

Supporting Information

Changzhen Zhai,^{a,b+} Yuqing Zhang,^{a,b+} Boming Shen,^b Meiwen Liu,^b Tian Liang,^a
Peiyuan Yu,^b Yunkun Qi,^{a*} Wenjun Li,^{a*} and Pengfei Li^{b*}

^a Department of Medicinal Chemistry, School of Pharmacy, Qingdao University, Qingdao 266021, China.

^b Department of Chemistry, Guangdong Provincial Key Laboratory of Catalysis, College of Science, Southern University of Science and Technology Guangming Advanced Research Institute, Southern University of Science and Technology (SUSTech), Shenzhen 518055, China.

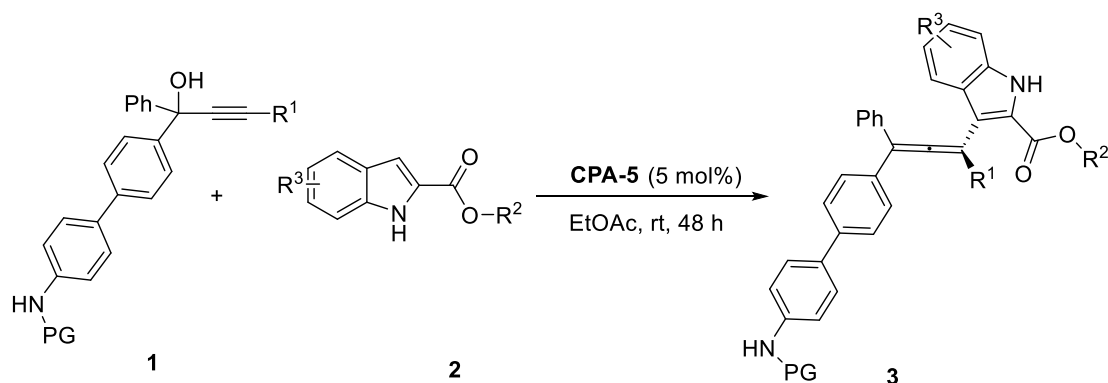
A: General Information and Starting Materials.....	2
B: General Procedure	2
C: Characterization Data	3
D: Large-scale Reaction	15
E: Mechanism Studies	16
F: Further Investigations	16
G: HPLC Analysis.....	25
H: NMR Analysis	49
I: Reference.....	75
J: Determination of the Absolute Configuration.	75

A: General Information and Starting Materials

General Information. Proton nuclear magnetic resonance (^1H NMR) spectra and carbon nuclear magnetic resonance (^{13}C NMR) spectra and fluorine nuclear magnetic resonance (^{19}F NMR) spectra were recorded on a Bruker ACF300 spectrometer (500 MHz, 126 MHz and 470 MHz). Chemical shifts for protons are reported in parts per million downfield from tetramethylsilane and are referenced to residual protium in the NMR solvent (DMSO- d_6 : δ 2.50). Chemical shifts for carbon are reported in parts per million downfield from tetramethylsilane and are referenced to the carbon resonances of the solvent (DMSO- d_6 : δ 39.50). Data are represented as follows: chemical shift, integration, multiplicity (br = broad, s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet), coupling constants in Hertz (Hz). All high resolution mass spectra were obtained on a Finnigan/MAT 95XL-T mass spectrometer. For thin layer chromatography (TLC), Merck pre-coated TLC plates (Merck 60 F254) were used, and compounds were visualized with a UV light at 254 nm. Flash chromatography separations were performed on Merck 60 (0.040-0.063 mm) mesh silica gel.

Starting Materials. All solvents, inorganic reagents were from commercial sources and used without purification unless otherwise noted. Propargylic alcohols and 2-indole derivatives were prepared following the literature procedures.¹⁻³

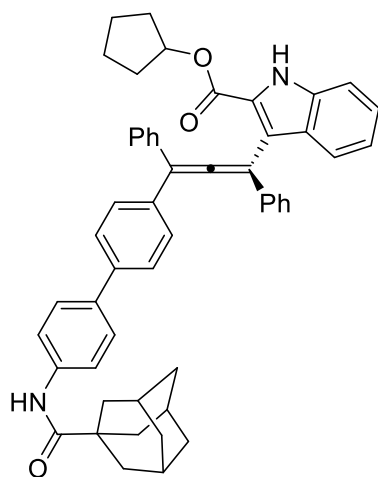
B: General Procedure



To a solution of EtOAc (0.3 mL) were added propargylic alcohols **1** (0.05 mmol), 2-indole derivatives **2** (0.06 mmol) and CPA-5 (5 mol%). The reaction mixture was stirred at room temperature for 48 h and then the solvent was removed under vacuum. The residue was purified by silica gel chromatography to yield the desired product **3**.

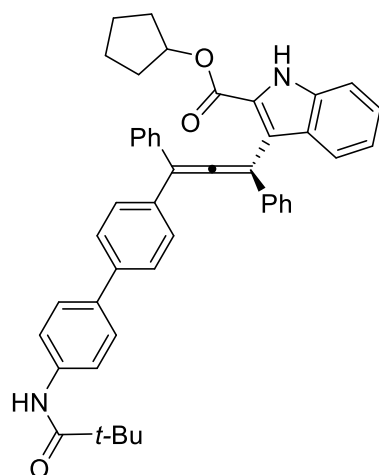
C: Characterization Data

Cyclopentyl 3-(3-(4'-((3*r*,5*r*,7*r*)-adamantane-1-carboxamido)-[1,1'-biphenyl]-4-yl)-1,3-diphenylpropa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3aa)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 30.7 mg, 82% yield. Mp 194.4-197.5 °C. ¹H NMR (DMSO-*d*₆, 500 MHz): δ (ppm) 12.15 (s, 1H), 9.21 (s, 1H), 7.77 (d, *J* = 10.0 Hz, 2H), 7.70 (d, *J* = 15.0 Hz, 2H), 7.64 (d, *J* = 5.0 Hz, 2H), 7.50 (d, *J* = 15.0 Hz, 1H), 7.44-7.36 (m, 7H), 7.33-7.30 (m, 2H), 7.27-7.22 (m, 5H), 6.93 (t, *J* = 10.0 Hz, 1H), 5.19-5.17 (m, 1H), 2.01-2.0 (m, 3H), 1.93-1.92 (m, 6H), 1.72-1.70 (m, 6H), 1.59-1.58 (m, 2H), 1.25-1.19 (m, 4H), 1.10-1.09 (m, 2H). ¹³C NMR (DMSO-*d*₆, 126 MHz): δ (ppm) 207.8, 176.6, 161.7, 139.6, 137.0, 136.7, 136.2, 134.6, 129.3, 129.2, 129.1, 128.7, 128.4, 128.0, 127.7, 127.0, 126.9, 126.3, 125.6, 121.1, 120.9, 120.8, 113.3, 112.0, 77.7, 41.5, 38.8, 36.5, 32.5, 28.2, 23.7. HRMS (ESI) *m/z*: [M+H]⁺ Calcd for C₅₂H₄₉N₂O₃ 749.3743; Found 749.3743. The enantiomeric excess was determined to be 84% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 50:50, 1.0 mL/min]: 15.3 min (major), 31.4 min (minor). [α]_D²² = +34.0 (c = 0.2, CH₂Cl₂).

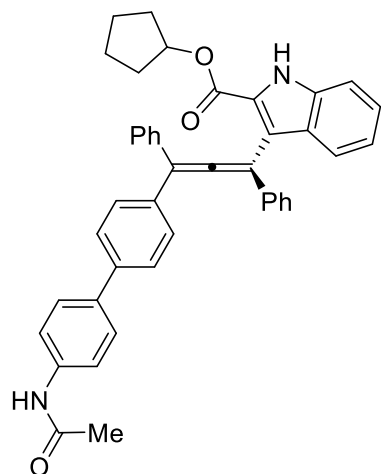
Cyclopentyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ba)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 29.4 mg, 85% yield. Mp 248.0-251.9 °C. ¹H NMR (DMSO-*d*₆, 500 MHz): δ (ppm) 12.15 (s, 1H), 9.30 (s, 1H), 7.76 (d, *J* = 10.0 Hz, 2H), 7.70 (d, *J* = 15.0 Hz, 2H), 7.64 (d, *J* = 10.0 Hz, 2H), 7.51 (d, *J* = 5.0 Hz, 1H), 7.44-7.34 (m, 7H), 7.33-7.30 (m, 2H), 7.27-7.21 (m, 5H), 6.93 (t, *J* = 10.0 Hz, 1H), 5.19-5.17 (m, 1H), 1.60-1.57 (m, 2H), 1.23-1.21 (m, 13H), 1.10-1.09 (m, 2H). ¹³C NMR (DMSO-*d*₆, 126 MHz): δ (ppm) 207.7, 177.0, 161.6, 139.6, 139.5, 136.9, 136.6, 136.1, 134.6, 134.5, 129.2, 129.1, 129.0, 128.6, 128.3, 127.9, 127.6, 126.9, 126.8, 126.2, 125.5, 121.0, 120.9, 120.7, 114.7, 113.2, 112.0, 104.7, 77.6, 39.7, 32.4, 32.3, 27.7, 23.6. HRMS (ESI) *m/z*: [M+H]⁺ Calcd for C₄₆H₄₃N₂O₃ 671.3274; Found 671.3272. The enantiomeric excess was determined to be 96% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 50:50, 1.0

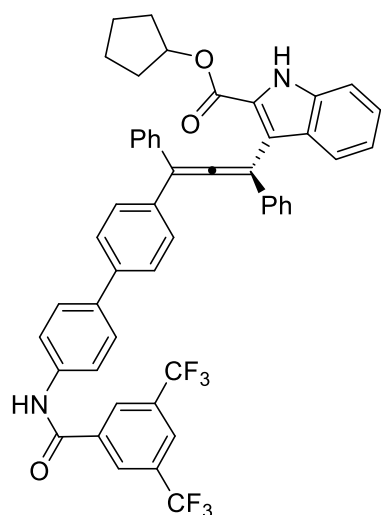
mL/min]: 8.9 min (major), 12.1 min (minor). $[\alpha]^{22}_{\text{D}} = +34.0$ ($c = 0.3$, CH_2Cl_2).

Cyclopentyl 3-(3-(4'-acetamido-[1,1'-biphenyl]-4-yl)-1,3-diphenylpropa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3ca)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 5:3. Yellow solid, 27.0 mg, 88% yield. Mp 125.9-127.8 °C. ^1H NMR ($\text{DMSO}-d_6$, 500 MHz): δ (ppm) 12.15 (s, 1H), 10.05 (s, 1H), 7.68 (d, $J = 10.0$ Hz, 4H), 7.64 (d, $J = 5.0$ Hz, 2H), 7.50 (d, $J = 5.0$ Hz, 1H), 7.44-7.34 (m, 7H), 7.33-7.29 (m, 2H), 7.27-7.21 (m, 5H), 6.92 (t, $J = 10.0$ Hz, 1H), 5.19-5.18 (m, 1H), 2.06 (s, 3H), 1.60-1.57 (m, 2H), 1.25-1.16 (m, 4H), 1.10-1.09 (m, 2H). ^{13}C NMR ($\text{DMSO}-d_6$, 126 MHz): δ (ppm) 207.7, 168.8, 161.6, 139.5, 139.4, 136.9, 136.6, 136.0, 134.5, 134.4, 129.2, 129.1, 129.0, 128.6, 128.3, 127.9, 127.6, 127.3, 127.0, 126.2, 125.5, 120.8, 120.7, 119.8, 114.6, 113.2, 111.9, 104.7, 77.6, 32.4, 32.3, 24.5, 23.6. HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{43}\text{H}_{37}\text{N}_2\text{O}_3$ 629.2804; Found 629.2800. The enantiomeric excess was determined to be 74% by HPLC. [IA column, 254 nm, n -hexane:EtOH = 50:50, 1.0 mL/min]: 11.1min (major), 13.9 min (minor). $[\alpha]^{22}_{\text{D}} = +33.0$ ($c = 0.2$, CH_2Cl_2).

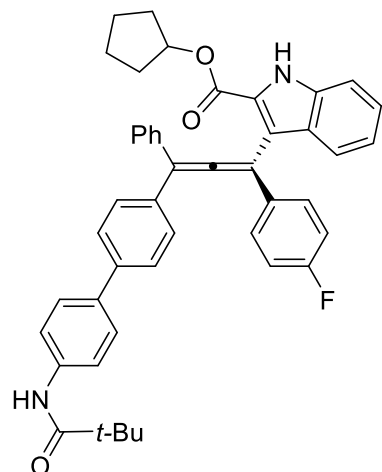
Cyclopentyl 3-(3-(4'-(3,5-bis(trifluoromethyl)benzamido)-[1,1'-biphenyl]-4-yl)-1,3-diphenylpropa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3da)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 32.5 mg, 80% yield. Mp 160.7-163.5 °C. ^1H NMR ($\text{DMSO}-d_6$, 500 MHz): δ (ppm) 12.16 (s, 1H), 10.77 (s, 1H), 8.63 (s, 2H), 8.37 (s, 1H), 7.89 (d, $J = 10.0$ Hz, 2H), 7.76-7.73 (m, 4H), 7.51 (d, $J = 10.0$ Hz, 1H), 7.43-7.30 (m, 7H), 7.28-7.20 (m, 7H), 6.93 (t, $J = 10.0$ Hz, 1H), 5.20-5.19 (m, 1H), 1.61-1.57 (m, 2H), 1.21-1.19 (m, 4H), 1.10-1.09 (m, 2H). ^{13}C NMR ($\text{DMSO}-d_6$, 126 MHz): δ (ppm) 207.8, 163.0, 161.6, 139.3, 138.6, 137.5, 136.9, 136.6, 136.0, 135.8, 134.8, 130.7 (q, $J = 66.8$ Hz), 129.2, 129.1, 129.0, 128.6, 128.3, 127.9, 127.6, 127.3, 127.1, 126.2, 125.6, 125.5, 124.7, 122.5, 121.5, 120.8, 120.7, 114.6, 113.2, 111.9, 104.8, 77.6, 32.4, 32.3, 23.6. HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{50}\text{H}_{37}\text{F}_6\text{N}_2\text{O}_3$ 827.2708; Found 827.2704. The enantiomeric excess was determined to be 80% by HPLC. [IA column, 254 nm,

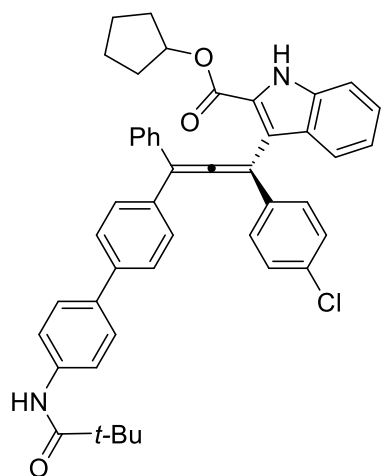
n-hexane:EtOH= 50:50, 1.0 mL/min]: 6.8 min (major), 8.5 min (minor). $[\alpha]_D^{22} = +30.7$ ($c = 0.3$, CH₂Cl₂).

Cyclopentyl 3-(1-(4-fluorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ea)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 31.0 mg, 90% yield. Mp 254.3-257.0 °C. ¹H NMR (DMSO-*d*₆, 500 MHz): δ (ppm) 12.16 (s, 1H), 9.28 (s, 1H), 7.75-7.72 (m, 2H), 7.68-7.66 (m, 2H), 7.62-7.60 (m, 2H), 7.47 (d, $J = 10.0$ Hz, 1H), 7.39-7.33 (m, 7H), 7.26-7.19 (m, 4H), 7.14-7.11 (m, 2H), 6.91-6.88 (m, 1H), 5.17-5.15 (m, 1H), 1.60-1.56 (m, 2H), 1.22-1.20 (m, 13H), 1.09-1.07 (m, 2H). ¹³C NMR (DMSO-*d*₆, 126 MHz): δ (ppm) 207.6, 177.1, 161.4 (d, $J = 244.6$ Hz), 139.7, 136.9, 136.0, 134.6, 134.5, 133.1, 129.3, 129.1, 128.7, 128.5, 128.2 (d, $J = 9.1$ Hz), 127.9, 127.1, 127.0, 125.6, 125.5, 121.0, 120.8, 116.1 (d, $J = 22.7$ Hz), 114.6, 113.3, 112.2, 103.9, 77.8, 32.5, 32.4, 27.7, 23.7. ¹⁹F NMR (DMSO-*d*₆, 470 MHz) δ (ppm) -115.30. HRMS (ESI) m/z : $[M+H]^+$ Calcd for C₄₆H₄₂FN₂O₃ 689.3179; Found 689.3175. The enantiomeric excess was determined to be 90% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 50:50, 1.0 mL/min]: 8.6 min (major), 10.4 min (minor). $[\alpha]_D^{22} = +44.7$ ($c = 0.3$, CH₂Cl₂).

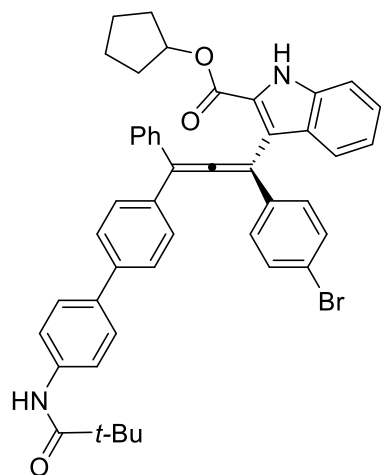
Cyclopentyl 3-(1-(4-chlorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3fa)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 31.5 mg, 87% yield. Mp 260.3-262.6 °C. ¹H NMR (DMSO-*d*₆, 500 MHz): δ (ppm) 12.17 (s, 1H), 9.28 (s, 1H), 7.74-7.72 (m, 2H), 7.68-7.67 (m, 2H), 7.62-7.60 (m, 2H), 7.47 (d, $J = 10.0$ Hz, 1H), 7.39-7.33 (m, 9H), 7.24-7.21 (m, 3H), 7.18 (d, $J = 10.0$ Hz, 1H), 6.90-6.88 (m, 1H), 5.17-5.15 (m, 1H), 1.60-1.56 (m, 2H), 1.25-1.17 (m, 13H), 1.09-1.07 (m, 2H). ¹³C NMR (DMSO-*d*₆, 126 MHz): δ (ppm) 207.9, 177.1, 161.5, 139.7, 139.6, 136.9, 135.9, 135.8, 134.5, 134.3, 132.2, 129.3, 129.2, 129.1, 128.7, 128.6, 128.0, 127.9, 127.0, 125.7, 125.6, 121.0, 120.7, 114.3, 113.3, 112.4, 104.0, 77.8, 32.5, 32.4, 27.7, 23.7. HRMS (ESI) m/z : $[M+H]^+$ Calcd for

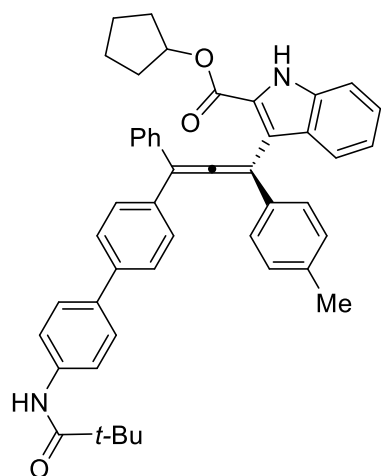
C₄₆H₄₂ClN₂O₃ 705.2884; Found 705.2877. The enantiomeric excess was determined to be 84% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 50:50, 1.0 mL/min]: 8.9min (major), 11.1 min (minor). [α]_D²² = +0.8 (c = 0.3, CH₂Cl₂).

Cyclopentyl 3-(1-(4-chlorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ga)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 33.3 mg, 89% yield. Mp 154.6-156.7 °C. ¹H NMR (DMSO-*d*₆, 500 MHz): δ (ppm) 12.17 (s, 1H), 9.28 (s, 1H), 7.73 (d, *J* = 10.0 Hz, 2H), 7.67 (d, *J* = 5.0 Hz, 2H), 7.61 (d, *J* = 10.0 Hz, 2H), 7.49-7.46 (m, 3H), 7.41-7.38 (m, 2H), 7.36-7.32 (m, 6H), 7.23-7.20 (m, 1H), 7.17-7.16 (m, 2H), 6.90-6.88 (m, 1H), 5.17-5.16 (m, 1H), 1.61-1.57 (m, 2H), 1.21-1.20 (m, 13H), 1.10-1.08 (m, 2H). ¹³C NMR (DMSO-*d*₆, 126 MHz): δ (ppm) 207.9, 177.1, 161.5, 139.7, 139.6, 137.0, 136.2, 135.8, 134.5, 134.3, 133.8, 132.4, 132.1, 129.3, 129.1, 128.7, 128.6, 128.3, 127.8, 127.0, 125.7, 125.6, 121.0, 120.7, 114.2, 113.3, 112.4, 104.1, 77.8, 39.7, 32.5, 32.4, 27.7, 23.7. HRMS (ESI) *m/z*: [M+H]⁺ Calcd for C₄₆H₄₂BrN₂O₃ 749.2379; Found 749.2377. The enantiomeric excess was determined to be 87% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 50:50, 1.0 mL/min]: 8.0 min (major), 10.0 min (minor). [α]_D²² = -27.0 (c = 0.2, CH₂Cl₂).

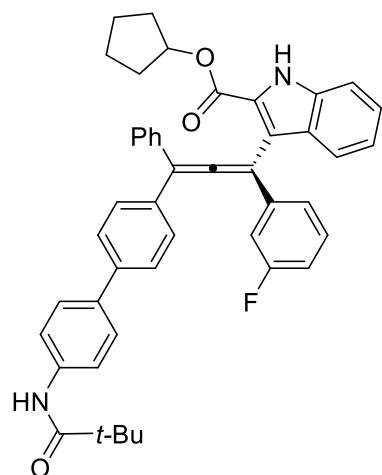
Cyclopentyl 3-(3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)-1-(*p*-tolyl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ha)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 25.8 mg, 90% yield. Mp 258.0-260.9 °C. ¹H NMR (DMSO-*d*₆, 500 MHz): δ (ppm) 12.10 (s, 1H), 9.27 (s, 1H), 7.74-7.72 (m, 2H), 7.67-7.65 (m, 2H), 7.62-7.60 (m, 2H), 7.47-7.45 (m, 1H), 7.38-7.32 (m, 7H), 7.22-7.17 (m, 2H), 7.11-7.08 (m, 4H), 6.89-6.86 (m, 1H), 5.15-5.14 (m, 1H), 2.22 (s, 3H), 1.57-1.52 (m, 2H), 1.21-1.19 (m, 13H), 1.10-1.09 (m, 2H). ¹³C NMR (DMSO-*d*₆, 126 MHz): δ (ppm) 207.7, 177.1, 161.7, 139.6, 139.5, 137.0, 136.9, 136.3, 134.8, 134.6, 133.8, 129.7, 129.3, 129.1, 128.7, 128.3, 128.0, 127.0, 126.9, 126.2, 125.5, 121.0, 120.9, 120.8, 115.0,

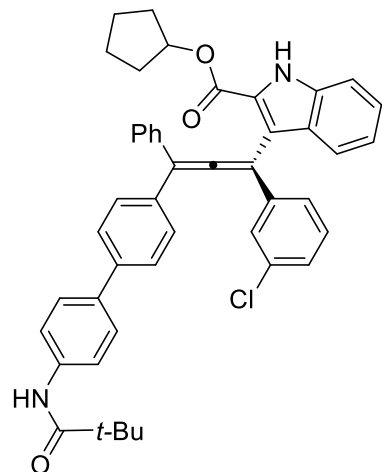
113.3, 111.9, 104.7, 77.7, 32.5, 32.4, 27.7, 23.7, 21.3. HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{47}H_{45}N_2O_3$ 685.3430; Found 685.3427. The enantiomeric excess was determined to be 80% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 50:50, 1.0 mL/min]: 8.7 min (major), 10.6 min (minor). $[\alpha]^{22}_D = +14.5$ ($c = 0.3$, CH_2Cl_2).

Cyclopentyl 3-(1-(4-fluorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ia)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 20.2 mg, 91% yield. Mp 224.6-226.1 °C. 1H NMR (DMSO- d_6 , 500 MHz): δ (ppm) 12.20 (s, 1H), 9.30 (s, 1H), 7.76 (d, $J = 10.0$ Hz, 2H), 7.71 (d, $J = 10.0$ Hz, 2H), 7.64 (d, $J = 5.0$ Hz, 2H), 7.52-7.50 (m, 1H), 7.45-7.37 (m, 8H), 7.27-7.22 (m, 2H), 7.13-7.07 (m, 2H), 6.94-6.97 (m, 2H), 5.22-5.19 (m, 1H), 1.63-1.61 (m, 2H), 1.27-1.21 (m, 13H), 1.12-1.10 (m, 2H). ^{13}C NMR (DMSO- d_6 , 126 MHz): δ (ppm) 207.9, 177.0, 163.7 (d, $J = 244.4$ Hz), 139.7, 139.8, 139.6, 139.5, 136.9, 135.7, 134.4, 134.1, 131.2 (d, $J = 8.8$ Hz), 129.3, 129.0, 128.7, 128.5, 127.8, 127.0, 125.6, 125.5, 122.4, 121.0, 120.6, 114.3 (d, $J = 21.4$ Hz), 114.0, 113.3, 112.4, 112.4, 104.0, 103.9, 77.7, 39.7, 32.5, 32.4, 27.7, 23.6. ^{19}F NMR (DMSO- d_6 , 470 MHz) δ (ppm) -113.22. HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{46}H_{42}FN_2O_3$ 689.3179; Found 689.3169. The enantiomeric excess was determined to be 98% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 50:50, 1.0 mL/min]: 10.0 min (major), 14.3 min (minor). $[\alpha]^{22}_D = +16.1$ ($c = 0.3$, CH_2Cl_2).

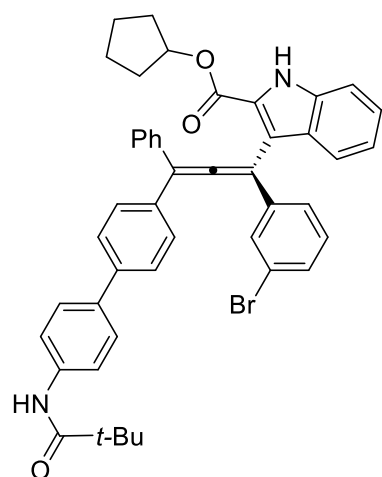
Cyclopentyl 3-(1-(3-chlorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ja)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 23.3 mg, 90% yield. Mp 165.2-167.1 °C. 1H NMR (DMSO- d_6 , 500 MHz): δ (ppm) 12.21 (s, 1H), 9.30 (s, 1H), 7.76 (d, $J = 10.0$ Hz, 2H), 7.71 (d, $J = 5.0$ Hz, 2H), 7.64 (d, $J = 5.0$ Hz, 2H), 7.51 (d, $J = 10.0$ Hz, 1H), 7.45-7.42 (m, 2H), 7.40-7.30 (m, 7H), 7.28-7.22 (m, 3H), 7.16 (s, 1H), 6.96-6.93 (m, 1H), 5.22-5.20 (m, 1H), 1.63-1.61 (m, 2H), 1.27-1.21 (m, 13H), 1.06-1.04 (m, 2H). ^{13}C NMR (DMSO- d_6 , 126 MHz): δ (ppm) 207.9, 177.0, 139.7,

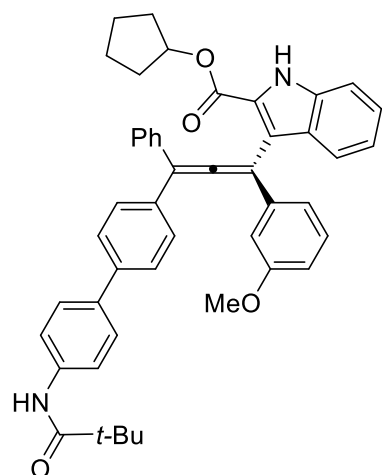
139.6, 139.2, 136.9, 135.6, 134.4, 134.1, 134.0, 131.1, 129.3, 129.0, 128.7, 128.6, 127.8, 127.4, 127.1, 127.0, 125.6, 125.5, 125.4, 124.9, 121.0, 120.9, 120.6, 113.9, 113.3, 112.5, 103.8, 77.8, 39.7, 32.5, 32.4, 27.7, 23.6. HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{46}H_{42}ClN_2O_3$ 705.2884; Found 705.2877. The enantiomeric excess was determined to be 90% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 50:50, 1.0 mL/min]: 9.6 min (major), 14.5 min (minor). $[\alpha]^{22}_D = +24.8$ ($c = 0.3$, CH_2Cl_2).

