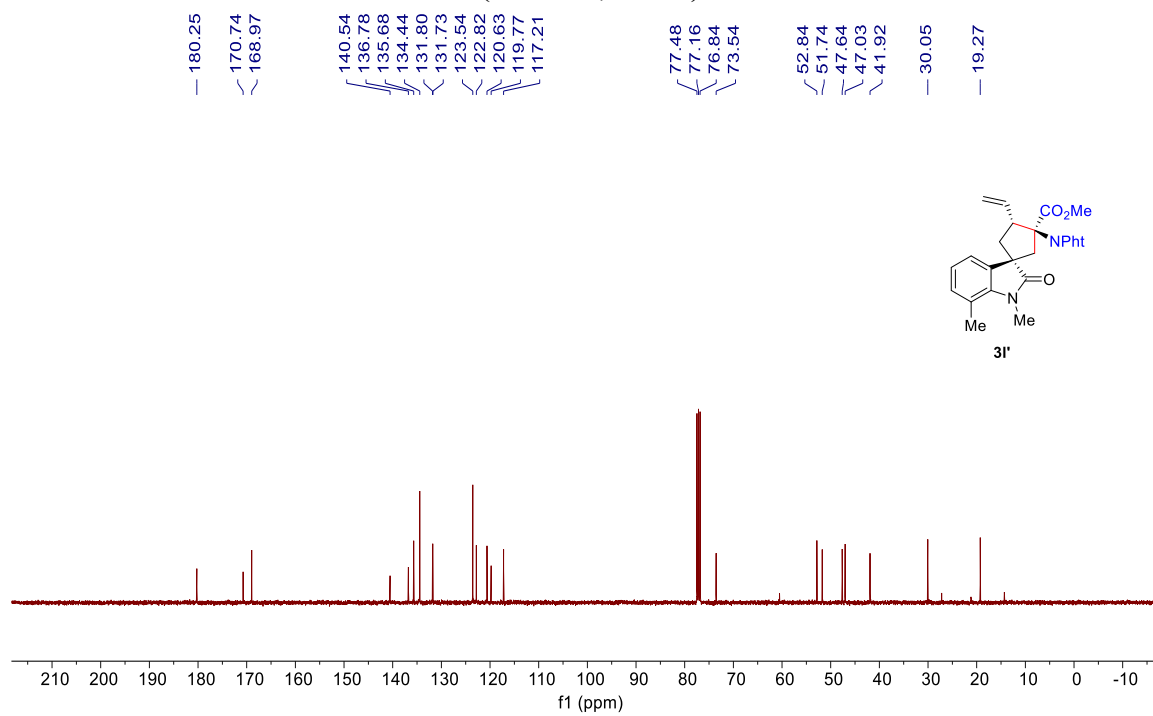
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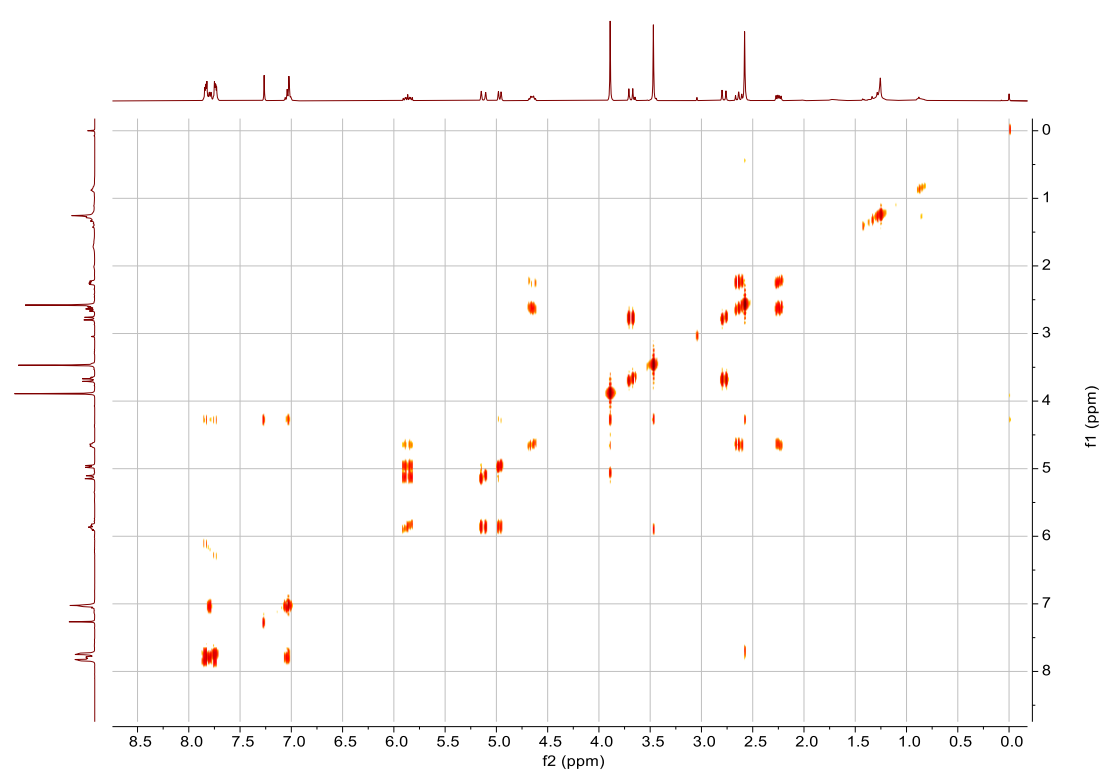
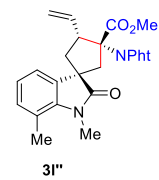
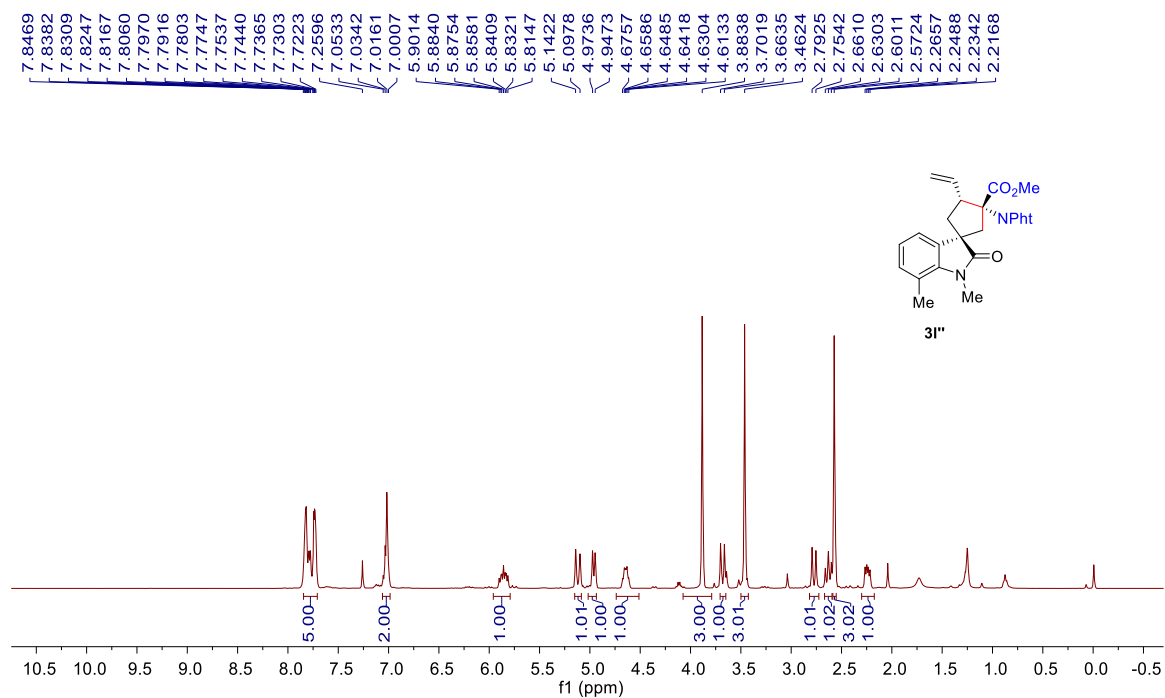
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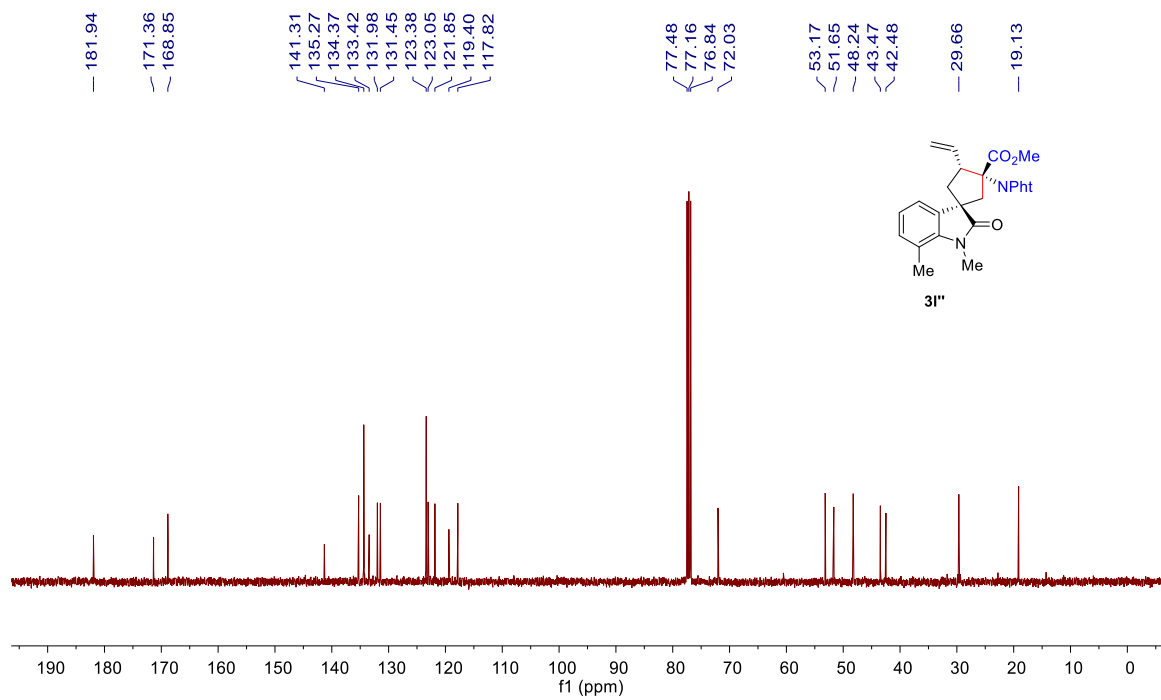
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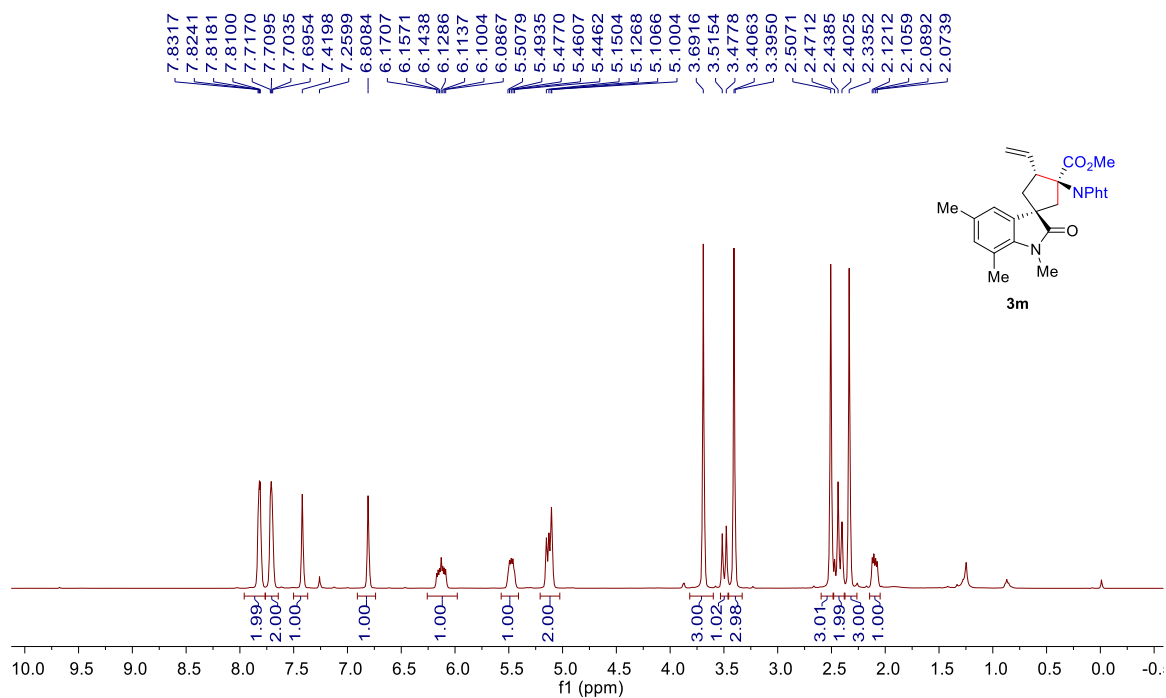
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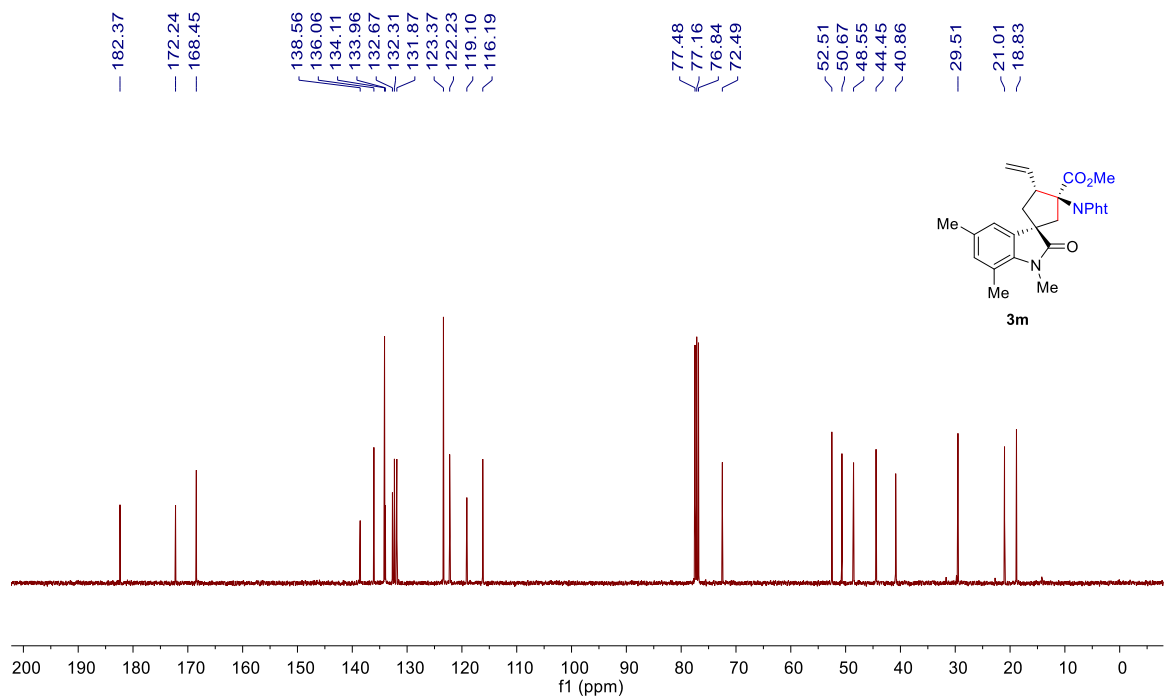
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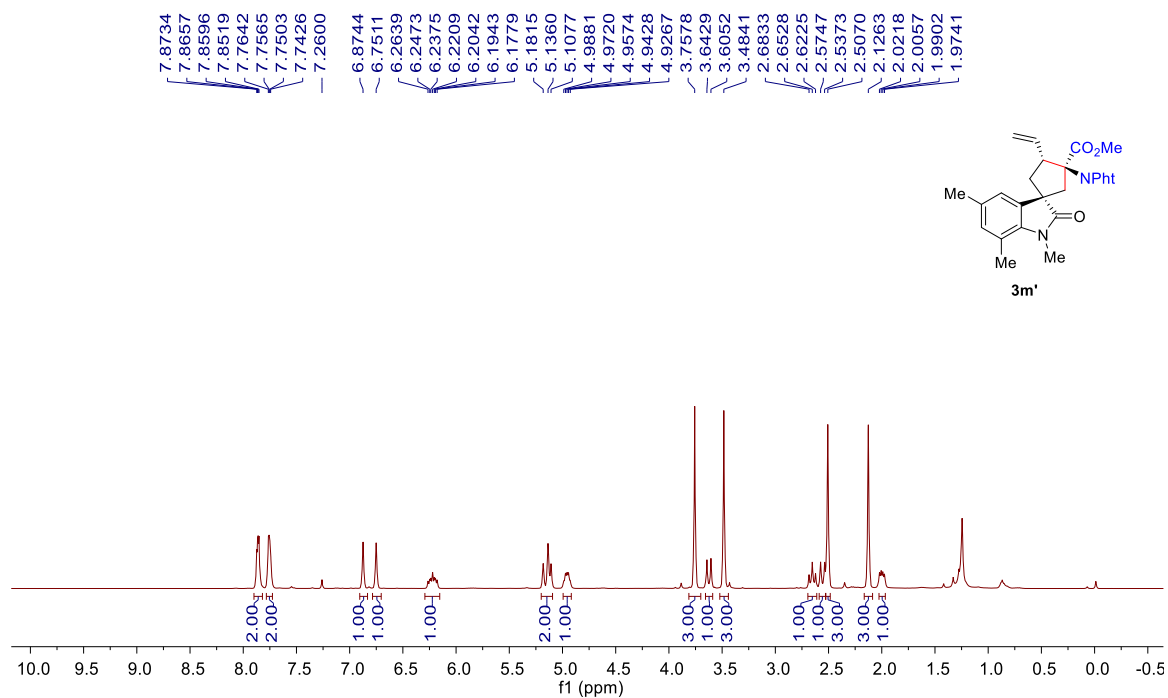
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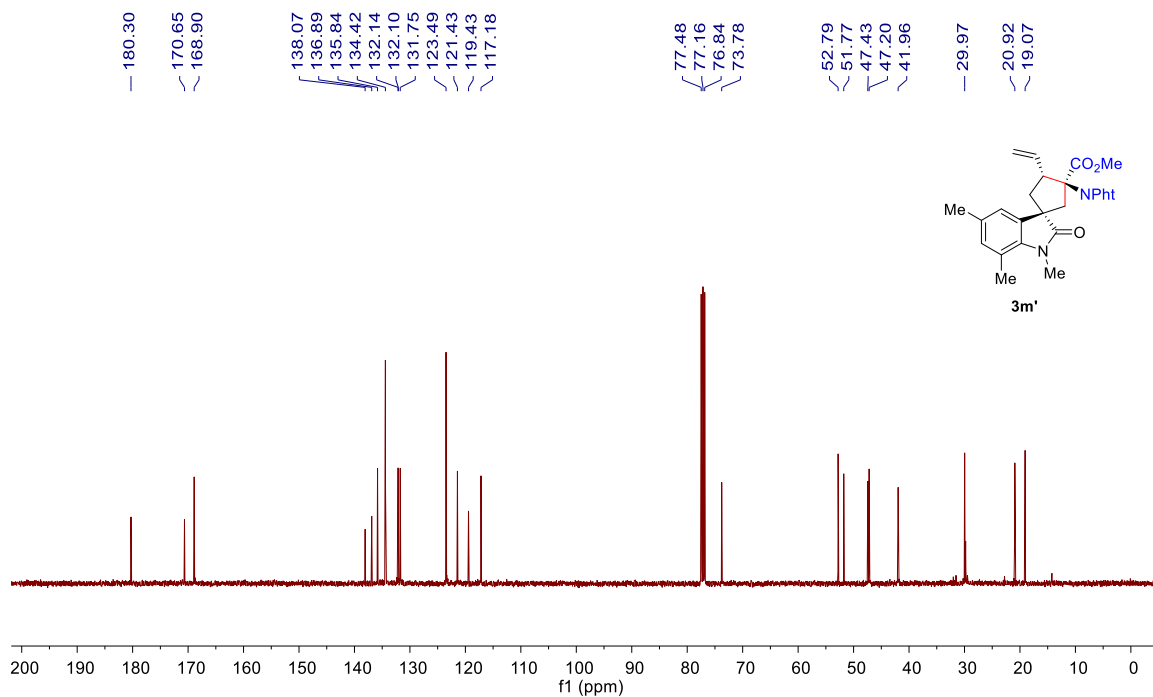
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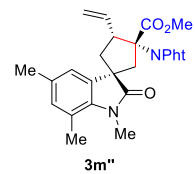
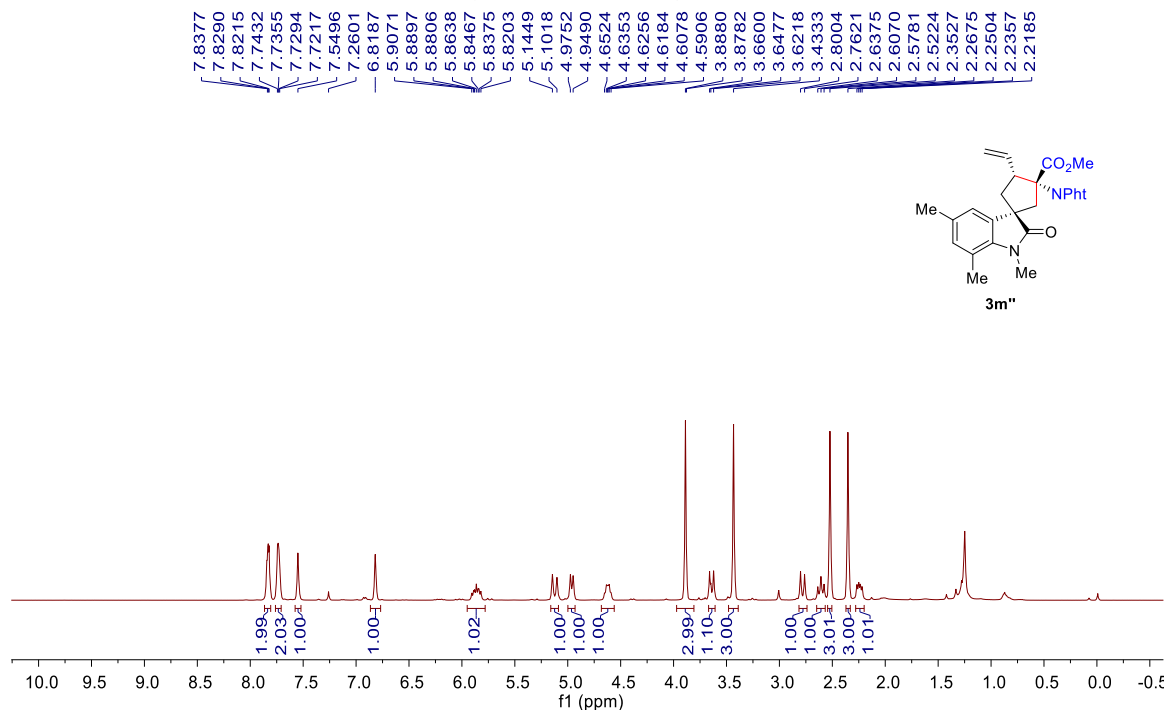
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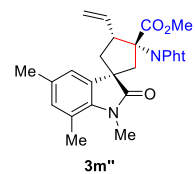
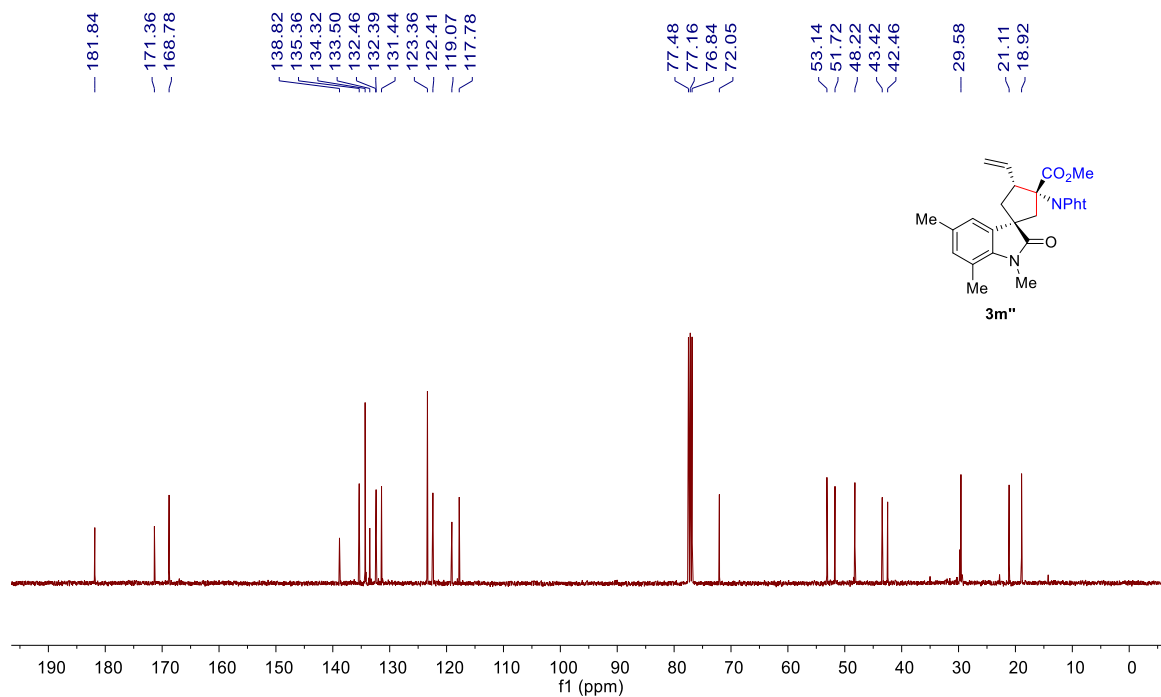
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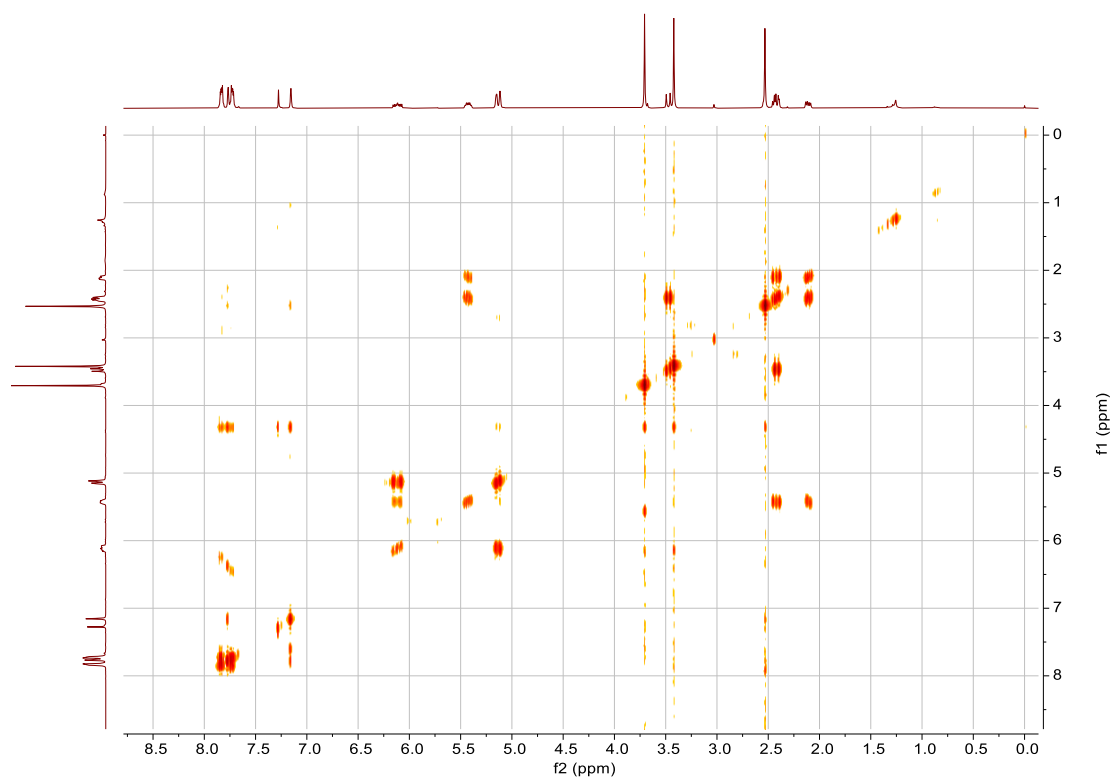
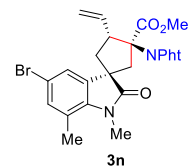
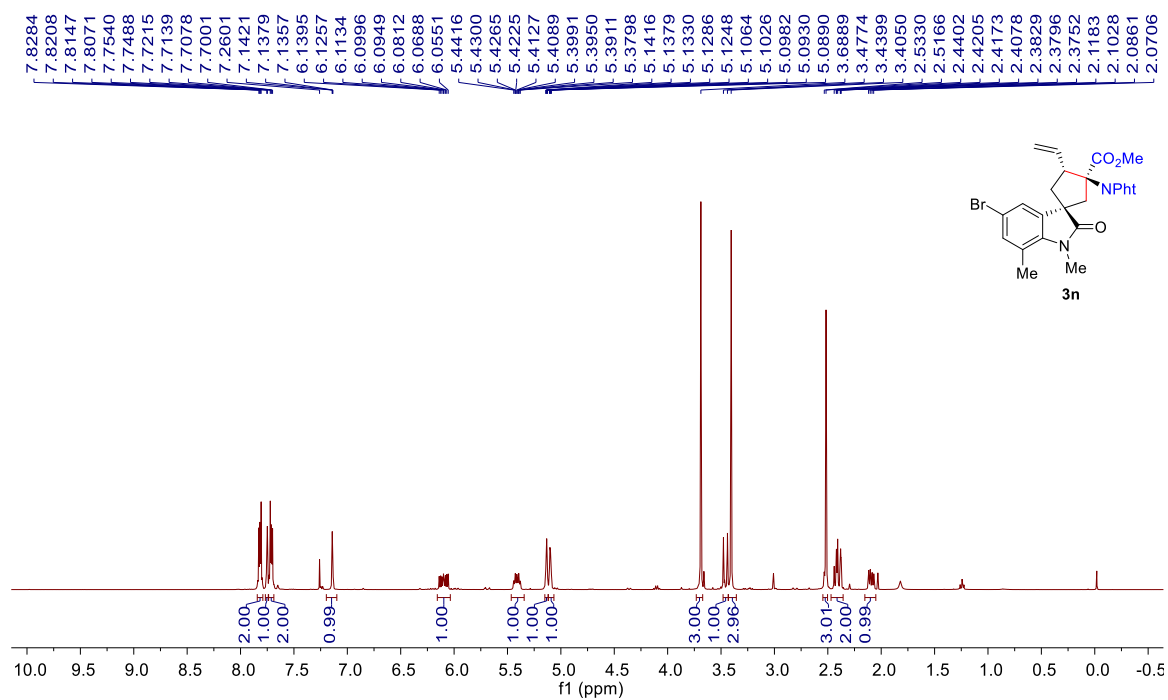
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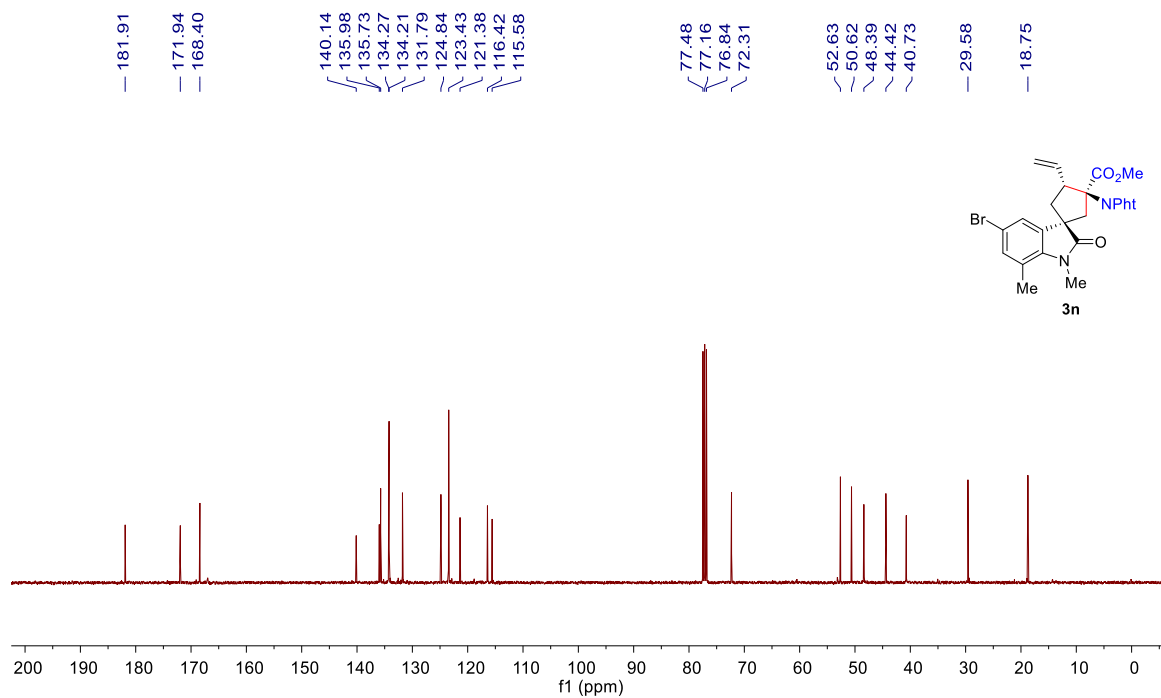
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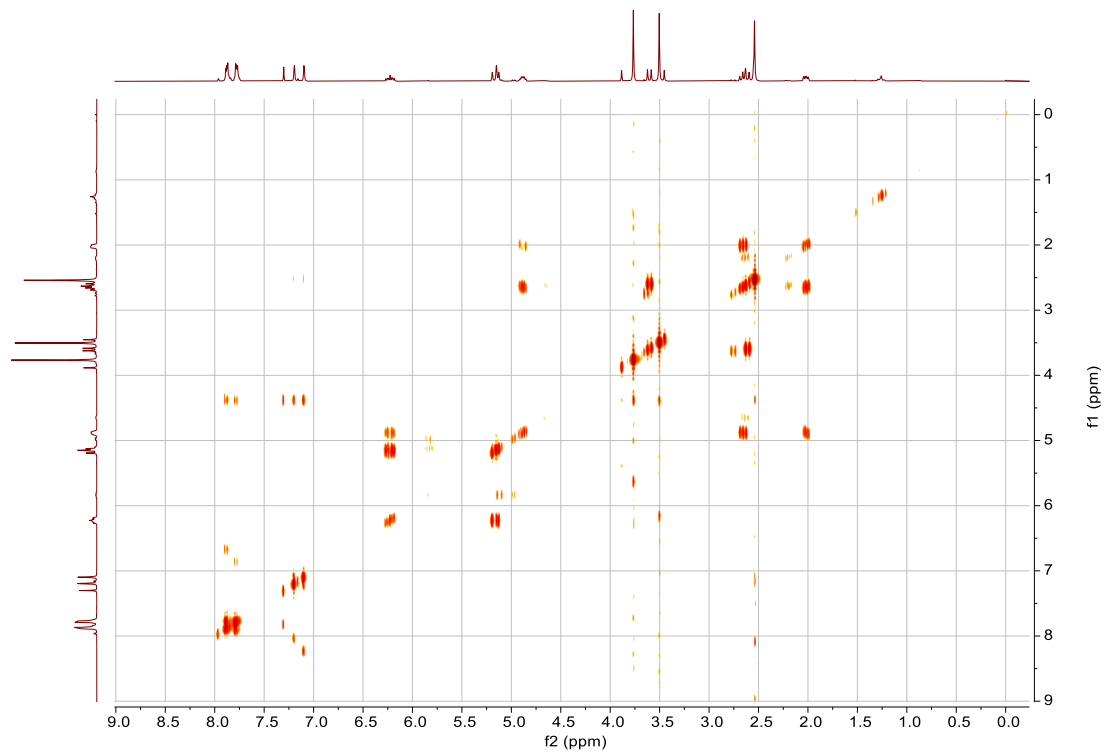
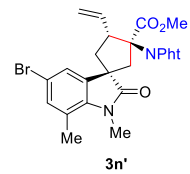
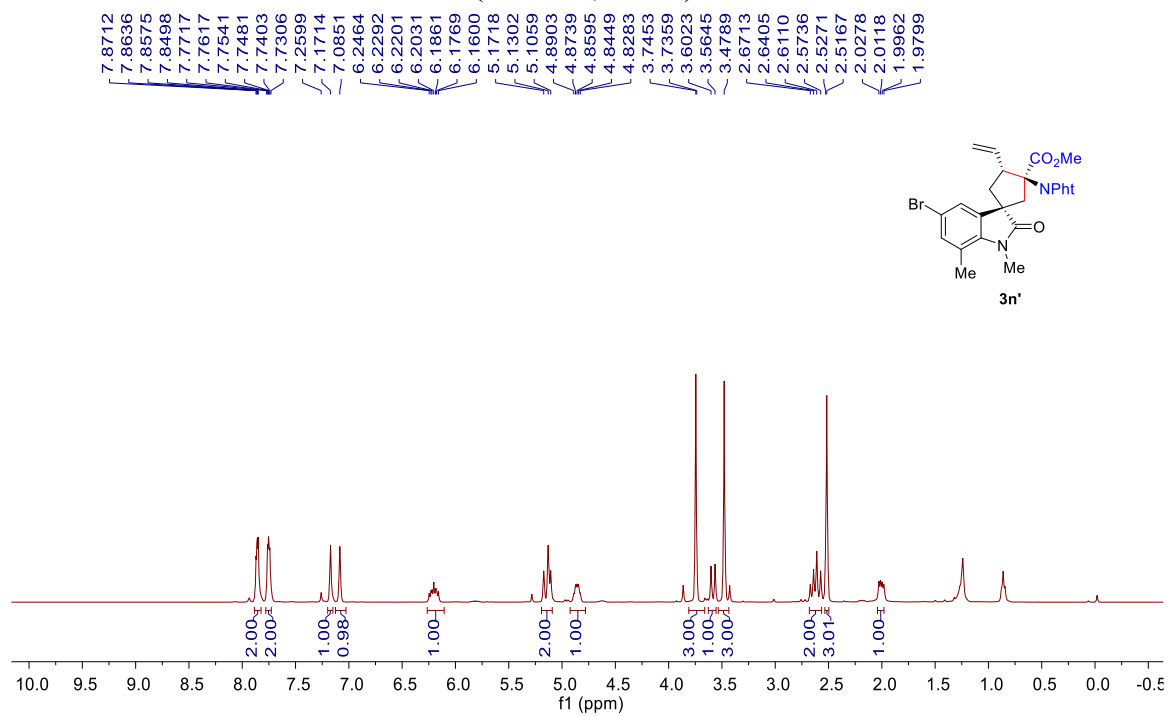
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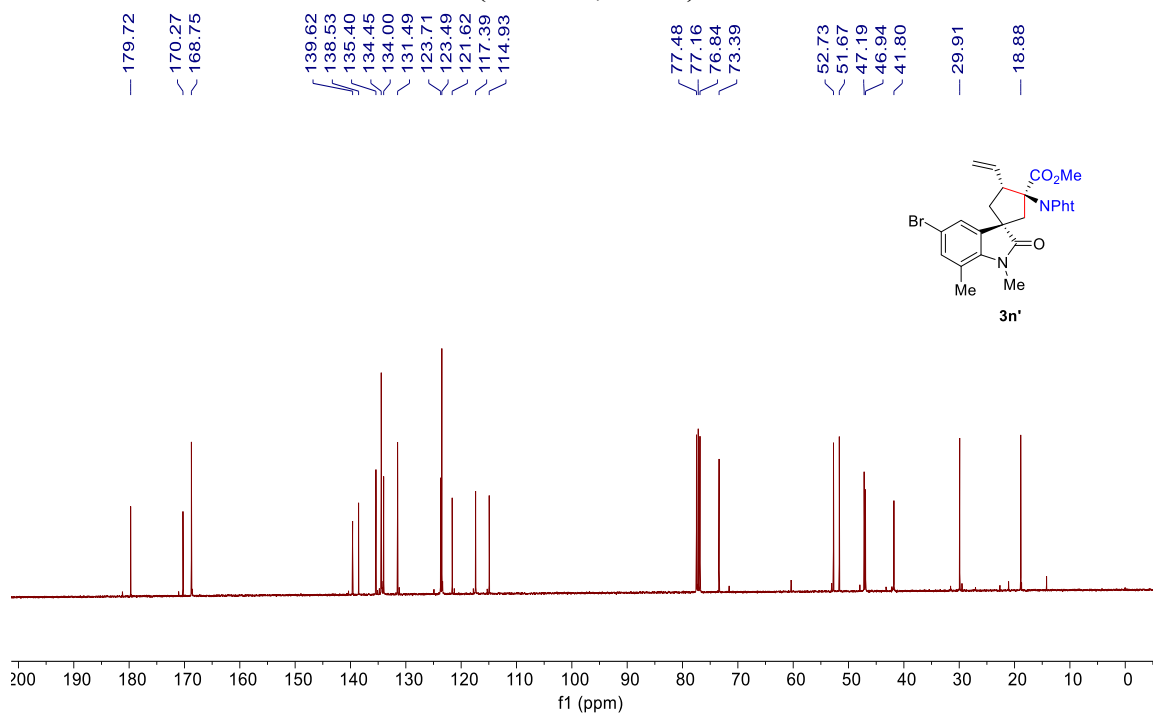
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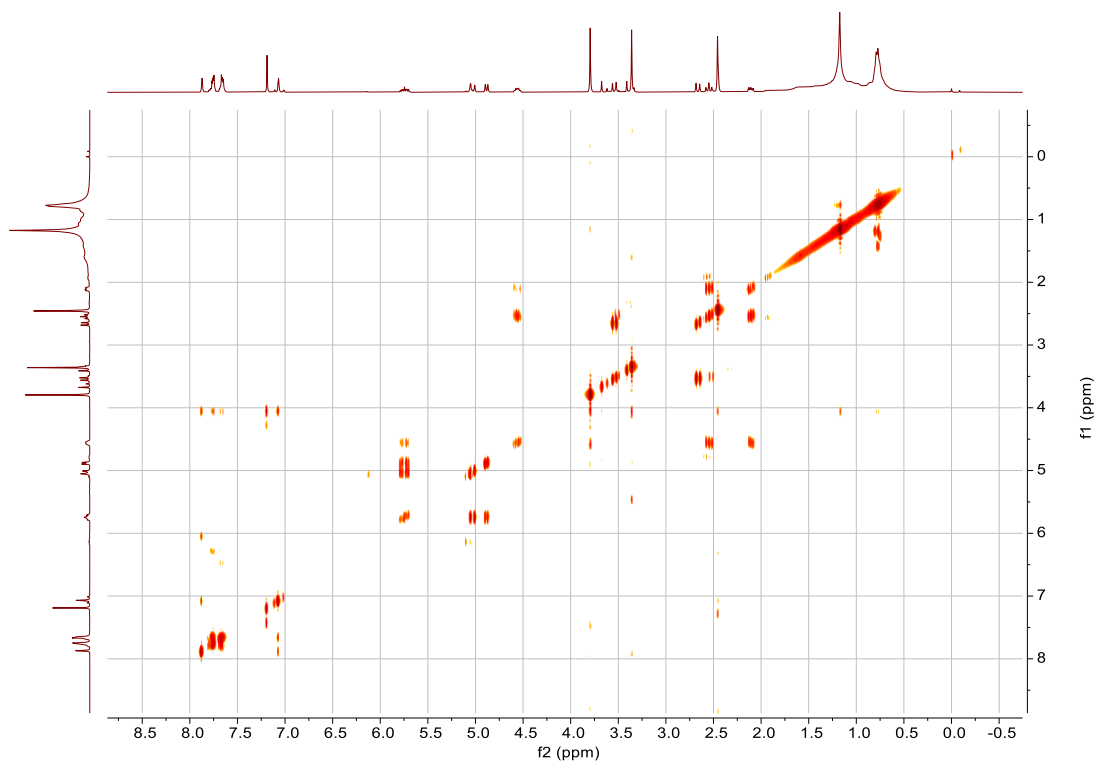
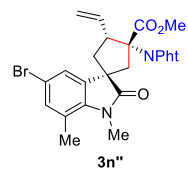
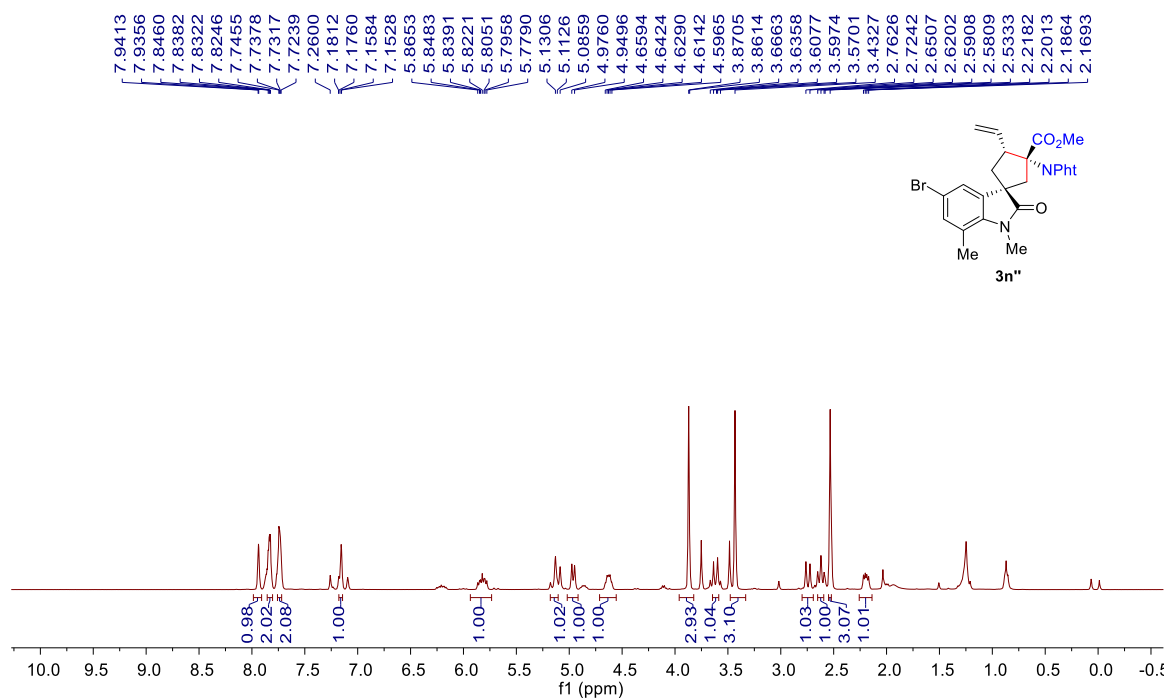
¹H NMR (400 MHz, CDCl₃) of 3n'



¹³C NMR (101 MHz, CDCl₃) of 3n'



¹H NMR (400 MHz, CDCl₃) of 3n''



¹³C NMR (101 MHz, CDCl₃) of 3n''

— 181.29

— 171.14

— 168.69

— 140.40

— 135.17

— 134.83

— 134.37

— 134.29

— 131.25

— 124.99

— 123.41

— 121.28

— 117.87

— 115.38

— 77.48

— 77.16

— 76.84

— 71.65

— 53.12

— 51.74

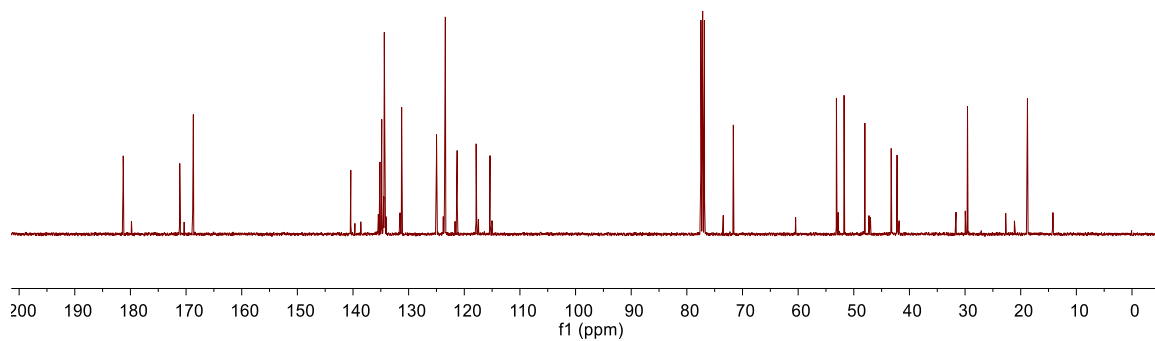
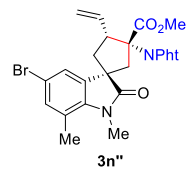
— 48.01

— 43.27

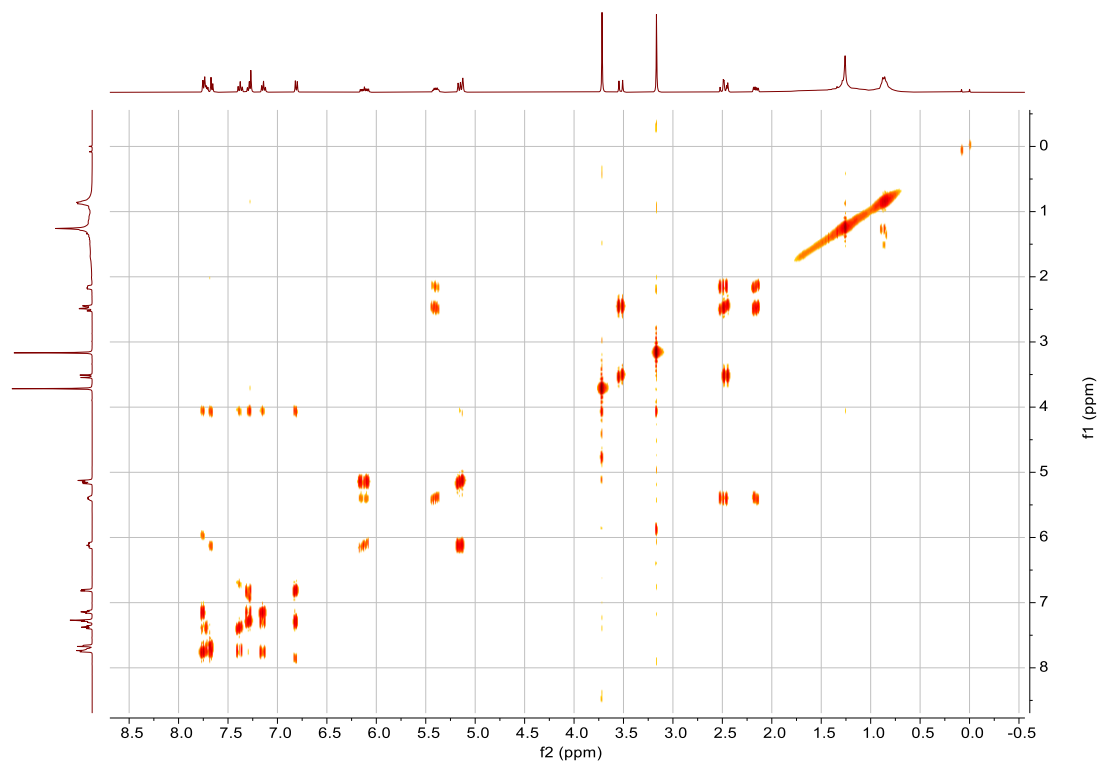
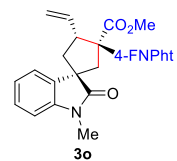
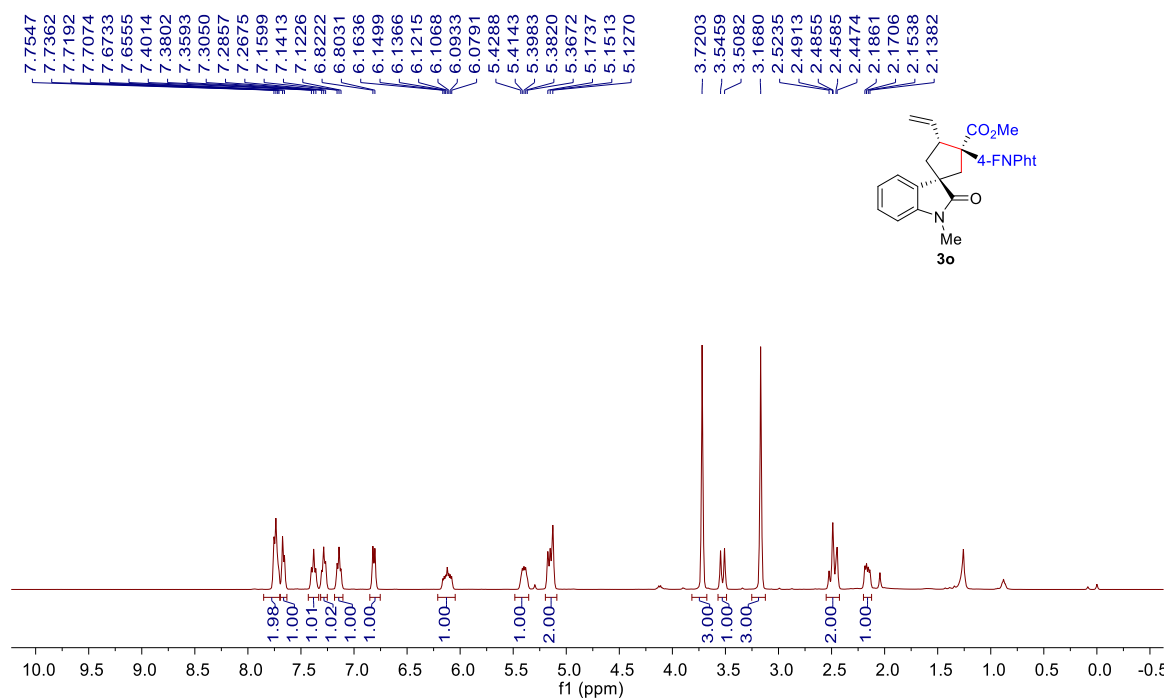
— 42.22

