Supporting Information

Asymmetric α-Alkylation of Aldehydes with 3-Hydroxy-3-Indolylox-Indoles in Aqueous Media

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General Methods. The aldehydes **2a-d** were purchased from commercial suppliers and used without further purification. ^{1}H NMR and ^{13}C NMR spectra were recorded on a Varian INOVA 300 or 400 MHz (^{1}H NMR) and 75 or 100 MHz (^{13}C NMR) spectrumeter using $CDCl_3$ or DMSO-d_6 as solvent. Chemical shifts (δ ppm) were relative to the resonance of the deuterated solvent as the internal standard. High resolution mass spectra were obtained using GCT-TOF instrument with EI or ESI source. High performance liquid chromatography (HPLC) was performed on an Agilent 1200 Series chromatographs using a Chiralcel AD-H column (0.46cm x 25cm), Chiralcel OD-H column (0.46cm x 25cm) and HPLC grade isopropanol and *n*-hexane were used as the eluting solvents. Chromatographic purification was done with 300-400 mesh silica gel. Materials: All the reactions were carried out in undistilled solvent without any precautions to exclude water. The 3-hydroxy-3-indolylox-indoles **1a-h**[1] were prepared according to the literature procedure. The imidazolidinones **A-I**[2] were prepared according to the reported procedure.

[1] S.-Y. Wang and S.-J. Ji, *Tetrahedron*, 2006, **62**, 1527.
[2] (*a*) K. A. Ahrendt, C. J. Borths and D. W. C. MacMillan, *J. Am. Chem. Soc.*, 2000, **122**, 4243; (*b*) T. J. Peelen, Y. Chi and S. H. Gellman, *J. Am. Chem. Soc.*, 2005, **127**, 11598.

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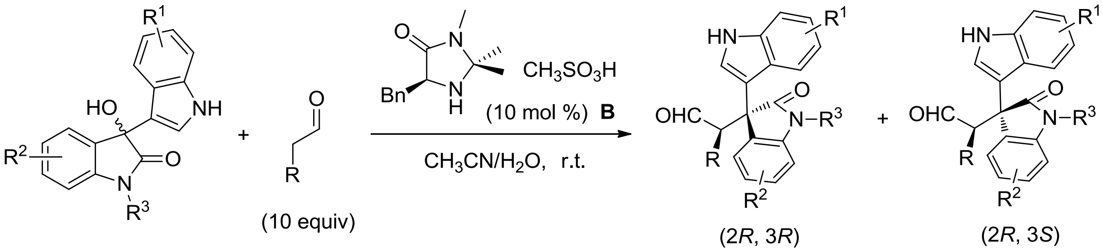
Enantioselective α-alkylation of aldehydes:



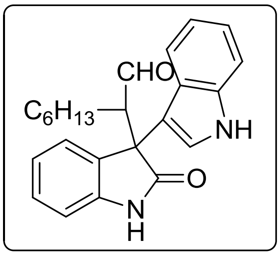
1

2a: R = n-C_6H_{13}
2b: R = CH_3
2c: R = CH_2CH_3
2d: R = CH_2Ph

General procedure: In an ordinary test tube equipped with a magnetic stirring bar, the alcohol **1** (0.5 mmol, 1 equiv.) and aldehyde **2** (5 mmol, 10 equiv.) were dissolved in CH_3CN/H_2O solvent mixture at room temperature. After stirring for 1 min, chiral imidazolidinone catalyst **B** (0.05 mmol, 10 mol %) was added. The mixture was vigorously stirred at room temperature, until alcohol **1** was completely consumed as indicated by TLC analysis. After dilution with Et_2O, the organic layer was separated and the aqueous layer was extracted twice with Et_2O. The combined organic layers were washed with brine, dried over Na_2SO_4 and concentrated *in vacuo*. The desired product **3** (diastereomer mixture) was obtained after purification by flash column chromatography using petroleum ether /ethyl acetate as the eluent.

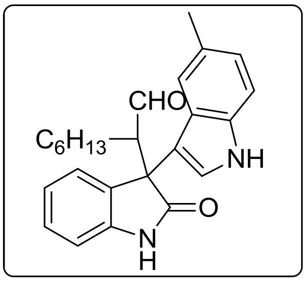
Description of products:

2-(3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3aa)



dr = 70:30 ratio (*syn*-**3aa**/*anti*-**3aa**) was determined by integration of C<u>H</u>CHO ^{1}H NMR signal. *Syn* diastereomer *ee* = 85%; *Anti* diastereomer *ee* = >99%. The *ee* was determined by HPLC analysis Daicel Chiralcel OD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 210 nm: *Syn* diastereomer t_{major} = 16.306 min, t_{minor} = 5.354 min. *Anti* diastereomer t_{major} = 6.411 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 0.75-0.85 (m, 12H), 1.15-1.22 (m, 14H), 3.46-3.48 (m, 1H), 3.63-3.66 (m, 1H), 6.83-7.03 (m, 9H), 7.16-7.27 (m, 5H), 7.29-7.35 (m, 3H), 7.43-7.45 (m, 1H), 9.71 (d, *J* = 2.5 Hz, 1H, diast.), 9.80 (d, *J* = 2.8 Hz, 1H, diast.), 10.64 (s, 1H, diast.), 10.78 (s, 1H, diast.), 11.10 (s, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6, mixture of two diastereomers): δ = 203.49, 203.11, 178.53, 177.74, 142.37, 141.82, 136.96, 136.80, 131.67, 130.02, 128.60, 128.23, 125.72(2C), 124.99, 124.84, 124.54, 124.38, 121.78, 121.62, 121.27(2C), 120.50, 119.87, 118.68(2C), 112.46, 111.75(2C), 111.55, 109.87, 109.61, 55.02, 54.34, 53.98, 53.84, 30.95, 30.82, 28.51, 28.28, 27.15, 27.02, 24.73, 24.02, 21.92(2C), 13.86(2C); **HRMS** (ESI): found: *m/z* = 373.1935, calcd. for $[C_{24}H_{25}N_2O_2]^-$: 373.1922.

2-(3-(5-methyl-1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3ba)



dr = 62:38 ratio (*syn*-**3ba**/*anti*-**3ba**) was determined by integration of C<u>H</u>CHO ^{1}H NMR signal. *Syn* diastereomer *ee* = 71%; *Anti* diastereomer *ee* = 78%. The *ee* was determined by HPLC analysis Daicel Chiralcel AD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 8.997 min, t_{minor} = 5.715 min. *Anti* diastereomer t_{major} = 7.181 min, t_{minor} = 7.550 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 0.75-0.84 (m, 6H), 1.06-1.16 (m, 20H), 2.25 (s, 3H), 2.29 (s, 3H), 3.47 (d, *J* = 10.6 Hz, 1H), 3.65 (d, *J* = 9.4 Hz, 1H), 6.87-7.07 (m, 9H), 7.21-7.31 (m, 7H), 9.69 (s, 1H, diast.), 9.77 (s, 1H, diast.), 10.61 (s, 1H, diast.), 10.76 (s, 1H, diast.), 10.95-10.96 (m, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 203.55, 203.16, 178.56, 177.74, 142.32, 141.80, 135.36, 135.19, 131.71, 130.07, 128.52, 128.20, 127.00, 126.88, 125.68, 125.21, 125.05, 124.48, 124.39(2C), 122.89(2C), 121.74, 121.56, 120.24, 119.52, 111.90, 111.47, 111.45, 111.00,

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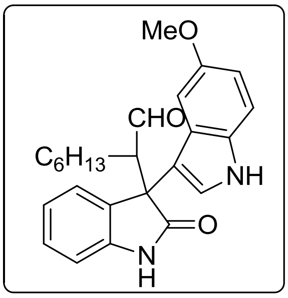
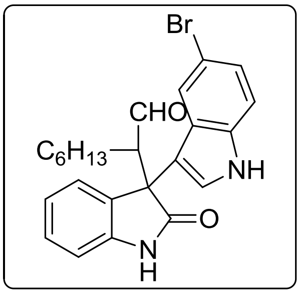
109.80, 109.56, 54.90, 54.21, 53.98, 53.82, 30.92, 30.81, 28.51, 28.24, 27.10, 27.00, 24.75, 23.99, 22.04, 21.91, 21.45, 21.35, 13.84(2C); **HRMS** (ESI): found: *m/z* = 387.2087, calcd. for $[C_{25}H_{27}N_2O_2]^-$: 387.2078.

2-(3-(5-methoxy-1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3ca)



dr = 50:50 ratio (*syn*-**3ca**/*anti*-**3ca**) was determined by integration of CHCHO [1]H NMR signal. *Syn* diastereomer *ee* = 65%; *Anti* diastereomer *ee* = 90%. The *ee* was determined by HPLC analysis Daicel Chiralcel AD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 11.951 min, t_{minor} = 6.956 min. *Anti* diastereomer t_{major} = 9.914 min, t_{minor} = 9.565 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 0.77-0.83 (m, 6H), 1.07-1.23 (m, 20H), 3.46 (s, 1H), 3.53-3.55 (m, 3H), 3.63-3.64 (m, 4H), 6.47 (s, 1H), 6.70-6.82 (m, 3H), 6.94-7.11 (m, 6H), 7.23-7.31 (m, 6H), 9.69 (s, 1H, diast.), 9.84 (s, 1H, diast.), 10.64 (s, 1H, diast.), 10.76 (s, 1H, diast.), 10.96 (s, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 203.59, 203.15, 178.52, 177.73, 152.88, 152.75, 142.54, 141.94, 132.00(2C), 131.45, 130.00, 128.62, 128.28, 125.92, 125.31, 125.28, 125.23, 125.04, 124.59, 121.77, 121.64, 112.29, 112.23, 111.93, 110.99(3C), 109.81, 109.57, 102.42, 102.25, 55.21, 54.97, 54.86, 54.11, 53.91, 53.78, 30.95, 30.84, 28.48, 28.29, 27.18, 26.96, 24.69, 24.03, 21.94, 21.90, 13.85(2C). **HRMS** (ESI): found: *m/z* = 403.2053, calcd. for $[C_{25}H_{27}N_2O_3]^-$: 403.2027.

2-(3-(5-bromo-1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3da)



dr = 62:38 ratio (*syn*-**3da**/*anti*-**3da**) was determined by integration of CHCHO [1]H NMR signal. *Syn* diastereomer *ee* = 67%; *Anti* diastereomer *ee* = 67%. The *ee* was determined by HPLC analysis Daicel Chiralcel AD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 14.040 min, t_{minor} = 5.111 min. *Anti* diastereomer t_{major} = 6.237 min, t_{minor} = 9.417 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 0.74-0.84 (m, 6H), 1.06-1.23 (m, 20H), 3.47 (d, *J* = 10.8 Hz, 1H), 3.60 (d,

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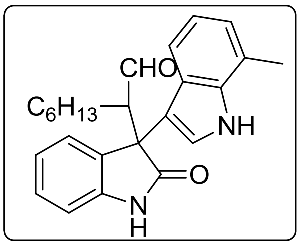
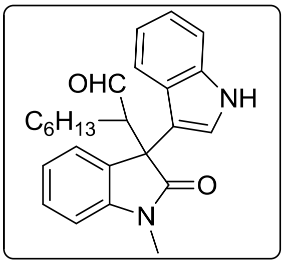
J = 10.0 Hz, 1H), 6.93-7.00 (m, 3H), 7.03-7.10 (m, 3H), 7.15-7.19 (m, 2H), 7.22-7.23 (m, 1H), 7.26-7.28 (m, 2H), 7.32-7.36 (m, 3H), 7.41 (s, 1H), 7.61 (s, 1H), 9.65 (d, *J* = 2.7 Hz, 1H, diast.), 9.78 (d, *J* = 3.2 Hz, 1H, diast.), 10.71(s, 1H, diast.), 10.83 (s, 1H, diast.), 11.34-11.36 (m, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 203.35, 202.93, 178.34, 177.56, 142.31, 141.79, 135.69, 135.53, 130.97, 129.46, 128.81, 128.48, 126.64, 126.52, 126.18(2C), 125.84(2C), 124.64, 123.85, 122.85, 122.18, 121.89, 121.76, 113.81, 112.09, 111.47, 111.43(2C), 111.28, 110.00, 109.76, 55.00, 54.36, 53.84, 53.64, 30.91, 30.78, 28.48, 28.17, 27.09, 26.94, 24.77, 23.88, 21.91(2C), 13.86(2C); **HRMS** (ESI): found: *m/z* = 451.1057, calcd. for $[C_{24}H_{24}BrN_2O_2]^-$: 451.1027.

2-(3-(7-methyl-1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3ea)



dr = 34:66 ratio (*syn*-**3ea**/*anti*-**3ea**) was determined by integration of CHCHO ^{1}H NMR signal. *Syn* diastereomer *ee* = 83%; *Anti* diastereomer *ee* = 82%. The *ee* was determined by HPLC analysis Daicel Chiralcel AD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 7.910 min, t_{minor} = 9.588 min. *Anti* diastereomer t_{major} = 16.220 min, t_{minor} = 9.045 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 0.76-0.83 (m, 6H), 1.07-1.23 (m, 20H), 2.41 (s, 6H), 3.47 (d, *J* = 10.1 Hz, 1H), 3.64 (d, *J* = 9.8 Hz, 1H), 6.73-6.84 (m, 4H), 6.91-7.03 (m, 7H), 7.16-7.32 (m, 5H), 9.74 (d, *J* = 2.4 Hz, 1H, diast.), 9.81 (d, *J* = 2.6 Hz, 1H, diast.), 10.63 (s, 1H, diast.), 10.77 (s, 1H, diast.), 11.06 (s, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 203.43, 177.73, 142.36, 136.34, 130.13, 128.60, 128.20, 125.69, 124.69, 124.22, 121.73, 120.74, 118.89, 117.98, 112.05, 109.83, 54.31, 53.94, 30.95, 28.51, 27.01, 24.68, 21.92, 16.70, 13.88; **HRMS** (ESI): found: *m/z* = 387.2084, calcd. for $[C_{25}H_{27}N_2O_2]^-$: 387.2078.

2-(3-(1*H*-indol-3-yl)-1-methyl-2-oxoindolin-3-yl)octanal(3fa)



dr = 55:45 ratio (*syn*-**3fa**/*anti*-**3fa**) was determined by integration of CHCHO ^{1}H NMR signal. *Syn* diastereomer *ee* = 78%; *Anti* diastereomer *ee* = 81%. The *ee* was determined by HPLC analysis Daicel Chiralcel AD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 9.173 min, t_{minor} = 6.314 min. *Anti* diastereomer t_{major} =

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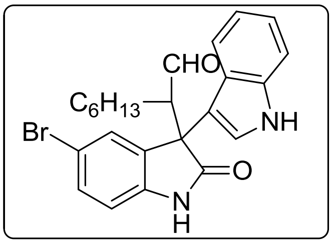
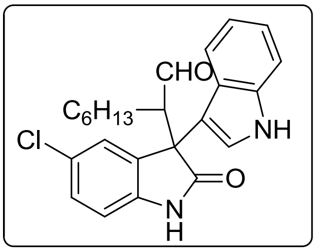
12.386 min, t_{minor} = 7.952 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 0.77-0.81 (m, 6H), 1.14-1.33 (m, 20H), 3.17 (s, 3H), 3.26 (s, 3H), 3.54 (d, J = 8.9 Hz, 1H), 3.72 (d, J = 7.1 Hz, 1H), 6.86-6.88 (m, 2H), 7.04-7.17 (m, 7H), 7.25-7.40 (m, 9H), 9.71 (s, 1H, diast.), 9.79 (s, 1H, diast.), 11.12 (s, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 203.35, 203.00, 176.67, 175.99, 143.75, 143.27, 136.97, 136.80, 130.78, 129.26, 128.72, 128.36, 125.36, 124.90, 124.71, 124.58, 124.48, 124.09, 122.46, 122.29, 121.31(2C), 120.46(2C), 118.82, 118.75, 112.18, 111.79, 111.26(2C), 108.90, 108.68, 55.03, 54.46, 53.51, 53.37, 30.95, 30.81, 28.47, 28.25, 27.13, 27.01, 26.16(2C), 24.77(2C), 21.90, 21.87, 13.86, 13.83; **HRMS** (ESI): found: m/z = 387.2085, calcd. for $[C_{25}H_{27}N_2O_2]^-$: 387.2078.

2-(5-bromo-3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3ga)



dr = 60:40 ratio (*syn*-**3ga**/*anti*-**3ga**) was determined by integration of CHCHO ^{1}H NMR signal. *Syn* diastereomer *ee* = 60%; *Anti* diastereomer *ee* = >99%. The *ee* was determined by HPLC analysis Daicel Chiralcel OD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 11.362 min, t_{minor} = 4.844 min. *Anti* diastereomer t_{major} = 6.128 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 0.77-0.84 (m, 6H), 1.16-1.20 (m, 20H), 3.52 (d, J = 9.4 Hz, 1H), 3.73 (d, J = 8.5 Hz, 1H), 6.87-6.96 (m, 4H), 7.04-7.10 (m, 3H), 7.21-7.27 (m, 2H), 7.36-7.42 (m, 6H), 7.48-7.50 (m, 1H), 9.80 (s, 2H), 10.82 (s, 1H, diast.), 10.97 (s, 1H, diast.), 11.15-11.19 (m, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 203.56, 202.97, 178.20, 177.38, 141.66, 141.16, 136.91, 136.70, 134.48, 132.80, 131.43, 131.02, 128.25, 126.96, 124.79(2C), 124.61(2C), 121.43, 121.38, 120.05, 119.38, 118.93, 118.88, 113.65, 113.45, 111.90(2C), 111.78, 111.59, 110.96(2C), 54.57, 54.11, 54.08, 53.98, 30.96, 30.81, 28.49, 28.16, 27.03, 26.99, 24.83, 23.92, 21.93, 21.90, 13.89(2C); **HRMS** (ESI): found: m/z = 451.1054, calcd. for $[C_{24}H_{24}BrN_2O_2]^-$: 451.1027.

2-(5-chloro-3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3ha)



dr = 60:40 ratio (*syn*-**3ha**/*anti*-**3ha**) was determined by integration of CHCHO ^{1}H NMR signal. *Syn* diastereomer *ee* = 62%; *Anti* diastereomer *ee* = 79%. The *ee* was determined by HPLC analysis Daicel Chiralcel OD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 11.124 min, t_{minor} = 4.735 min. *Anti* diastereomer

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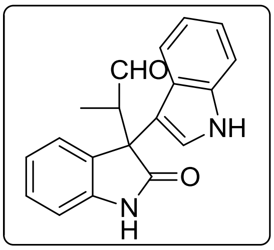
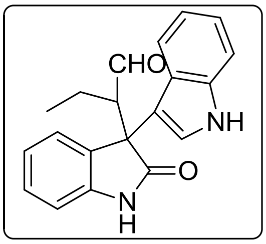
t_{major} = 6.050 min, t_{minor} = 12.525 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 0.77-0.82 (m, 6H), 1.16-1.23 (m, 20H), 3.52 (d, J = 10.1 Hz, 1H), 3.71 (d, J = 9.7 Hz, 1H), 6.86-7.00 (m, 4H), 7.05-7.09 (m, 3H), 7.20-7.31 (m, 5H), 7.35-7.42 (m, 4H), 9.77-9.80 (m, 2H), 10.80 (s, 1H, diast.), 10.95 (s, 1H, diast.), 11.14-11.18 (m, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 203.54, 202.95, 178.29, 177.49, 141.27, 140.75, 136.92, 136.71, 134.04, 132.36, 128.57, 128.16, 125.91, 125.73(2C), 125.61, 124.79(2C), 124.60(3C), 124.29, 121.37, 120.08, 119.43, 118.86, 111.87(2C), 111.25(2C), 111.06, 110.94, 54.17, 54.07(2C), 54.05, 30.95, 30.81, 28.48, 28.17, 27.01(2C), 24.82, 23.95, 21.92(2C), 13.87(2C); **HRMS** (ESI): found: m/z = 407.1541, calcd. for $[C_{24}H_{24}ClN_2O_2]^-$: 407.1532.

2-(3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)propanal(3ab)



dr = 60:40 ratio (*syn*-**3ab**/*anti*-**3ab**) was determined by integration of C<u>H</u>CHO ^{1}H NMR signal. *Syn* diastereomer *ee* = 68%; *Anti* diastereomer *ee* = >99%. The *ee* was determined by HPLC analysis Daicel Chiralcel OD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 49.598 min, t_{minor} = 7.813 min. *Anti* diastereomer t_{major} = 9.937 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 0.79 (d, J = 6.7 Hz, 3H), 0.90 (d, J = 6.9 Hz, 3H), 3.76-3.87 (m, 2H), 6.82-6.85 (m, 1H), 6.89-6.95 (m, 2H), 6.97-7.06 (m, 4H), 7.08-7.12 (m, 3H), 7.18-7.32 (m, 6H), 7.35-7.37 (m, 2H), 9.79 (s, 1H, diast.), 9.93 (s, 1H, diast.), 10.65 (s, 1H, diast.), 10.79 (s, 1H, diast.), 11.15 (s, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 203.85, 203.27, 178.82, 177.86, 142.68, 141.84, 137.06, 136.93, 132.12, 129.92, 128.71, 128.16, 125.39, 125.16, 124.95, 124.70, 124.41, 124.23, 121.90, 121.72, 121.38, 121.32, 120.31, 119.83, 118.86, 118.75, 112.58, 111.89, 111.82, 111.51, 109.82, 109.78, 54.01(2C), 49.41, 48.82, 9.38, 9.14; **HRMS** (ESI): found: m/z = 303.1132, calcd. for $[C_{19}H_{15}N_2O_2]^-$: 303.1139.

2-(3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)butanal(3ac)



dr = 70:30 ratio (*syn*-**3ac**/*anti*-**3ac**) was determined by integration of C<u>H</u>CHO ^{1}H NMR signal. *Syn* diastereomer *ee* = 56%; *Anti* diastereomer *ee* = >99%. The *ee* was determined by HPLC analysis Daicel Chiralcel OD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ

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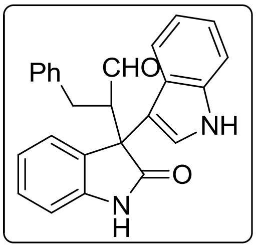
= 254 nm: *Syn* diastereomer t_{major} = 19.858 min, t_{minor} = 6.020 min. *Anti* diastereomer t_{major} = 7.455 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 0.80 (t, *J* = 7.3 Hz, 6H), 1.19-1.38 (m, 4H), 3.40-3.43 (m, 1H, diast.), 3.55-3.58 (m, 1H, diast.), 6.83-6.87 (m,1H), 6.91-6.98 (m, 4H), 7.02-7.05 (m, 4H), 7.16-7.24 (m, 6H), 7.31-7.35 (m, 3H), 9.71 (d, *J* = 2.6 Hz, 1H, diast.), 9.80 (d, *J* = 2.9 Hz, 1H, diast.), 10.64 (s, 1H, diast.), 10.78 (s, 1H, diast.), 11.10 (s, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 203.47, 203.09, 178.52, 177.75, 142.33, 141.80, 136.92, 136.78, 130.06, 129.90 128.61, 128.24, 125.70, 125.55, 124.97, 124.83, 124.51, 124.41(2C), 124.32, 121.81, 121.62, 121.27, 120.43, 118.75, 118.68, 112.50, 111.74, 111.55, 109.86, 109.61, 108.28, 56.83, 56.20, 53.94, 53.85, 18.17, 17.66, 12.46, 12.15; **HRMS** (ESI): found: *m/z* = 317.1294, calcd. for $[C_{20}H_{17}N_2O_2]^-$: 317.1296.

2-(3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)-3-phenylpropanal(3ad)



dr = 45:55 ratio (*syn*-**3ad**/*anti*-**3ad**) was determined by integration of CHCHO ^{1}H NMR signal. *Syn* diastereomer *ee* = 78%; *Anti* diastereomer *ee* = 80%. The *ee* was determined by HPLC analysis Daicel Chiralcel AD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 26.000 min, t_{minor} = 12.477 min. *Anti* diastereomer t_{major} = 17.514 min, t_{minor} = 21.833 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 2.39-2.42 (m, 2H), 2.74 (dd, *J* = 14.0, 10.3 Hz, 1H), 3.06 (dd, *J* = 13.8, 11.1 Hz, 1H), 3.88-3.92 (m, 1H), 4.10-4.13 (m, 1H), 6.87-6.91 (m, 1H), 6.96-7.02 (m, 6H), 7.07-7.11 (m, 5H), 7.17-7.20 (m, 5H), 7,23-7.27 (m, 5H), 7.33-7.37 (m, 4H), 7.43-7.44 (m, 1H), 7.59-7.62 (m, 1H), 9.68 (d, *J* = 2.6 Hz, 1H, diast.), 9.78 (d, *J* = 2.6 Hz, 1H, diast.), 10.75 (s, 1H, diast.), 10.83 (s, 1H, diast.), 11.16-11.17 (m, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 202.80, 202.15, 178.12, 177.59, 142.28, 141.91, 139.16, 138.97, 137.00, 136.97, 130.94, 129.73, 128.83(2C), 128.77(2C), 128.49, 128.33(4C), 128.22(4C), 126.20, 126.14, 125.68, 124.94, 124.77, 124.71, 124.68, 121.90, 121.77, 121.43, 121.34, 120.48, 120.23, 118.81(2C), 111.90, 111.14, 110.04, 109.84, 57.14, 56.20, 54.25, 54.22, 30.83, 30.41; **HRMS** (ESI): found: *m/z* = 379.1468, calcd. for $[C_{25}H_{19}N_2O_2]^-$: 379.1452.