Cyclopentyl 3-(1-(3-bromophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ka)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 30.2 mg, 81% yield. Mp 145.8-148.0 °C. 1H NMR (DMSO- d_6 , 500 MHz): δ (ppm) 12.20 (s, 1H), 9.27 (s, 1H), 7.73 (d, $J = 10.0$ Hz, 2H), 7.69 (d, $J = 5.0$ Hz, 2H), 7.61 (d, $J = 5.0$ Hz, 2H), 7.48 (d, $J = 5.0$ Hz, 1H), 7.42-7.39 (m, 3H), 7.36-7.33 (m, 5H), 7.28-7.26 (m, 3H), 7.24-7.21 (m, 1H), 7.20-7.19 (m, 1H), 6.92-6.89 (m, 1H), 5.19-5.18 (m, 1H), 1.62-1.57 (m, 2H), 1.23-1.20 (m, 13H), 1.09-1.05 (m, 2H). ^{13}C NMR (DMSO- d_6 , 126 MHz): δ (ppm) 208.0, 177.1, 161.5, 139.8, 139.7, 139.5, 136.9, 135.7, 134.5, 134.1, 131.5, 130.4, 129.4, 129.1, 128.7, 128.6, 128.5, 127.8, 127.1, 125.7, 125.6, 125.3, 122.7, 121.1, 121.0, 120.7, 113.9, 113.4, 112.6, 103.8, 77.8, 32.6, 32.5, 27.7, 23.7. HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{46}H_{42}BrN_2O_3$ 749.2379; Found 749.2376. The enantiomeric excess was determined to be 80% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 70:30, 1.0 mL/min]: 13.1 min (major), 21.6 min (minor). $[\alpha]^{22}_D = +19.5$ ($c = 0.2$, CH_2Cl_2).

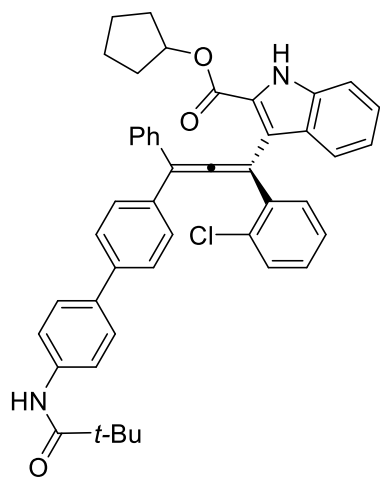
Cyclopentyl 3-(1-(3-methoxyphenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3la)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 27.8 mg, 80% yield. Mp 138.9-140.5 °C. 1H NMR (DMSO- d_6 , 500 MHz): δ (ppm) 12.12 (s, 1H), 9.27 (s, 1H), 7.73-7.72 (m, 2H), 7.68-7.67 (m, 2H), 7.62-7.60 (m, 2H), 7.47 (d, $J = 5.0$ Hz, 1H), 7.39-7.33 (m, 7H), 7.23-7.19 (m, 3H), 6.91-6.89 (m, 1H), 6.82-6.79 (m, 2H), 6.73-6.72 (m, 1H), 5.17-5.15 (m, 1H), 3.61 (s, 3H), 1.59-1.56 (m, 2H), 1.23-1.18 (m, 13H), 1.11-1.08 (m, 2H). ^{13}C NMR

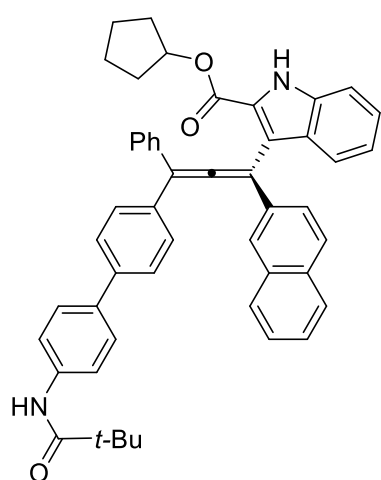
(DMSO-*d*₆, 126 MHz): δ (ppm) 207.9, 177.1, 161.6, 160.1, 139.7, 139.6, 138.3, 136.9, 136.1, 134.6, 130.3, 129.3, 129.1, 128.7, 128.5, 128.0, 127.0, 125.6, 121.0, 120.9, 120.8, 118.8, 114.7, 113.3, 112.6, 112.3, 112.0, 104.6, 77.7, 55.6, 32.5, 32.4, 27.7, 23.7. HRMS (ESI) m/z : $[M+H]^+$ Calcd for C₄₇H₄₅N₂O₄ 701.3379; Found 701.3377. The enantiomeric excess was determined to be 81% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 50:50, 1.0 mL/min]: 10.1 min (major), 14.0 min (minor). $[\alpha]^{22}_D = +18.8$ (*c* = 0.3, CH₂Cl₂).

Cyclopentyl 3-(1-(2-chlorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ma)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 30.0 mg, 85% yield. Mp 206.7-208.8 °C. ¹H NMR (DMSO-*d*₆, 500 MHz): δ (ppm) 12.09 (s, 1H), 9.29 (s, 1H), 7.76 (d, *J* = 10.0 Hz, 2H), 7.69 (d, *J* = 10.0 Hz, 2H), 7.64 (d, *J* = 5.0 Hz, 2H), 7.49-7.47 (m, 2H), 7.42-7.40 (m, 2H), 7.36-7.30 (m, 5H), 7.25-7.18 (m, 3H), 7.14 (m, 1H), 6.99 (m, 1H), 6.86-6.83 (m, 1H), 5.17-5.16 (m, 1H), 1.70-1.67 (m, 2H), 1.37-1.34 (m, 6H), 1.23 (s, 9H). ¹³C NMR (DMSO-*d*₆, 126 MHz): δ (ppm) 209.1, 177.0, 161.2, 139.5, 139.3, 136.7, 136.2, 135.2, 134.7, 134.5, 132.4, 131.1, 130.2, 129.2, 129.1, 129.0, 128.9, 128.2, 128.0, 127.6, 126.9, 126.7, 125.5, 125.0, 121.0, 120.8, 116.2, 113.2, 110.5, 101.7, 77.7, 39.7, 32.4, 32.3, 27.7, 23.8. HRMS (ESI) m/z : $[M+H]^+$ Calcd for C₄₆H₄₂ClN₂O₃ 705.2884; Found 705.2878. The enantiomeric excess was determined to be 83% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 50:50, 1.0 mL/min]: 9.3 min (major), 18.6 min (minor). $[\alpha]^{22}_D = +41.8$ (*c* = 0.3, CH₂Cl₂).

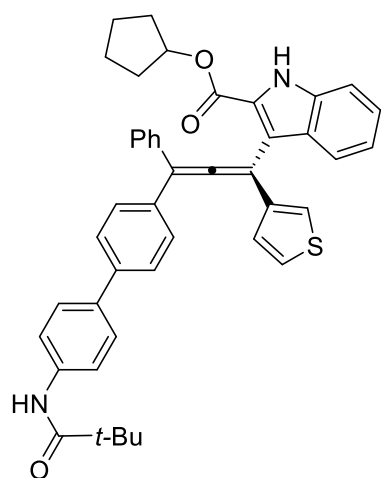
Cyclopentyl 3-(1-(naphthalen-2-yl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3na)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 29.8 mg, 85% yield. Mp 238.6-240.5 °C. ¹H NMR (DMSO-*d*₆, 500 MHz): δ (ppm) 12.20 (s, 1H), 9.30 (s, 1H), 7.90 (d, *J* = 10.0 Hz, 1H), 7.86 (d, *J* = 5.0 Hz, 1H), 7.77-7.64 (m, 8H), 7.54 (d, *J* = 10.0 Hz, 1H), 7.50 (s, 1H), 7.45-7.41 (m, 7H), 7.39-7.35 (m, 2H), 7.28-7.25 (m, 2H), 6.94-6.91 (m, 1H), 5.15-5.13 (m, 1H), 1.50-1.47 (m,

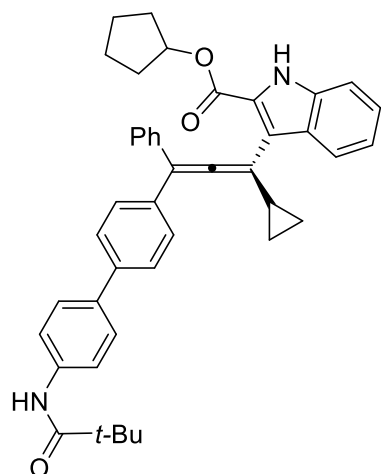
2H), 1.23 (s, 9H), 1.15-1.06 (m, 4H), 0.90-0.87 (m, 2H). ^{13}C NMR (DMSO- d_6 , 126 MHz): δ (ppm) 208.5, 177.0, 161.6, 139.6, 136.9, 136.0, 134.5, 134.2, 133.7, 132.7, 129.2, 129.1, 128.7, 128.4, 128.3, 128.0, 127.9, 127.0, 126.9, 126.8, 126.4, 125.7, 125.5, 124.8, 124.6, 121.0, 120.9, 120.8, 114.6, 113.3, 112.1, 105.1, 77.6, 39.7, 32.4, 32.3, 27.7, 23.4. HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{50}\text{H}_{45}\text{N}_2\text{O}_3$ 721.3430; Found 721.3426. The enantiomeric excess was determined to be 86% by HPLC. [IA column, 254 nm, n -hexane:EtOH = 50:50, 1.0 mL/min]: 11.2 min (major), 13.4 min (minor). $[\alpha]^{22}_{\text{D}} = -6.3$ ($c = 0.3$, CH_2Cl_2).

Cyclopentyl 3-(3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)-1-(thiophen-3-yl)propa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3oa)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 29.5 mg, 87% yield. Mp 145.7-147.9 °C. ^1H NMR (DMSO- d_6 , 500 MHz): δ (ppm) 12.10 (s, 1H), 9.29 (s, 1H), 7.76 (d, $J = 10.0$ Hz, 2H), 7.70 (d, $J = 10.0$ Hz, 2H), 7.64 (d, $J = 10.0$ Hz, 2H), 7.55-7.53 (m, 1H), 7.49 (d, $J = 5.0$ Hz, 1H), 7.44-7.34 (m, 7H), 7.25-7.22 (m, 2H), 7.19 (d, $J = 5.0$ Hz, 1H), 6.94-6.90 (m, 2H), 5.24-5.21 (m, 1H), 1.66-1.61 (m, 2H), 1.37-1.28 (m, 4H), 1.24-1.18 (m, 11H). ^{13}C NMR (DMSO- d_6 , 126 MHz): δ (ppm) 207.6, 177.0, 161.6, 139.5, 139.4, 138.4, 136.7, 136.3, 134.8, 134.5, 129.2, 129.1, 128.7, 128.2, 127.6, 127.4, 126.9, 126.8, 126.2, 125.4, 125.3, 122.2, 121.0, 120.8, 120.7, 115.7, 113.2, 111.2, 100.8, 77.6, 39.7, 32.5, 32.4, 27.7, 23.7. HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{44}\text{H}_{41}\text{N}_2\text{O}_3\text{S}$ 677.2838; Found 677.2835. The enantiomeric excess was determined to be 95% by HPLC. [IA column, 254 nm, n -hexane:EtOH = 80:20, 1.0 mL/min]: 24.9 min (major), 30.2 min (minor). $[\alpha]^{22}_{\text{D}} = +55.7$ ($c = 0.3$, CH_2Cl_2).

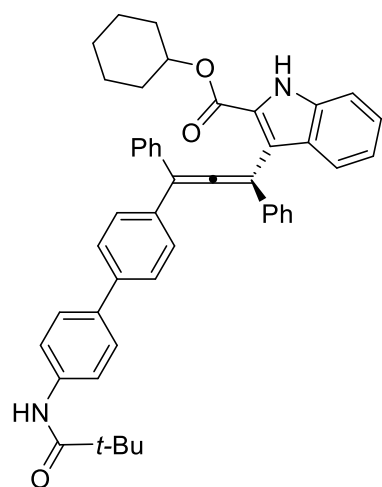
Cyclopentyl 3-(1-cyclopropyl-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3pa)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 24.7 mg, 80% yield. Mp 238.5-239.7 °C. ^1H NMR (DMSO- d_6 , 500 MHz): δ (ppm) 11.89 (s, 1H), 9.29 (s, 1H), 7.77-7.75 (m, 2H), 7.68-7.63 (m, 4H), 7.44-7.38 (m, 3H), 7.34-7.28 (m, 5H), 7.24-7.20 (m, 2H), 6.95-6.92 (m, 1H), 5.38-5.37 (m, 1H), 1.93-1.83 (m, 3H), 1.74-1.68

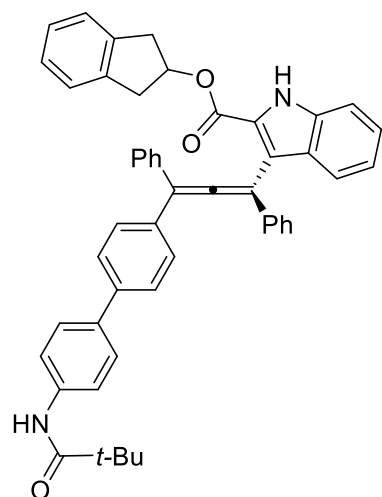
(m, 4H), 1.54-1.53 (m, 2H), 1.24 (s, 9H), 0.78-0.76 (m, 2H), 0.53-0.51 (m, 2H). ^{13}C NMR (DMSO- d_6 , 126 MHz): δ (ppm) 204.0, 177.0, 161.6, 139.5, 139.1, 136.9, 136.4, 135.5, 134.6, 129.0, 128.9, 128.5, 127.9, 127.6, 126.9, 126.7, 125.4, 124.4, 120.9, 120.8, 120.7, 117.1, 113.0, 110.4, 105.9, 77.9, 39.7, 32.8, 32.7, 27.7, 23.9, 15.1, 7.2, 7.1. HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{43}\text{H}_{43}\text{N}_2\text{O}_3$ 635.3274; Found 635.3271. The enantiomeric excess was determined to be 87% by HPLC. [IA column, 254 nm, n -hexane:EtOH = 50:50, 1.0 mL/min]: 7.9 min (major), 10.2 min (minor). $[\alpha]^{22}_{\text{D}} = +90.5$ ($c = 0.3$, CH_2Cl_2).

Cyclohexyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3bb)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 32.0 mg, 94% yield. Mp 204.7-206.8 °C. ^1H NMR (DMSO- d_6 , 500 MHz): δ (ppm) 12.19 (s, 1H), 9.33 (s, 1H), 7.78 (d, $J = 10.0$ Hz, 2H), 7.72 (d, $J = 10.0$ Hz, 2H), 7.66 (d, $J = 5.0$ Hz, 2H), 7.53 (d, $J = 10.0$ Hz, 1H), 7.44-7.37 (m, 7H), 7.34-7.31 (m, 2H), 7.28-7.23 (m, 5H), 6.97-6.94 (m, 1H), 4.77-4.73 (m, 1H), 1.51-1.49 (m, 2H), 1.39-1.31 (m, 3H), 1.25 (s, 9H), 1.13-1.10 (m, 2H), 1.02-0.93 (m, 3H). ^{13}C NMR (DMSO- d_6 , 126 MHz): δ (ppm) 207.7, 177.0, 161.3, 139.6, 139.5, 136.9, 136.8, 136.1, 134.6, 134.5, 129.2, 129.1, 128.7, 128.3, 128.0, 127.6, 127.0, 126.3, 125.5, 125.4, 121.0, 120.9, 120.8, 114.8, 113.3, 111.9, 104.8, 73.0, 39.7, 30.9, 30.8, 27.7, 25.3, 23.1. HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{47}\text{H}_{45}\text{N}_2\text{O}_3$ 685.3430; Found 685.3423. The enantiomeric excess was determined to be 79% by HPLC. [OD-H column, 254 nm, n -hexane:IPA = 90:10, 1.0 mL/min]: 20.1 min (minor), 26.4 min (major). $[\alpha]^{22}_{\text{D}} = +25.1$ ($c = 0.3$, CH_2Cl_2).

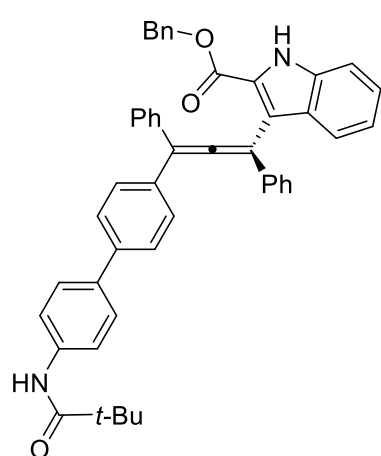
2,3-Dihydro-1H-inden-2-yl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3bc)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 30.8 mg, 86% yield. Mp 137.1-140.6 °C. ^1H NMR (DMSO- d_6 , 500 MHz): δ (ppm) 12.19 (s, 1H), 9.33 (s, 1H), 7.78 (d, $J = 10.0$ Hz, 2H), 7.63-7.59 (m, 4H), 7.52 (d, $J = 10.0$ Hz, 1H), 7.40-7.34 (m, 7H), 7.28-7.24 (m, 4H), 7.21-7.18 (m, 3H), 7.11-7.10 (m, 4H), 6.98-6.95 (m, 1H),

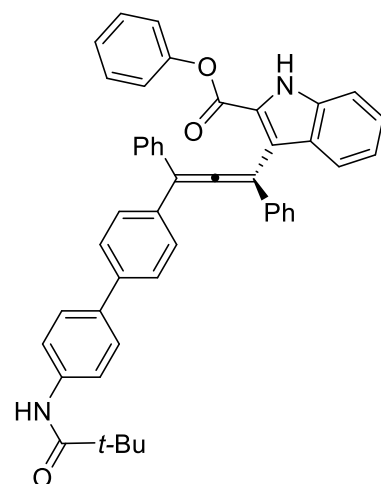
5.54-5.51 (m, 1H), 3.24-3.19 (m, 1H), 3.15-3.10 (m, 1H), 2.68-2.64 (m, 1H), 2.59-2.55 (m, 1H), 1.25 (s, 9H). ^{13}C NMR (DMSO- d_6 , 126 MHz): δ (ppm) 207.9, 177.0, 161.5, 140.3, 139.6, 139.4, 136.9, 136.7, 136.0, 134.5, 134.4, 129.2, 129.1, 128.9, 128.6, 128.3, 127.9, 127.6, 127.1, 127.0, 126.9, 126.1, 125.7, 125.0, 124.9, 120.9, 120.8, 115.4, 113.3, 111.8, 104.7, 75.8, 39.7, 39.2, 38.9, 27.7. HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{50}\text{H}_{43}\text{N}_2\text{O}_3$ 719.3274; Found 719.3271. The enantiomeric excess was determined to be 77% by HPLC. [OD-H column, 254 nm, n -hexane:EtOH = 90:10, 1.0 mL/min]: 20.3 min (minor), 24.3 min (major). $[\alpha]_D^{22} = +16.9$ ($c = 0.3$, CH_2Cl_2).

Benzyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3bd)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 25.4 mg, 85% yield. Mp 220.5-223.3 °C. ^1H NMR (DMSO- d_6 , 500 MHz): δ (ppm) 12.18 (s, 1H), 9.25 (s, 1H), 7.70 (d, $J = 10.0$ Hz, 2H), 7.56-7.54 (m, 4H), 7.47 (d, $J = 10.0$ Hz, 1H), 7.30-7.23 (m, 11H), 7.20-7.14 (m, 4H), 7.12-7.08 (m, 2H), 6.98-6.94 (m, 3H), 5.14-5.06 (m, 2H), 1.18 (s, 9H). ^{13}C NMR (DMSO- d_6 , 126 MHz): δ (ppm) 207.9, 177.0, 161.5, 139.5, 137.1, 136.5, 136.2, 136.0, 134.5, 134.4, 129.3, 129.2, 129.0, 128.7, 128.6, 128.3, 128.2, 127.9, 127.8, 127.7, 127.0, 126.9, 126.3, 125.8, 124.6, 121.1, 121.0, 120.8, 115.6, 113.7, 111.9, 66.4, 39.7, 27.7. HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{48}\text{H}_{41}\text{N}_2\text{O}_3$ 693.3117; Found 693.3111. The enantiomeric excess was determined to be 82% by HPLC. [OD-H column, 254 nm, n -hexane:IPA = 80:20, 1.0 mL/min]: 11.9 min (minor), 17.0 min (major). $[\alpha]_D^{22} = +22.5$ ($c = 0.3$, CH_2Cl_2).

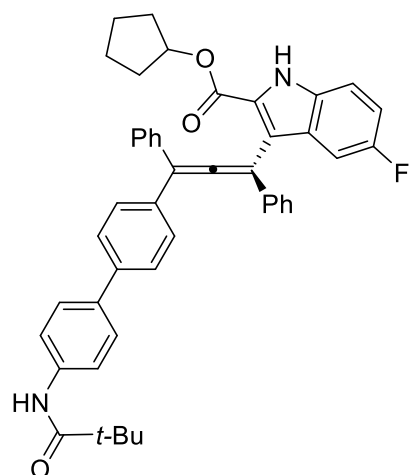
Phenyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3be)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 24.8 mg, 85% yield. Mp 149.2-151.7 °C. ^1H NMR (DMSO- d_6 , 500 MHz): δ (ppm) 12.41 (s, 1H), 9.26 (s, 1H), 7.70 (d, $J = 10.0$ Hz, 2H), 7.57-7.50 (m, 5H), 7.36-7.24 (m, 15H), 7.19-7.15 (m, 2H), 6.99 (t, $J = 5.0$ Hz, 1H), 6.75-6.73 (m, 2H), 1.18 (s, 9H). ^{13}C NMR (DMSO- d_6 , 126 MHz): δ (ppm) 208.0, 177.0, 160.0, 150.5, 139.6, 137.5, 136.7, 135.9, 134.5, 134.4, 129.9, 129.3, 129.2,

129.1, 128.7, 128.4, 127.8, 127.0, 126.9, 126.8, 126.3, 126.2, 124.0, 121.9, 121.3, 121.0, 116.9, 113.5, 112.1, 104.6, 39.7, 27.7. HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{47}H_{39}N_2O_3$ 679.2961; Found 679.2956. The enantiomeric excess was determined to be 62% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 60:40, 1.0 mL/min]: 8.3 min (minor), 11.2 min (major). $[\alpha]^{22}_D = +5.0$ ($c = 0.3$, CH_2Cl_2).

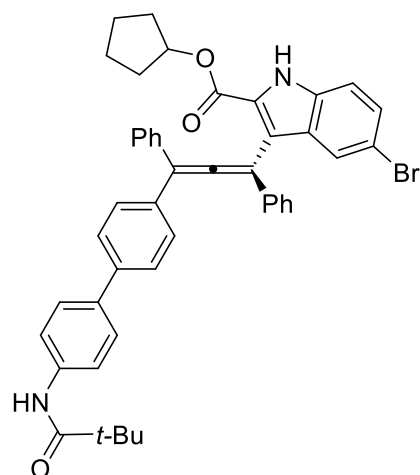
Cyclopentyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-5-fluoro-1*H*-indole-2-carboxylate (3bf)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 30.7 mg, 90% yield. Mp 140.7-143.2 °C. 1H NMR ($DMSO-d_6$, 500 MHz): δ (ppm) 12.29 (s, 1H), 9.30 (s, 1H), 7.76 (d, $J = 10.0$ Hz, 2H), 7.70 (d, $J = 5.0$ Hz, 2H), 7.64 (d, $J = 5.0$ Hz, 2H), 7.52-7.49 (m, 1H), 7.45-7.37 (m, 7H), 7.36-7.31 (m, 2H), 7.27-7.22 (m, 3H), 7.13-7.10 (m, 1H), 6.77 (d, $J = 10.0$ Hz, 1H), 5.18-5.17 (m, 1H), 1.61-1.57 (m, 2H), 1.23-1.21 (m, 13H), 1.16-1.10 (m, 2H). ^{13}C NMR ($DMSO-d_6$, 126 MHz): δ (ppm) 207.8, 177.0, 161.3, 159.0 (d, $J =$

235.6 Hz), 139.6, 139.5, 136.4, 136.0, 134.5, 134.4, 133.5, 129.3, 129.2, 129.0, 128.9, 128.6, 128.4, 128.0 (d, $J = 10.1$ Hz), 127.7, 127.2, 127.0, 126.2, 121.0, 114.7 (d, $J = 18.9$ Hz), 114.4, 112.1, 104.6, 104.5, 77.8, 39.7, 32.4, 32.3, 27.7, 23.6. ^{19}F NMR ($DMSO-d_6$, 470 MHz) δ (ppm) -122.12. HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{46}H_{42}FN_2O_3$ 689.3179; Found 689.3176. The enantiomeric excess was determined to be 87% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 50:50, 1.0 mL/min]: 8.6 min (major), 11.6 min (minor). $[\alpha]^{22}_D = +41.0$ ($c = 0.3$, CH_2Cl_2).

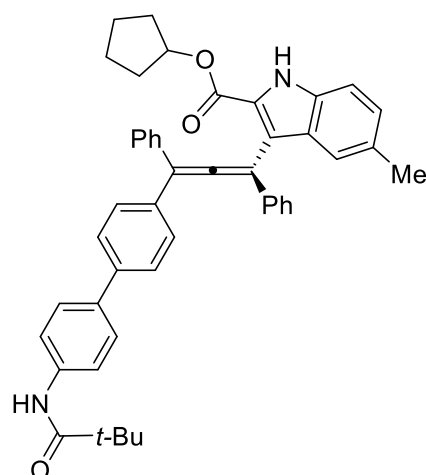
Cyclopentyl 5-bromo-3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3bg)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 33.1 mg, 88% yield. Mp 160.4-162.9 °C. 1H NMR ($DMSO-d_6$, 500 MHz): δ (ppm) 12.39 (s, 1H), 9.29 (s, 1H), 7.75 (d, $J = 10.0$ Hz, 2H), 7.70 (d, $J = 10.0$ Hz, 2H), 7.63 (d, $J = 10.0$ Hz, 2H), 7.46-7.42 (m, 3H), 7.40-7.31 (m, 8H), 7.27-7.21 (m, 4H), 5.21-5.19 (m, 1H), 1.62-1.59 (m, 2H), 1.26-1.21 (m, 13H), 1.14-1.13 (m, 2H). ^{13}C NMR ($DMSO-d_6$, 126 MHz): δ (ppm)

208.0, 177.0, 161.2, 139.8, 139.5, 136.3, 135.8, 135.4, 134.6, 134.4, 129.6, 129.3, 129.2, 128.9, 128.5, 128.4, 128.1, 127.8, 127.1, 127.0, 126.8, 126.2, 123.1, 121.0, 115.3, 113.9, 113.3, 112.3, 77.9, 39.7, 32.4, 32.3, 27.7, 23.6. HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{46}H_{42}BrN_2O_3$ 749.2379; Found 749.2377. The enantiomeric excess was determined to be 88% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 50:50, 1.0 mL/min]: 7.9 min (major), 9.9 min (minor). $[\alpha]^{22}_D = +36.5$ ($c = 0.3$, CH_2Cl_2).

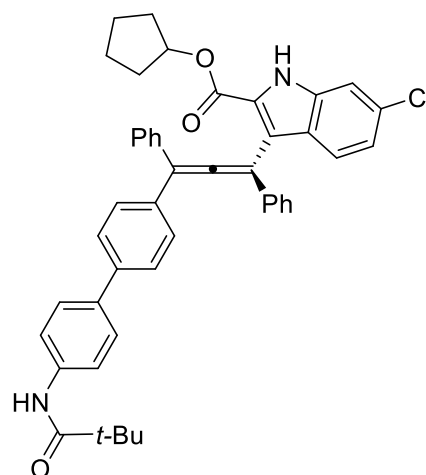
Cyclopentyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-5-methyl-1*H*-indole-2-carboxylate (3bh)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 29.0 mg, 84% yield. Mp 159.3-162.5 °C. 1H NMR ($DMSO-d_6$, 500 MHz): δ (ppm) 12.02 (s, 1H), 9.30 (s, 1H), 7.76 (d, $J = 10.0$ Hz, 2H), 7.70 (d, $J = 10.0$ Hz, 2H), 7.63 (d, $J = 10.0$ Hz, 2H), 7.44-7.35 (m, 8H), 7.33-7.27 (m, 4H), 7.24-7.21 (m, 1H), 7.05 (d, $J = 10.0$ Hz, 1H), 6.87 (s, 1H), 5.19-5.17 (m, 1H), 2.07 (s, 3H), 1.63-1.57 (m, 2H), 1.27-1.19 (m, 13H), 1.16-1.12 (m, 2H). ^{13}C NMR ($DMSO-d_6$, 126 MHz): δ (ppm) 208.1, 177.0, 161.6, 139.6, 139.5, 136.7,

136.0, 135.3, 134.6, 134.5, 129.4, 129.2, 129.1, 129.0, 128.6, 128.3, 128.2, 127.6, 127.4, 126.9, 126.8, 126.2, 125.4, 121.0, 120.3, 114.0, 112.8, 111.9, 105.0, 77.5, 39.7, 32.4, 27.7, 23.6, 21.4. HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{47}H_{45}N_2O_3$ 685.3430; Found 685.3425. The enantiomeric excess was determined to be 82% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 50:50, 1.0 mL/min]: 8.6 min (major), 10.6 min (minor). $[\alpha]^{22}_D = +39.1$ ($c = 0.3$, CH_2Cl_2).