— 29.56

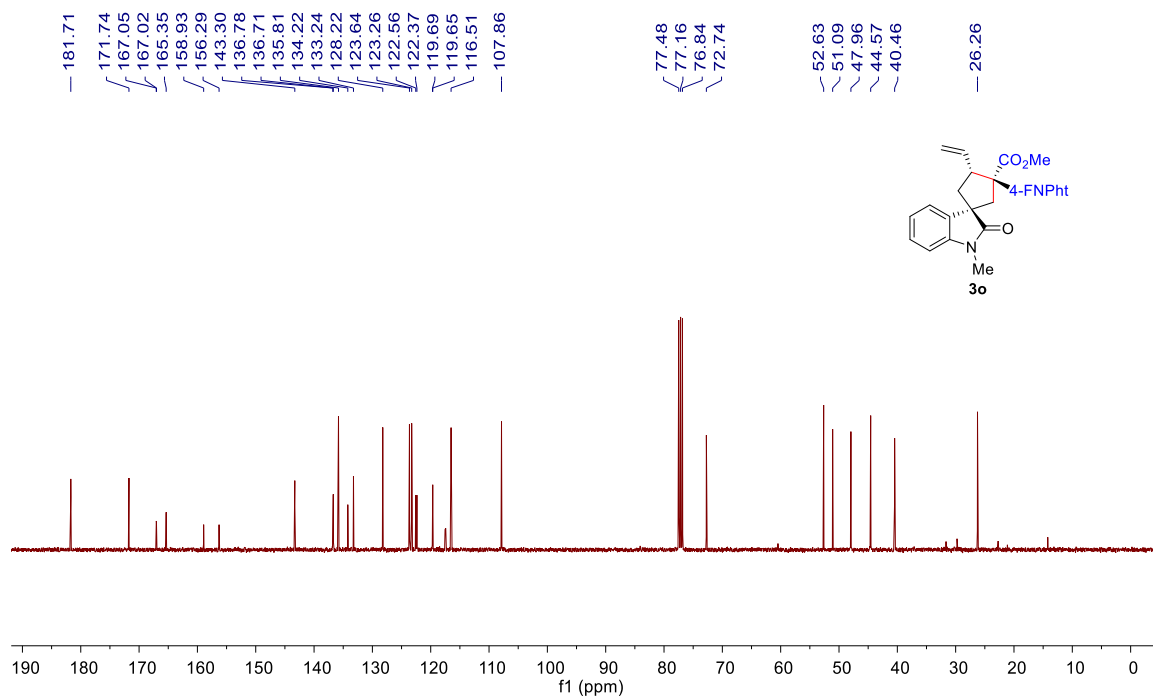
— 18.79



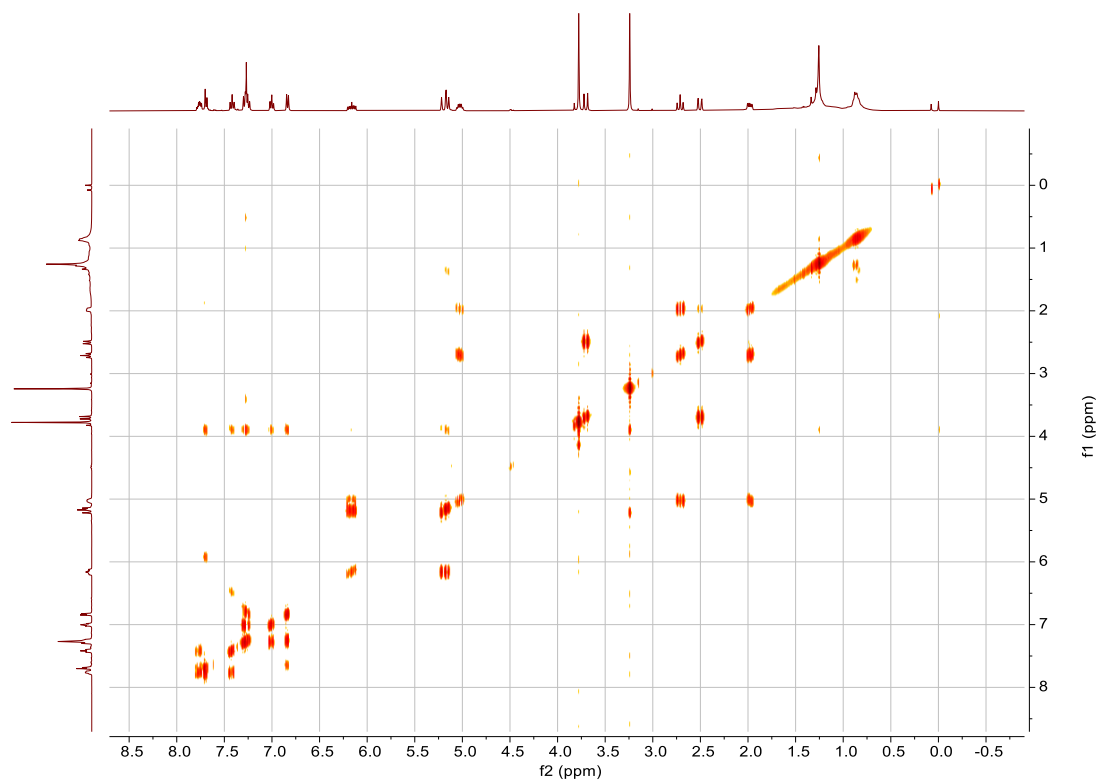
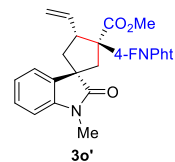
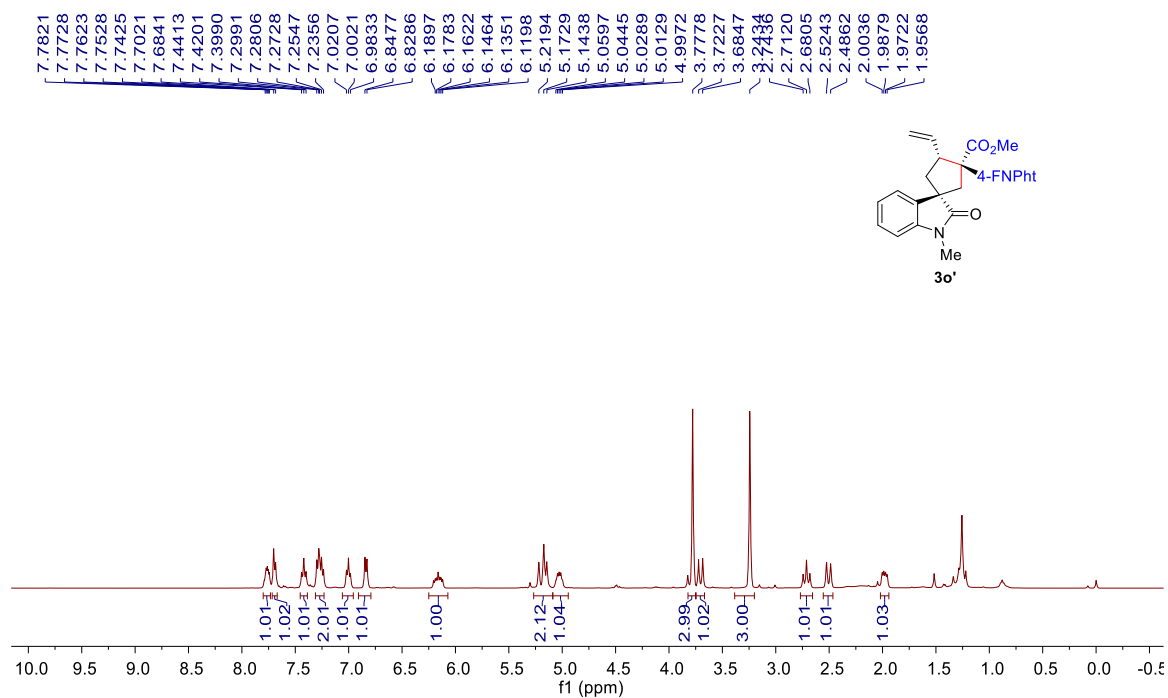
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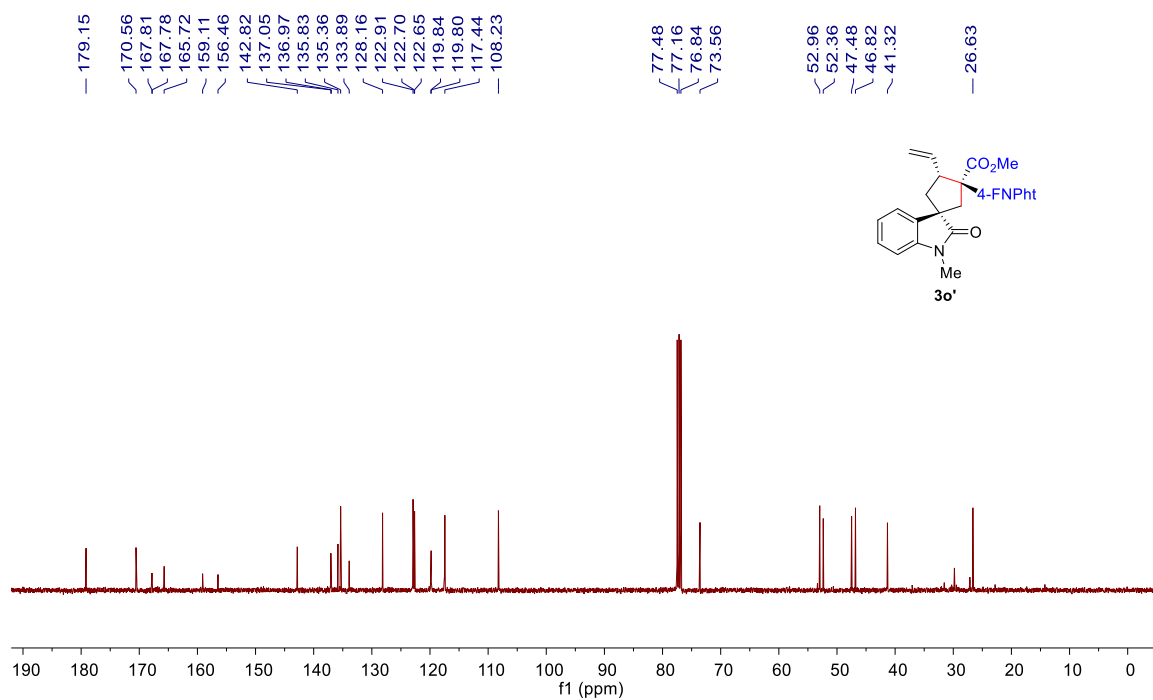
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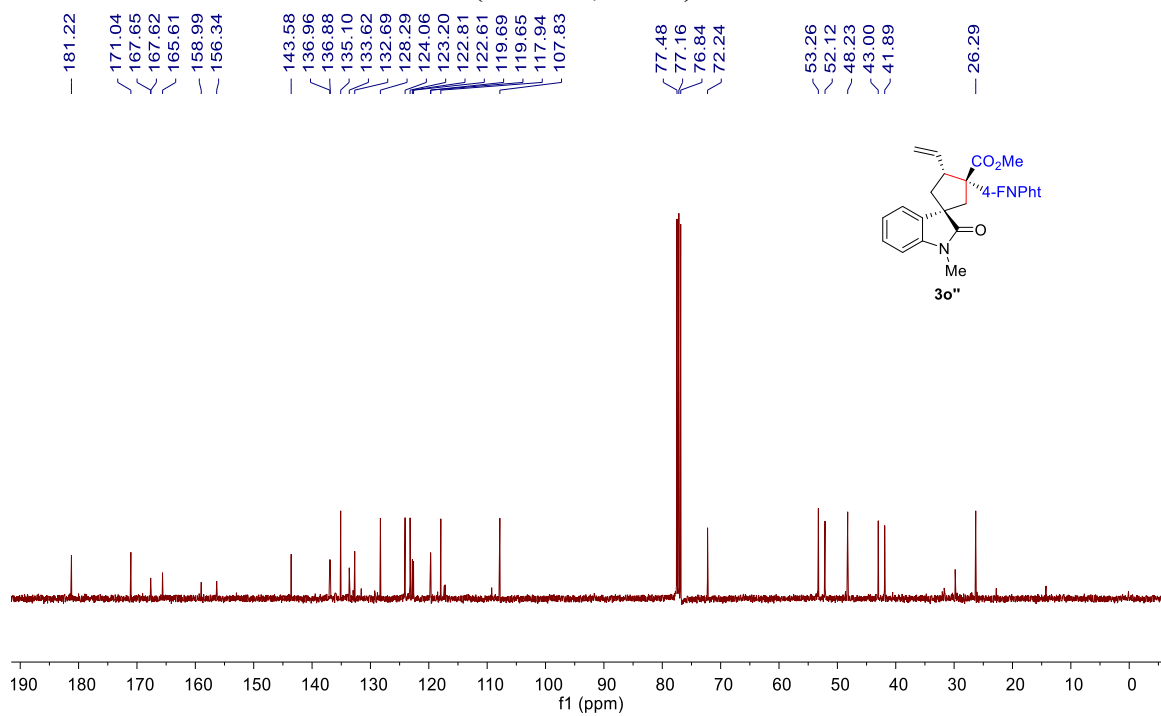
¹H NMR (400 MHz, CDCl₃) of 3o'



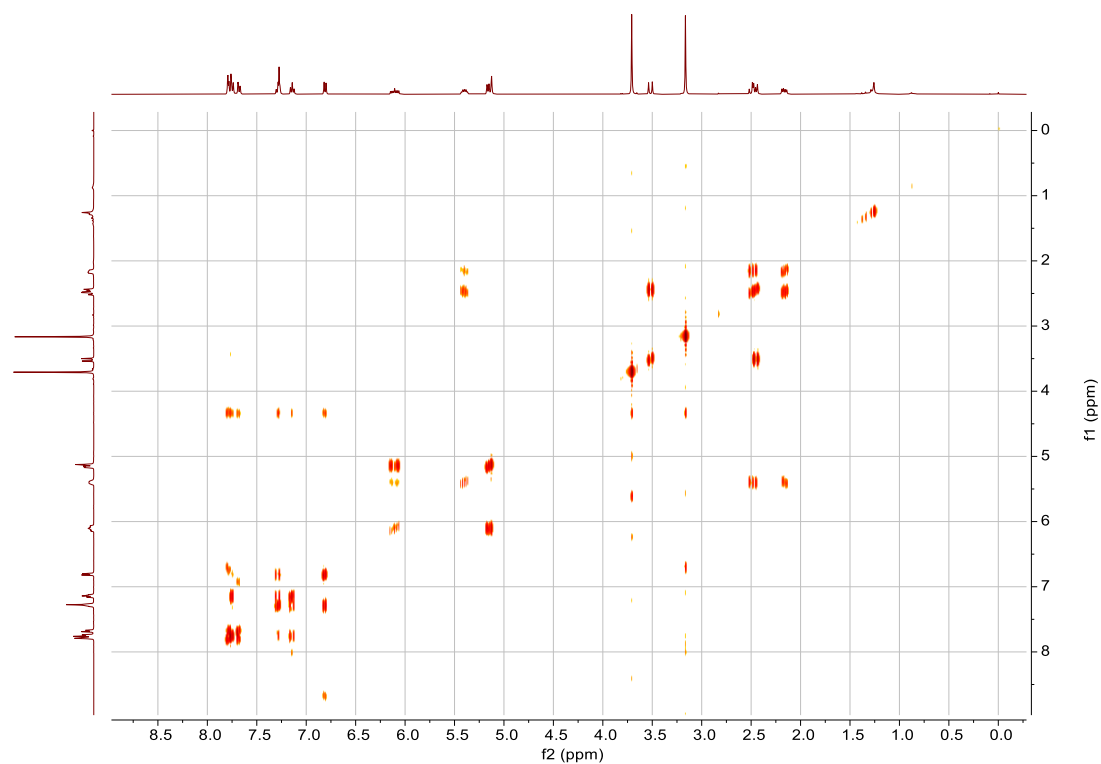
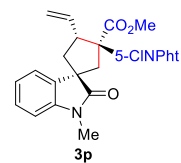
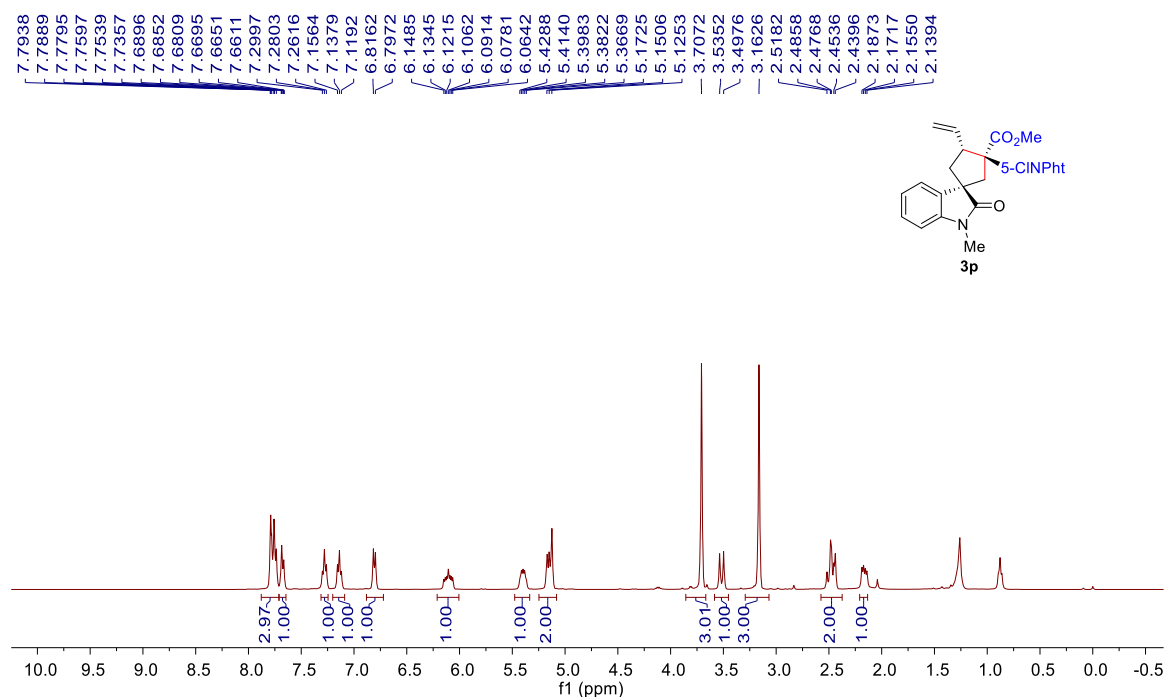
¹³C NMR (101 MHz, CDCl₃) of 3o'



¹³C NMR (101 MHz, CDCl₃) of 3o''

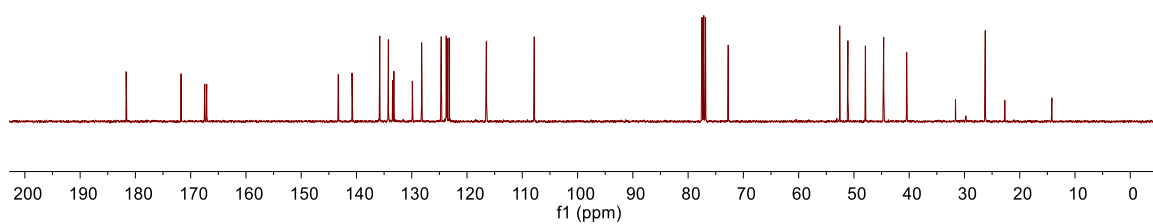
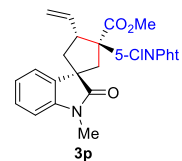


¹H NMR (400 MHz, CDCl₃) of 3p

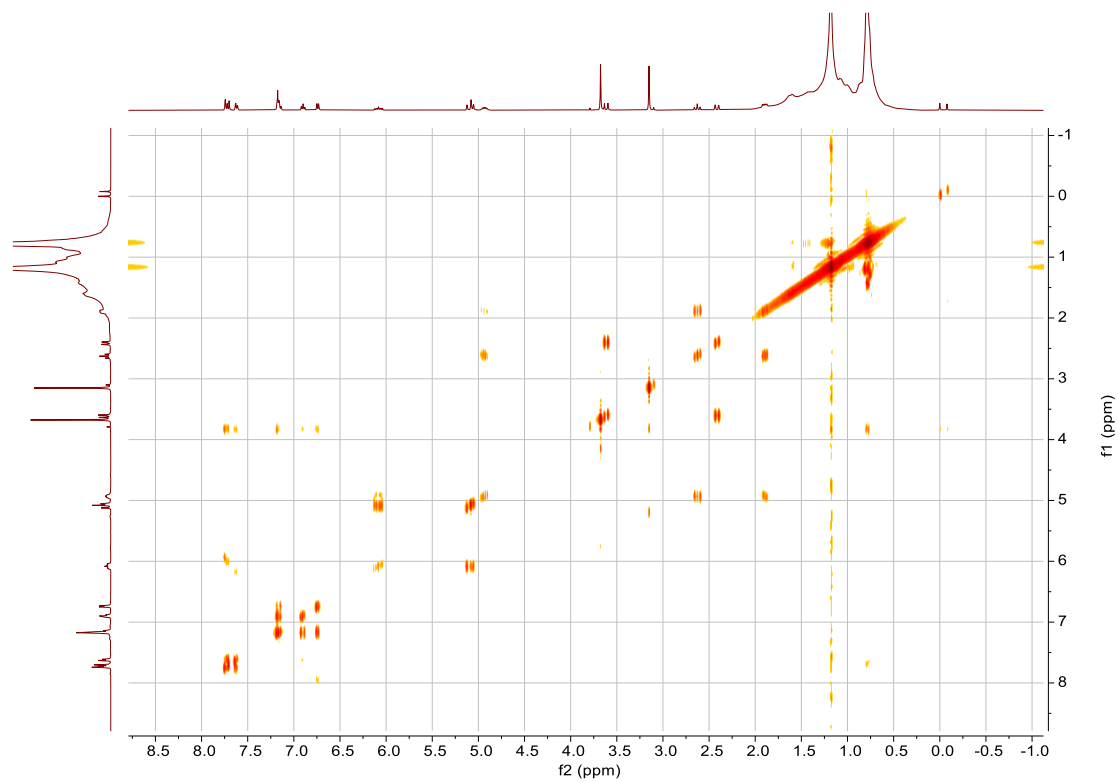
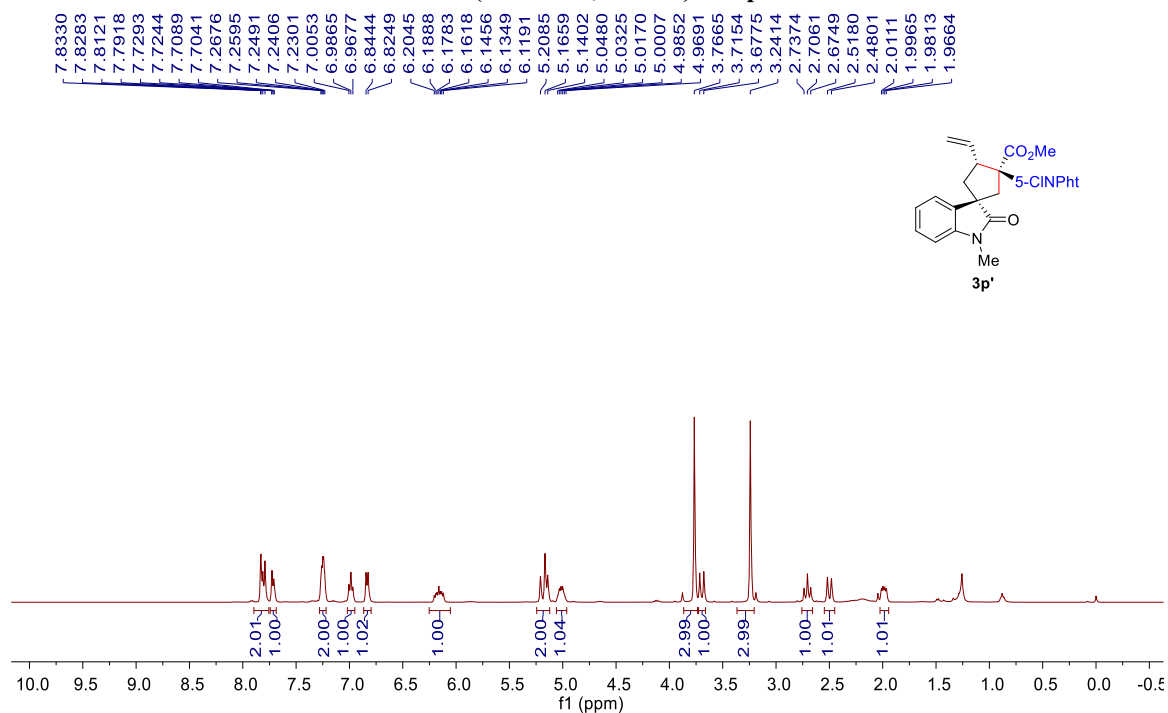


¹³C NMR (101 MHz, CDCl₃) of 3p

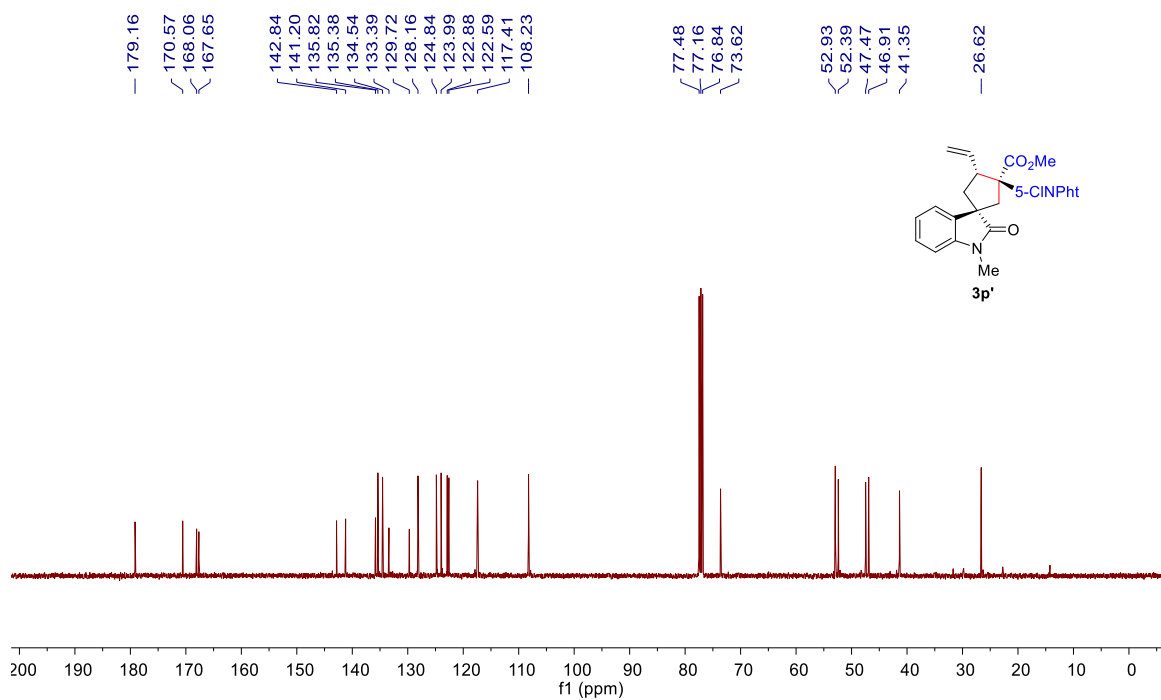
- 181.67
- ~ 171.74
- ~ 167.45
- ~ 167.09
- 143.29
- 140.81
- 135.78
- 134.23
- 133.47
- 133.23
- 129.90
- 128.21
- 124.67
- 123.77
- 123.63
- 123.24
- 116.50
- 107.84
- 77.48
- 77.16
- 76.84
- 72.75
- ~ 52.57
- ~ 51.09
- ~ 47.92
- ~ 44.61
- ~ 40.46
- 26.24



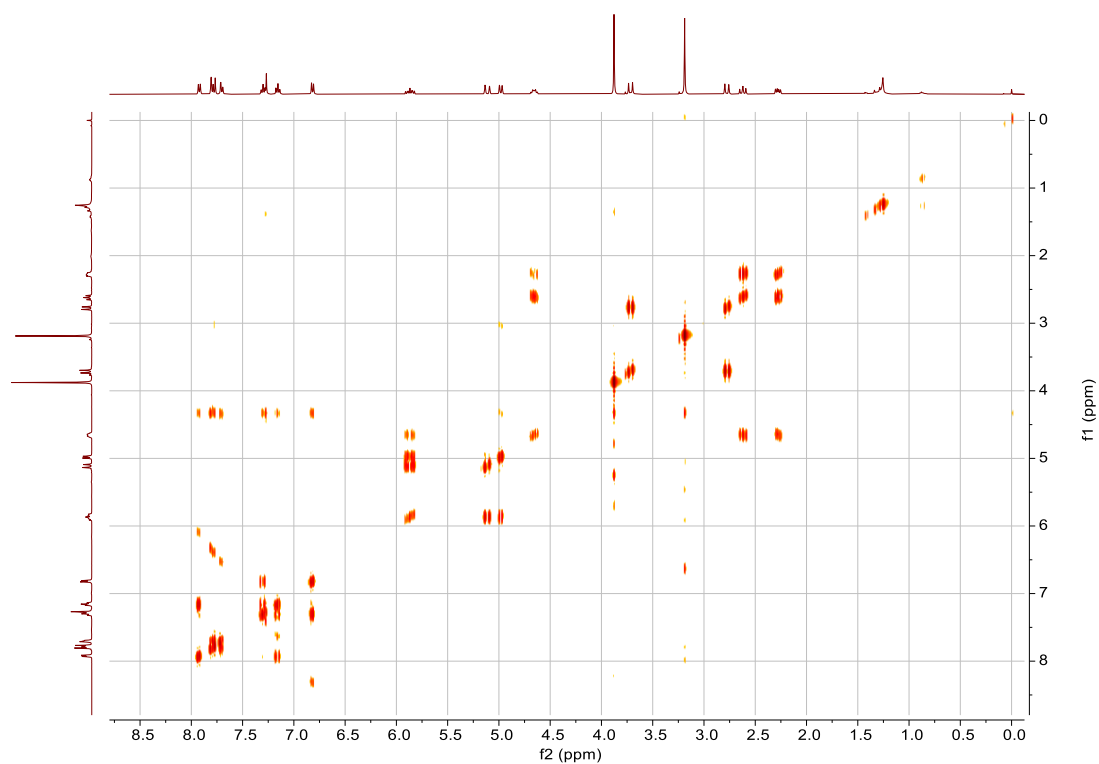
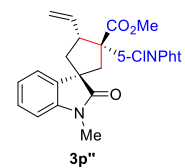
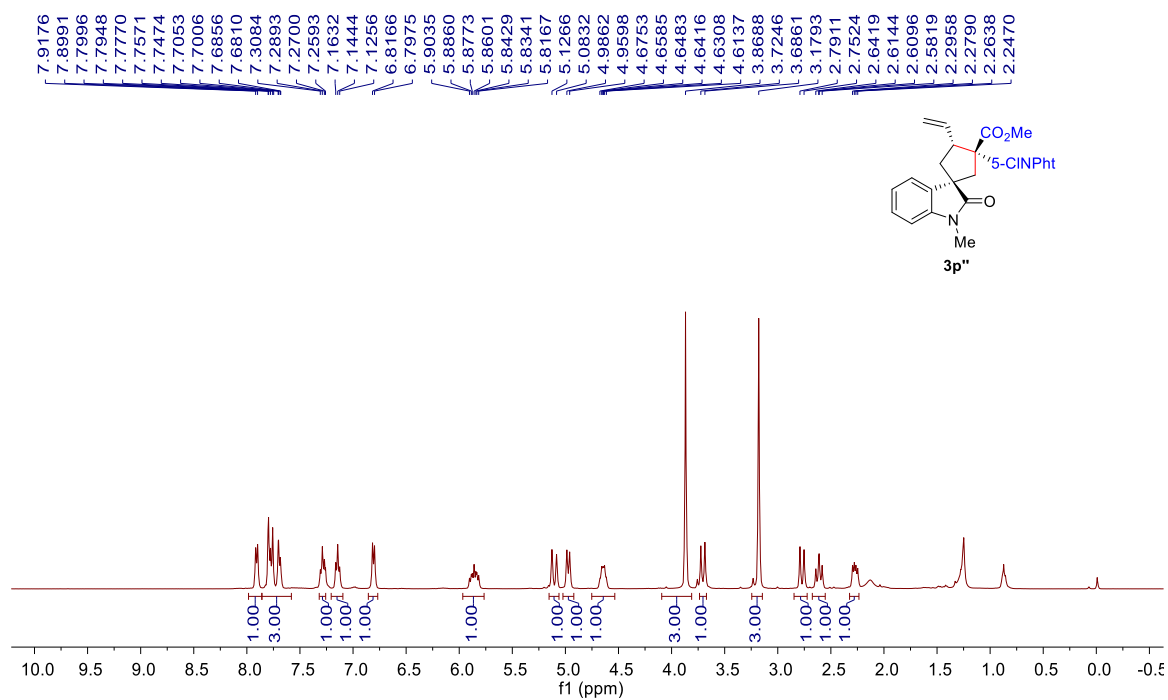
¹H NMR (400 MHz, CDCl₃) of 3p'



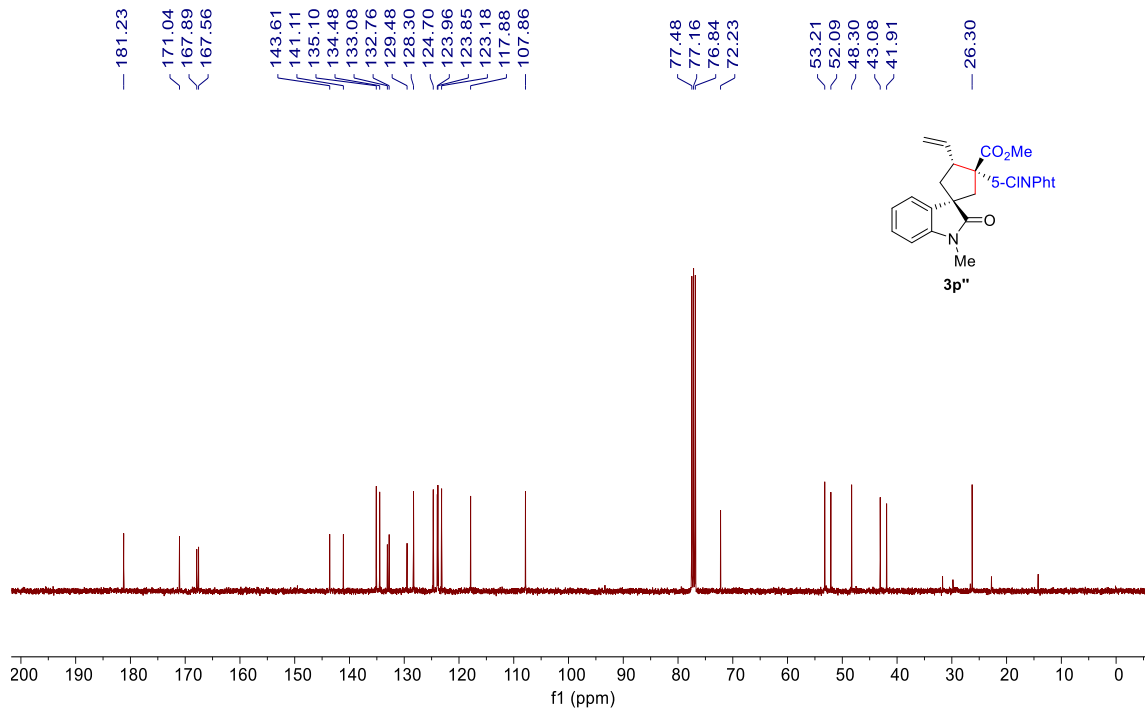
^{13}C NMR (101 MHz, CDCl_3) of **3p'**



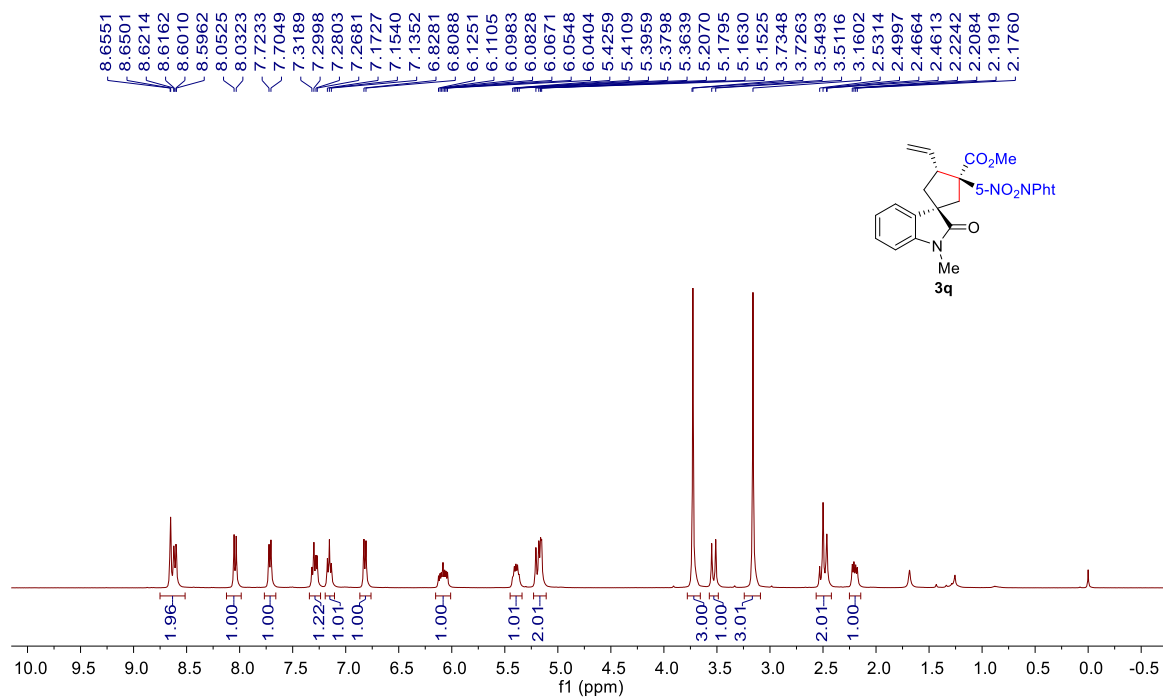
¹H NMR (400 MHz, CDCl₃) of 3p''



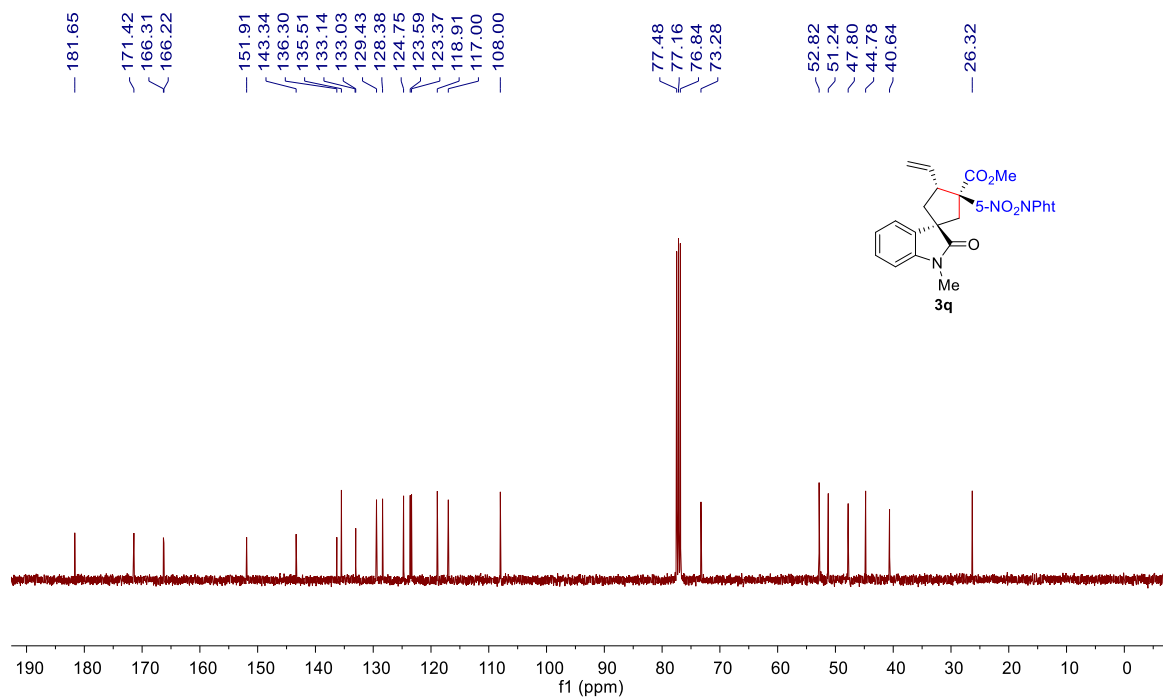
¹³C NMR (101 MHz, CDCl₃) of 3p''



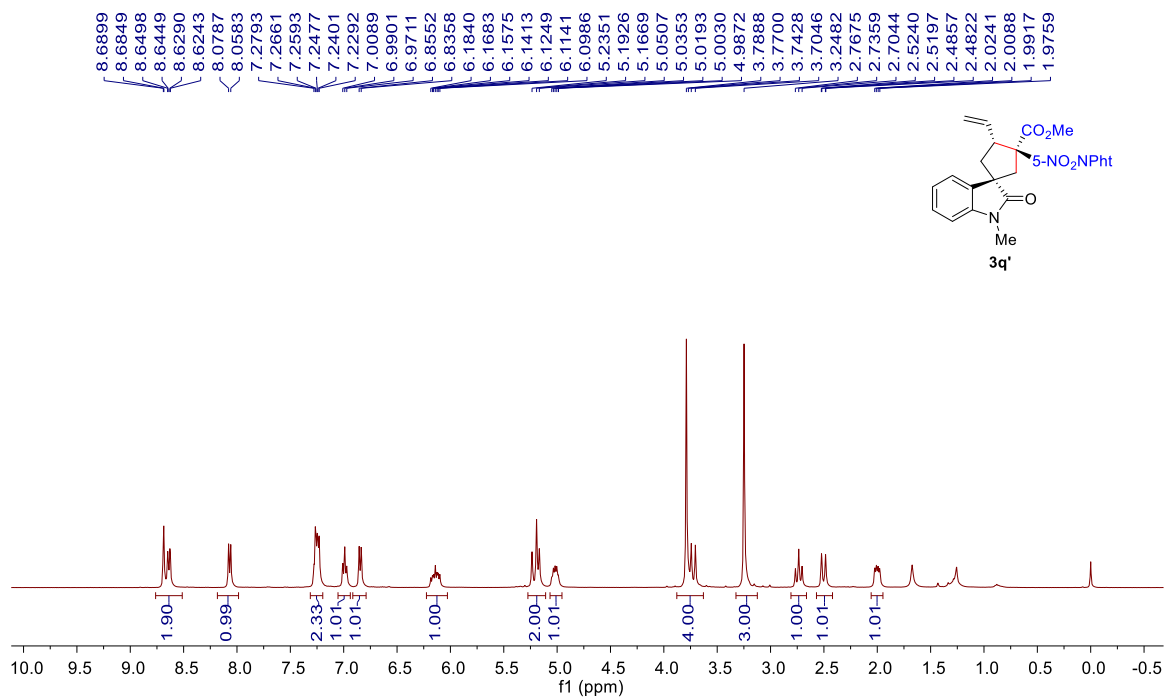
¹H NMR (400 MHz, CDCl₃) of 3q



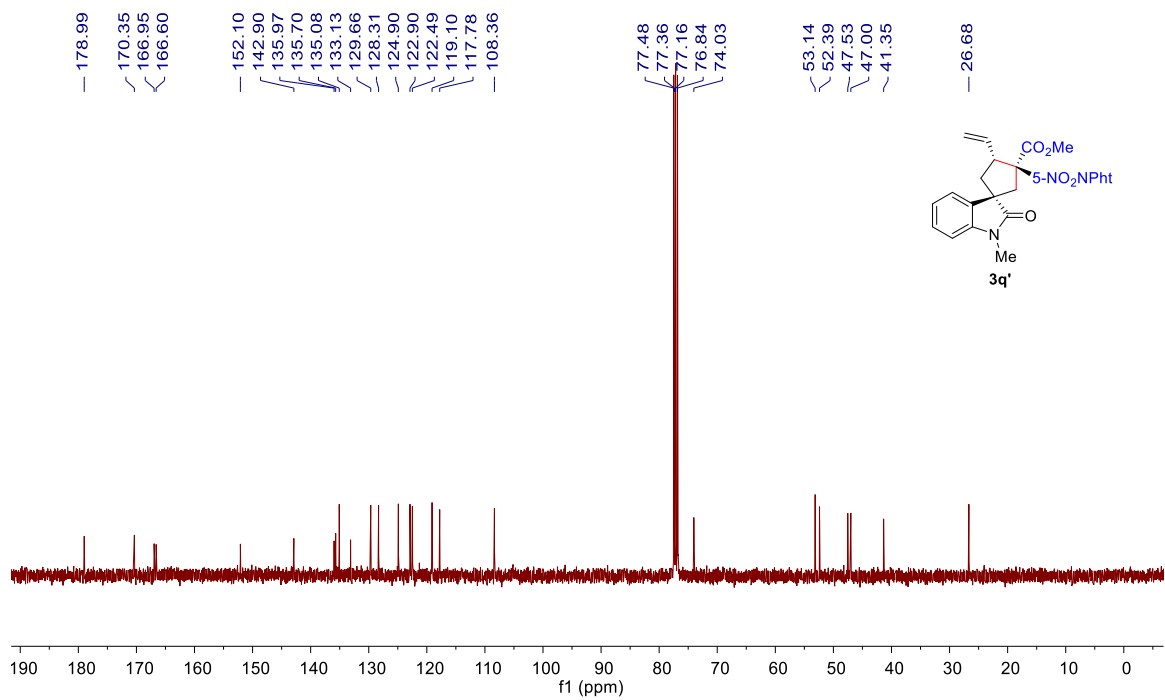
¹³C NMR (101 MHz, CDCl₃) of 3q



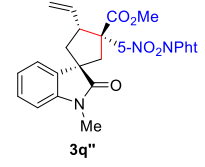
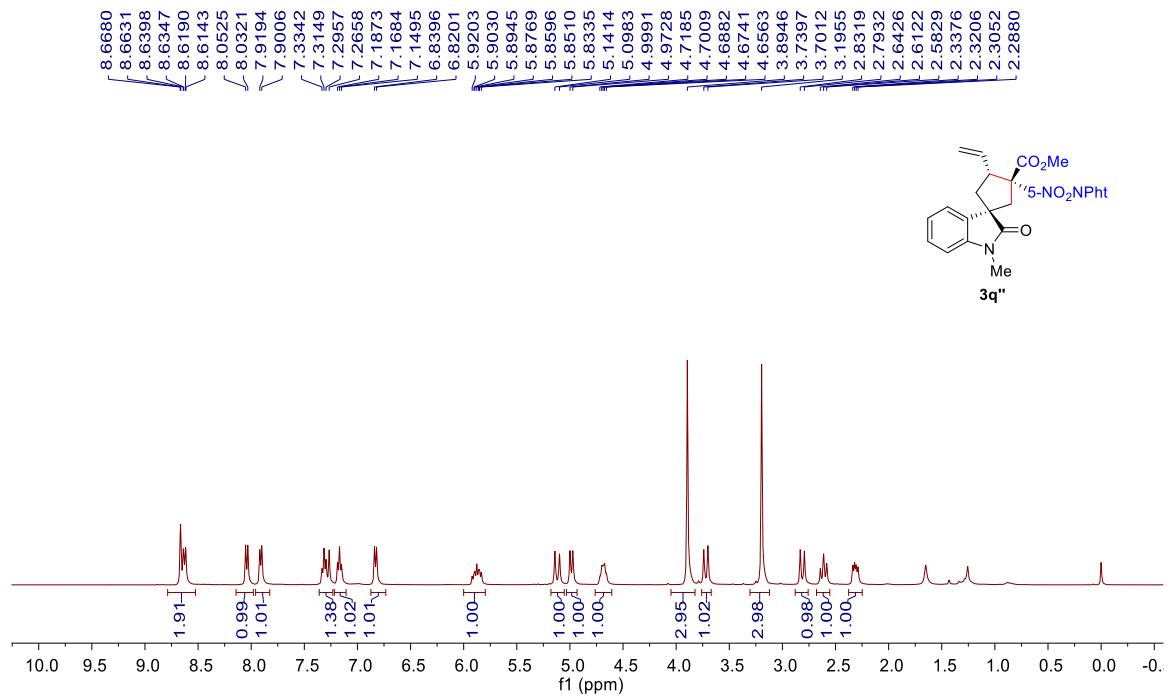
¹H NMR (400 MHz, CDCl₃) of 3q'



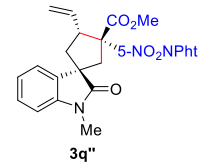
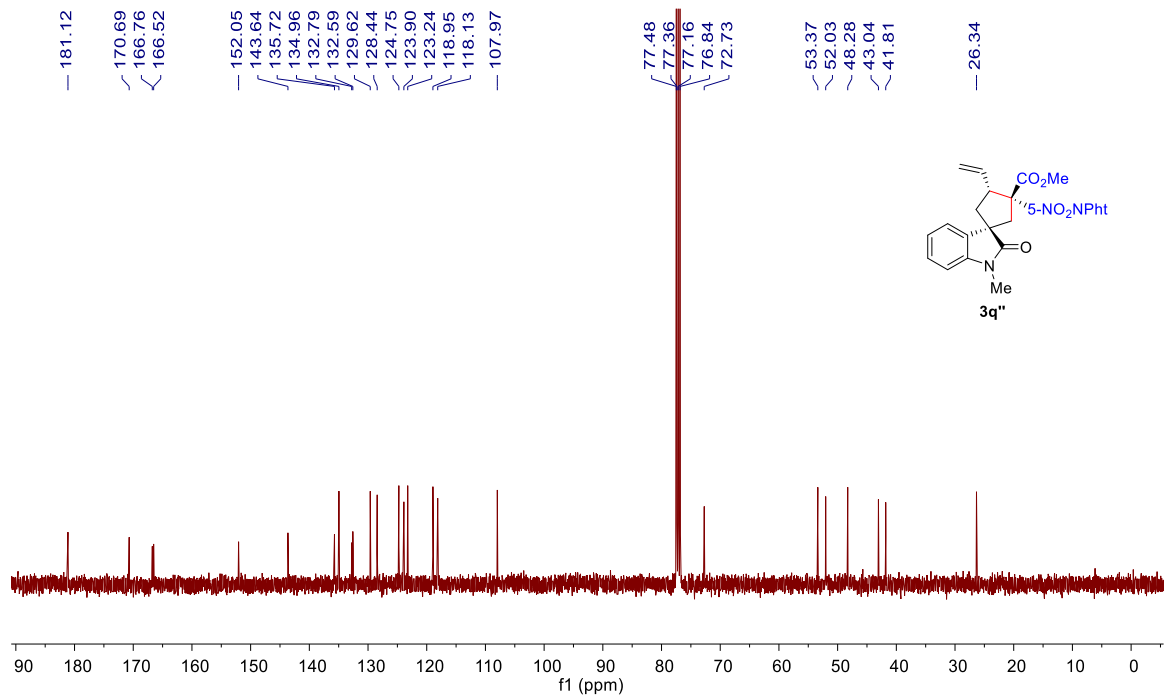
¹³C NMR (101 MHz, CDCl₃) of 3q'



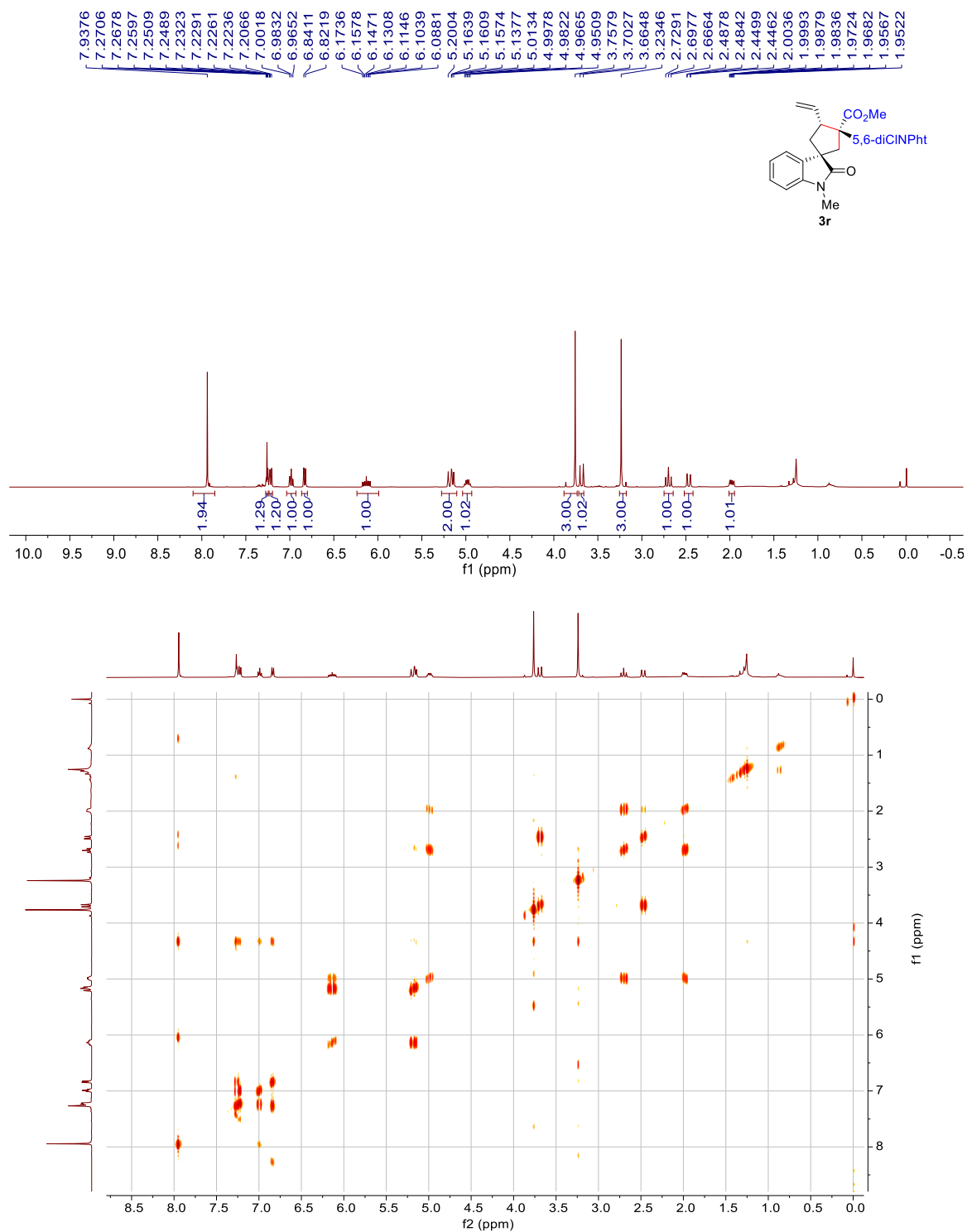
¹H NMR (400 MHz, CDCl₃) of 3q''