2-(3-(5-bromo-1*H*-indol-3-yl)-2-oxoindolin-3-yl)propanal(3db)

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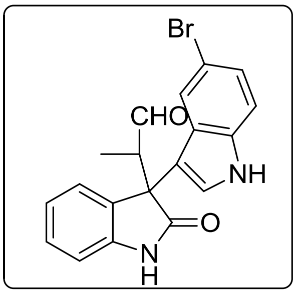
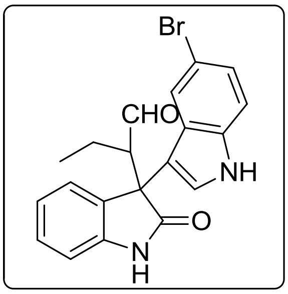


dr = 62:38 ratio (*syn*-**3db**/*anti*-**3db**) was determined by integration of CHCHO ^{1}H NMR signal. *Syn* diastereomer *ee* = 64%; *Anti* diastereomer *ee* = >99%. The *ee* was determined by HPLC analysis Daicel Chiralcel OD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 31.871 min, t_{minor} = 6.390 min. *Anti* diastereomer t_{major} = 7.192 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 0.77 (d, J = 6.7 Hz, 3H), 0.92 (d, J = 7.0 Hz, 3H), 3.81 (q, J = 7.5 Hz, 1H), 4.03 (q, J = 7.1 Hz, 1H), 6.94-7.05 (m, 4H), 7.12-7.26 (m, 6H), 7.32-7.35 (m, 5H), 7.62 (s, 1H), 9.73 (s, 1H, diast.), 9.87 (s, 1H, diast.), 10.69 (s, 1H, diast.), 10.84 (s, 1H, diast.), 11.39 (s, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 203.58, 202.90, 178.57, 177.59, 142.58, 141.79, 135.74, 135.58, 131.46, 129.30, 128.85, 128.34, 126.76, 126.60, 126.30, 126.01, 125.44, 124.55, 123.90, 123.82, 122.65, 121.97, 121.94, 121.79, 113.87, 113.80, 112.21, 111.55, 111.44, 111.19, 109.87, 109.84, 53.83, 53.68, 49.55, 48.74, 9.30, 9.06; **HRMS** (ESI): found: *m/z* = 381.0244, calcd. for $[C_{19}H_{14}BrN_2O_2]^-$: 381.0244.

2-(3-(5-bromo-1*H*-indol-3-yl)-2-oxoindolin-3-yl)butanal(3dc)



dr = 52:48 ratio (*syn*-**3dc**/*anti*-**3dc**) was determined by integration of CHCHO ^{1}H NMR signal. *Syn* diastereomer *ee* = 77%; *Anti* diastereomer *ee* = 68%. The *ee* was determined by HPLC analysis Daicel Chiralcel OD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 14.711 min, t_{minor} = 5.574 min. *Anti* diastereomer t_{major} = 5.844 min, t_{minor} = 10.060 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 0.78-0.82 (m, 6H), 1.16-1.24 (m, 4H), 3.39 (d, J = 10.2 Hz, 1H), 3.52 (d, J = 9.8 Hz, 1H), 6.93-7.00 (m, 3H), 7.03-7.09 (m, 2H), 7.16-7.18 (m, 2H), 7.23-7.27 (m, 4H), 7.32-7.34 (m, 3H), 7.41 (s, 1H), 7.55 (s, 1H), 9.67 (s, 1H, diast.), 9.77 (s, 1H, diast.), 10.69 (s, 1H, diast.), 10.83 (s, 1H, diast.), 11.32-11.36 (m, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 203.29, 202.92, 178.32, 177.58, 142.29, 141.77, 135.67, 135.49, 131.15, 129.48, 128.79, 128.47, 126.62, 126.53, 126.15(2C), 125.82(2C), 124.59, 123.84, 122.79, 121.92, 121.75, 113.85, 113.77, 112.17, 111.48, 111.42(2C), 111.26, 109.99, 109.73, 56.89, 56.19, 53.82, 53.65, 18.26, 17.64(l), 12.49(l), 12.08; **HRMS** (ESI): found: *m/z* = 395.0408, calcd. for $[C_{20}H_{16}BrN_2O_2]^-$:

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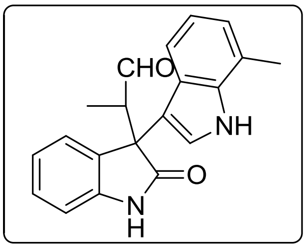
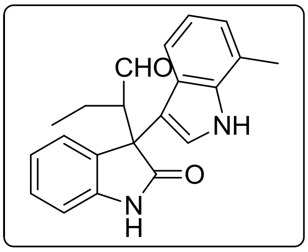
395.0401.

2-(3-(7-methyl-1*H*-indol-3-yl)-2-oxoindolin-3-yl)propanal(3eb)



dr = 34:66 ratio (*syn*-**3eb**/*anti*-**3eb**) was determined by integration of CHCHO [1]H NMR signal. *Syn* diastereomer *ee* = 83%; *Anti* diastereomer *ee* = 84%. The *ee* was determined by HPLC analysis Daicel Chiralcel AD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 9.174 min, t_{minor} = 10.525 min. *Anti* diastereomer t_{major} = 12.255 min, t_{minor} = 10.961 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 0.78 (d, *J* = 6.7 Hz, 3H, diast.), 0.88 (d, *J* = 6.9 Hz, 3H, diast.), 2.42 (s, 6H), 3.76-3.79 (m, 1H, diast.), 3.81-3.86 (m, 1H, diast.), 6.70-6.74 (m, 1H), 6.79-6.87 (m, 4H), 6.91-7.00 (m, 4H), 7.07-7.09 (m, 1H), 7.18-7.23 (m, 3H), 7.27-7.32 (m, 3H), 9.81 (s, 1H, diast.), 9.94 (s, 1H, diast.), 10.63 (s, 1H, diast.), 10.78 (s, 1H, diast.), 11.11 (s, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 203.84, 203.29, 178.75, 177.79, 142.64, 141.76, 136.39, 136.29, 132.20, 129.98, 128.63, 128.06, 125.31(2C), 124.82, 124.59, 124.32, 123.81, 121.79, 121.69(2C), 121.64, 120.89, 120.78, 119.00, 118.88, 117.73, 117.30, 113.05, 111.95, 109.68(2C), 54.01, 53.92, 49.26, 48.73, 16.72(2C), 9.32, 9.06; **HRMS** (ESI): found: *m/z* = 317.1310, calcd. for $[C_{20}H_{17}N_2O_2]^-$: 317.1296.

2-(3-(7-methyl-1*H*-indol-3-yl)-2-oxoindolin-3-yl)butanal(3ec)



dr = 34:66 ratio (*syn*-**3ec**/*anti*-**3ec**) was determined by integration of CHCHO [1]H NMR signal. *Syn* diastereomer *ee* = 75%; *Anti* diastereomer *ee* = 78%. The *ee* was determined by HPLC analysis Daicel Chiralcel AD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 8.624 min, t_{minor} = 9.472 min. *Anti* diastereomer t_{major} = 13.113 min, t_{minor} = 12.003 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 0.80 (t, *J* = 7.2 Hz, 6H), 1.19-1.40 (m, 4H), 2.41 (s, 6H), 3.40-3.42 (m, 1H, diast.), 3.55-3.58 (m, 1H, diast.), 6.73-6.86 (m, 4H), 6.91-6.94 (m, 1H), 6.97-7.04 (m, 6H), 7.15-7.16 (m, 1H), 7.19-7.25 (m, 3H), 7.29-7.32 (m, 1H), 9.74 (d, *J* = 2.8 Hz, 1H, diast.), 9.81 (d, *J* = 2.9 Hz, 1H, diast.), 10.63 (s, 1H, diast.), 10.78 (s, 1H, diast.), 11.07 (s, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 203.53, 203.18, 178.55, 177.78, 142.37, 141.80, 136.33, 136.21,

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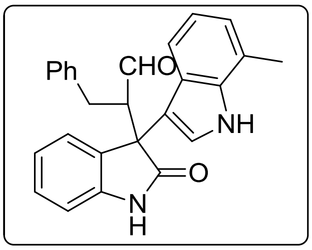
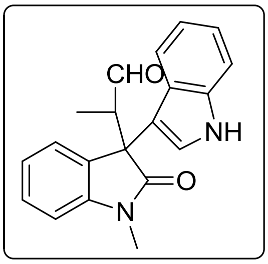
131.89, 130.16, 128.59, 128.21, 125.68, 124.70, 124.54, 124.34, 124.21, 123.98, 121.74, 121.61(2C), 120.85(2C), 120.74, 118.96, 118.89, 117.98, 117.36, 113.06, 112.07, 109.83, 109.58, 56.80, 56.17, 53.93, 53.87, 18.12, 17.63, 16.70(2C), 12.42, 12.14; **HRMS** (ESI): found: *m/z* = 331.1462, calcd. for $[C_{21}H_{19}N_2O_2]^-$: 331.1452.

2-(3-(7-methyl-1*H*-indol-3-yl)-2-oxoindolin-3-yl)-3-phenylpropanal(3ed)



dr = 43:57 ratio (*syn*-**3ed**/*anti*-**3ed**) was determined by integration of CHCHO [1]H NMR signal. *Syn* diastereomer *ee* = 73%; *Anti* diastereomer *ee* = >99%. The *ee* was determined by HPLC analysis Daicel Chiralcel OD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 30.187 min, t_{minor} = 7.336 min. *Anti* diastereomer t_{major} = 8.428 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 2.39-2.46 (m, 8H), 2.74 (dd, *J* = 14.0, 10.6 Hz, 1H), 3.04 (dd, *J* = 13.7, 11.0 Hz, 1H), 3.88 (d, *J* = 10.9 Hz, 1H), 4.10 (d, *J* = 10.4 Hz, 1H), 6.76-6.80 (m, 1H), 6.84-6.87 (m, 3H), 6.99-7.05 (m, 6H), 7.10-7.12 (m, 4H), 7.16-7.20 (m, 5H), 7.23-7.26 (m, 4H), 7.31-7.35 (m, 1H), 7.39-7.41 (m, 2H), 9.70 (d, *J* = 2.7 Hz, 1H, diast.), 9.78 (d, *J* = 2.5 Hz, 1H, diast.), 10.72 (s, 1H, diast.), 10.81 (s, 1H, diast.), 11.09-11.11 (m, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 202.84, 202.20, 178.12, 177.59, 142.28, 141.89, 139.14, 138.99, 136.36(2C), 131.12, 129.83, 128.83(2C), 128.75(4C), 128.44, 128.28(3C), 126.17, 126.11, 125.64, 124.73, 124.65, 124.47, 124.33(2C), 121.89(2C), 121.77(2C), 120.92, 120.85, 119.00(2C), 118.01, 117.75, 112.45, 111.63, 109.98, 109.79, 57.06, 56.14, 54.26, 54.20, 30.79, 30.37, 16.72(2C); **HRMS** (ESI): found: *m/z* = 393.1618, calcd. for $[C_{26}H_{21}N_2O_2]^-$: 393.1609.

2-(3-(1*H*-indol-3-yl)-1-methyl-2-oxoindolin-3-yl)propanal(3fb)



dr = 48:52 ratio (*syn*-**3fb**/*anti*-**3fb**) was determined by integration of CHCHO [1]H NMR signal. *Syn* diastereomer *ee* = >99%; *Anti* diastereomer *ee* = >99%. The *ee* was determined by HPLC analysis Daicel Chiralcel AD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 10.598 min. *Anti* diastereomer t_{major} = 12.935 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 0.73 (d, *J* = 6.6 Hz, 3H), 0.87 (d, *J* = 7.0 Hz, 3H), 3.18 (s, 3H), 3.26 (s, 3H), 3.82-3.93 (m, 2H), 6.82-6.86 (m, 1H), 6.89-6.92 (m,

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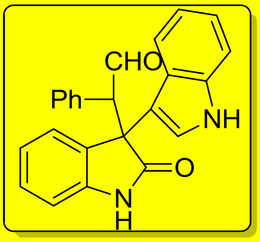
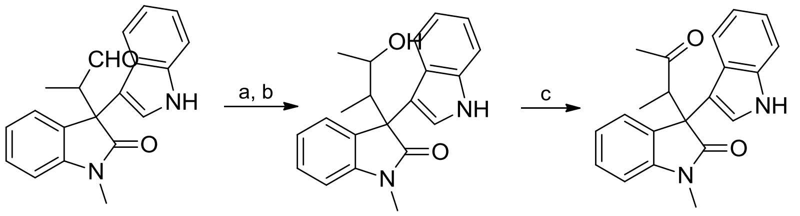
1H), 7.03-7.08 (m, 4H), 7.11-7.22 (m, 5H), 7.28-7.32 (m, 3H), 7.35-7.41 (m, 4H), 9.75-9.79 (m, 1H, diast.), 9.88-9.91 (m, 1H, diast.), 11.17 (m, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 203.62, 203.11, 176.88, 176.07, 144.00, 143.26, 137.00, 136.87, 131.16, 129.15, 128.77, 128.24, 125.00, 124.98, 124.79, 124.72, 124.29, 124.07, 122.52, 122.33, 121.35, 121.30, 120.18, 119.67, 118.89, 118.76, 112.21, 111.84, 111.81, 111.15, 108.79(2C), 53.48(2C), 49.46, 48.91, 26.15(2C), 9.35, 9.09; **HRMS** (ESI): found: *m/z* = 317.1295, calcd. for $[C_{20}H_{17}N_2O_2]^-$: 317.1296.

2-(3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)-2-phenylacetaldehyde(3ae)



dr = 28:72 ratio (*syn*-**3ae**/*anti*-**3ae**) was determined by integration of CHCHO ^{1}H NMR signal. *Syn* diastereomer *ee* = 8%; *Anti* diastereomer *ee* = 50%. The *ee* was determined by HPLC analysis Daicel Chiralcel AD-H column: hexane/*i*-PrOH 75:25, flow rate 1 mL/min, 30°C, λ = 254 nm: *Syn* diastereomer t_{major} = 16.474 min, t_{minor} = 23.171 min. *Anti* diastereomer t_{major} = 27.758 min, t_{minor} = 32.016 min. **^{1}H NMR** (400 MHz, DMSO-d_6, mixture of two diastereomers): δ = 5.02-5.03 (m, 2H), 6.65 (d, *J* = 7.8 Hz, 1H), 6.75 (d, *J* = 8.2 Hz, 1H), 6.81 (t, *J* = 7.8 Hz, 2H), 6.94-7.21 (m, 19H), 7.30 (t, *J* = 7.6 Hz, 1H), 7.37-7.41 (m, 2H), 7.56 (d, *J* = 7.5 Hz, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 10.15 (s, 1H, diast., CHO), 10.23 (s, 1H, diast., NH), 10.29 (s, 1H, diast., CHO), 10.75 (s, 1H, NH), 11.22 (s, 2H); **^{13}C NMR** (75 MHz, DMSO-d_6): δ = 201.79, 200.68, 179.08, 176.97, 142.82, 141.23, 136.93, 136.87, 134.21, 132.97, 131.94(2C), 130.40, 130.16(3C), 130.01, 128.91, 127.78(3C), 127.69(2C), 127.61, 127.24, 126.67, 125.16, 124.84, 124.77, 124.57, 121.57, 121.46, 121.32, 121.23, 120.09, 119.85, 118.95, 118.68, 112.68, 111.93, 111.75, 111.44, 109.59, 109.32, 60.91, 60.61, 55.42, 55.09; **HRMS** (ESI): found: *m/z* = 389.1260, calcd. for $[C_{24}H_{18}N_2O_2Na]^+$: 389.1260.

Determination of the absolute configuration of the alkylation products:



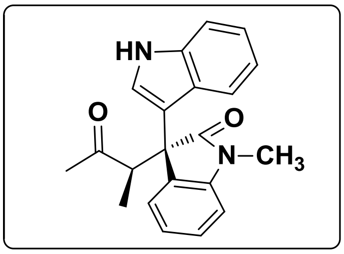
3fb: d.r. 48:52
syn-**3fb**: *ee* >99% *anti*-**3fb**: *ee* >99%

4fb: d.r. 48:52
syn-**4fb**: *ee* 87% *anti*-**4fb**: *ee* 96%

a. CH_3MgBr, THF(- 78 °C); b. NH_4Cl (aqueous). c. IBX, DMSO, RT

The relative and absolute configurations of the *syn* and *anti* product **3fb** were assigned by chemical correlation to a known derivative **4fb** obtained by Guo and Peng. Compound *syn*-**4fb** was assigned by comparison of its elution order from a chiral phase HPLC column to those reported in the literature.[3]

3-(1*H*-indol-3-yl)-1-methyl-3-(3-oxobutan-2-yl)indolin-2-one(*syn*-4fb)



syn-**4fb** *ee* = 87%; The *ee* was determined by HPLC analysis Daicel Chiralcel AD-H column: hexane/*i*-PrOH 70:30, flow rate 0.5 mL/min, 30°C, λ = 254 nm: t_{major} = 20.905 min, t_{minor} = 13.601 min. **^{1}H NMR** (400 MHz, DMSO): δ = 1.01 (d, *J* = 7.1 Hz, 3H), 1.93 (s, 3H), 3.18 (s, 3H), 4.27 (q, *J* = 7.0 Hz, 1H), 6.92 (t, *J* = 7.5 Hz, 1H), 7.02 (dt, *J* = 13.4, 5.4 Hz, 4H), 7.26-7.33 (m, 2H), 7.49 (d, *J* = 7.3 Hz, 1H), 7.61 (d, *J* = 8.0 Hz, 1H), 11.00 (s, 1H); **^{13}C NMR** (75 MHz, DMSO): δ = 209.36, 176.83, 143.58, 136.82, 131.00, 127.93, 125.10, 124.98, 123.91, 121.67, 121.09, 120.52, 118.65, 112.54, 111.75, 108.25, 53.21, 50.31, 30.36, 26.09, 12.28; **HRMS** (ESI): *m/z* = 331.1465, calcd. for $[C_{21}H_{19}N_2O_2]^-$: 331.1452.

[3] L. Song, Q.-X. Guo, X.-C. Li, J. Tian and Y.-G. Peng, *Angew. Chem., Int. Ed.*, 2012, **51**, 1899.

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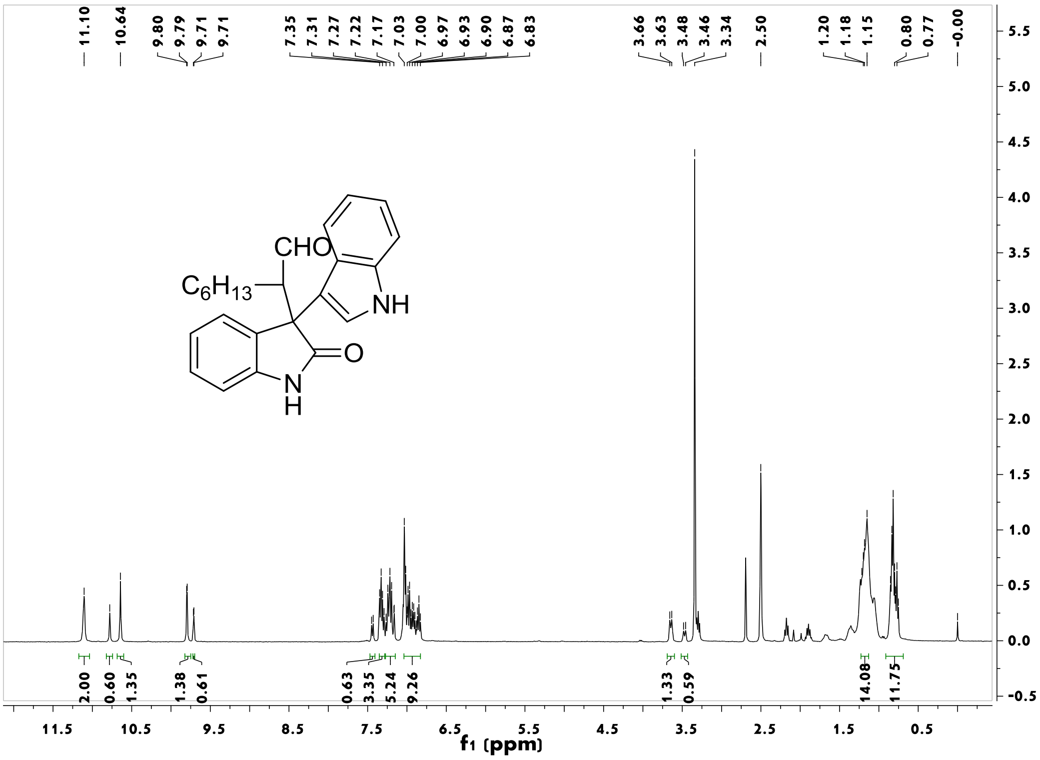
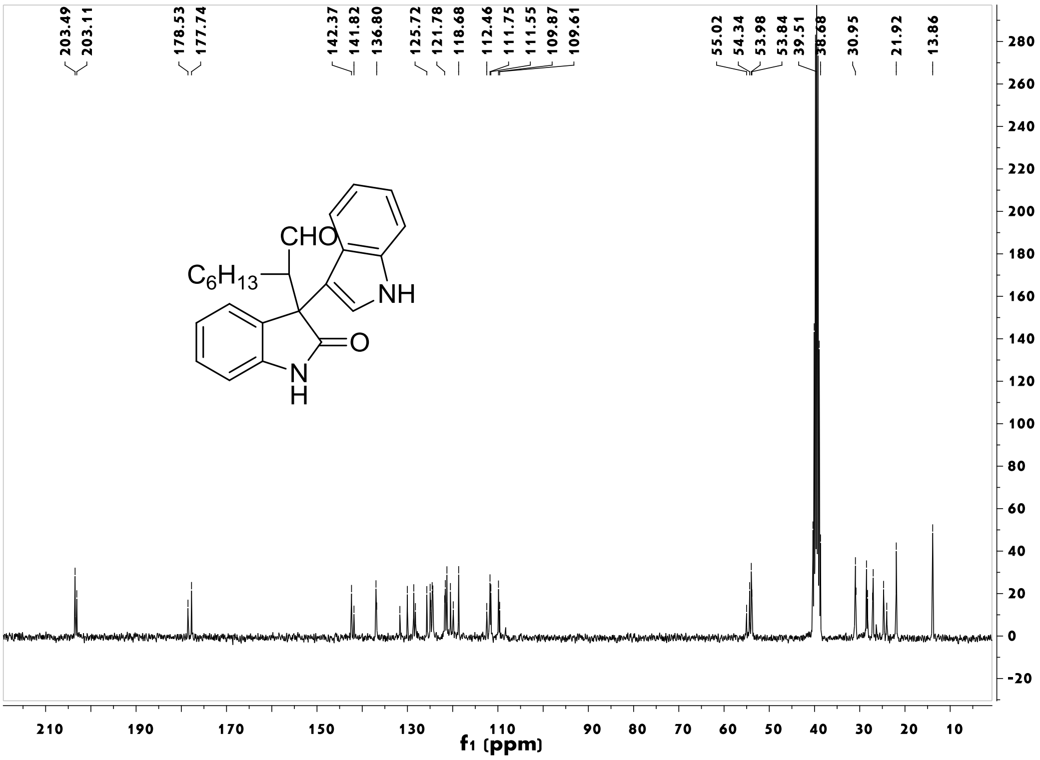
Copy of NMR spectra of products:

2-(3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3aa)