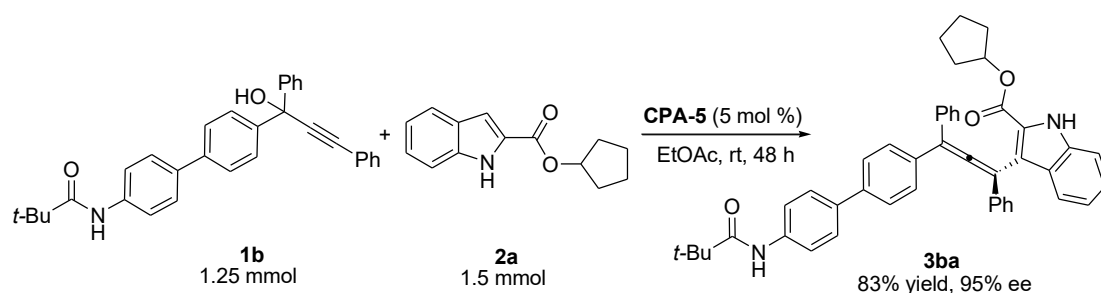
Cyclopentyl 6-chloro-3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3bi)



Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 31.0 mg, 88% yield. Mp 155.5-157.6 °C. 1H NMR ($DMSO-d_6$, 500 MHz): δ (ppm) 12.30 (s, 1H), 9.29 (s, 1H), 7.76 (d, $J = 10.0$ Hz, 2H), 7.70 (d, $J = 10.0$ Hz, 2H), 7.64 (d, $J = 10.0$ Hz, 2H), 7.52 (s, 1H), 7.43-7.34 (m, 7H), 7.33-7.30 (m, 2H), 7.26-7.20 (m, 4H), 6.95 (d, $J = 10.0$ Hz, 1H), 5.19-5.17 (m, 1H), 1.58-1.57 (m, 2H), 1.23-1.21 (m, 13H),

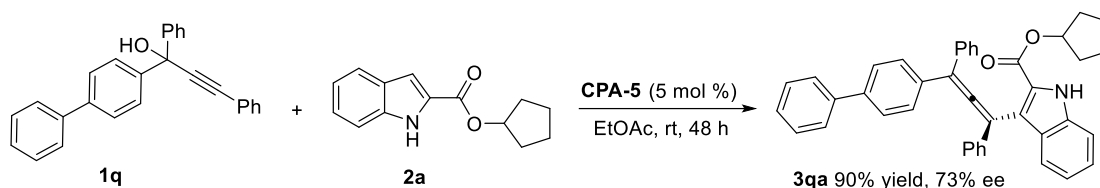
1.10-1.09 (m, 2H). ^{13}C NMR (DMSO- d_6 , 126 MHz): δ (ppm) 207.6, 177.0, 161.3, 139.6, 139.5, 137.0, 136.3, 135.9, 134.5, 134.4, 130.2, 129.2, 129.1, 129.0, 128.6, 128.4, 127.7, 126.9, 126.7, 126.5, 126.1, 122.2, 121.5, 121.0, 115.0, 112.6, 112.2, 104.3, 77.9, 39.7, 32.4, 32.3, 27.7, 23.6. HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{46}\text{H}_{42}\text{ClN}_2\text{O}_3$ 705.2884; Found 705.2876. The enantiomeric excess was determined to be 93% by HPLC. [IA column, 254 nm, n -hexane:EtOH = 50:50, 1.0 mL/min]: 8.9 min (major), 11.2 min (minor). $[\alpha]^{22}_{\text{D}} = +62.8$ ($c = 0.3$, CH_2Cl_2).

D: Large-scale Reaction

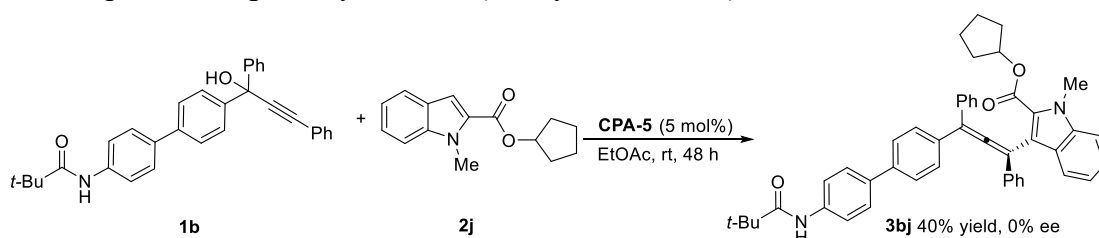


To a solution of ethyl acetate (50.0 mL) were added propargylic alcohol **1b** (1.25 mmol), 2-indole derivatives **2a** (1.5 mmol) and **CPA-5** (0.025 mmol). The reaction mixture was stirred at room temperature for 48 h. The solvent was evaporated to give the crude product, which was directly purified by silica gel chromatography to provide the desired product **3ba** as a yellow solid (83% yield, 95% ee).

E: Mechanism Studies

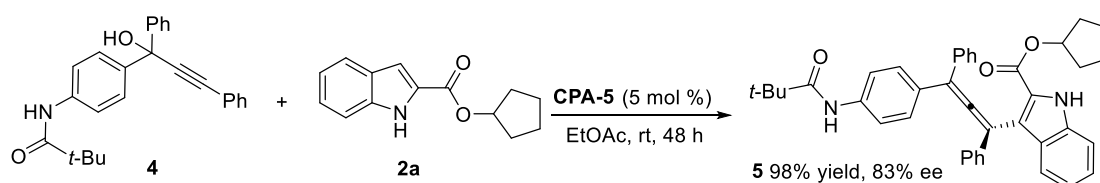


To a solution of EtOAc (0.3 mL) were added propargylic alcohol **1q** (0.05 mmol), 2-indole derivatives **2a** (0.06 mmol) and **CPA-5** (0.0025 mmol). The reaction mixture was stirred at room temperature for 48 h. The solvent was evaporated to give the crude product, which was directly purified by silica gel chromatography to provide the desired products **3qa** as a yellow oil (90% yield, 73% ee).



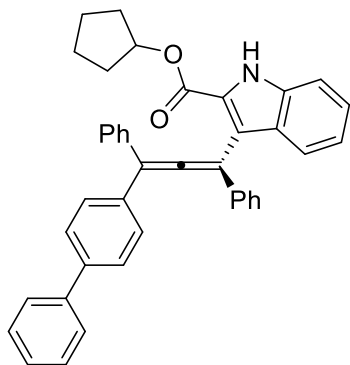
To a solution of EtOAc (0.3 mL) were added propargylic alcohol **1b** (0.05 mmol), *N*-methyl protected 2-indole derivatives **2j** (0.06 mmol) and **CPA-5** (0.0025 mmol). The reaction mixture was stirred at room temperature for 48 h. The solvent was evaporated to give the crude product, which was directly purified by silica gel chromatography to provide the desired products **3bj** as a white solid (40% yield, 0% ee).

F: Further Investigations



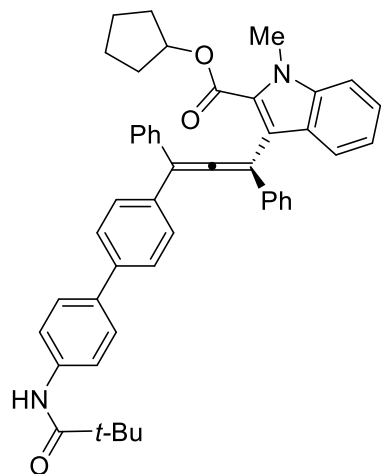
To a solution of EtOAc (0.3 mL) were added propargylic alcohol **4** (0.05 mmol), 2-indole derivatives **2a** (0.06 mmol) and **CPA-5** (0.0025 mmol). The reaction mixture was stirred at room temperature for 48 h. The solvent was evaporated to give the crude product, which was directly purified by silica gel chromatography to provide the desired products **5** as a yellow solid (98% yield, 83% ee).

Cyclopentyl 3-(3-([1,1'-biphenyl]-4-yl)-1,3-diphenylpropa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3qa)



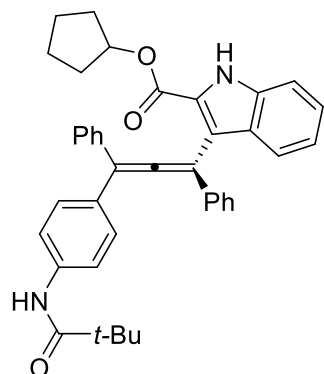
Eluent for flash column chromatography: petroleum ether/ethyl acetate = 15:1. Yellow oil, 27.4 mg, 90% yield. Mp 120.0-121.5 °C. ¹H NMR (DMSO-*d*₆, 500 MHz): δ (ppm) 12.14 (s, 1H), 7.67 (d, *J* = 5.0 Hz, 2H), 7.64 (d, *J* = 10.0 Hz, 2H), 7.47 (d, *J* = 10.0 Hz, 1H), 7.43-7.38 (m, 7H), 7.35-7.33 (m, 2H), 7.29-7.26 (m, 2H), 7.21-7.23 (m, 3H), 7.20 (d, *J* = 5.0 Hz, 2H), 6.89-6.87 (m, 1H), 5.15-5.14 (m, 1H), 1.56-1.51 (m, 2H), 1.19-1.14 (m, 4H), 1.07-1.04 (m, 2H). ¹³C NMR (DMSO-*d*₆, 126 MHz): δ (ppm) 207.8, 161.7, 140.1, 140.0, 136.9, 136.6, 136.0, 135.2, 129.6, 129.3, 129.2, 129.1, 128.7, 128.4, 128.2, 128.0, 127.7, 127.5, 127.1, 126.2, 125.5, 120.9, 120.8, 114.7, 113.3, 112.0, 104.8, 77.7, 32.5, 32.4, 23.7. HRMS (ESI) *m/z*: [M+H]⁺ Calcd for C₄₁H₃₄NO₂ 572.2590; Found 572.2583. The enantiomeric excess was determined to be 73% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 50:50, 1.0 mL/min]: 11.7 min (minor), 15.0 min (major). [α]_D²² = +22.9 (c = 0.3, CH₂Cl₂).

2,3-Dihydro-1H-inden-2-yl 3-(3-(4'-methoxy-[1,1'-biphenyl]-4-yl)-1,3-diphenylpropa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3bj)



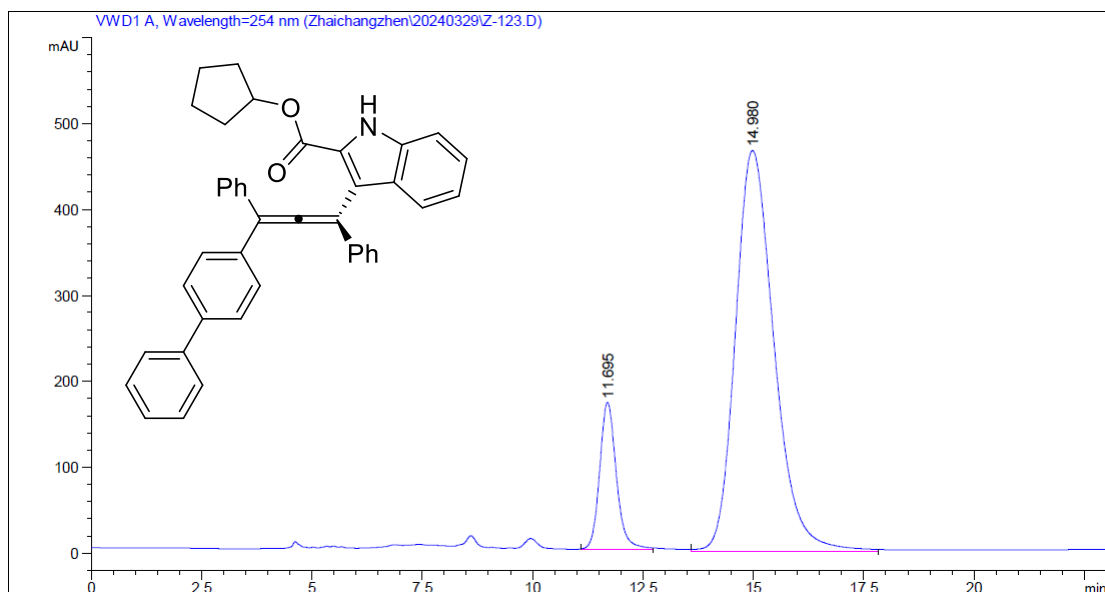
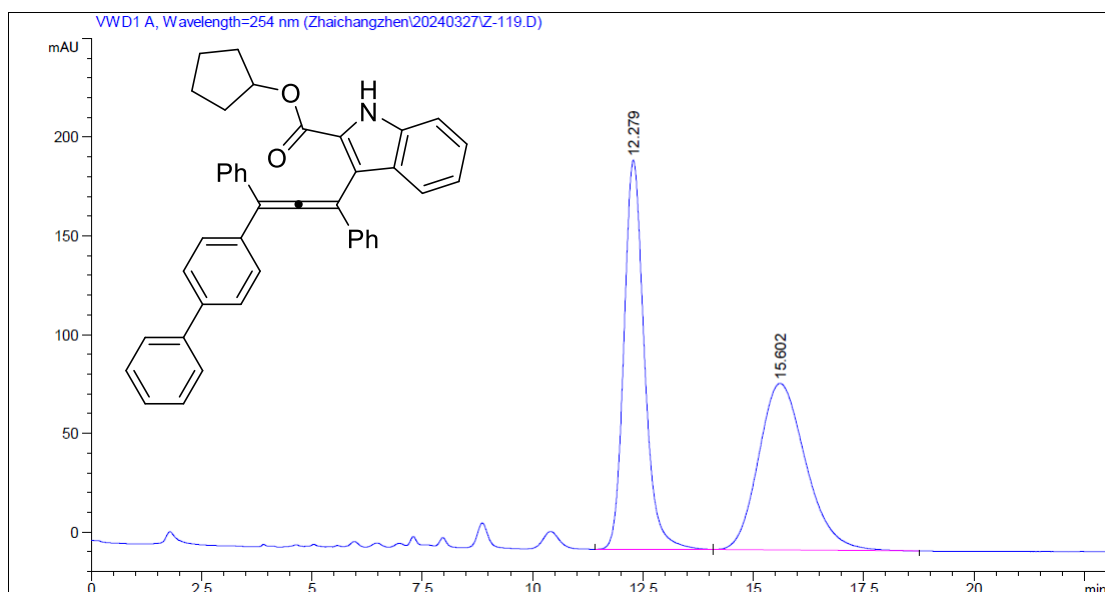
Eluent for flash column chromatography: petroleum ether/ethyl acetate = 15:1. White solid, 13.4 mg, 40% yield. Mp 239.4-241.3 °C. ¹H NMR (DMSO-*d*₆, 500 MHz): δ (ppm) 9.27 (s, 1H), 7.72 (d, *J* = 5.0 Hz, 2H), 7.67 (d, *J* = 5.0 Hz, 2H), 7.61-7.60 (m, 2H), 7.40-7.38 (m, 2H), 7.36-7.32 (m, 5H), 7.29 (d, *J* = 5.0 Hz, 2H), 7.24-7.19 (m, 4H), 6.93 (d, *J* = 10.0 Hz, 1H), 5.06-5.05 (m, 1H), 4.05 (s, 3H), 1.52-1.47 (m, 2H), 1.21-1.19 (m, 13H), 1.01-1.00 (m, 2H). ¹³C NMR (DMSO-*d*₆, 126 MHz): δ (ppm) 207.6, 177.1, 161.7, 139.6, 138.7, 136.6, 136.1, 134.6, 134.5, 129.3, 129.2, 129.1, 128.7, 128.5, 127.8, 127.0, 126.9, 126.4, 126.3, 125.8, 121.2, 121.1, 121.0, 115.8, 112.1, 111.7, 105.0, 77.9, 40.1, 32.5, 32.4, 32.3, 27.7, 23.7. HRMS (ESI) *m/z*: [M+H]⁺ Calcd for C₄₇H₄₅N₂O₃ 685.3430; Found 685.3421. The enantiomeric excess was determined to be 0% by HPLC. [OD-H column, 254 nm, *n*-hexane:EtOH = 90:10, 1.0 mL/min]: 12.6 min (minor), 15.2 min (major). [α]_D²² = +15.6 (c = 0.3, CH₂Cl₂).

Cyclopentyl 3-(1,3-diphenyl-3-(4-pivalamidophenyl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (5)

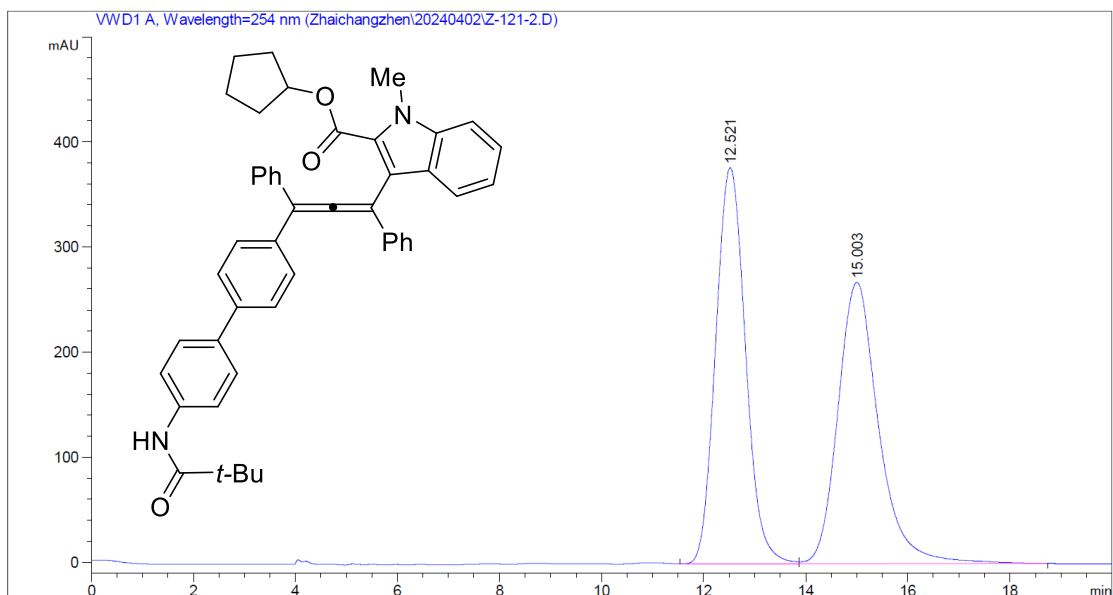


Eluent for flash column chromatography: petroleum ether/ethyl acetate = 12:1. Yellow solid, 29.1 mg, 98% yield. Mp 139.7-142.1 °C. ¹H NMR (DMSO-*d*₆, 500 MHz): δ (ppm) 12.12 (s, 1H), 9.31 (s, 1H), 7.70 (d, *J* = 5.0 Hz, 2H), 7.50 (d, *J* = 10.0 Hz, 1H), 7.42-7.39 (m, 2H), 7.35-7.29 (m, 5H), 7.27-7.18 (m, 7H), 6.39-6.90 (m, 1H), 5.18-5.17 (m, 1H), 1.62-1.58 (m, 2H), 1.26-1.19 (m, 13H), 1.14-1.11 (m, 2H). ¹³C NMR (DMSO-*d*₆, 126 MHz): δ (ppm) 207.5, 177.0, 161.6, 139.5, 136.8, 136.7, 136.3, 130.3, 129.1, 129.0, 128.6, 128.5, 128.2, 128.0, 127.5, 126.1, 125.5, 125.4, 120.9, 120.8, 120.7, 114.8, 113.2, 112.0, 104.5, 77.6, 39.7, 32.4, 32.3, 27.6, 23.6. HRMS (ESI) *m/z*: [M+H]⁺ Calcd for C₄₀H₃₈N₂O₃ 595.2961; Found 595.2954. The enantiomeric excess was determined to be 83% by HPLC. [IA column, 254 nm, *n*-hexane:EtOH = 80:20, 1.0 mL/min]: 11.3 min (minor), 19.6 min (major). [α]²²_D = -1.1 (c = 0.3, CH₂Cl₂).

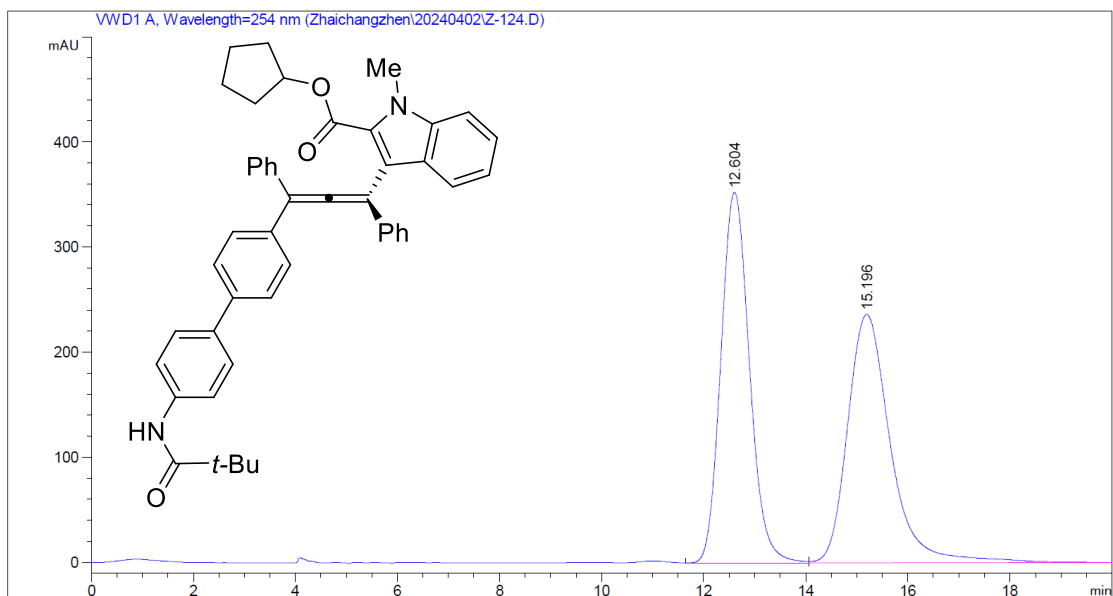
Cyclopentyl 3-(3-([1,1'-biphenyl]-4-yl)-1,3-diphenylpropa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3qa)



2,3-Dihydro-1*H*-inden-2-yl 3-(3-(4'-methoxy-[1,1'-biphenyl]-4-yl)-1,3-diphenylpropa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3bj)

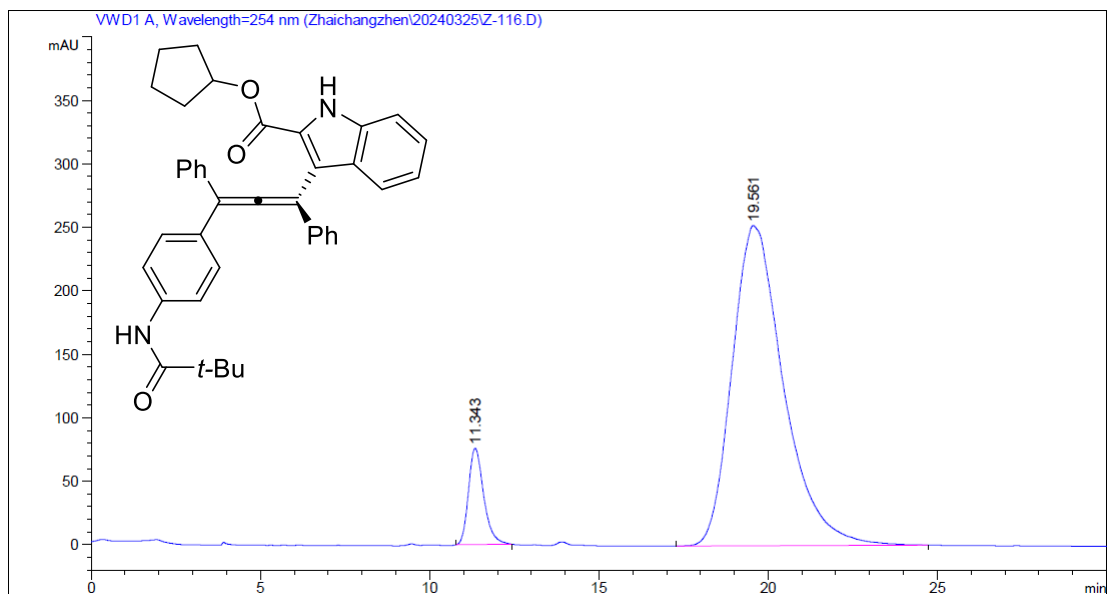
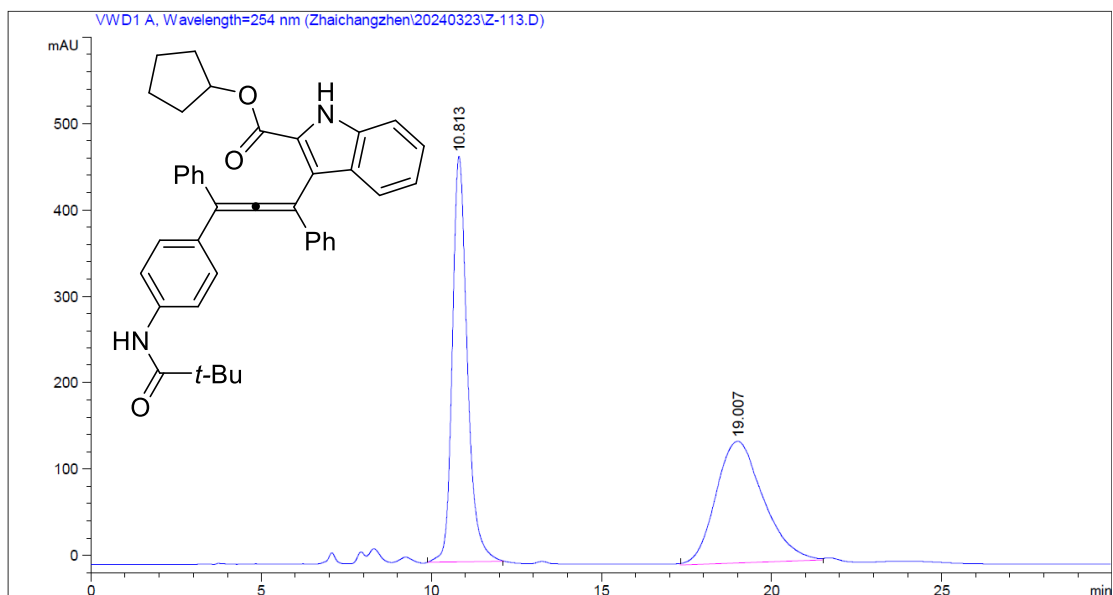


#	Time	Area	Height	Width	Symmetry	Area %
1	12.521	15289.8	376.9	0.6423	0.895	50.705
2	15.003	14864.6	267.7	0.8349	0.795	49.295