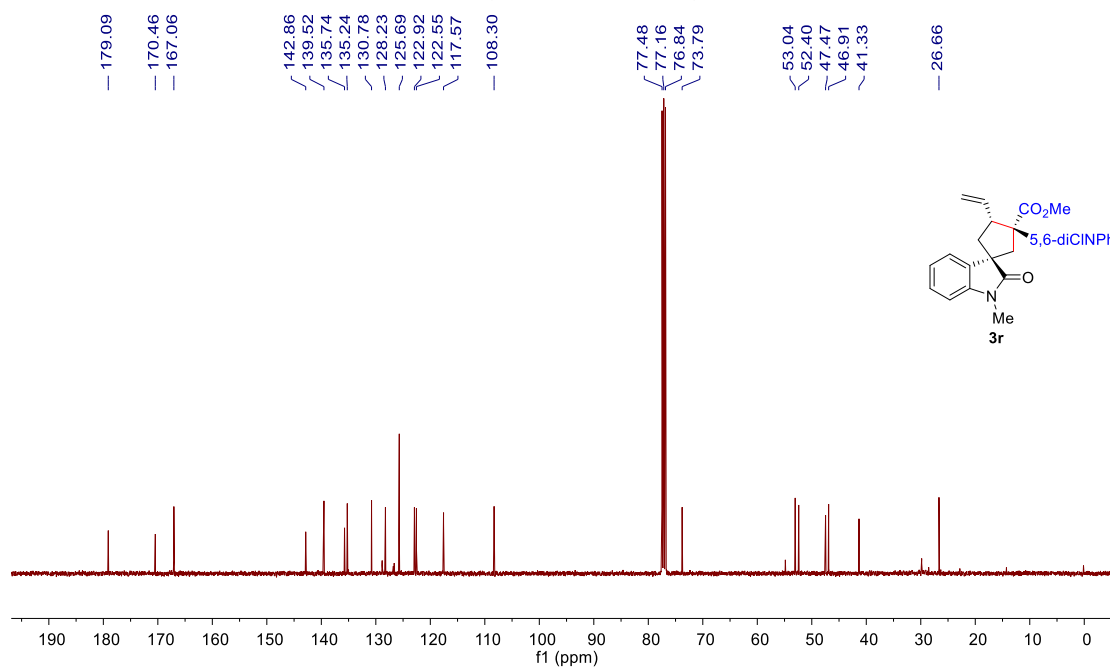
¹³C NMR (101 MHz, CDCl₃) of 3q''



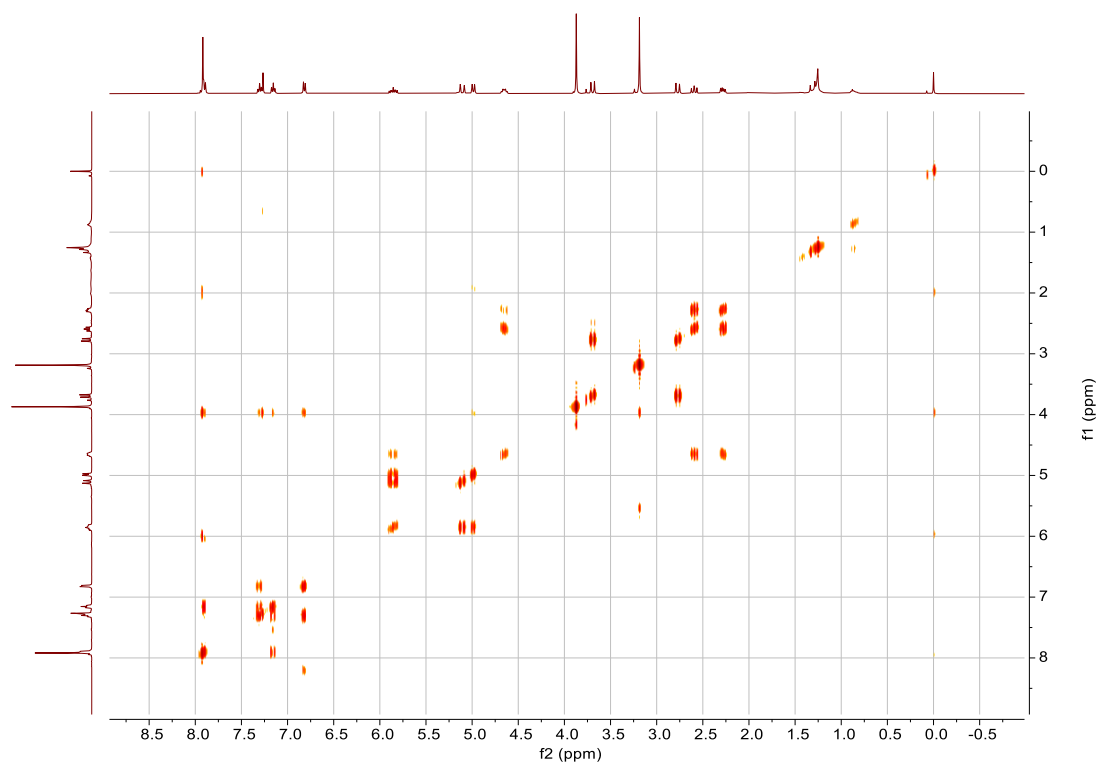
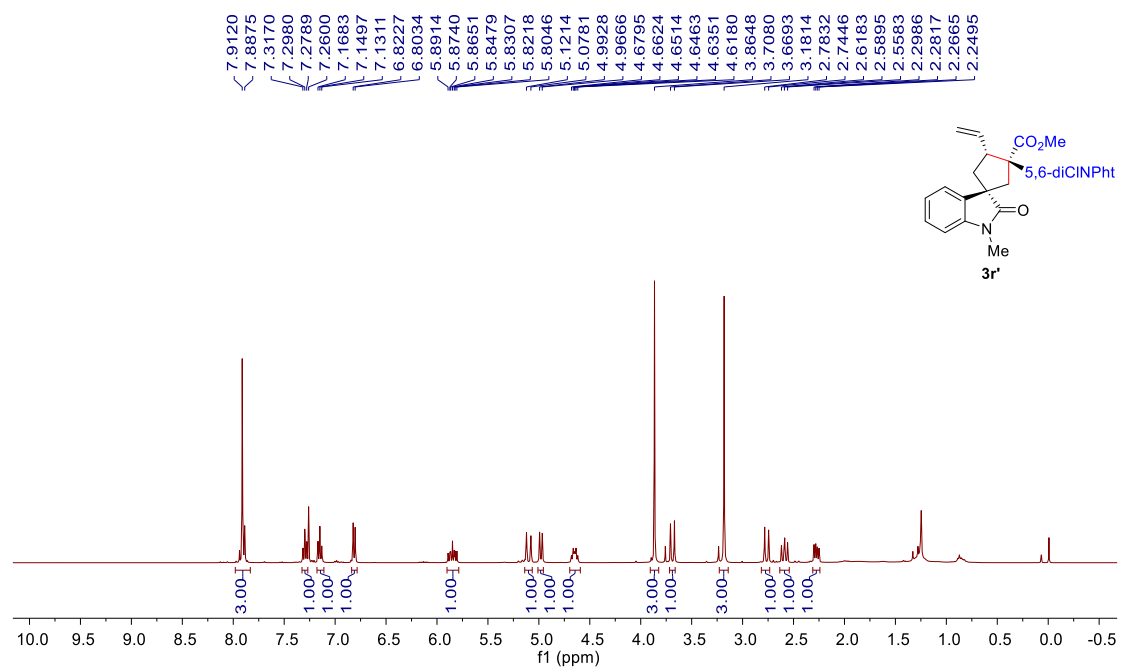
¹H NMR (400 MHz, CDCl₃) of 3r



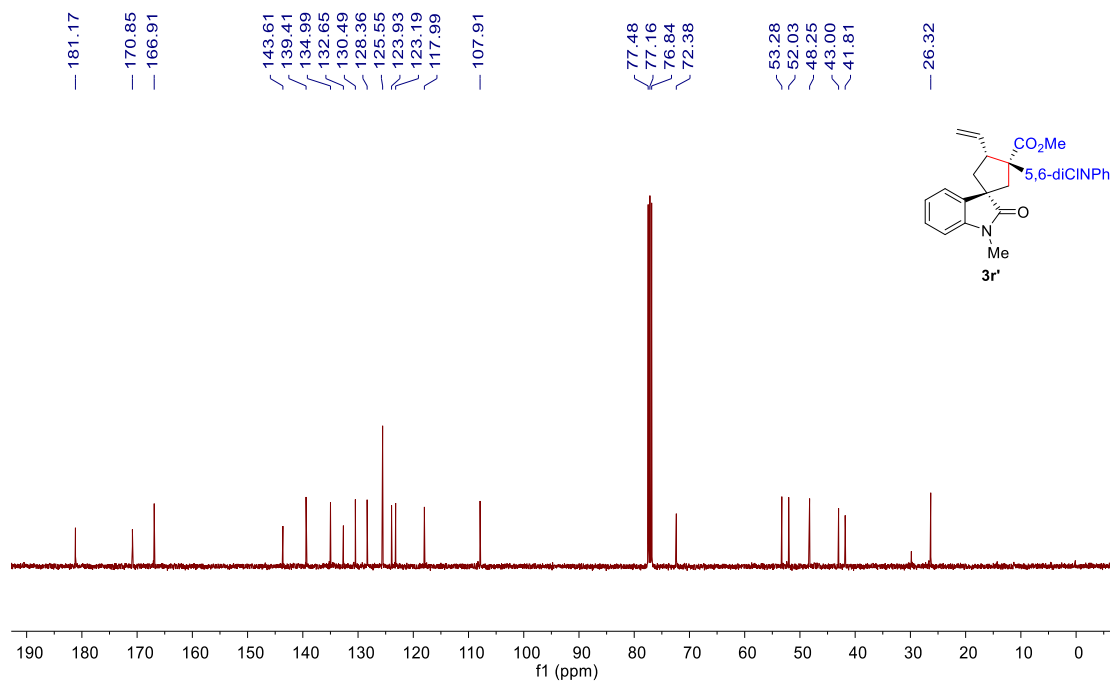
¹³C NMR (101 MHz, CDCl₃) of 3r



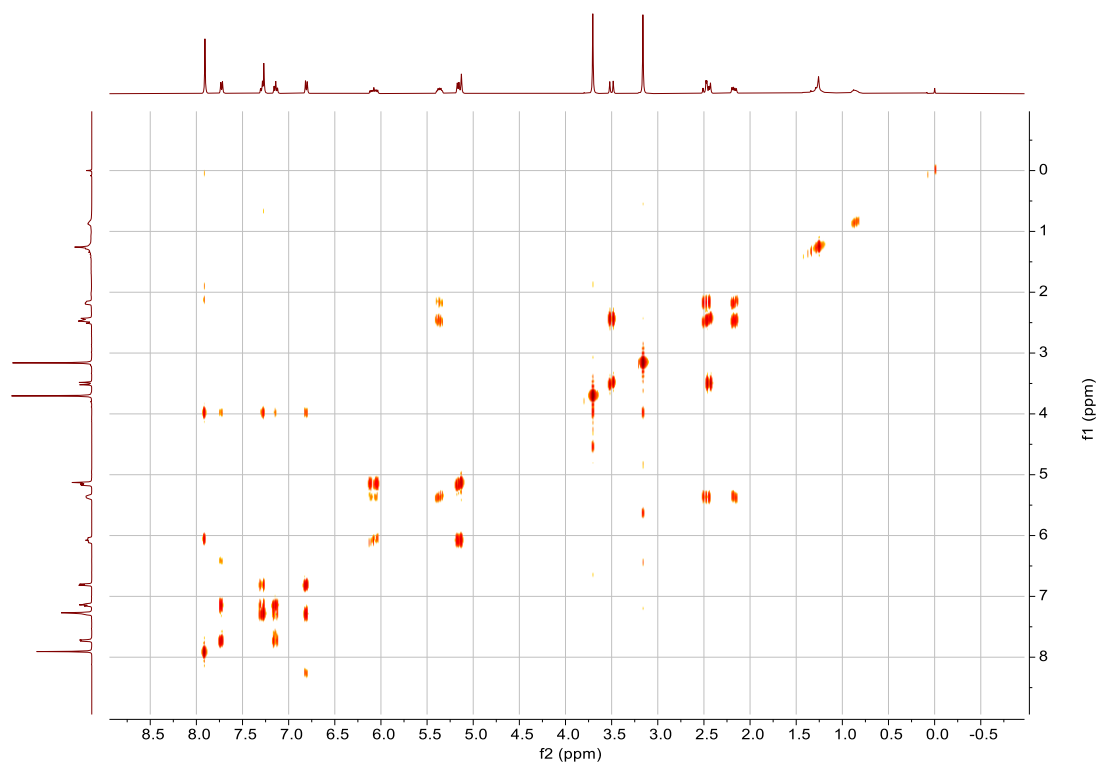
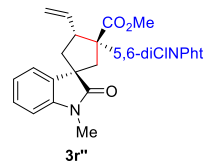
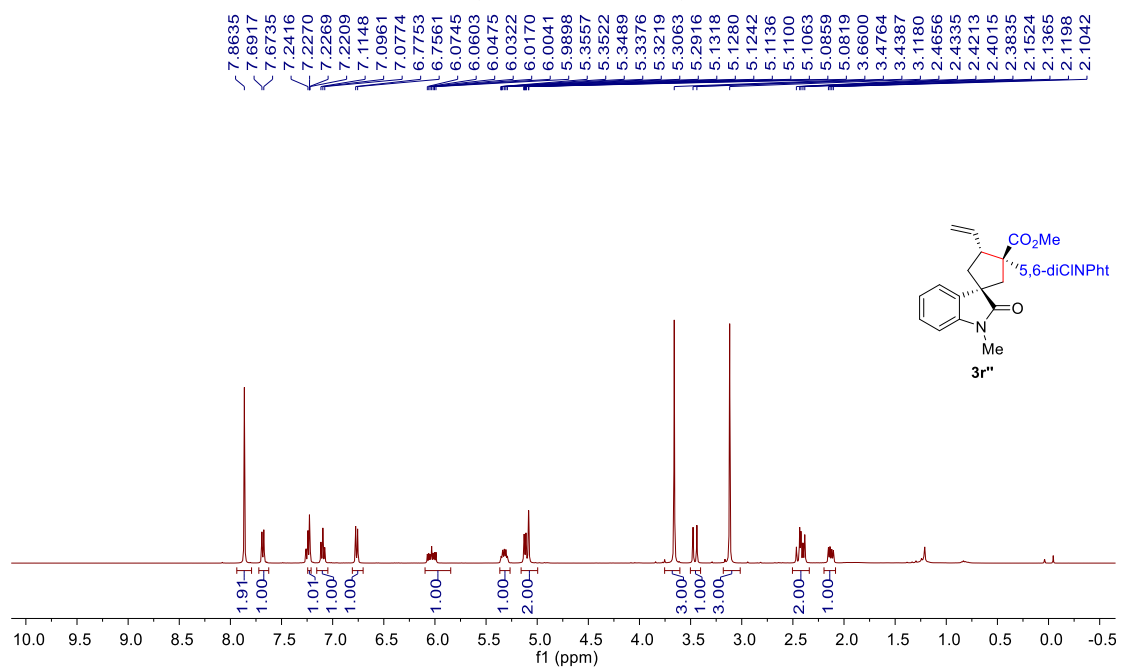
¹H NMR (400 MHz, CDCl₃) of 3r'



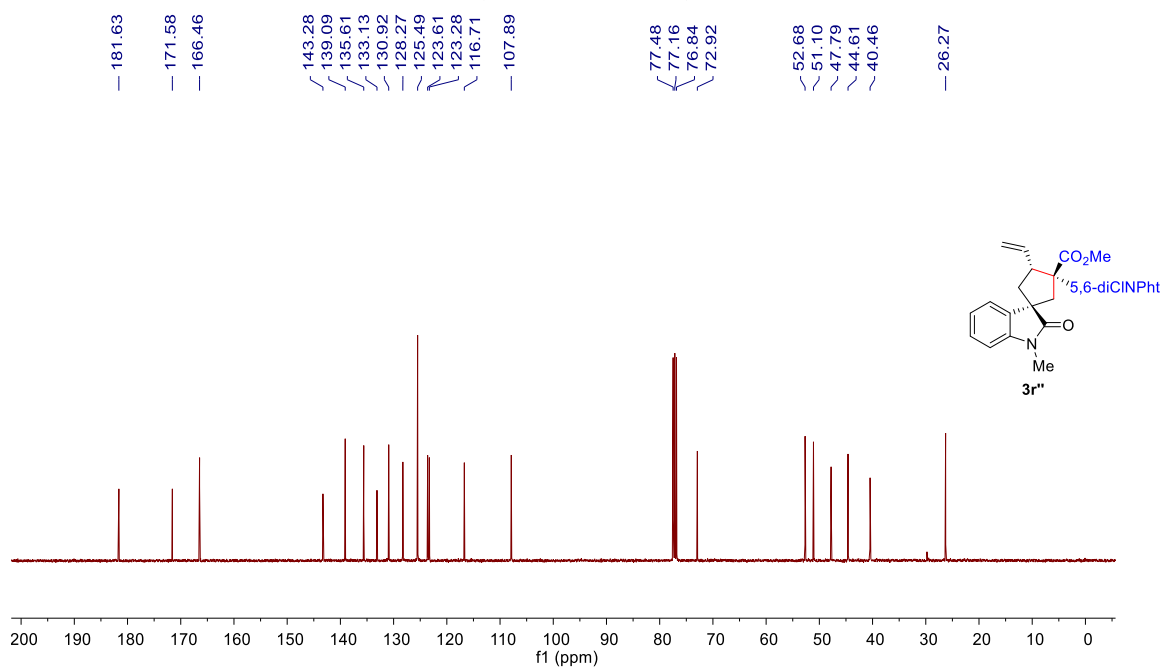
¹³C NMR (101 MHz, CDCl₃) of 3r'



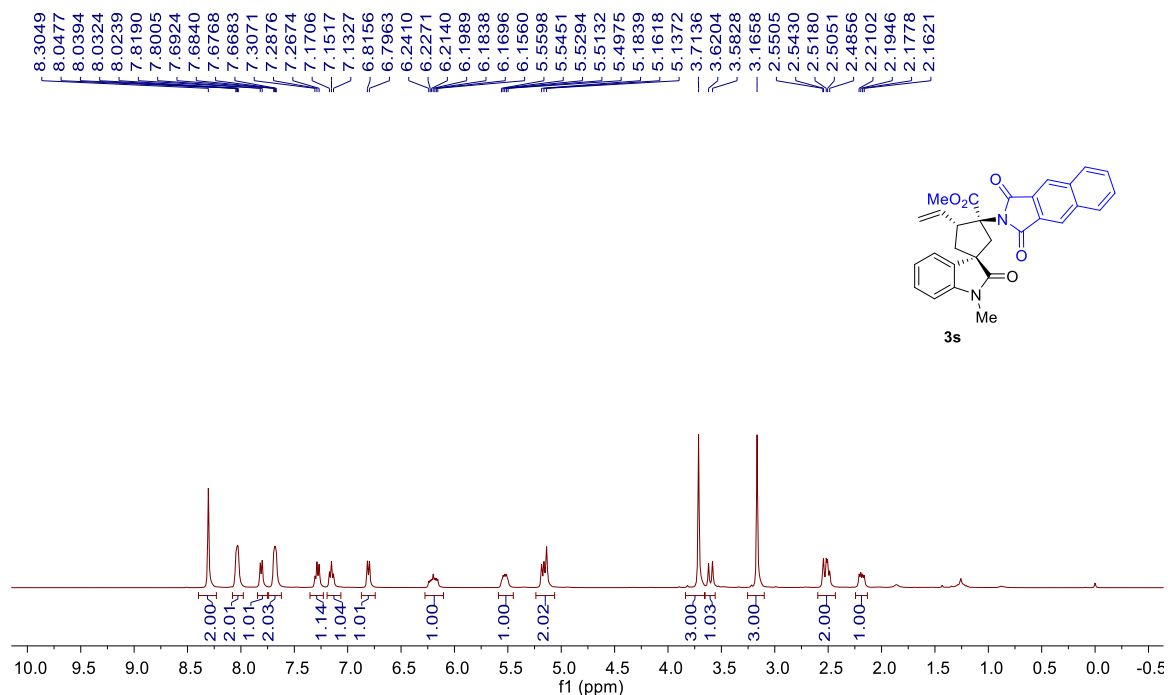
¹H NMR (400 MHz, CDCl₃) of 3r''



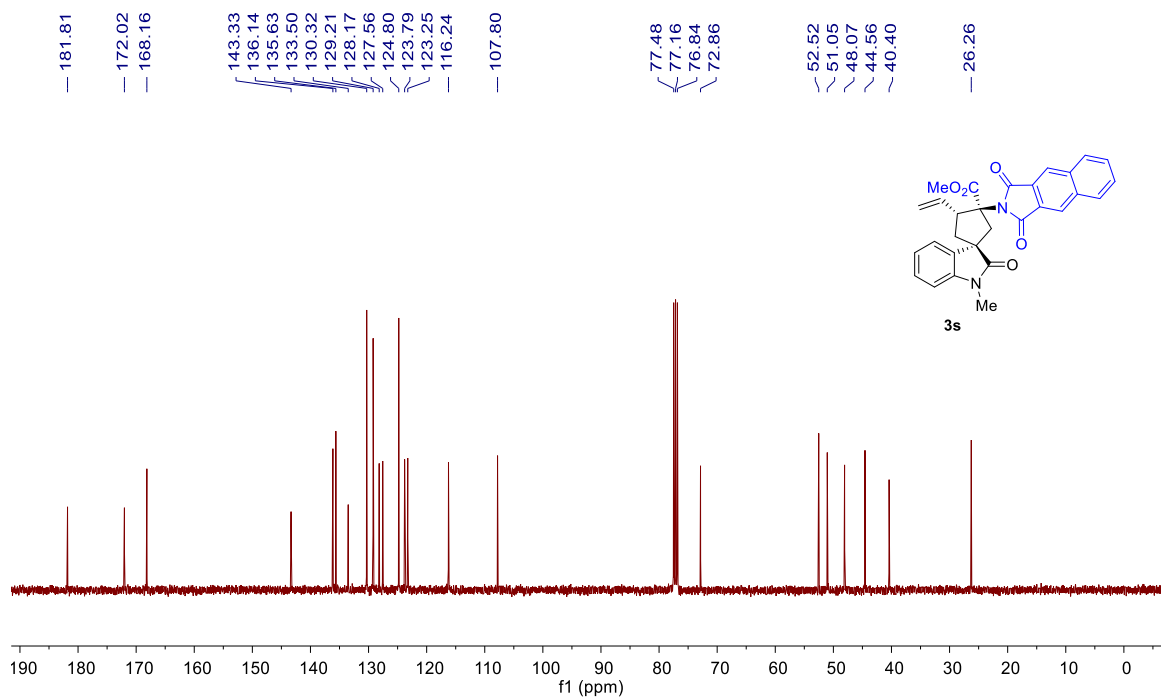
¹³C NMR (101 MHz, CDCl₃) of 3r''



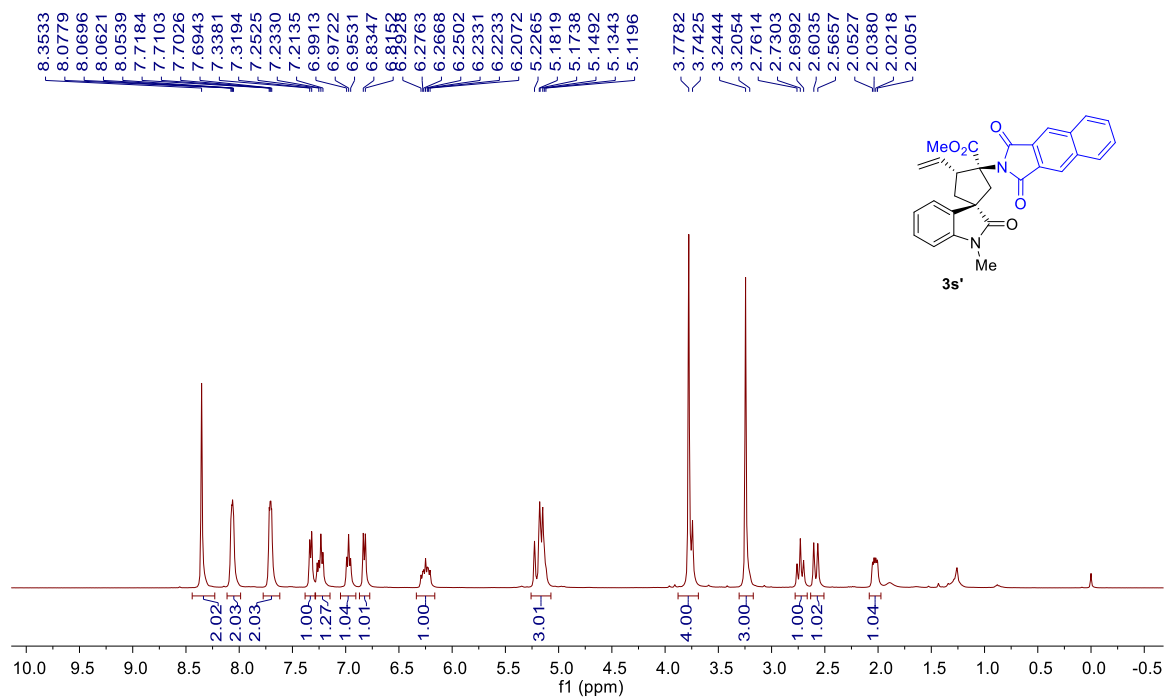
¹H NMR (400 MHz, CDCl₃) of 3s



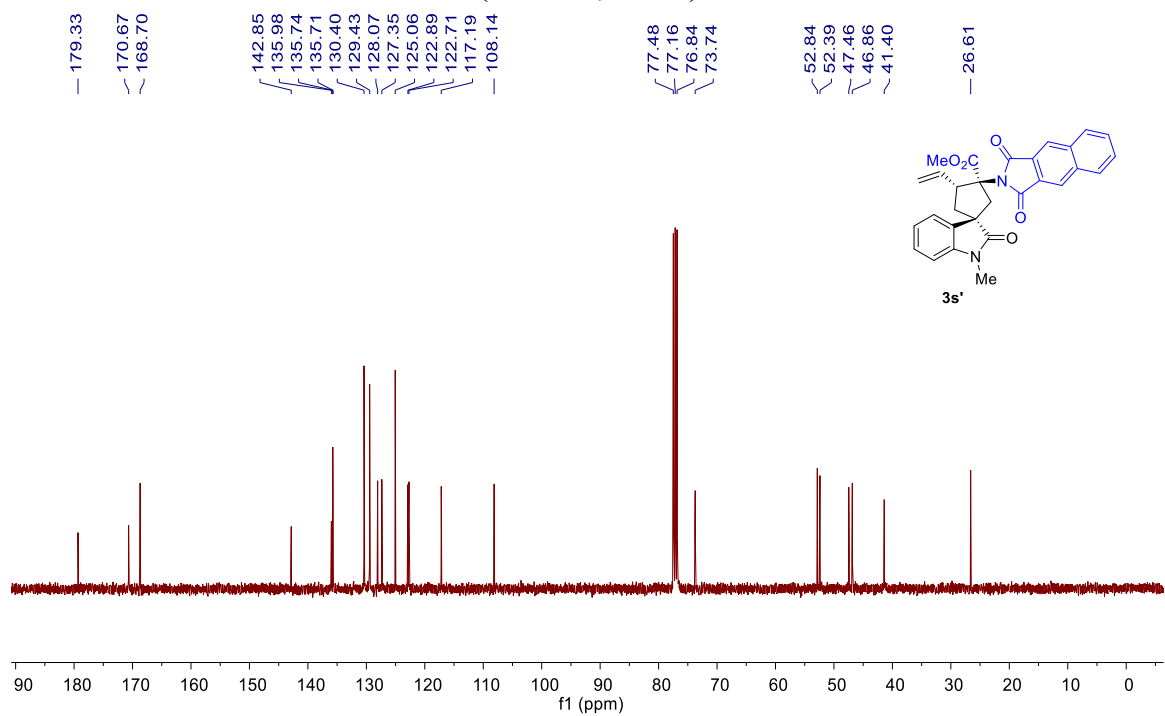
¹³C NMR (101 MHz, CDCl₃) of 3s



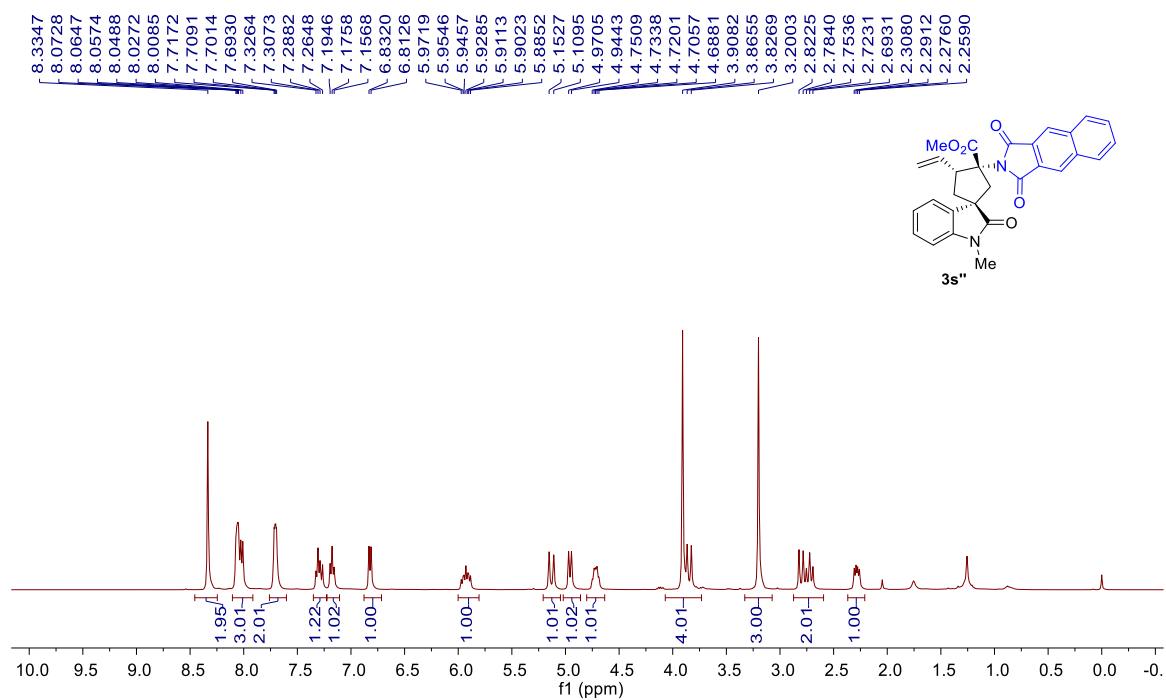
¹H NMR (400 MHz, CDCl₃) of 3s'



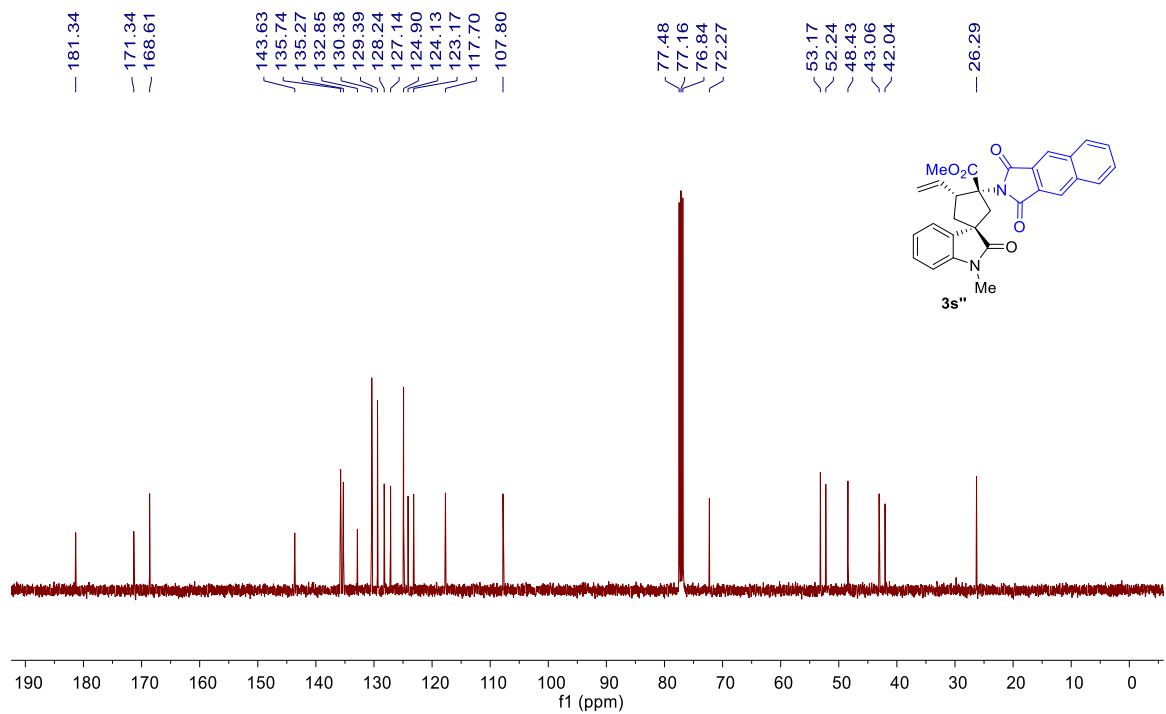
¹³C NMR (101 MHz, CDCl₃) of 3s'



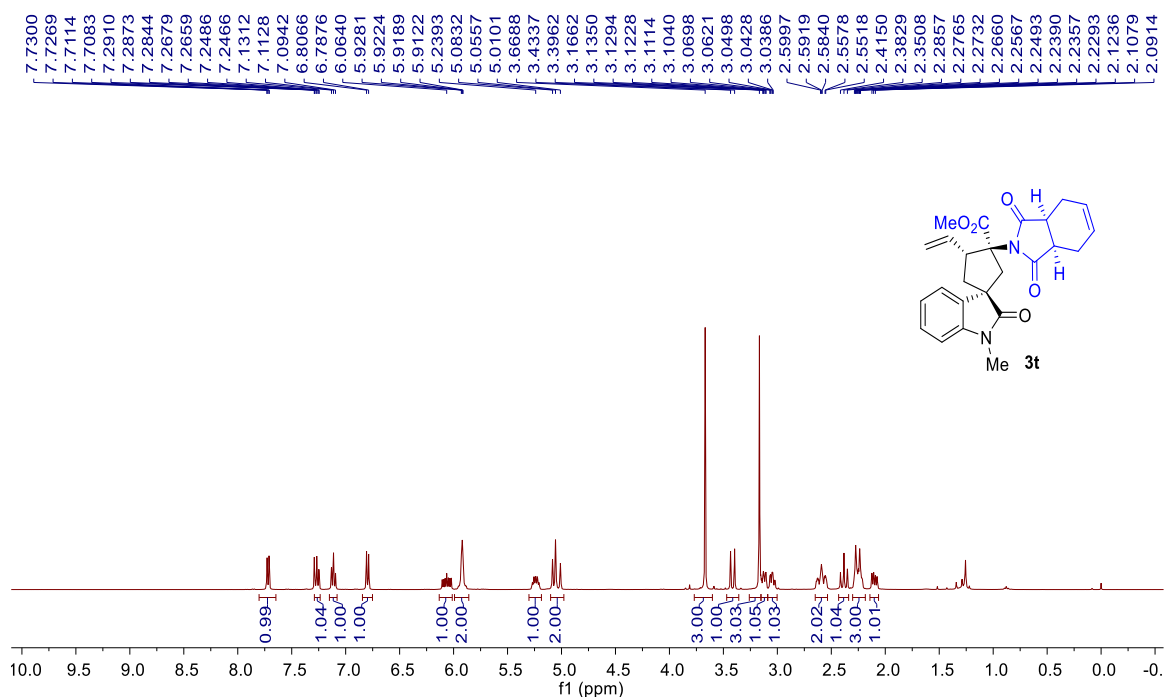
¹H NMR (400 MHz, CDCl₃) of 3s''



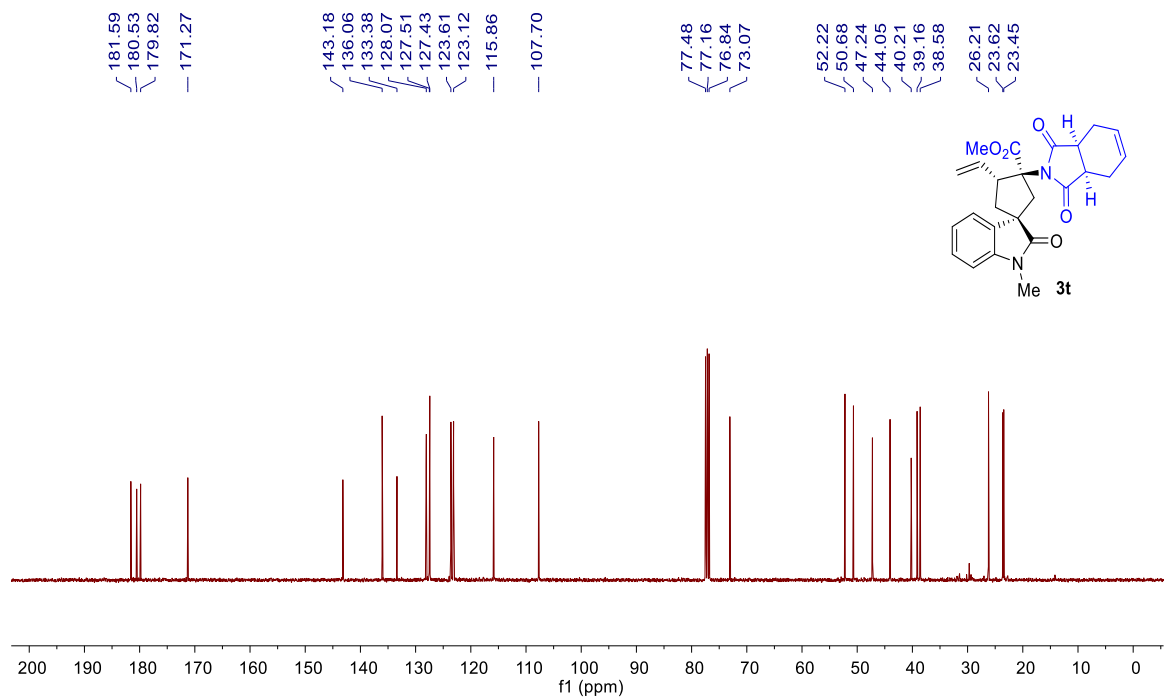
¹³C NMR (101 MHz, CDCl₃) of 3s''



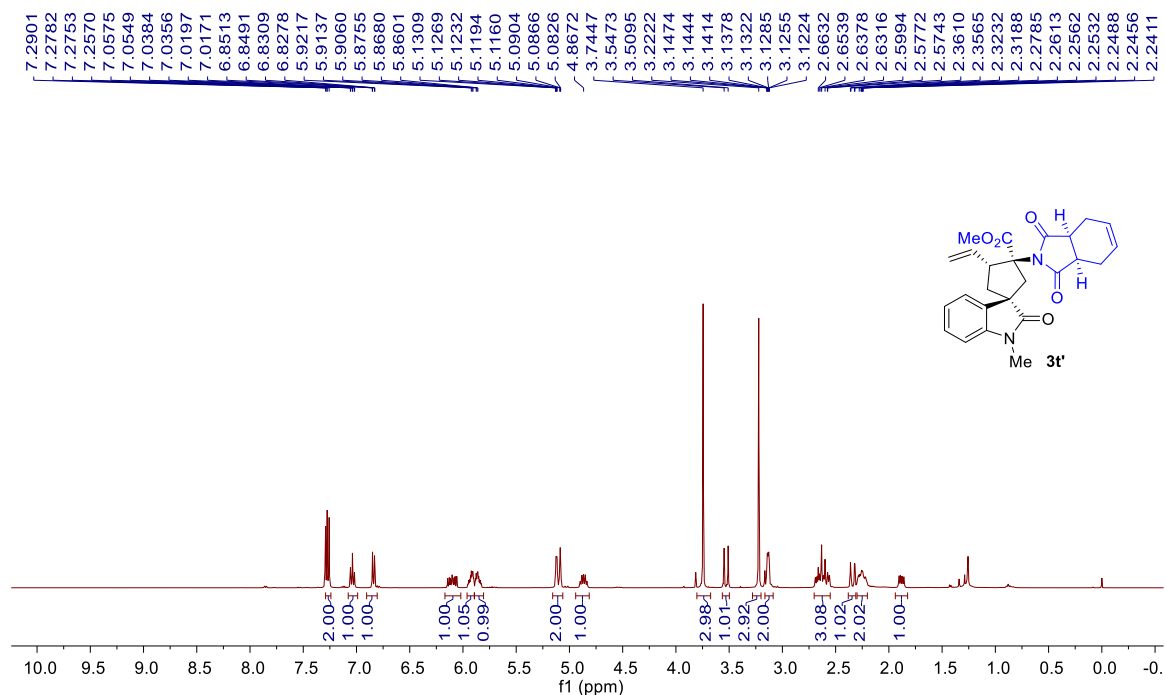
¹H NMR (400 MHz, CDCl₃) of 3t



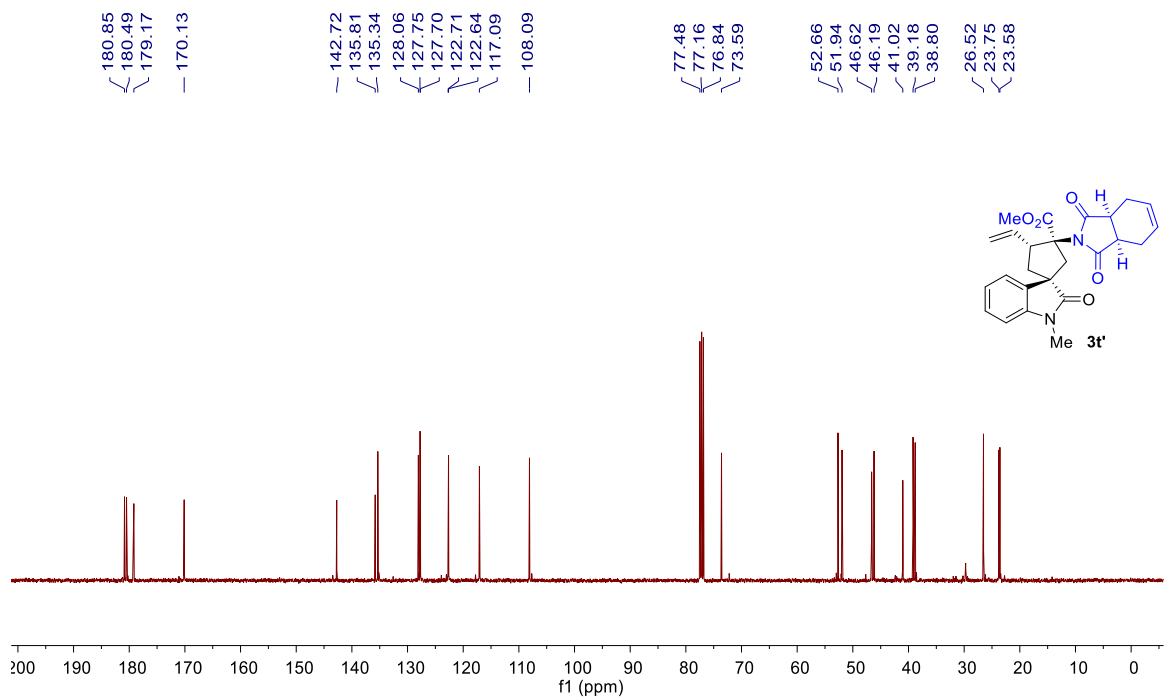
¹³C NMR (101 MHz, CDCl₃) of 3t



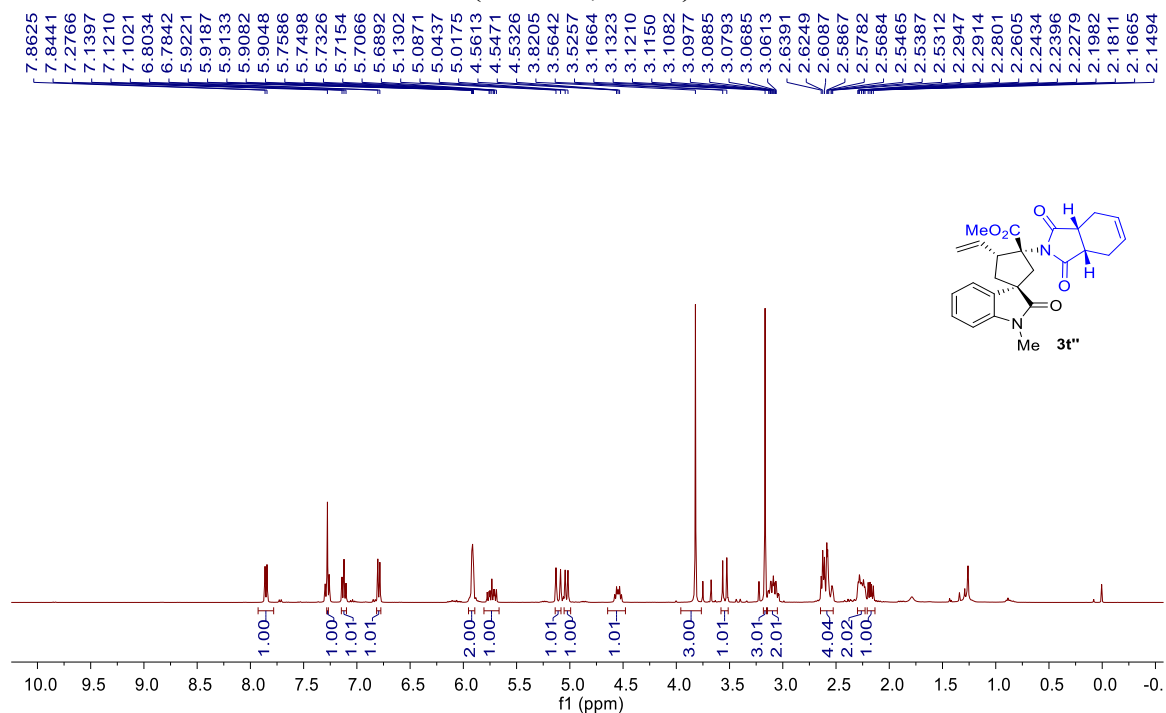
¹H NMR (400 MHz, CDCl₃) of 3t'



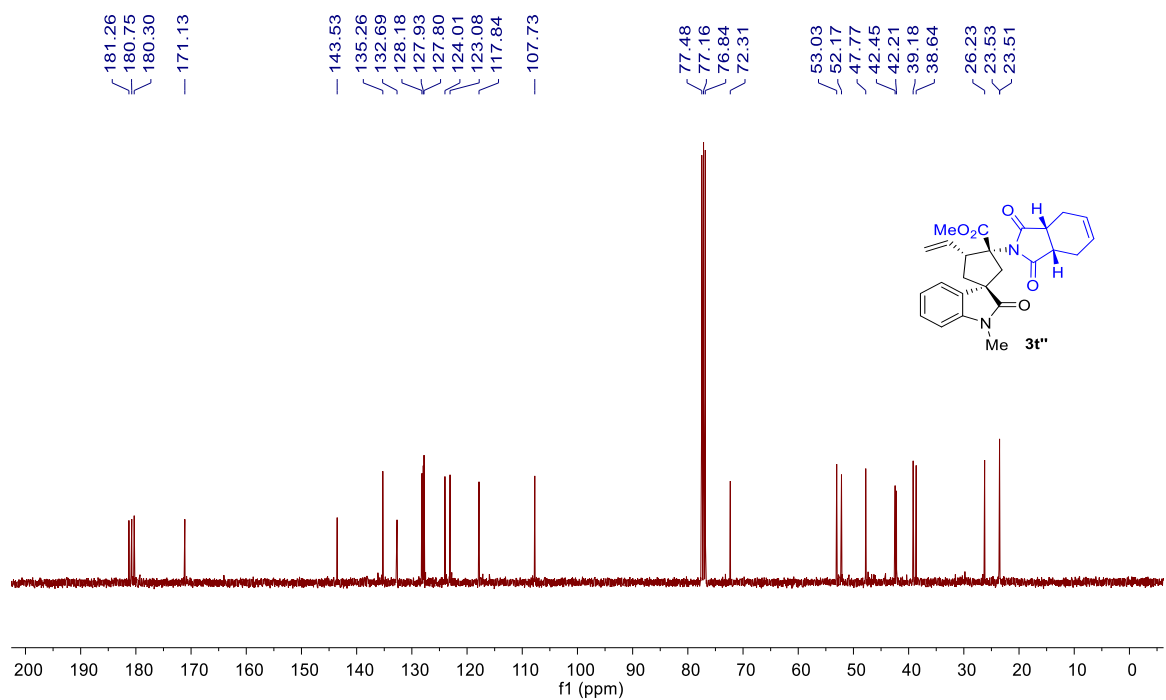
¹³C NMR (101 MHz, CDCl₃) of 3t'



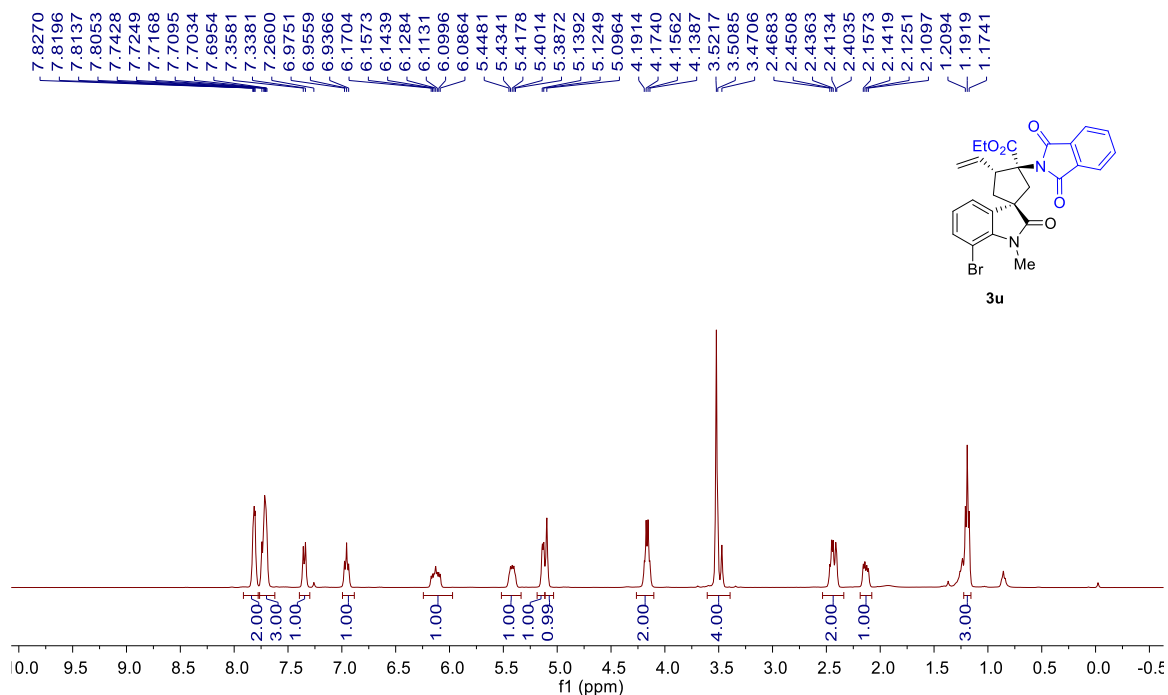
¹H NMR (400 MHz, CDCl₃) of 3t''



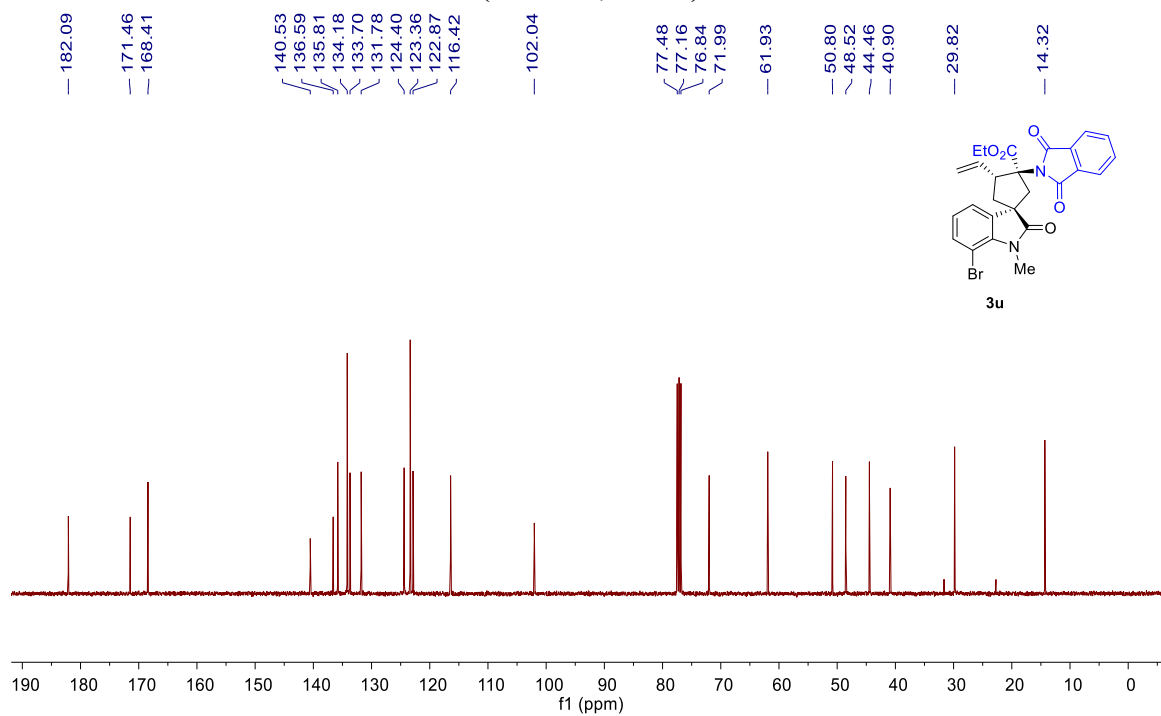
¹³C NMR (101 MHz, CDCl₃) of 3t''