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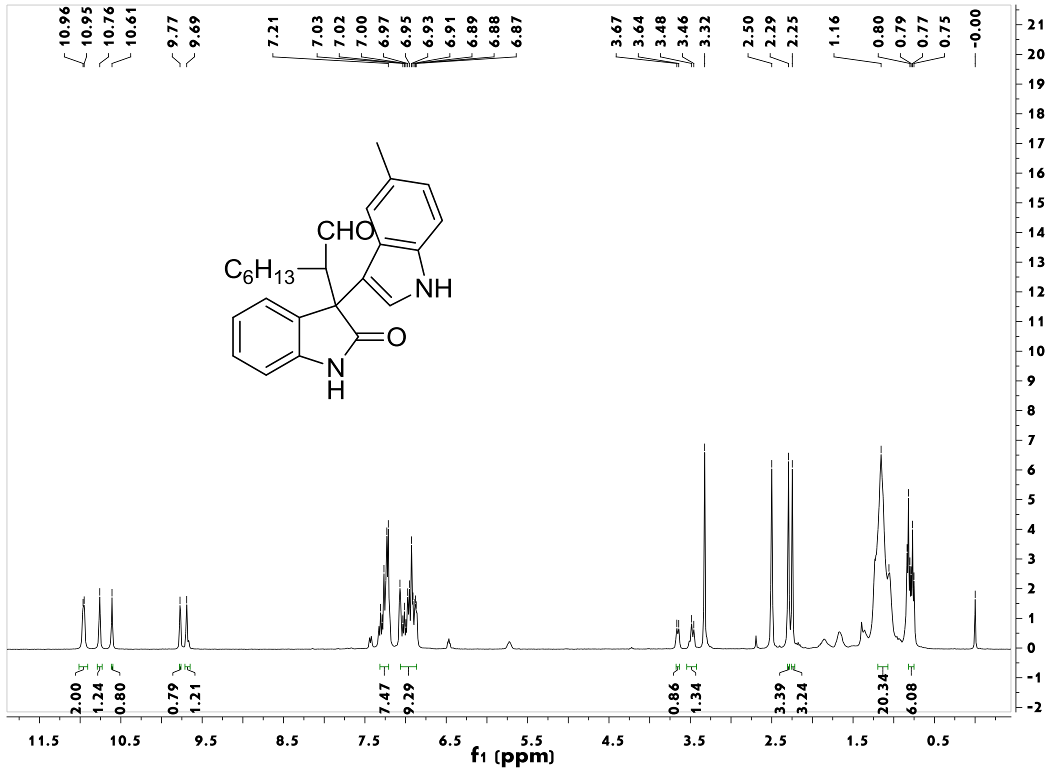
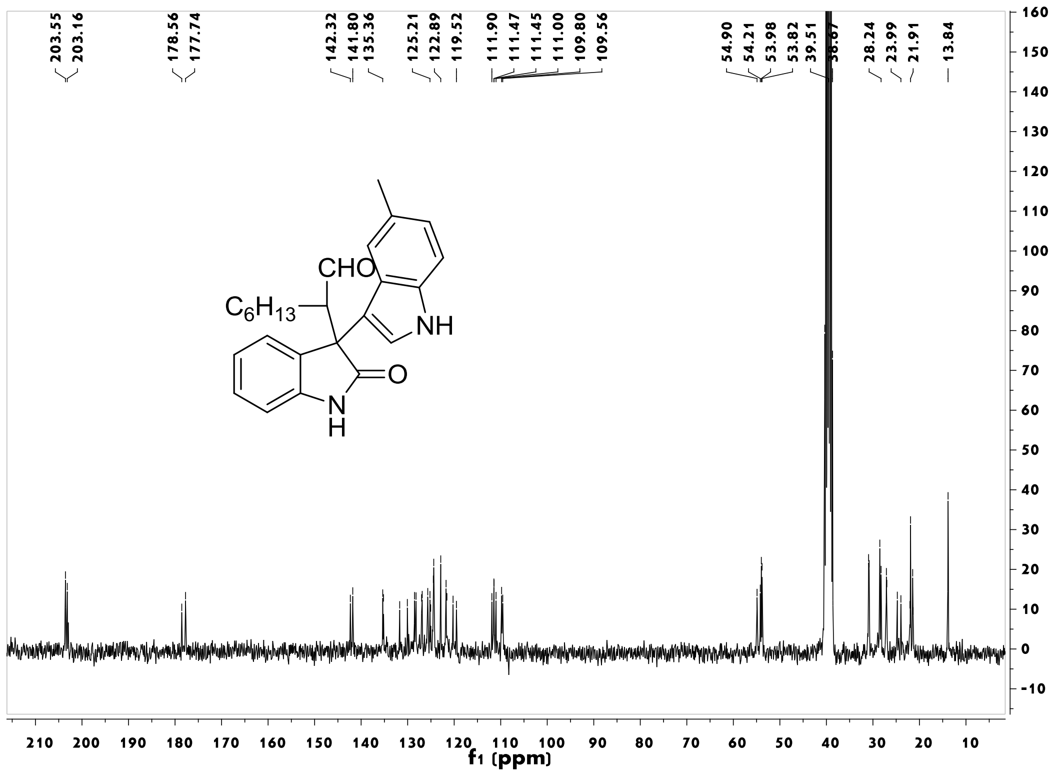
2-(3-(5-methyl-1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3ba)





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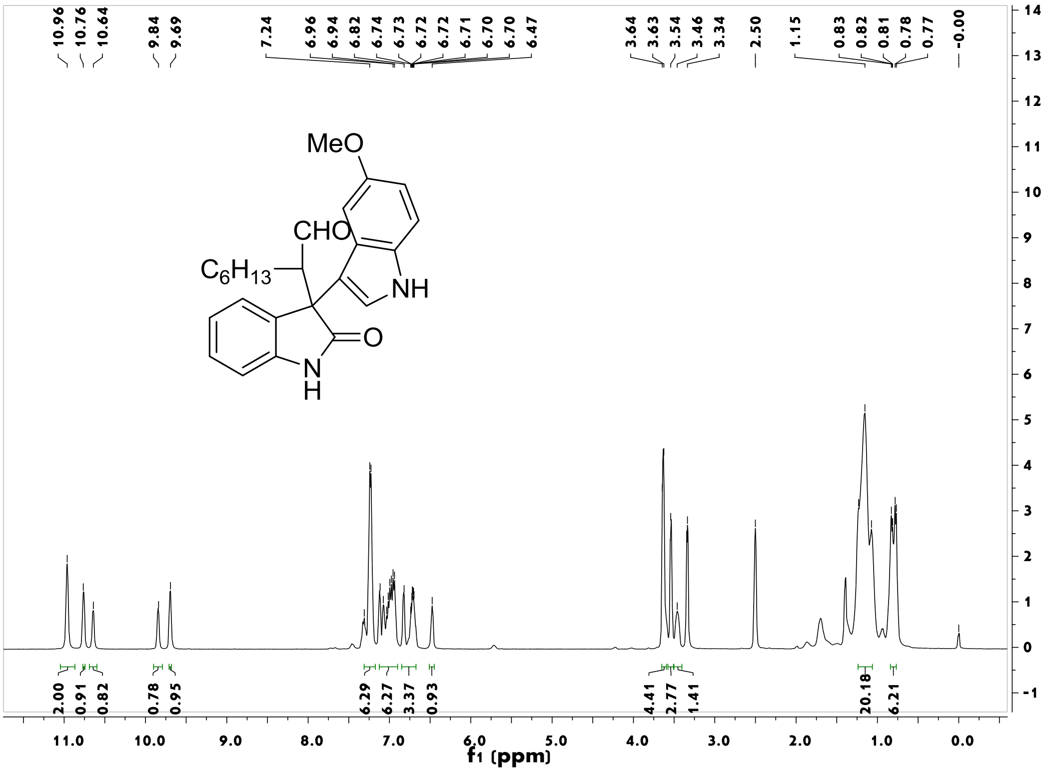
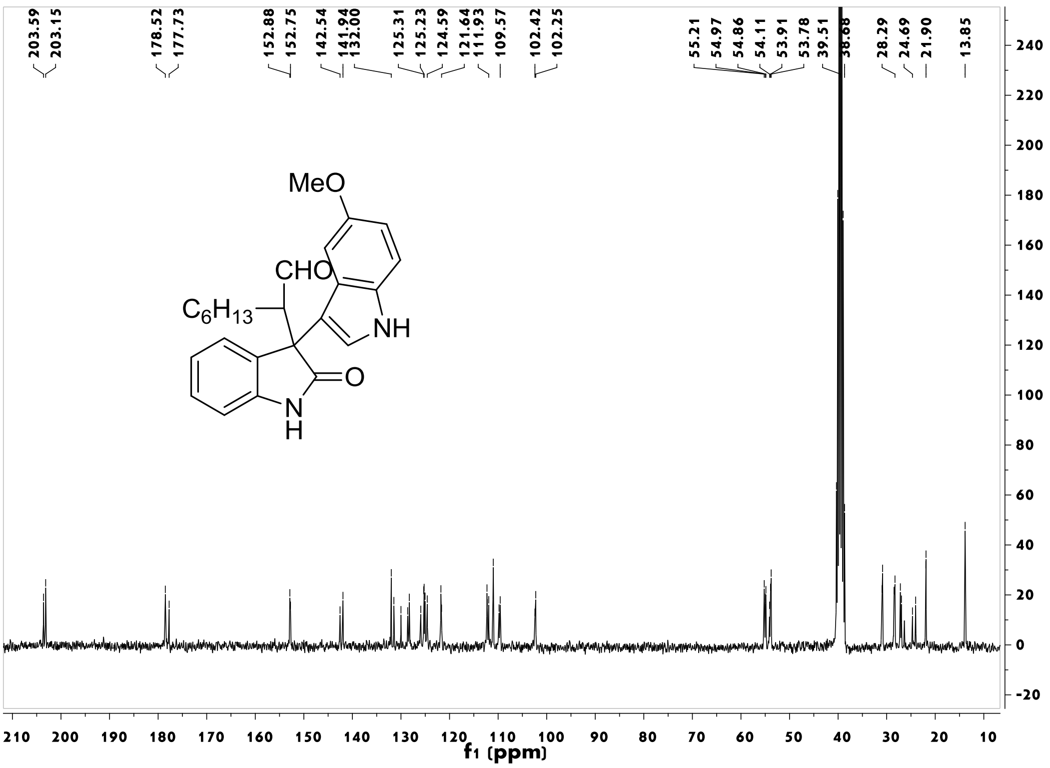
2-(3-(5-methoxy-1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3ca)





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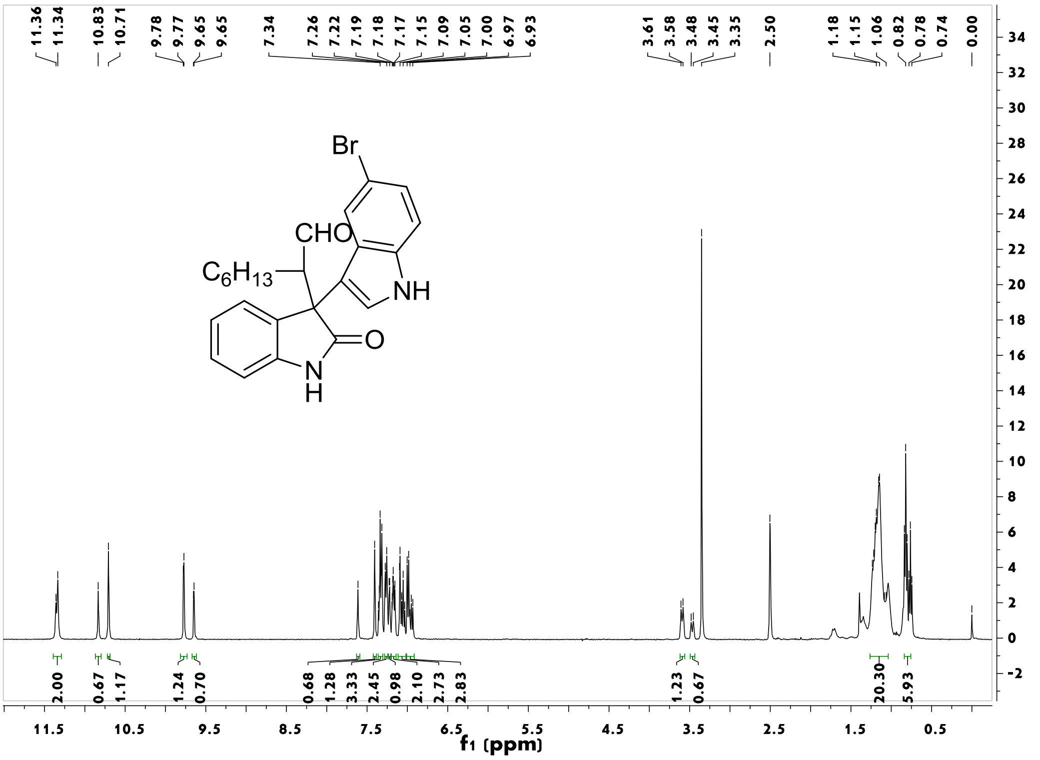
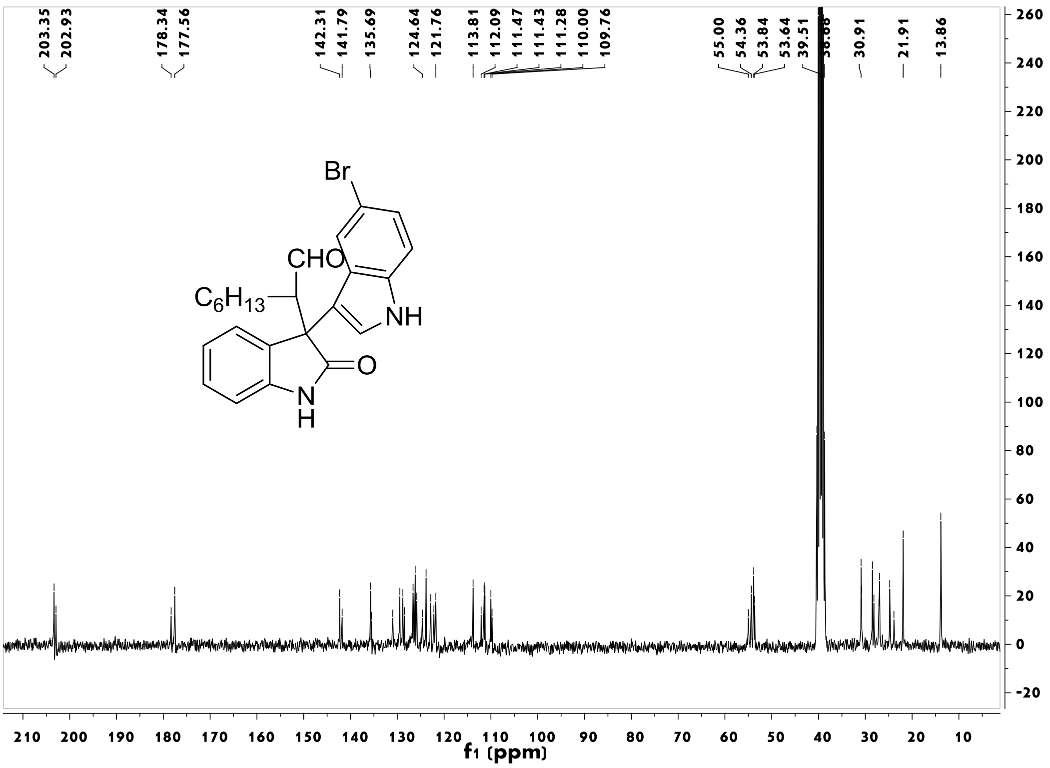
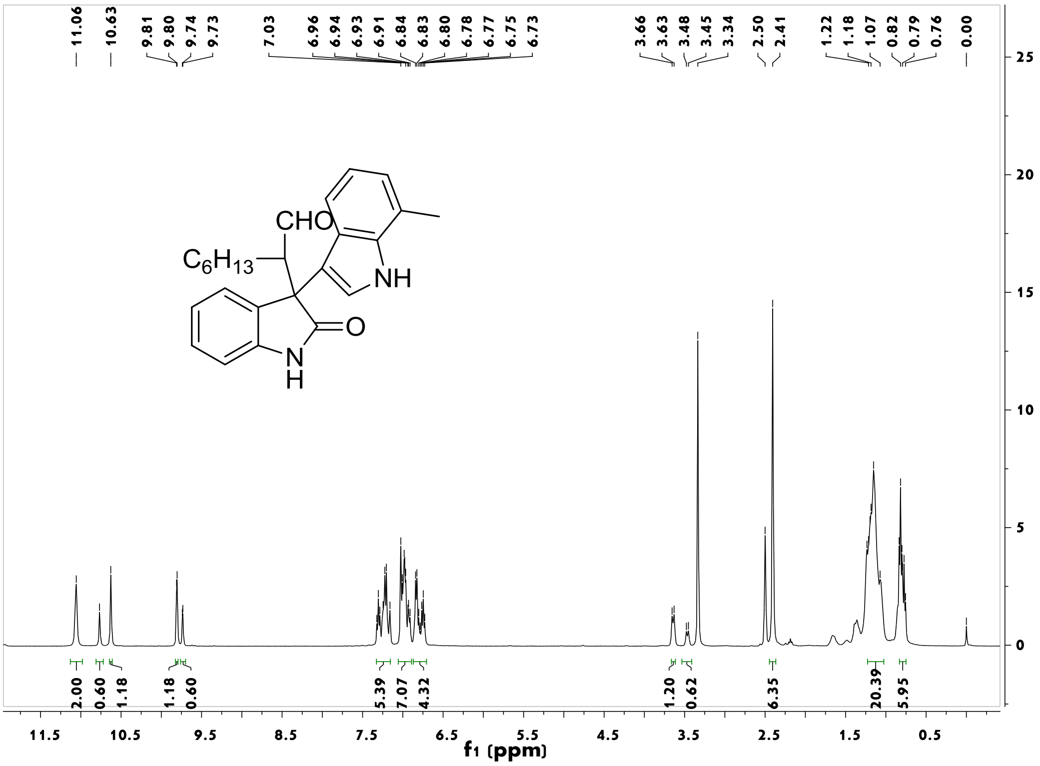
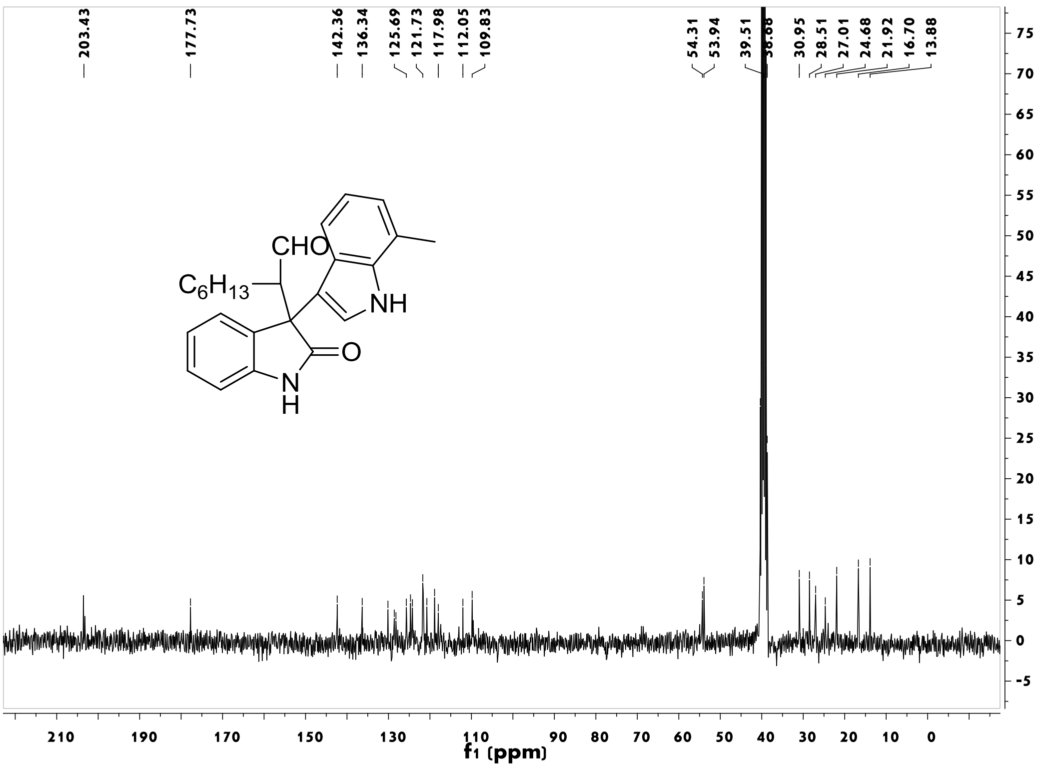
2-(3-(5-bromo-1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3da)





2-(3-(7-methyl-1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3ea)





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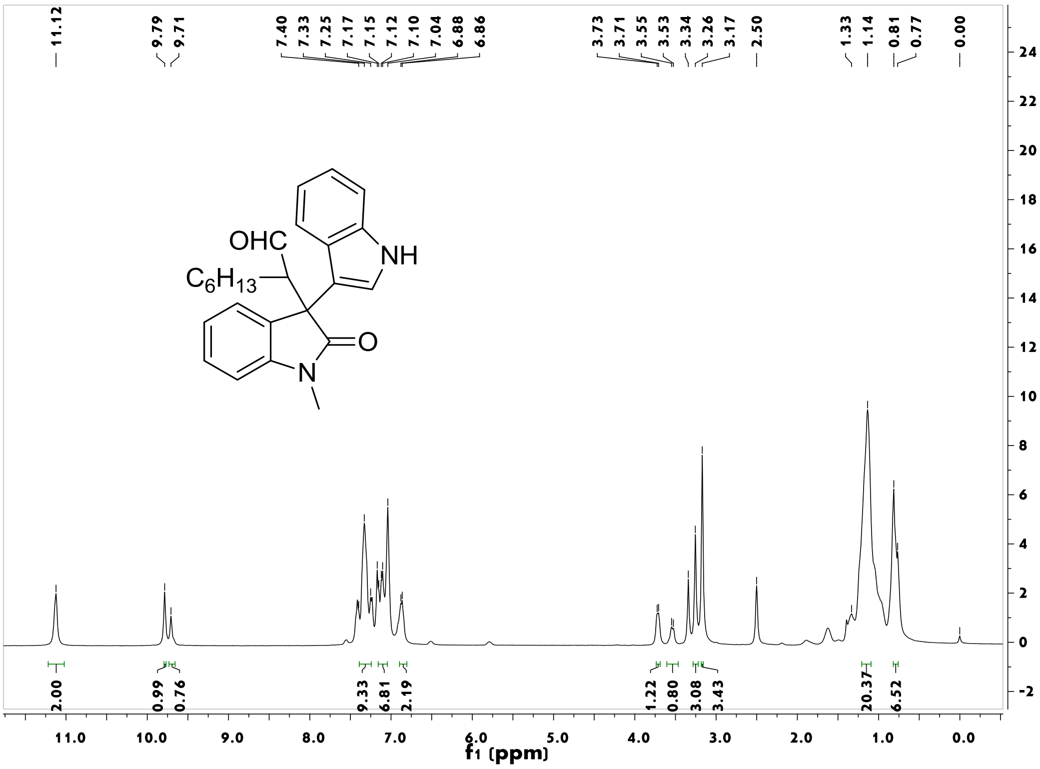
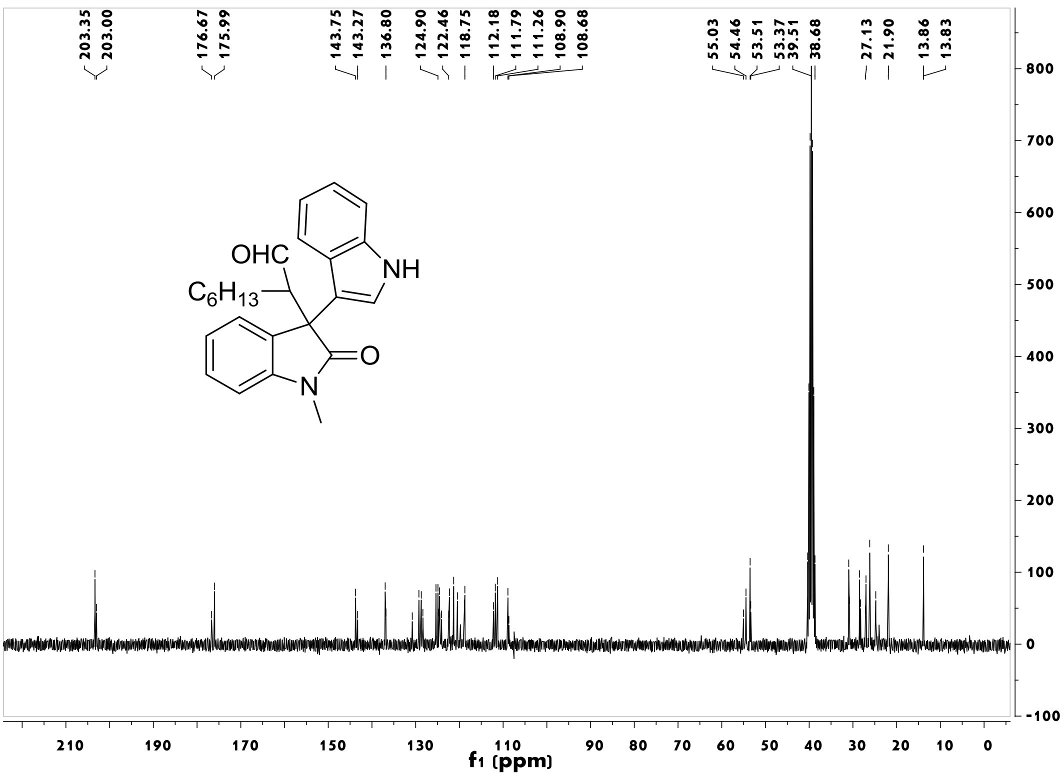
2-(3-(1*H*-indol-3-yl)-1-methyl-2-oxoindolin-3-yl)octanal(3fa)