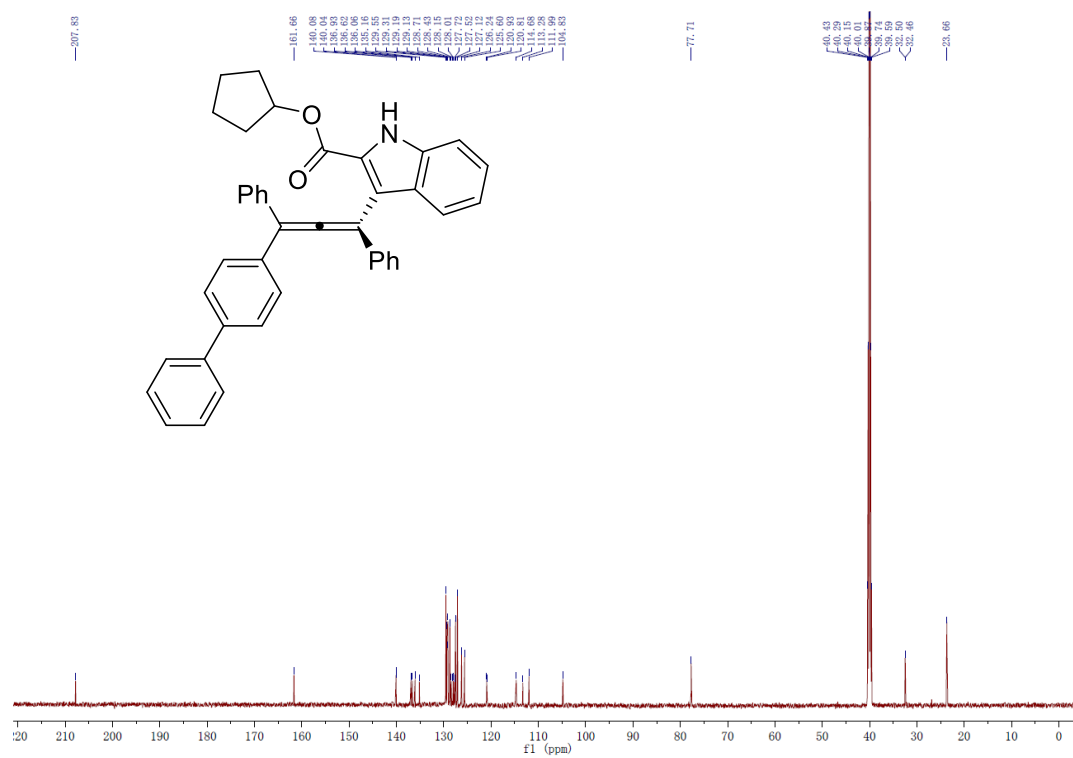
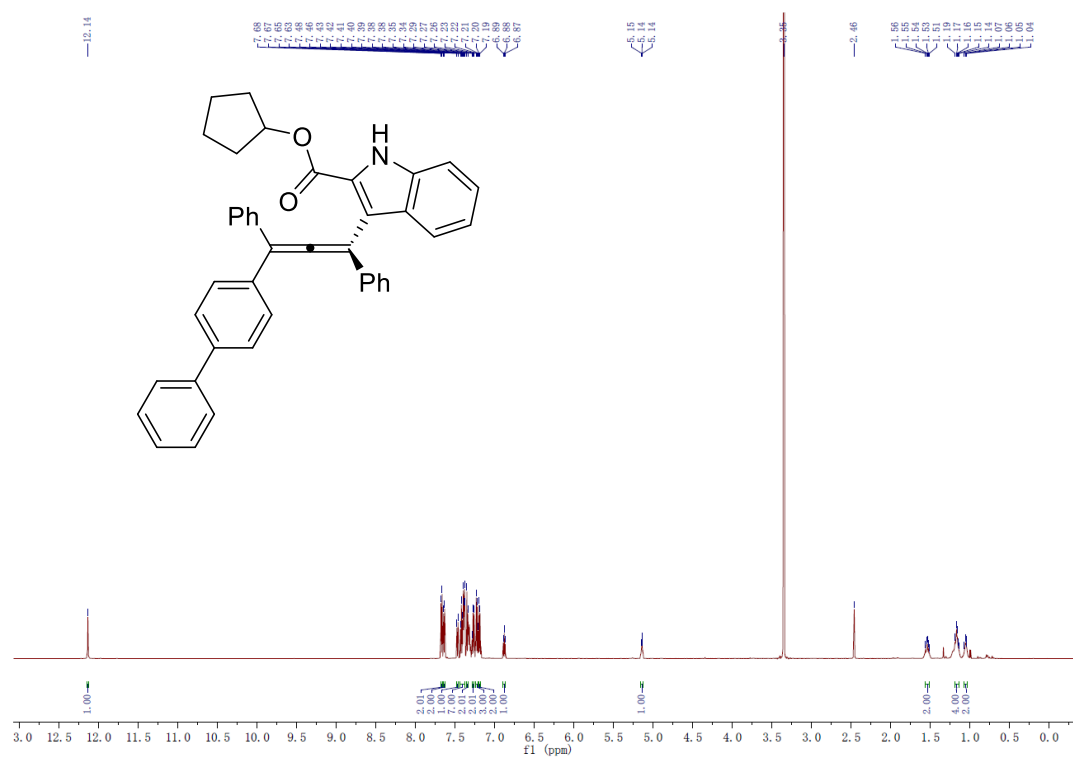


#	Time	Area	Height	Width	Symmetry	Area %
1	12.604	13697.4	352.7	0.6051	0.855	49.897
2	15.196	13754	236.3	0.8962	0.732	50.103

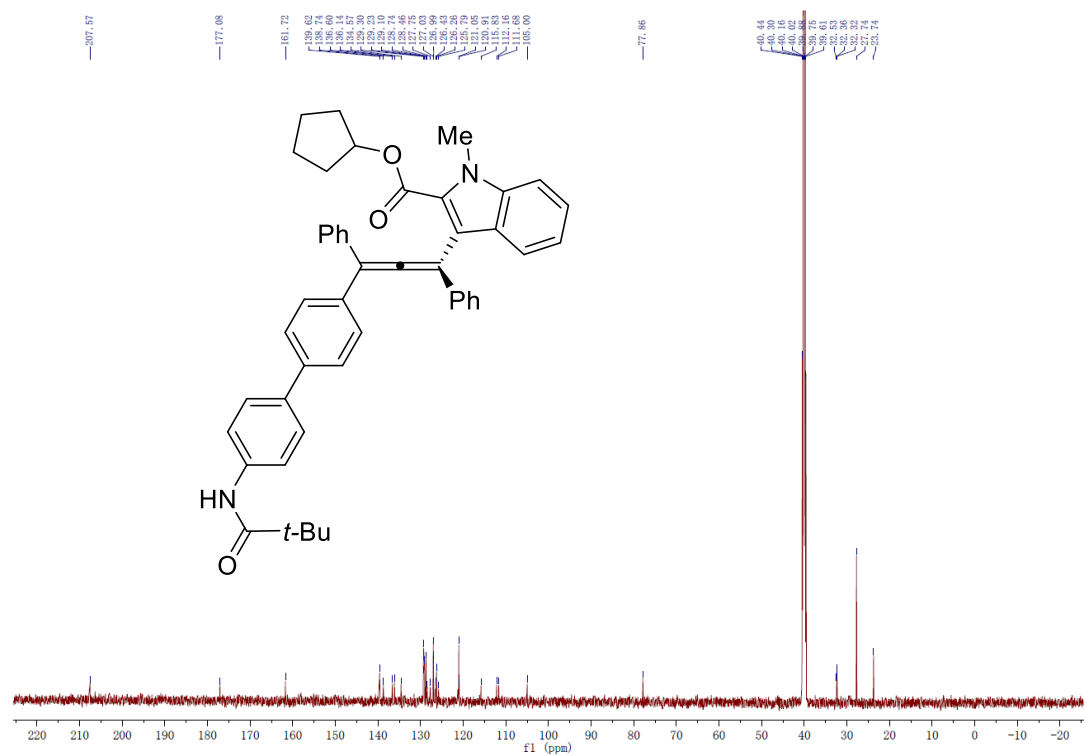
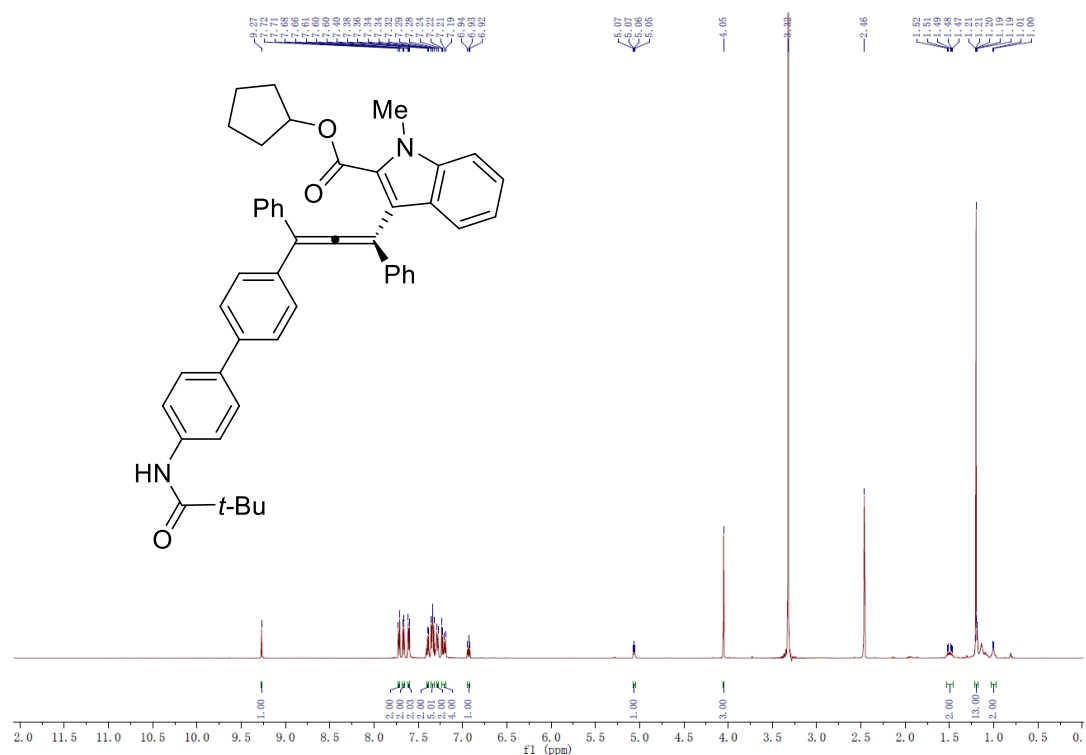
Cyclopentyl 3-(1,3-diphenyl-3-(4-pivalamidophenyl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (5)



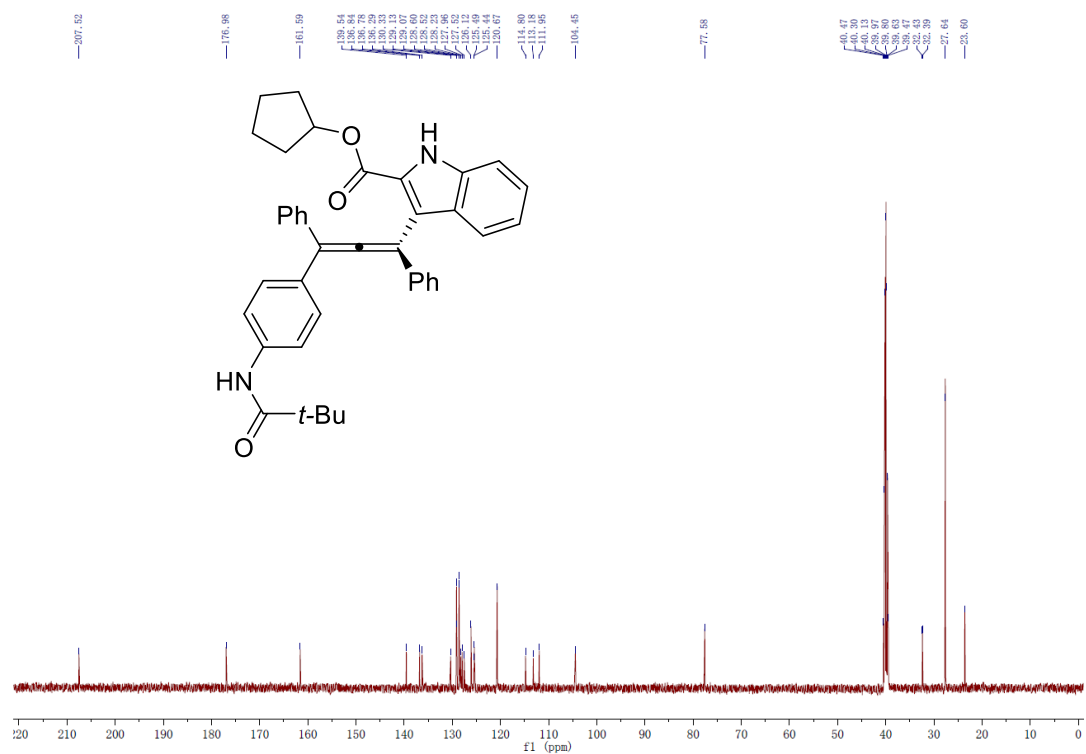
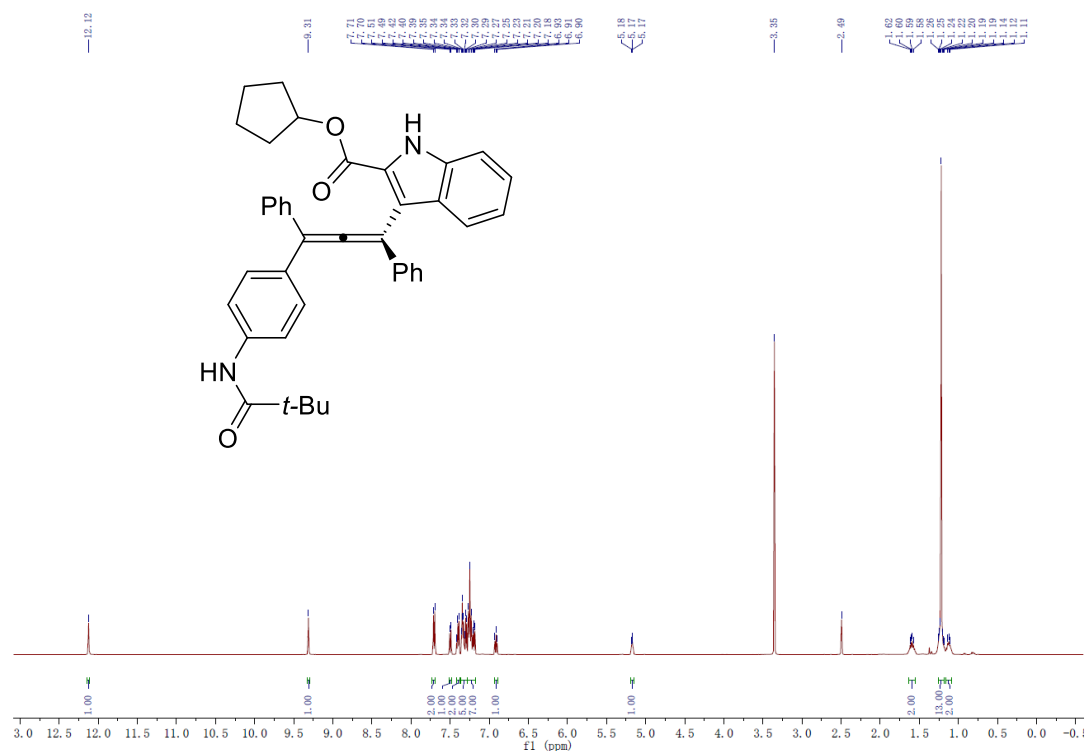
Cyclopentyl 3-(3-([1,1'-biphenyl]-4-yl)-1,3-diphenylpropa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3qa)



2,3-Dihydro-1*H*-inden-2-yl 3-(3-(4'-methoxy-[1,1'-biphenyl]-4-yl)-1,3-diphenylprop-1-en-1-yl)-1*H*-indole-2-carboxylate (3bj)

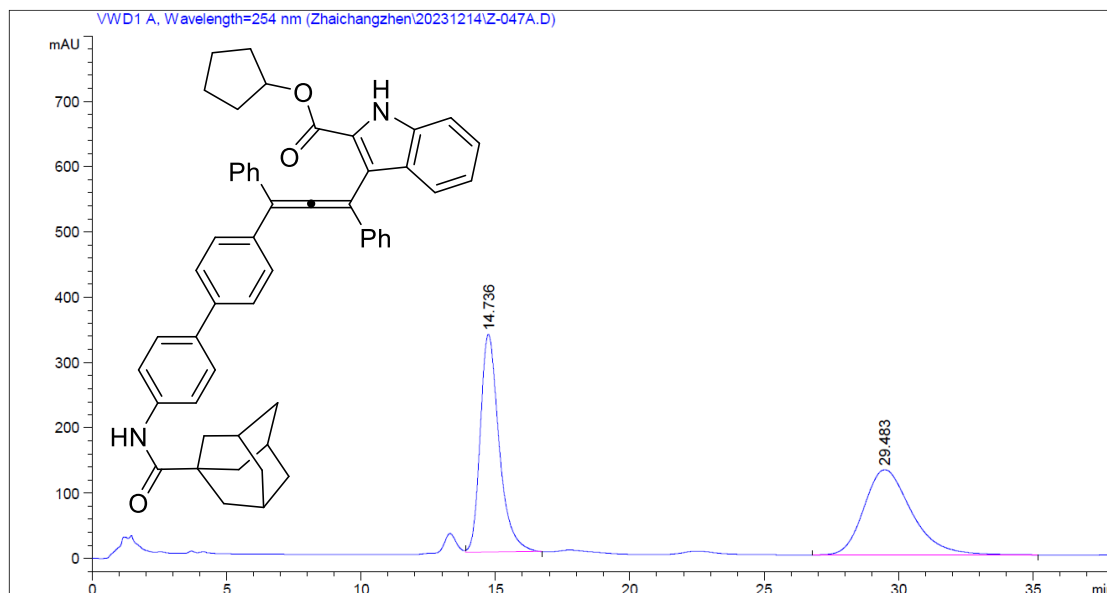


Cyclopentyl 3-(1,3-diphenyl-3-(4-pivalamidophenyl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (5)

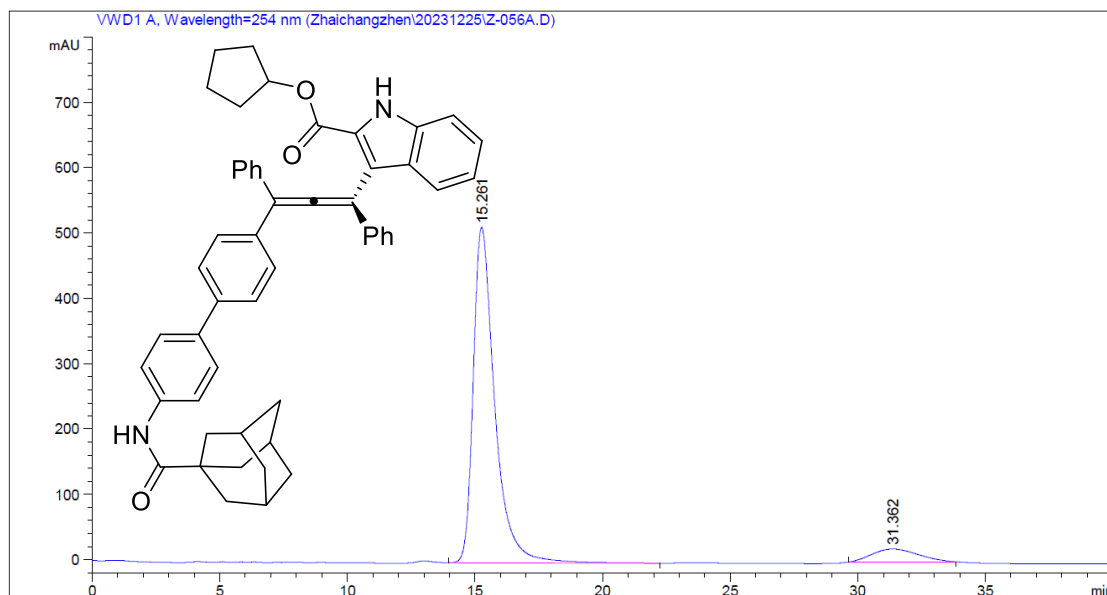


G: HPLC Analysis

Cyclopentyl 3-(3-(4'-((3r,5r,7r)-adamantane-1-carboxamido)-[1,1'-biphenyl]-4-yl)-1,3-diphenylpropa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3aa)

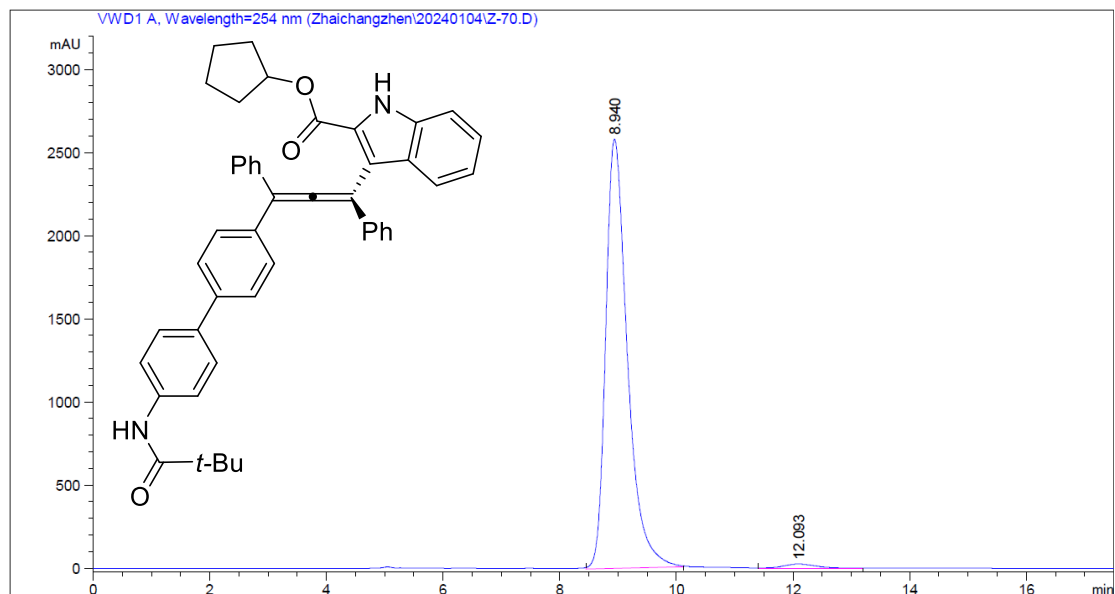
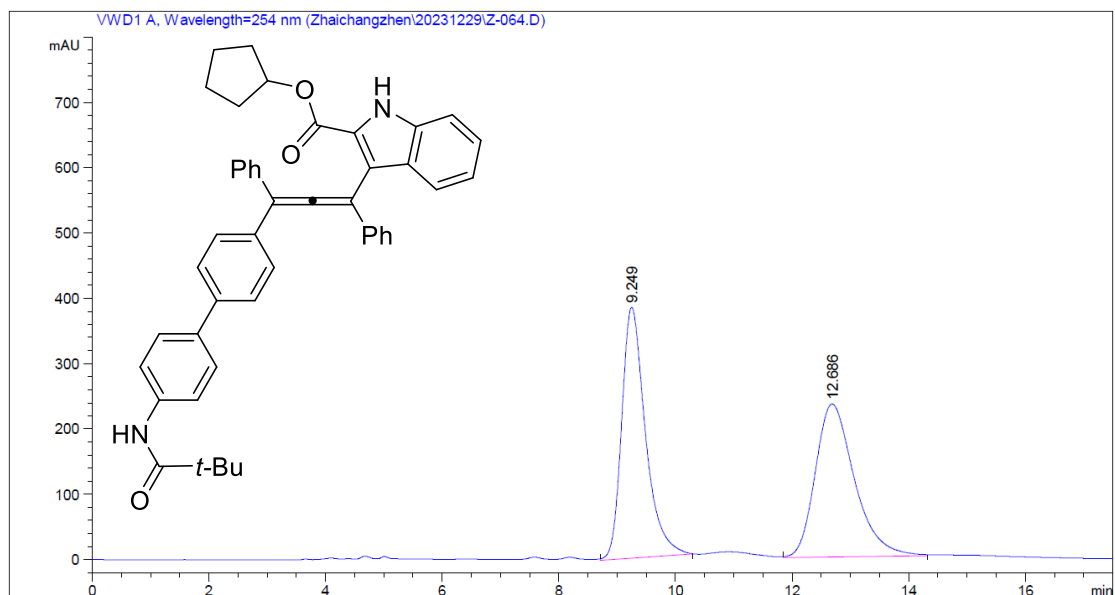


#	Time	Area	Height	Width	Symmetry	Area %
1	14.736	16231.1	333.4	0.8114	0.725	50.300
2	29.483	16037.5	130.4	1.844	0.732	49.700

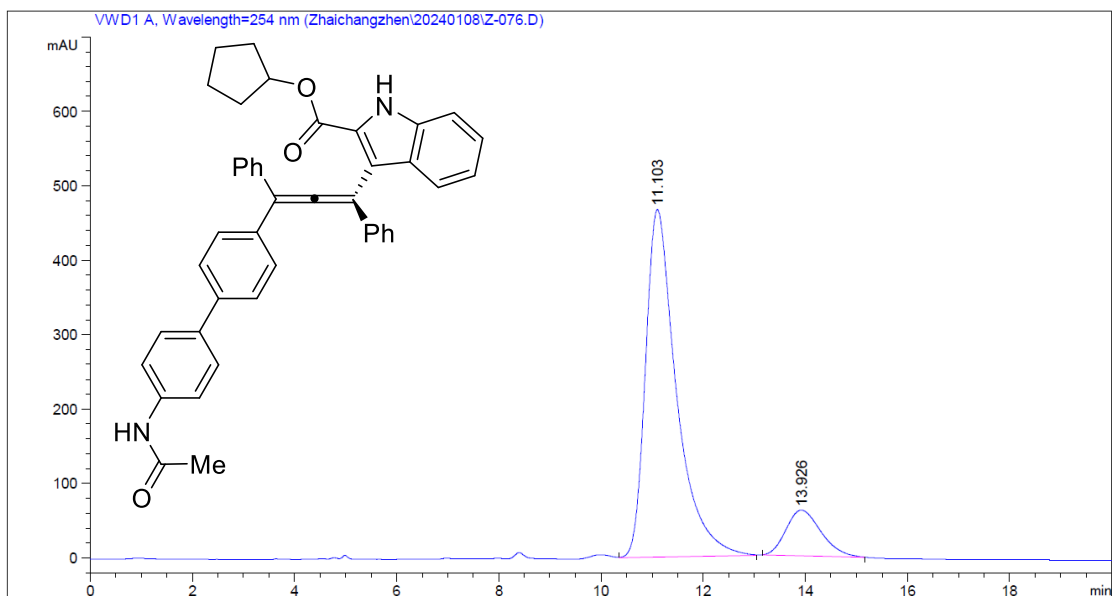
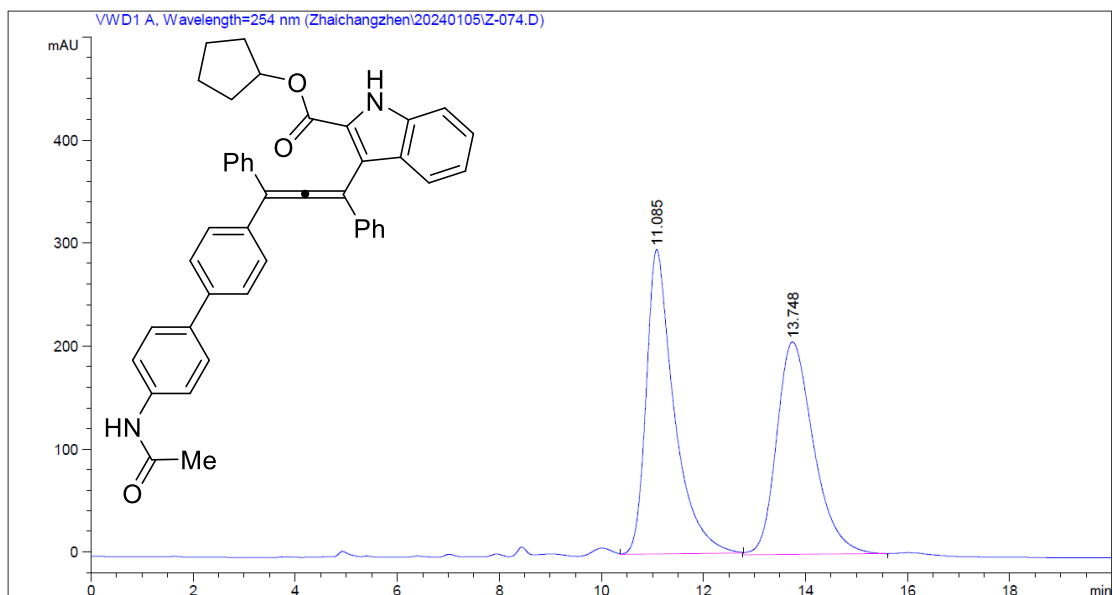


#	Time	Area	Height	Width	Symmetry	Area %
1	15.261	30133.1	513.5	0.8776	0.58	92.044
2	31.362	2604.5	20.3	2.1346	0.774	7.956