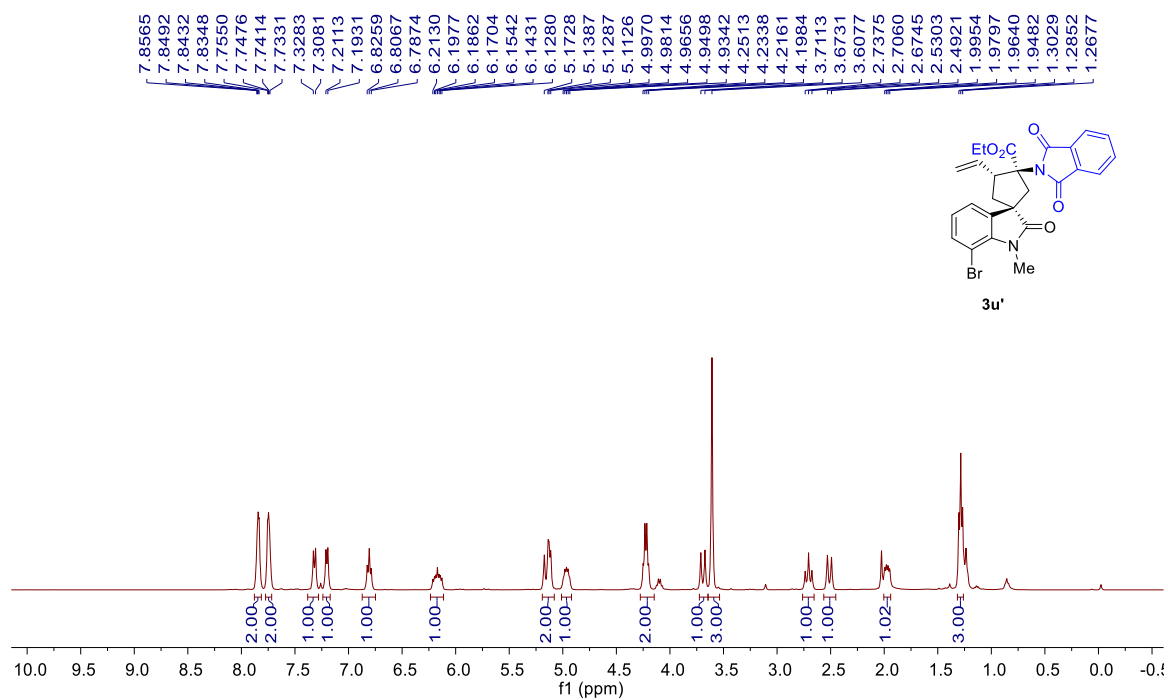
¹H NMR (400 MHz, CDCl₃) of 3u



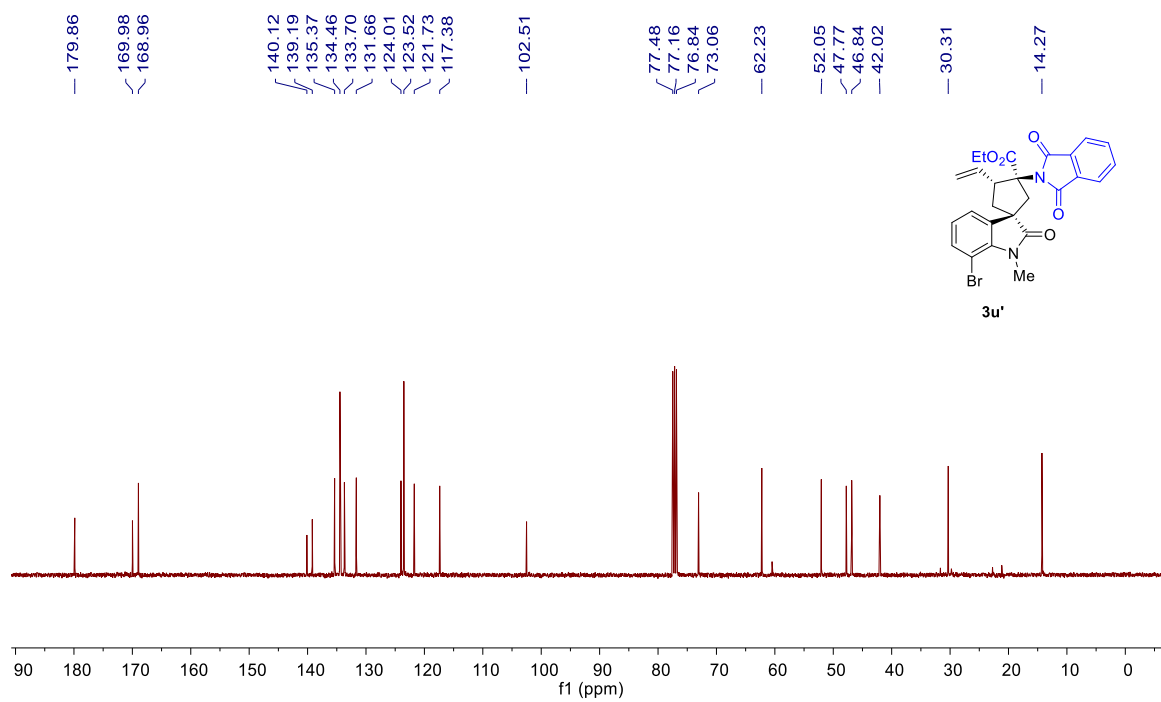
¹³C NMR (101 MHz, CDCl₃) of 3u



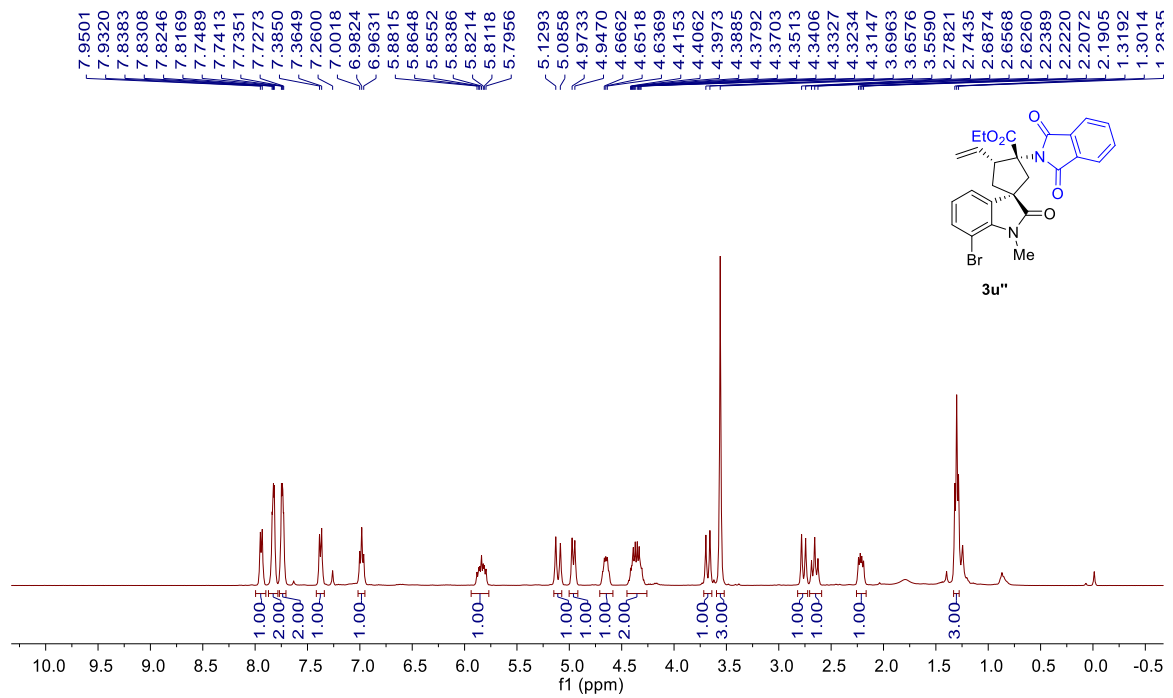
¹H NMR (400 MHz, CDCl₃) of 3u'



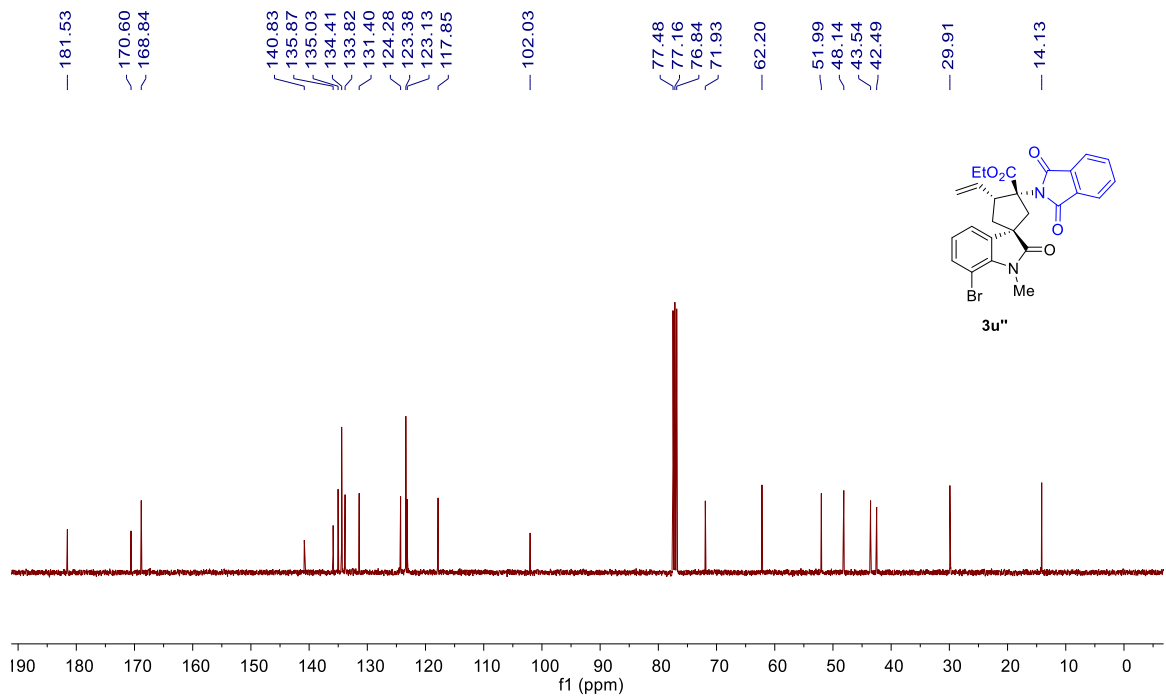
¹³C NMR (101 MHz, CDCl₃) of 3u'



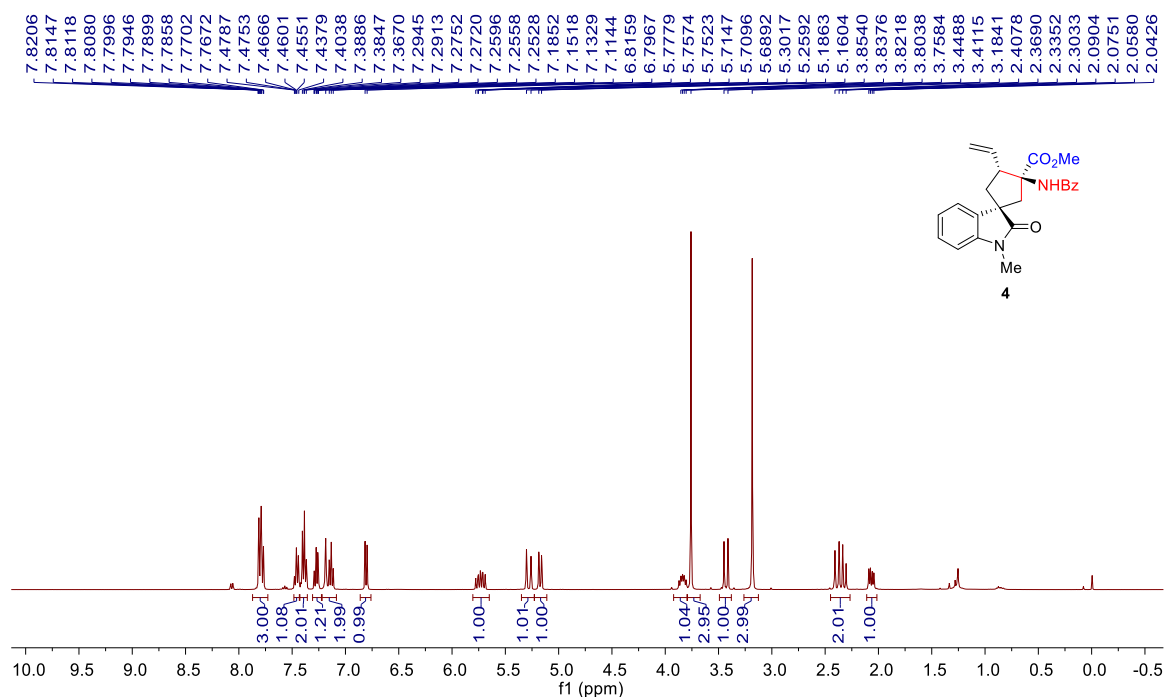
¹H NMR (400 MHz, CDCl₃) of 3u''



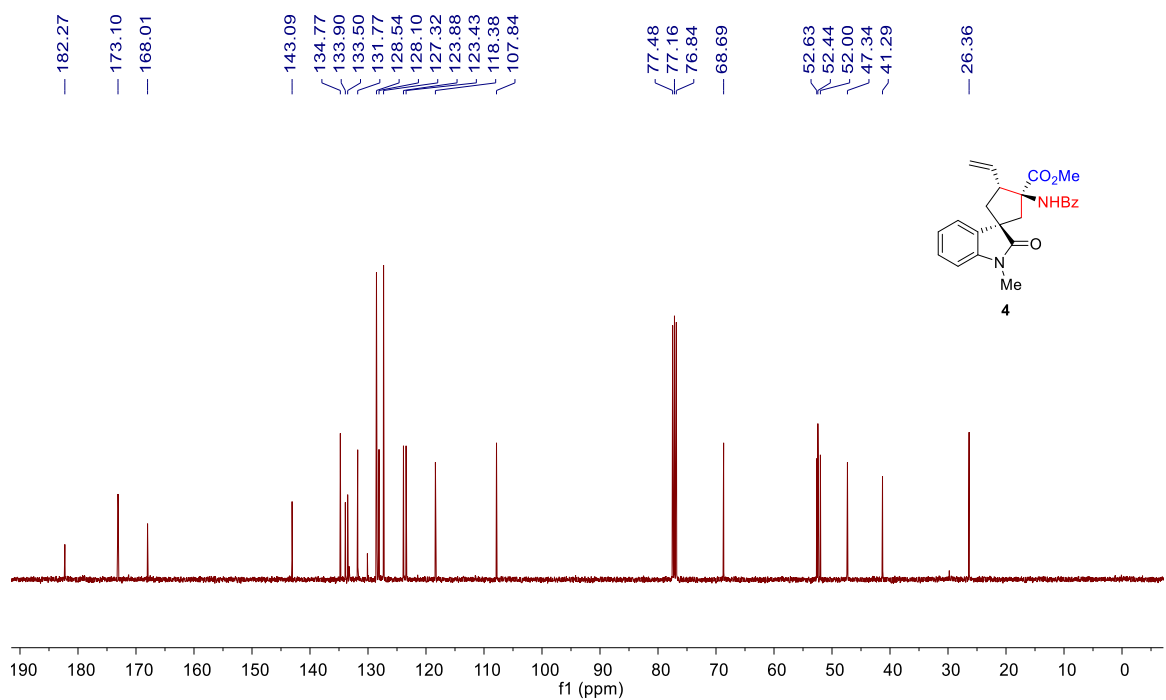
¹³C NMR (101 MHz, CDCl₃) of 3u''



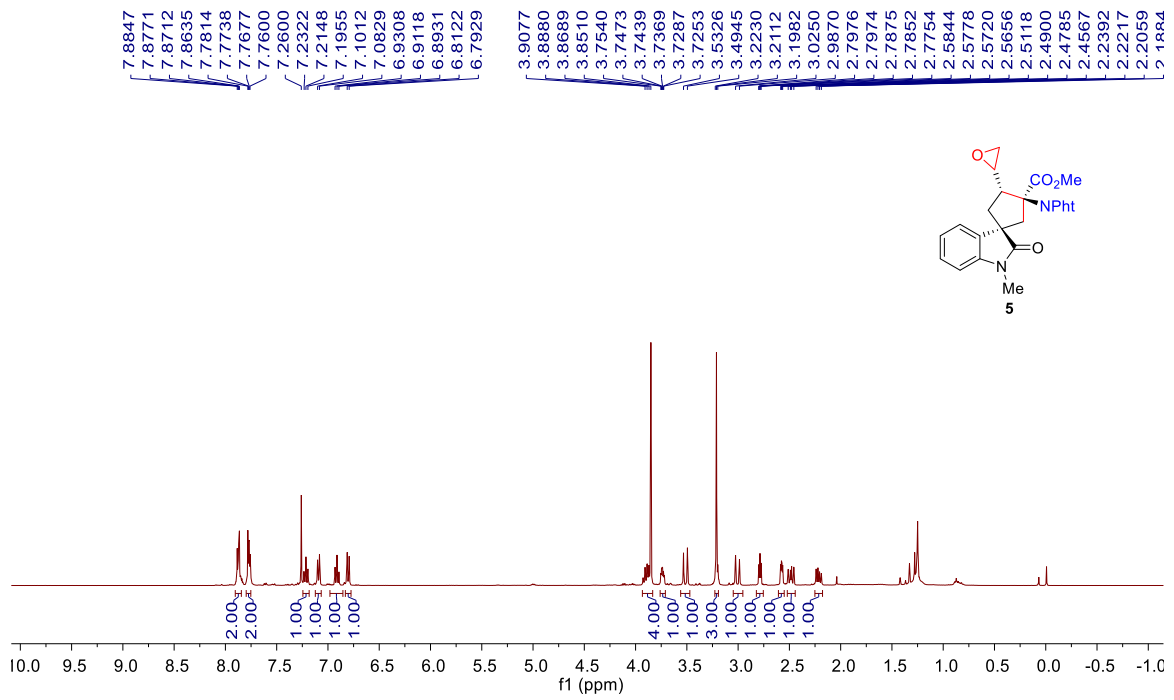
¹H NMR (400 MHz, CDCl₃) of 4



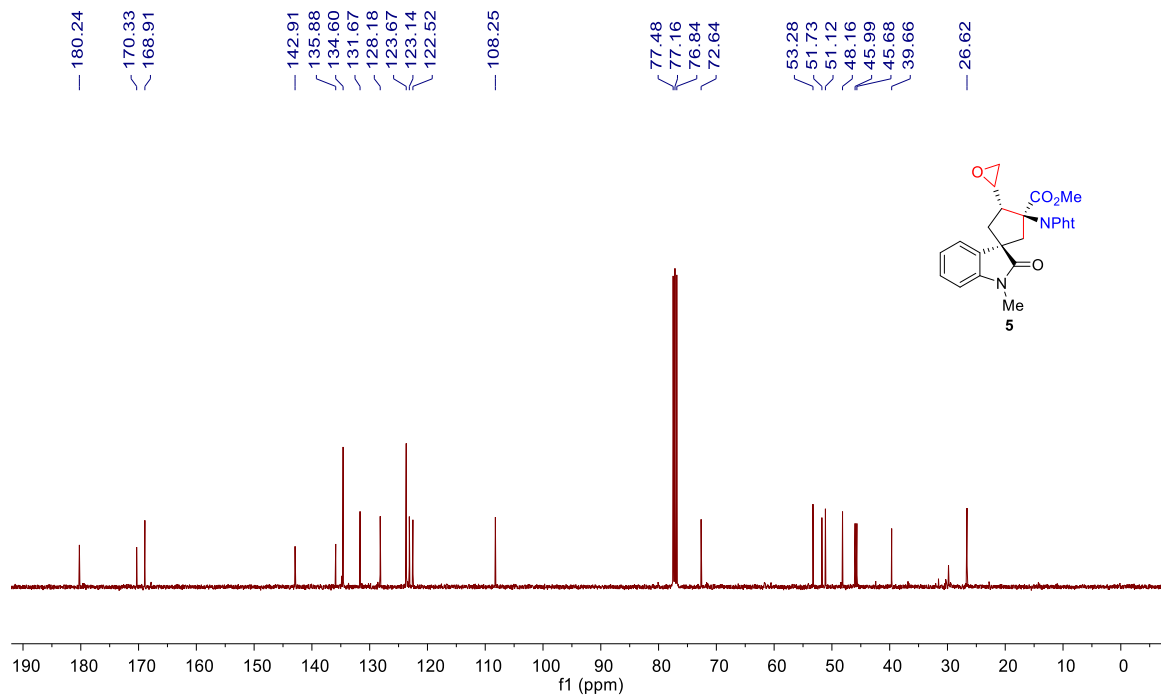
¹³C NMR (101 MHz, CDCl₃) of 4



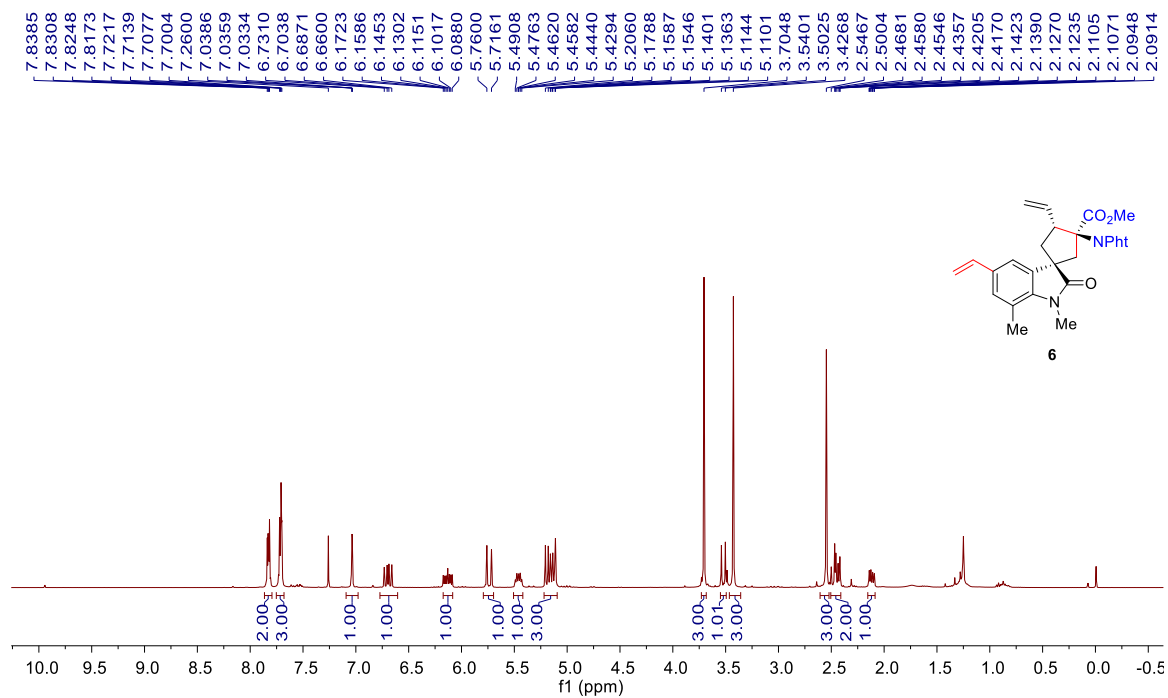
¹H NMR (400 MHz, CDCl₃) of 5



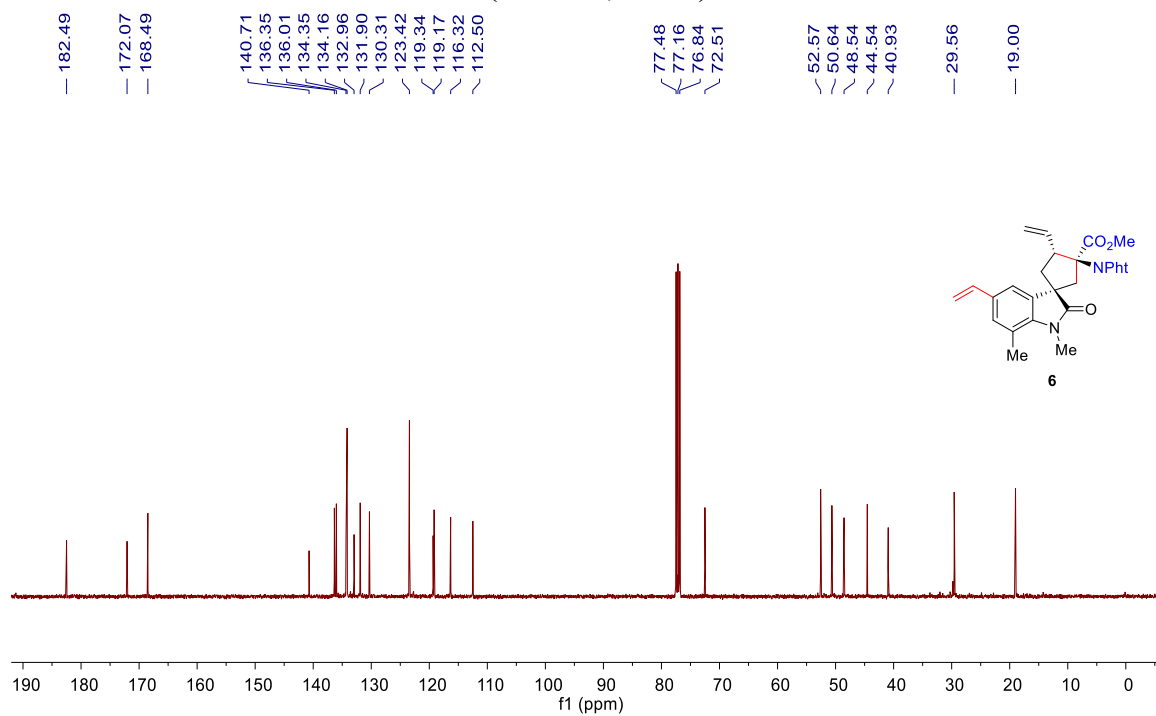
¹³C NMR (101 MHz, CDCl₃) of 5



¹H NMR (400 MHz, CDCl₃) of 6

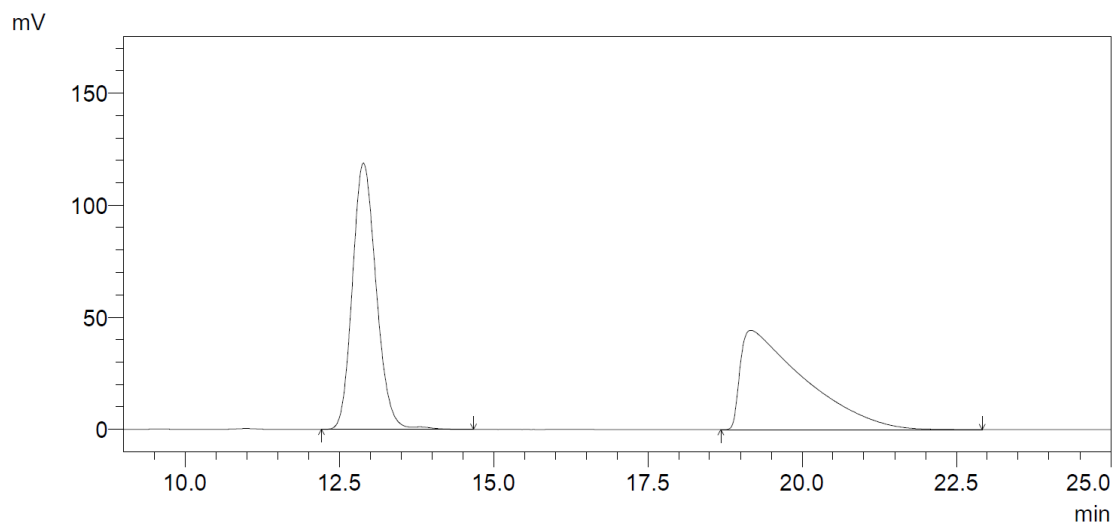


¹³C NMR (101 MHz, CDCl₃) of 6



9.2 HPLC spectra for compounds

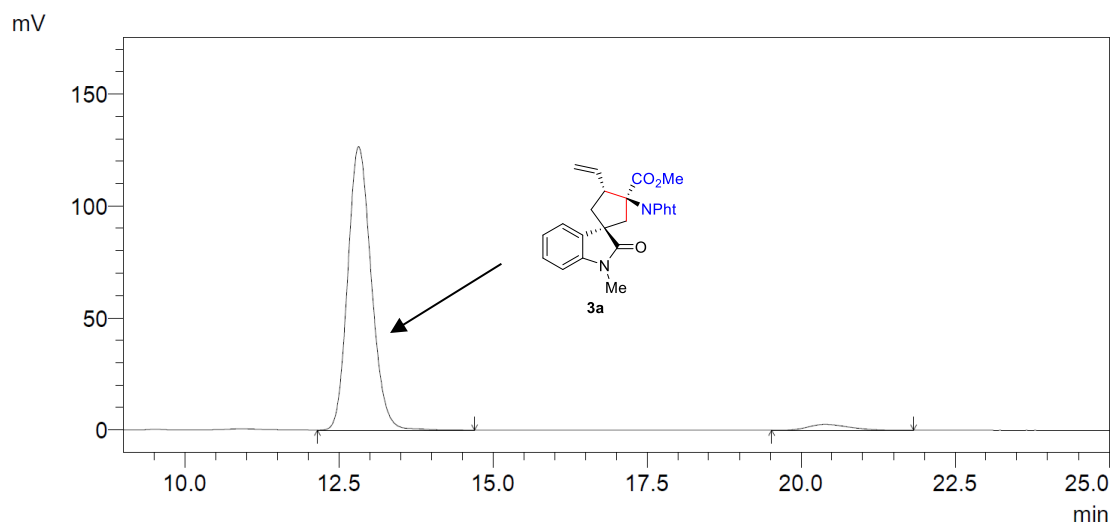
HPLC spectra of *rac*-3a



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	12.890	3190383	118854	50.046
2	19.168	3184504	44304	49.954

HPLC spectra of chiral 3a

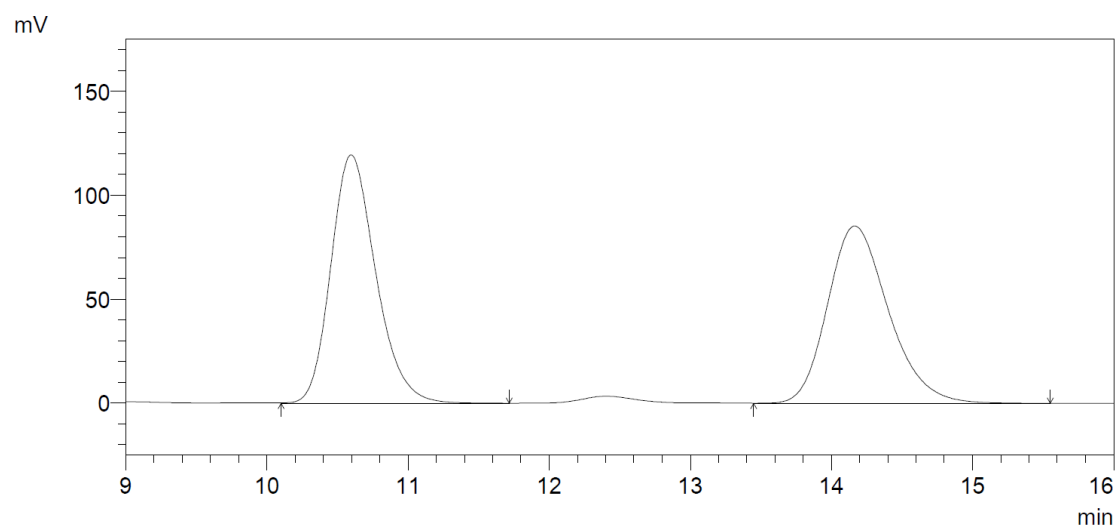


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	12.820	3359859	126509	96.535
2	20.396	120580	2604	3.465

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)}$ -3a = 12.9 min (major), $t_{(1R,2S,4S)}$ -3a = 19.2 min (minor).

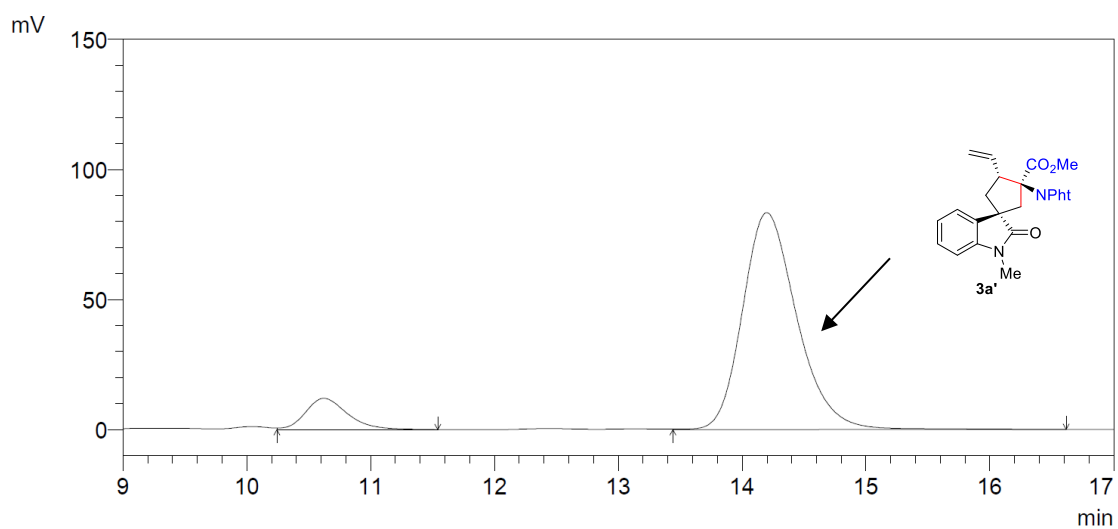
HPLC spectra of *rac*-3a'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	10.597	2649627	119641	50.816
2	14.165	2564515	85420	49.184

HPLC spectra of chiral 3a'

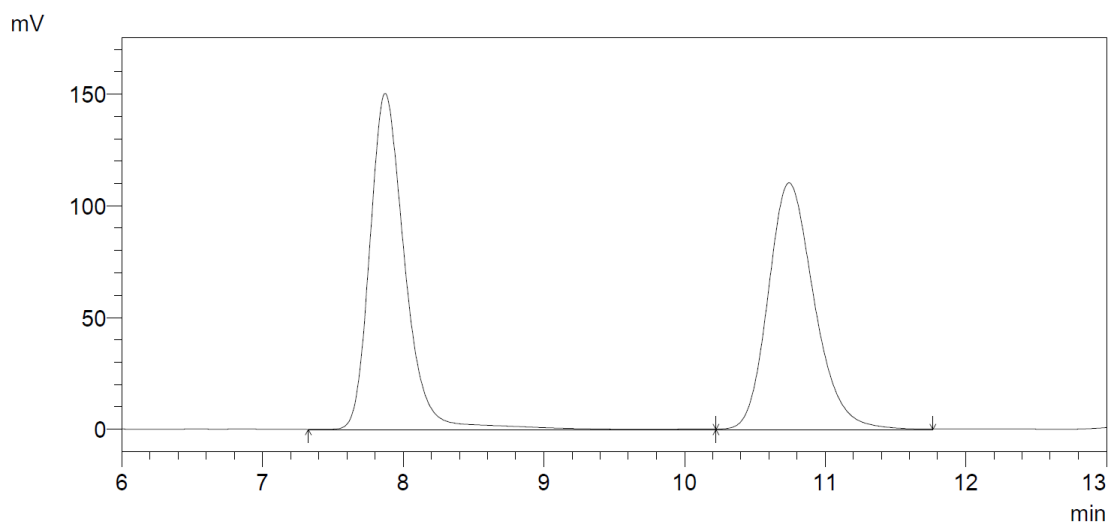


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	10.622	282625	12021	9.979
2	14.198	2549533	83460	90.021

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}$ -3a' = 10.6 min (minor), $t_{(1S,2S,4R)}$ -3a' = 14.2 min (major).

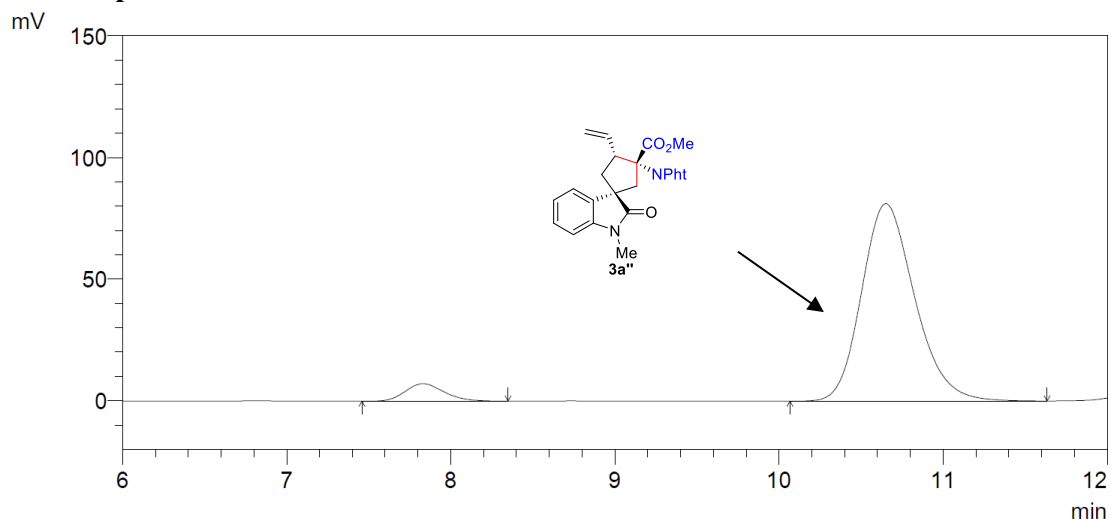
HPLC spectra of *rac-3a''*



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	7.872	2603742	150275	50.882
2	10.743	2513514	110351	49.118

HPLC spectra of chiral *3a''*

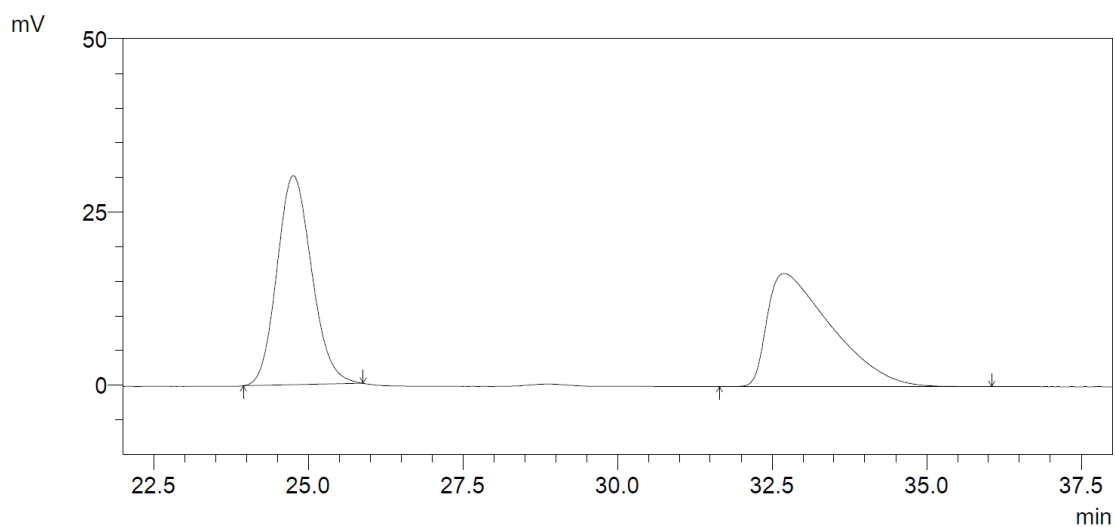


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	7.830	118356	7186	6.079
2	10.651	1828522	81196	93.921

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3a''} = 7.9$ min (minor), $t_{(1R,2S,4R)-3a''} = 10.7$ min (major).

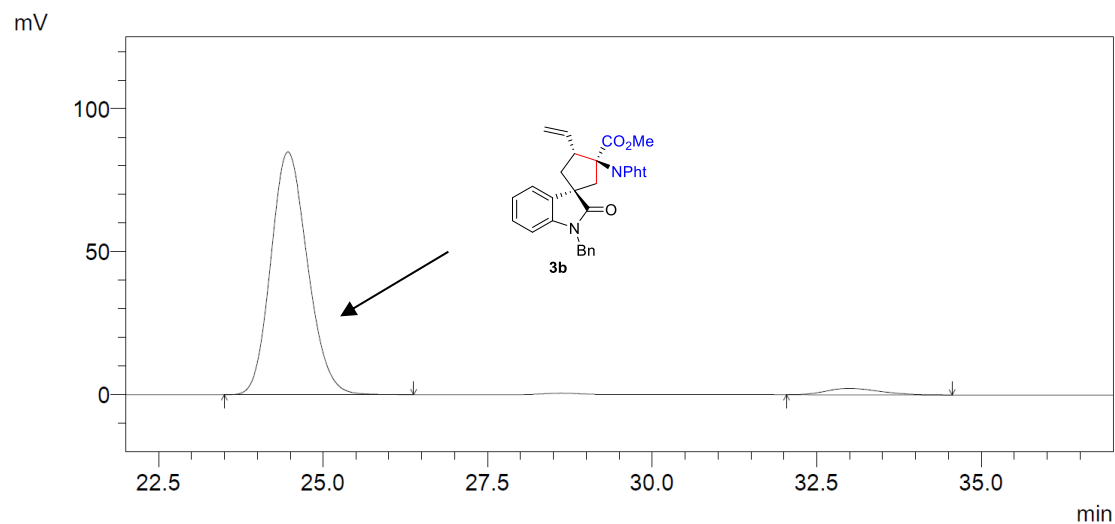
HPLC spectra of *rac*-3b



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	24.757	1181869	30183	50.111
2	32.692	1176645	16331	49.889

HPLC spectra of chiral 3b

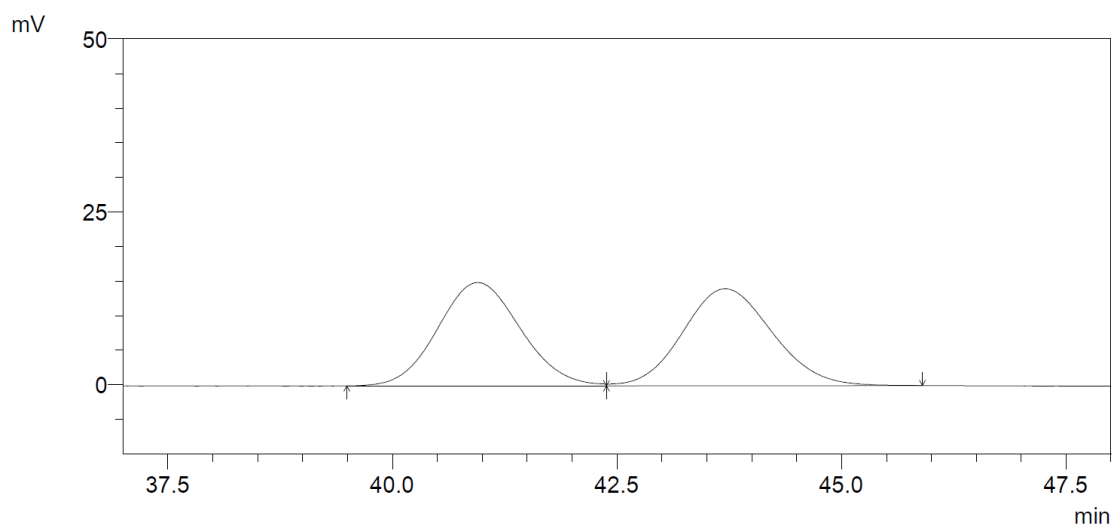


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	24.464	3299909	84993	96.334
2	33.005	125563	2229	3.666

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)\text{-}3b} = 24.8$ min (major), $t_{(1R,2S,4S)\text{-}3b} = 32.7$ min (minor).

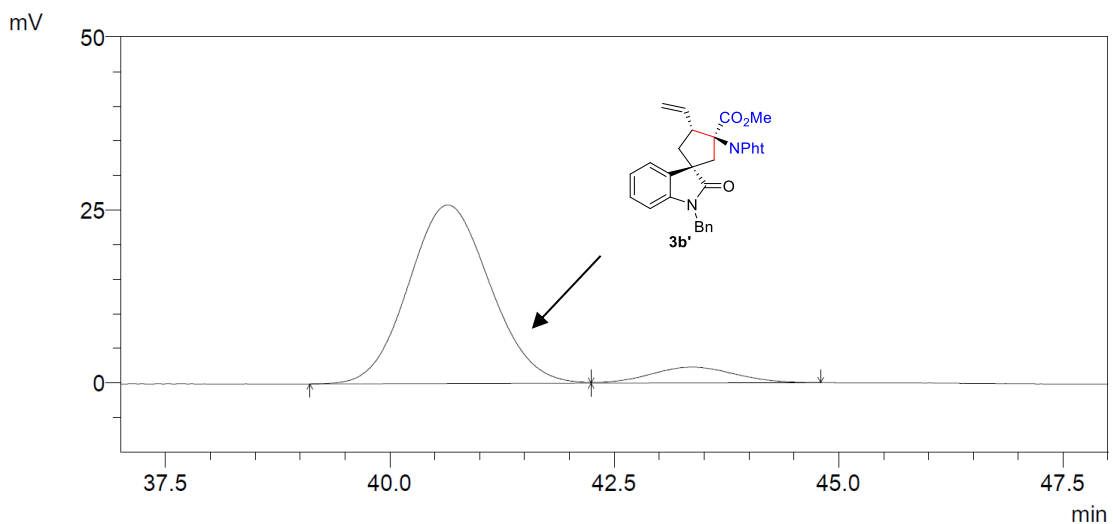
HPLC spectra of *rac*-3b'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	40.951	958290	14944	49.714
2	43.711	969305	14008	50.286

HPLC spectra of chiral 3b'

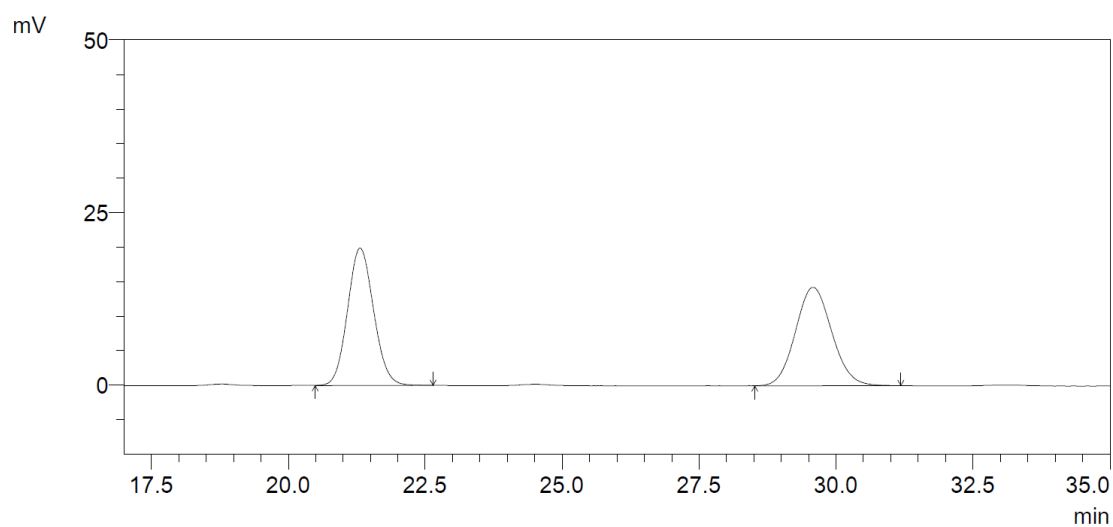


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	40.643	1634162	25824	91.601
2	43.370	149846	2292	8.399

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3b'}$ = 41.0 min (major), $t_{(1S,2S,4R)-3b'}$ = 43.7 min (minor).

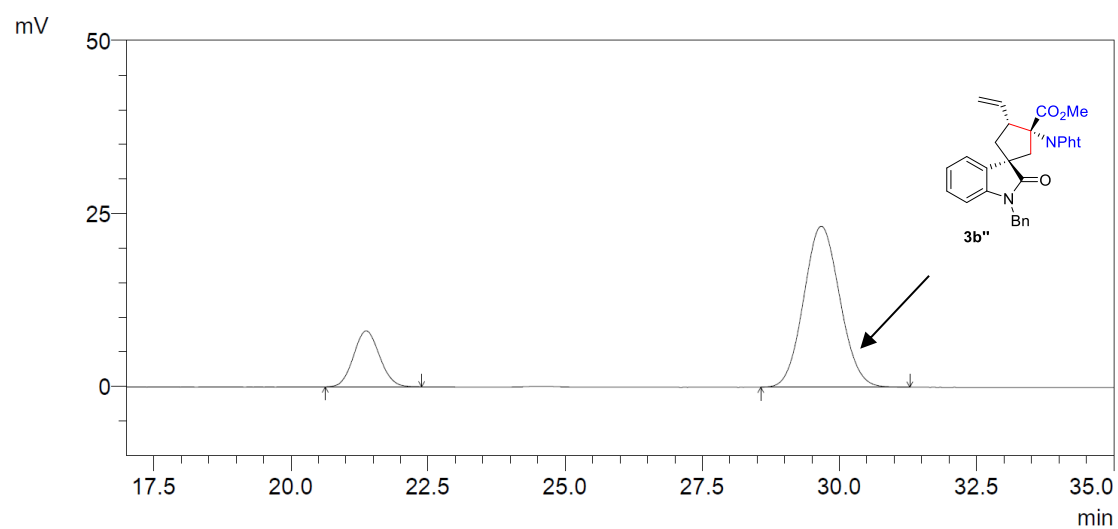
HPLC spectra of *rac*-3b''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	21.310	660780	19913	50.055
2	29.579	659330	14272	49.945

HPLC spectra of chiral 3b''

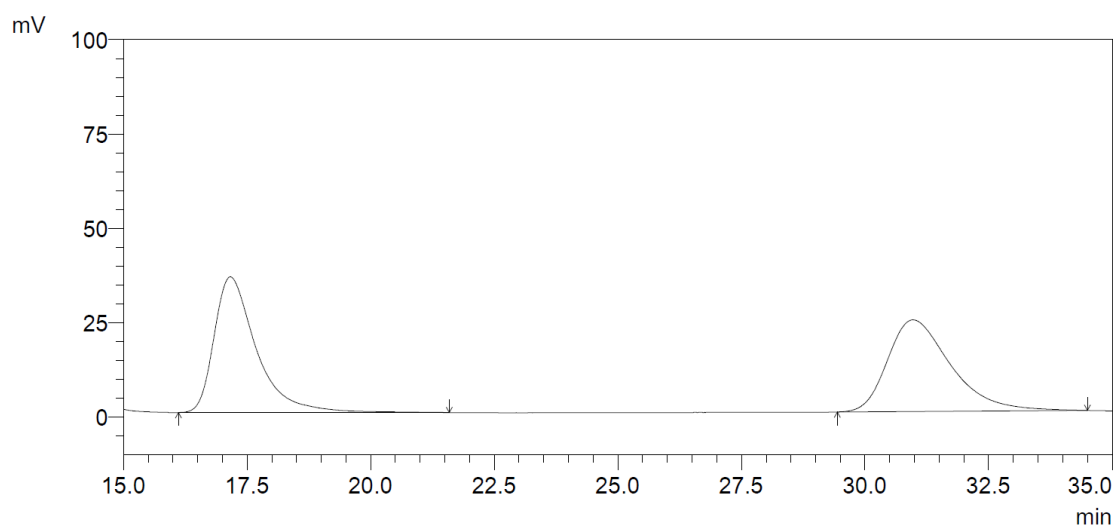


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	21.371	268081	8100	20.058
2	29.669	1068460	23281	79.942

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}\text{-3a''} = 21.3$ min (minor), $t_{(1R,2S,4R)}\text{-3a''} = 29.6$ min (major).

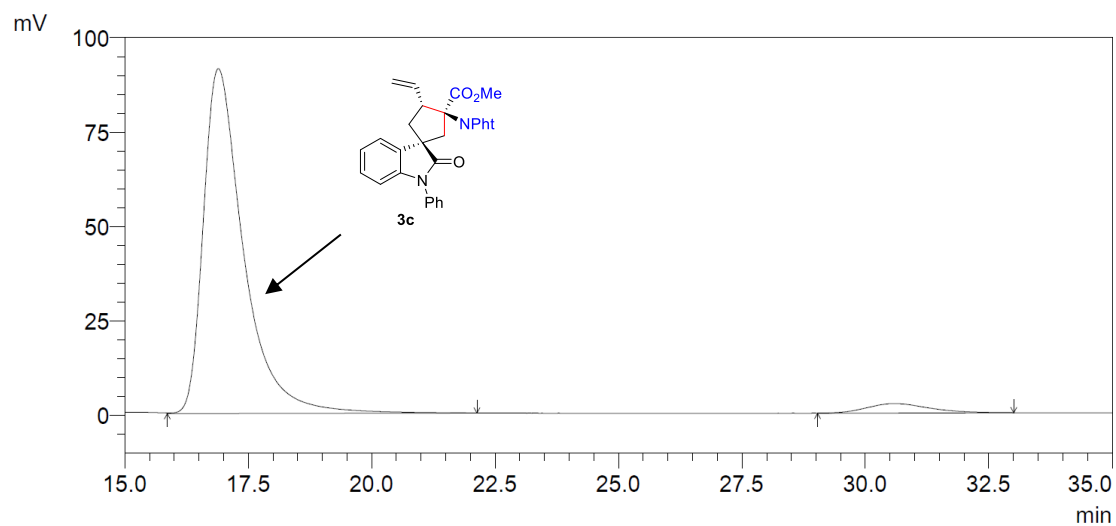
HPLC spectra of *rac*-3c



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	17.160	2138407	35958	49.745
2	30.968	2160334	24321	50.255

HPLC spectra of chiral 3c

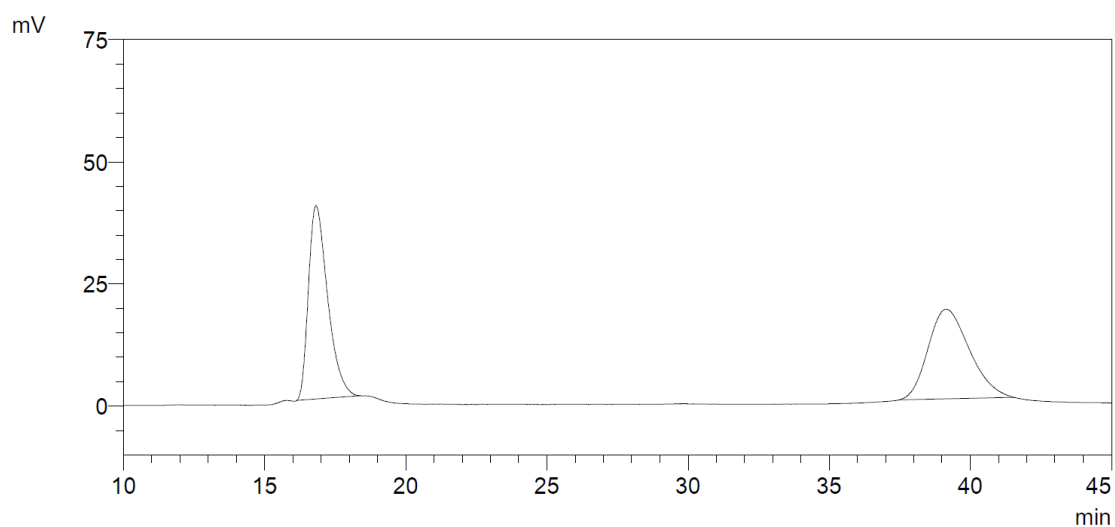


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	16.892	5193248	91418	95.904
2	30.595	221821	2504	4.096

Chiral HPLC analysis: Chiralcel OD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)\text{-}3c} = 17.2$ min (major), $t_{(1R,2S,4S)\text{-}3c} = 31.0$ min (minor).