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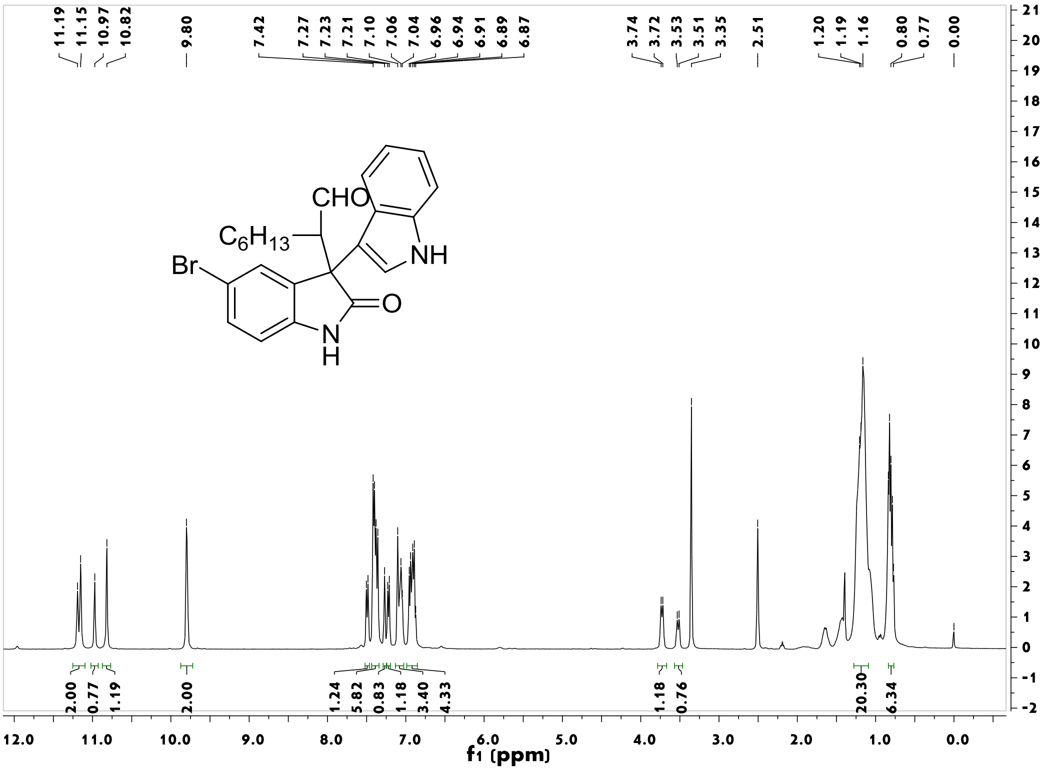
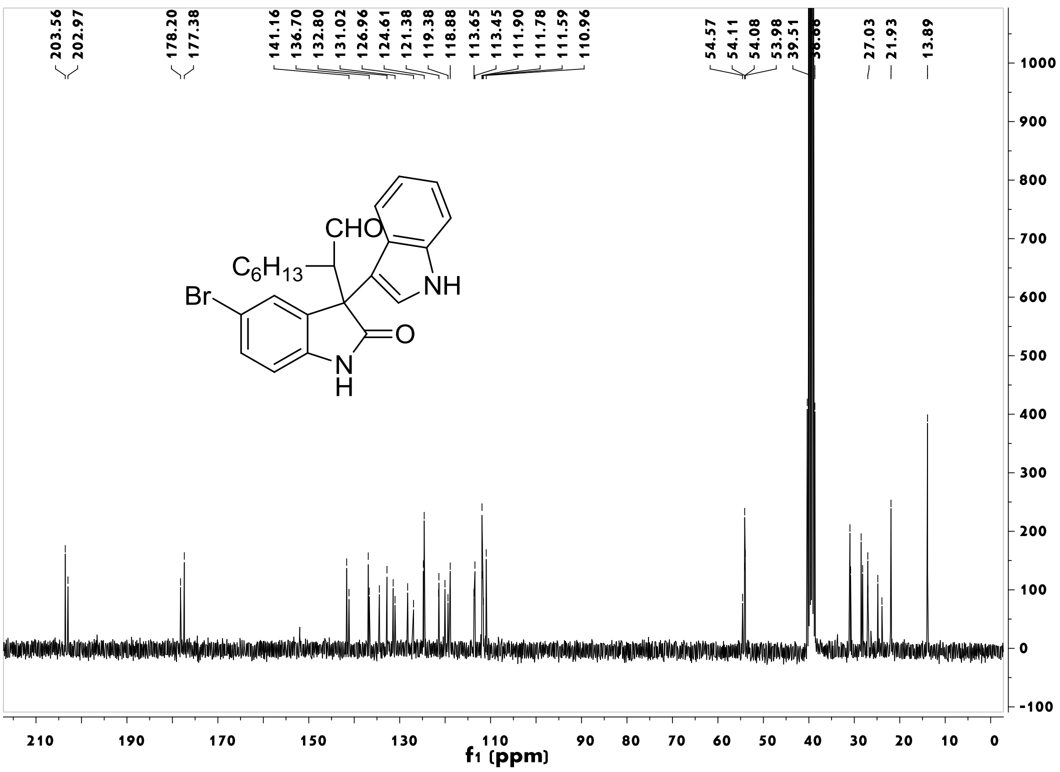
2-(5-bromo-3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3ga)





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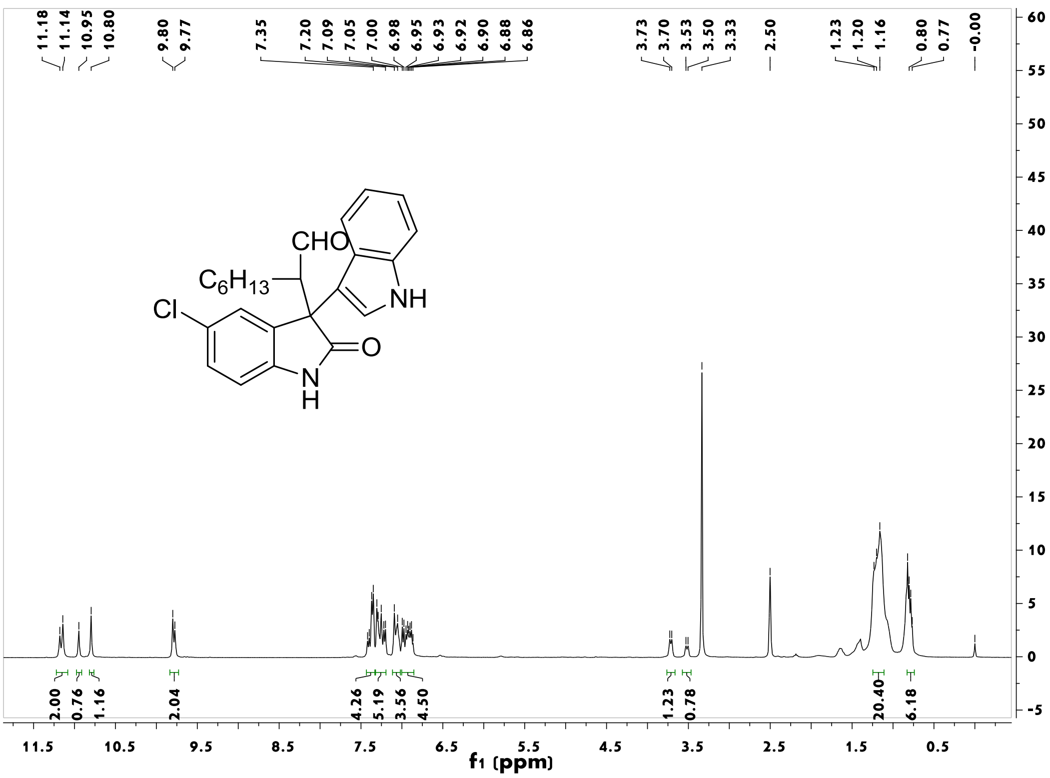
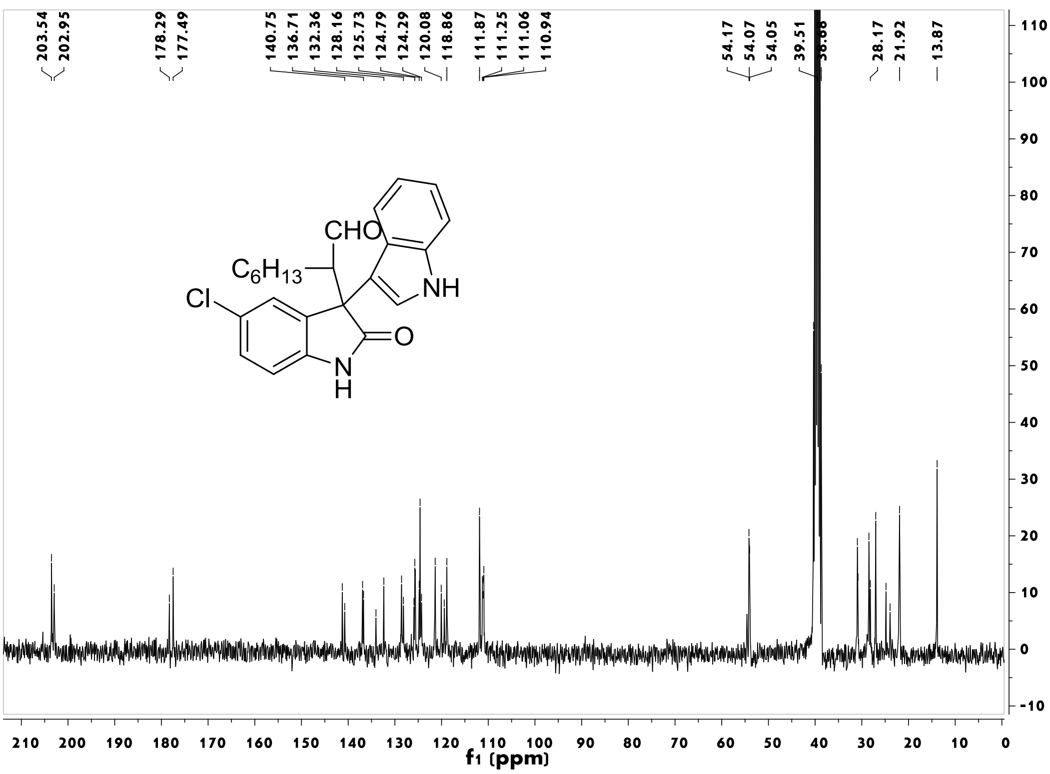
2-(5-chloro-3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3ha)





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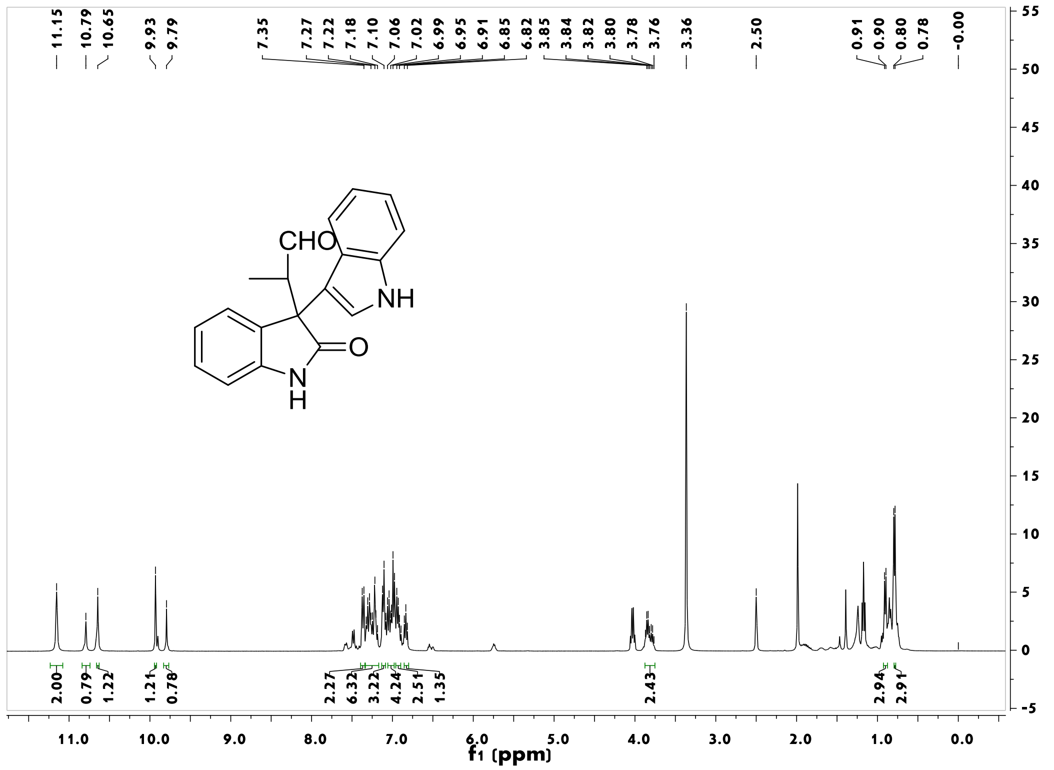
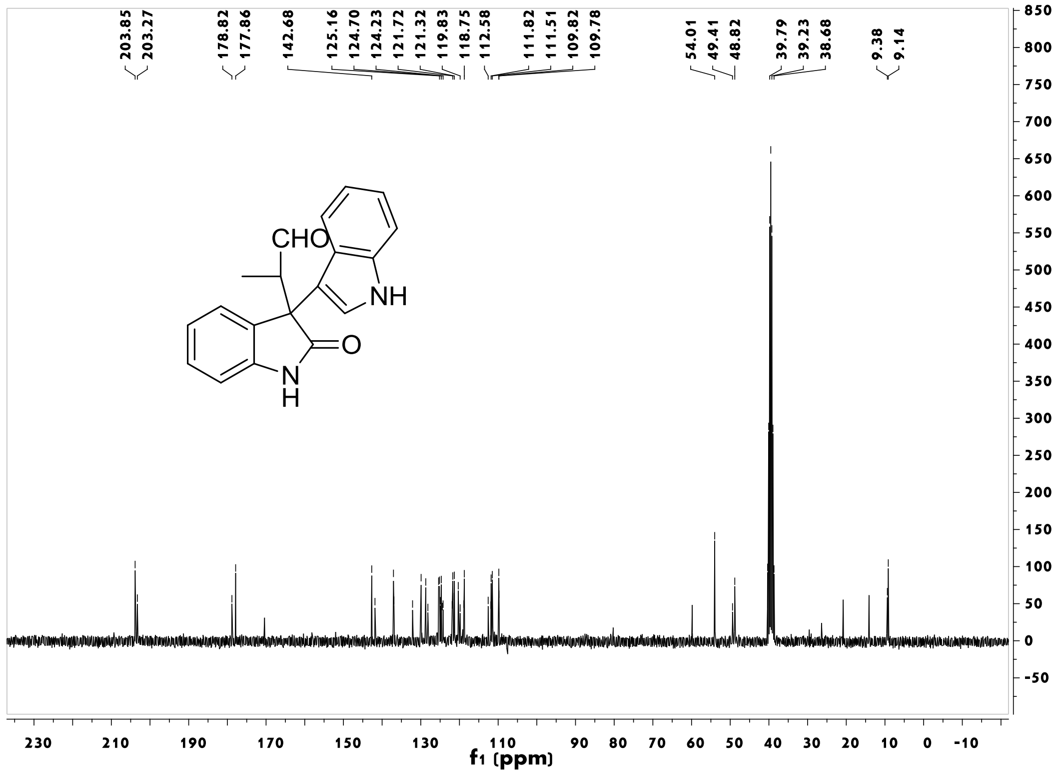
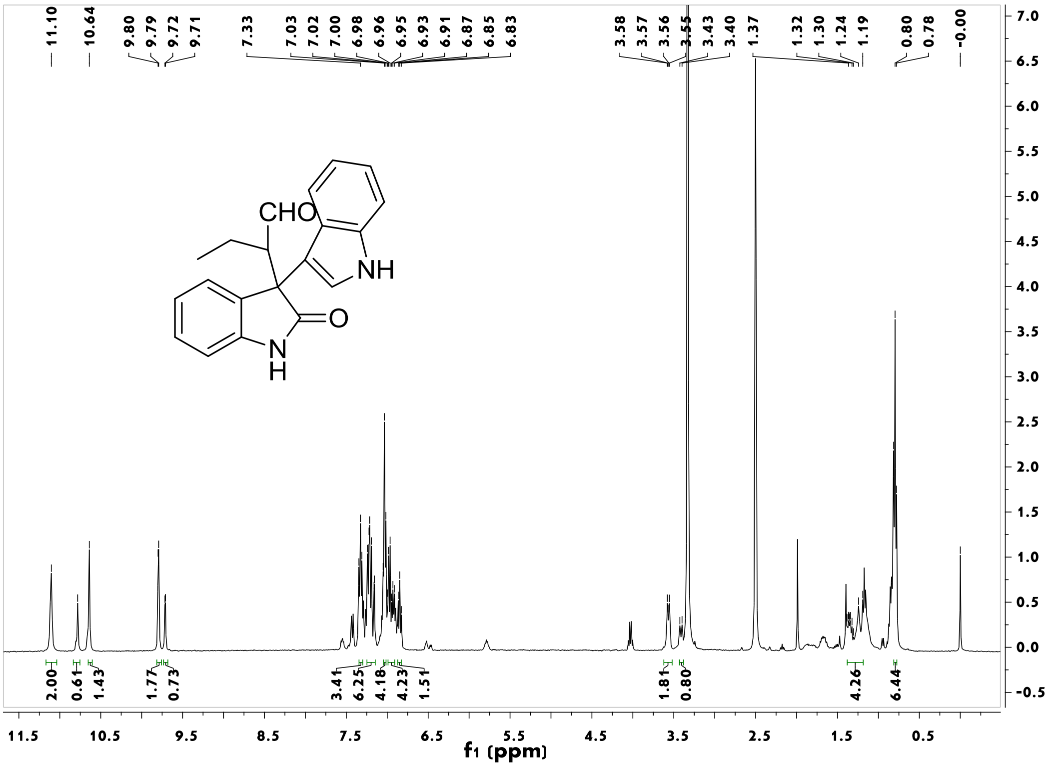
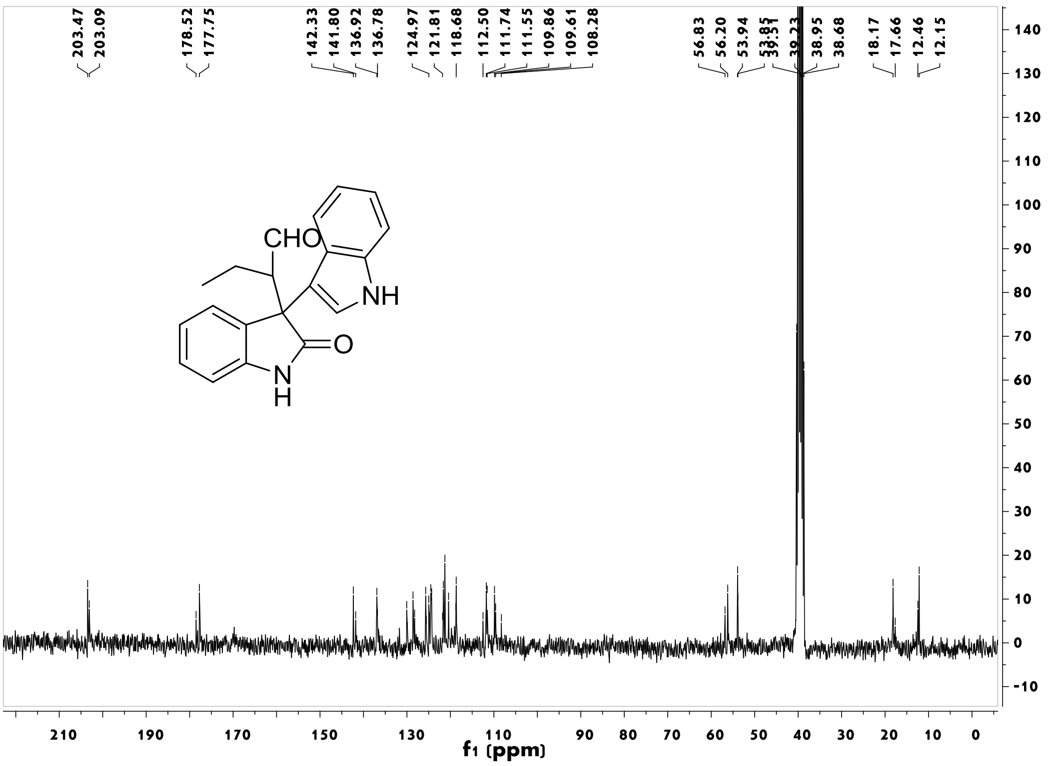
2-(3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)propanal(3ab)





2-(3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)butanal(3ac)