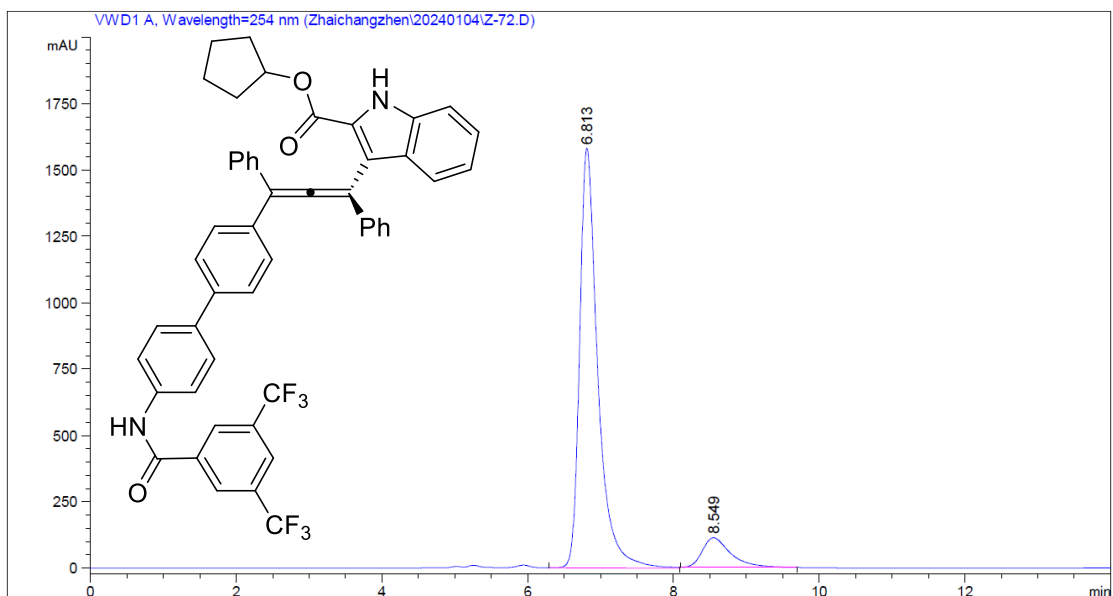
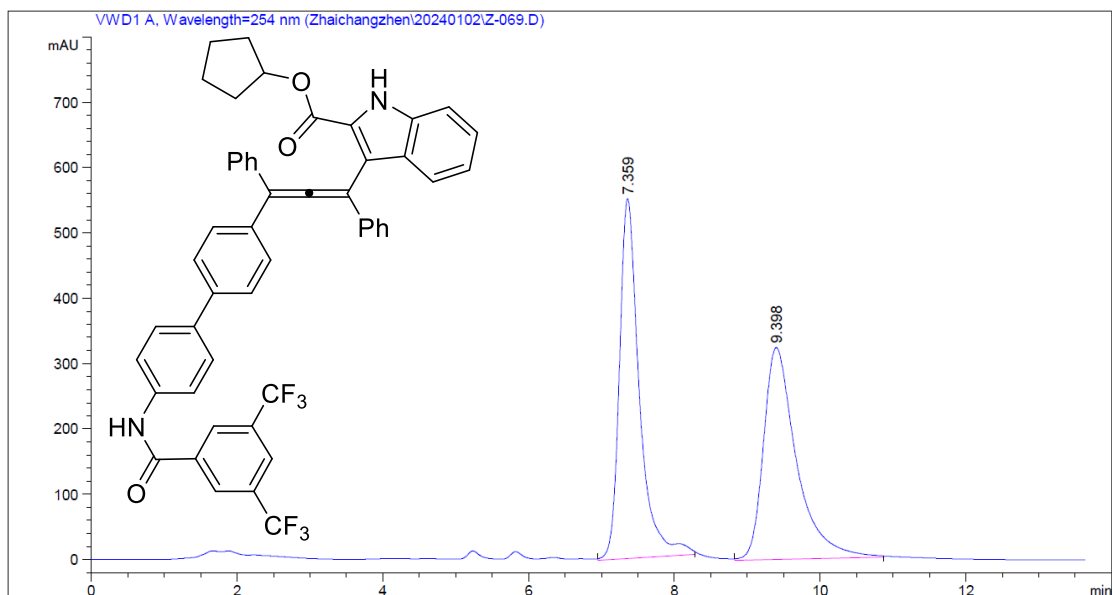
Cyclopentyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ba)



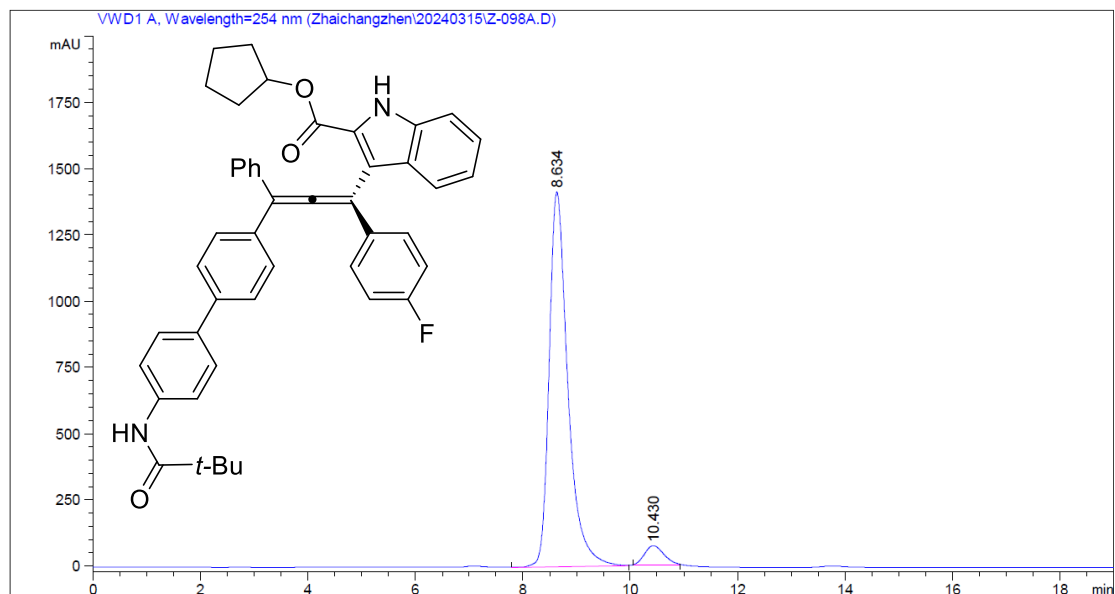
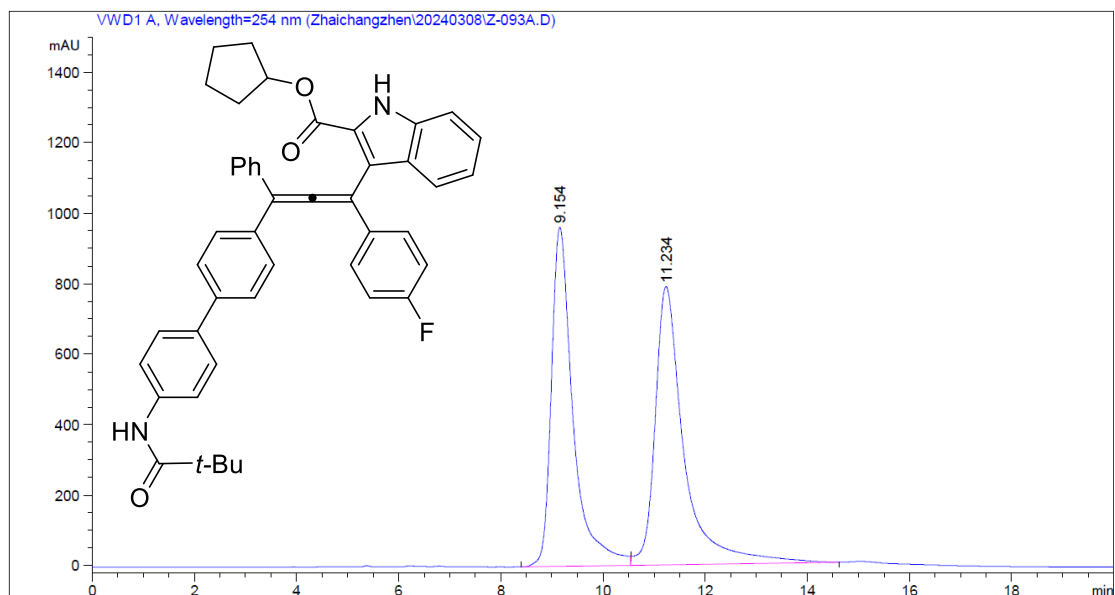
Cyclopentyl 3-(3-(4'-acetamido-[1,1'-biphenyl]-4-yl)-1,3-diphenylpropa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3ca)



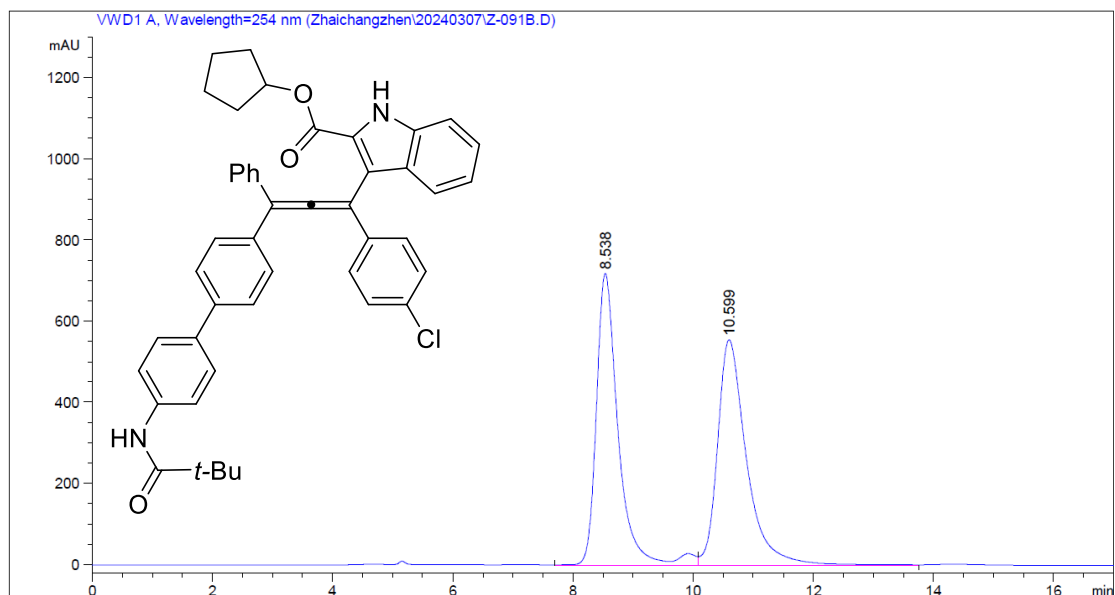
Cyclopentyl 3-(3-(4'-(3,5-bis(trifluoromethyl)benzamido)-[1,1'-biphenyl]-4-yl)-1,3-diphenylpropa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3da)



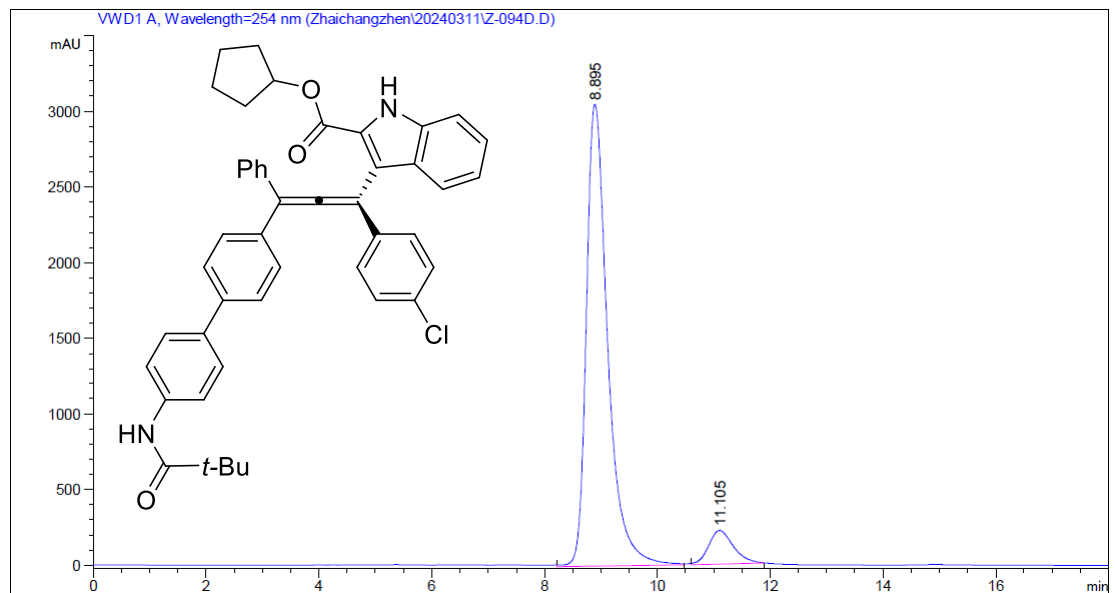
Cyclopentyl 3-(1-(4-fluorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ea)



Cyclopentyl 3-(1-(4-chlorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3fa)

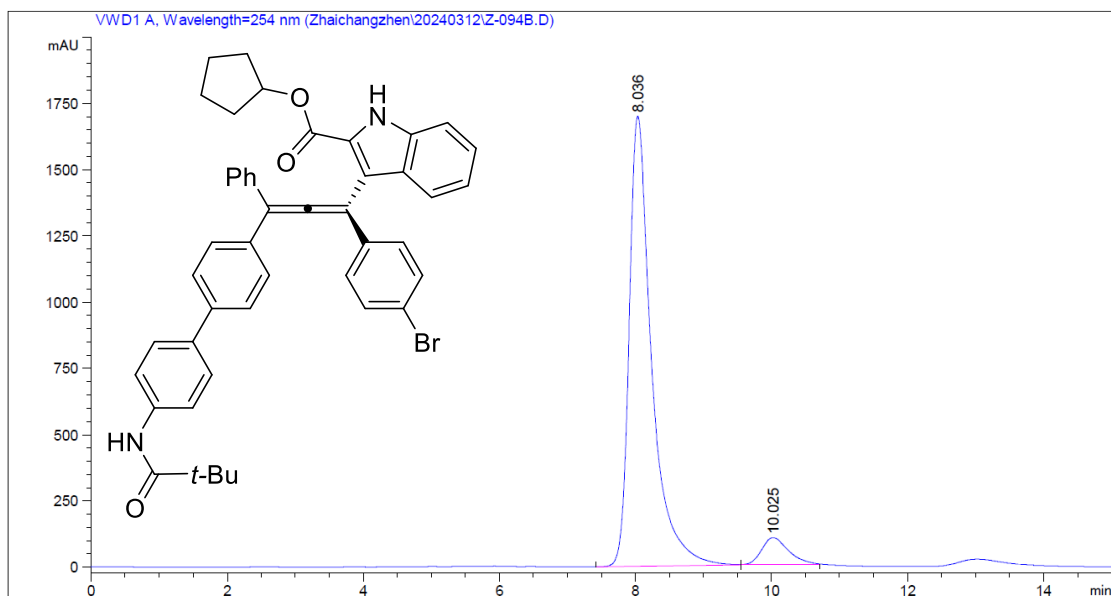
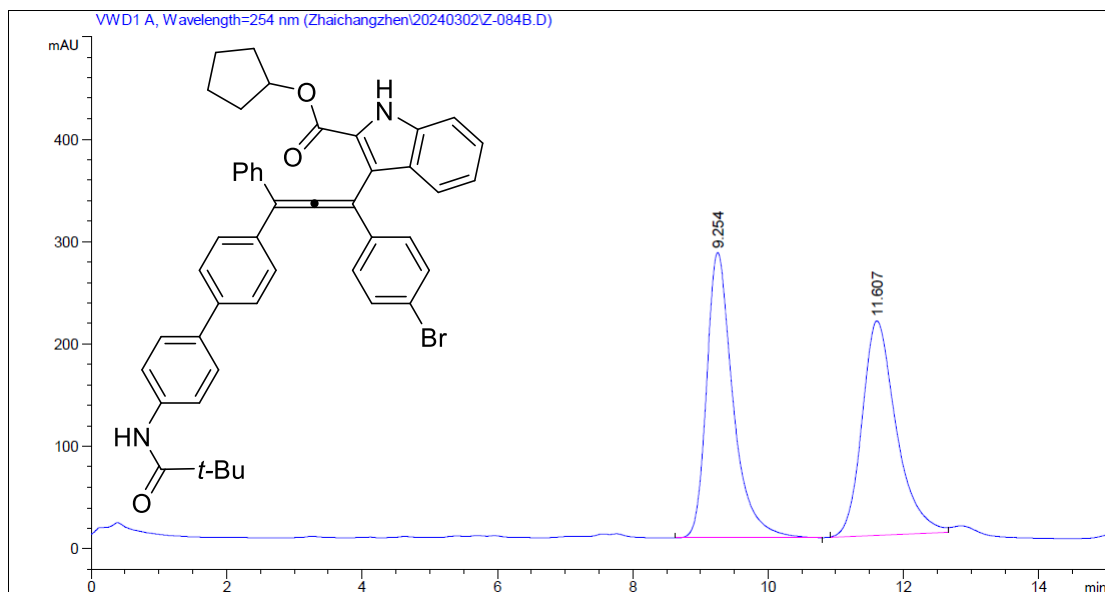


#	Time	Area	Height	Width	Symmetry	Area %
1	8.538	18139.8	717.7	0.3675	0.659	49.531
2	10.599	18483.6	554.8	0.4967	0.615	50.469

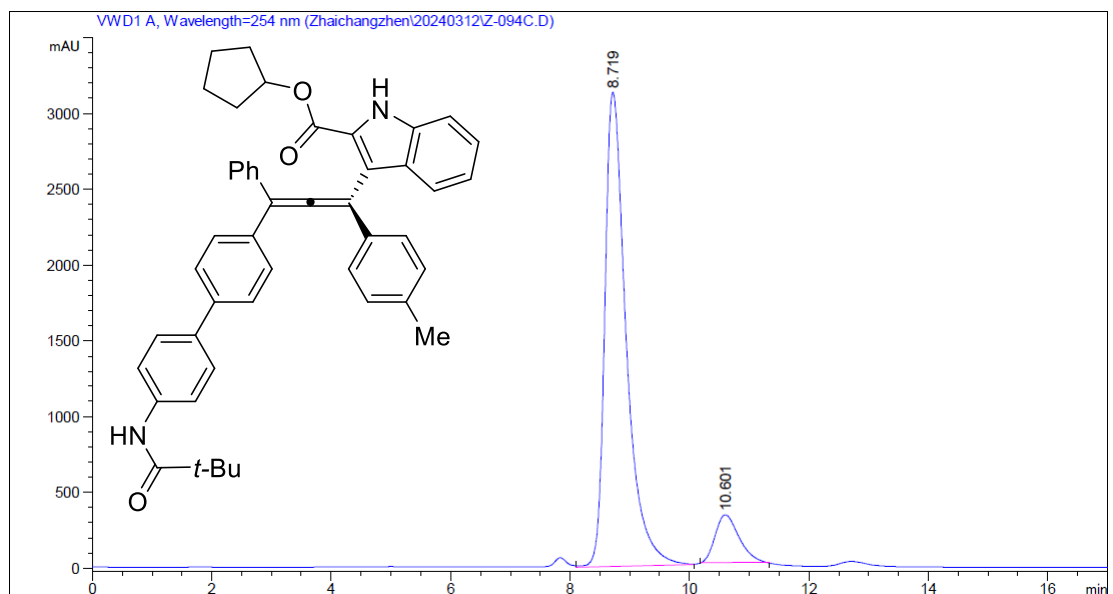
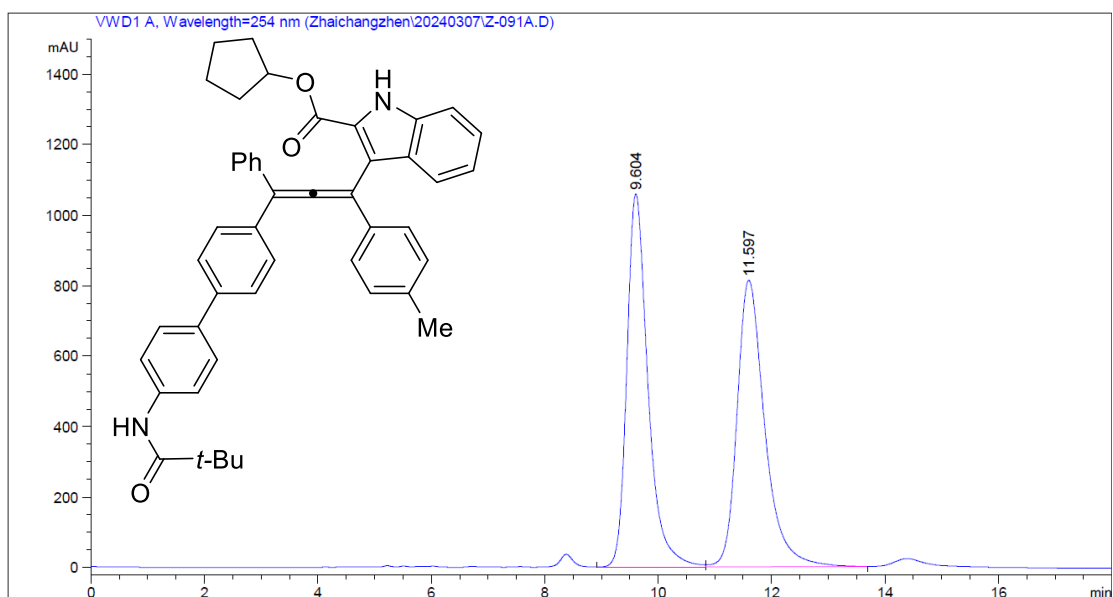


#	Time	Area	Height	Width	Symmetry	Area %
1	8.895	80195.9	3054.6	0.4376	0.636	92.052
2	11.105	6924.7	223.3	0.5169	0.779	7.948

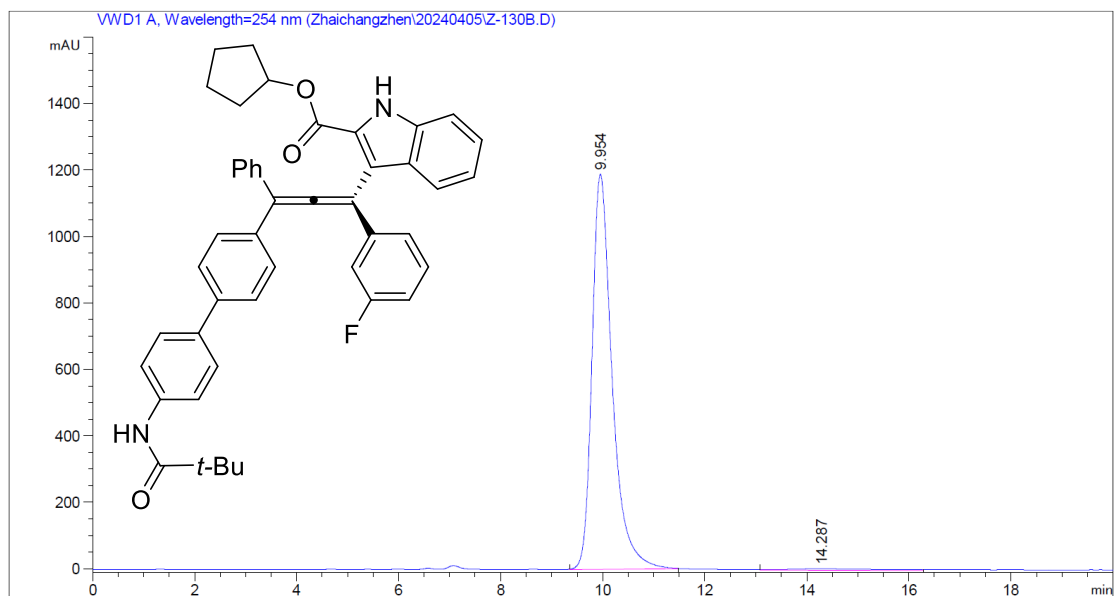
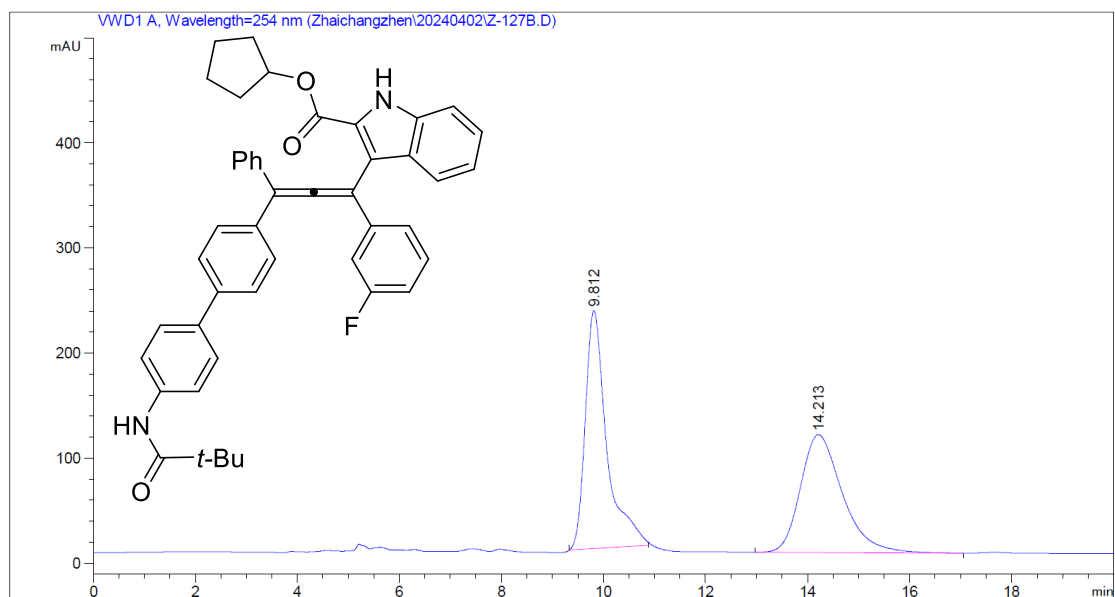
Cyclopentyl 3-(1-(4-chlorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ga)



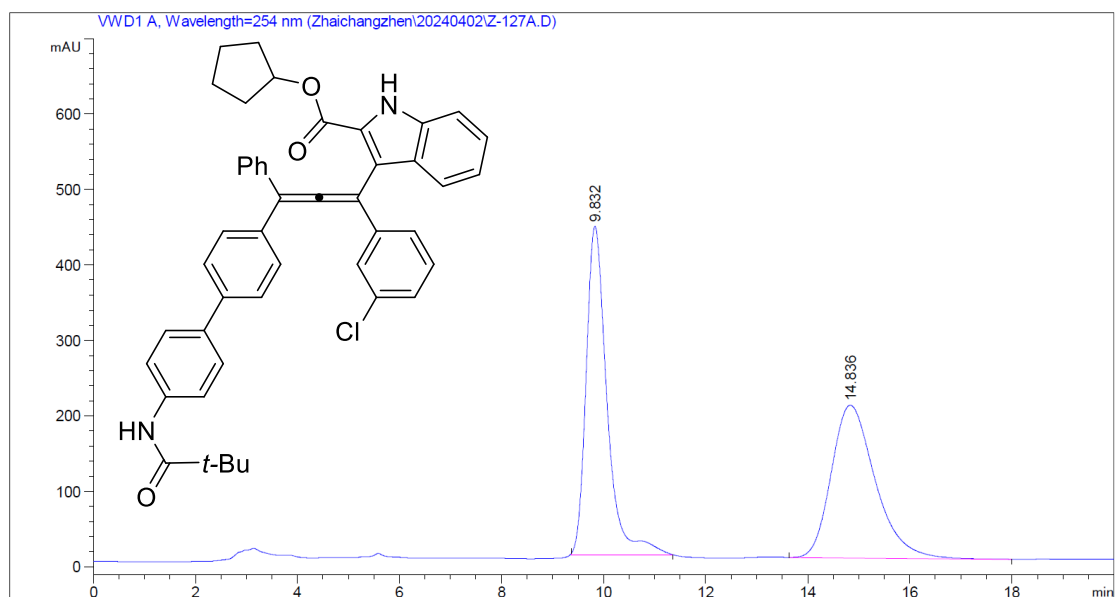
Cyclopentyl 3-(3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)-1-(*p*-tolyl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ha)



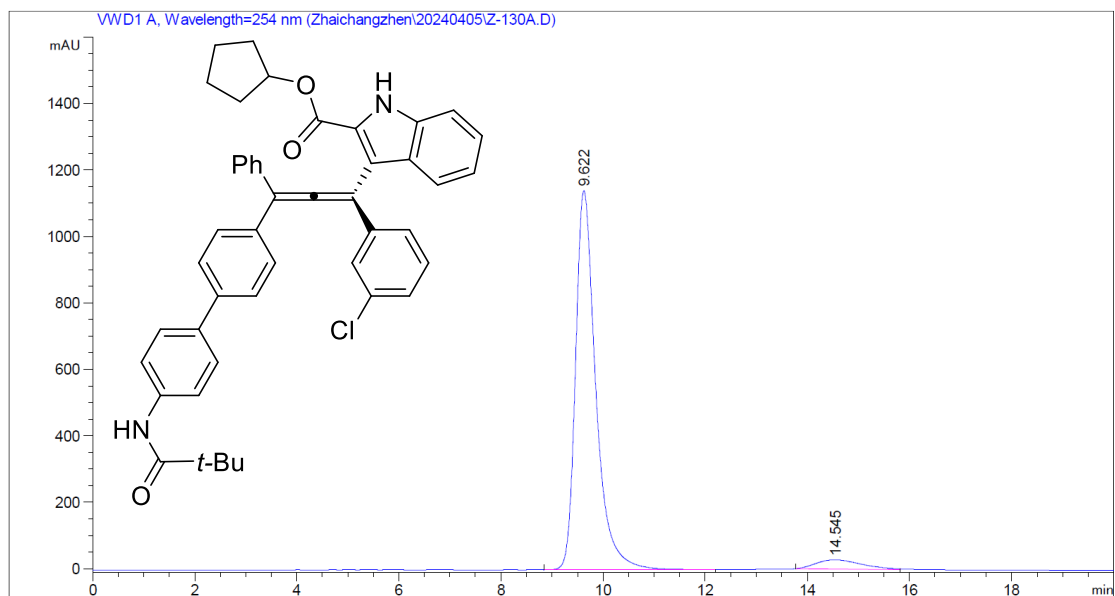
Cyclopentyl 3-(1-(4-fluorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ia)