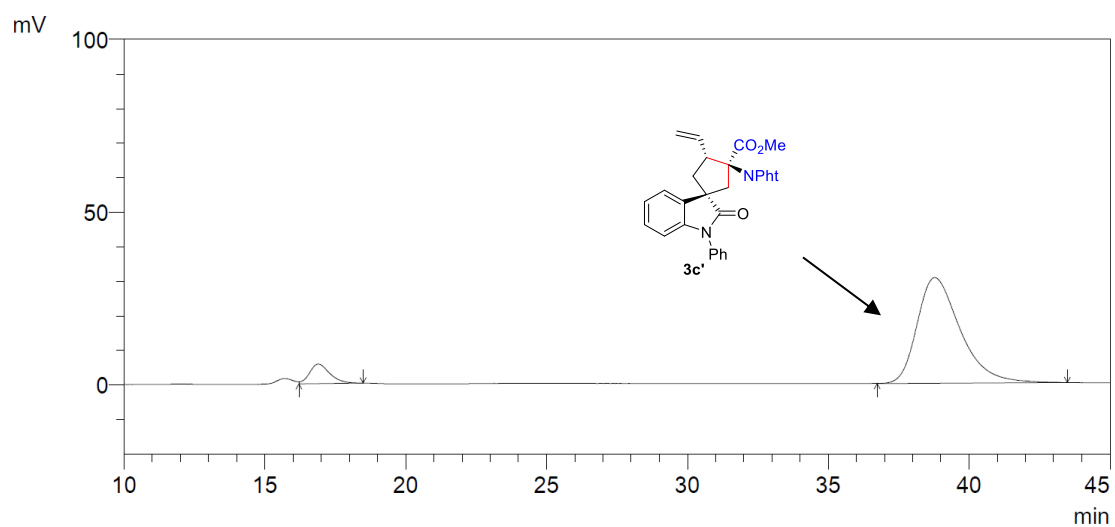
HPLC spectra of *rac*-3c'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	16.822	1876953	39582	50.191
2	39.139	1862674	18346	49.809

HPLC spectra of chiral 3c'

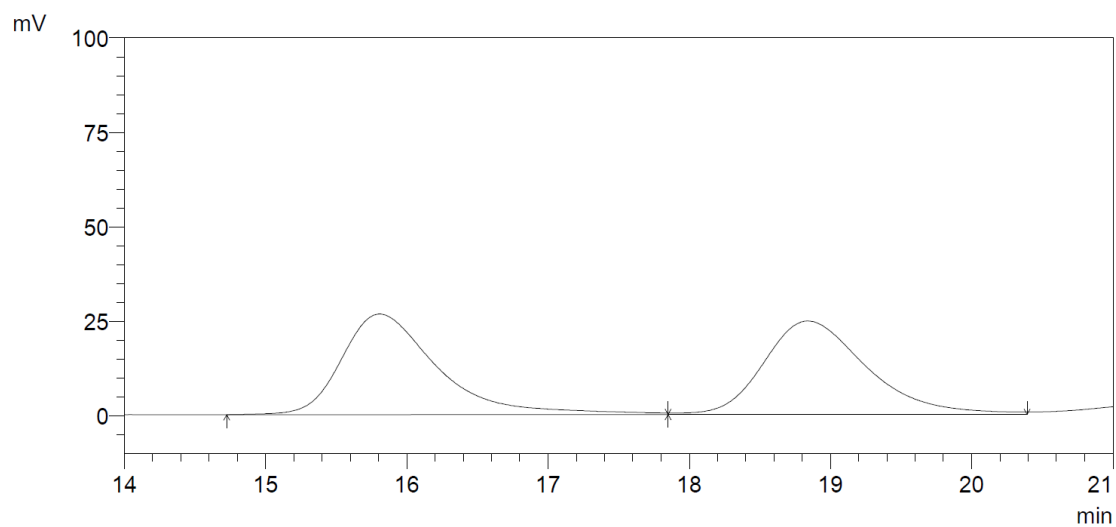


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	16.896	282519	5655	7.996
2	38.782	3250694	30571	92.004

Chiral HPLC analysis: Chiralcel OD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}$ -3c' = 10.6 min (minor), $t_{(1S,2S,4R)}$ -3c' = 14.2 min (major).

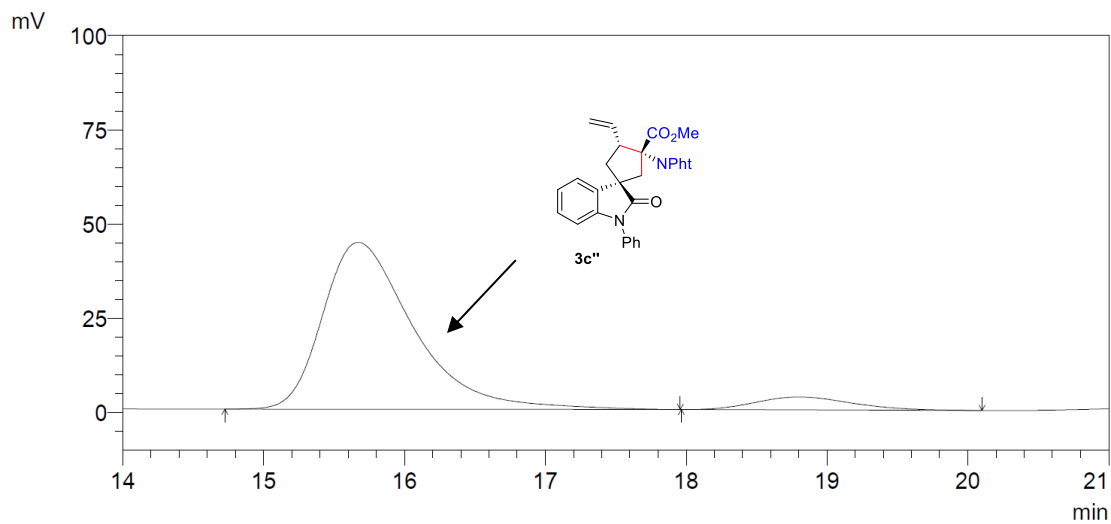
HPLC spectra of *rac-3c''*



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.808	1262760	26639	50.107
2	18.840	1257346	24750	49.893

HPLC spectra of chiral *3c''*

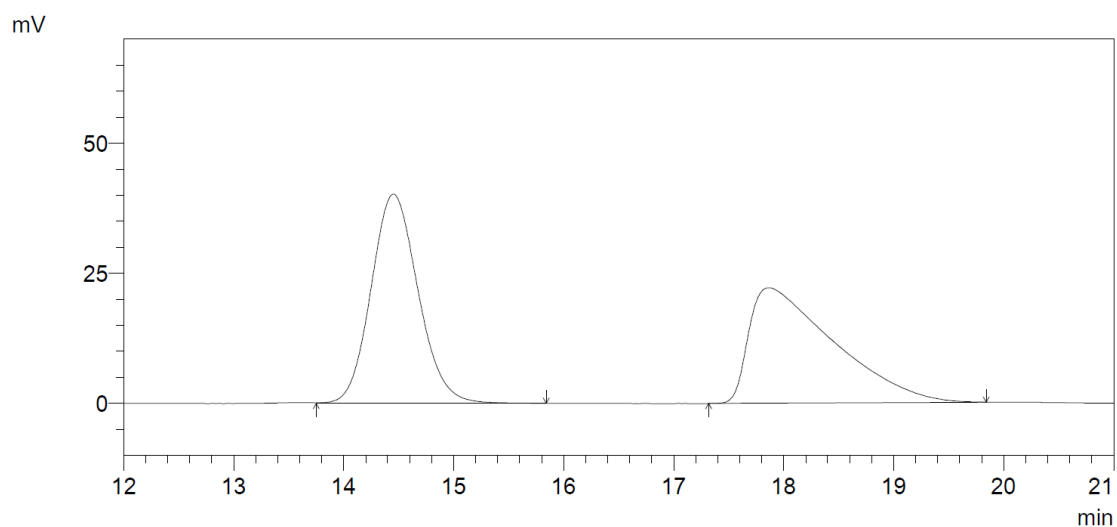


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.672	1989112	44321	92.404
2	18.801	163506	3444	7.596

Chiral HPLC analysis: Chiralcel OD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3c''} = 15.8$ min (major), $t_{(1R,2S,4R)-3c''} = 18.8$ min (minor).

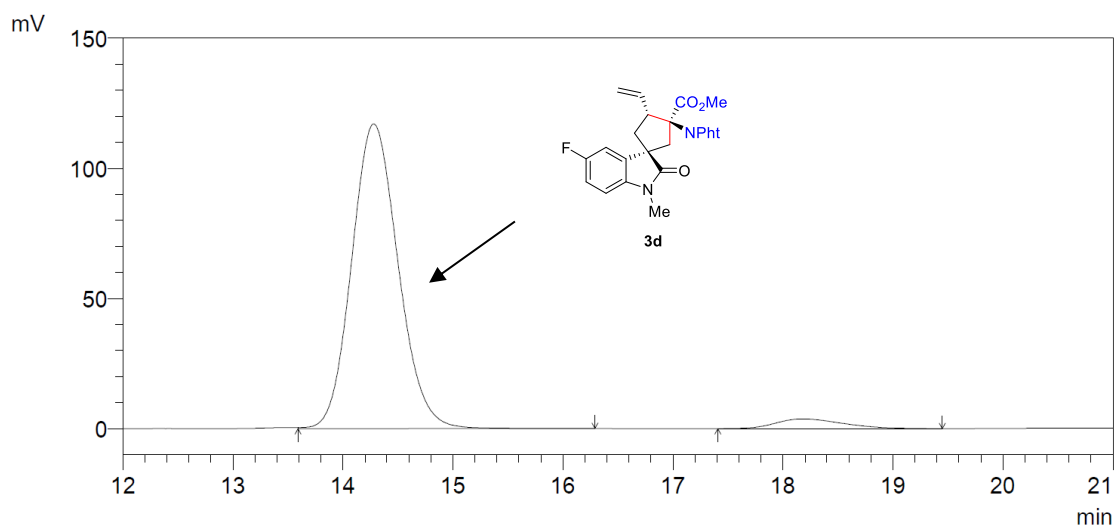
HPLC spectra of *rac*-3d



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	14.452	1196353	40247	50.185
2	17.863	1187527	22220	49.815

HPLC spectra of chiral 3d

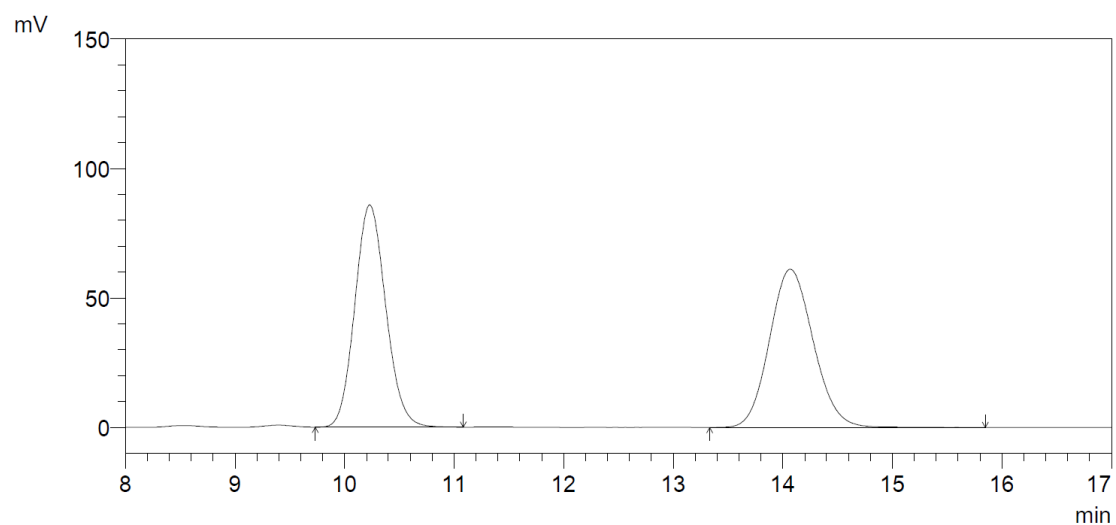


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	14.280	3439508	117118	95.611
2	18.184	157902	3746	4.389

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)}\text{-3d} = 14.5$ min (major), $t_{(1R,2S,4S)}\text{-3d} = 17.9$ min (minor).

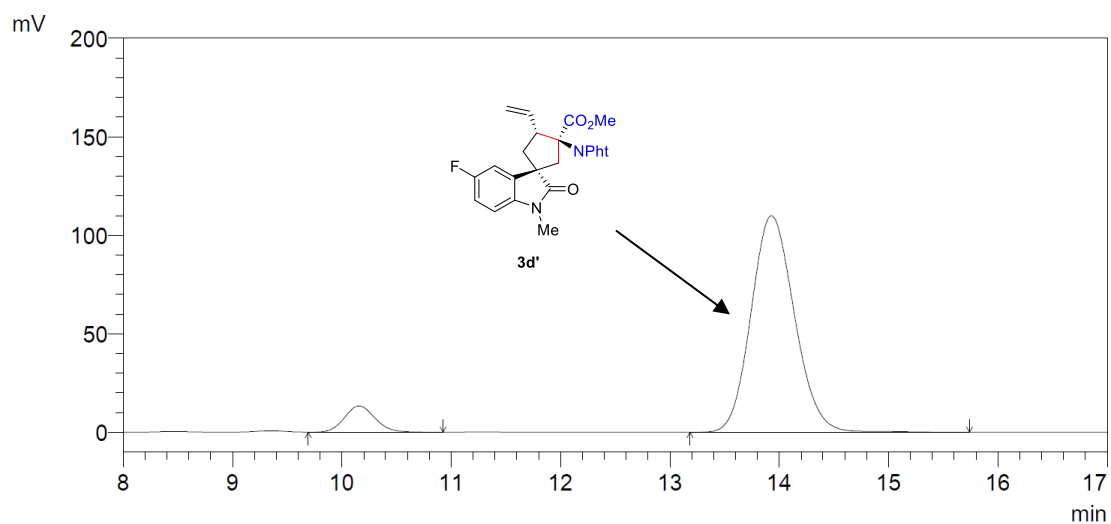
HPLC spectra of *rac*-3d'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	10.228	1695682	85934	49.935
2	14.068	1700120	61125	50.065

HPLC spectra of chiral 3d'

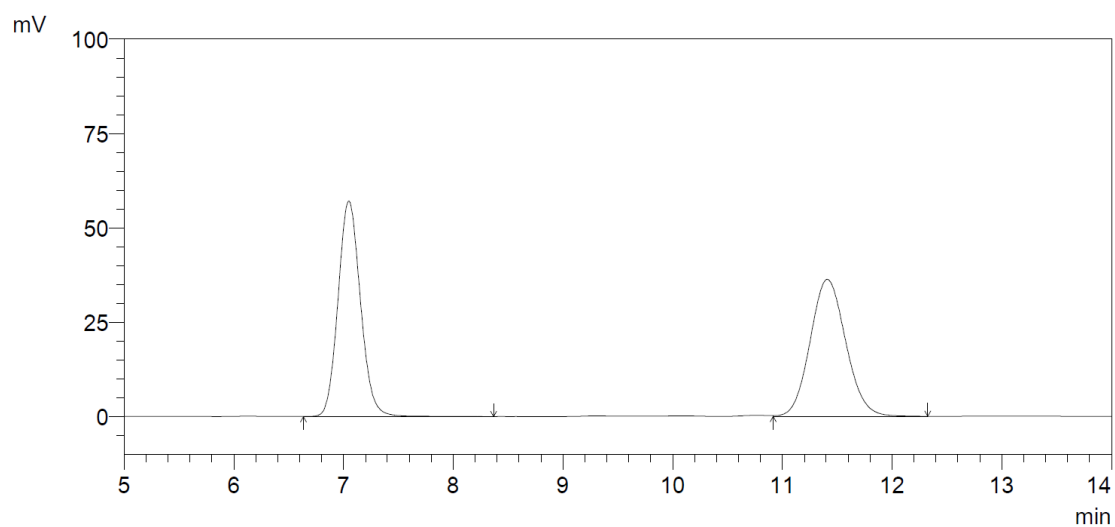


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	10.156	259798	13325	7.883
2	13.929	3036027	109970	92.117

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}$ -3d' = 10.2 min (minor), $t_{(1S,2S,4R)}$ -3d' = 14.1 min (major).

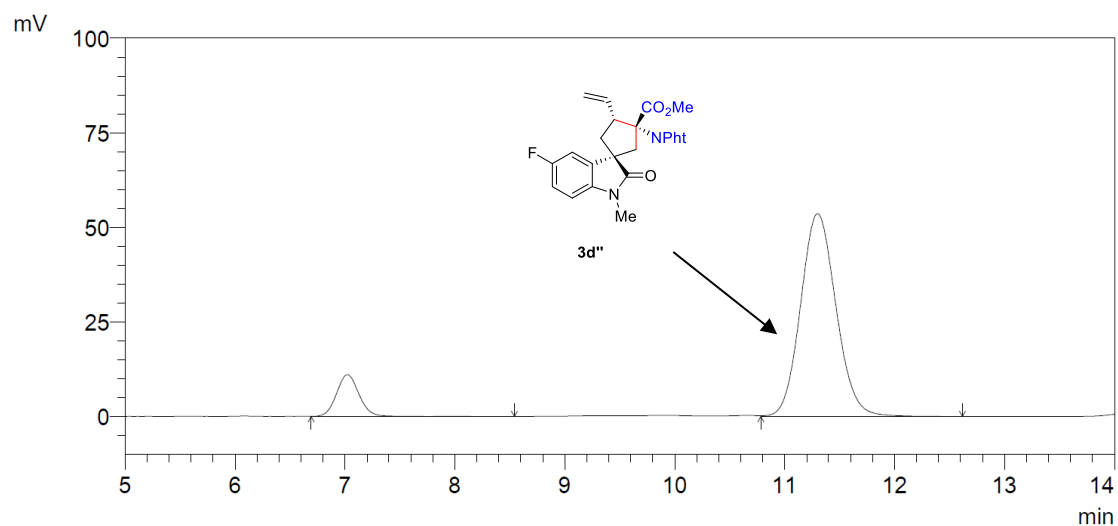
HPLC spectra of *rac*-3d''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	7.048	812628	57114	49.779
2	11.410	819829	36328	50.221

HPLC spectra of chiral 3d''

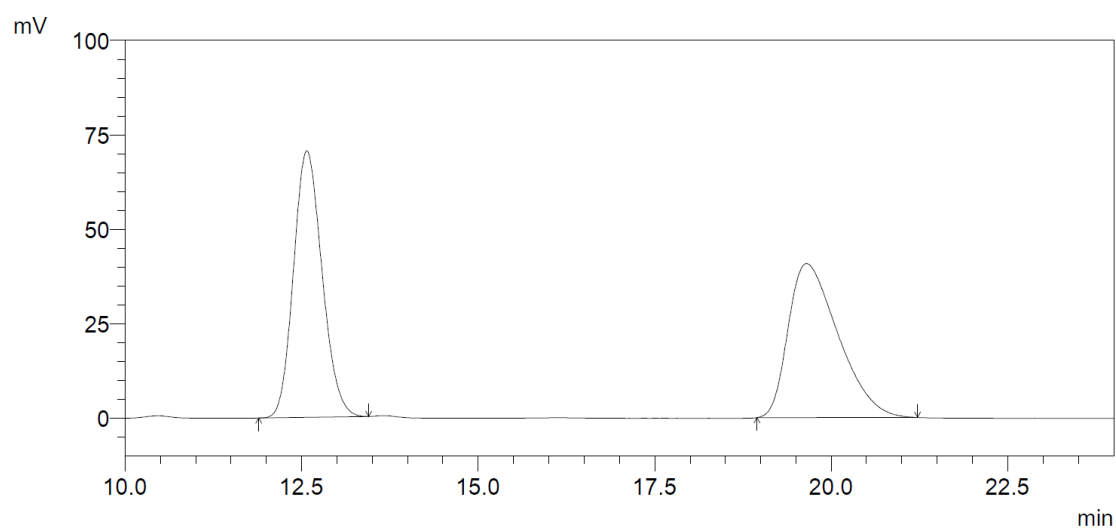


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	7.021	156692	11031	11.538
2	11.299	1201413	53658	88.462

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}\text{-3d''} = 7.0$ min (minor), $t_{(1R,2S,4R)}\text{-3d''} = 11.4$ min (major).

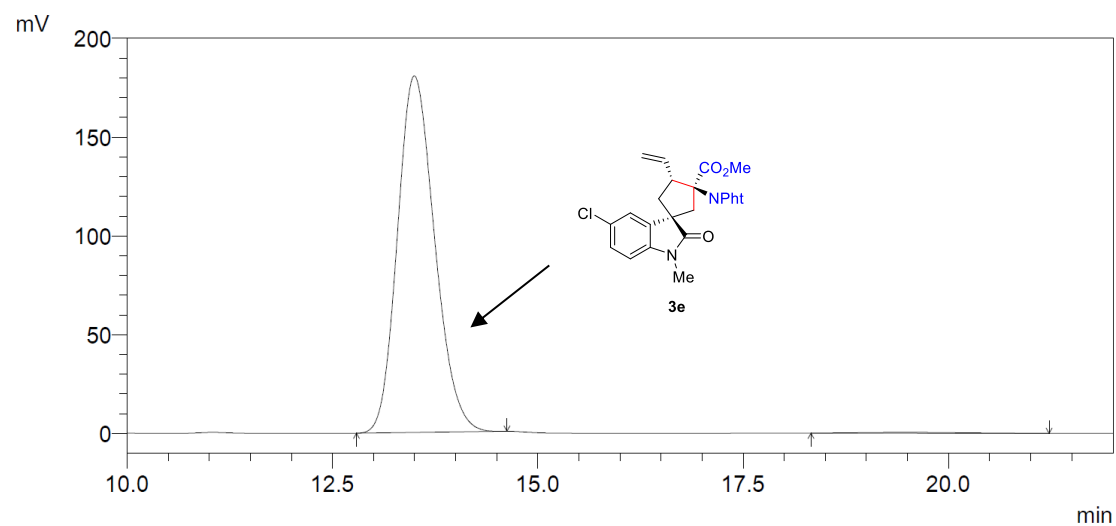
HPLC spectra of *rac*-3e



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	12.574	1986616	70594	49.804
2	19.651	2002217	40785	50.196

HPLC spectra of chiral 3e

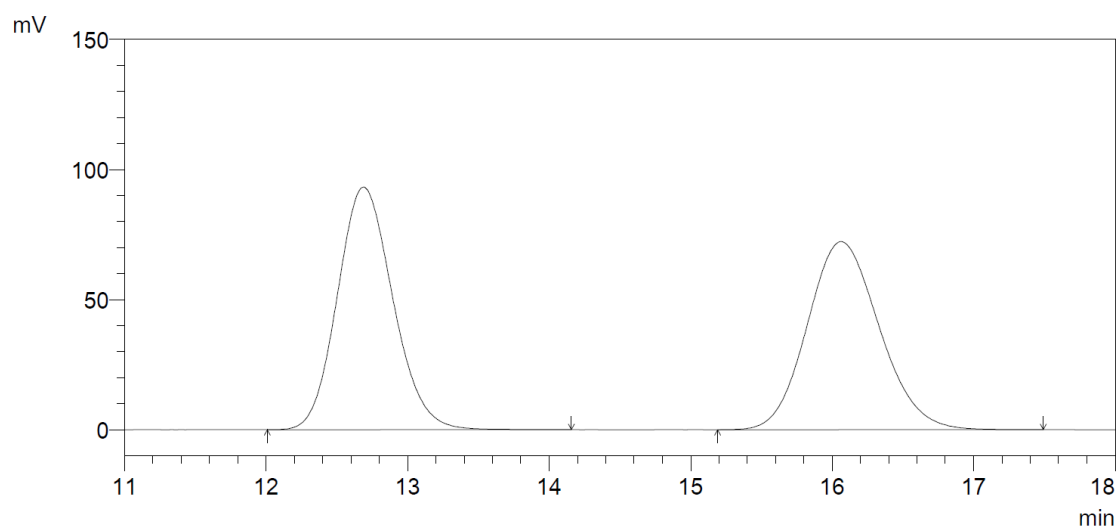


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	13.497	5688005	180607	99.316
2	19.500	39175	469	0.684

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)}\text{-3e} = 12.6$ min (major), $t_{(1R,2S,4S)}\text{-3e} = 19.7$ min (minor).

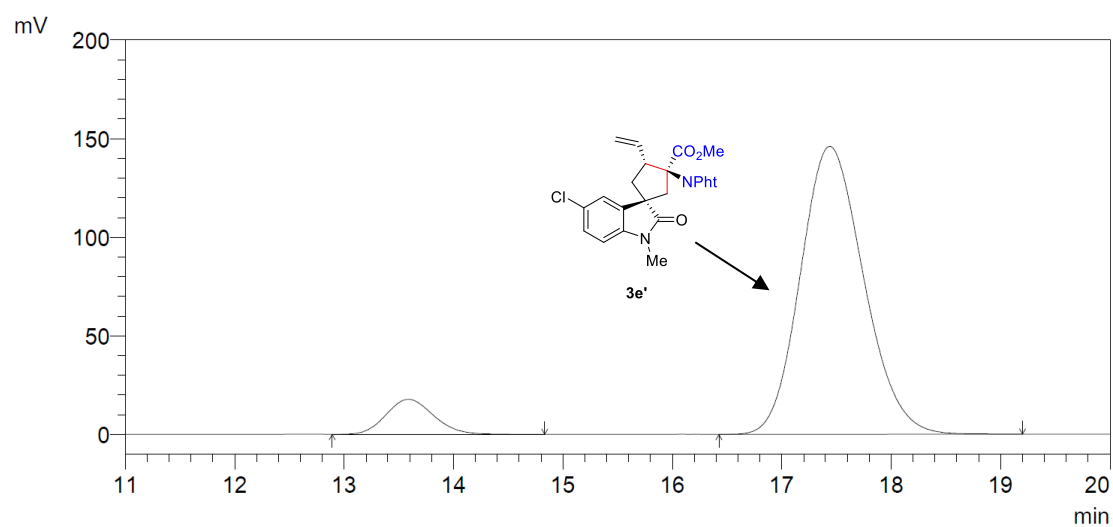
HPLC spectra of *rac*-3e'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	12.688	2543352	93284	49.834
2	16.063	2560288	72285	50.166

HPLC spectra of chiral 3e'

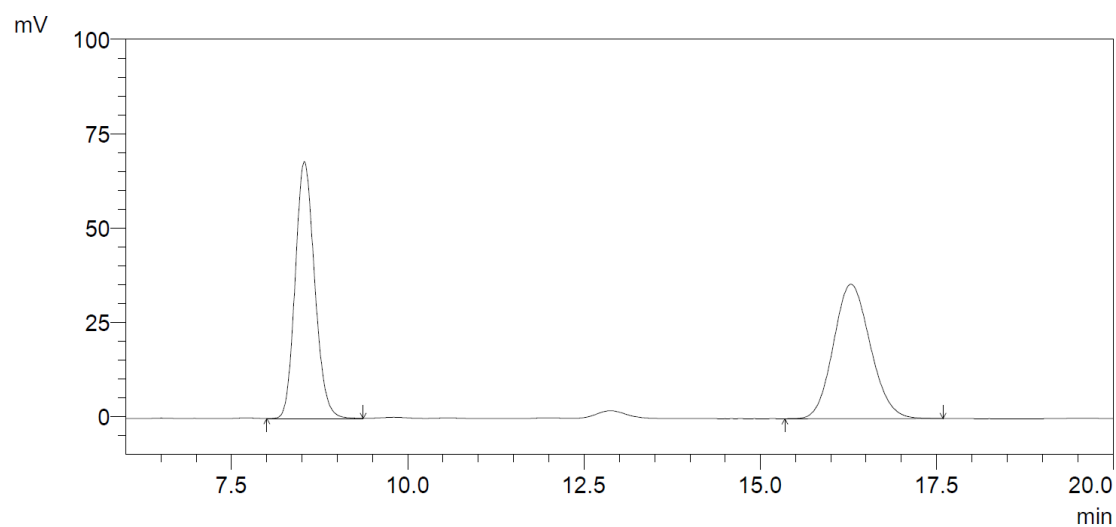


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	13.587	538838	17795	8.410
2	17.438	5868169	146043	91.590

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}\text{-}3e' = 10.6$ min (minor), $t_{(1S,2S,4R)}\text{-}3e' = 14.2$ min (major).

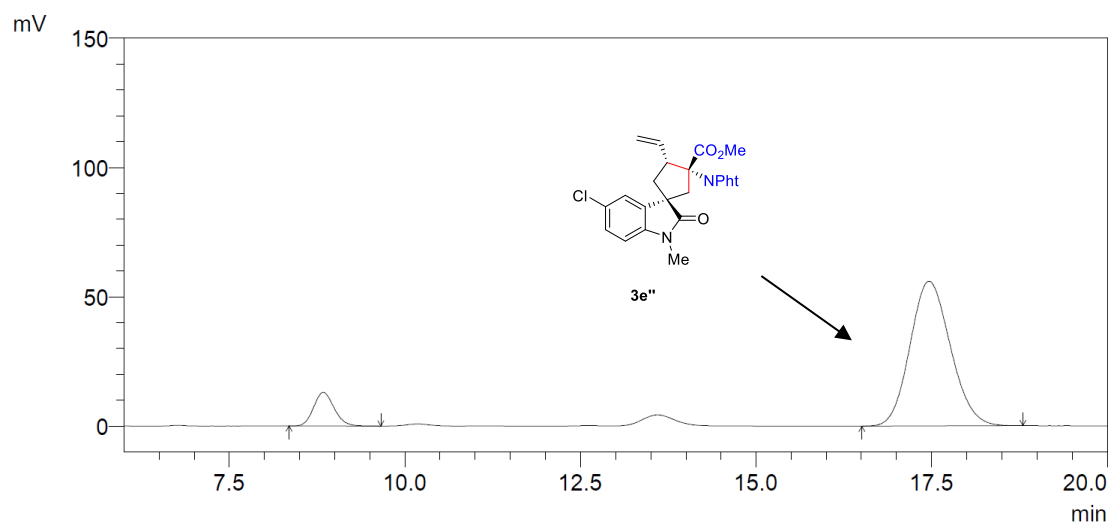
HPLC spectra of *rac-3e''*



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	8.534	1307606	68150	50.211
2	16.285	1296624	35662	49.789

HPLC spectra of chiral *3e''*

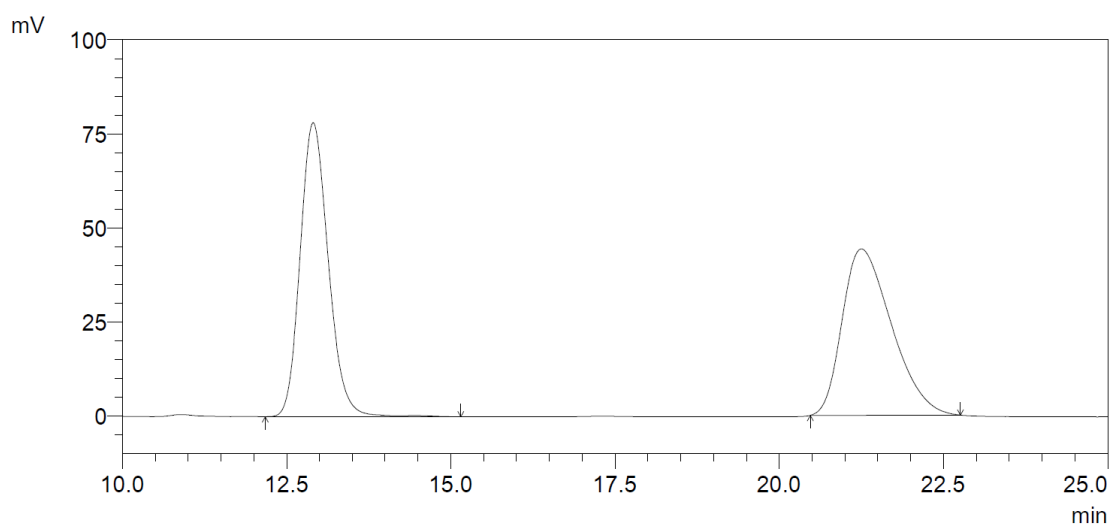


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	8.838	265223	13014	10.567
2	17.463	2244627	56018	89.433

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3e''} = 8.5$ min (minor), $t_{(1R,2S,4R)-3e''} = 16.3$ min (major).

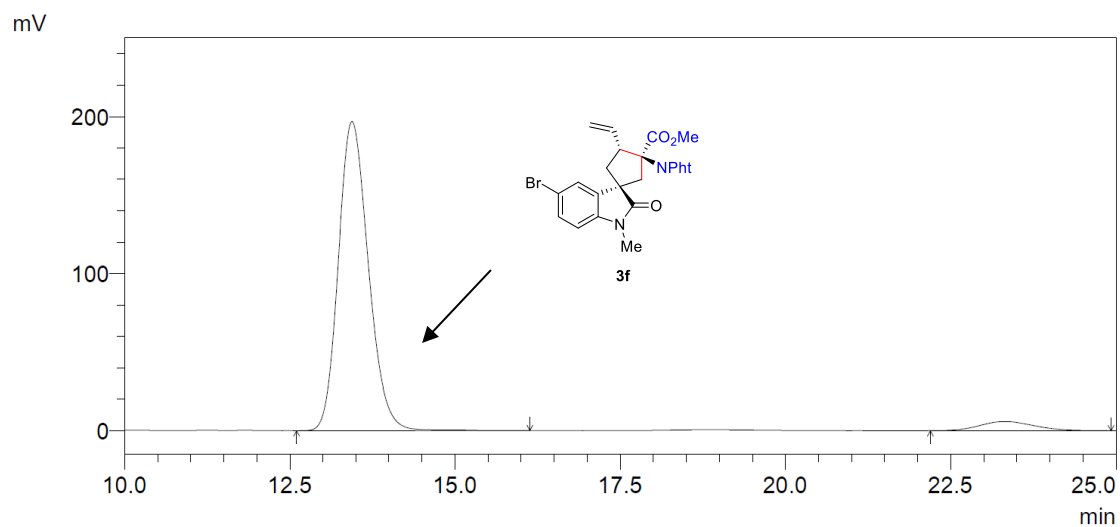
HPLC spectra of *rac*-3f



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	12.904	2329137	78171	50.237
2	21.252	2307155	44216	49.763

HPLC spectra of chiral 3f

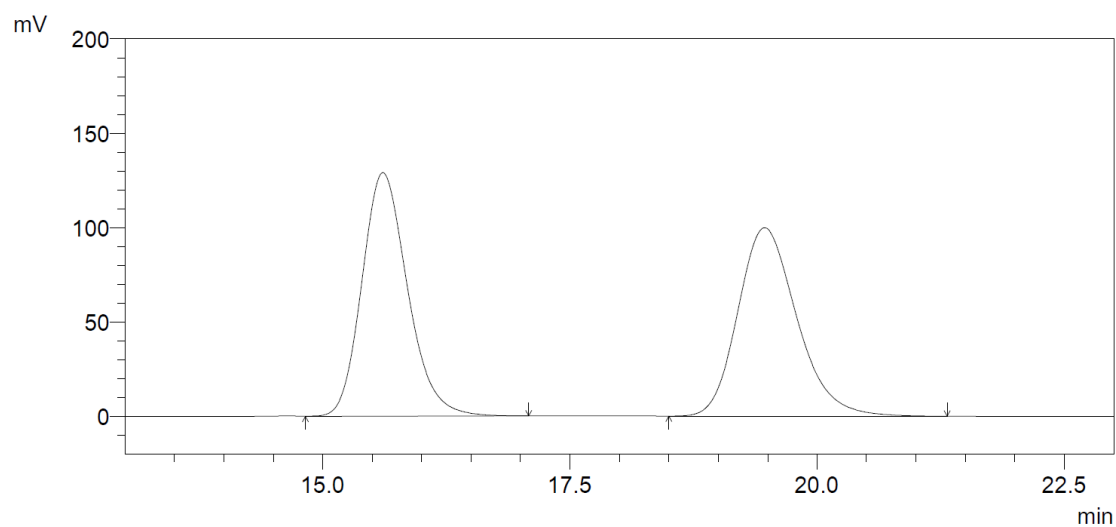


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	13.441	6225155	196880	94.888
2	23.316	335398	5841	5.112

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)}\text{-3f} = 12.9$ min (major), $t_{(1R,2S,4S)}\text{-3f} = 21.3$ min (minor).

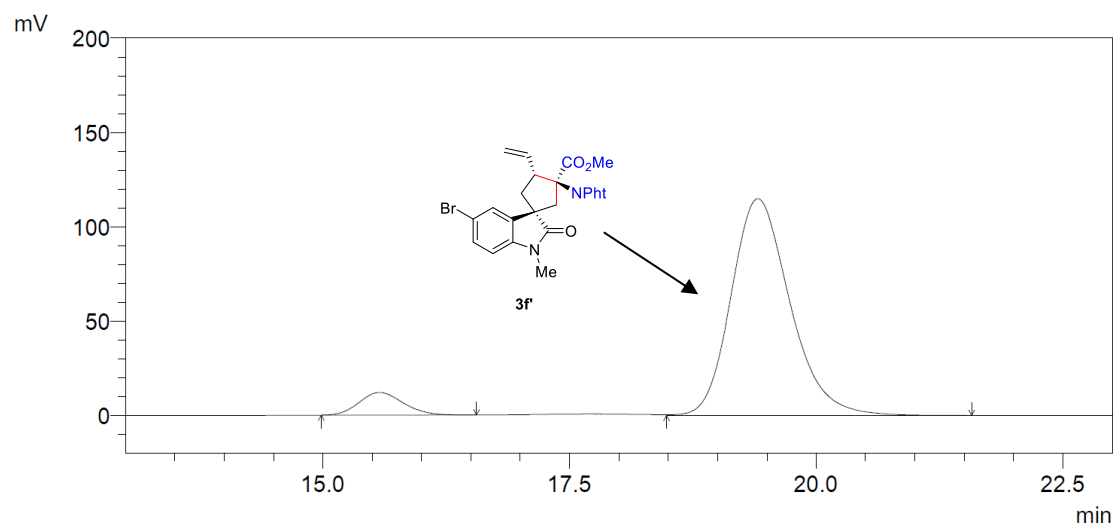
HPLC spectra of *rac*-3f



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.608	4146267	129164	50.069
2	19.469	4134834	99854	49.931

HPLC spectra of chiral 3f

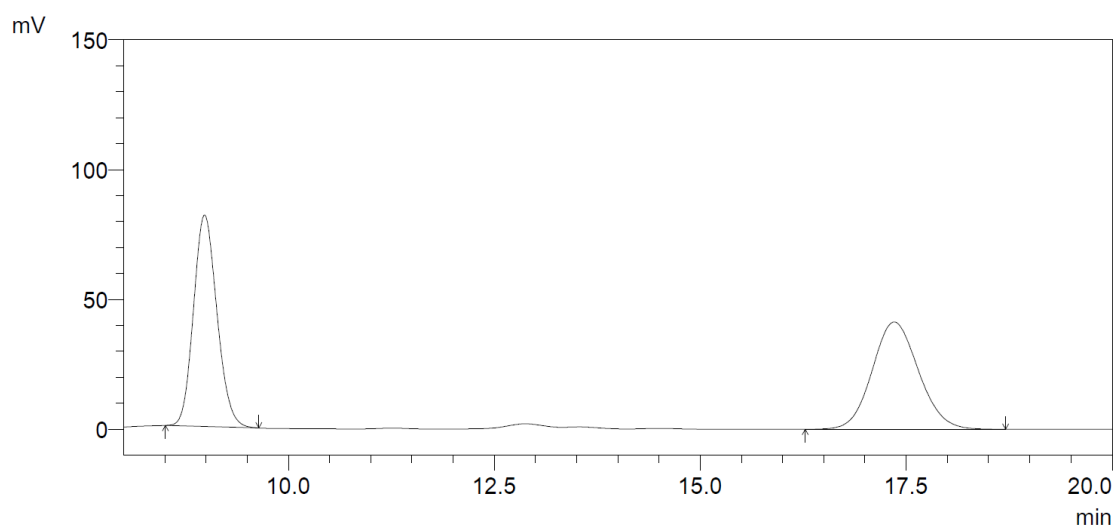


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.575	372339	12011	7.252
2	19.407	4762024	114921	92.748

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}$ -3f = 15.6 min (minor), $t_{(1S,2S,4R)}$ -3f = 19.5 min (major).

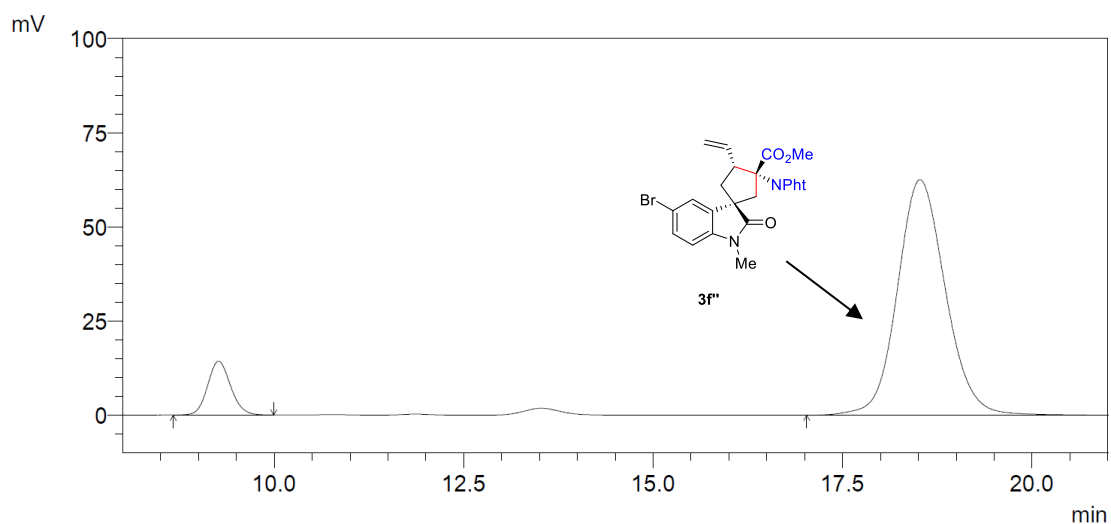
HPLC spectra of *rac*-3f'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	8.982	1614396	81533	49.907
2	17.354	1620414	41356	50.093

HPLC spectra of chiral 3f'

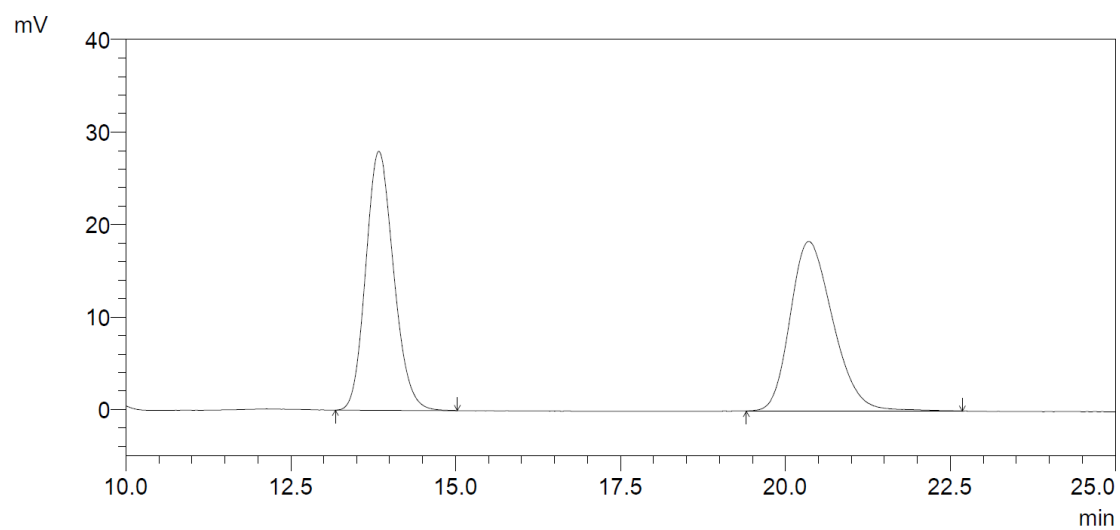


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	9.264	304123	14316	9.926
2	18.520	2759704	62563	90.074

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}$ -3f' = 9.0 min (minor), $t_{(1R,2S,4R)}$ -3f' = 17.4 min (major).

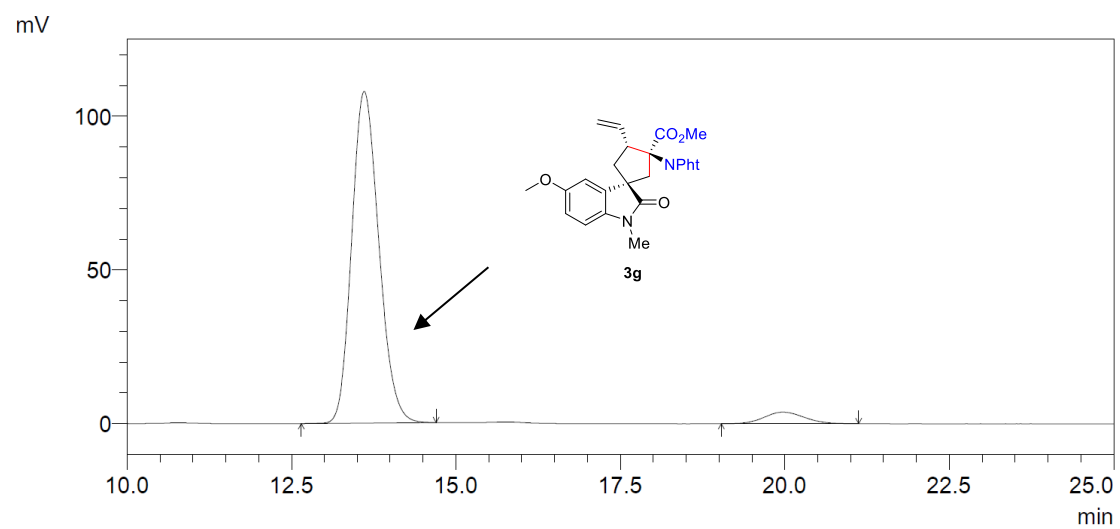
HPLC spectra of *rac*-3g



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	13.833	826473	28015	49.877
2	20.352	830549	18354	50.123

HPLC spectra of chiral 3g

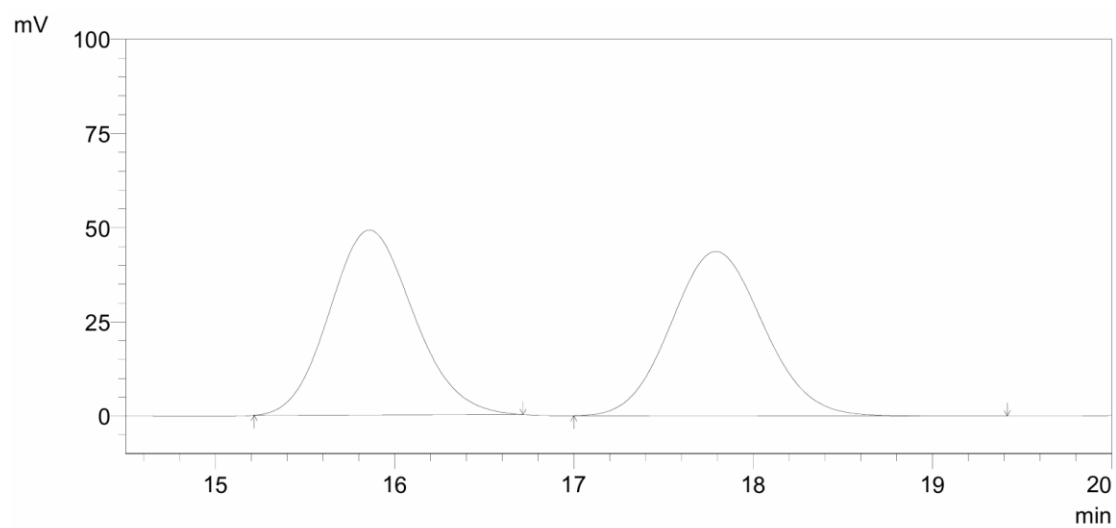


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	13.605	3086714	107944	95.023
2	19.970	161671	3779	4.977

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)\text{-}3g} = 13.8$ min (major), $t_{(1R,2S,4S)\text{-}3g} = 20.4$ min (minor).

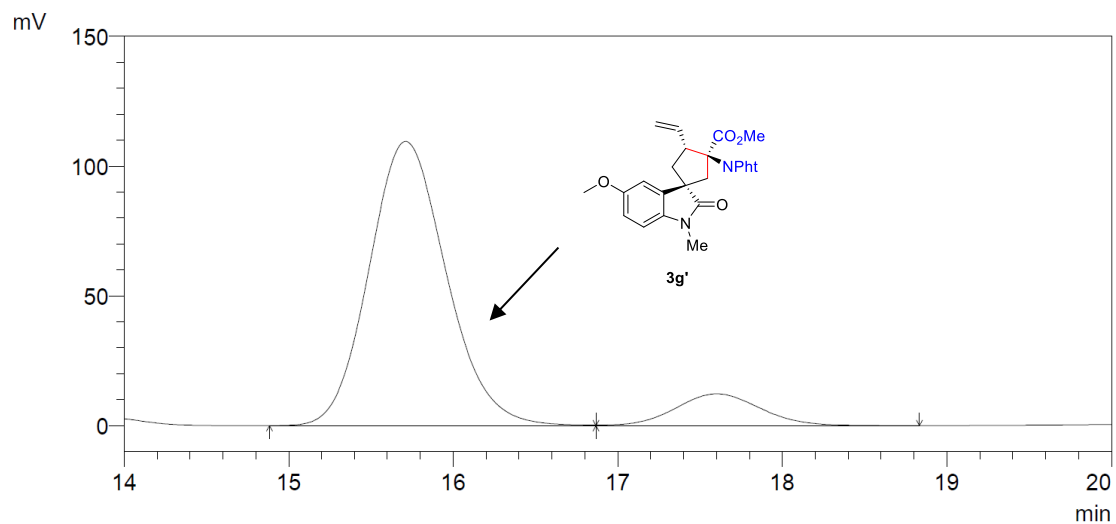
HPLC spectra of *rac*-3g'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.860	1606284	49098	50.545
2	17.791	1571643	43641	49.455

HPLC spectra of chiral 3g'

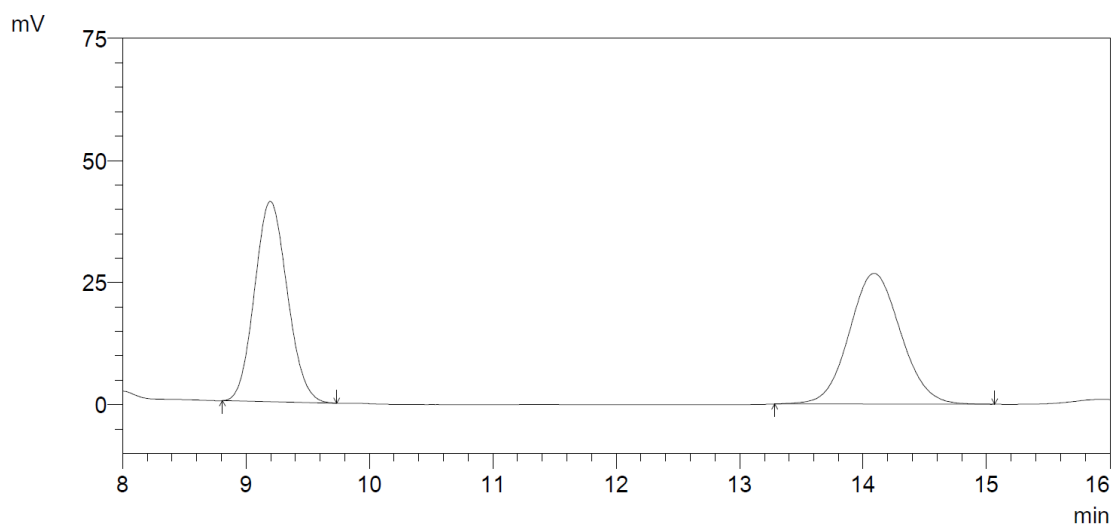


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.710	3574622	109594	89.108
2	17.602	436928	12236	10.892

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}$ -3g' = 15.9 min (major), $t_{(1S,2S,4R)}$ -3g' = 17.8 min (minor).

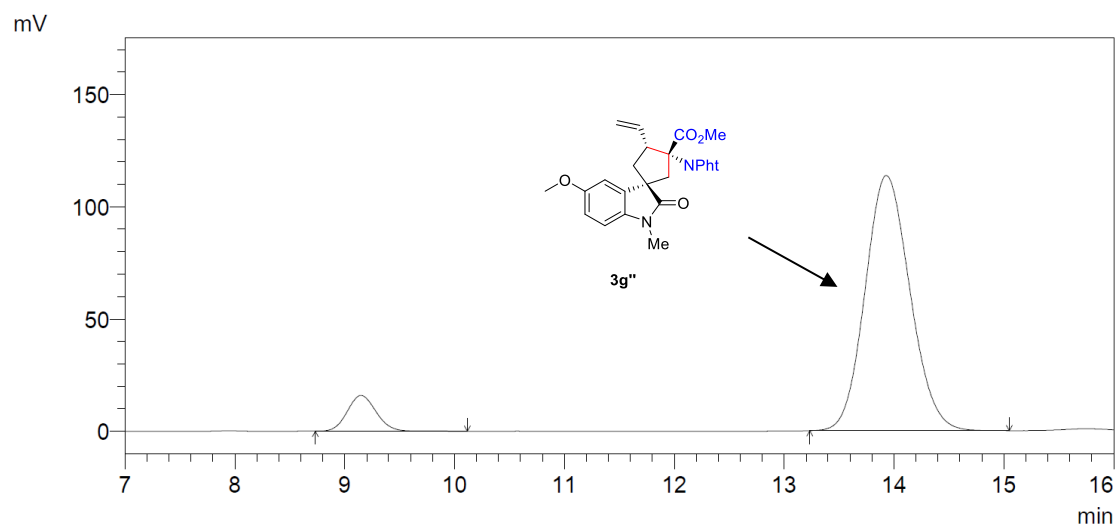
HPLC spectra of *rac*-3g''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	9.196	757193	41045	49.280
2	14.089	779303	26806	50.720

HPLC spectra of chiral 3g''

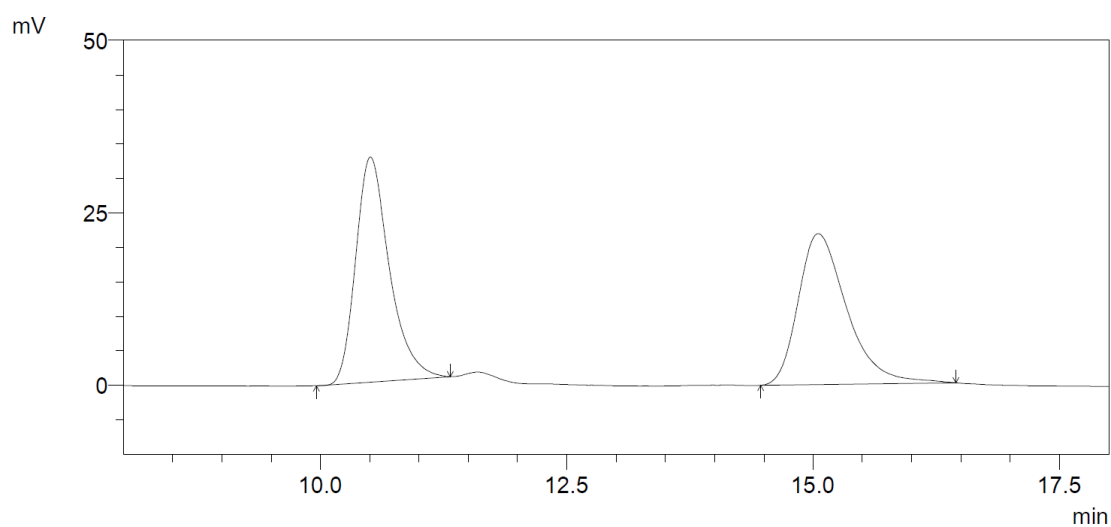


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	9.148	292073	15951	8.305
2	13.930	3224742	113592	91.695

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}$ -3g'' = 9.2 min (minor), $t_{(1R,2S,4R)}$ -3g'' = 14.1 min (major).