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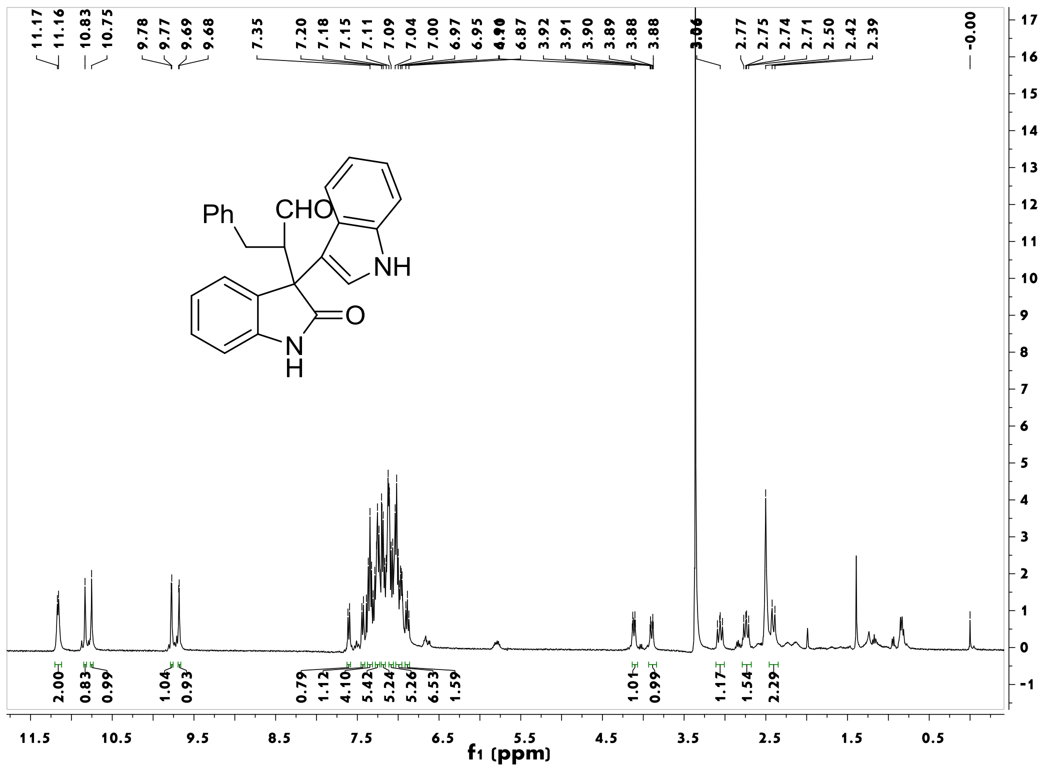
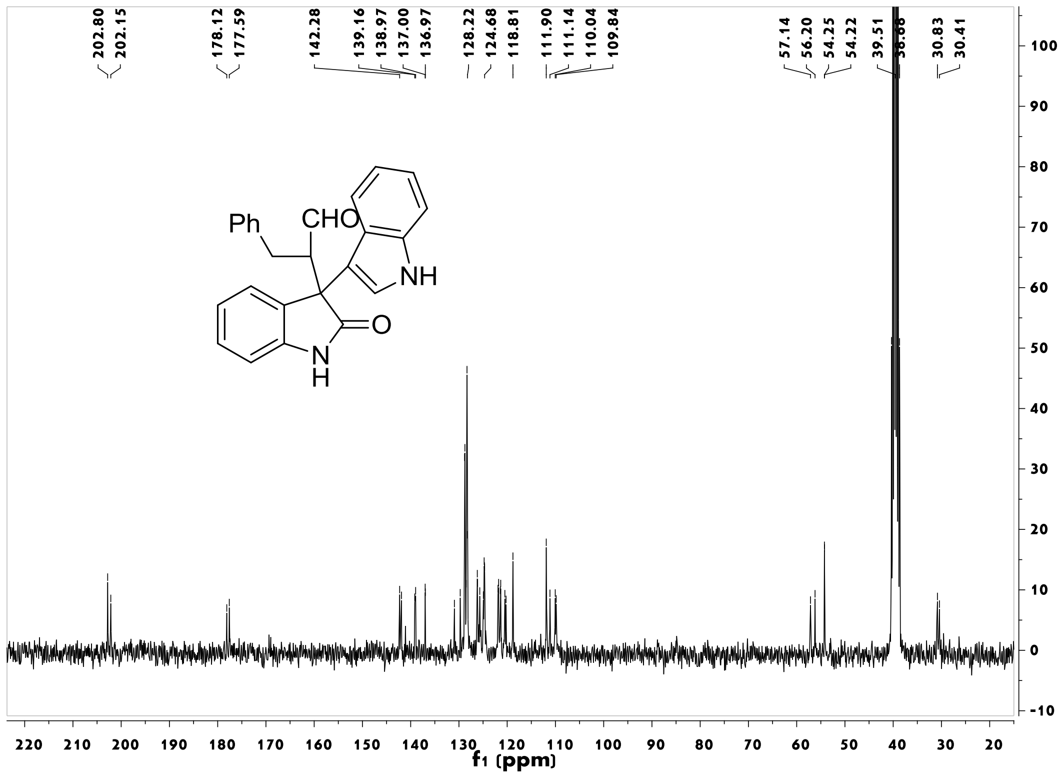
2-(3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)-3-phenylpropanal(3ad)





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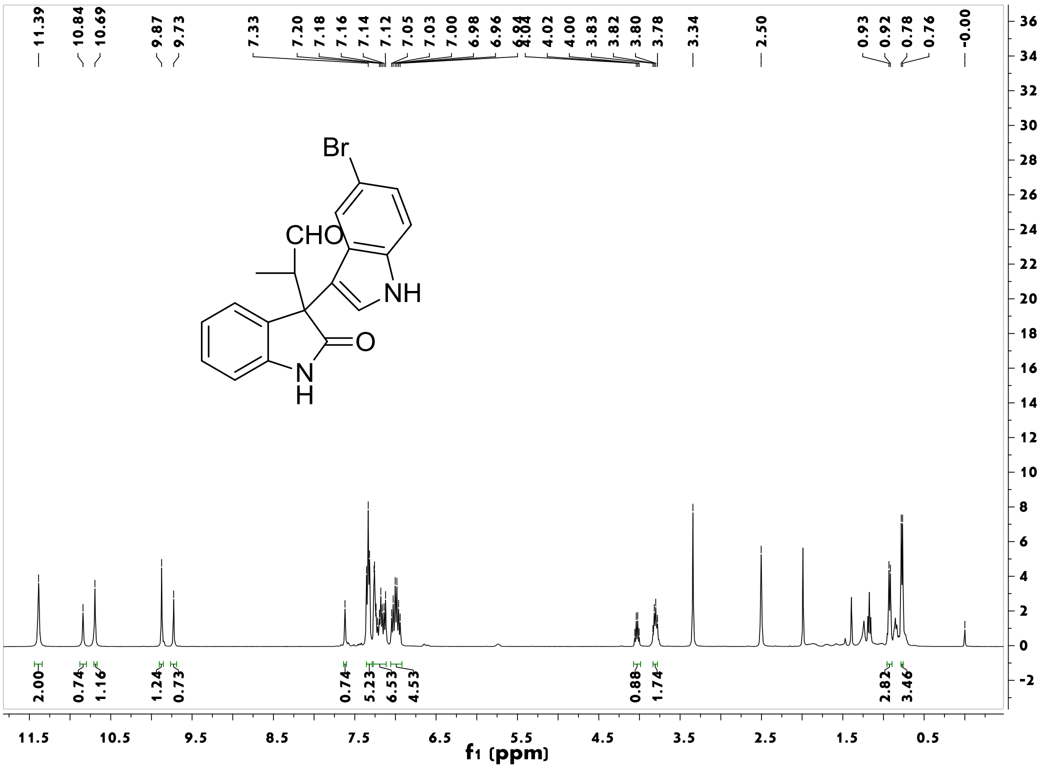
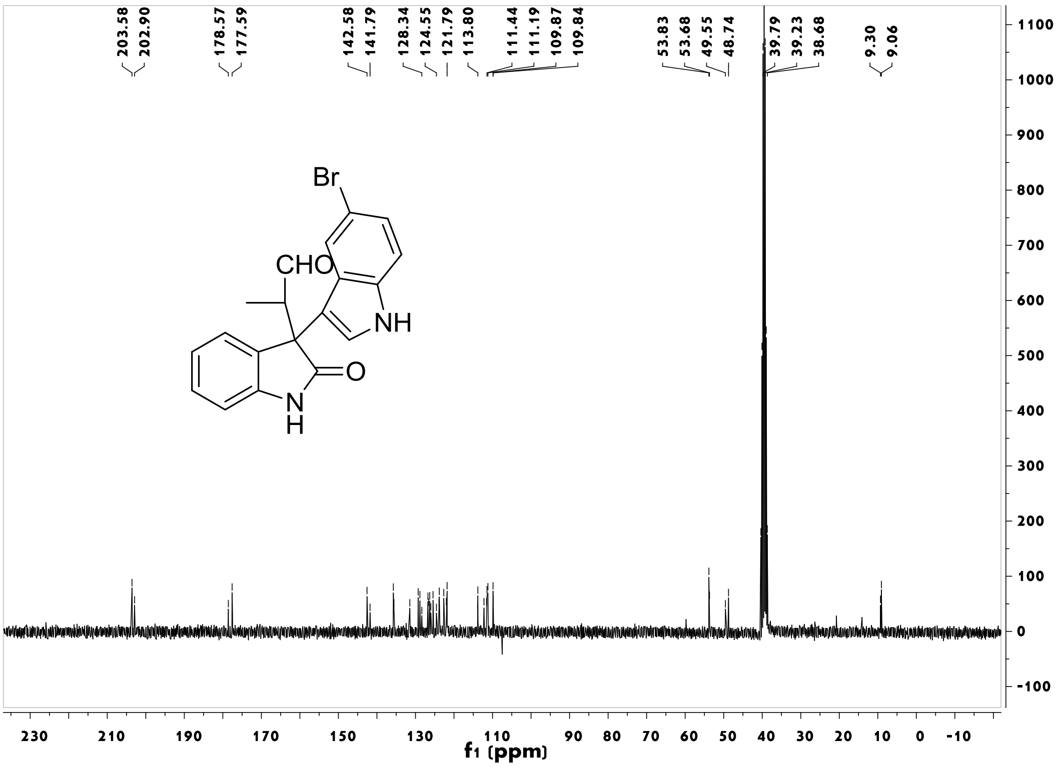
2-(3-(5-bromo-1*H*-indol-3-yl)-2-oxoindolin-3-yl)propanal(3db)





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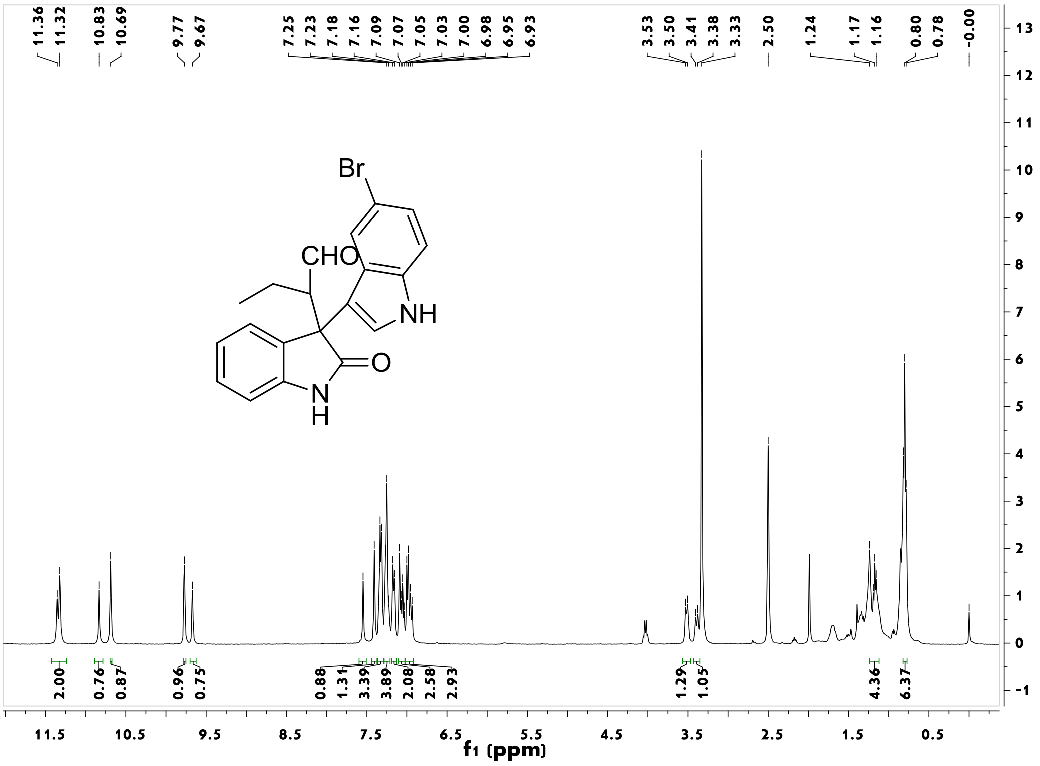
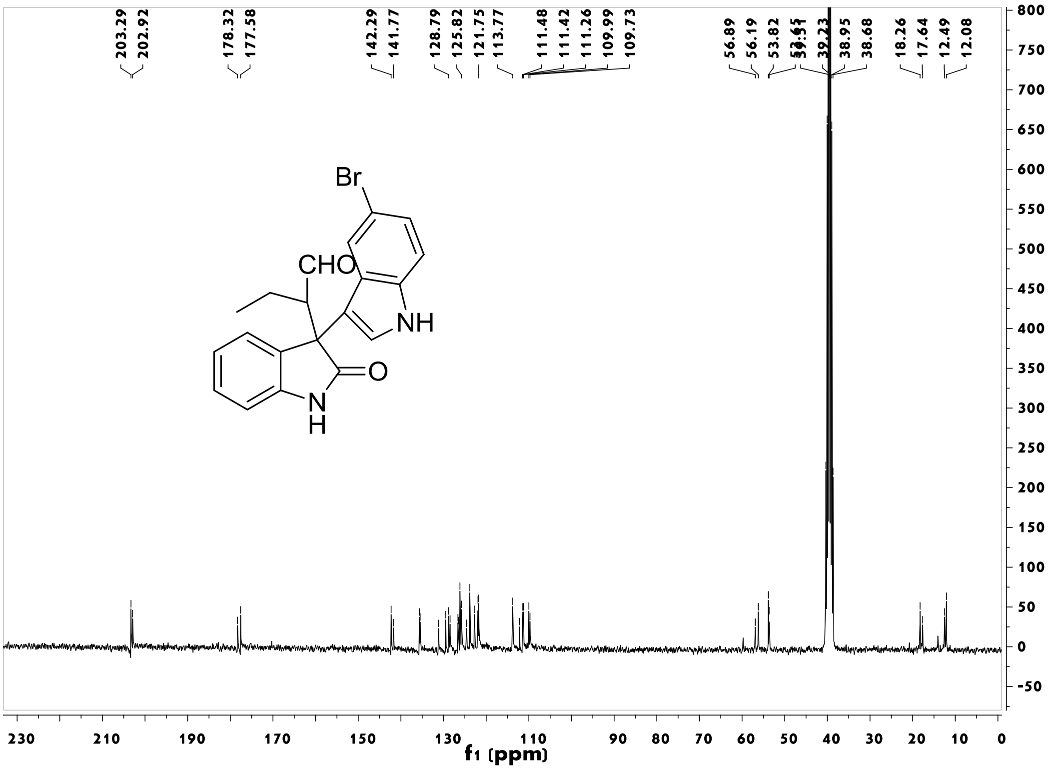
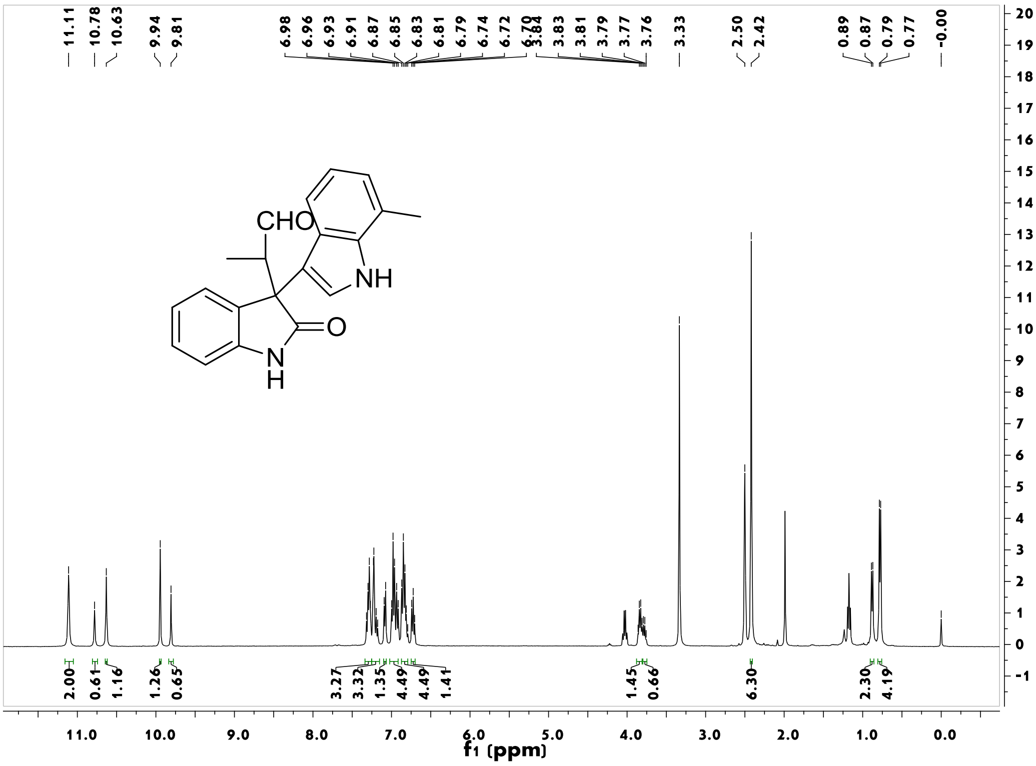
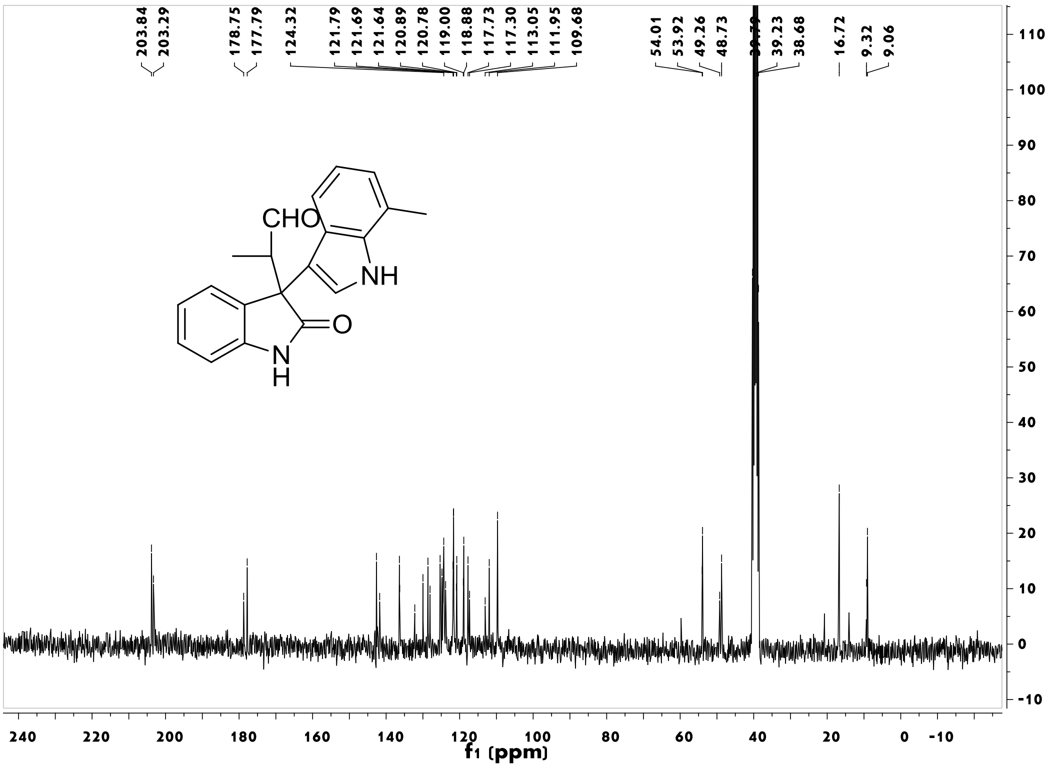
2-(3-(5-bromo-1*H*-indol-3-yl)-2-oxoindolin-3-yl)butanal(3dc)



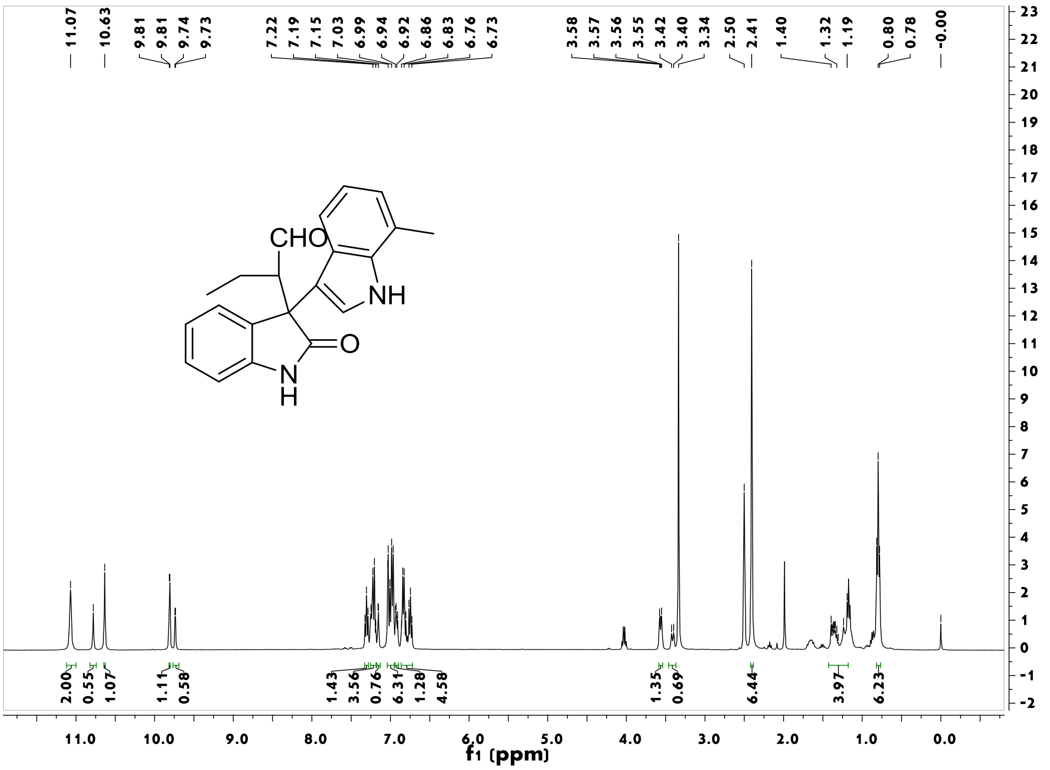


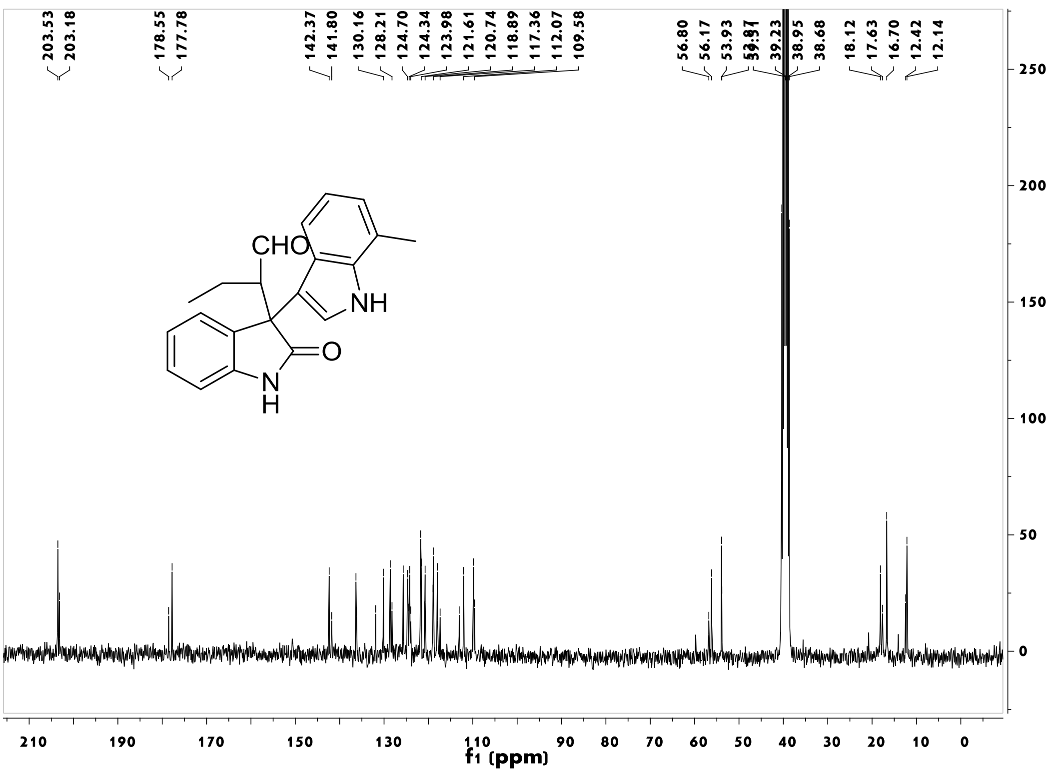
2-(3-(7-methyl-1*H*-indol-3-yl)-2-oxoindolin-3-yl)propanal(3eb)