Cyclopentyl 3-(1-(3-chlorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ja)

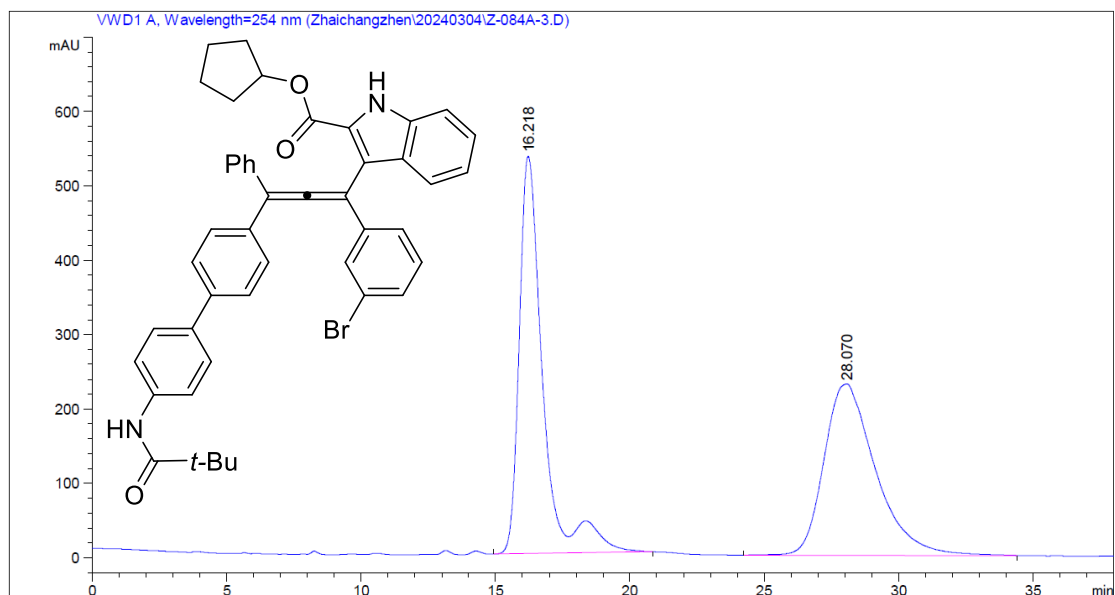


#	Time	Area	Height	Width	Symmetry	Area %
1	9.832	12441	435.8	0.4758	0.688	50.740
2	14.836	12078	202.3	0.9136	0.717	49.260

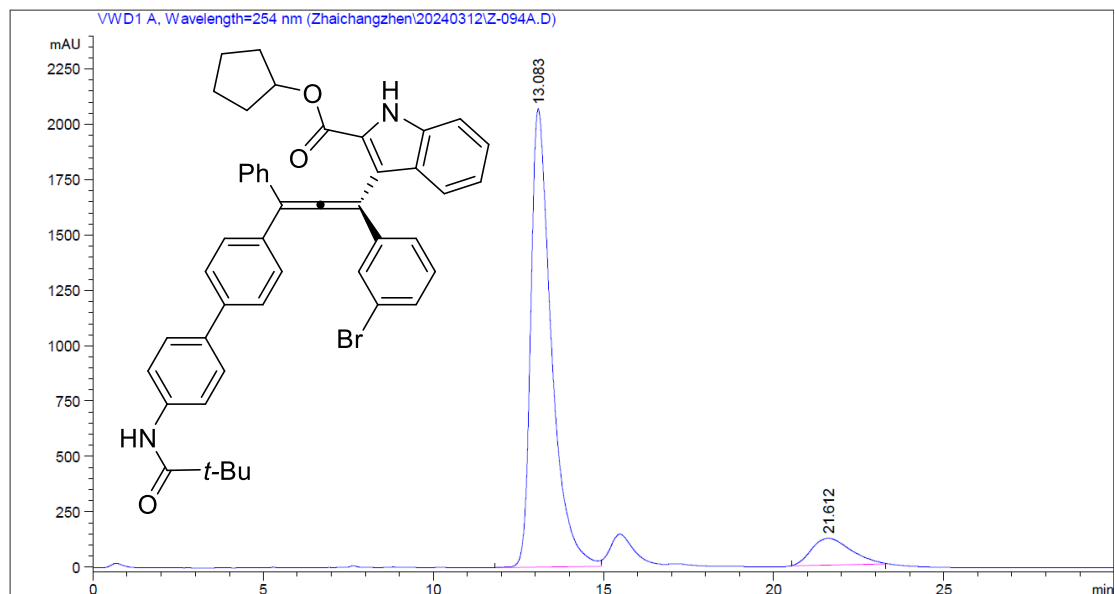


#	Time	Area	Height	Width	Symmetry	Area %
1	9.622	31433.2	1140.2	0.4146	0.646	95.005
2	14.545	1652.7	27.2	1.012	0.666	4.995

Cyclopentyl 3-(1-(3-bromophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ka)

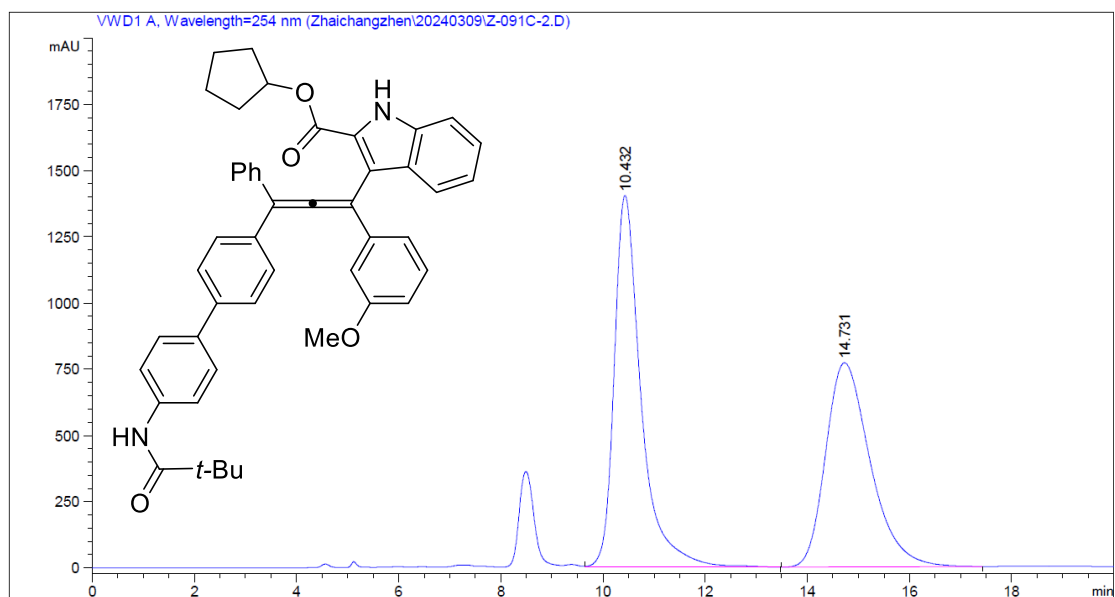


#	Time	Area	Height	Width	Symmetry	Area %
1	16.218	32740.8	534.2	0.854	0.647	51.568
2	28.07	30749.5	230.5	1.8385	0.724	48.432



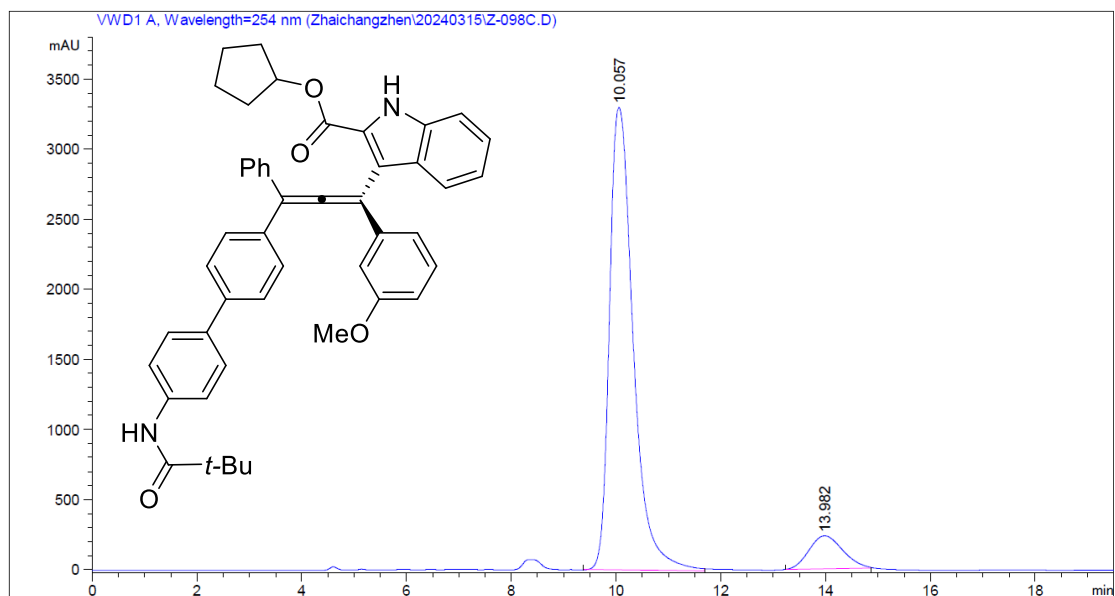
#	Time	Area	Height	Width	Symmetry	Area %
1	13.083	87757.2	2071	0.7062	0.54	90.101
2	21.612	9642	120.3	1.3358	0.733	9.899

Cyclopentyl 3-(1-(3-methoxyphenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3la)



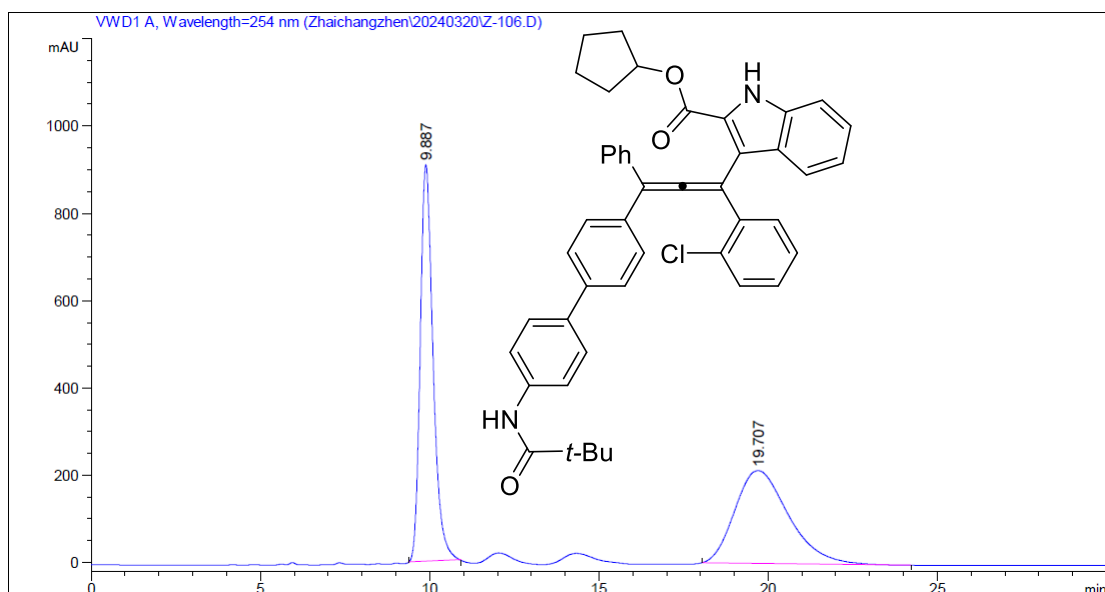
#	Time	Area	Height	Width	Symmetry	Area %
1	10.432	51252.4	1402.8	0.5463	0.614	52.331
2	14.731	46687.2	770.4	0.931	0.689	47.669

v

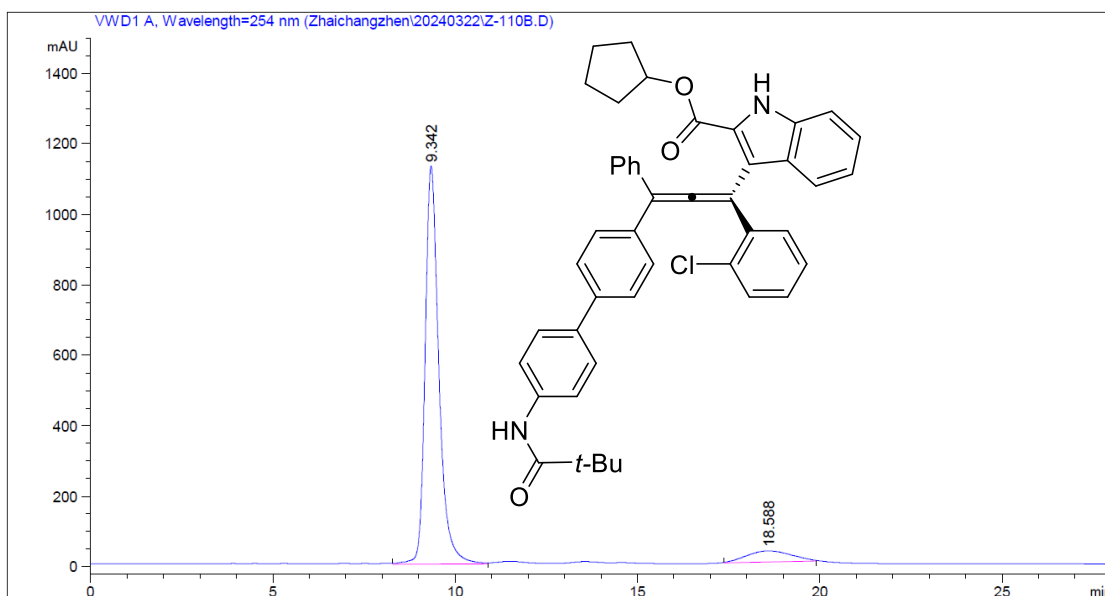


#	Time	Area	Height	Width	Symmetry	Area %
1	10.057	103704.6	3298.1	0.5241	0.634	90.847
2	13.982	10447.9	234.6	0.7424	0.842	9.153

Cyclopentyl 3-(1-(2-chlorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ma)

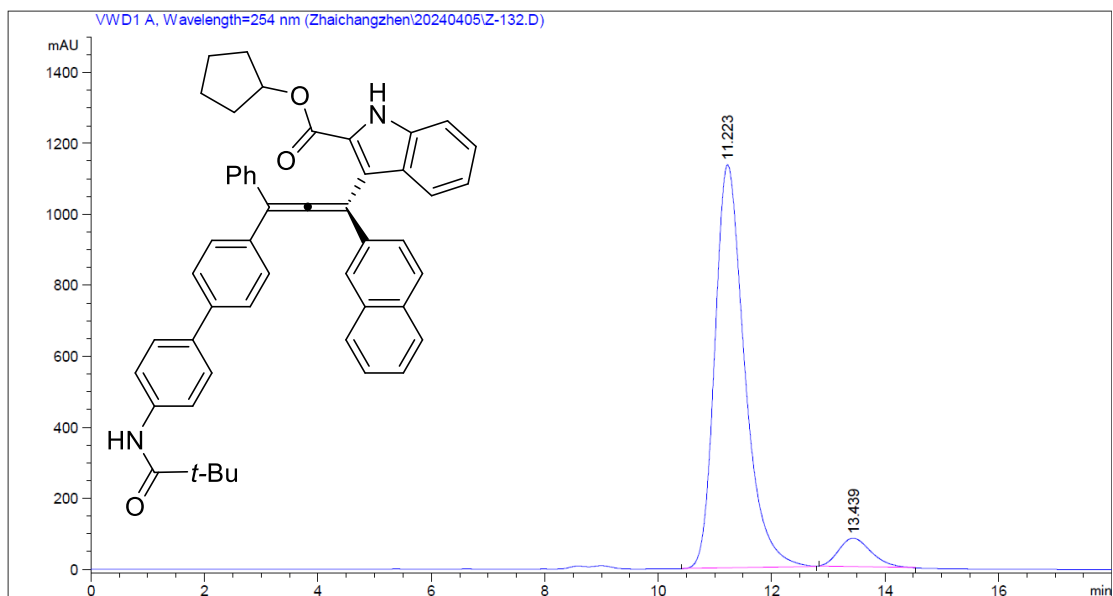
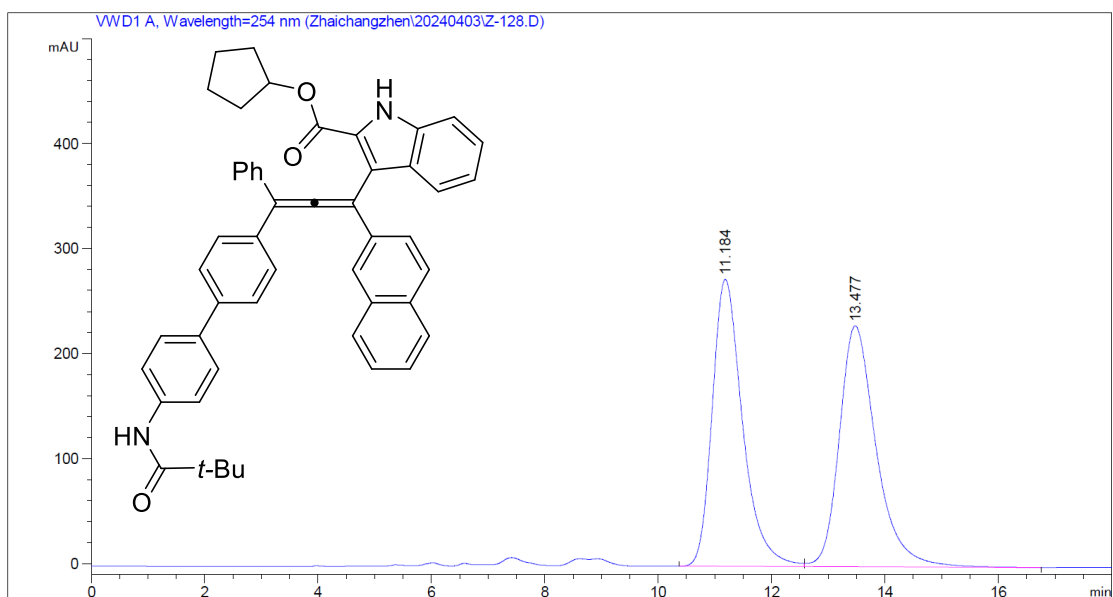


#	Time	Area	Height	Width	Symmetry	Area %
1	9.887	23902.5	908	0.4387	0.742	50.504
2	19.707	23425.2	212.5	1.5841	0.711	49.496

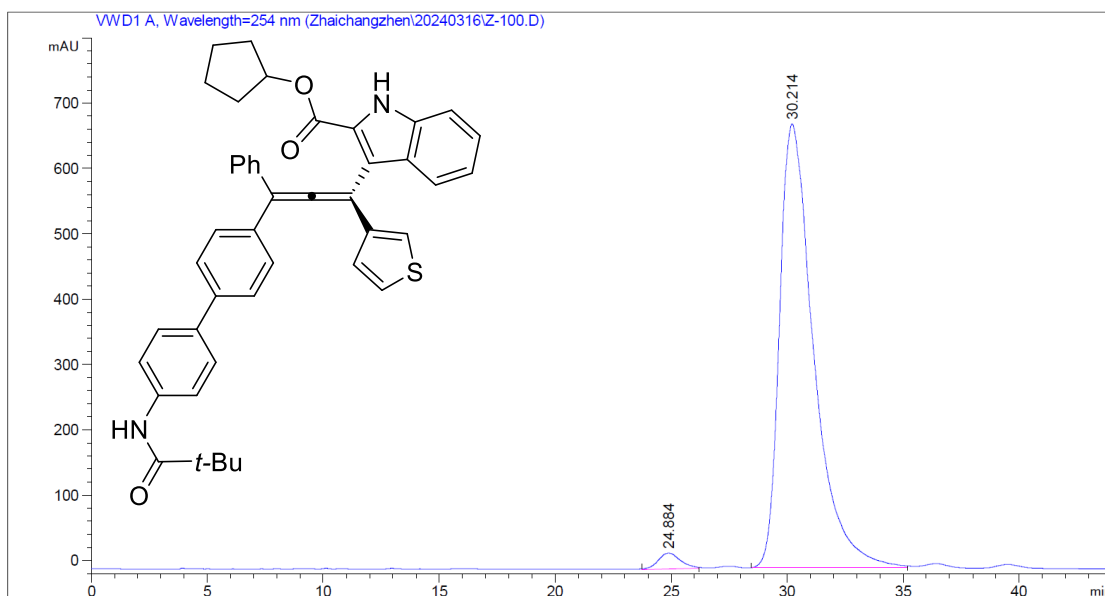
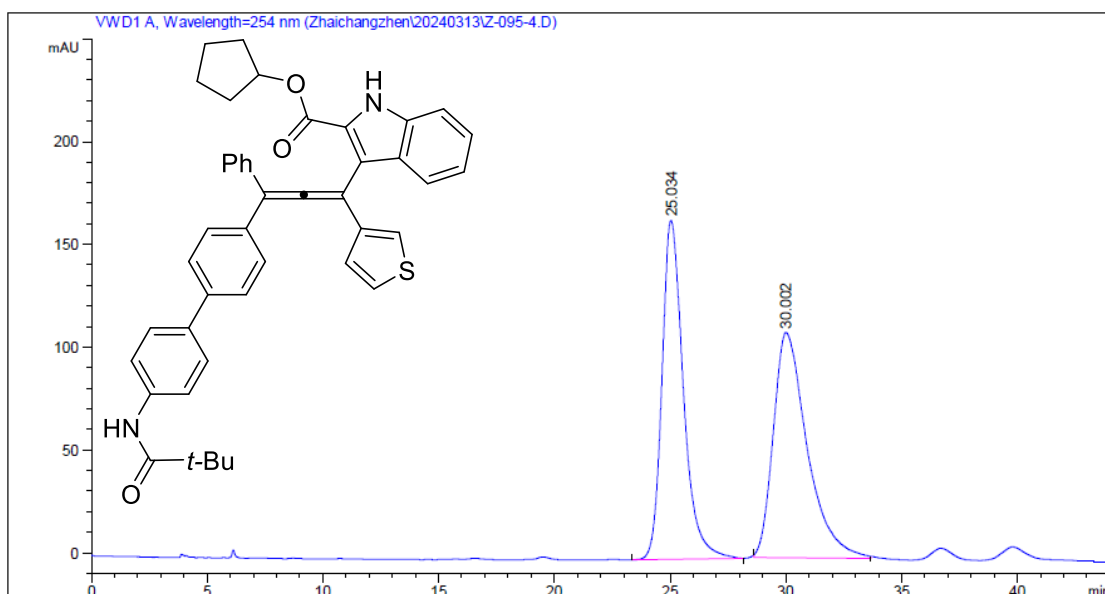


#	Time	Area	Height	Width	Symmetry	Area %
1	9.342	29643.4	1129.1	0.4376	0.737	91.725
2	18.588	2674.4	31.4	1.4175	0.943	8.275

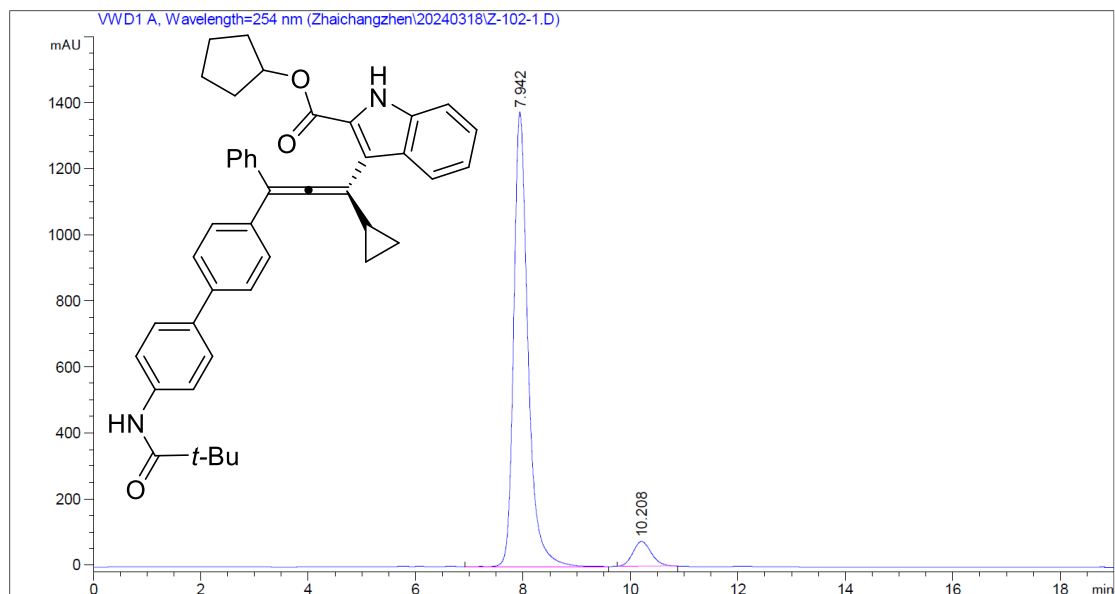
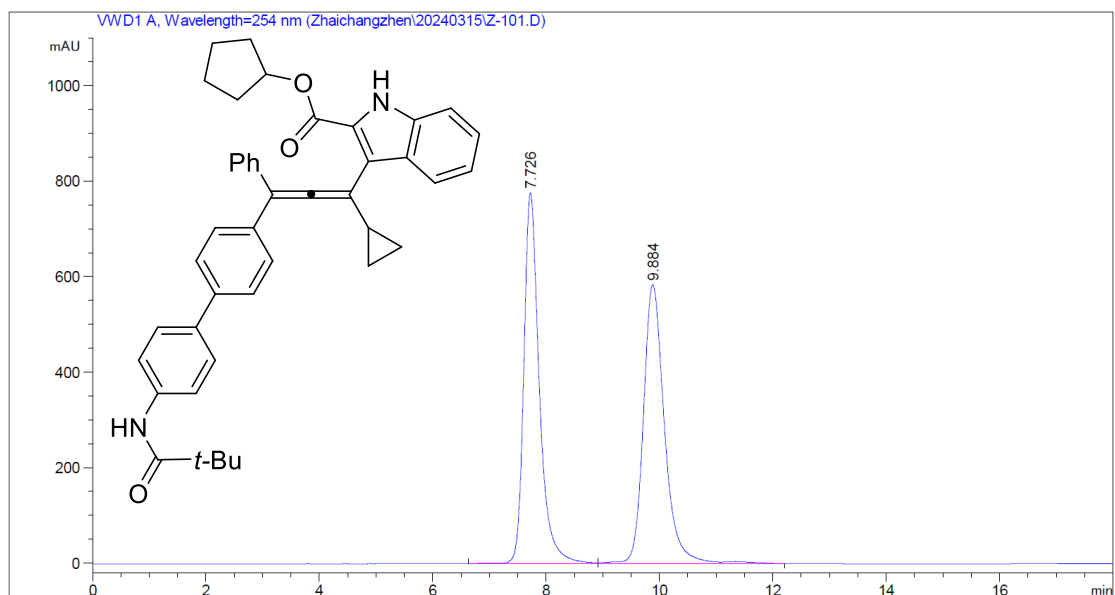
Cyclopentyl 3-(1-(naphthalen-2-yl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3na)