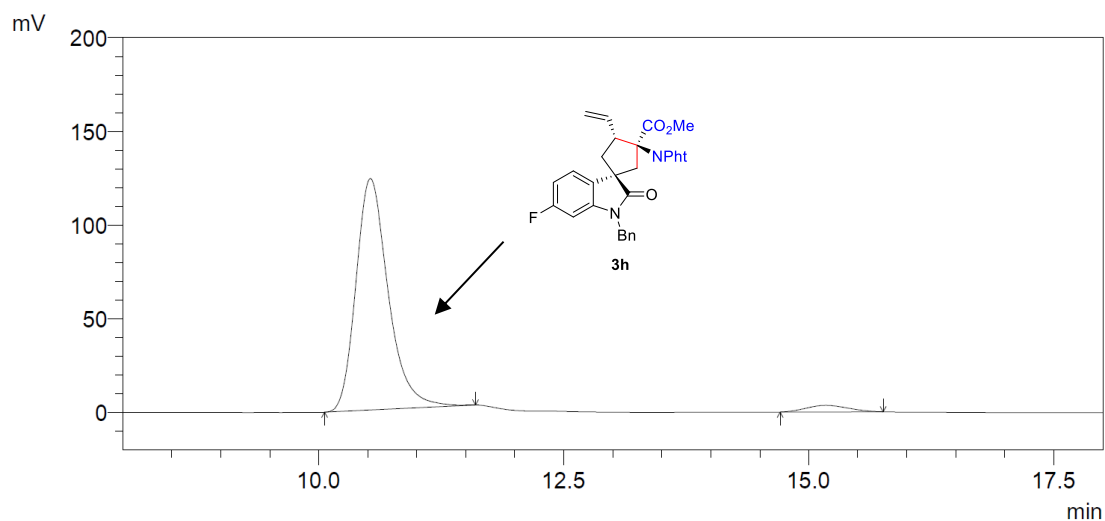
HPLC spectra of *rac*-3h



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	10.506	774390	32635	50.792
2	15.053	750239	21883	49.208

HPLC spectra of chiral 3h

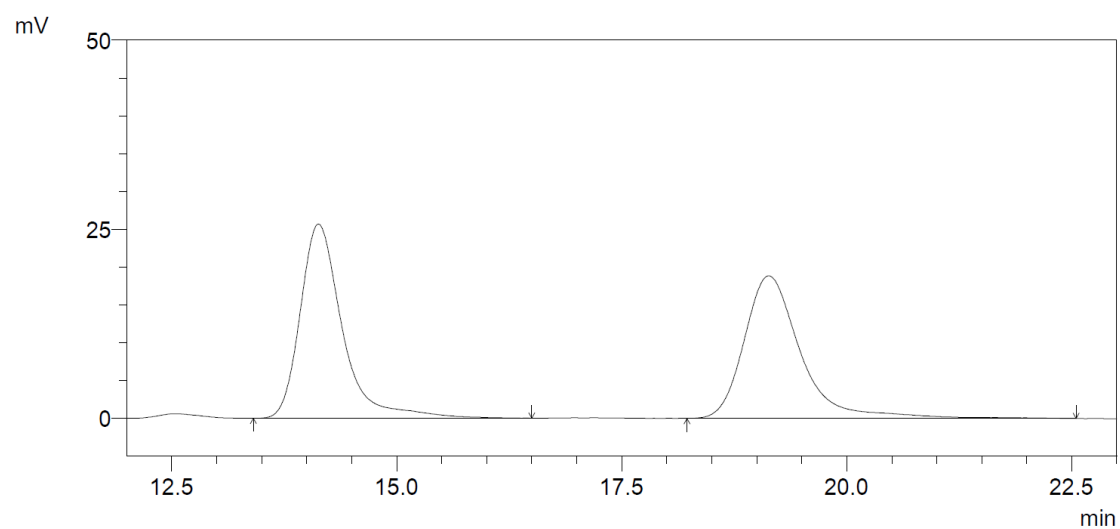


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	10.525	2837374	123630	96.485
2	15.174	103359	3471	3.515

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)\text{-}3h} = 10.5$ min (major), $t_{(1R,2S,4S)\text{-}3h} = 15.1$ min (minor).

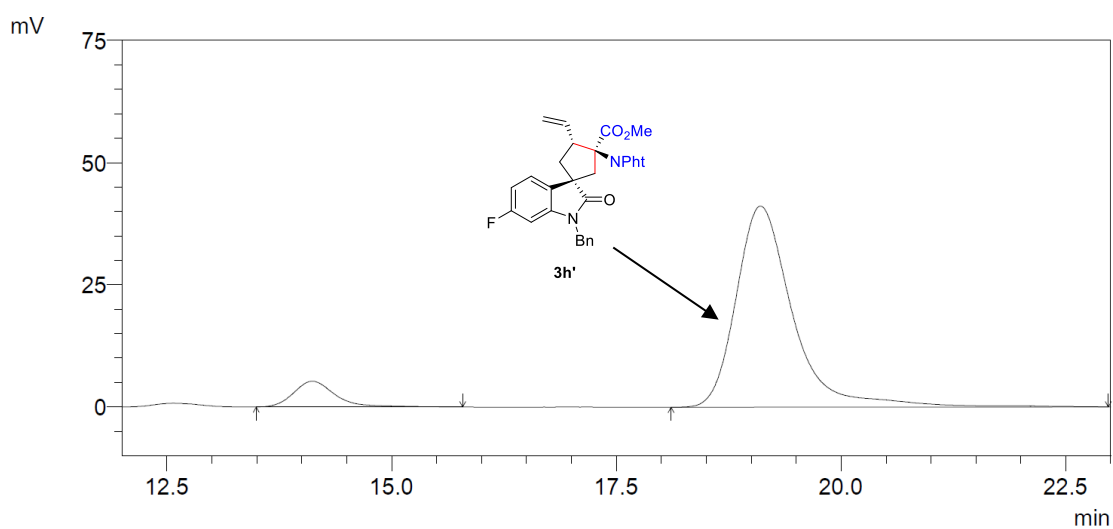
HPLC spectra of *rac*-3h'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	14.130	838701	25706	50.385
2	19.133	825896	18886	49.615

HPLC spectra of chiral 3h'

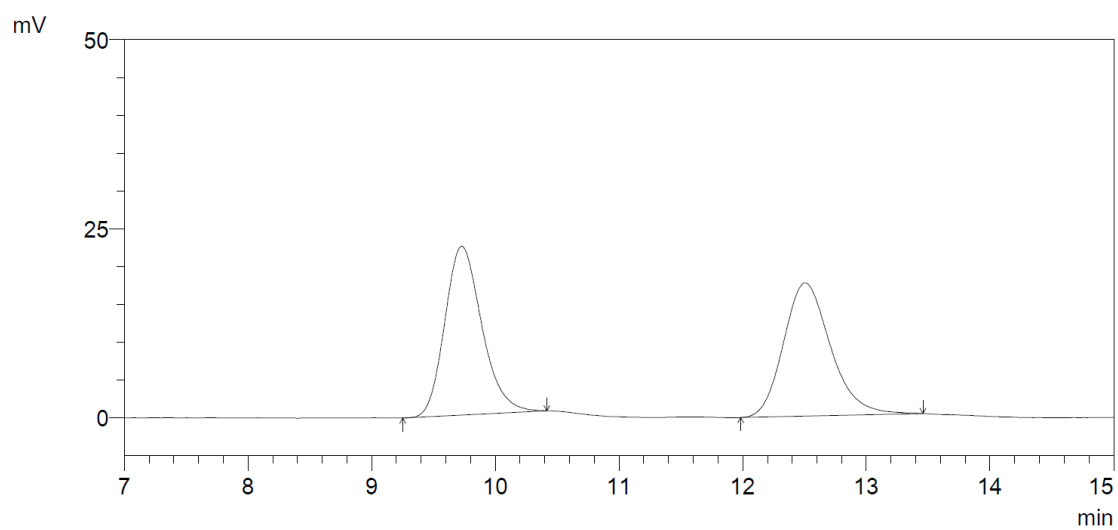


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	14.118	173009	5306	8.711
2	19.104	1813176	41186	91.289

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}\text{-3h}' = 14.1$ min (minor), $t_{(1S,2S,4R)}\text{-3h}' = 19.1$ min (major).

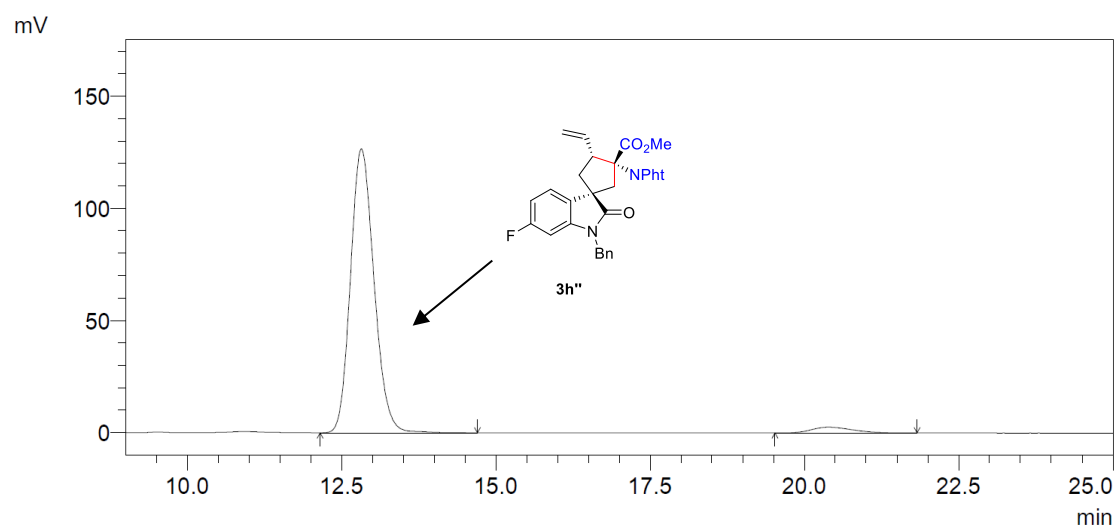
HPLC spectra of *rac*-3h''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	9.728	464821	22331	49.942
2	12.505	465894	17630	50.058

HPLC spectra of chiral 3h''

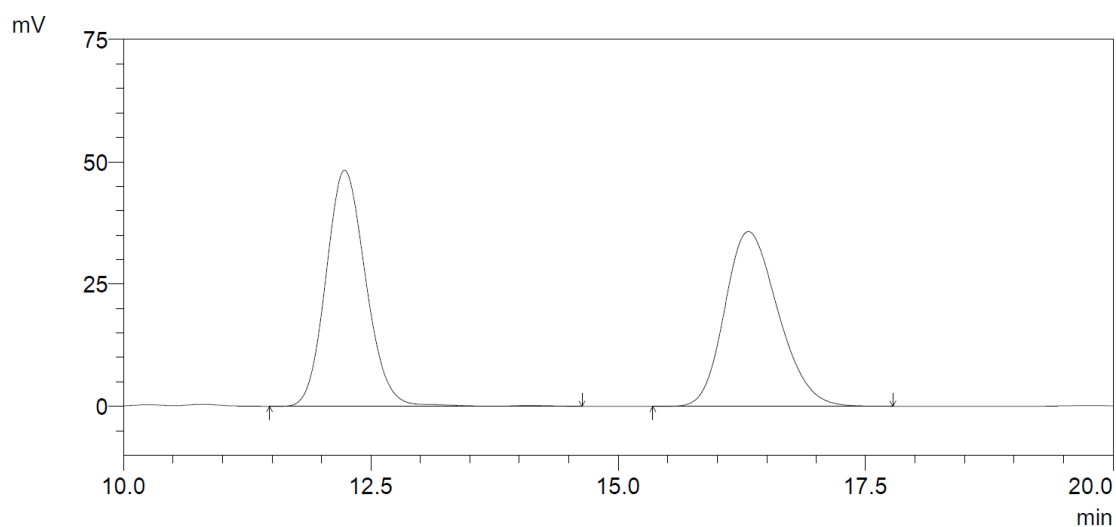


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	12.820	3359859	126509	96.535
2	20.396	120580	2604	3.465

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}$ -3h'' = 9.7 min (minor), $t_{(1R,2S,4R)}$ -3h'' = 12.5 min (major).

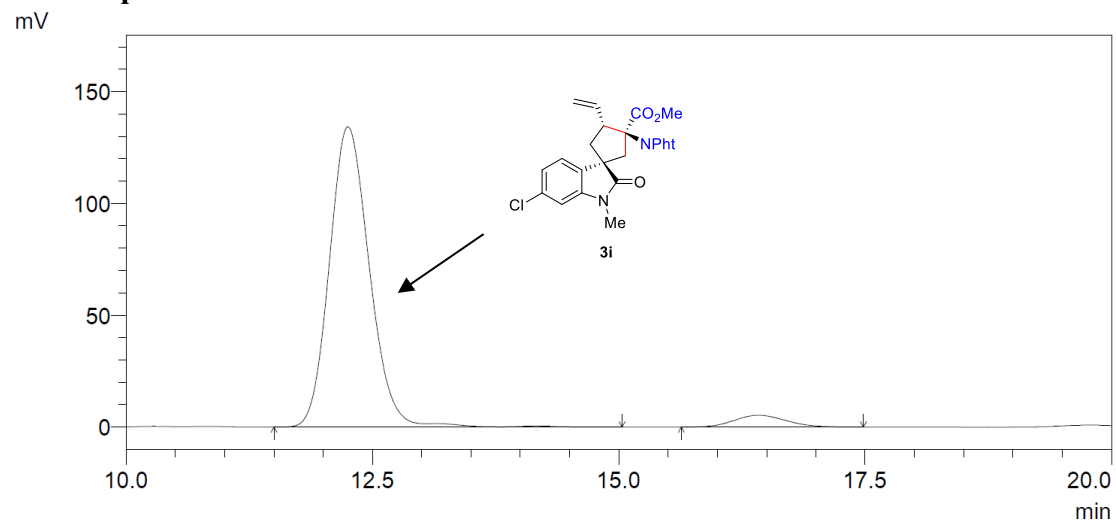
HPLC spectra of *rac*-3i



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	12.234	1356439	48298	50.250
2	16.315	1342943	35765	49.750

HPLC spectra of chiral 3i

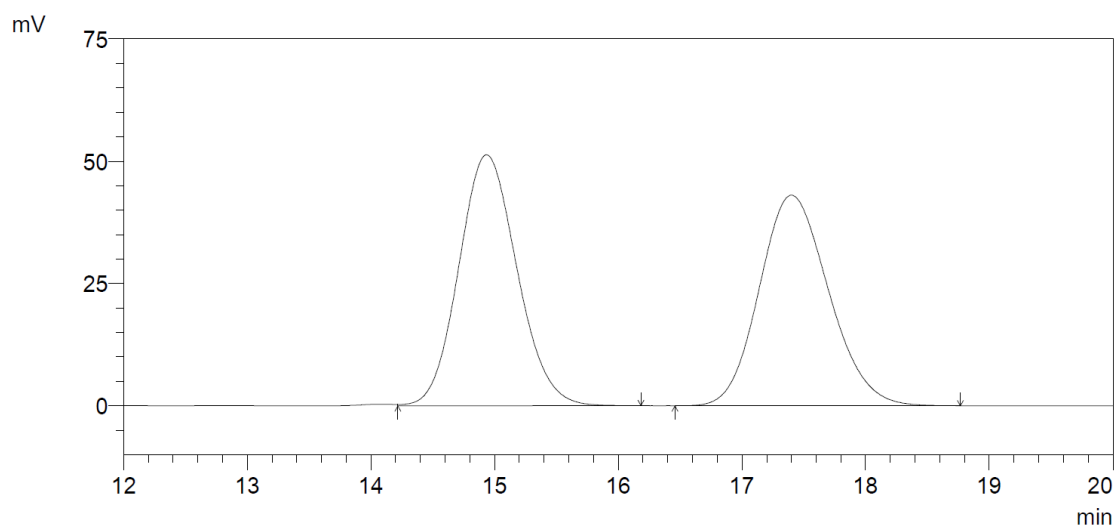


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	12.251	3794431	134294	95.096
2	16.420	195675	5310	4.904

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)}$ -3i = 12.2 min (major), $t_{(1R,2S,4S)}$ -3i = 16.3 min (minor).

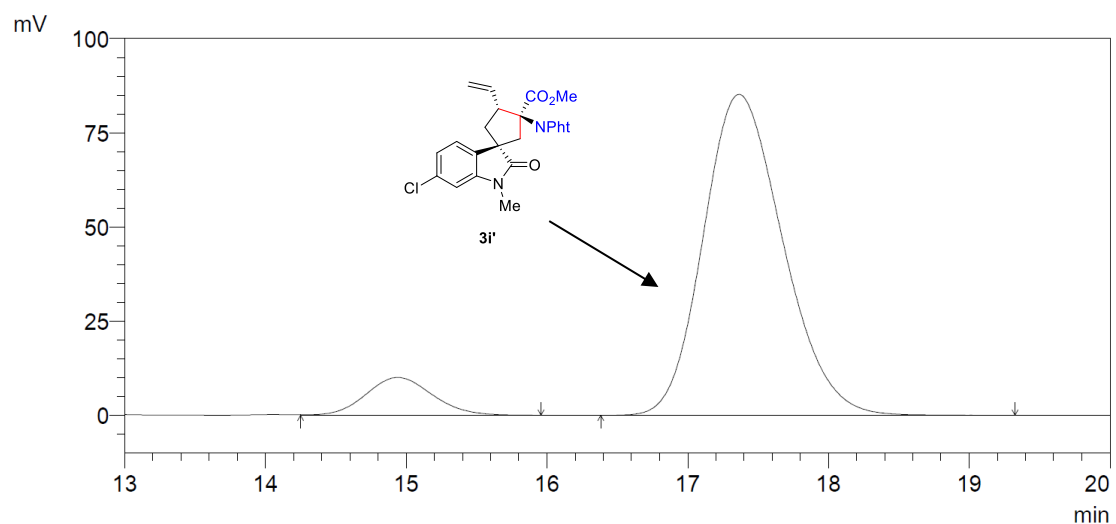
HPLC spectra of *rac*-3i'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	14.934	1681280	51331	50.024
2	17.400	1679686	43067	49.976

HPLC spectra of chiral 3i'

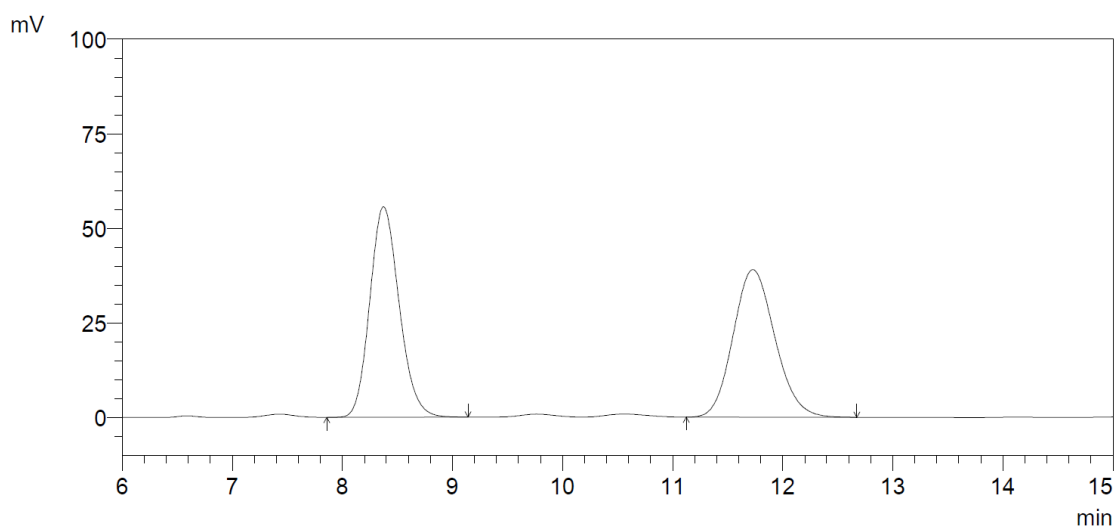


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	14.940	328613	10028	8.937
2	17.365	3348542	85205	91.063

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}\text{-3i}' = 14.9$ min (minor), $t_{(1S,2S,4R)}\text{-3i}' = 17.4$ min (major).

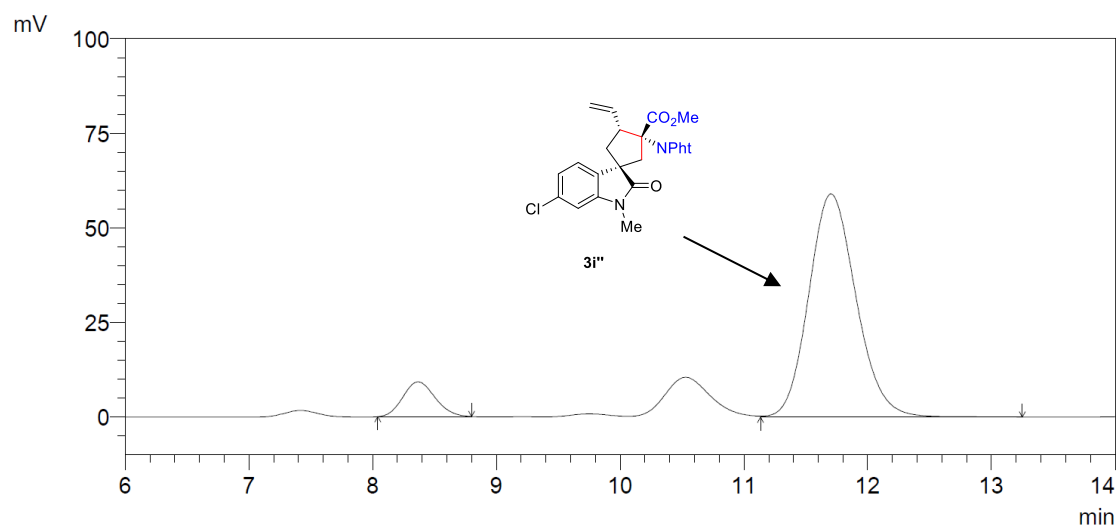
HPLC spectra of *rac*-3i''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	8.373	1034851	55661	50.083
2	11.729	1031406	39029	49.917

HPLC spectra of chiral 3i''

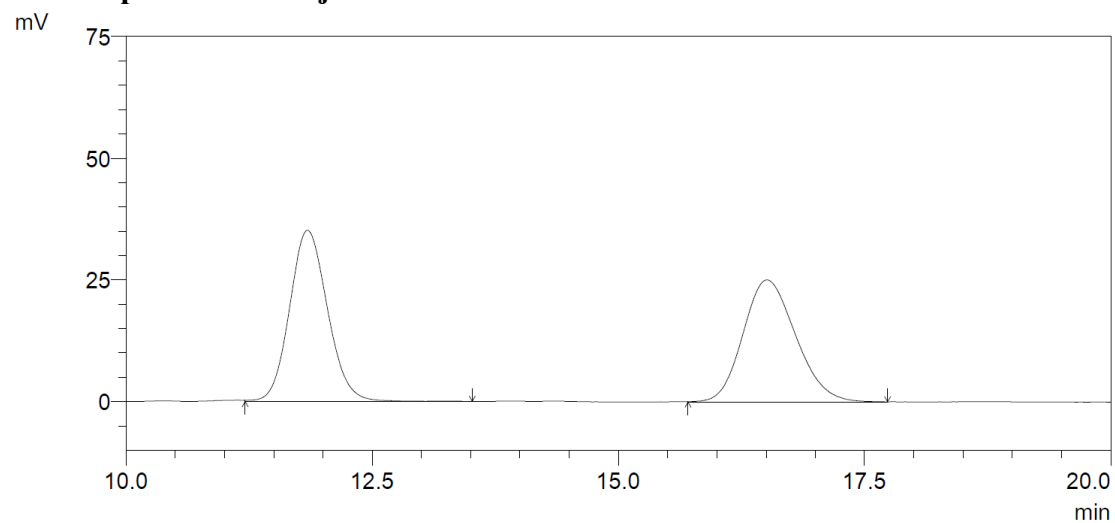


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	8.366	166136	9210	9.579
2	11.704	1568240	59011	90.421

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}$ -3i'' = 8.4 min (minor), $t_{(1R,2S,4R)}$ -3i'' = 11.7 min (major).

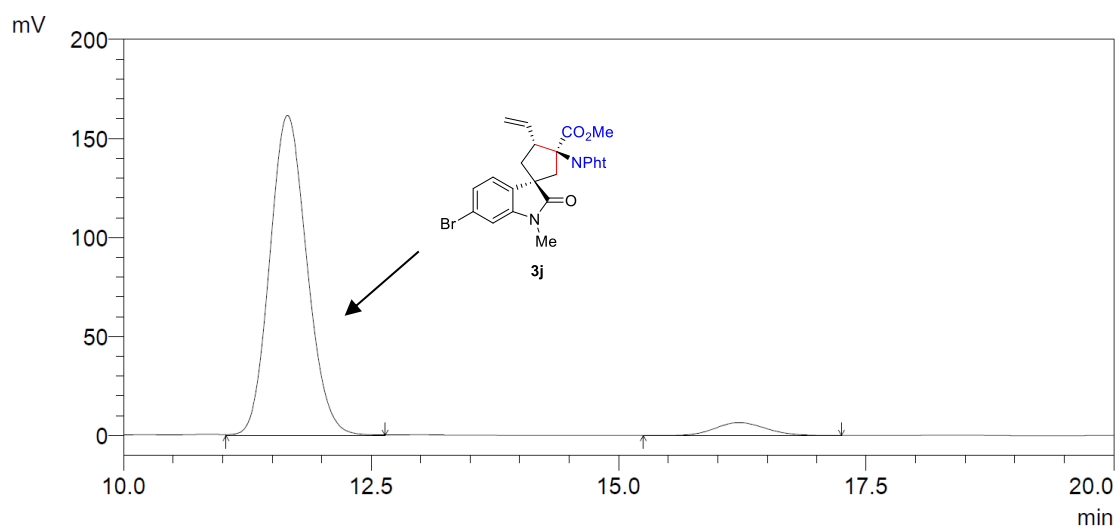
HPLC spectra of *rac*-3j



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	11.843	942874	35171	50.332
2	16.509	930436	25075	49.668

HPLC spectra of chiral 3j

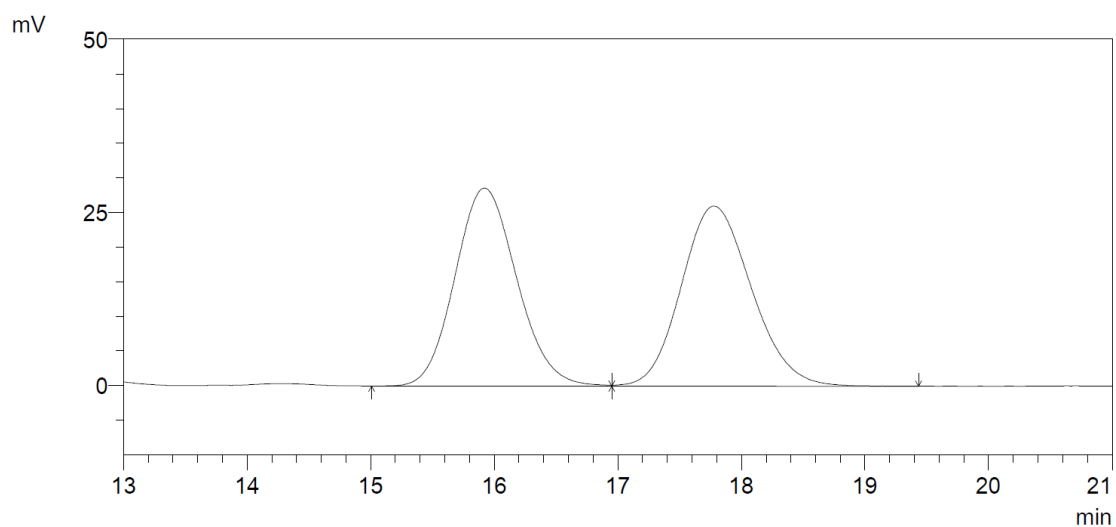


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	11.653	4224331	161716	94.715
2	16.217	235693	6533	5.285

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)}$ -3j = 11.8 min (major), $t_{(1R,2S,4S)}$ -3j = 16.5 min (minor).

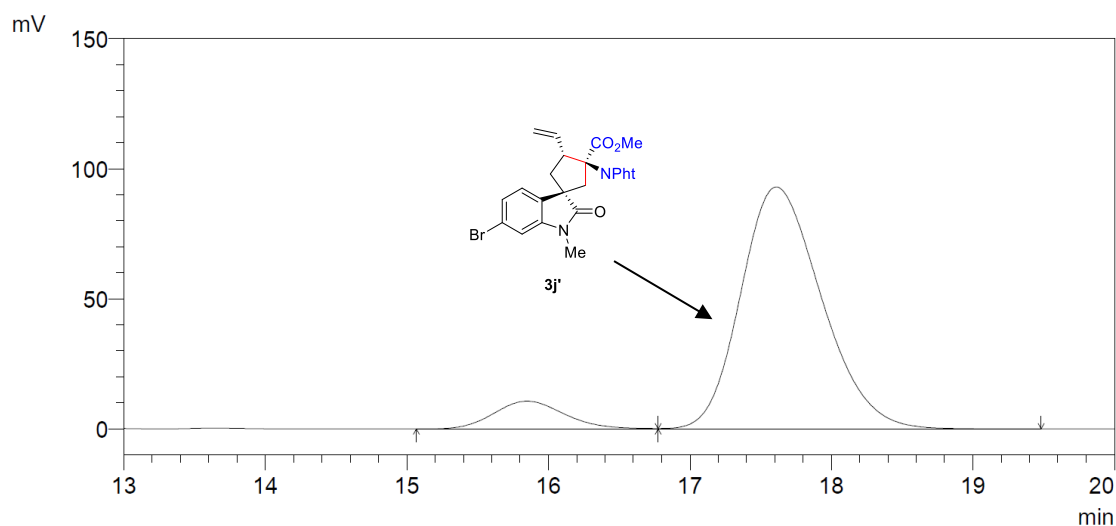
HPLC spectra of *rac*-3j'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.920	994574	28595	49.175
2	17.778	1027958	26005	50.825

HPLC spectra of chiral 3j'

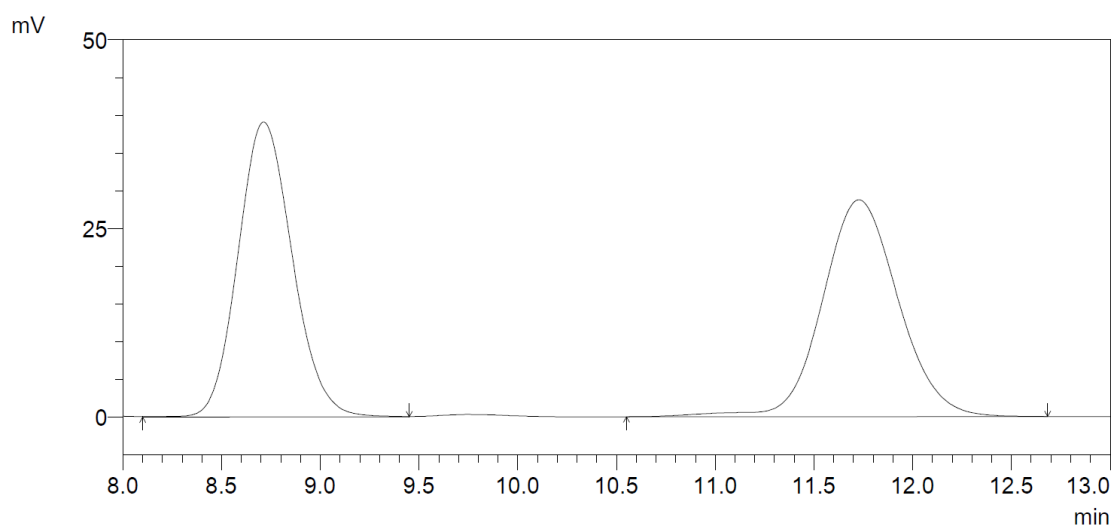


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.849	374903	10694	9.204
2	17.611	3698470	93105	90.796

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}$ -3j' = 15.9 min (minor), $t_{(1S,2S,4R)}$ -3j' = 17.8 min (major).

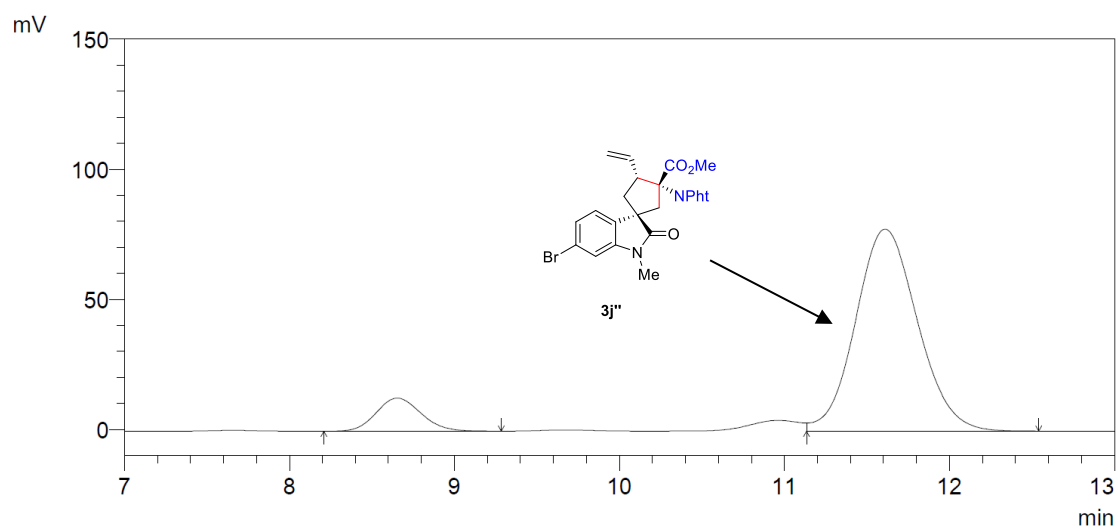
HPLC spectra of *rac*-3j''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	8.713	749872	39107	49.361
2	11.728	769299	28743	50.639

HPLC spectra of chiral 3j''

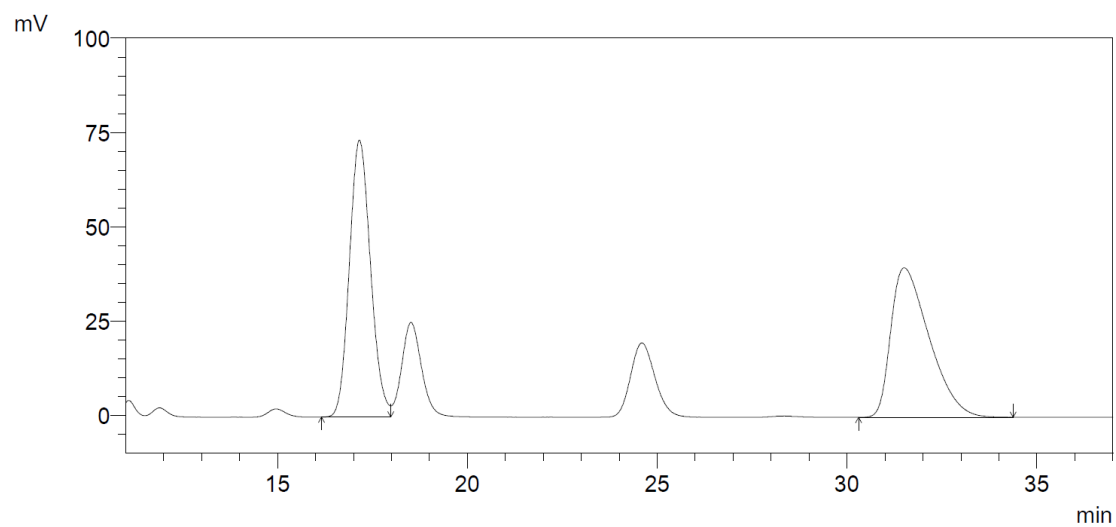


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	8.653	239939	12718	10.585
2	11.609	2026950	77705	89.415

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}$ -3j'' = 8.7 min (minor), $t_{(1R,2S,4R)}$ -3j'' = 11.7 min (major).

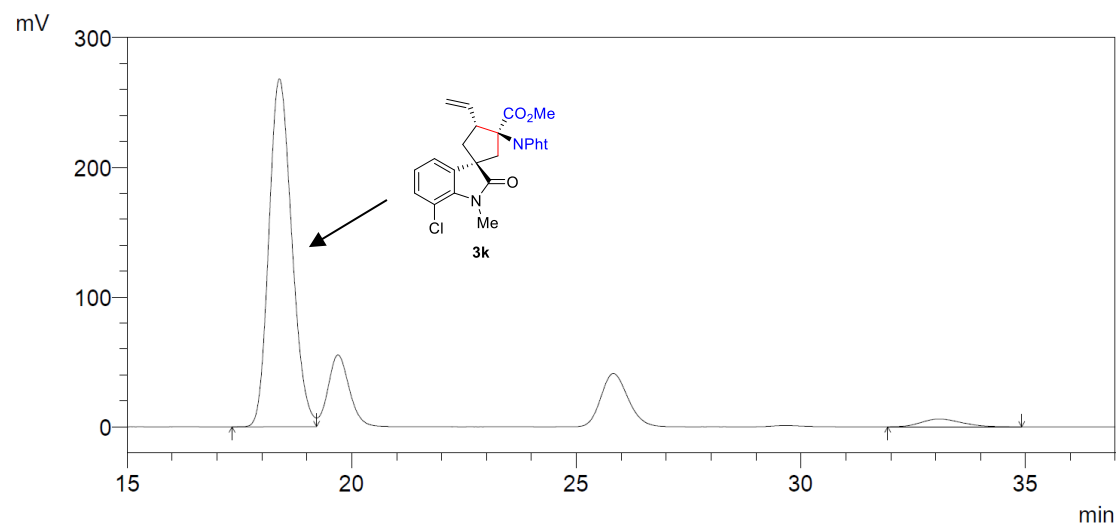
HPLC spectra of *rac*-3k



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	17.156	2848616	73438	50.543
2	31.504	2787390	39667	49.457

HPLC spectra of chiral 3k

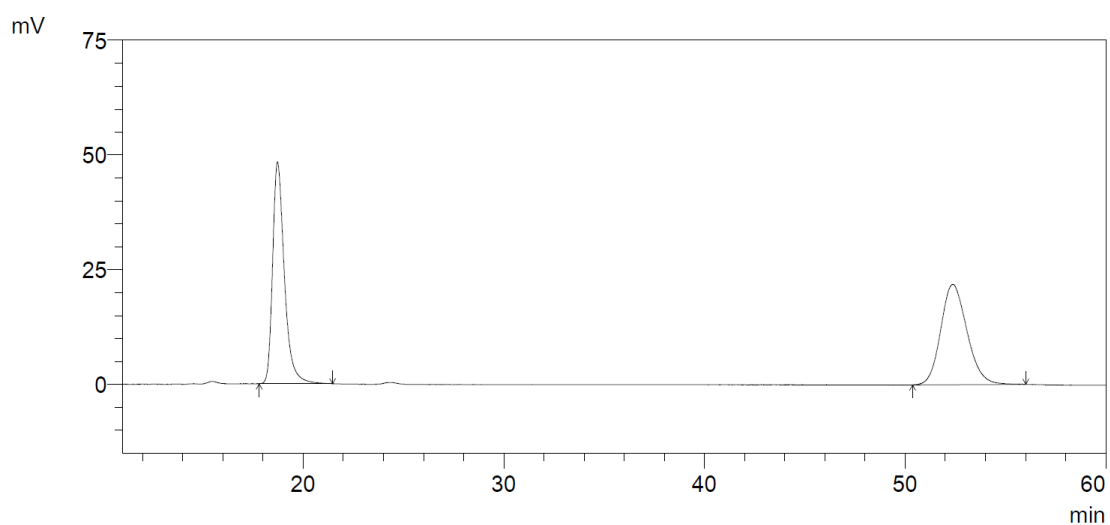


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	18.388	9504426	268405	96.188
2	33.080	376642	5993	3.812

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)}$ -3k = 17.2 min (major), $t_{(1R,2S,4S)}$ -3k = 31.5 min (minor).

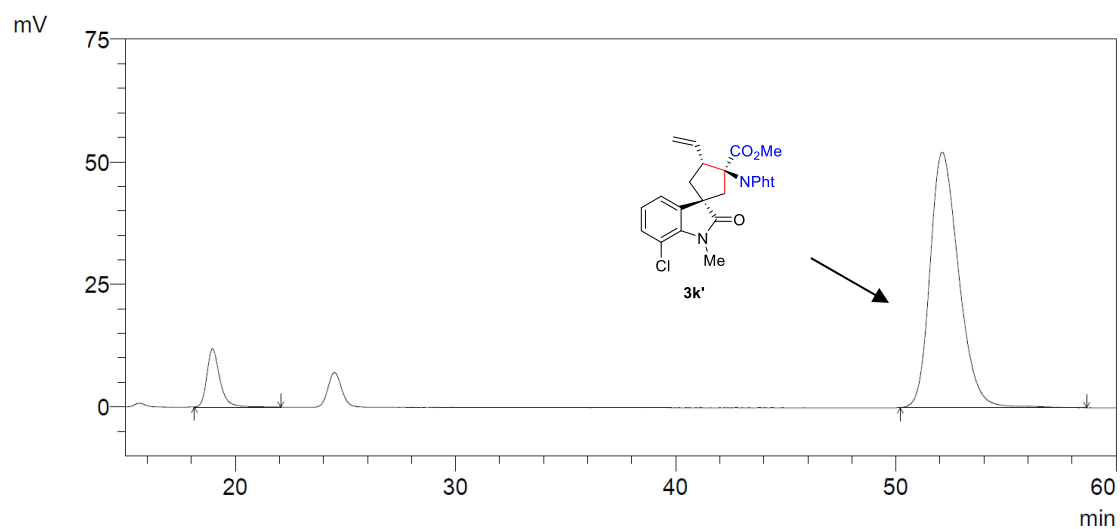
HPLC spectra of *rac*-3k'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	18.726	1971941	48376	49.765
2	52.387	1990525	21891	50.235

HPLC spectra of chiral 3k'

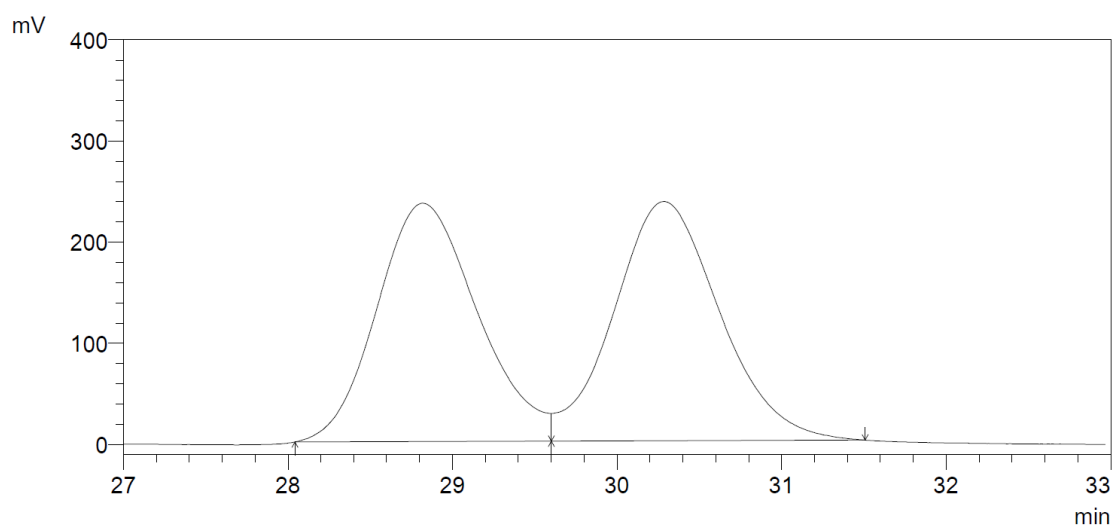


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	18.959	493384	11933	9.462
2	52.113	4720909	52166	90.538

Chiral HPLC analysis: Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}$ -3k' = 18.7 min (minor), $t_{(1S,2S,4R)}$ -3k' = 52.4 min (major).

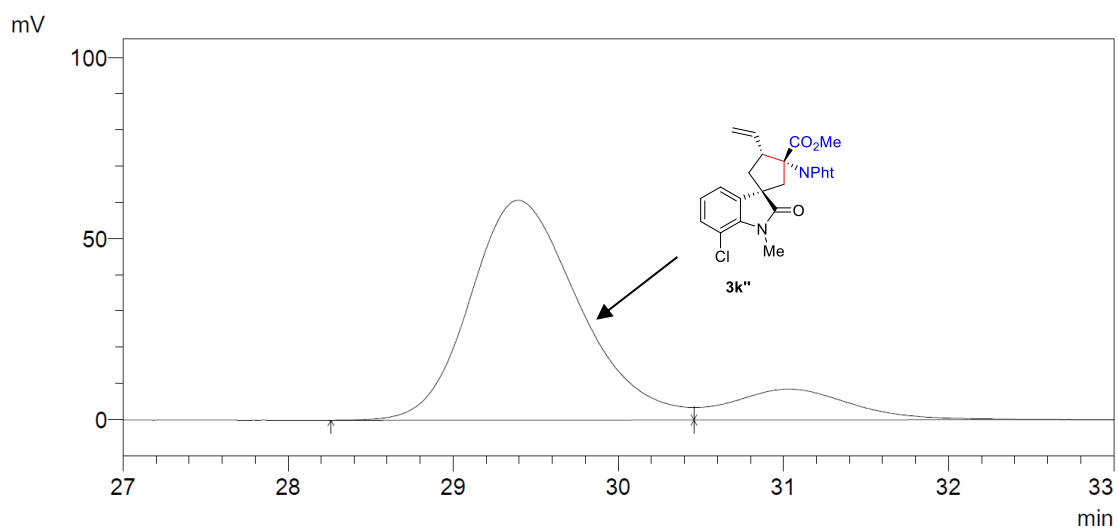
HPLC spectra of *rac*-3k''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	28.819	10039999	235764	48.704
2	30.284	10574419	236688	51.296

HPLC spectra of chiral 3k''

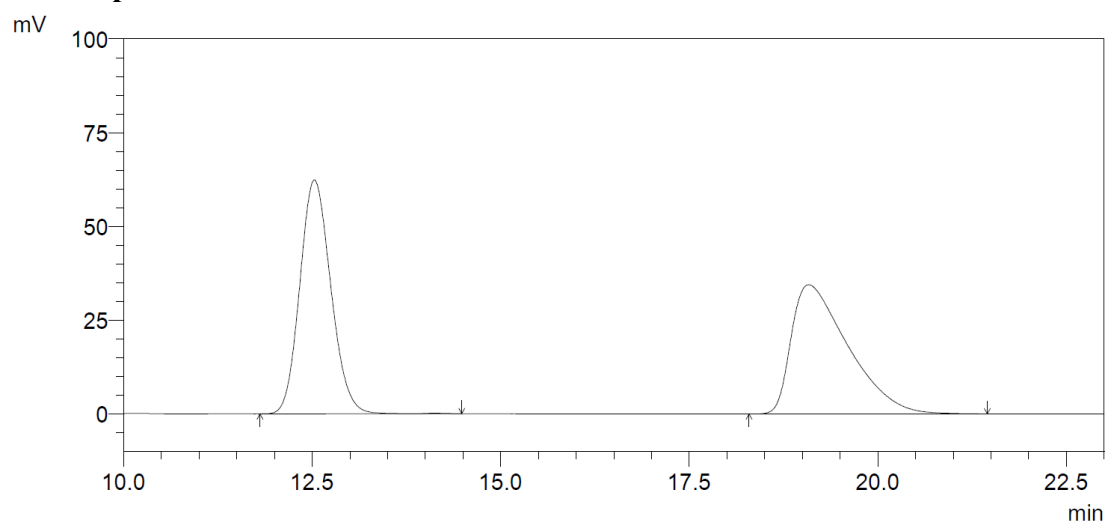


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	29.393	2797425	60683	86.599
2	31.028	432909	8499	13.401

Chiral HPLC analysis: Chiralcel IF, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}$ -3k'' = 28.8 min (major), $t_{(1R,2S,4R)}$ -3k'' = 30.3 min (minor).

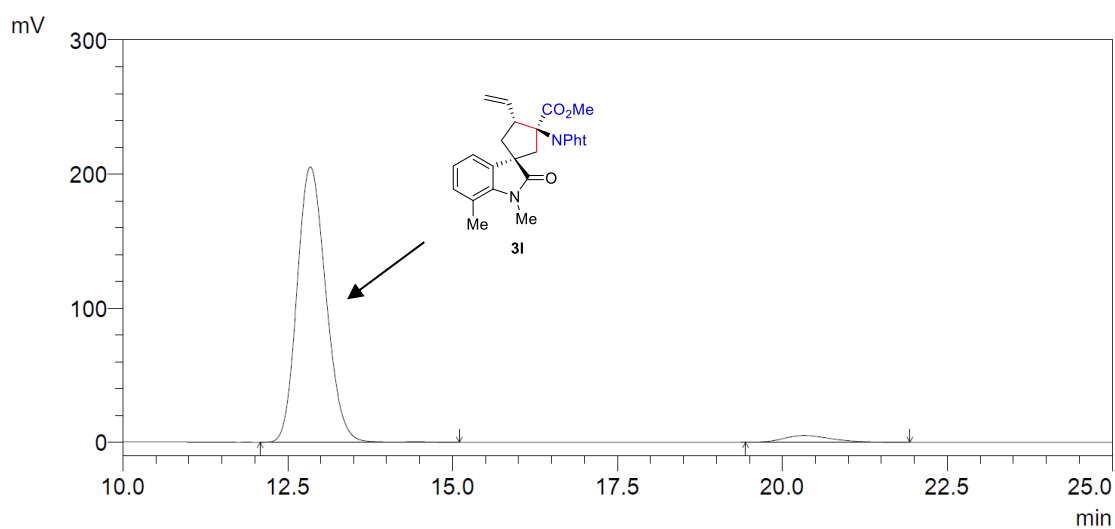
HPLC spectra of *rac*-31



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	12.529	1786760	62390	49.762
2	19.083	1803861	34494	50.238

HPLC spectra of chiral 31

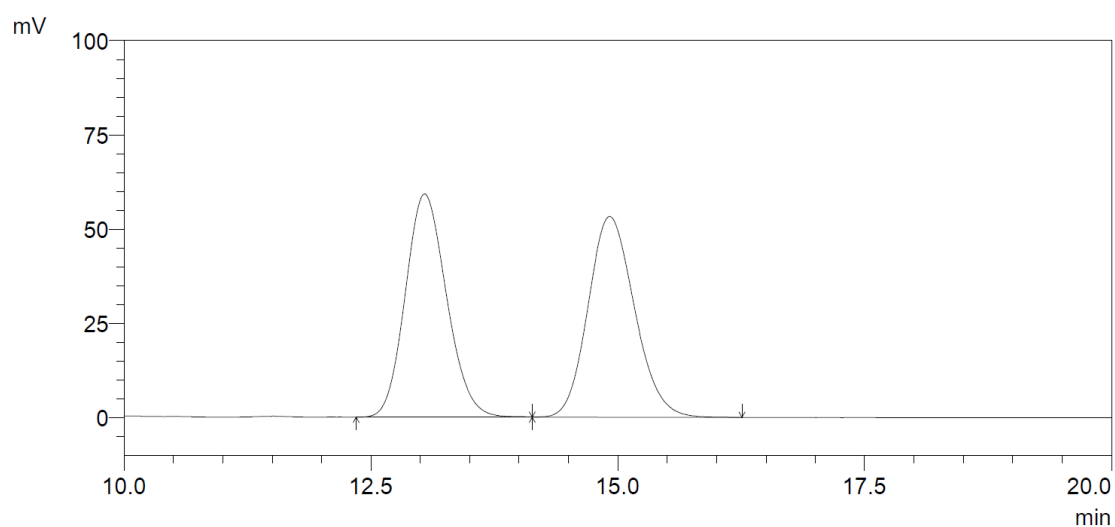


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	12.843	6225318	205436	95.994
2	20.330	259819	5098	4.006

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)}\text{-31} = 12.5$ min (major), $t_{(1R,2S,4S)}\text{-31} = 19.1$ min (minor).

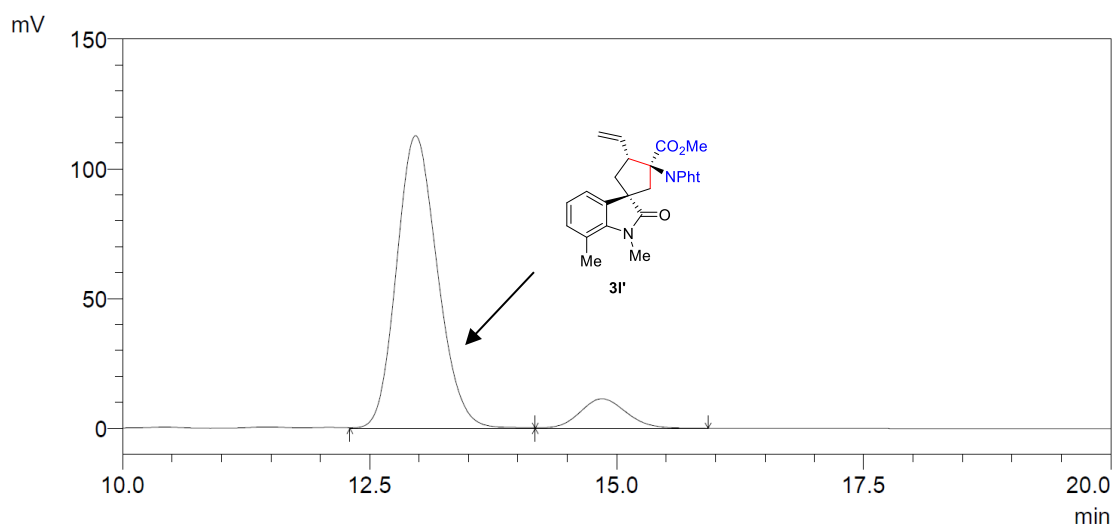
HPLC spectra of *rac*-3I'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	13.043	1722990	59265	49.671
2	14.918	1745782	53288	50.329

HPLC spectra of chiral 3I'

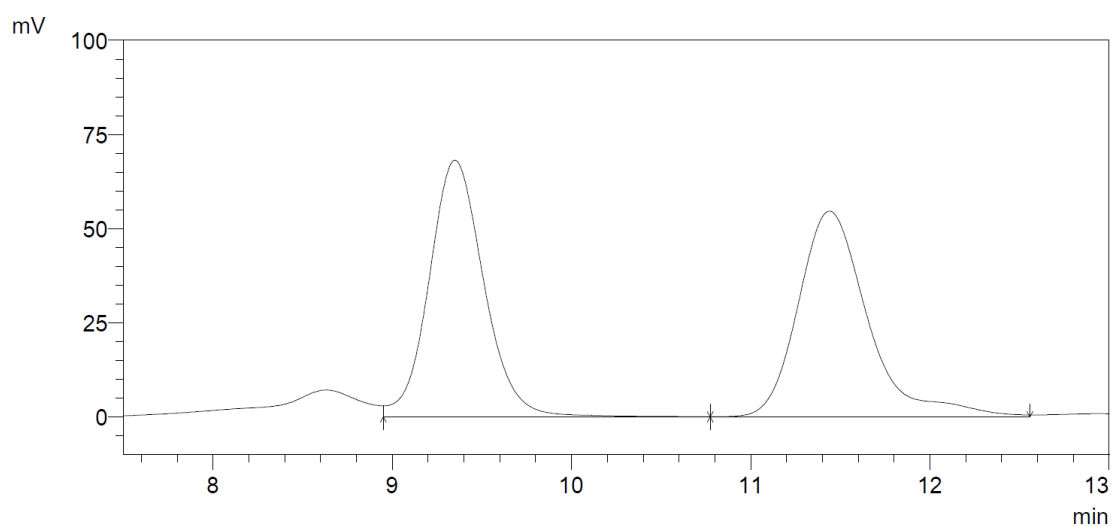


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	12.963	3252224	112803	89.801
2	14.851	369382	11387	10.199

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}$ -3I' = 13.0 min (major), $t_{(1S,2S,4R)}$ -3I' = 14.9 min (minor).