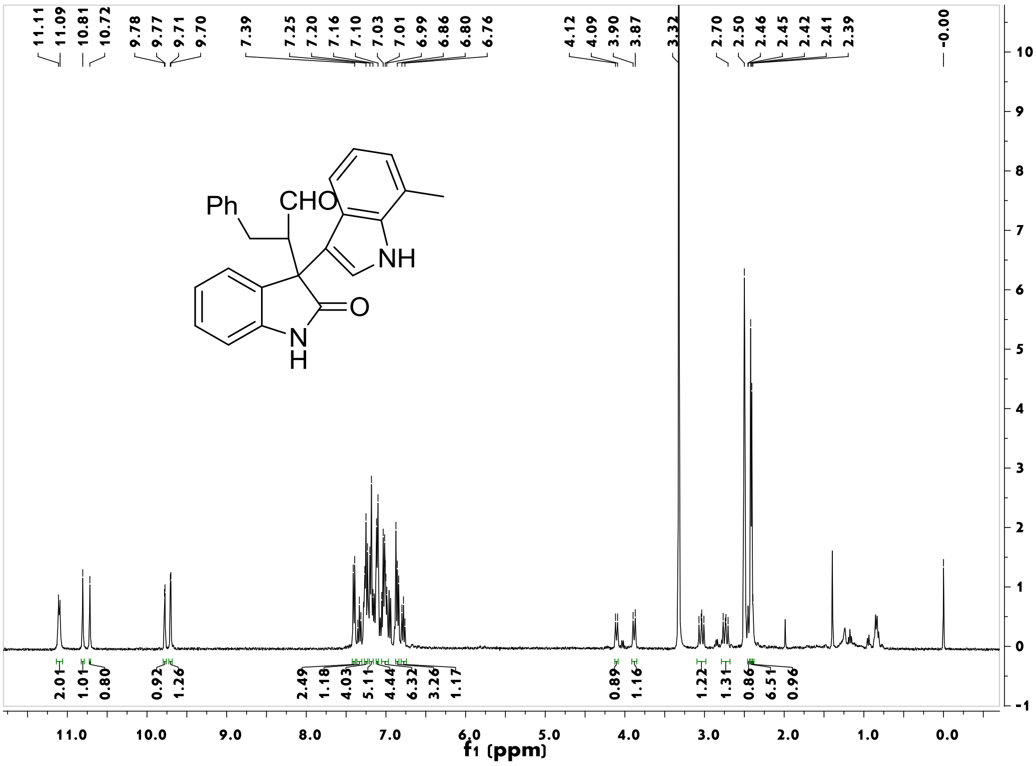


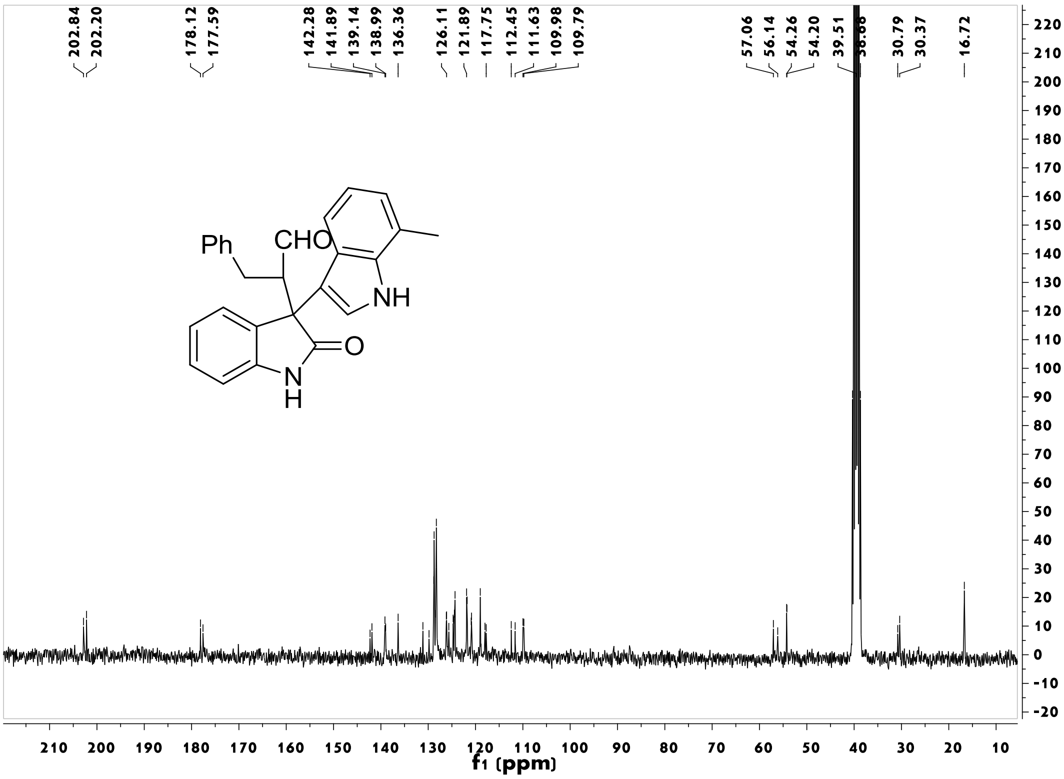
2-(3-(7-methyl-1*H*-indol-3-yl)-2-oxoindolin-3-yl)butanal(3ec)





2-(3-(7-methyl-1*H*-indol-3-yl)-2-oxoindolin-3-yl)-3-phenylpropanal(3ed)





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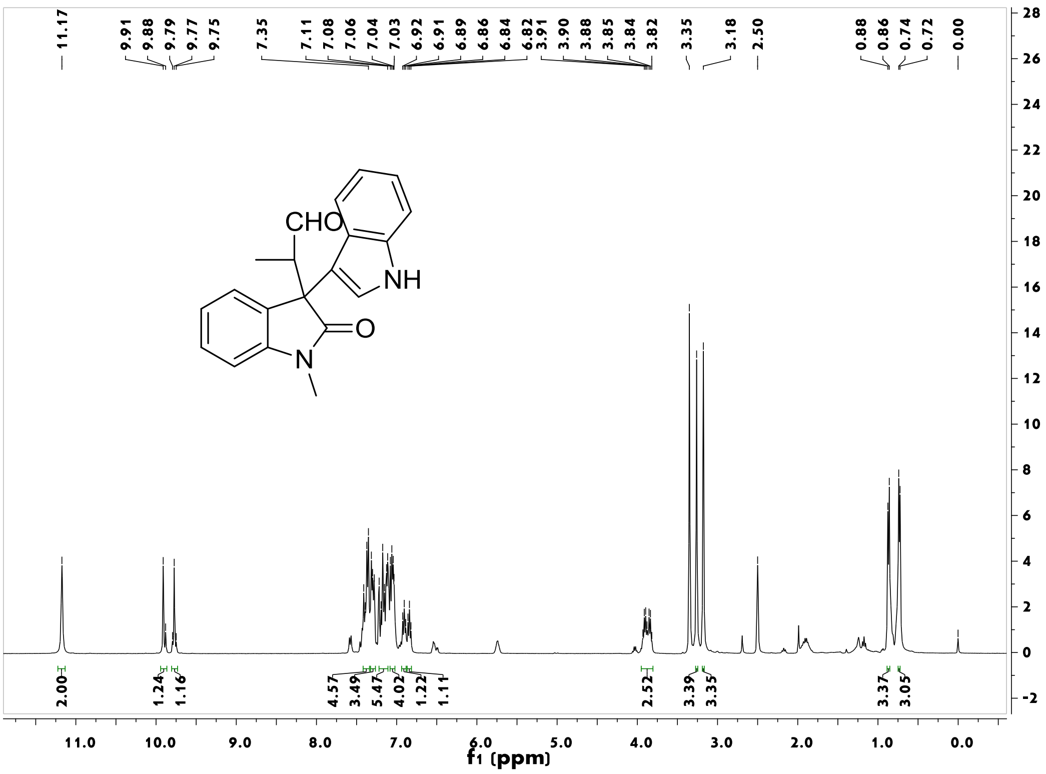
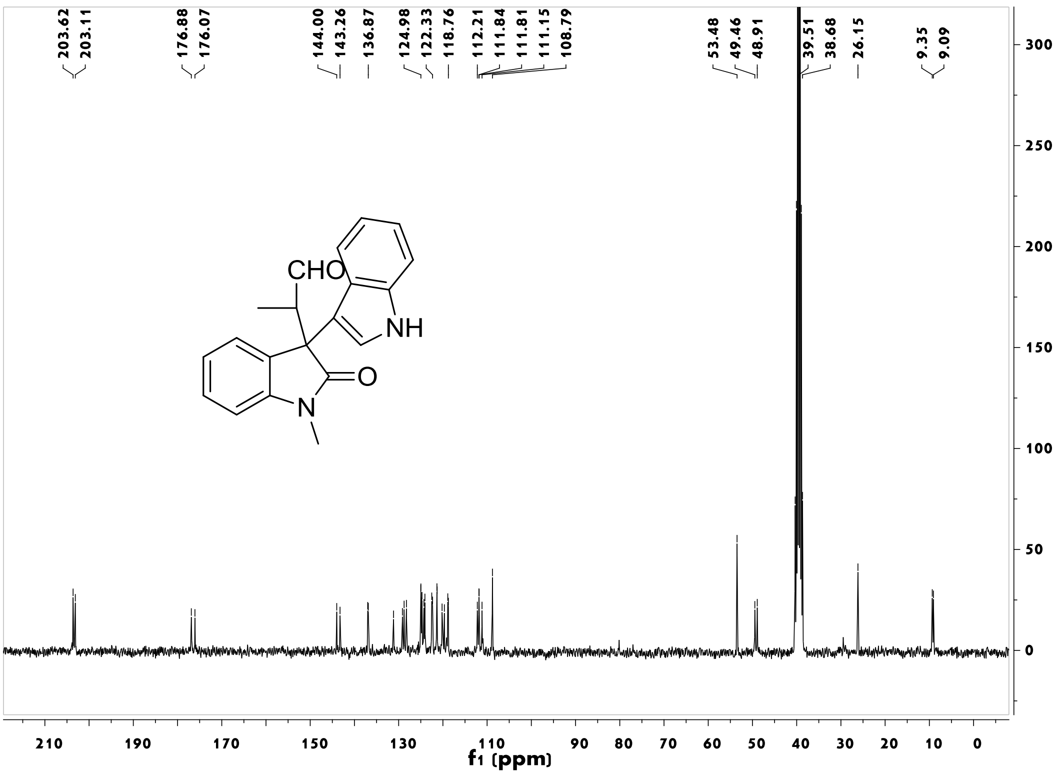
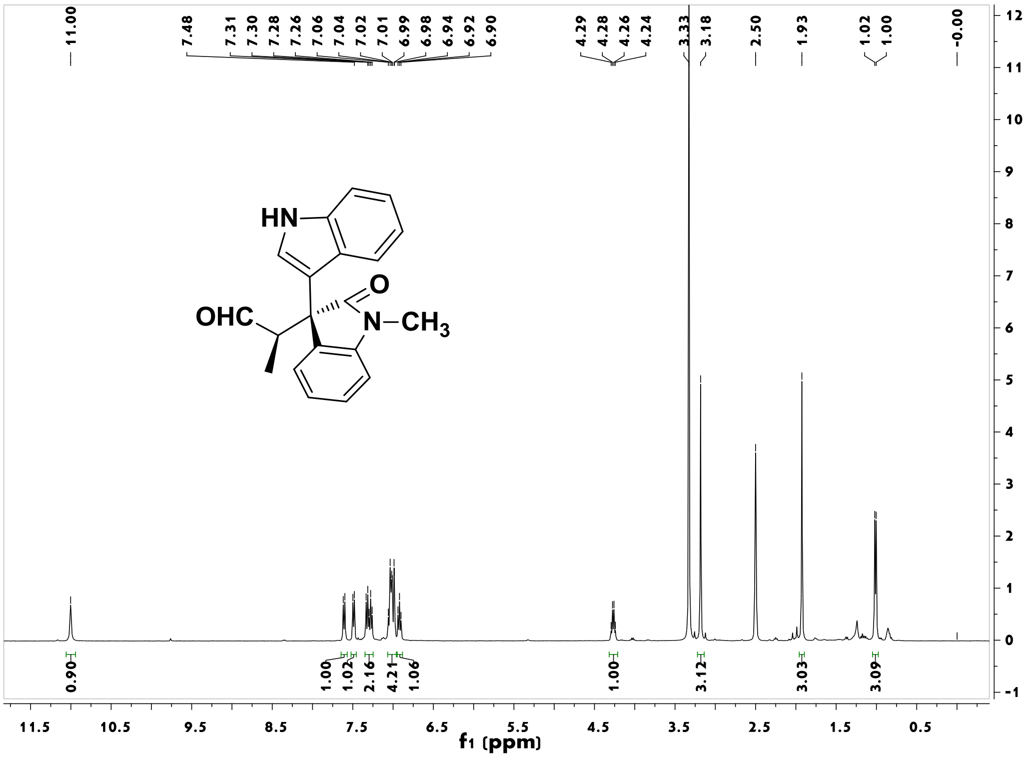
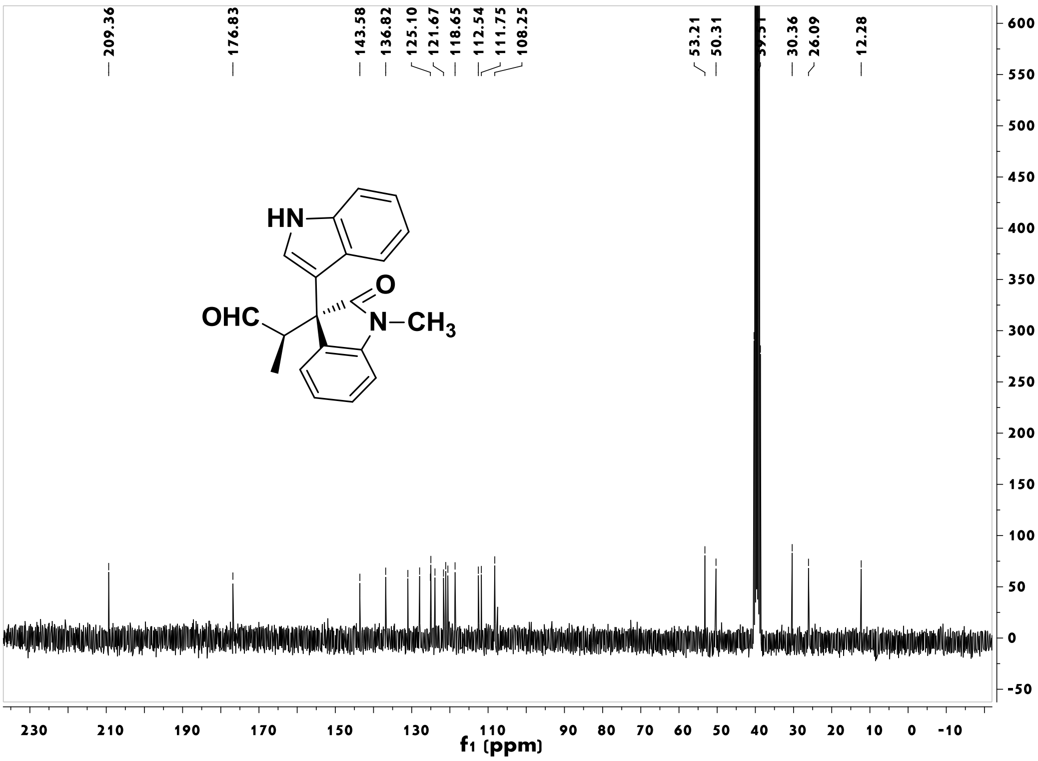
2-(3-(1*H*-indol-3-yl)-1-methyl-2-oxoindolin-3-yl)propanal(3fb)



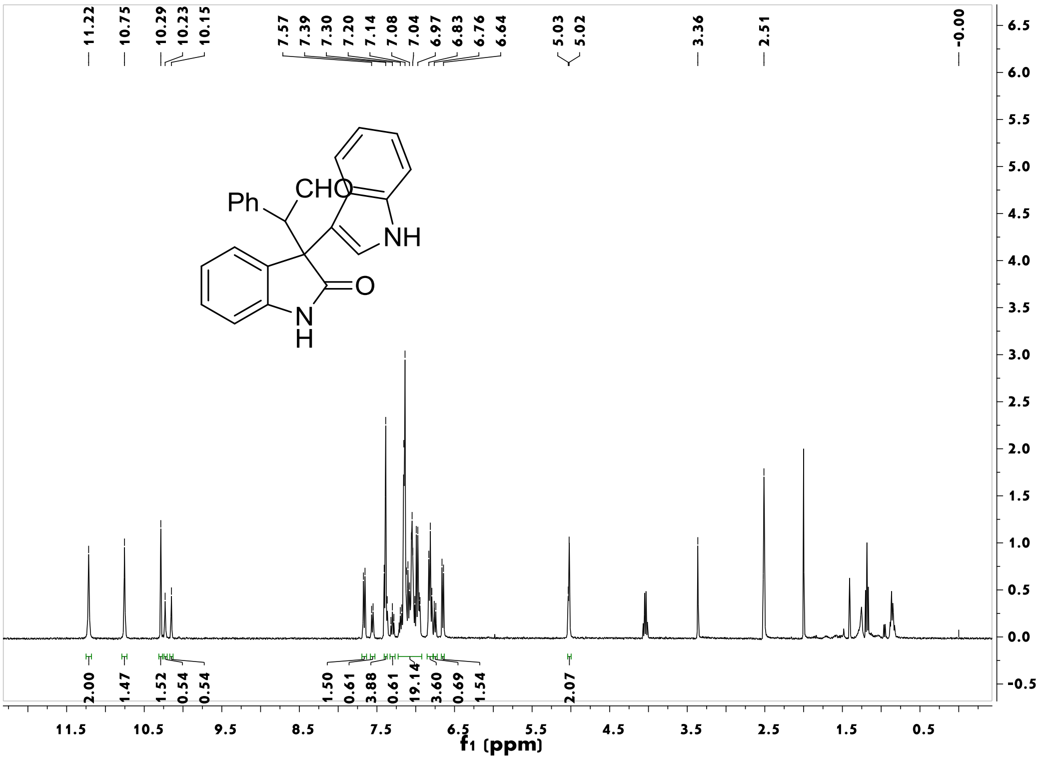


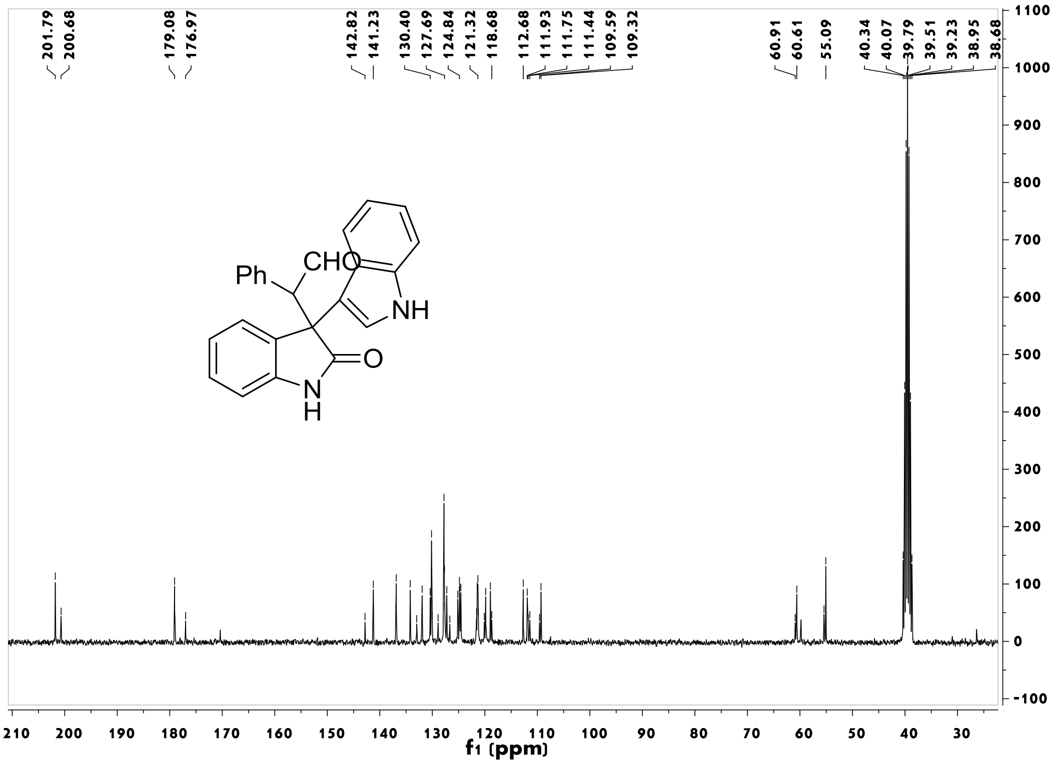
3-(1*H*-indol-3-yl)-1-methyl-3-(3-oxobutan-2-yl)indolin-2-one(*syn*-4fb)





2-(3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)-2-phenylacetaldehyde(3ae)





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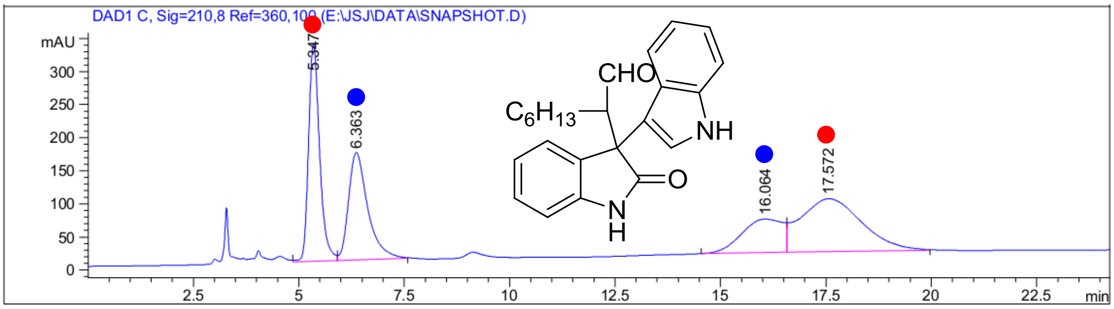
Copy of HPLC traces of products:

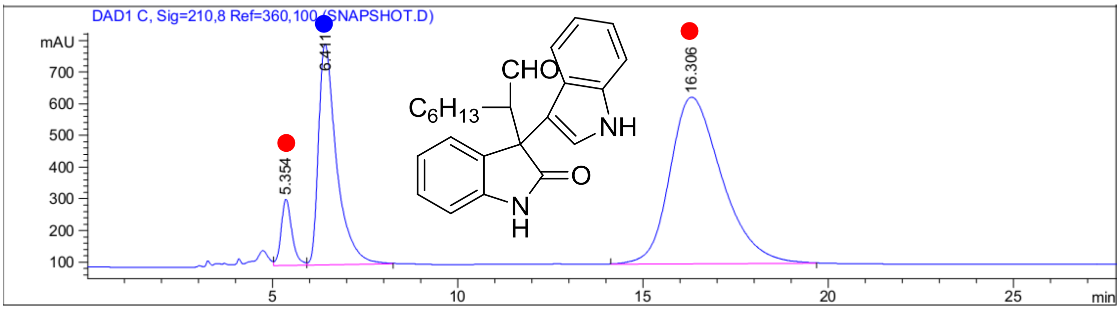
2-(3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3aa)

Racemic



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	5.347	VV	0.2790	5999.84814	328.67184	26.4661
2	6.363	VB	0.4726	5155.65869	162.32097	22.7423
3	16.064	BV	1.0039	3435.99487	50.75169	15.1566
4	17.572	VB	1.4275	8078.39600	80.04406	35.6349

Enantioselective



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	5.354	VV	0.3088	4282.81982	209.25627	5.4098
2	6.411	VB	0.5057	2.36432e4	697.08380	29.8646
3	16.306	BB	1.4470	5.12419e4	525.77747	64.7256

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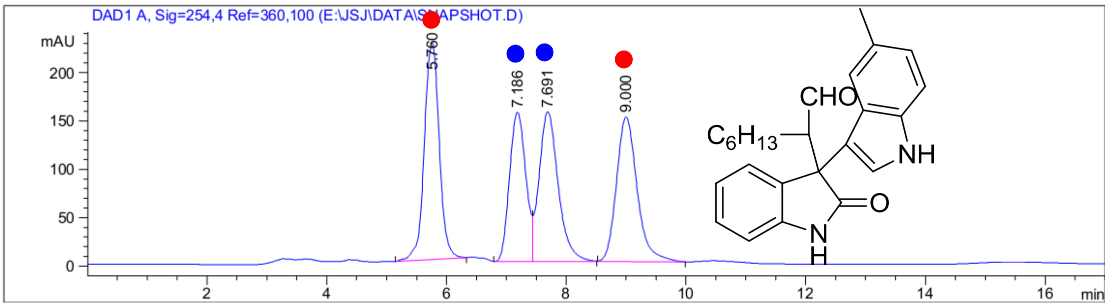
2-(3-(5-methyl-1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3ba)