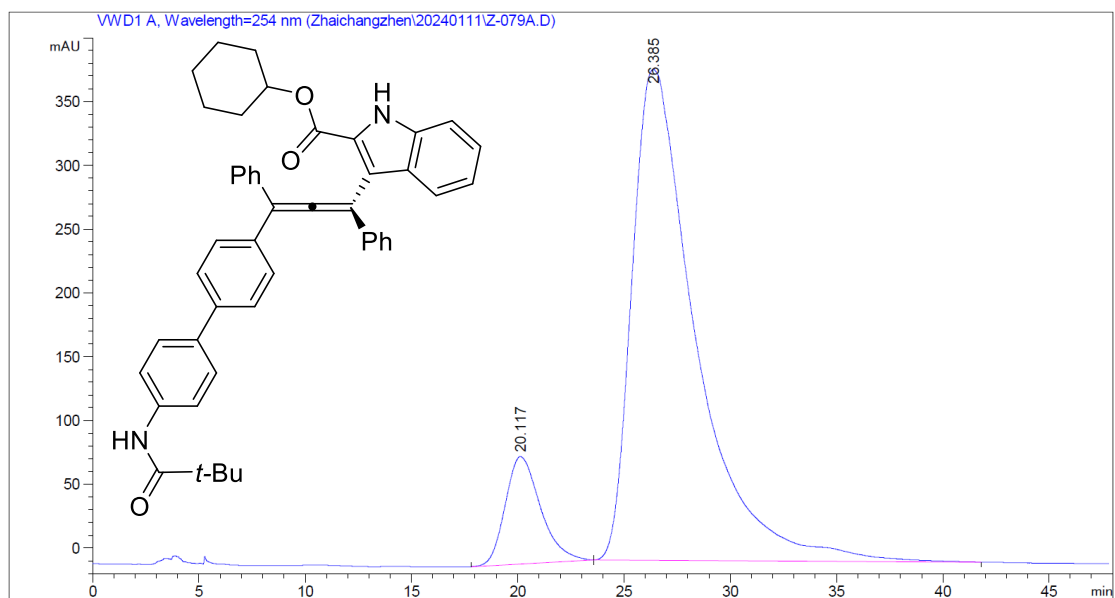
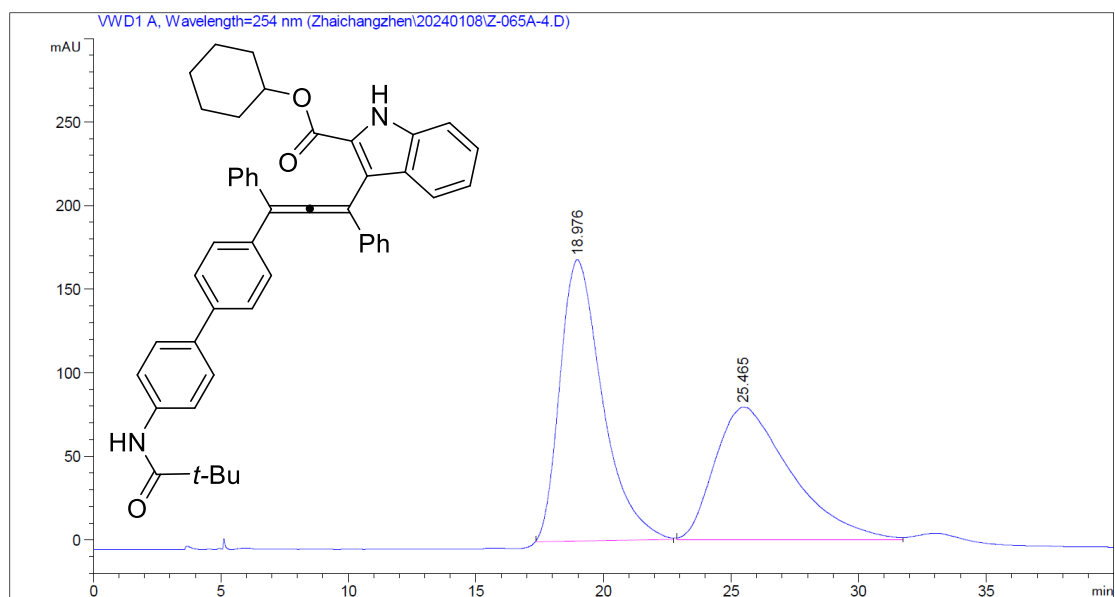
Cyclopentyl 3-(3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)-1-(thiophen-3-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3oa)



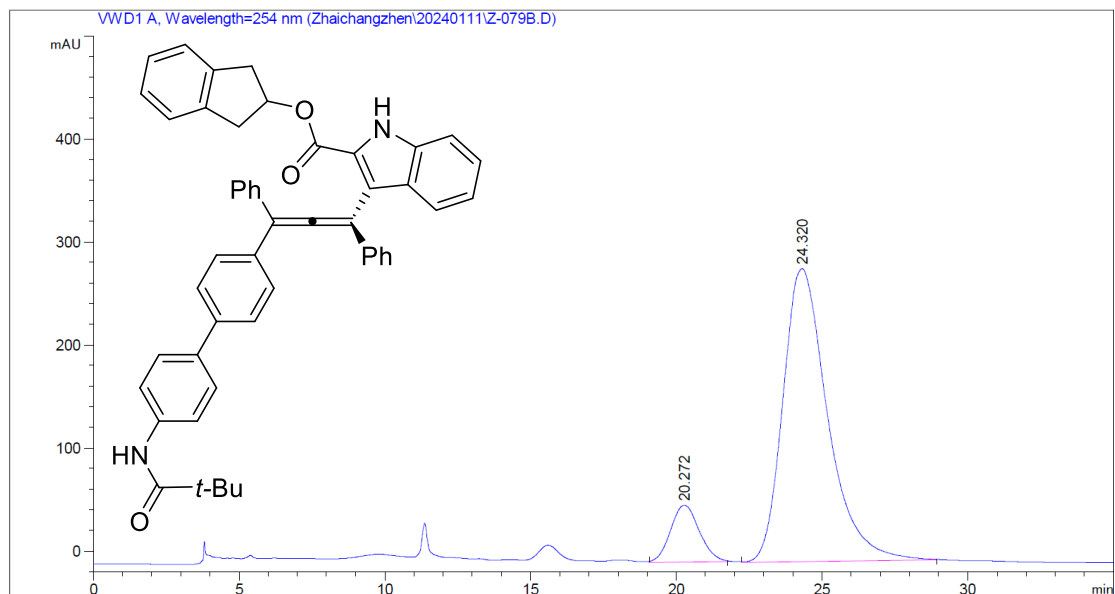
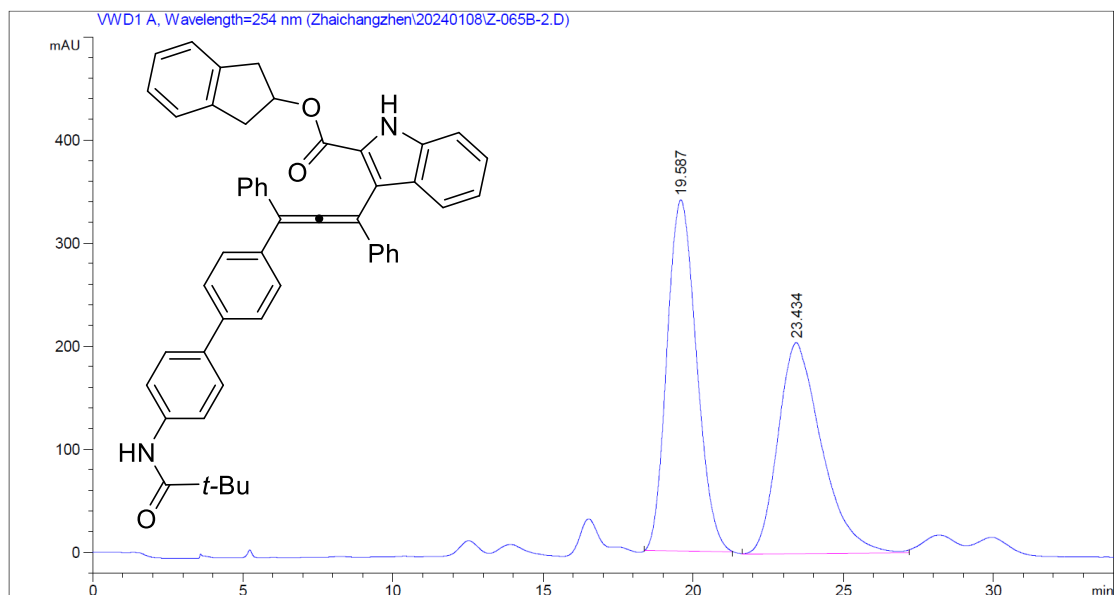
Cyclopentyl 3-(1-cyclopropyl-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)prop-1,2-dien-1-yl)-1H-indole-2-carboxylate (3pa)



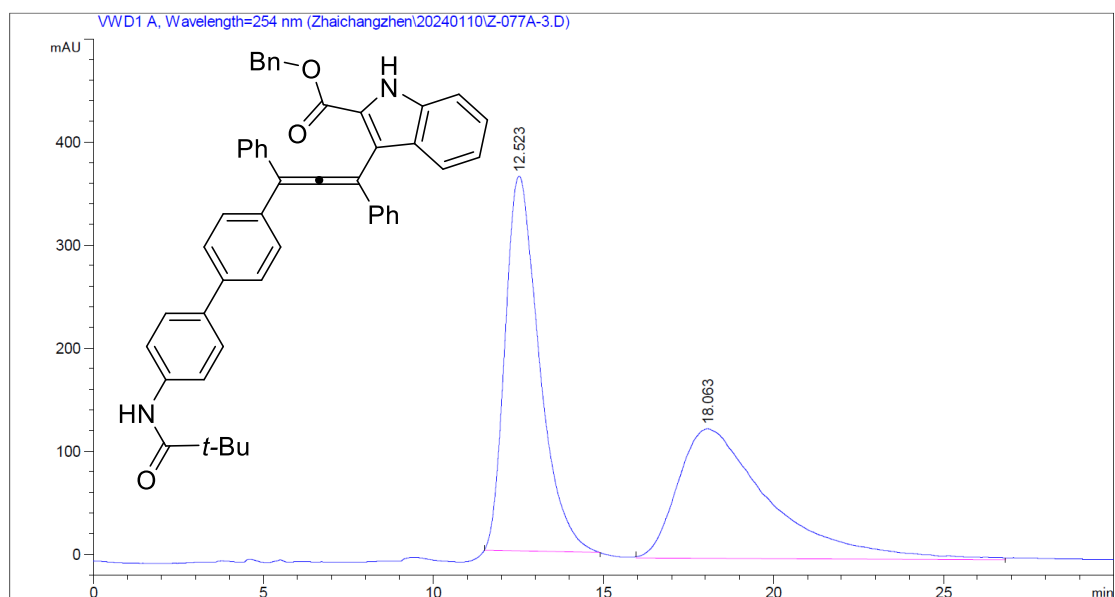
Cyclohexyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3bb)



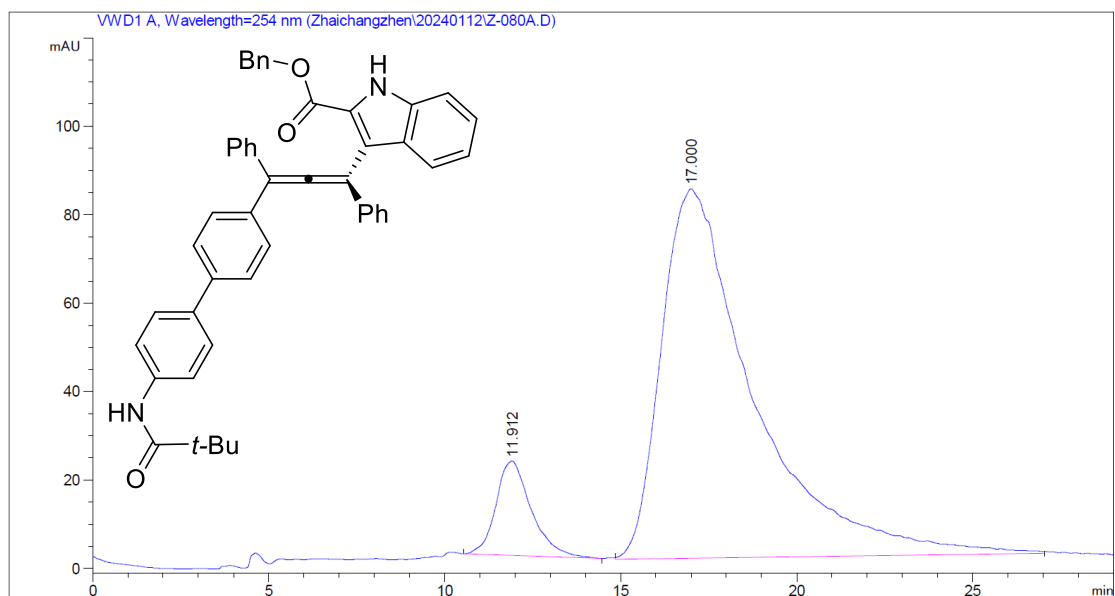
2,3-dihydro-1H-inden-2-yl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3bc)



Benzyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3bd)

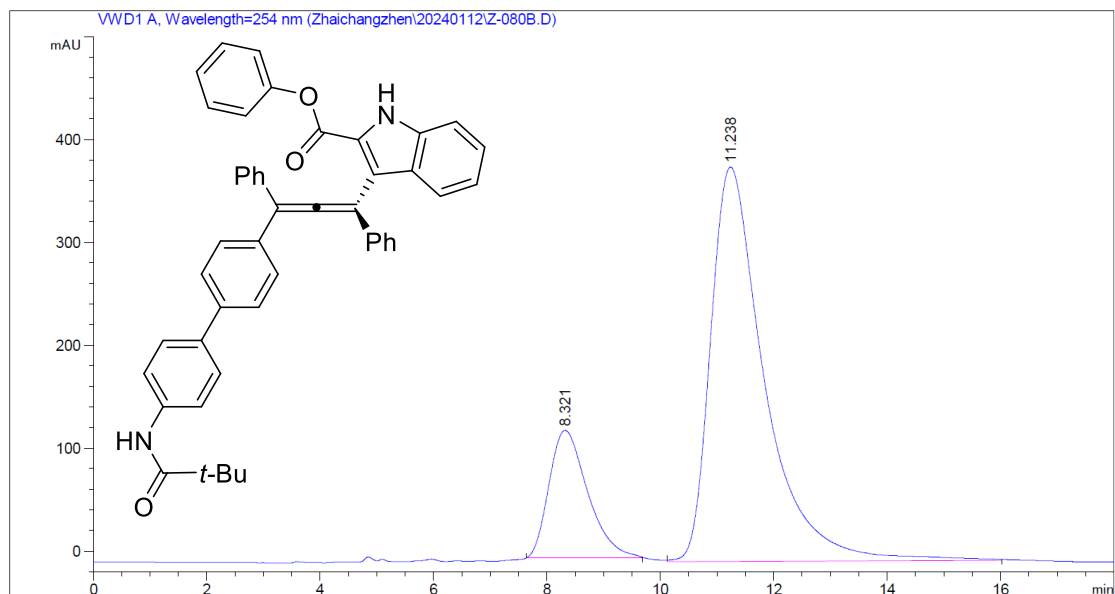
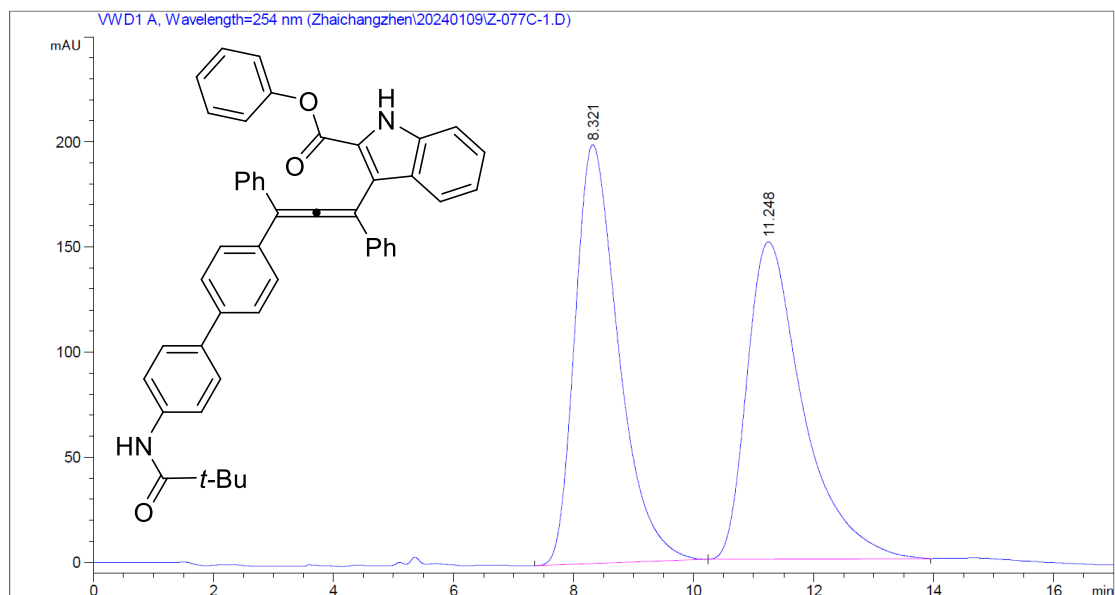


#	Time	Area	Height	Width	Symmetry	Area %
1	13.315	27730.8	385.1	1.2001	0.707	53.535
2	19.38	24068.7	127.7	2.2696	0.532	46.465

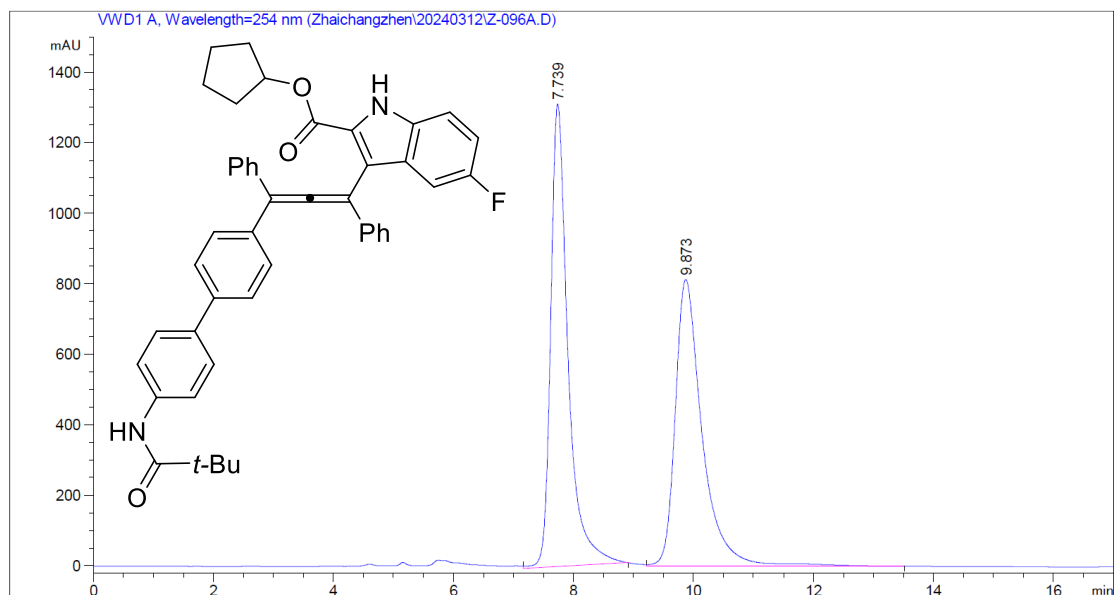


#	Time	Area	Height	Width	Symmetry	Area %
1	11.912	1482.6	21.3	0.9893	0.773	8.857
2	17	15256.1	83.6	3.0431	0.457	91.143

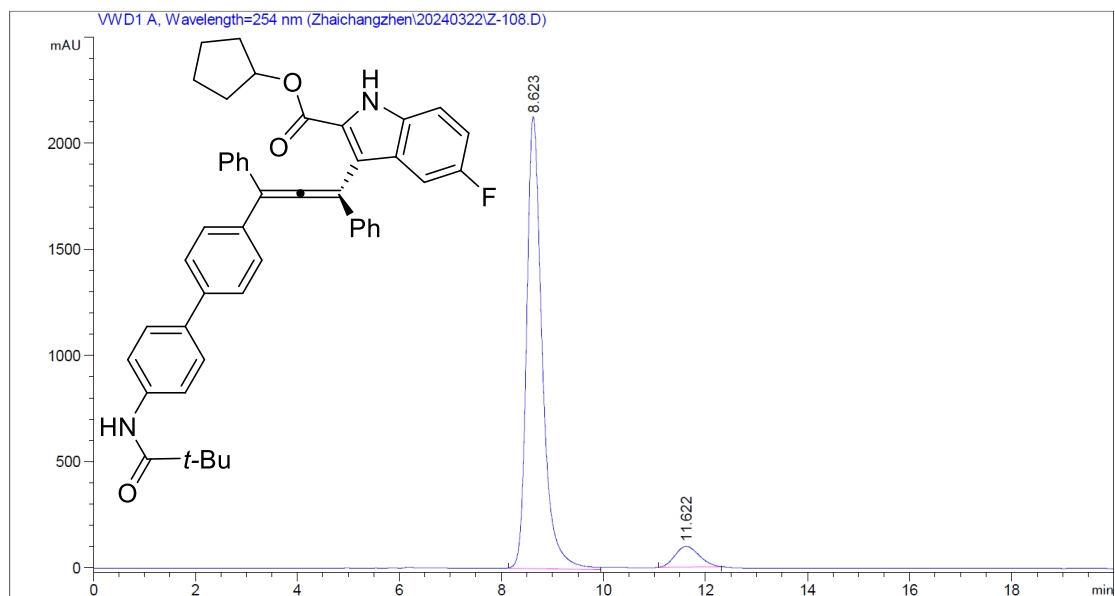
Phenyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3be)



Cyclopentyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-5-fluoro-1*H*-indole-2-carboxylate (3bf)

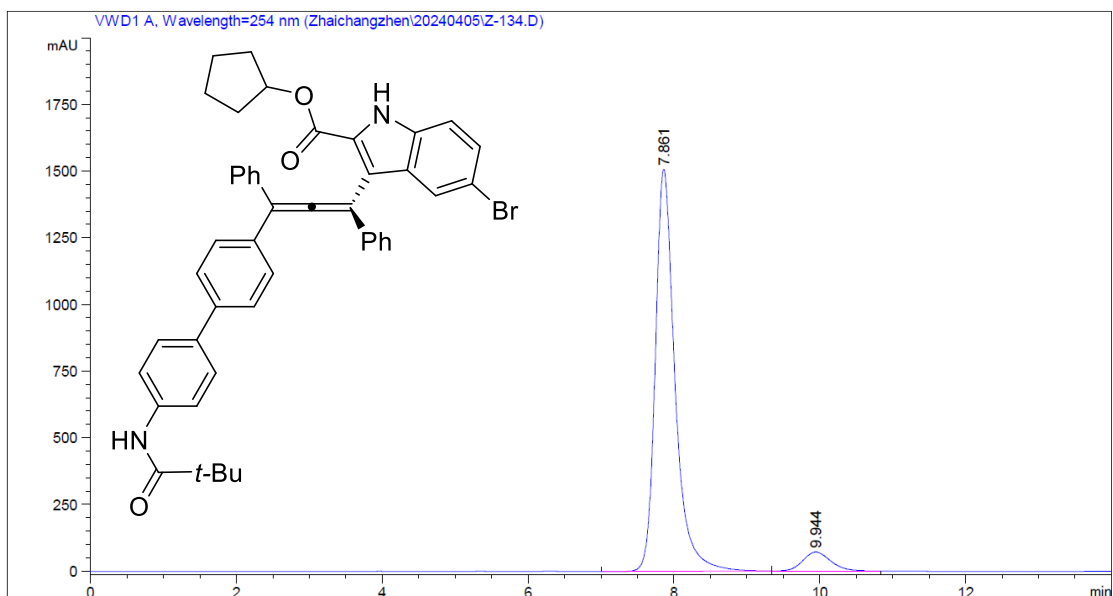
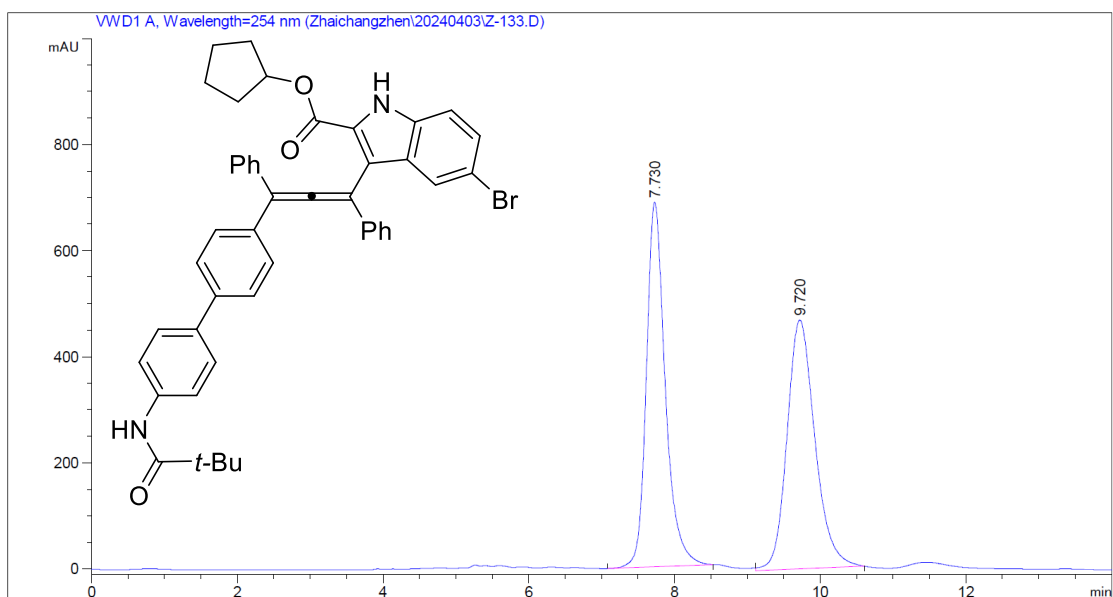


#	Time	Area	Height	Width	Symmetry	Area %
1	7.739	26245.3	1311.4	0.3336	0.648	50.788
2	9.873	25430.3	812.8	0.463	0.579	49.212

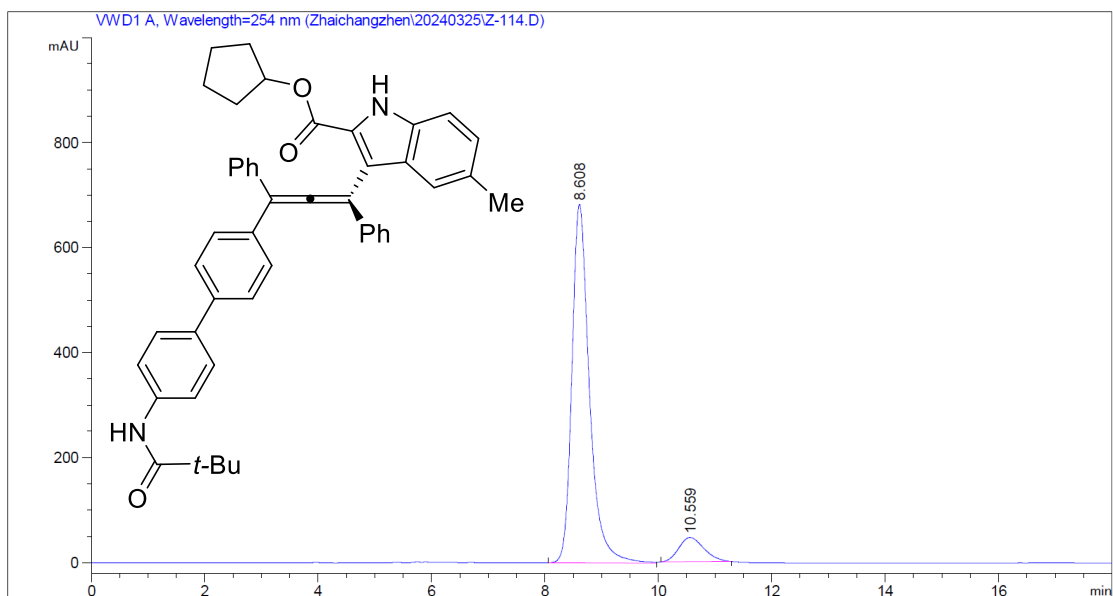
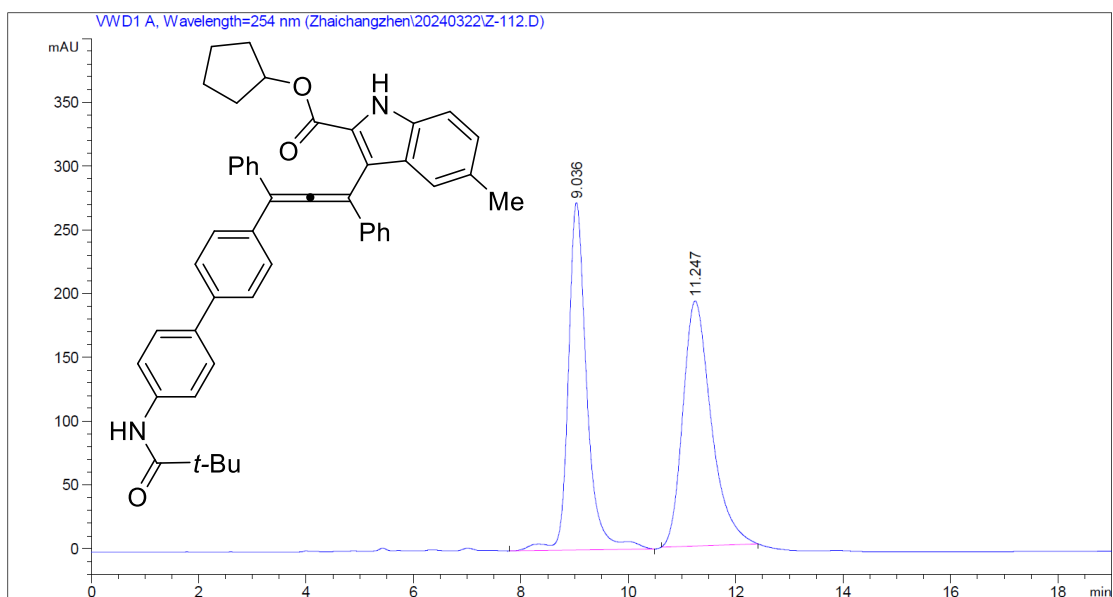