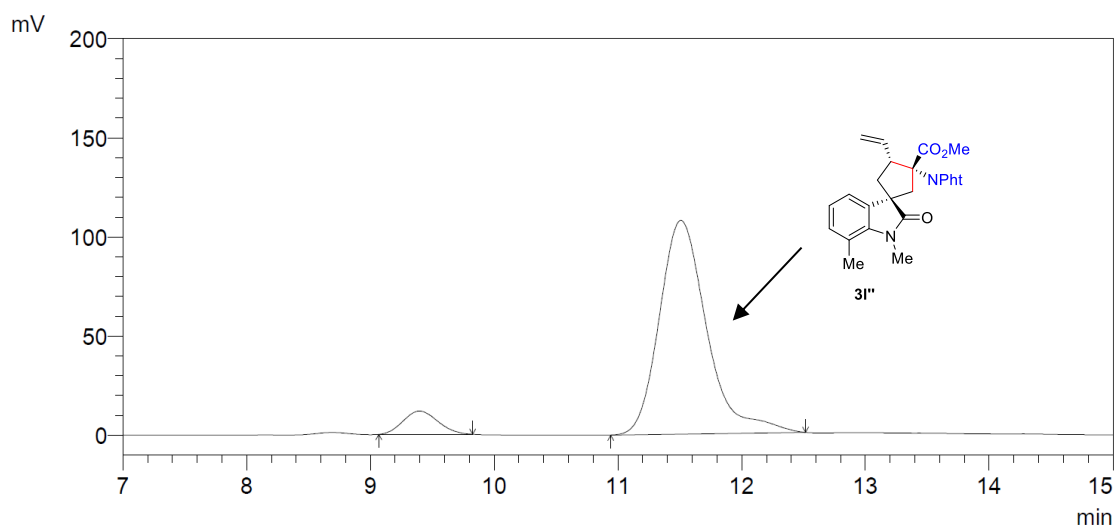
HPLC spectra of *rac*-3I''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	9.350	1447616	68200	49.492
2	11.440	1477361	54674	50.508

HPLC spectra of chiral 3I''

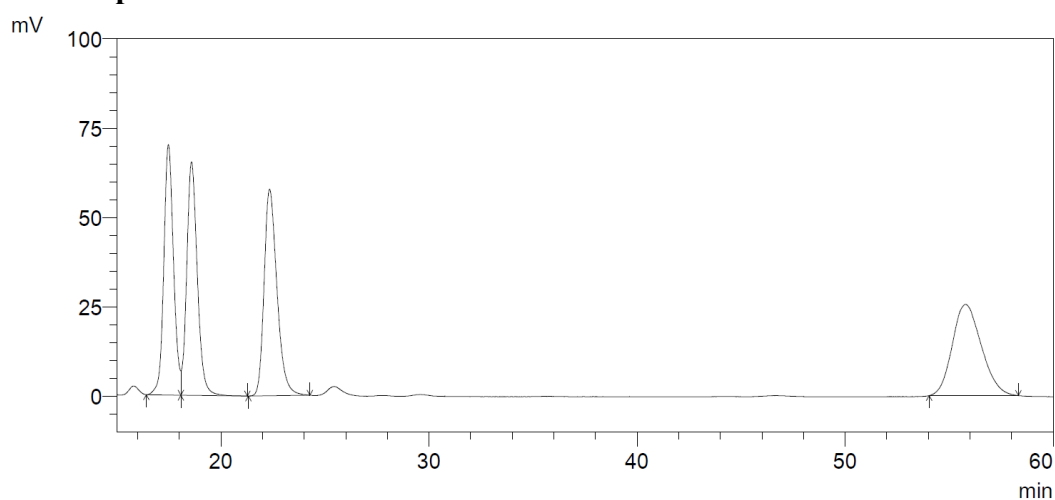


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	9.396	229904	11767	7.418
2	11.509	2869214	107904	92.582

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}$ -3I'' = 9.4 min (minor), $t_{(1R,2S,4R)}$ -3I'' = 11.4 min (major).

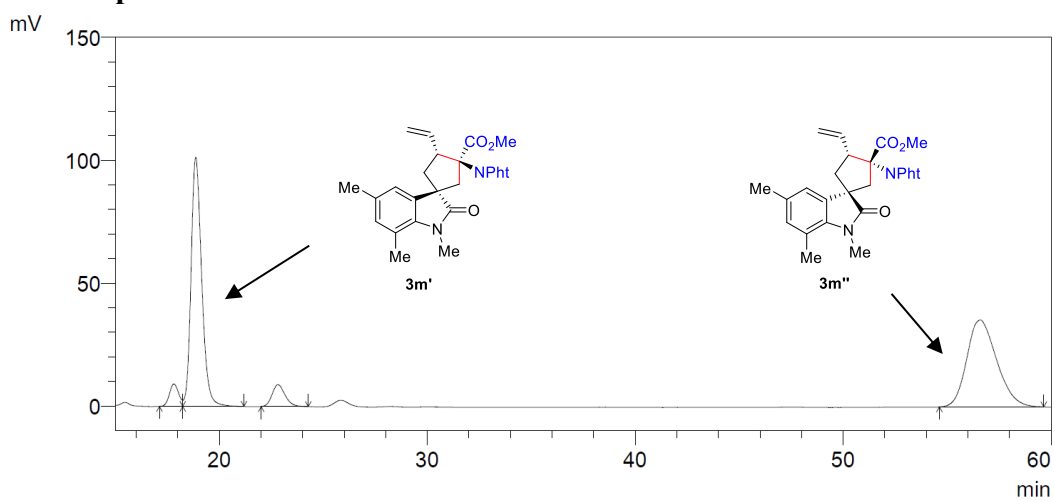
HPLC spectra of *rac*-3m' and 3m''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	17.473	2272746	70109	23.814
2	18.587	2304458	65354	24.147
3	22.341	2490689	57805	26.098
4	55.794	2475699	25519	25.941

HPLC spectra of chiral 3m' and 3m''



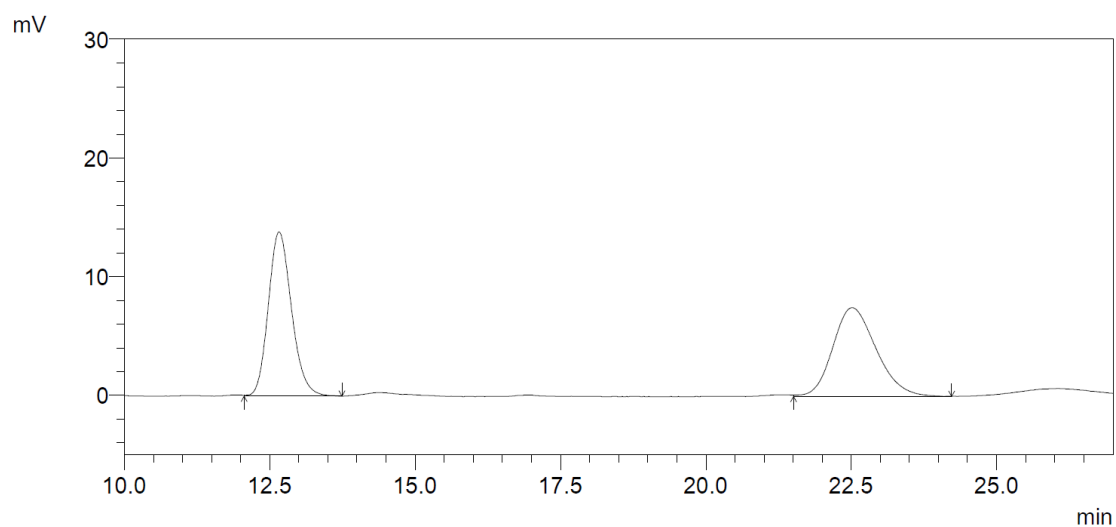
PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	17.815	285911	9165	3.657
2	18.863	3604220	101426	46.103
3	22.820	391158	8962	5.003
4	56.596	3536512	35437	45.237

Chiral HPLC analysis of 3m': Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3m'}$ = 17.8 min (minor), $t_{(1S,2S,4R)-3m'}$ = 18.9 min (major).

Chiral HPLC analysis of 3m'': Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3m''}$ = 22.8 min (minor), $t_{(1R,2S,4R)-3m''}$ = 56.6 min (major).

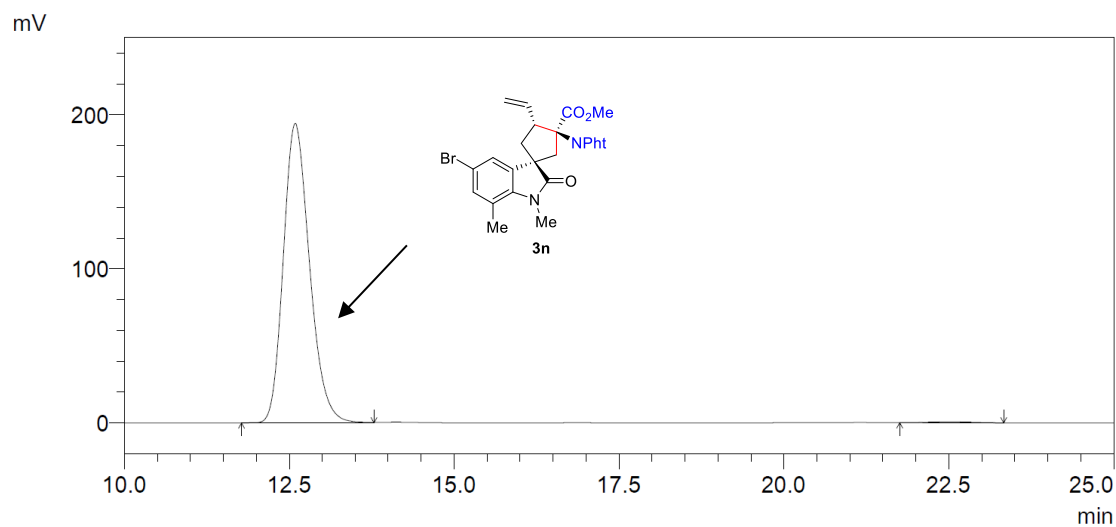
HPLC spectra of *rac*-3n



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	12.661	385206	13835	49.823
2	22.517	387948	7487	50.177

HPLC spectra of chiral 3n

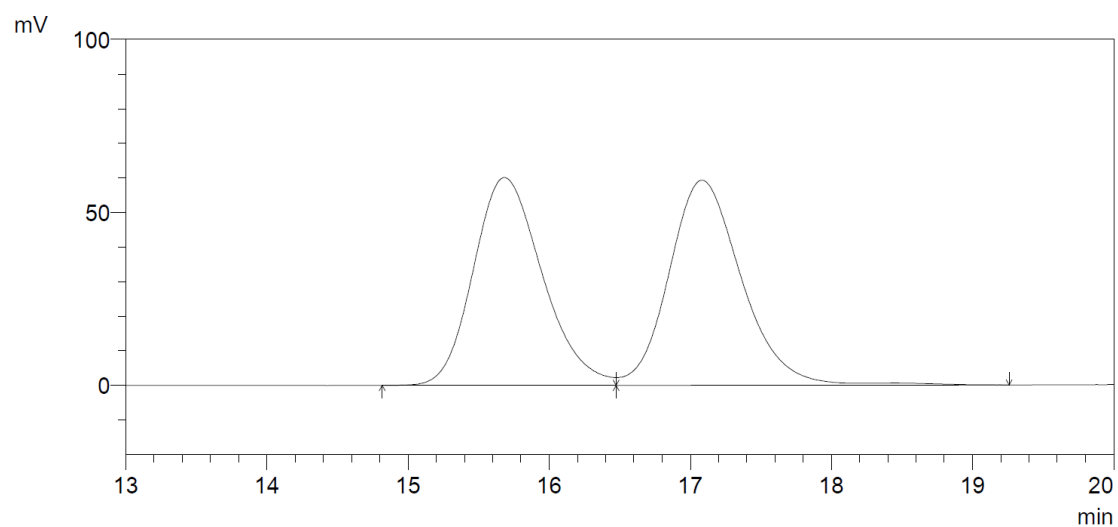


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	12.589	5384237	194303	99.450
2	22.522	29778	640	0.550

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)\text{-}3n} = 12.7$ min (major), $t_{(1R,2S,4S)\text{-}3n} = 22.5$ min (minor).

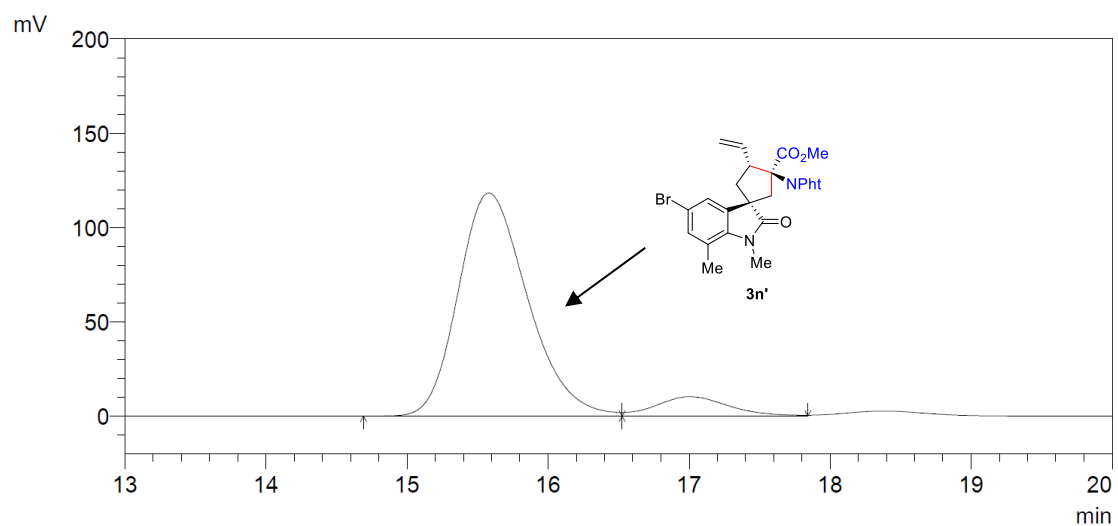
HPLC spectra of *rac*-3n'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.683	2043002	60088	49.057
2	17.083	2121507	59286	50.943

HPLC spectra of chiral 3n'

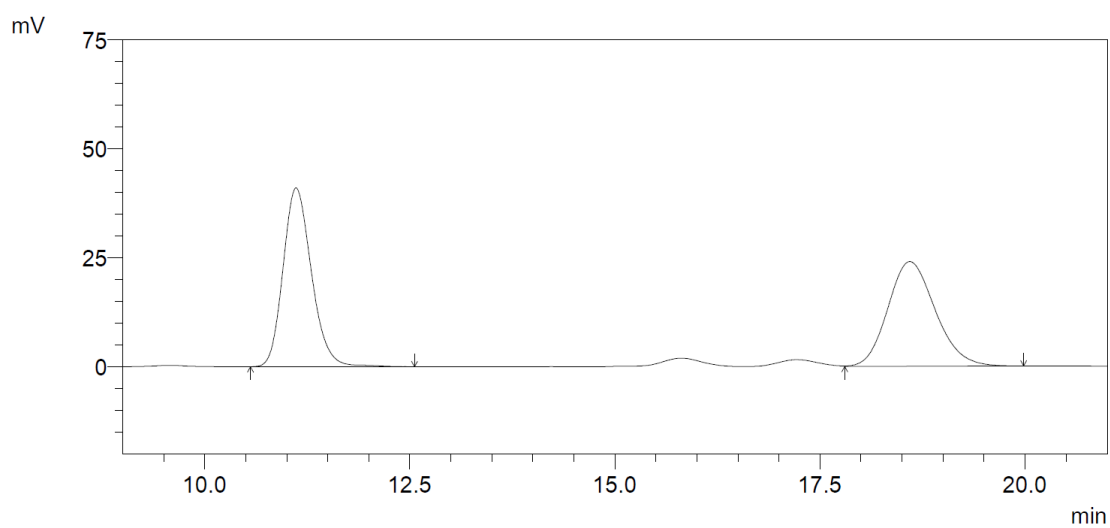


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.580	4047517	118348	91.615
2	17.005	370433	10302	8.385

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}\text{-3n}' = 15.7$ min (major), $t_{(1S,2S,4R)}\text{-3n}' = 17.1$ min (minor).

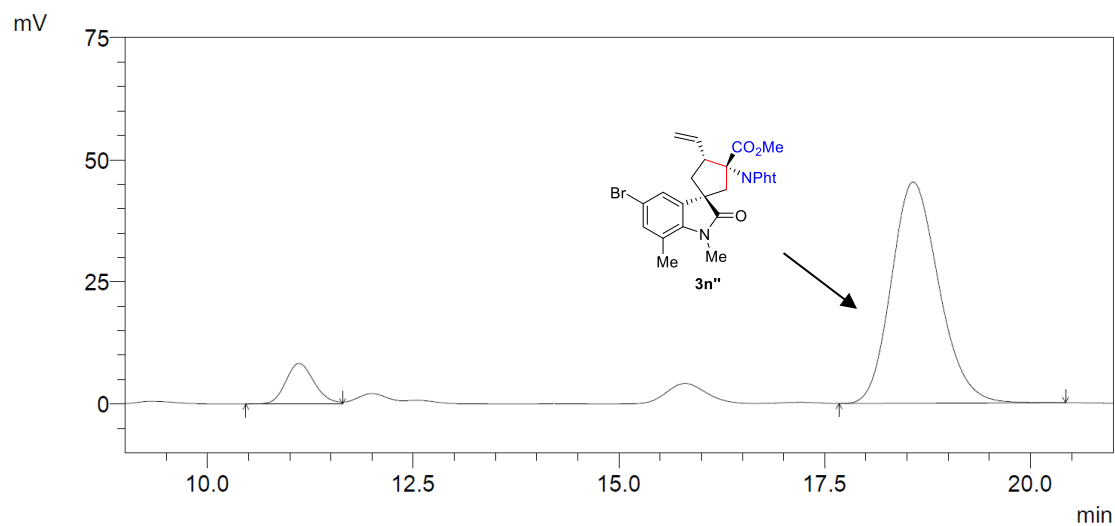
HPLC spectra of *rac*-3n''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	11.113	990465	41045	50.674
2	18.595	964133	24039	49.326

HPLC spectra of chiral 3n''

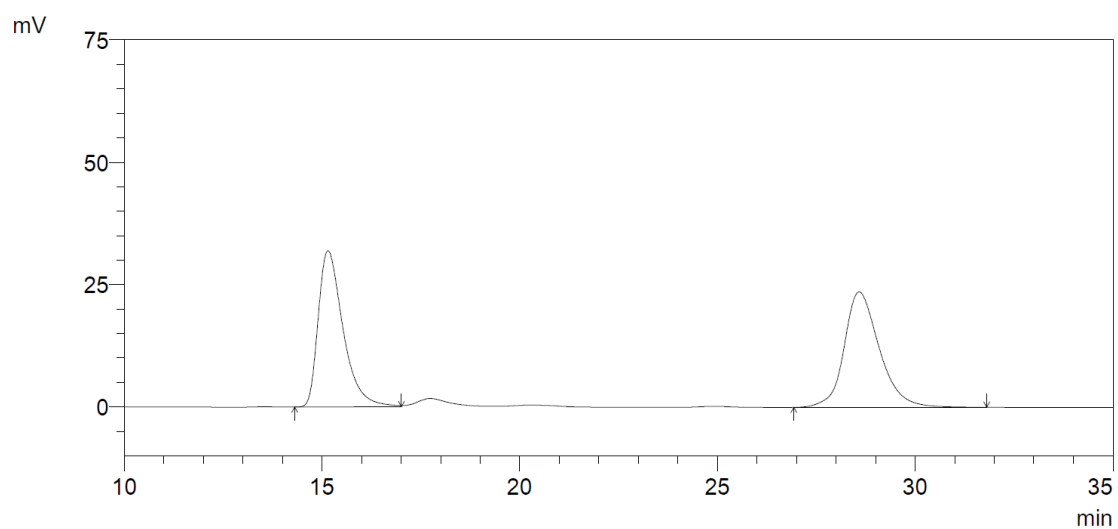


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	11.114	200248	8328	9.914
2	18.574	1819699	45319	90.086

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}\text{-3n''} = 11.1$ min (minor), $t_{(1R,2S,4R)}\text{-3n''} = 18.6$ min (major).

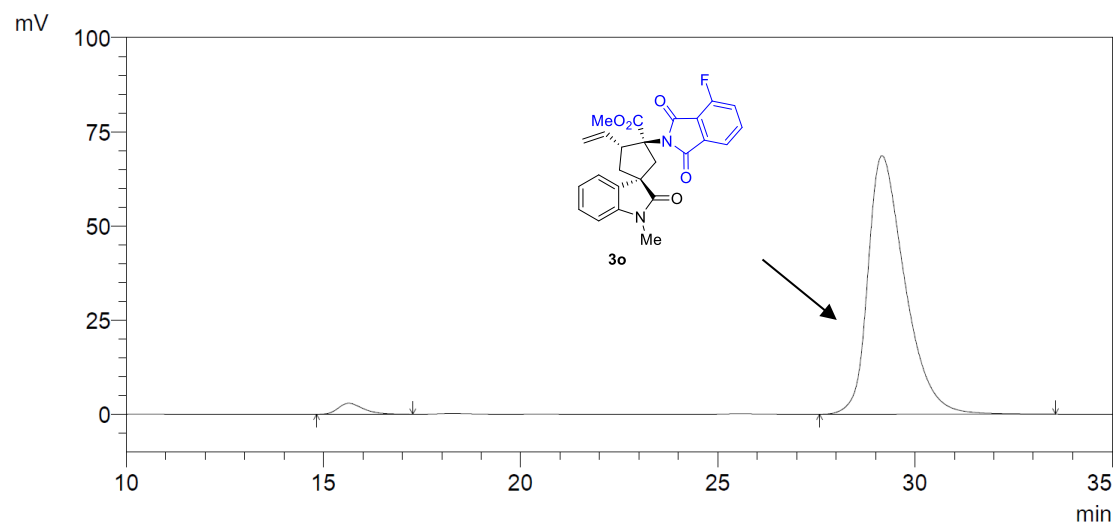
HPLC spectra of *rac*-3o



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.151	1397007	31940	48.878
2	28.582	1461160	23613	51.122

HPLC spectra of chiral 3o

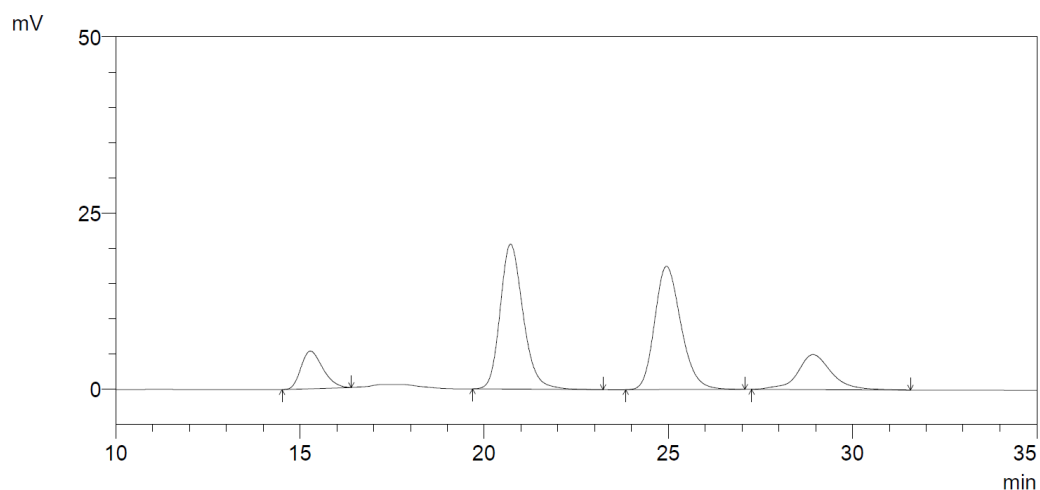


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.643	127881	2897	2.774
2	29.165	4482498	68682	97.226

Chiral HPLC analysis: Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)\text{-}3o} = 15.2$ min (minor), $t_{(1R,2S,4S)\text{-}3o} = 28.6$ min (major).

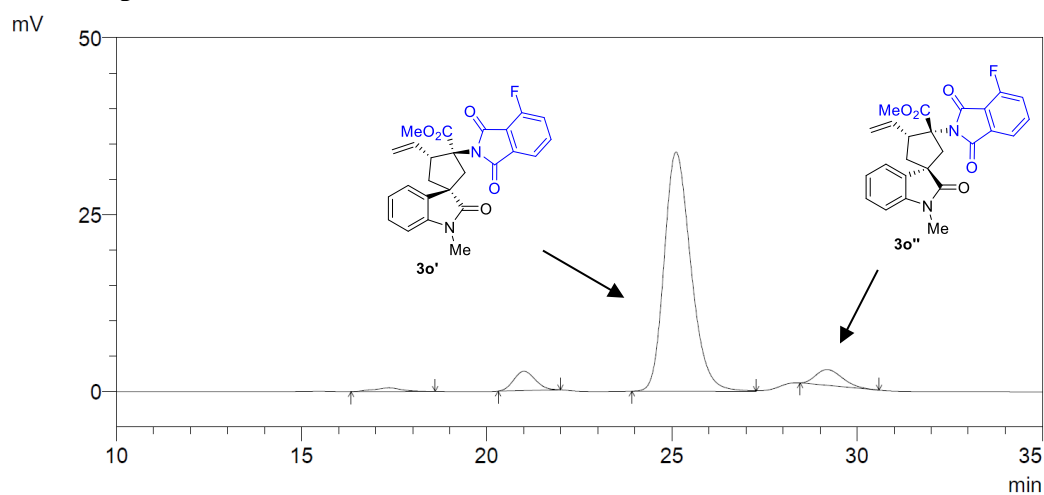
HPLC spectra of *rac*-3o' and 3o''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.281	219739	5340	9.545
2	20.715	892048	20587	38.747
3	24.949	871293	17526	37.846
4	28.928	319150	4983	13.863

HPLC spectra of chiral 3o' and 3o''



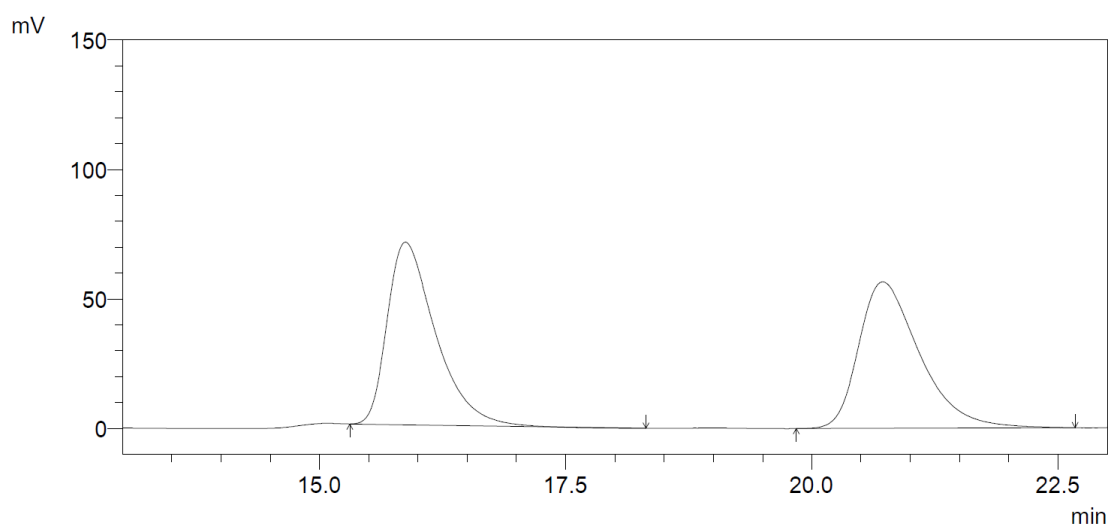
PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	17.356	27586	515	1.420
2	21.004	110123	2730	5.670
3	25.111	1692655	33823	87.151
4	29.187	111854	2242	5.759

Chiral HPLC analysis of 3o': Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3o'}$ = 20.7 min (minor), $t_{(1S,2S,4R)-3o'}$ = 24.9 min (major).

Chiral HPLC analysis of 3o'': Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)-3o''}$ = 15.3 min (minor), $t_{(1R,2S,4R)-3o''}$ = 28.9 min (major).

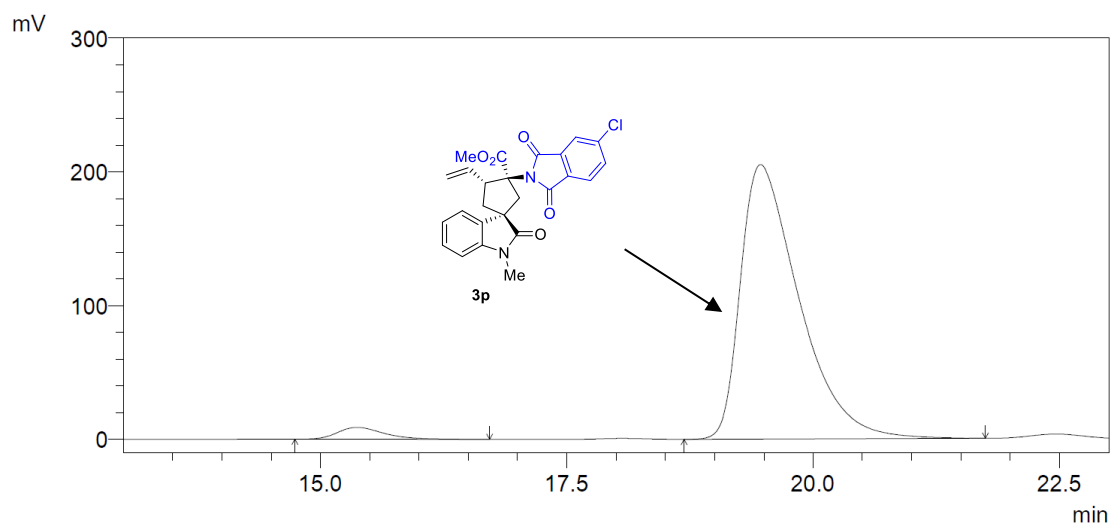
HPLC spectra of *rac*-3p



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.872	2409370	70574	49.682
2	20.721	2440216	56608	50.318

HPLC spectra of chiral 3p

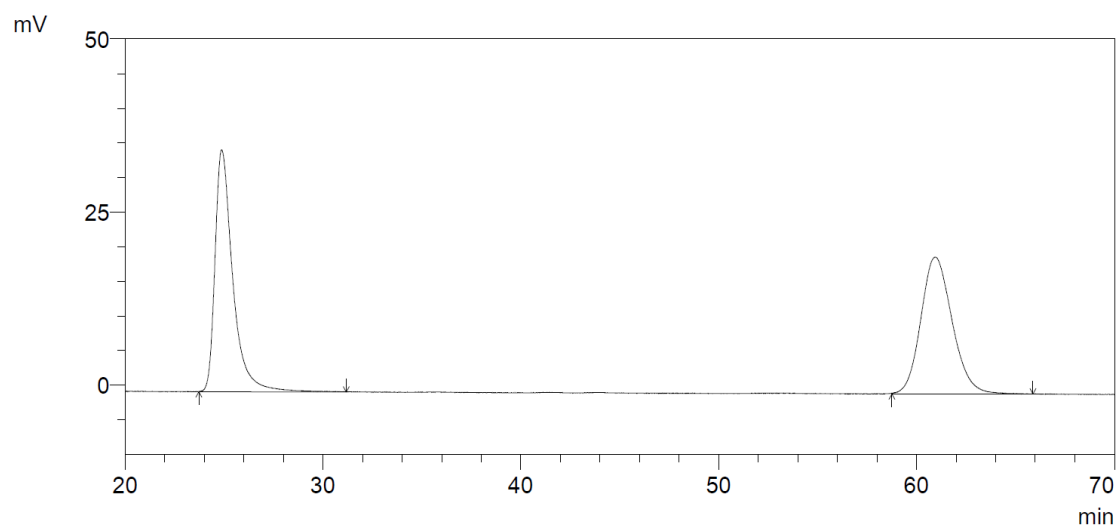


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.371	292014	8863	3.322
2	19.466	8498900	205328	96.678

Chiral HPLC analysis: Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)\text{-}3p} = 15.9$ min (minor), $t_{(1R,2S,4S)\text{-}3p} = 20.7$ min (major).

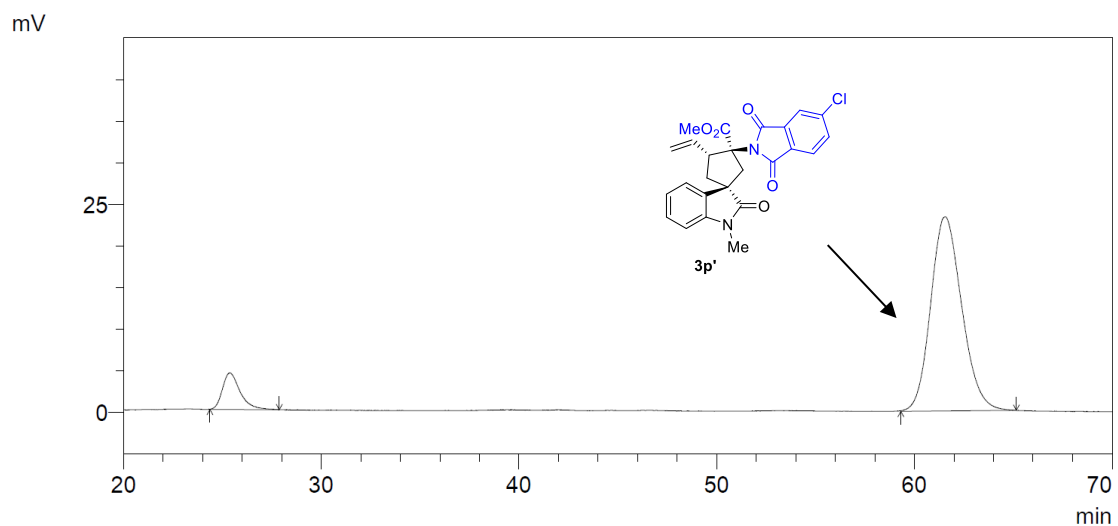
HPLC spectra of *rac*-3p'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	24.875	2184224	34990	50.103
2	60.956	2175240	19780	49.897

HPLC spectra of chiral 3p'

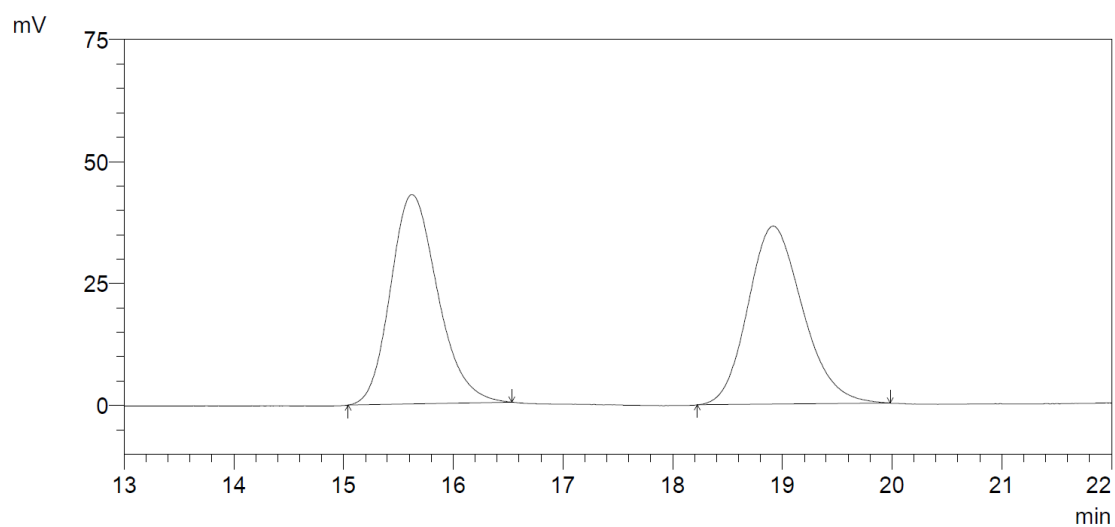


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	25.395	274060	4407	9.686
2	61.555	2555489	23351	90.314

Chiral HPLC analysis: Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)\text{-}3p'}$ = 24.9 min (minor), $t_{(1S,2S,4R)\text{-}3p'}$ = 61.0 min (major).

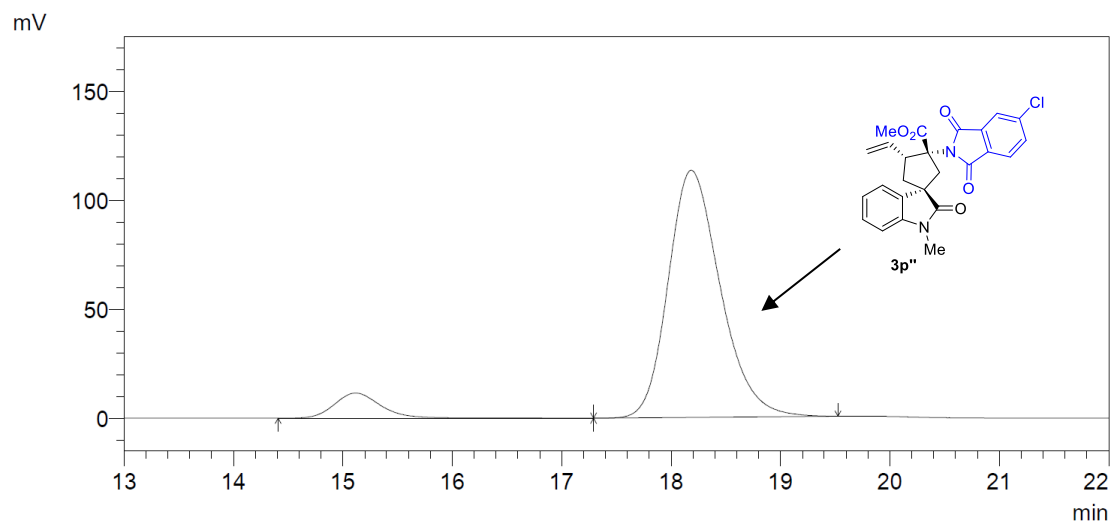
HPLC spectra of *rac*-3p''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.624	1285910	42957	50.345
2	18.915	1268284	36499	49.655

HPLC spectra of chiral 3p''

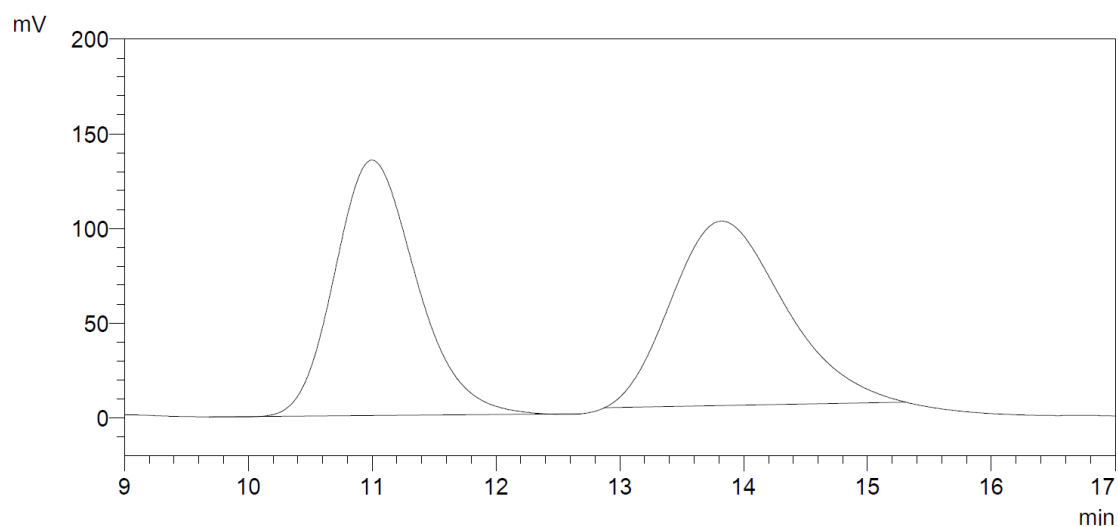


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.115	345558	11557	8.397
2	18.184	3769602	113539	91.603

Chiral HPLC analysis: Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}\text{-3p''} = 15.6$ min (minor), $t_{(1R,2S,4R)}\text{-3p''} = 18.9$ min (major).

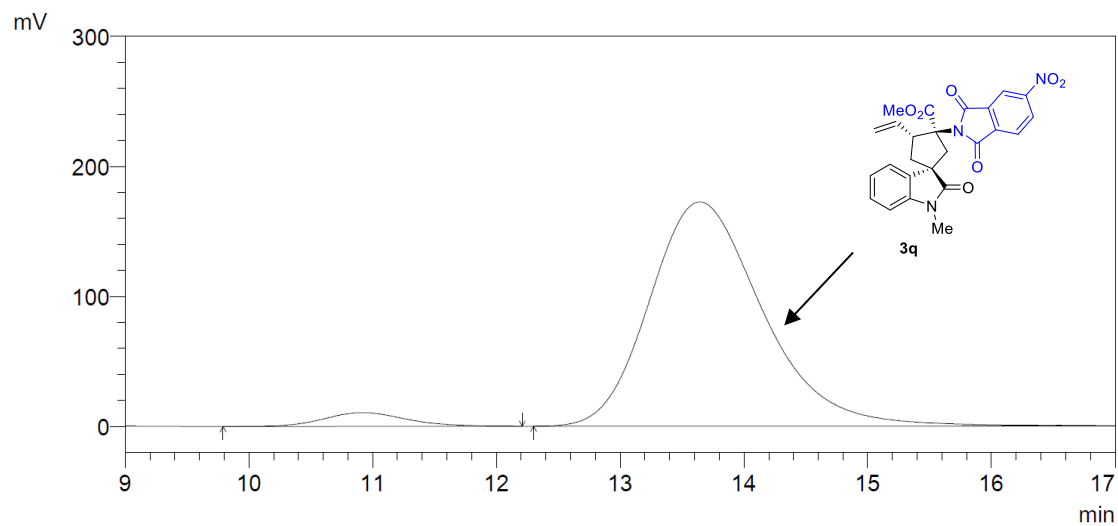
HPLC spectra of *rac*-3q



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	10.998	6192479	134979	49.886
2	13.824	6220801	97511	50.114

HPLC spectra of chiral 3q

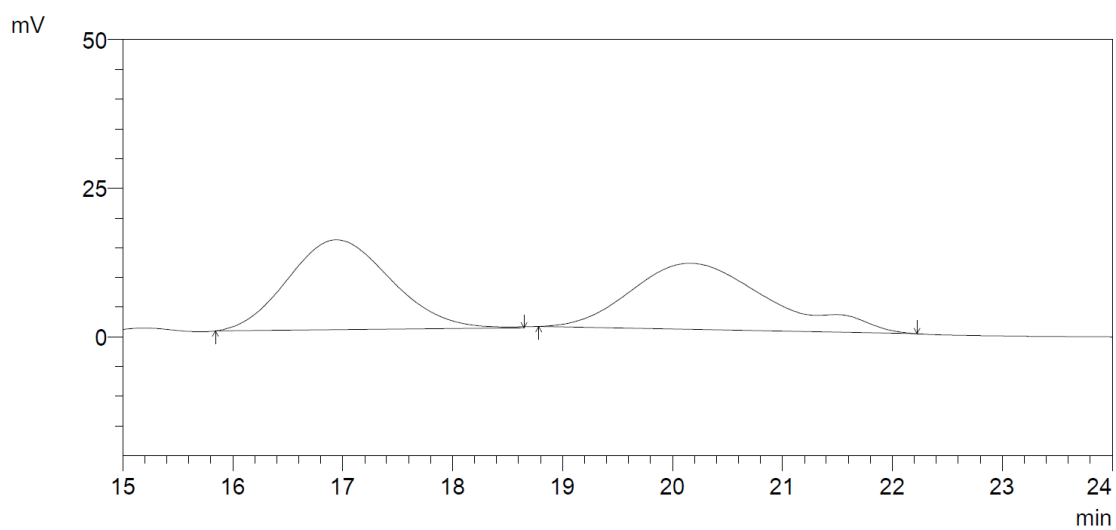


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	10.915	496112	10621	4.316
2	13.643	10999232	172587	95.684

Chiral HPLC analysis: Chiralcel IH, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)}$ -3q = 11.0 min (minor), $t_{(1R,2S,4S)}$ -3q = 13.8 min (major).

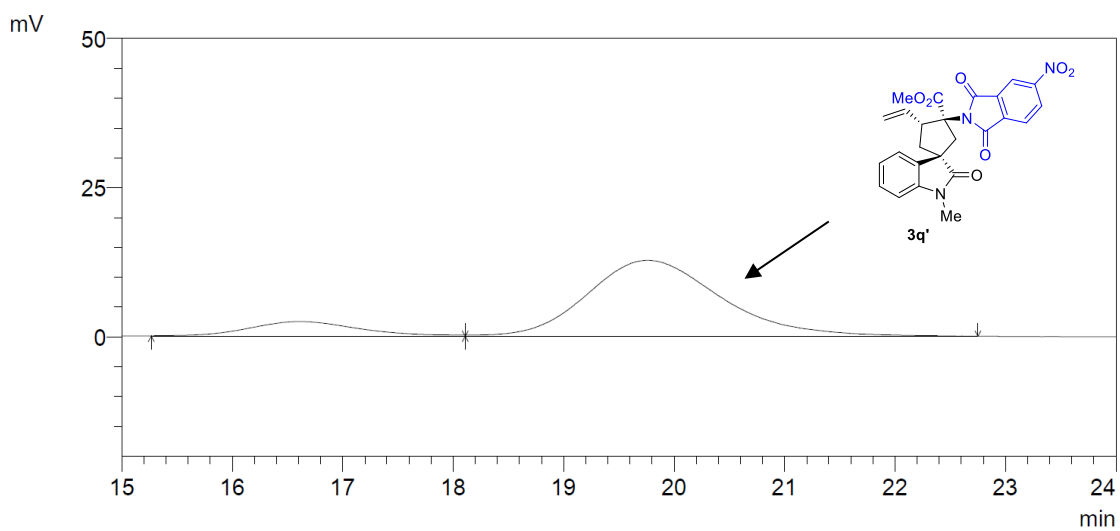
HPLC spectra of *rac*-3q'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	16.941	990522	15159	50.420
2	20.157	974020	11119	49.580

HPLC spectra of chiral 3q'

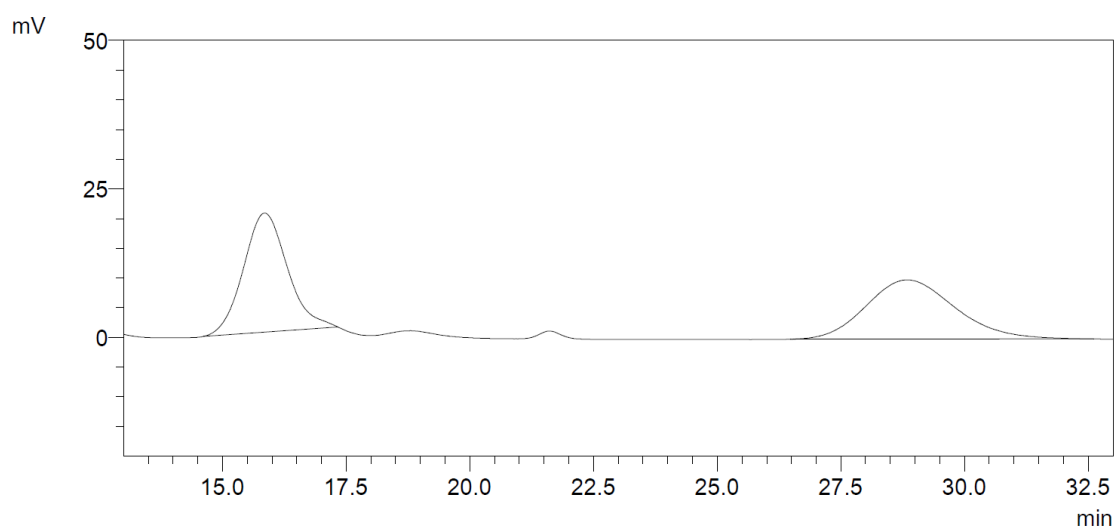


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	16.593	174091	2479	13.996
2	19.761	1069738	12729	86.004

Chiral HPLC analysis: Chiralcel IH, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}$ -3q' = 16.9 min (minor), $t_{(1S,2S,4R)}$ -3q' = 20.2 min (major).

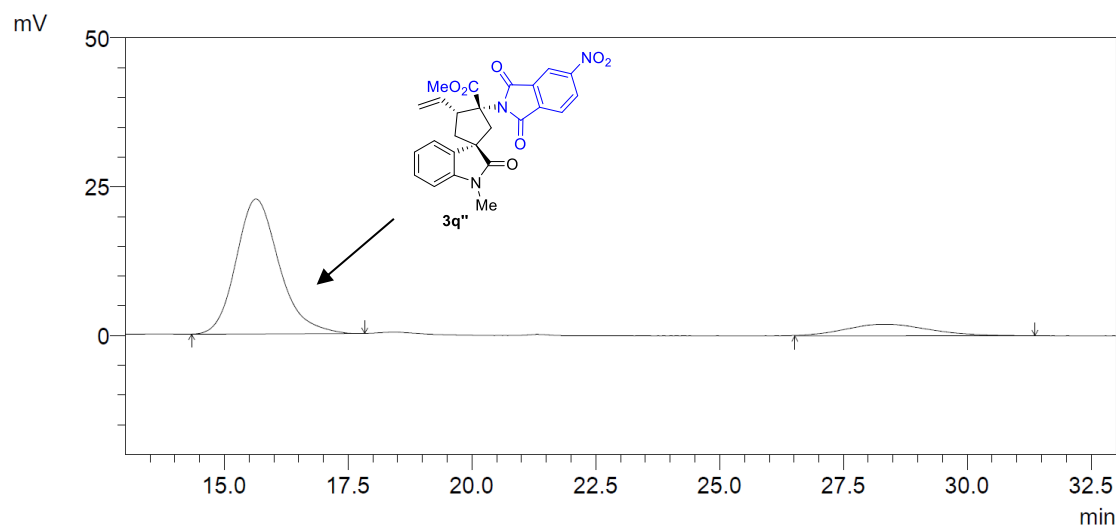
HPLC spectra of *rac*-3q''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.850	1242925	20053	49.983
2	28.837	1243793	9968	50.017

HPLC spectra of chiral 3q''

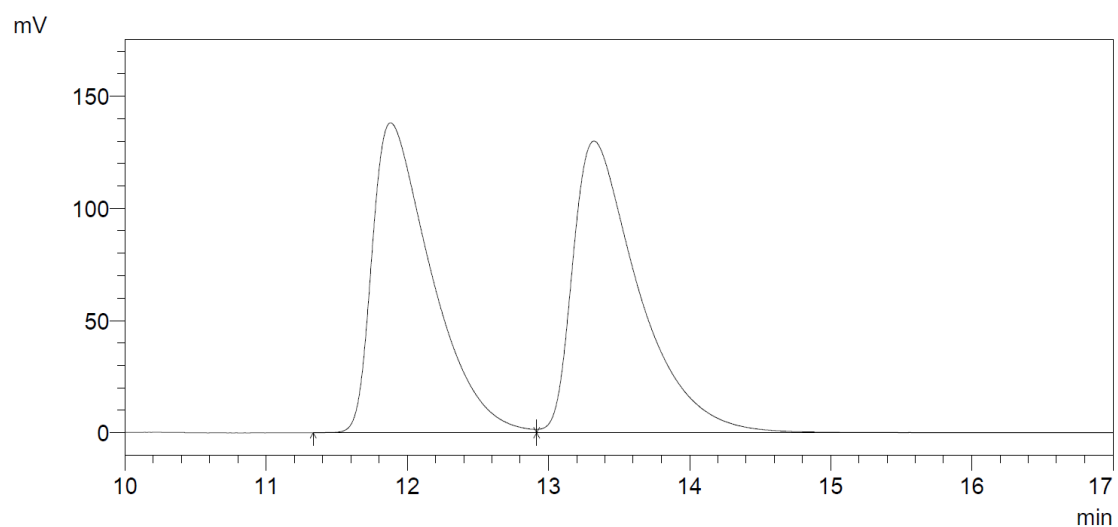


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.637	1374385	22693	85.591
2	28.320	231366	1961	14.409

Chiral HPLC analysis: Chiralcel IH, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}\text{-3q''} = 15.8$ min (major), $t_{(1R,2S,4R)}\text{-3q''} = 28.8$ min (minor).

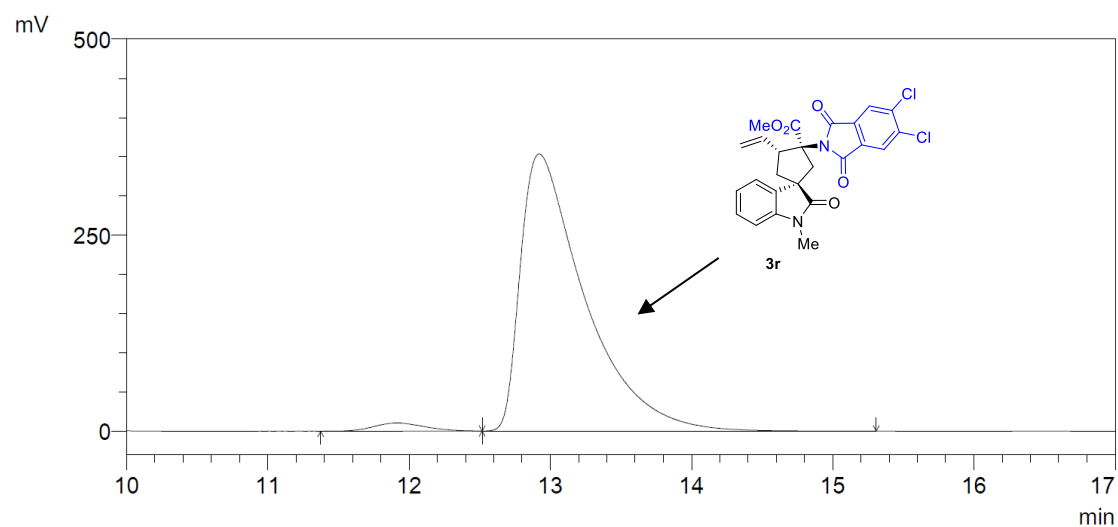
HPLC spectra of *rac*-3r



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	11.882	4030183	138101	48.835
2	13.323	4222403	129988	51.165

HPLC spectra of chiral 3r

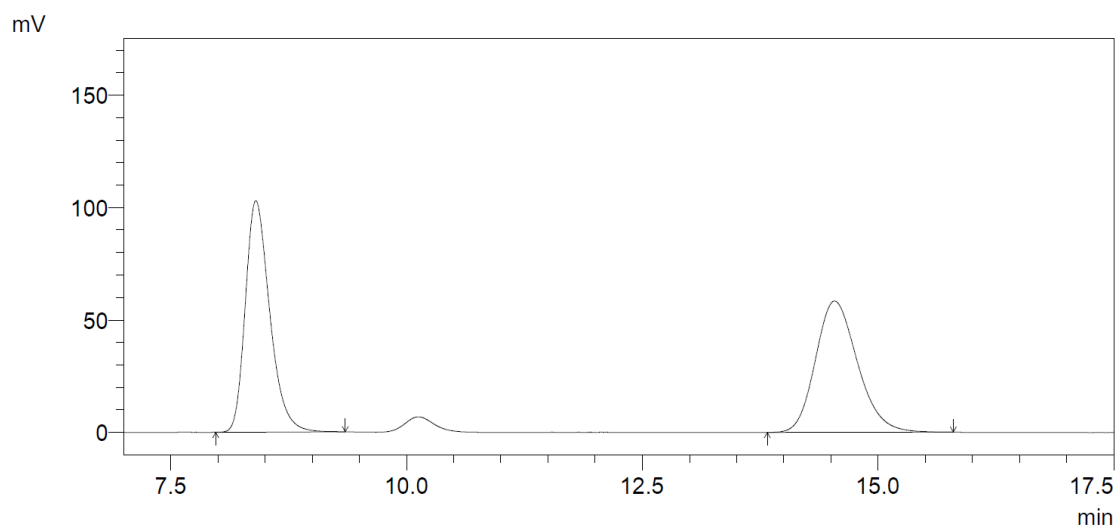


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	11.915	265193	10423	2.309
2	12.922	11220620	353810	97.691

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)\text{-}3r} = 11.9$ min (minor), $t_{(1R,2S,4S)\text{-}3r} = 13.3$ min (major).