Racemic



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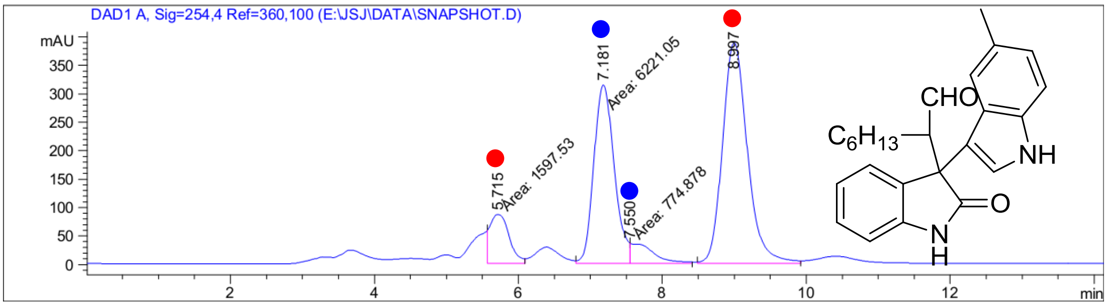
1	5.760	BB	0.2730	3893.28076	223.69867	28.1104
2	7.186	BV	0.2967	2916.36353	154.16830	21.0568
3	7.691	VB	0.3382	3453.00854	154.79851	24.9315
4	9.000	BB	0.3681	3587.31445	149.42899	25.9012

Enantioselective



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3	7.550	FM	0.3155	774.87799	35.57333	4.3247
4	8.997	BB	0.3684	9323.89160	387.90668	52.0383

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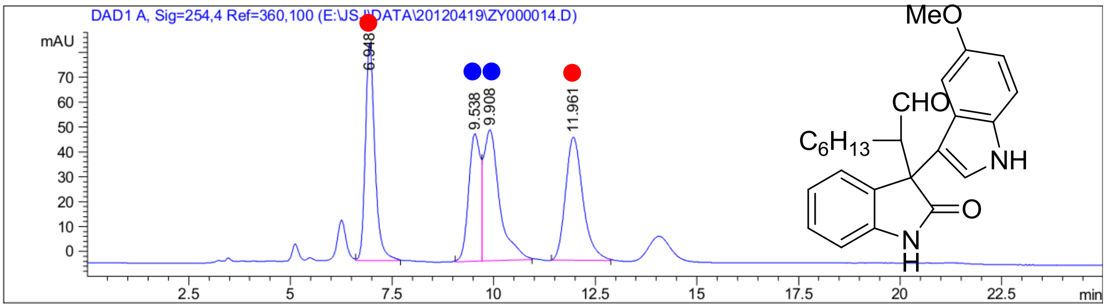
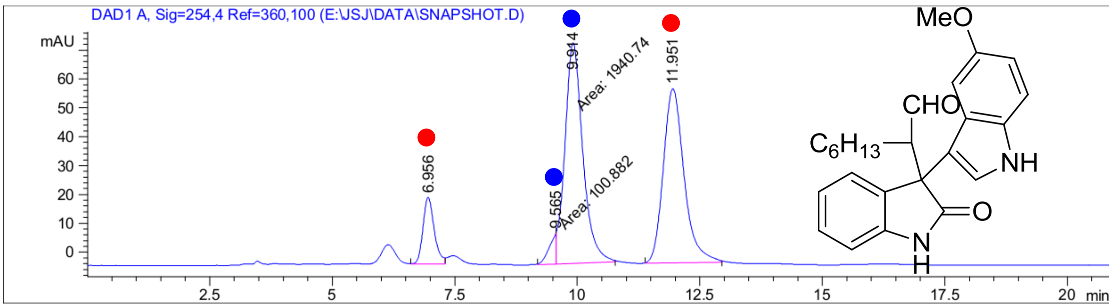
2-(3-(5-methoxy-1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3ca)

Racemic



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	6.948	VB	0.2463	1424.59729	87.35886	26.5173
2	9.538	BV	0.3025	1028.97412	51.18507	19.1532
3	9.908	VB	0.3950	1439.75452	52.66087	26.7995
4	11.961	BB	0.4563	1478.99988	49.53775	27.5300

Enantioselective



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1	6.956	VV	0.2439	372.59503	23.13153	8.8828
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3	9.914	FM	0.4236	1940.73853	76.35420	46.2681
4	11.951	BB	0.4502	1780.33289	60.34709	42.4440

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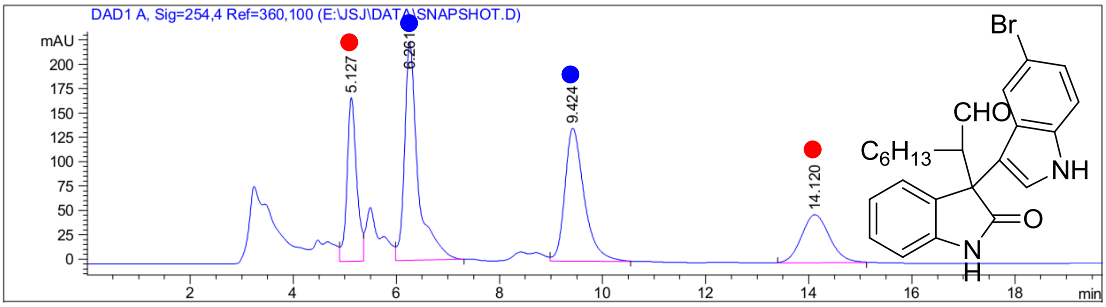
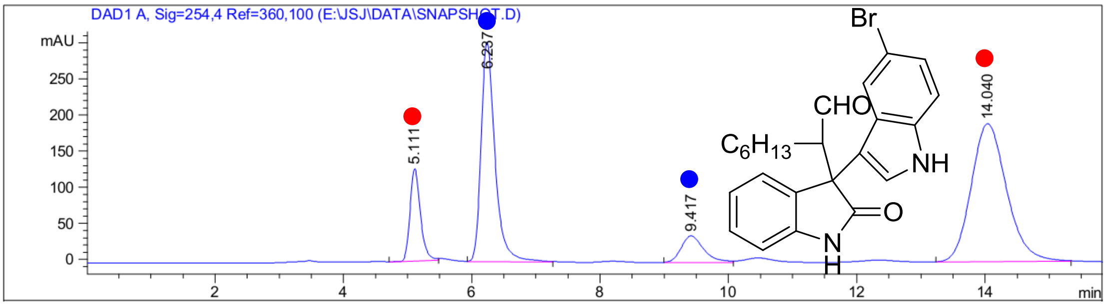
2-(3-(5-bromo-1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3da)

Racemic



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	5.127	VV	0.1921	2192.88354	168.17247	18.7515
2	6.261	VB	0.2636	4050.89331	223.32138	34.6394
3	9.424	VB	0.3934	3576.42676	136.61198	30.5822
4	14.120	BB	0.5872	1874.26453	49.33841	16.0269

Enantioselective



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1	5.111	VB	0.1716	1442.86646	127.93773	10.1098
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3	9.417	BB	0.3714	899.67859	36.77618	6.3038
4	14.040	BB	0.5878	7346.66113	191.39357	51.4763

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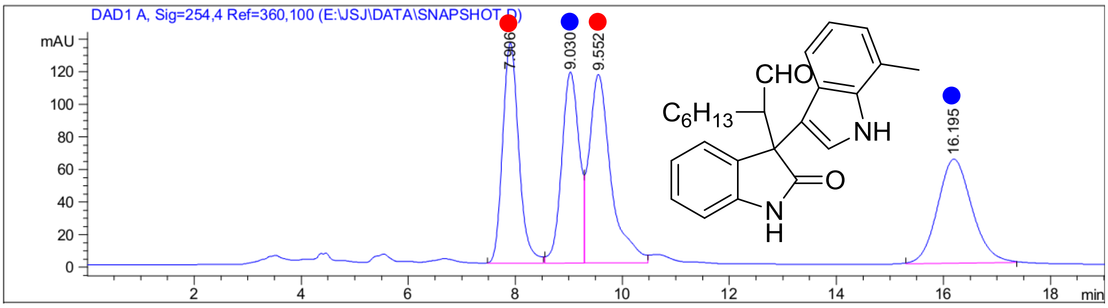
2-(3-(7-methyl-1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3ea)

Racemic



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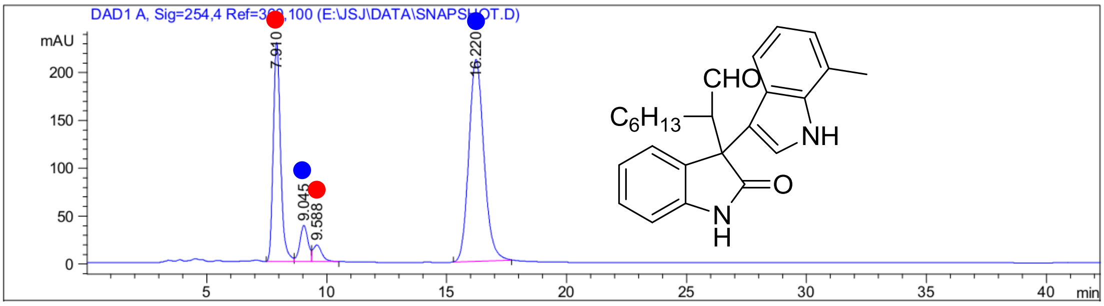
1	7.906	BB	0.3250	2840.98413	135.25902	24.4301
2	9.030	BV	0.3456	2650.31763	117.31983	22.7906
3	9.552	VB	0.4192	3289.88110	115.89556	28.2903
4	16.195	BB	0.6838	2847.83594	63.91362	24.4890

Enantioselective



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1	7.910	BV	0.3265	4839.09570	229.01445	31.2959
2	9.045	VV	0.3633	900.18970	37.86856	5.8218
3	9.588	VB	0.3864	448.24783	17.41086	2.8990
4	16.220	BB	0.6763	9274.88086	211.22705	59.9834

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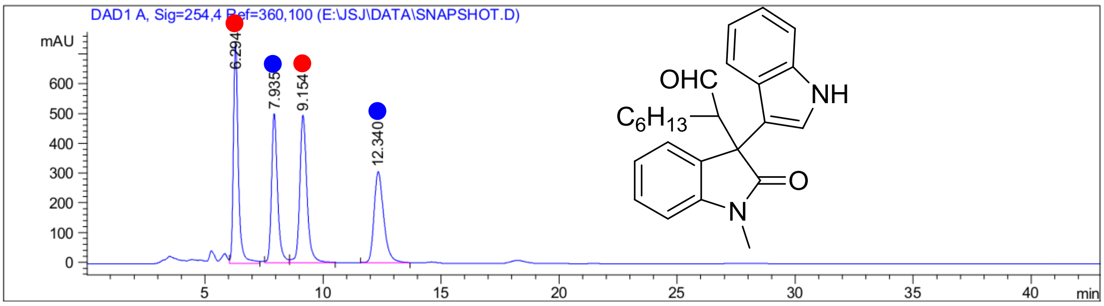
2-(3-(1*H*-indol-3-yl)-1-methyl-2-oxoindolin-3-yl)octanal(3fa)

Racemic



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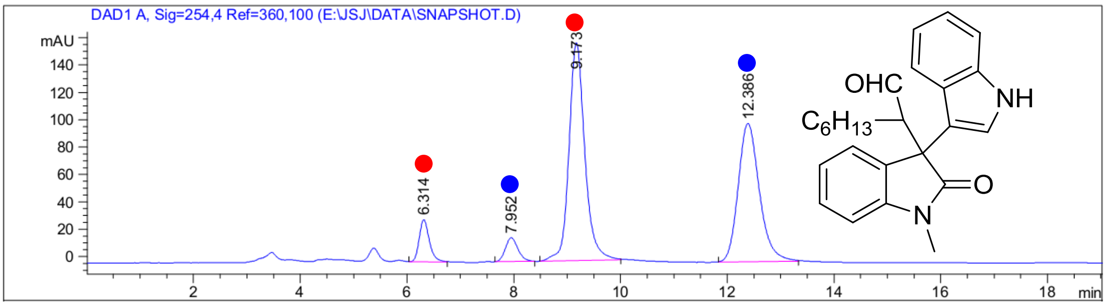
1	6.294	VB	0.2150	1.06020e4	740.56549	27.2920
2	7.935	BV	0.2671	8921.90723	502.51572	22.9671
3	9.154	VB	0.3202	1.05651e4	496.60941	27.1972
4	12.340	BB	0.4333	8757.39941	306.51401	22.5437

Enantioselective



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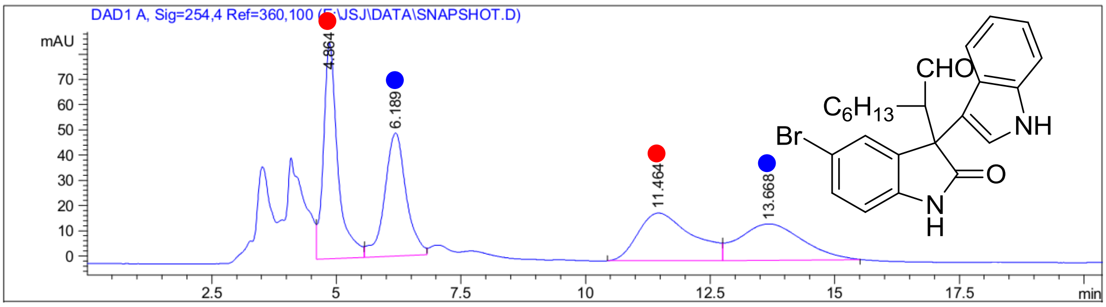
1	6.314	VB	0.2028	408.25650	30.77724	6.0435
2	7.952	BB	0.2506	286.20203	17.52253	4.2367
3	9.173	BB	0.3121	3274.63428	159.08882	48.4748
4	12.386	BB	0.4213	2786.23535	101.15948	41.2450

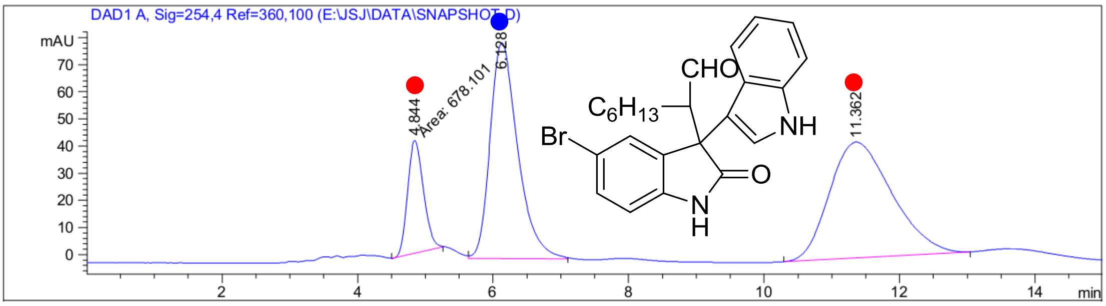
2-(5-bromo-3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3ga)

Racemic



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	4.864	VB	0.2956	1714.41663	85.62328	29.0663
2	6.189	BV	0.4531	1467.71619	48.76577	24.8837
3	11.464	BV	1.0548	1432.60376	18.88880	24.2884
4	13.668	VB	1.0625	1283.57031	14.44738	21.7617

Enantioselective



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	4.844	MM	0.2715	678.10138	41.62068	11.9540
2	6.128	BB	0.4336	2259.77832	79.49682	39.8368
3	11.362	BB	0.9836	2734.71069	42.67469	48.2092

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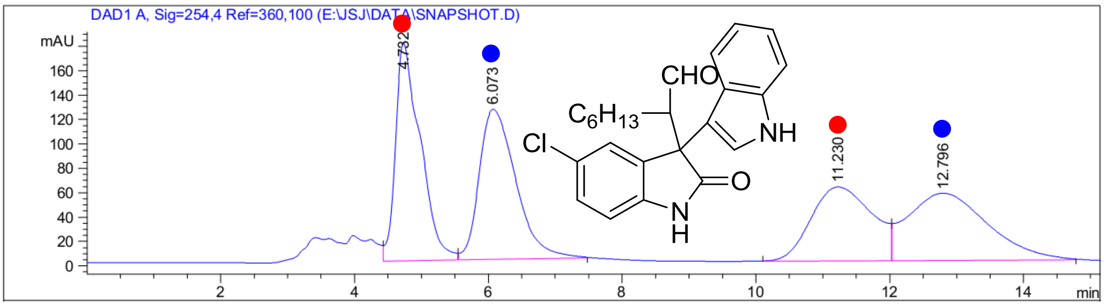
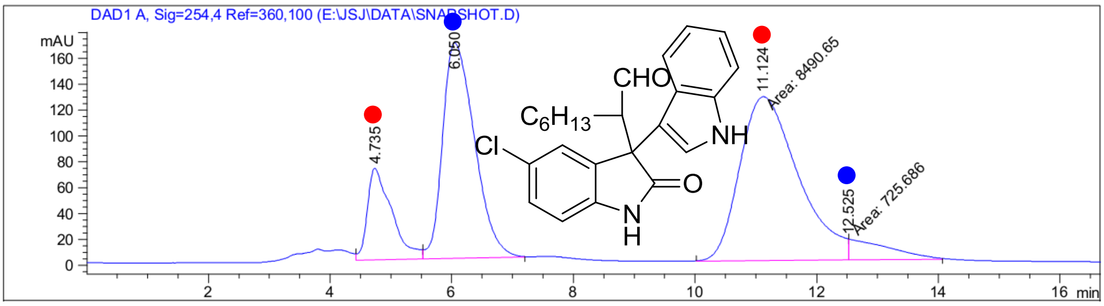
2-(5-chloro-3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)octanal(3ha)

Racemic



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	4.732	VV	0.3586	4606.39600	178.80412	25.9953
2	6.073	VB	0.5974	4702.55225	123.17397	26.5379
3	11.230	BV	0.9644	3844.07983	60.57000	21.6933
4	12.796	VB	1.2054	4567.11328	55.07679	25.7736

Enantioselective



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	4.735	VB	0.3865	1985.65381	70.95663	11.4869
2	6.050	BB	0.5820	6084.29297	166.62265	35.1972
3	11.124	MF	1.1179	8490.64648	126.58896	49.1178
4	12.525	FM	0.7522	725.68567	16.07951	4.1980

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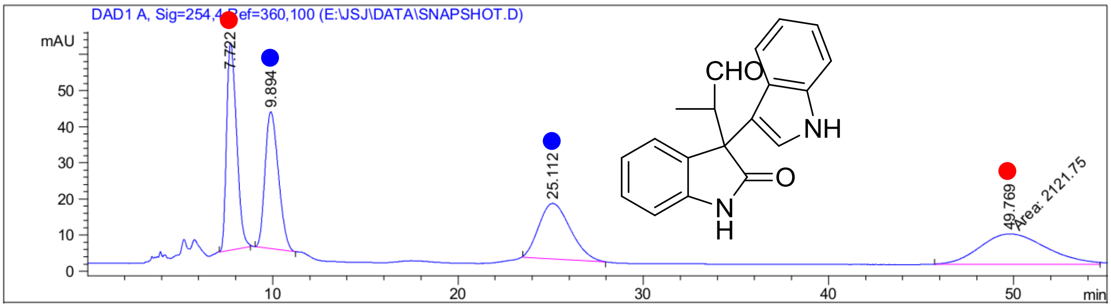
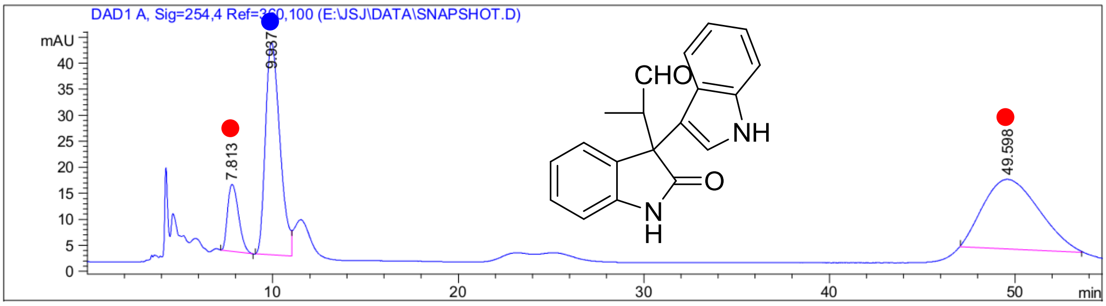
2-(3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)propanal(3ab)

Racemic



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7.722	BB	0.5990	2150.32690	57.40340	26.9017
2	9.894	BB	0.7797	1901.24719	37.87059	23.7856
3	25.112	BB	1.3993	1819.93774	15.37159	22.7684
4	49.769	MM	4.1674	2121.75171	8.48561	26.5442

Enantioselective



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7.813	BB	0.6508	531.85730	12.85209	9.5836
2	9.937	BV	0.8292	2214.89209	40.80659	39.9102
3	49.598	BB	2.4548	2802.93970	13.36471	50.5062

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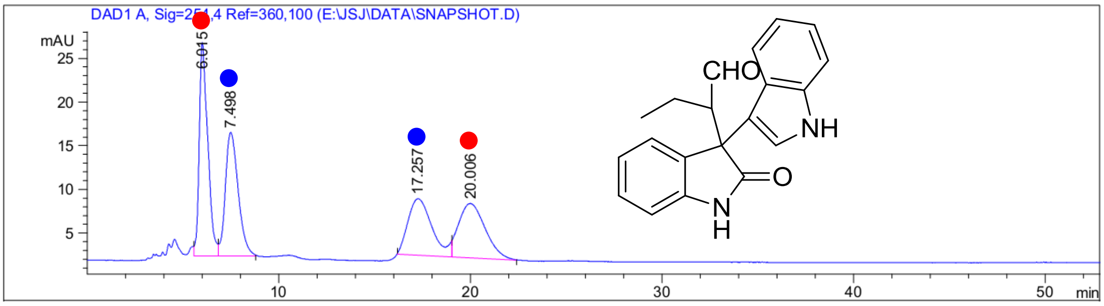
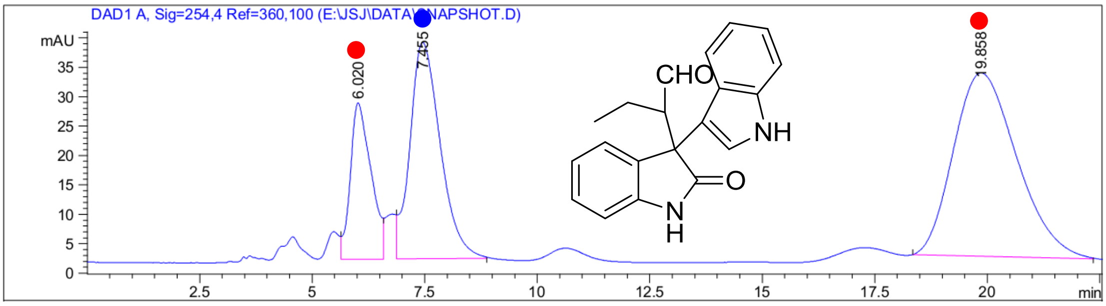
2-(3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)butanal(3ac)

Racemic



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	6.015	BB	0.4397	757.13043	24.41899	29.3812
2	7.498	BB	0.6970	658.34839	14.14393	25.5479
3	17.257	BB	1.0341	565.59155	6.45568	21.9484
4	20.006	BB	1.1327	595.84668	6.21374	23.1225

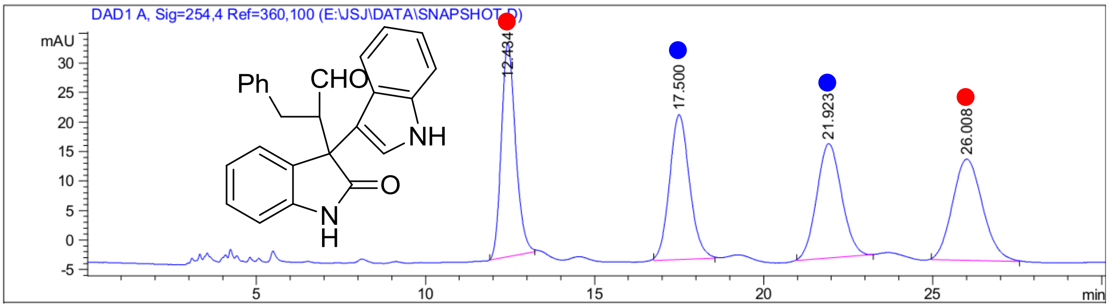
Enantioselective



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	6.020	VV	0.4571	851.38336	26.62210	15.1114
2	7.455	BB	0.7101	1728.08960	36.78675	30.6722
3	19.858	BB	1.3862	3054.58032	31.20064	54.2164