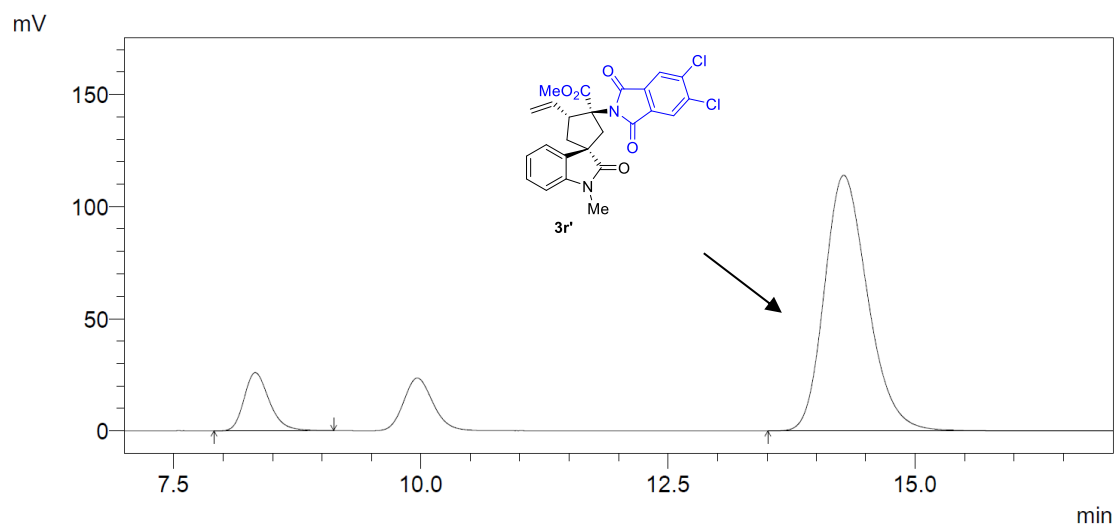
HPLC spectra of *rac*-3r'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	8.401	1856379	102857	50.665
2	14.540	1807613	58365	49.335

HPLC spectra of chiral 3r'

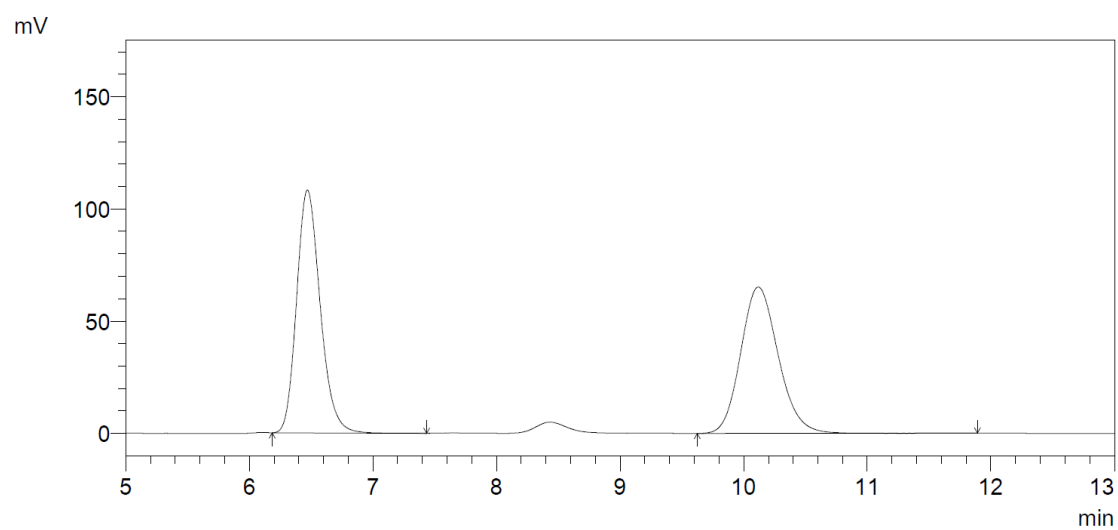


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	8.325	458060	25906	11.647
2	14.277	3474750	113931	88.353

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}$ -3r' = 8.4 min (minor), $t_{(1S,2S,4R)}$ -3r' = 14.5 min (major).

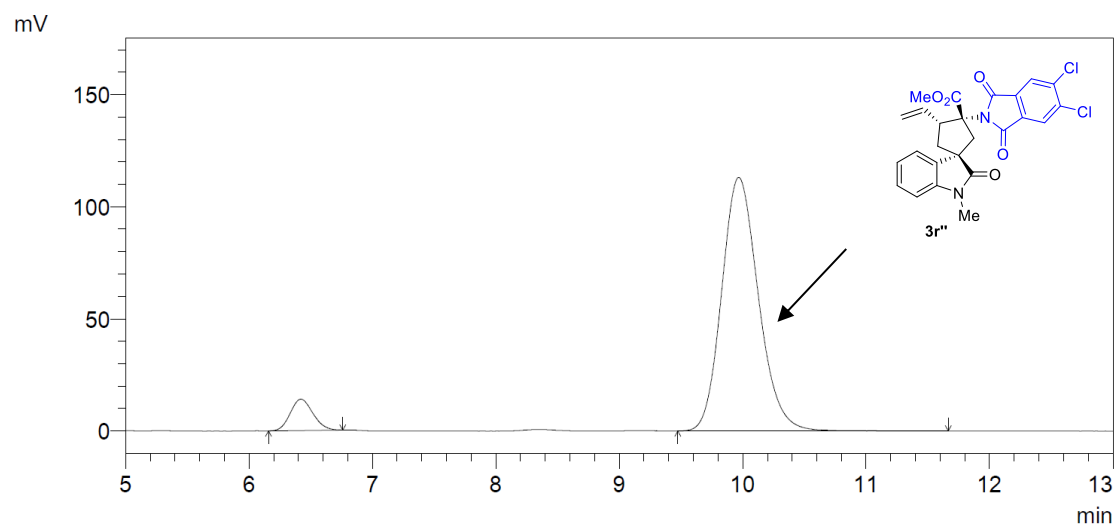
HPLC spectra of *rac*-3r''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	6.469	1435445	108142	51.108
2	10.118	1373189	65147	48.892

HPLC spectra of chiral 3r''

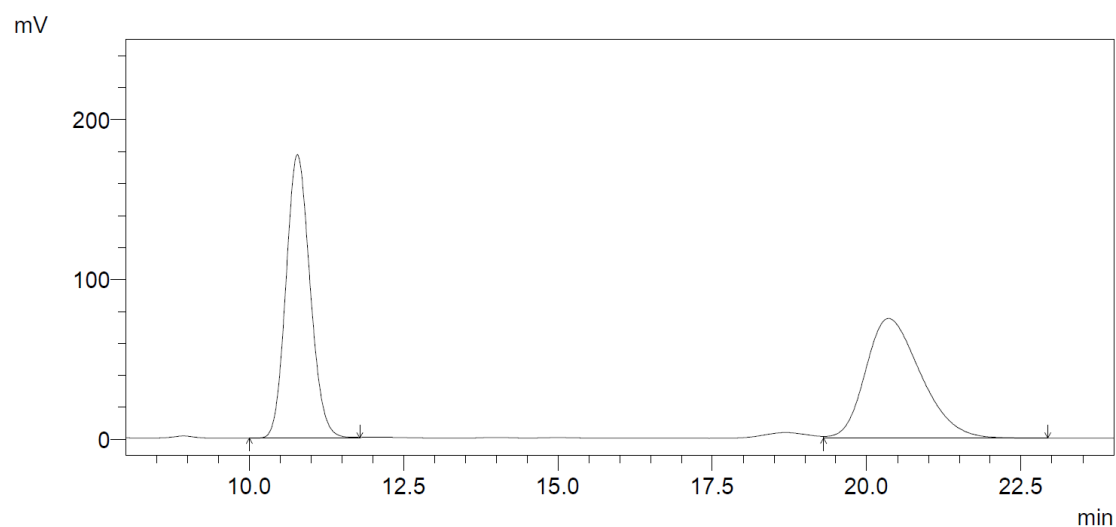


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	6.421	177807	13961	7.062
2	9.968	2339919	113031	92.938

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}$ -3r'' = 6.5 min (minor), $t_{(1R,2S,4R)}$ -3r'' = 10.1 min (major).

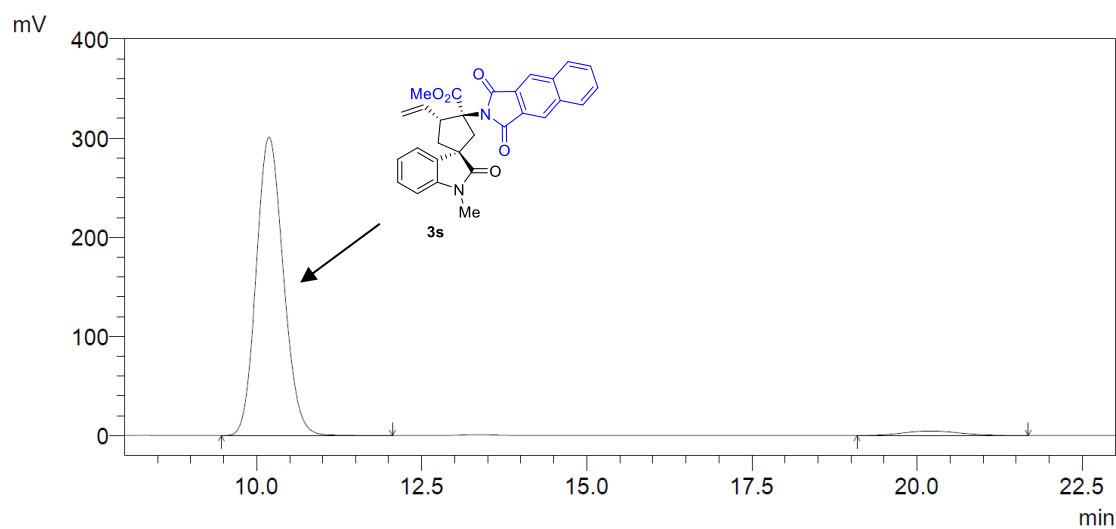
HPLC spectra of *rac*-3s



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	10.780	4817638	177362	50.931
2	20.356	4641589	74891	49.069

HPLC spectra of chiral 3s

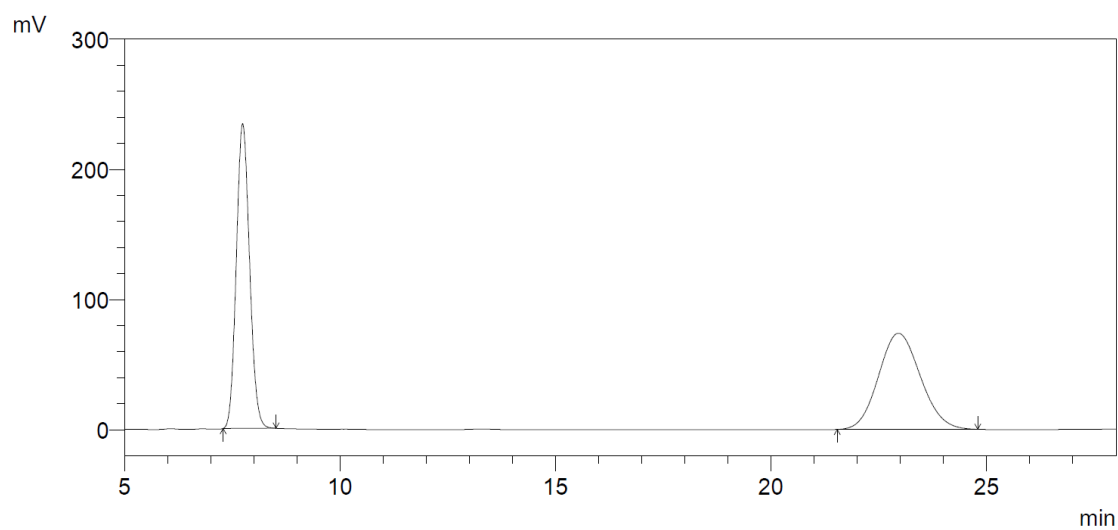


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	10.188	8602812	301151	96.798
2	20.197	284531	4658	3.202

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 70/30, flow rate 2.0 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-3s} = 10.8$ min (major), $t_{(1R,2S,4S)-3s} = 20.4$ min (minor).

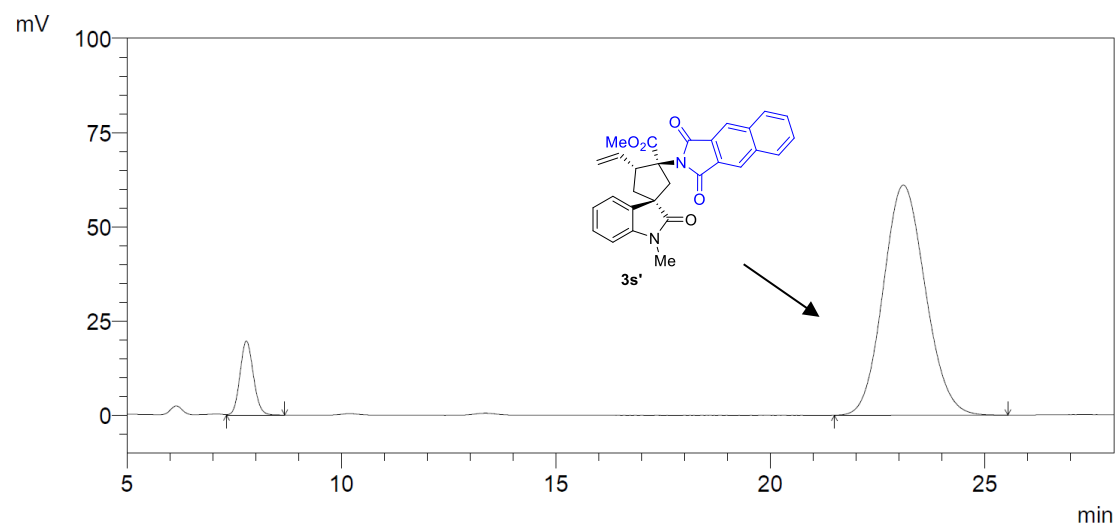
HPLC spectra of *rac*-3s'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	7.738	5005494	234317	50.119
2	22.955	4981716	73877	49.881

HPLC spectra of chiral 3s'

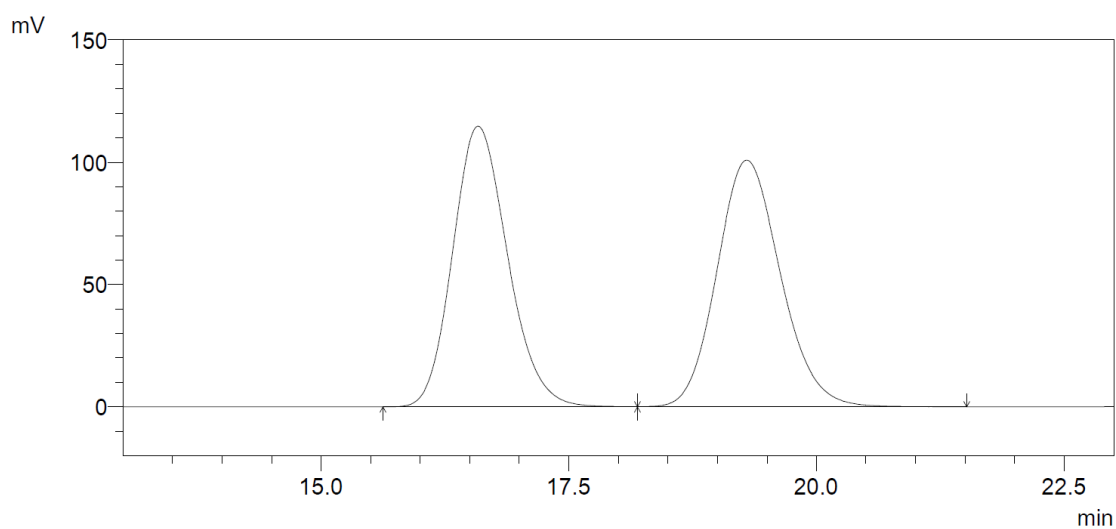


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	7.781	425208	19620	9.255
2	23.097	4168959	61055	90.745

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 70/30, flow rate 2.0 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)-3s'}$ = 7.7 min (minor), $t_{(1S,2S,4R)-3s'}$ = 23.0 min (major).

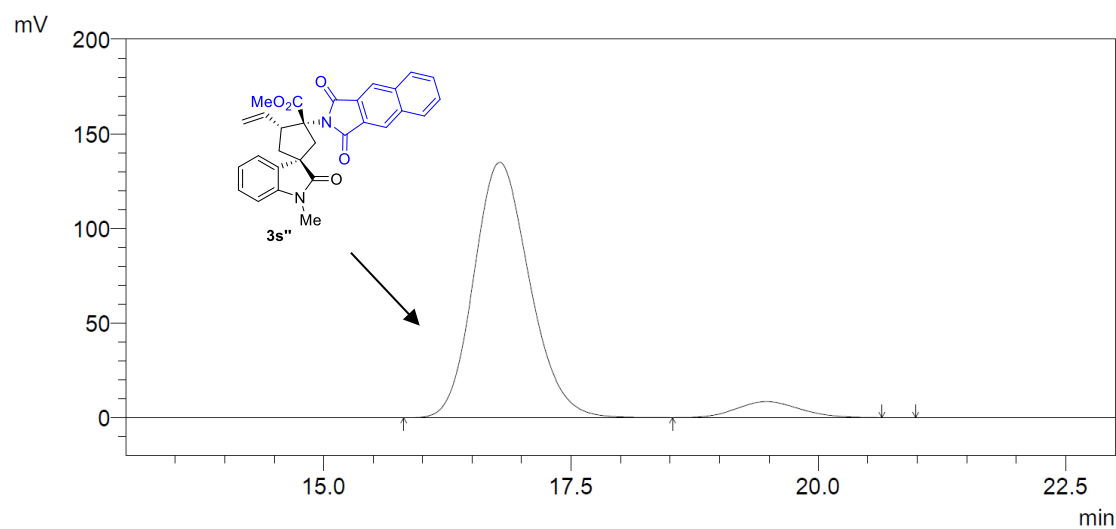
HPLC spectra of *rac*-3s''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	16.584	4439991	114848	49.589
2	19.296	4513598	100926	50.411

HPLC spectra of chiral 3s''

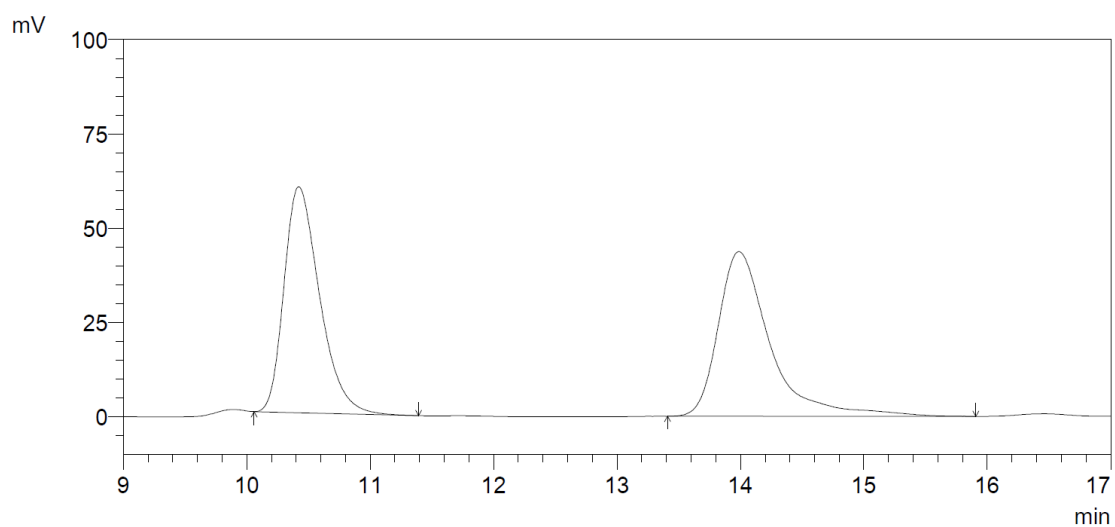


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	16.778	5297051	135016	93.362
2	19.475	376612	8423	6.638

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}$ -3s'' = 16.6 min (major), $t_{(1R,2S,4R)}$ -3s'' = 19.3 min (minor).

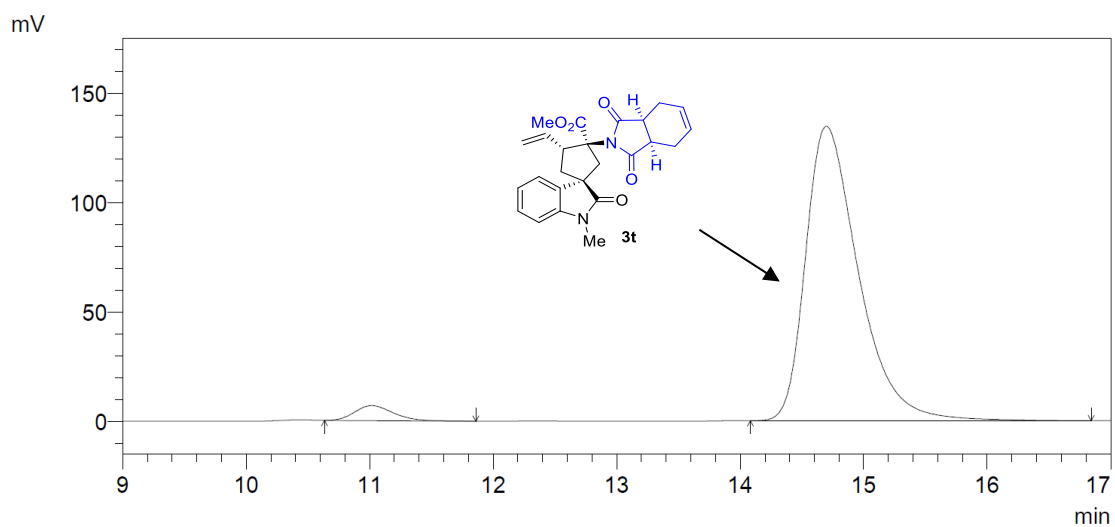
HPLC spectra of *rac*-3t



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	10.420	1201636	59945	48.493
2	13.987	1276304	43690	51.507

HPLC spectra of chiral 3t

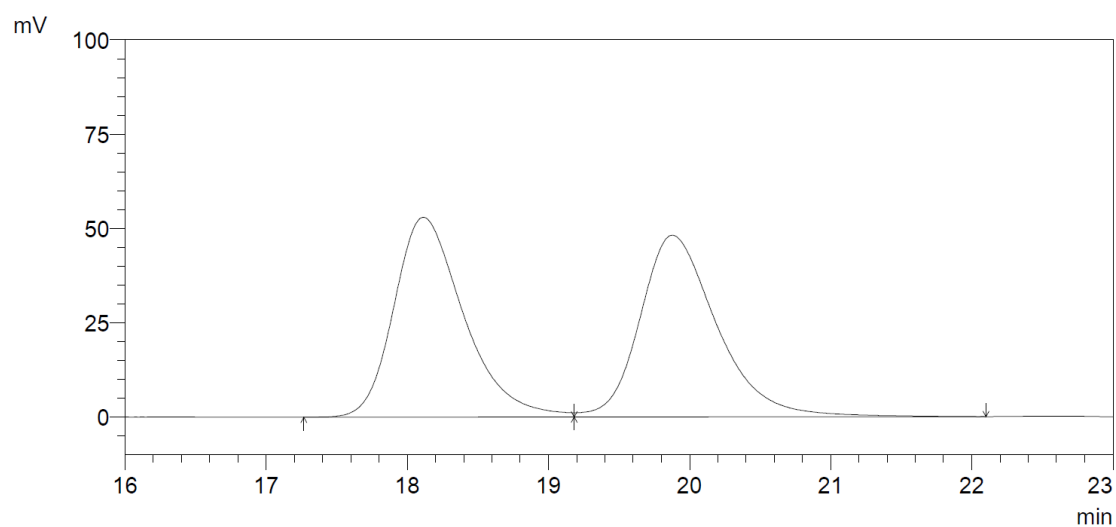


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	11.016	149172	6892	3.583
2	14.698	4014281	134773	96.417

Chiral HPLC analysis: Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)}\text{-3t} = 10.4$ min (minor), $t_{(1R,2S,4S)}\text{-3t} = 14.0$ min (major).

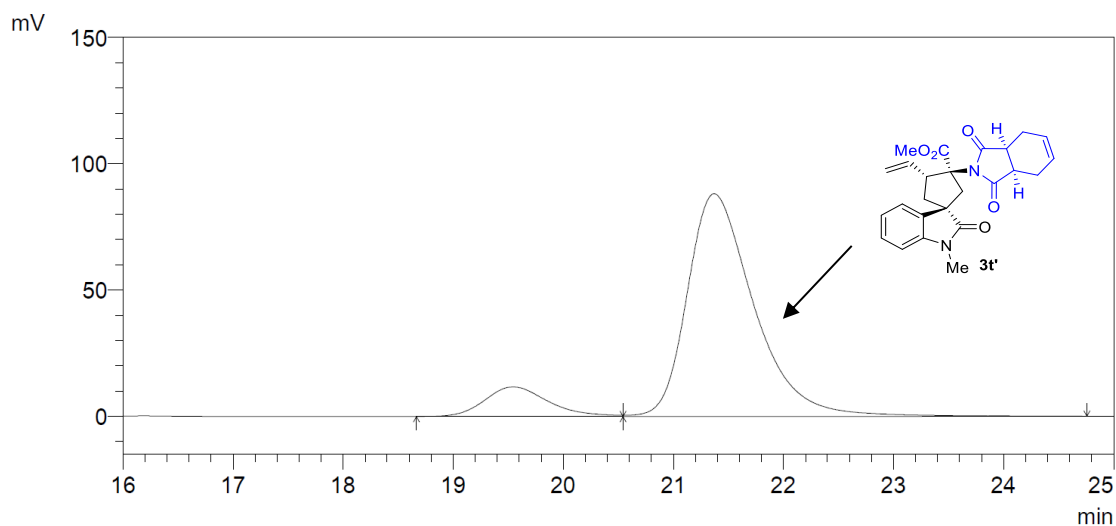
HPLC spectra of *rac*-3t'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	18.114	1827291	53006	49.996
2	19.878	1827608	48208	50.004

HPLC spectra of chiral 3t'

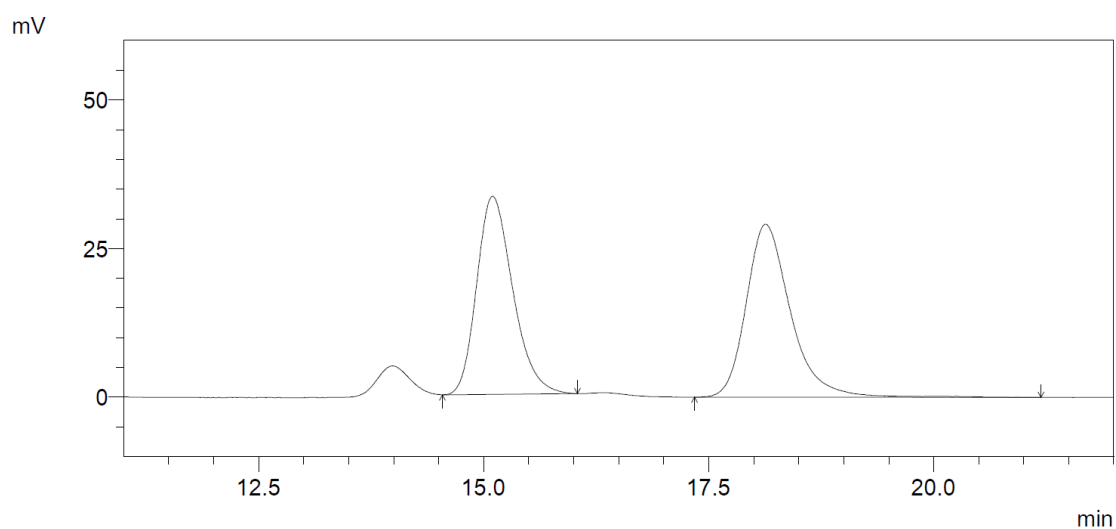


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	19.546	470805	11701	11.261
2	21.370	3710013	88236	88.739

Chiral HPLC analysis: Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}$ -3t' = 18.1 min (minor), $t_{(1S,2S,4R)}$ -3t' = 19.9 min (major).

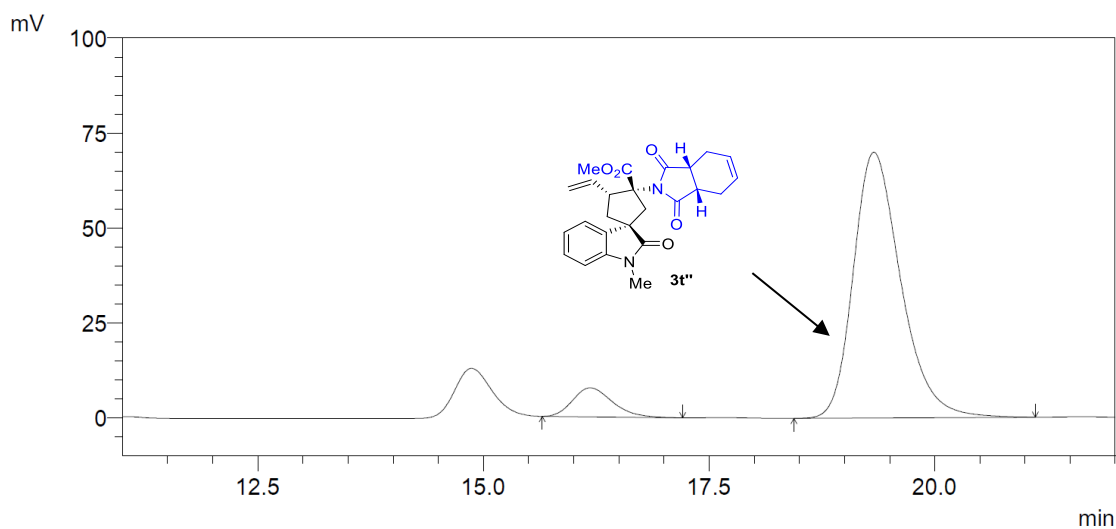
HPLC spectra of *rac*-3t''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	15.099	931307	33339	48.143
2	18.132	1003170	29128	51.857

HPLC spectra of chiral 3t''

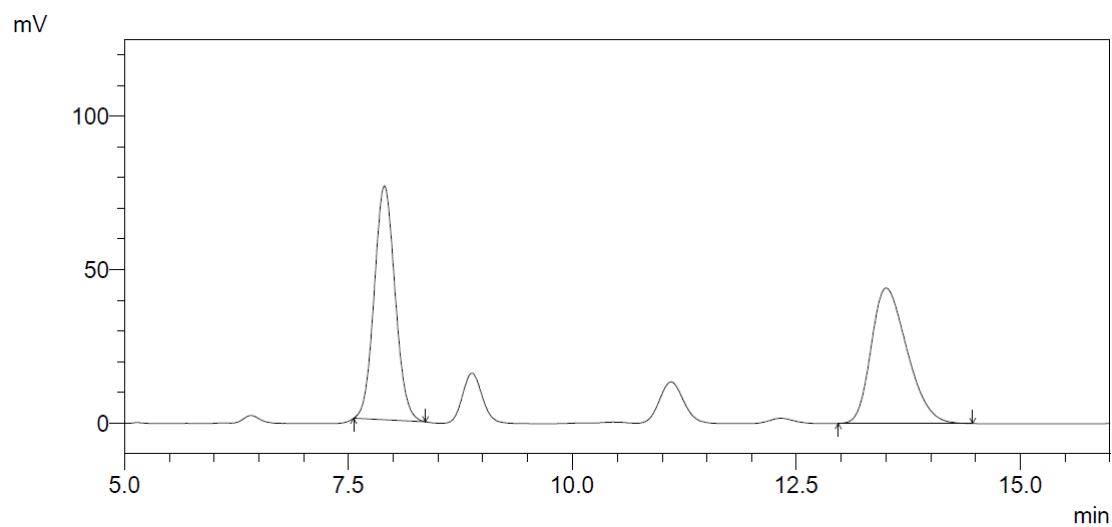


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	16.182	234965	7653	8.390
2	19.326	2565469	70026	91.610

Chiral HPLC analysis: Chiralcel IF, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}\text{-}3t'' = 15.1$ min (minor), $t_{(1R,2S,4R)}\text{-}3t'' = 18.1$ min (major).

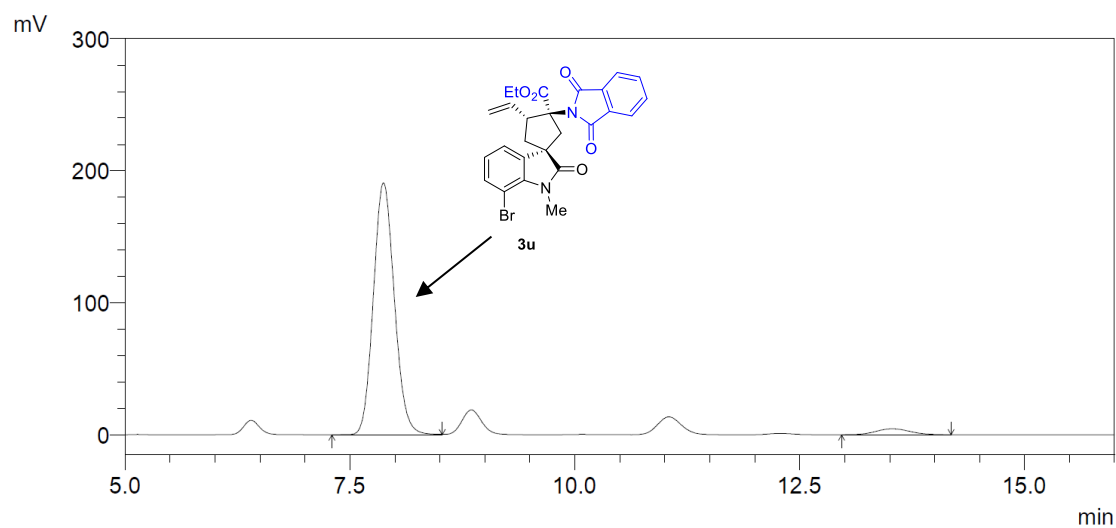
HPLC spectra of *rac*-3u



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	7.900	1234055	76144	50.096
2	13.501	1229334	44118	49.904

HPLC spectra of chiral 3u

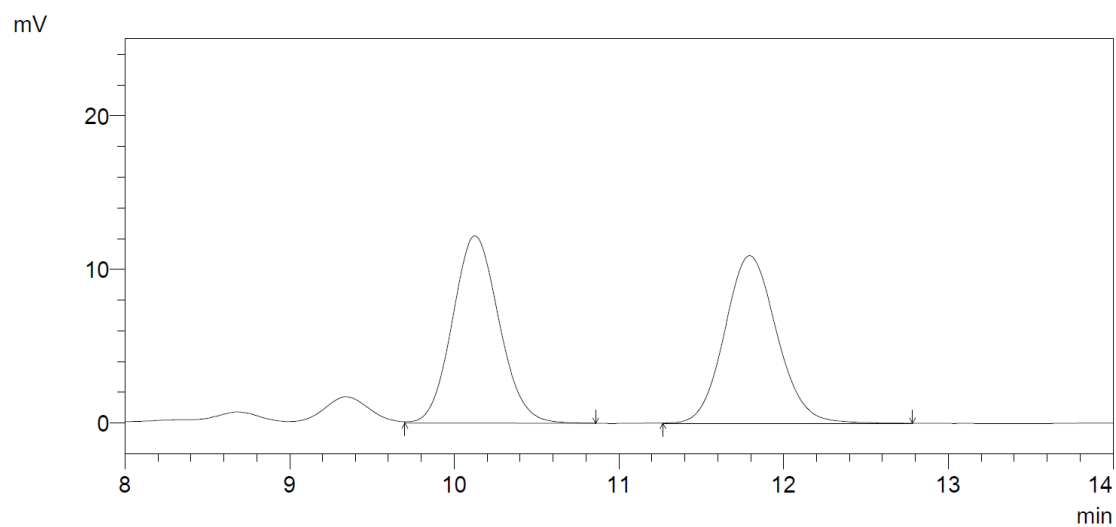


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	7.872	3099091	190944	96.248
2	13.529	120801	4538	3.752

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)\text{-}3u} = 7.9$ min (major), $t_{(1R,2S,4S)\text{-}3u} = 13.5$ min (minor).

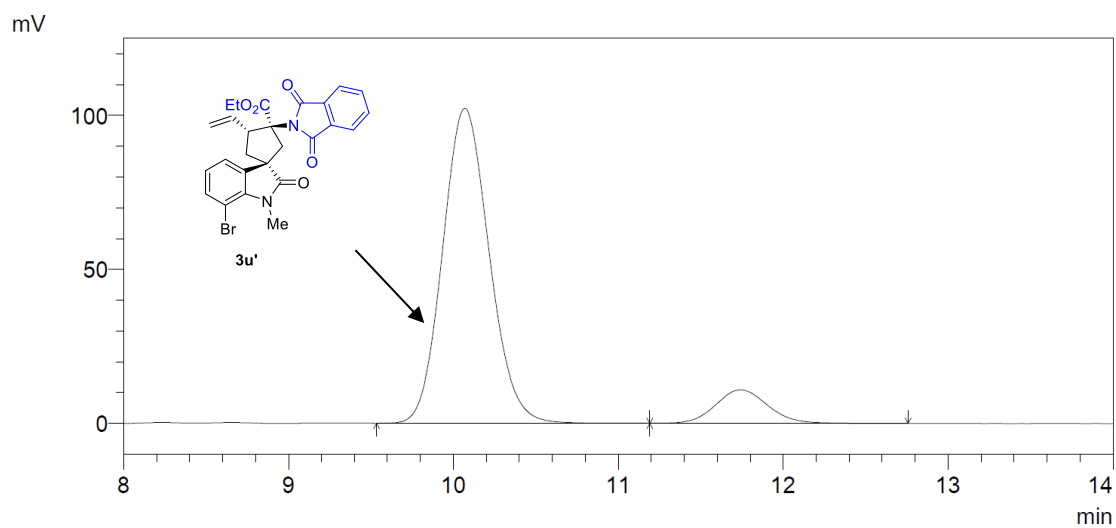
HPLC spectra of *rac*-3u'



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	10.125	239805	12192	49.894
2	11.794	240822	10913	50.106

HPLC spectra of chiral 3u'

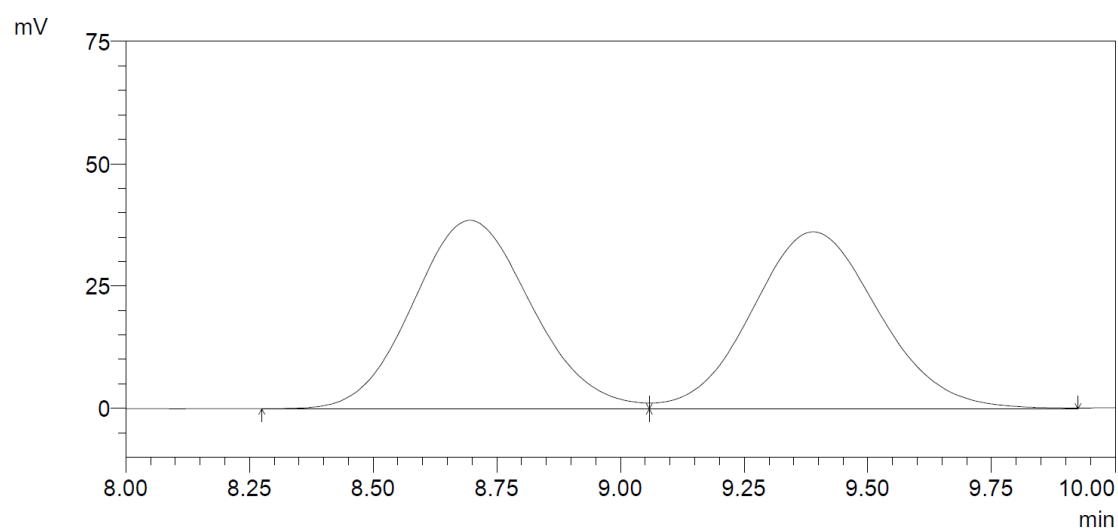


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	10.069	2012838	102402	89.282
2	11.742	241629	10915	10.718

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1R,2R,4S)}$ -3u' = 10.1 min (major), $t_{(1S,2S,4R)}$ -3u' = 11.8 min (minor).

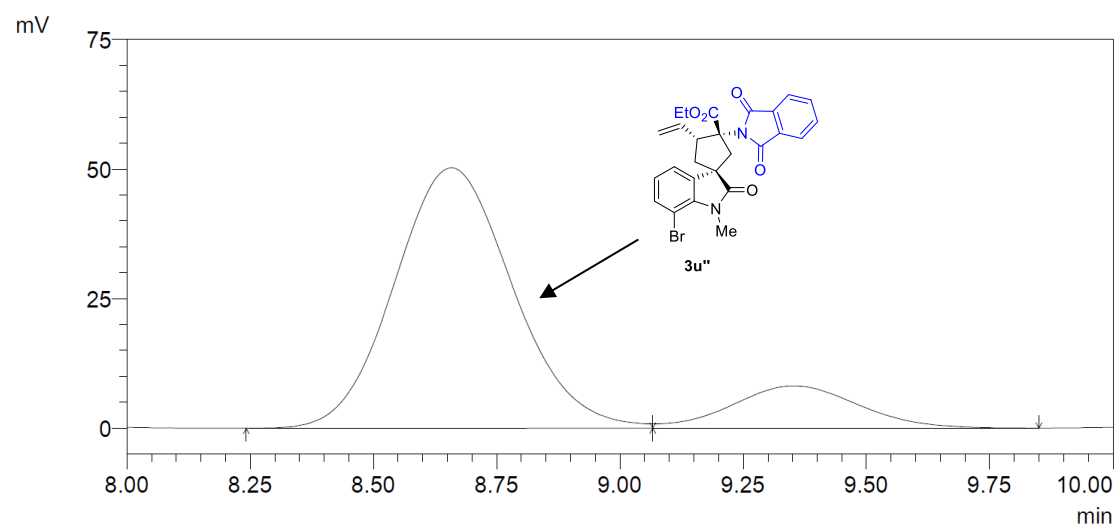
HPLC spectra of *rac*-3u''



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	8.695	647500	38565	49.864
2	9.390	651030	36240	50.136

HPLC spectra of chiral 3u''

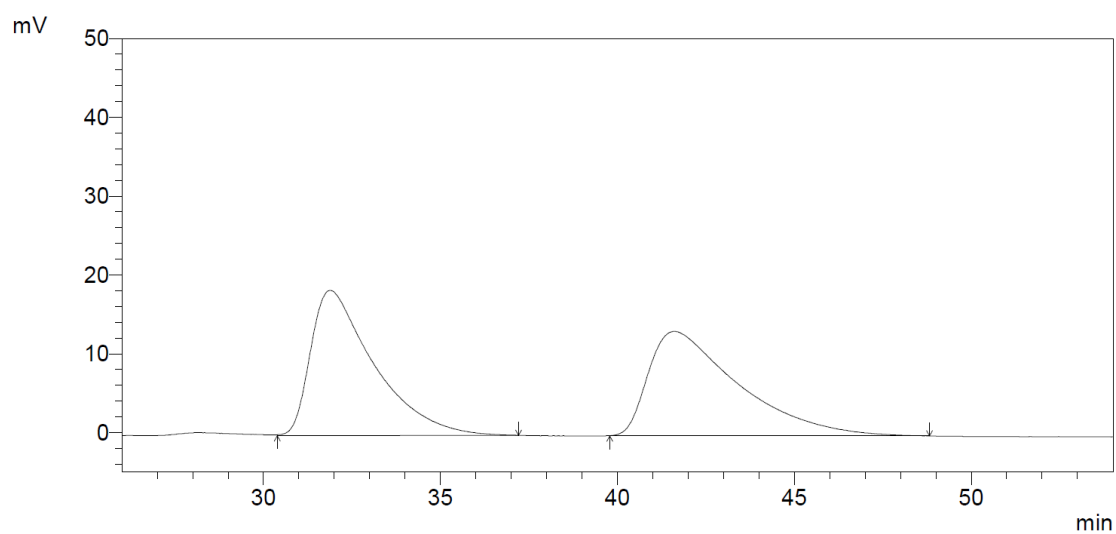


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	8.658	841079	50319	85.205
2	9.353	146049	8183	14.795

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 85/15, flow rate 1.5 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4S)}$ -3u'' = 8.7 min (major), $t_{(1R,2S,4R)}$ -3u'' = 9.4 min (minor).

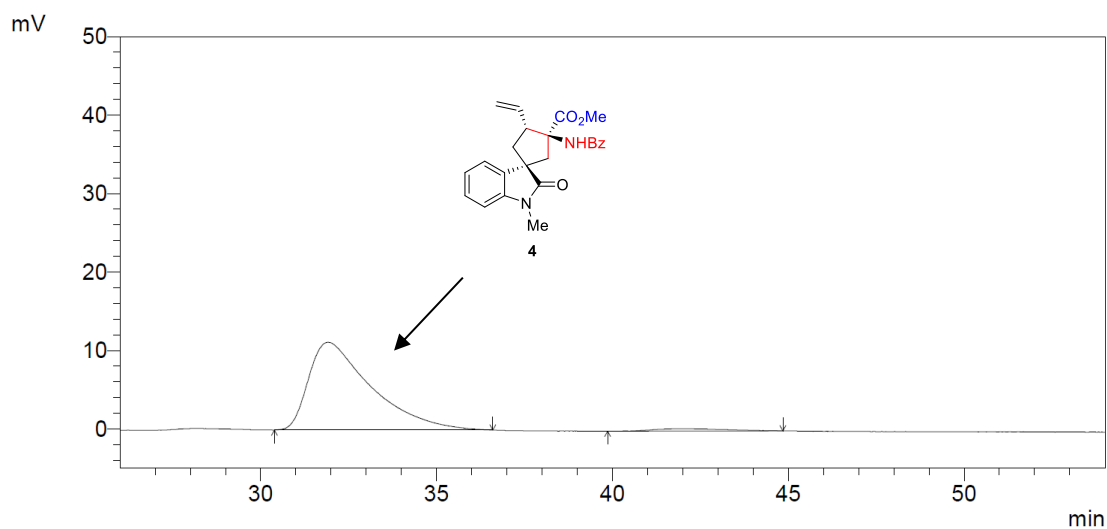
HPLC spectra of *rac*-4



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	31.890	2291849	18419	49.484
2	41.607	2339604	13271	50.516

HPLC spectra of chiral 4



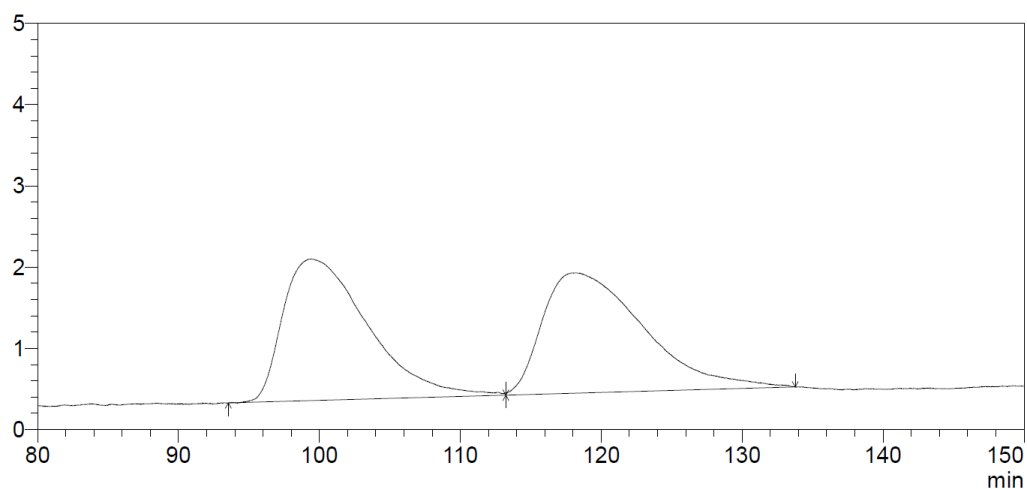
PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	31.918	1380696	11175	97.073
2	41.876	41633	308	2.927

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 93/7, flow rate 0.8 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-4} = 31.9$ min (major), $t_{(1R,2S,4S)-4} = 41.6$ min (minor).

HPLC spectra of *rac*-5

mV

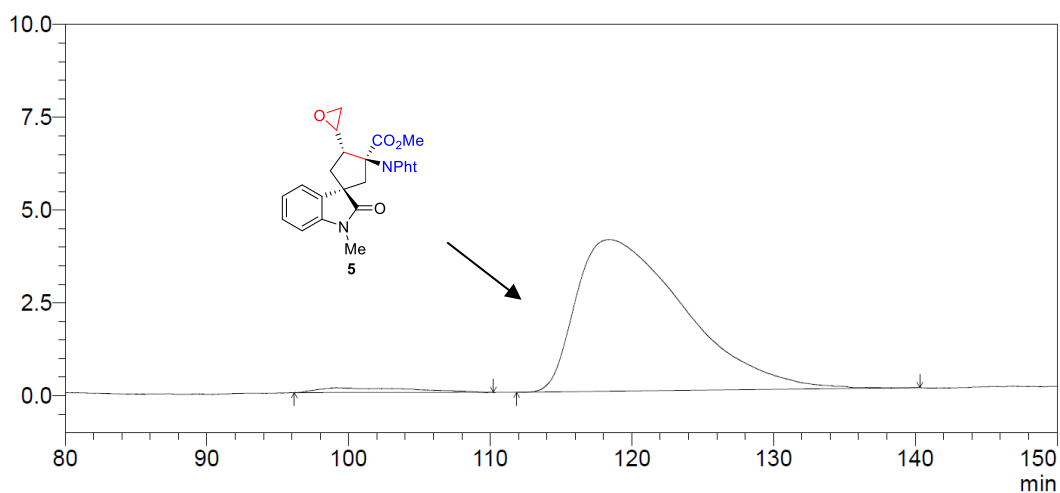


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	99.410	728313	1743	49.730
2	118.060	736229	1482	50.270

HPLC spectra of chiral 5

mV



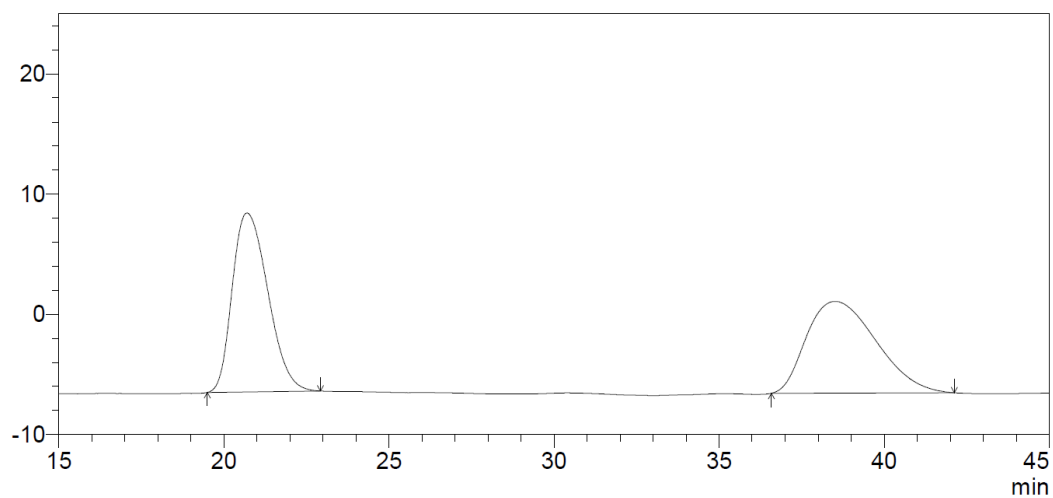
PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	99.122	59687	130	2.667
2	118.310	2178367	4086	97.333

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 93/7, flow rate 0.8 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)-5} = 99.4$ min (minor), $t_{(1R,2S,4S)-5} = 118.1$ min (major).

HPLC spectra of *rac*-6

mV

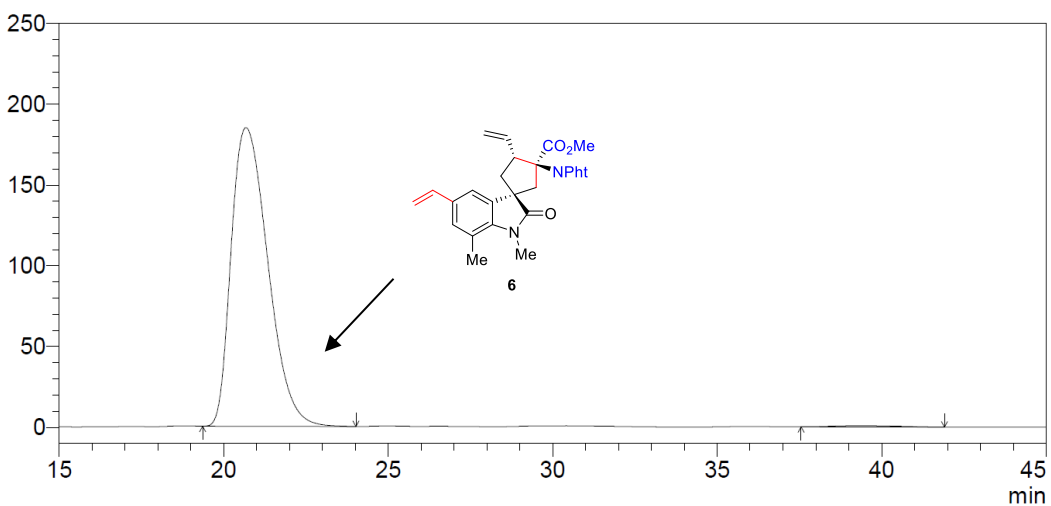


PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	20.702	1116464	14893	49.935
2	38.508	1119392	7628	50.065

HPLC spectra of chiral 6

mV



PDA 254nm

ID#	Rt.Time	Area	Height	Area%
1	20.679	14373143	185031	99.269
2	39.338	105803	817	0.731

Chiral HPLC analysis: Chiralcel AD-H, Hexane/*i*-PrOH = 90/10, flow rate 1.0 mL/min, $\lambda = 254$ nm, $t_{(1S,2R,4R)}-6 = 20.7$ min (major), $t_{(1R,2S,4S)}-6 = 38.5$ min (minor).