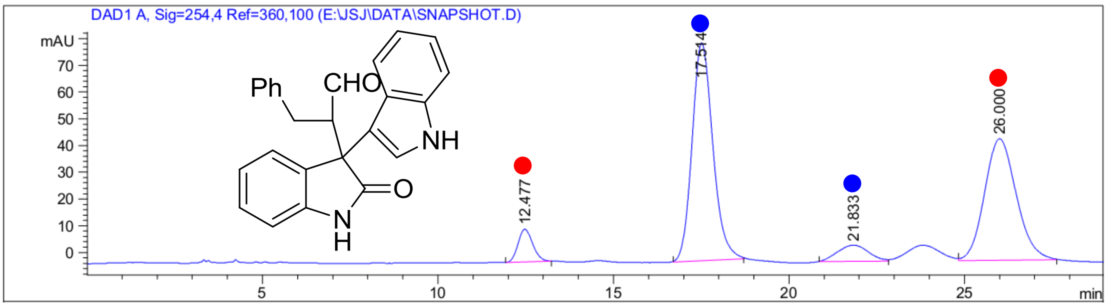
2-(3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)-3-phenylpropanal(3ad)

Racemic



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.434	BB	0.4502	1057.51294	36.26501	25.6565
2	17.500	BB	0.6301	1010.57288	24.55861	24.5177
3	21.923	BB	0.7627	991.64905	19.38980	24.0585
4	26.008	BB	0.9342	1062.08154	17.15685	25.7673

Enantioselective



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.477	BB	0.4491	359.01813	12.28032	5.1627
2	17.514	BB	0.6307	3355.77173	81.43875	48.2566
3	21.833	BV	0.7322	375.07544	6.07620	5.3937
4	26.000	VB	0.9576	2864.14771	45.42830	41.1870

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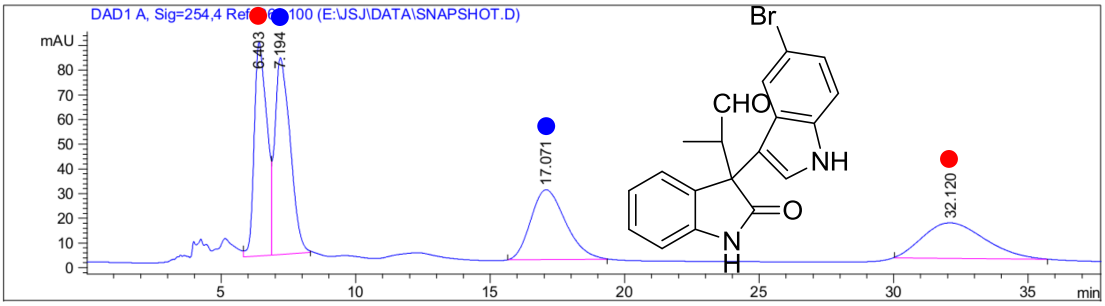
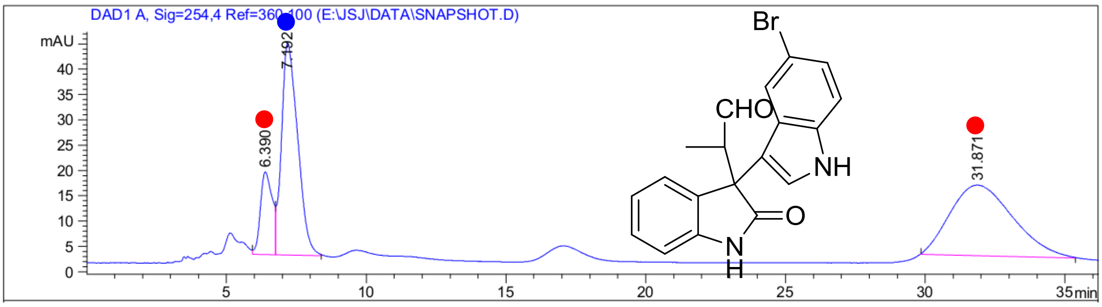
2-(3-(5-bromo-1*H*-indol-3-yl)-2-oxoindolin-3-yl)propanal(3db)

Racemic



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	6.403	VV	0.4774	2841.07349	86.46140	26.1525
2	7.194	VB	0.6273	3164.93726	79.70119	29.1337
3	17.071	BB	1.2244	2553.69043	28.36269	23.5071
4	32.120	BB	1.8759	2303.77930	14.38915	21.2066

Enantioselective



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	6.390	BV	0.4347	485.67856	16.34447	11.1612
2	7.192	VB	0.6474	1685.37207	41.88871	38.7307
3	31.871	BB	1.8292	2180.45898	13.93723	50.1081

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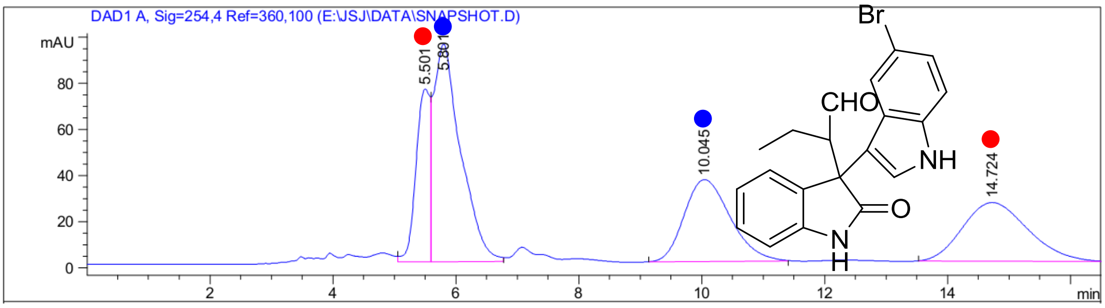
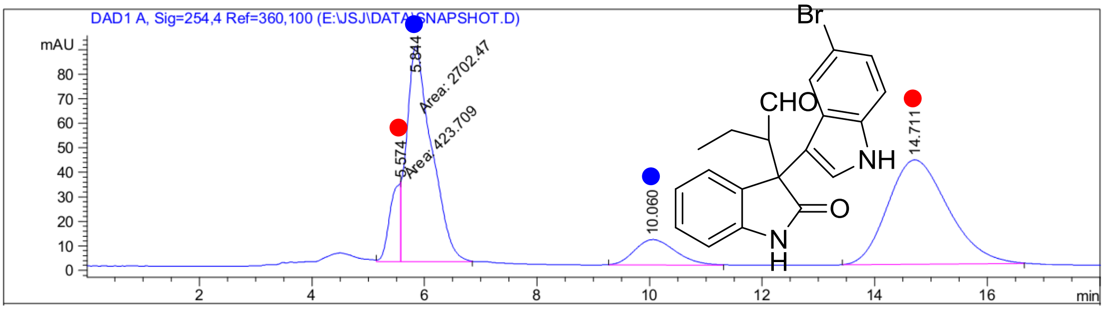
2-(3-(5-bromo-1*H*-indol-3-yl)-2-oxoindolin-3-yl)butanal(3dc)

Racemic



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	5.501	VV	0.2458	1193.26990	74.92126	15.3121
2	5.801	VB	0.4227	2866.90039	94.29029	36.7881
3	10.045	BB	0.7981	1860.86987	35.58725	23.8787
4	14.724	BBA	1.0880	1871.96680	25.39402	24.0211

Enantioselective



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	5.574	MF	0.2183	423.70932	32.34864	6.1984
2	5.844	FM	0.5126	2702.46899	87.86944	39.5340
3	10.060	BB	0.7638	520.89740	10.30342	7.6201
4	14.711	BB	1.1403	3188.73047	42.64588	46.6475

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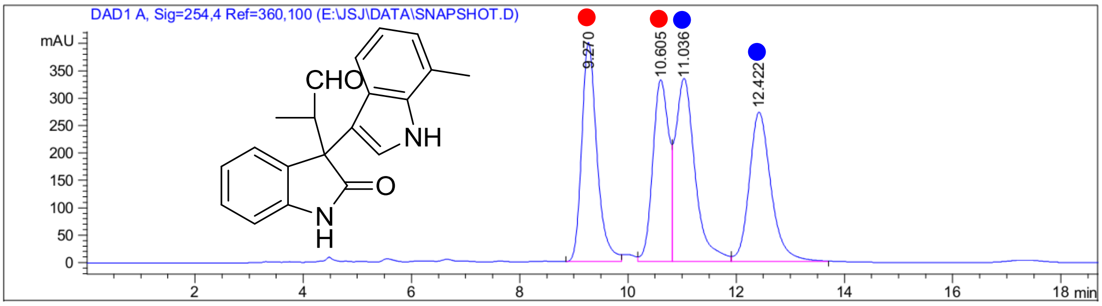
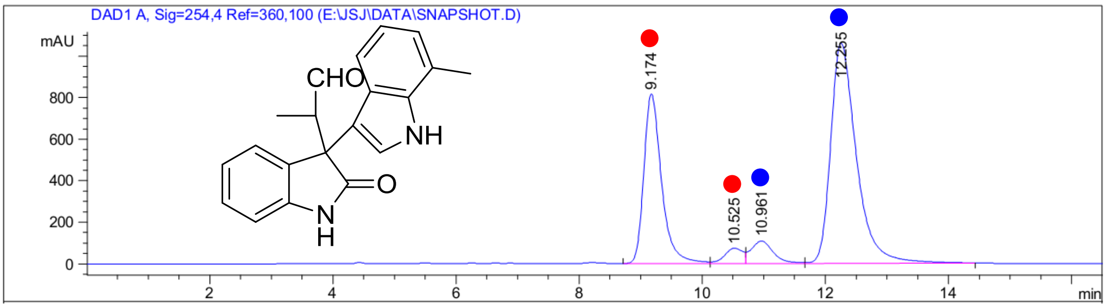
2-(3-(7-methyl-1*H*-indol-3-yl)-2-oxoindolin-3-yl)propanal(3eb)

Racemic



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.270	BV	0.2977	7808.24365	400.10464	25.3859
2	10.605	VV	0.3120	6823.58105	331.71347	22.1846
3	11.036	VV	0.3738	8478.53613	334.36444	27.5651
4	12.422	VB	0.4254	7647.81494	272.49286	24.8643

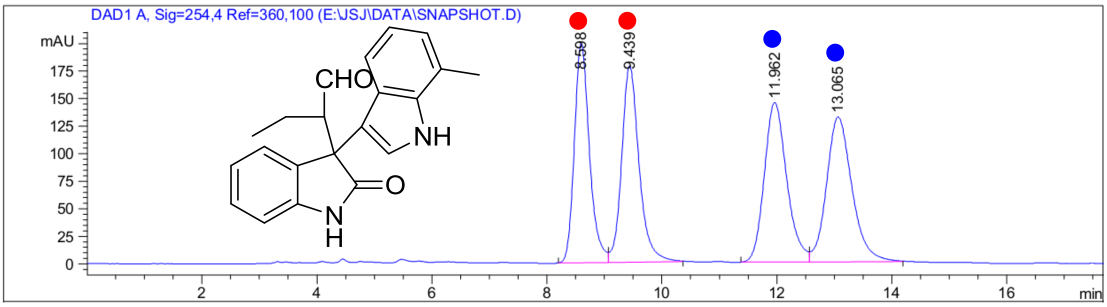
Enantioselective



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.174	VV	0.3035	1.63609e4	817.30713	31.7989
2	10.525	VV	0.3094	1522.50012	74.20801	2.9591
3	10.961	VV	0.3716	2703.98779	108.19880	5.2554
4	12.255	VB	0.4391	3.08639e4	1061.90686	59.9866

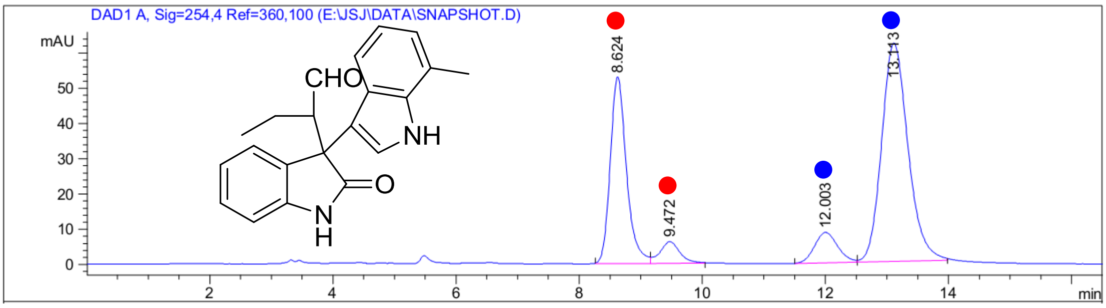
2-(3-(7-methyl-1*H*-indol-3-yl)-2-oxoindolin-3-yl)butanal(3ec)

Racemic



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.598	BV	0.2790	3643.62891	199.52719	23.6378
2	9.439	VB	0.3207	3771.97095	178.34866	24.4704
3	11.962	BV	0.4171	3937.94849	144.86671	25.5471
4	13.065	VB	0.4703	4060.89136	131.49629	26.3447

Enantioselective



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.624	BB	0.2781	971.56793	52.93105	30.5697
2	9.472	BB	0.3324	138.11148	6.14095	4.3456
3	12.003	BV	0.4015	224.76300	8.58345	7.0720
4	13.113	VB	0.4573	1843.76648	61.92967	58.0128

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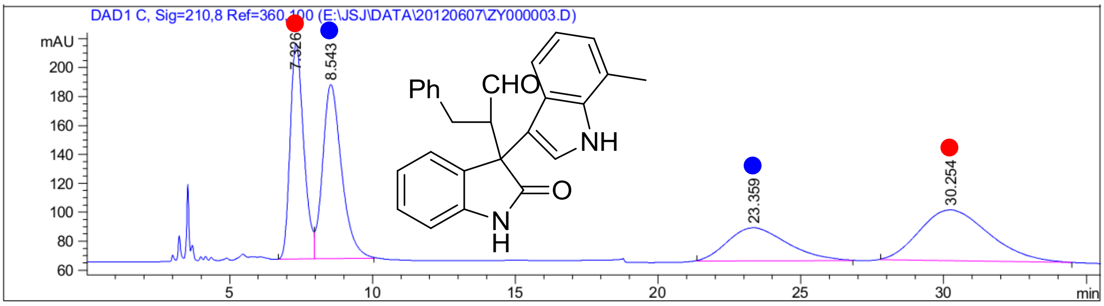
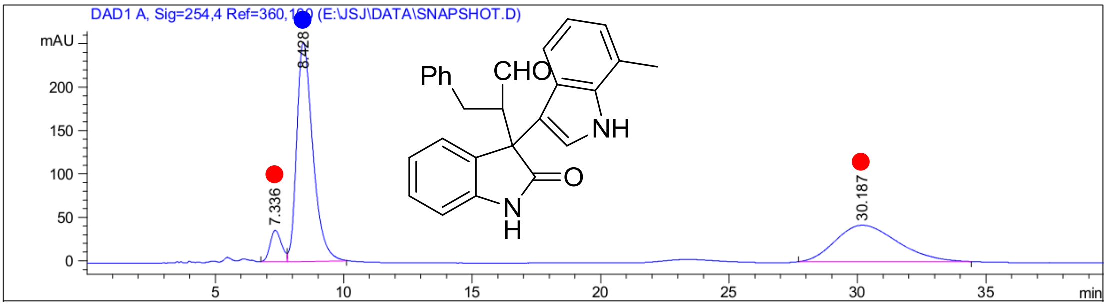
2-(3-(7-methyl-1*H*-indol-3-yl)-2-oxoindolin-3-yl)-3-phenylpropanal(3ed)

Racemic



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7.326	BV	0.5096	4925.51270	149.01814	24.9446
2	8.543	VB	0.7039	5575.89600	120.48984	28.2384
3	23.359	BB	1.7025	3301.57007	22.78568	16.7204
4	30.254	BB	2.0165	5942.82324	35.15039	30.0966

Enantioselective



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7.336	BV	0.4771	1110.44458	36.07802	5.7670
2	8.428	VB	0.6676	1.10216e4	252.30403	57.2397
3	30.187	BB	1.9998	7123.10498	42.10324	36.9933

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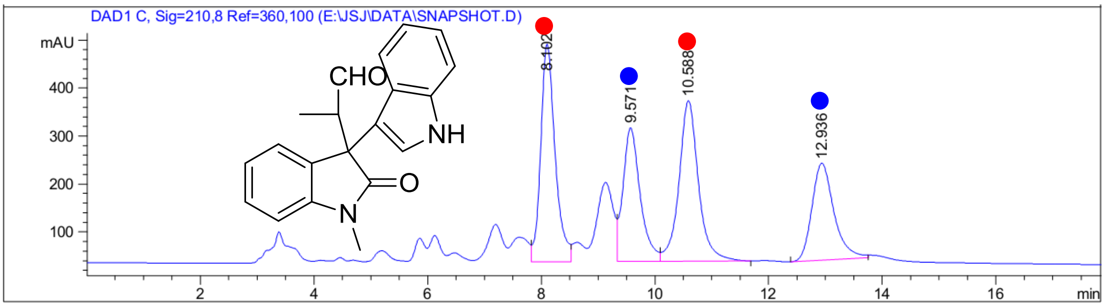
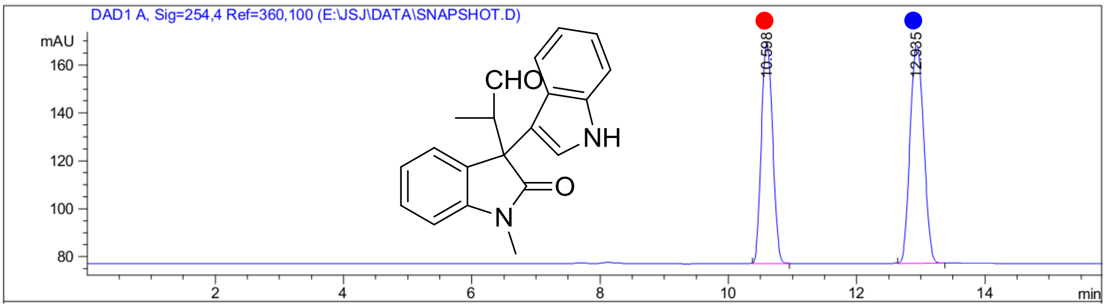
2-(3-(1*H*-indol-3-yl)-1-methyl-2-oxoindolin-3-yl)propanal(3fb)

Racemic



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.102	VV	0.2633	7988.43848	453.87119	29.2362
2	9.571	VV	0.3125	5883.58643	278.45645	21.5328
3	10.588	VB	0.3542	7980.89355	334.70029	29.2086
4	12.936	BB	0.4114	5470.86279	202.39256	20.0223

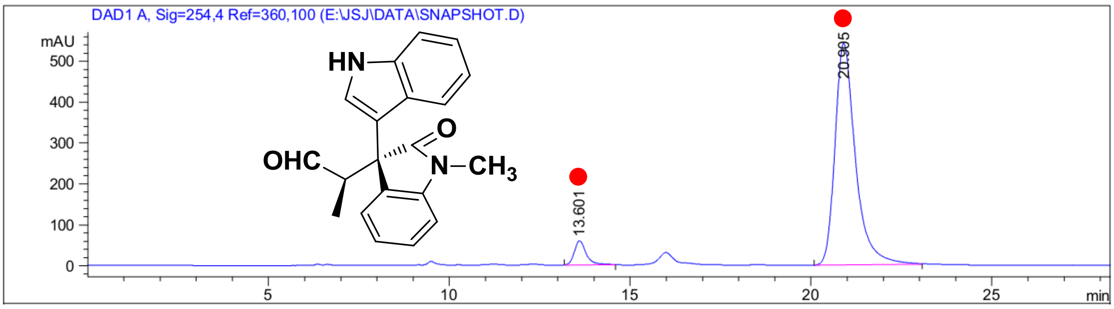
Enantioselective



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.598	BB	0.1940	1120.25793	92.05593	45.3765
2	12.935	BB	0.2391	1348.54980	90.87155	54.6235

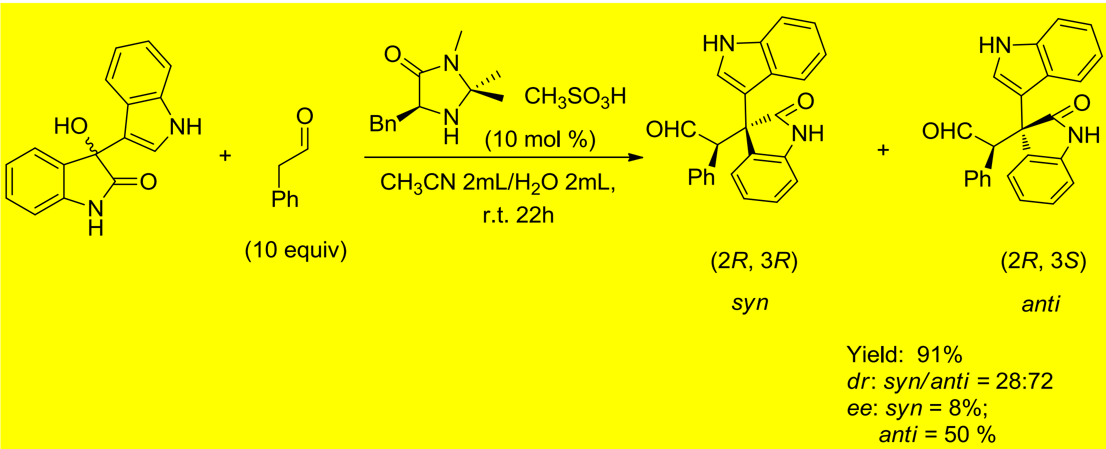
3-(1*H*-indol-3-yl)-1-methyl-3-(3-oxobutan-2-yl)indolin-2-one(*syn*-4fb)

Enantioselective

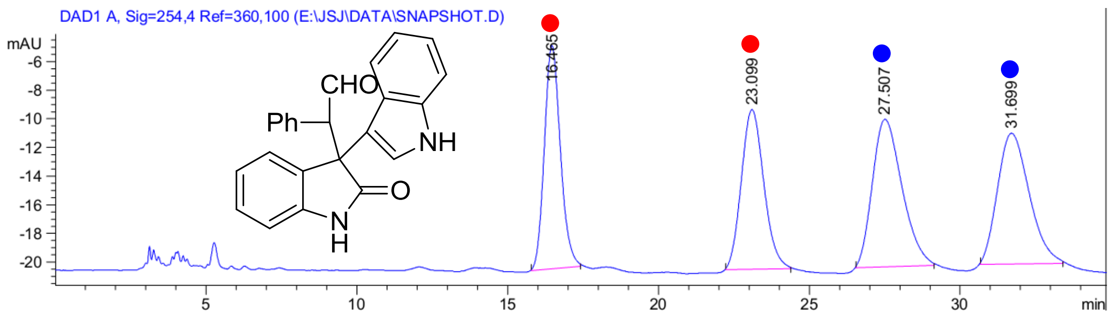


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.601	BB	0.3445	1369.16467	59.48820	6.0215
2	20.905	BB	0.5936	2.13687e4	542.46240	93.9785

2-(3-(1*H*-indol-3-yl)-2-oxoindolin-3-yl)-2-phenylacetaldehyde(3ae)



Racemic



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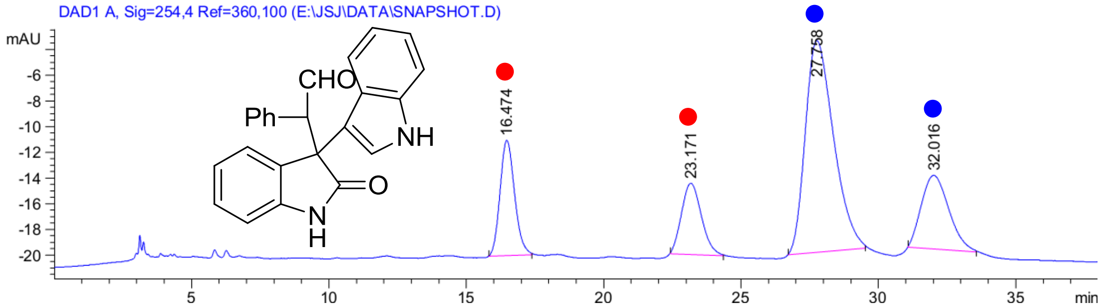
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.465	BB	0.5643	574.97797	15.58911	22.8030
2	23.099	BB	0.7812	578.42609	11.15117	22.9398
3	27.507	BB	0.9722	703.37244	10.30625	27.8950
4	31.699	BB	0.9924	664.72174	9.11889	26.3622

Enantioselective



Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.474	BB	0.5573	329.42371	8.95315	15.0633
2	23.171	BB	0.7163	278.20529	5.53617	12.7213
3	27.758	BB	1.0114	1181.31470	16.45876	54.0171
4	32.016	BB	0.8355	397.98206	5.72157	18.1982