#	Time	Area	Height	Width	Symmetry	Area %
1	8.623	45200.8	2128.1	0.354	0.693	93.421
2	11.622	3183.2	97.4	0.5373	0	6.579

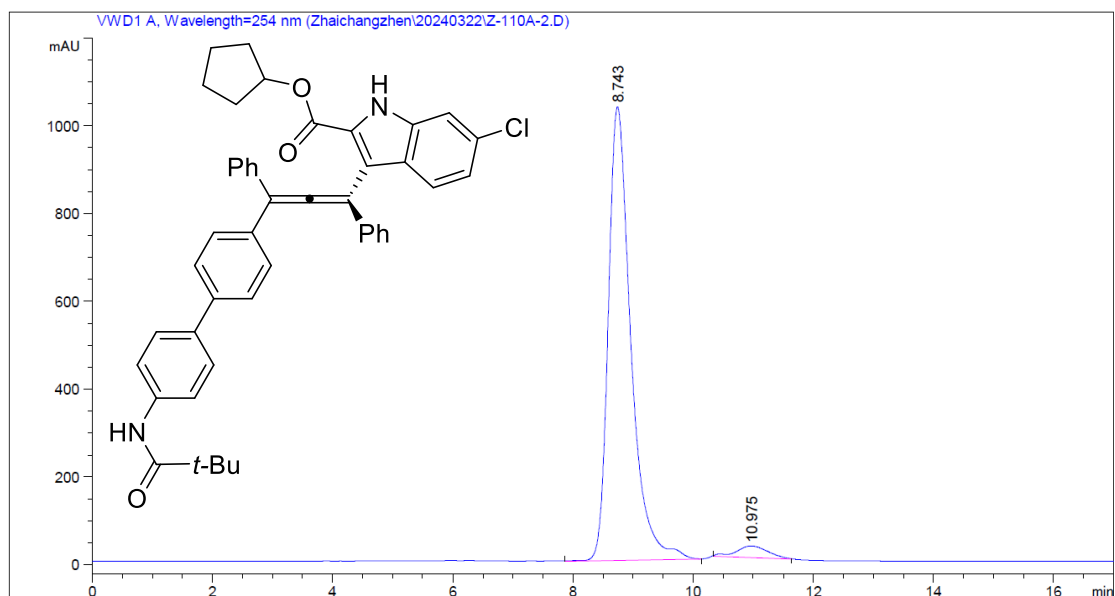
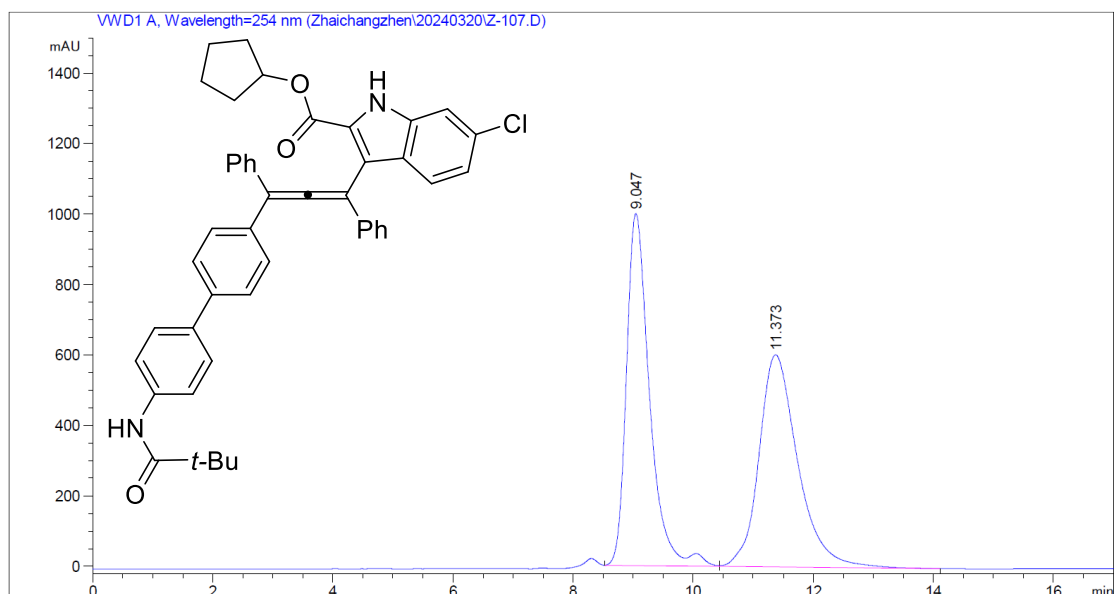
Cyclopentyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-5-methyl-1*H*-indole-2-carboxylate (3bg)



Cyclopentyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-5-methyl-1*H*-indole-2-carboxylate (3bh)

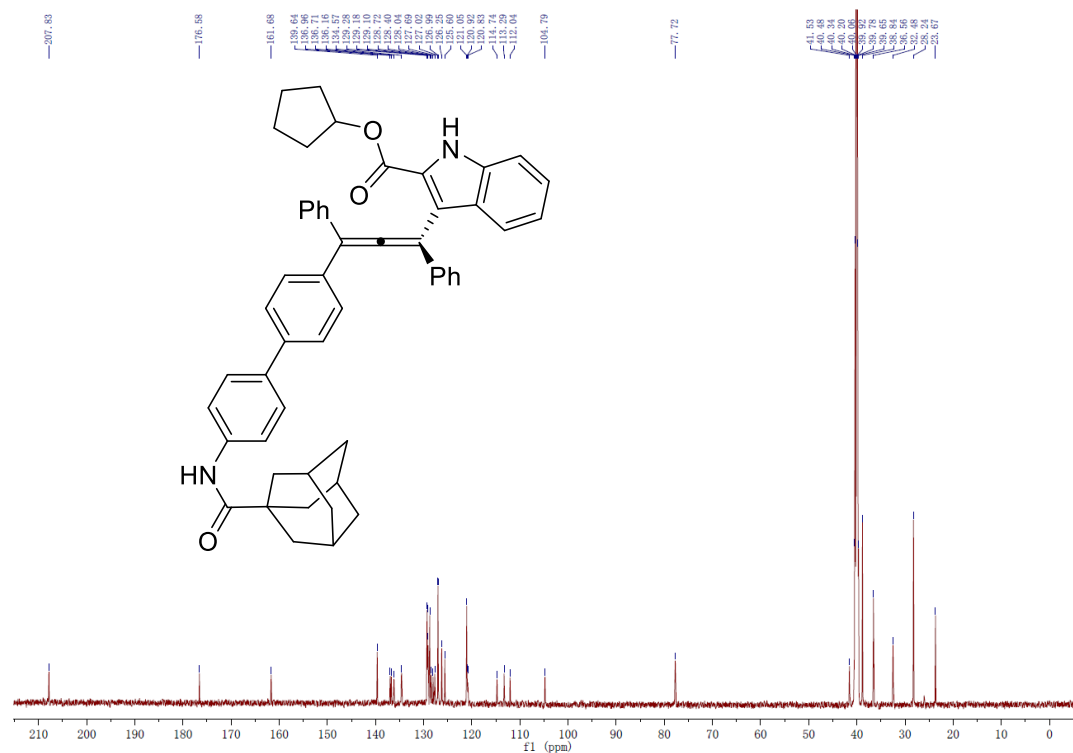
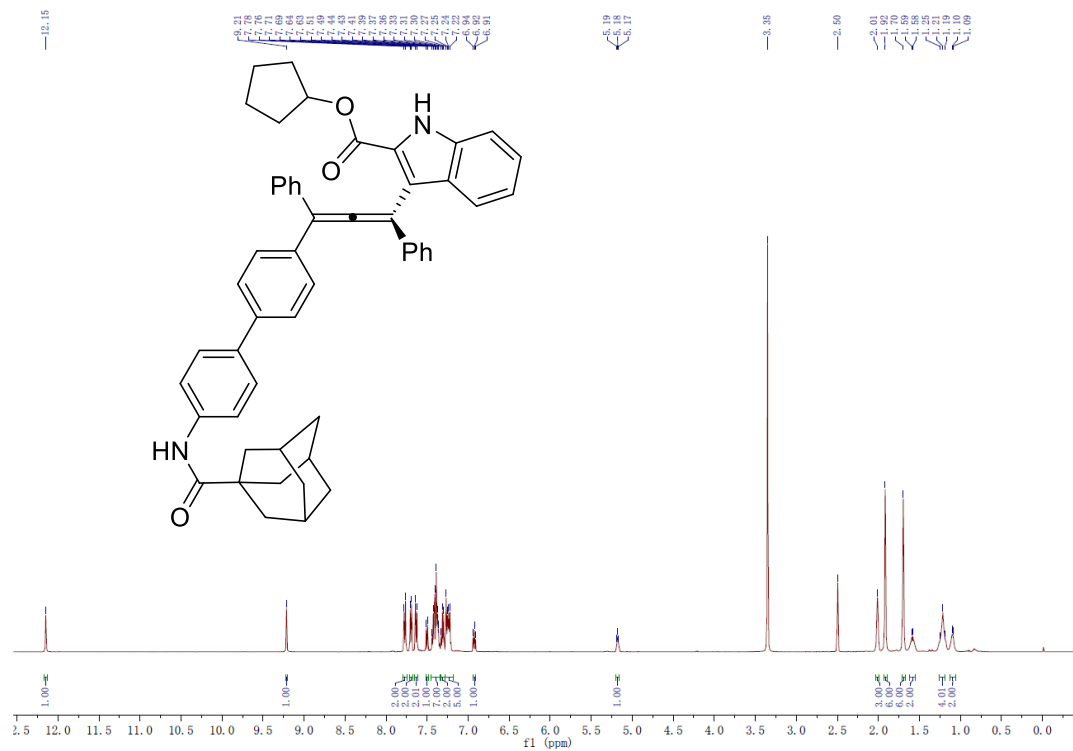


Cyclopentyl 6-chloro-3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3bi)

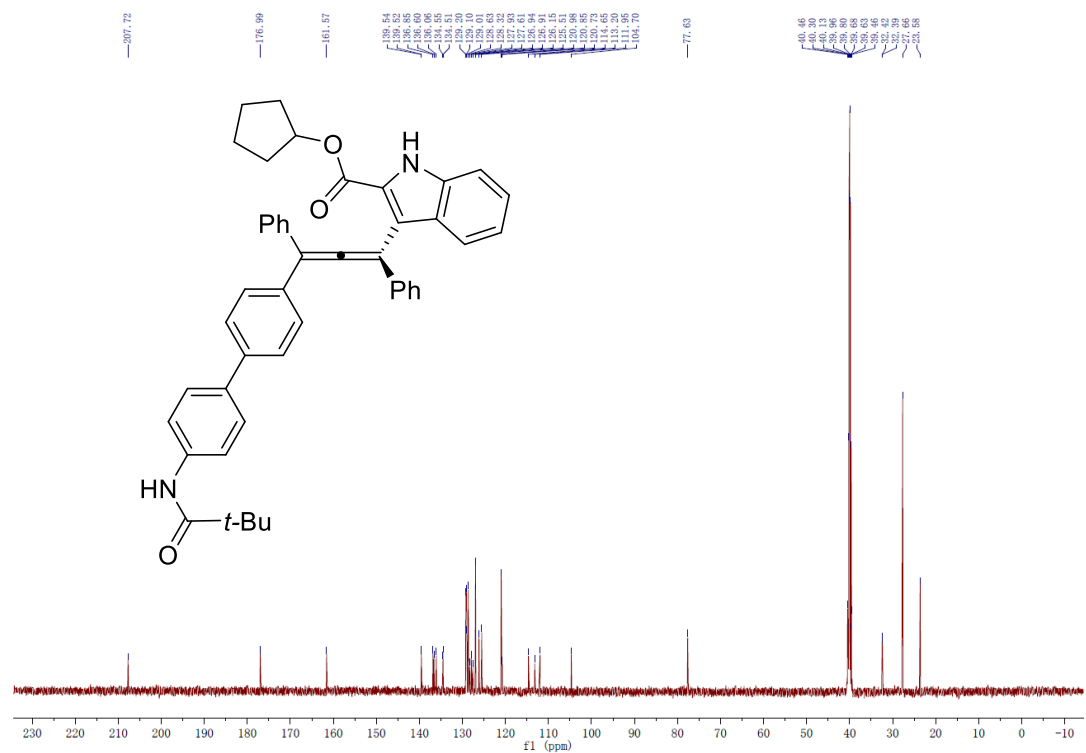
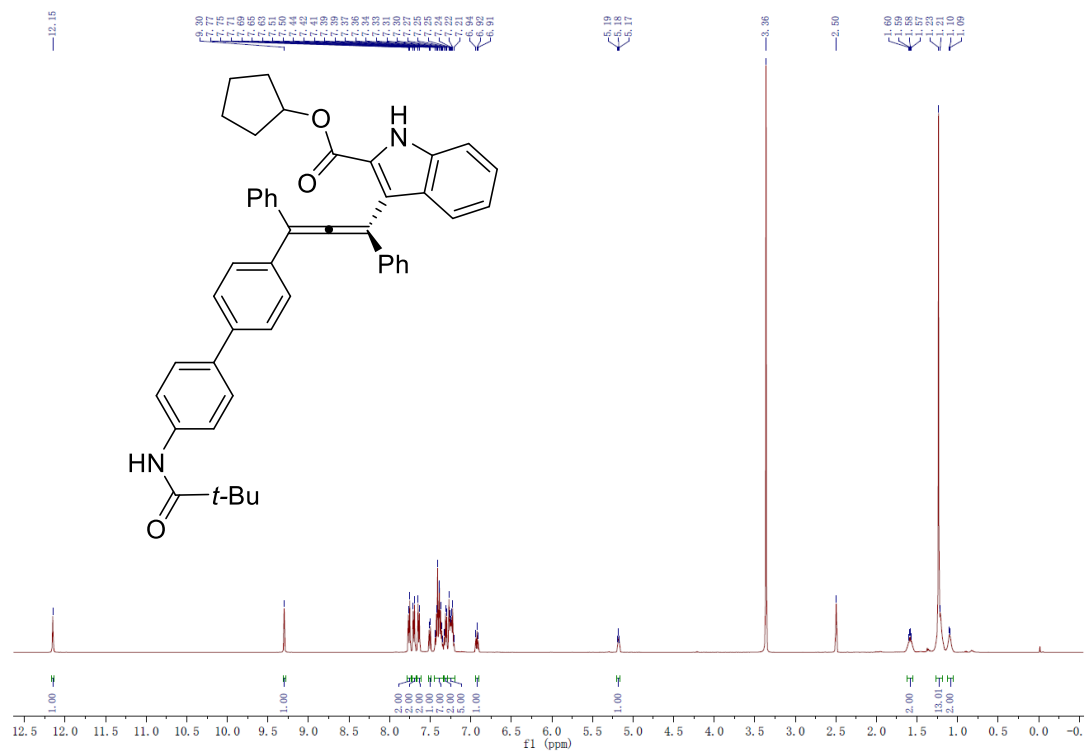


H: NMR Analysis

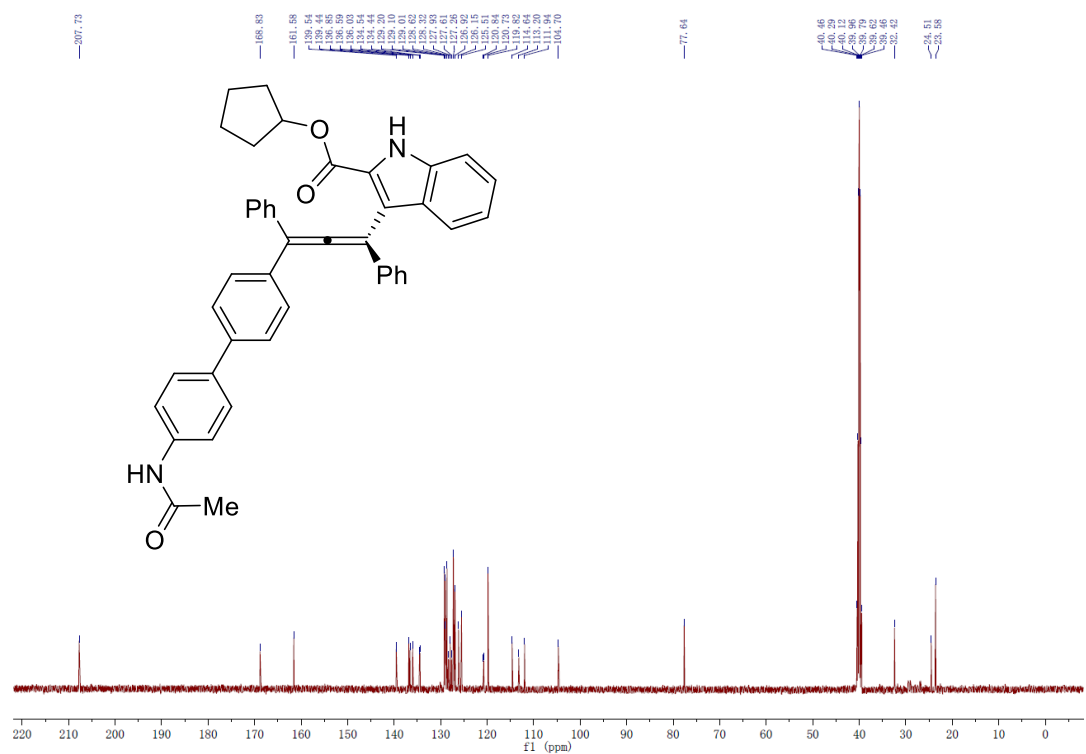
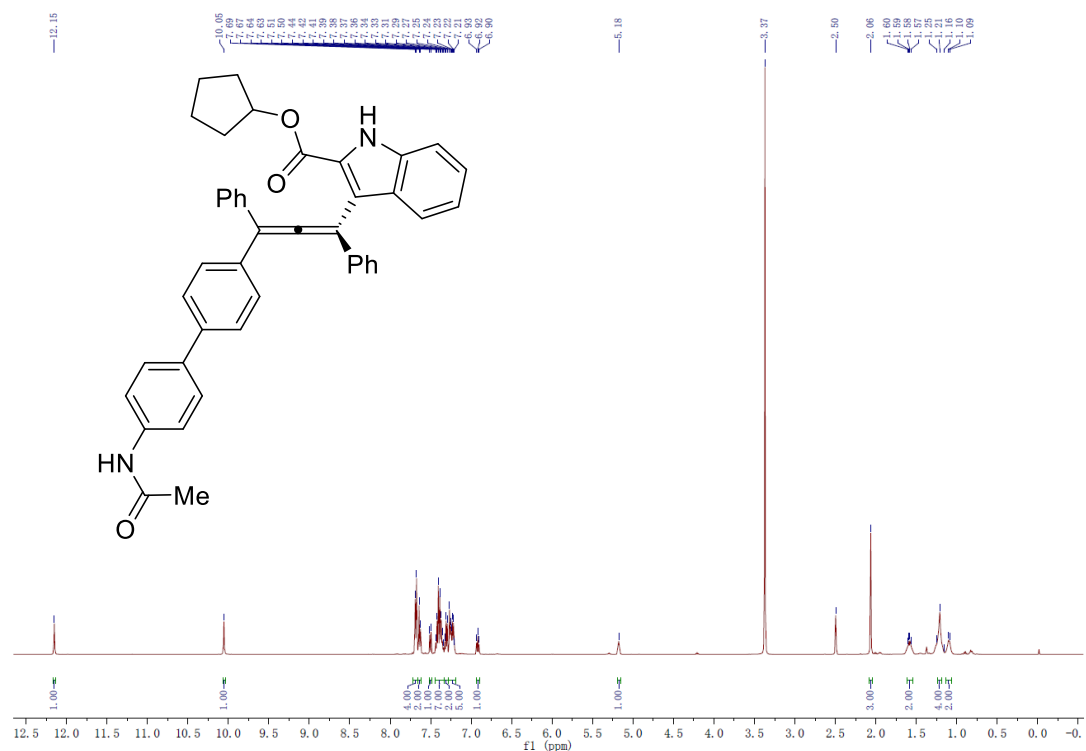
Cyclopentyl 3-(3-(4'-((3r,5r,7r)-adamantane-1-carboxamido)-[1,1'-biphenyl]-4-yl)-1,3-diphenylpropa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3aa)



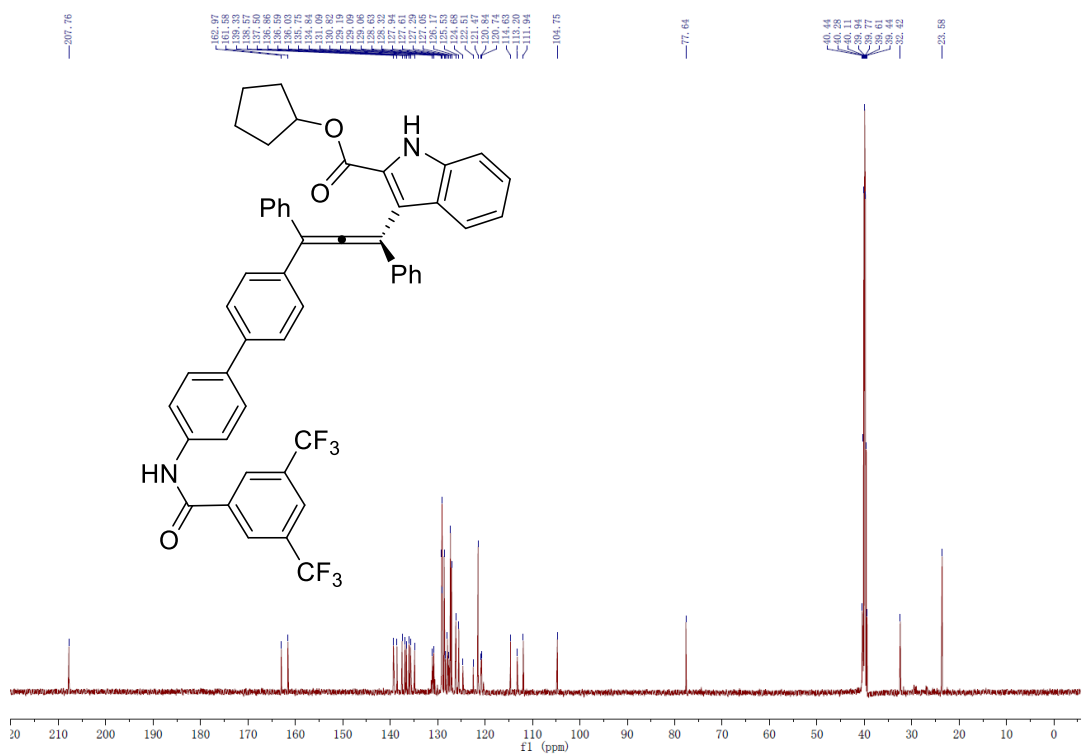
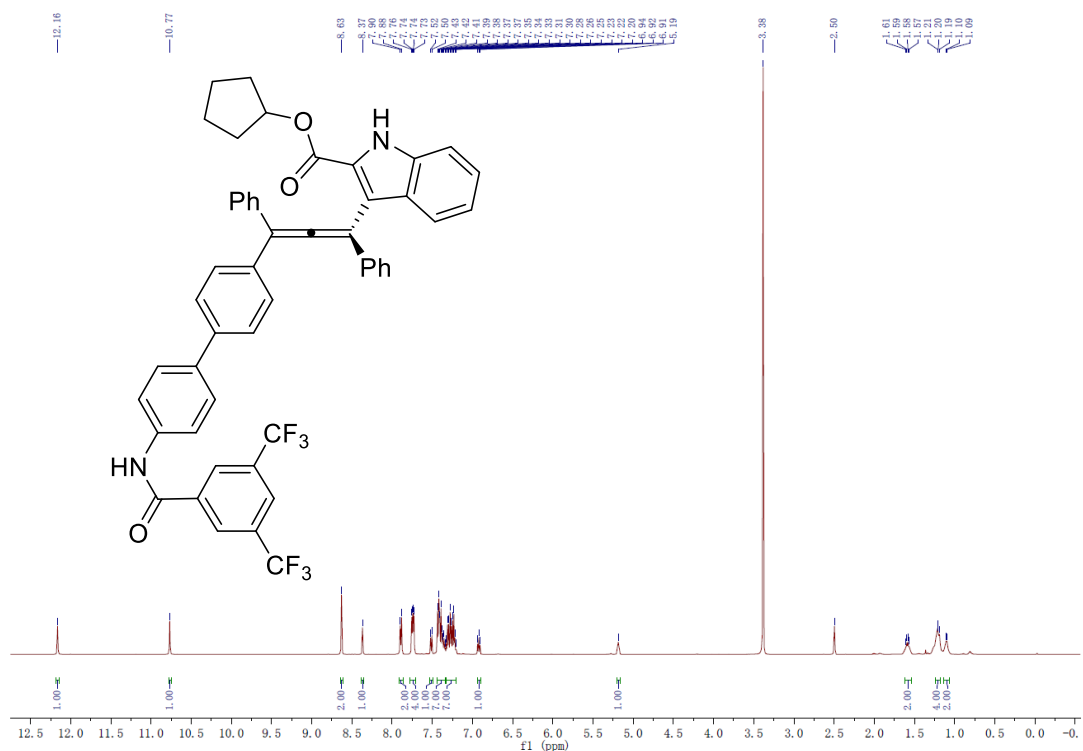
Cyclopentyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ba)



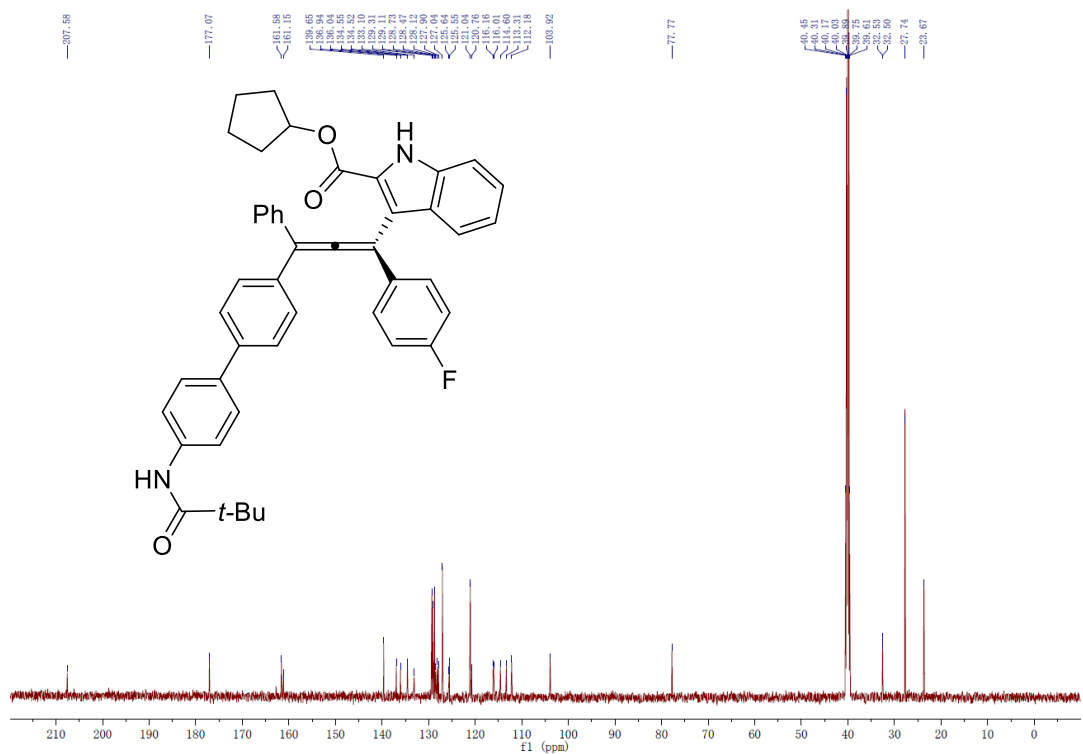
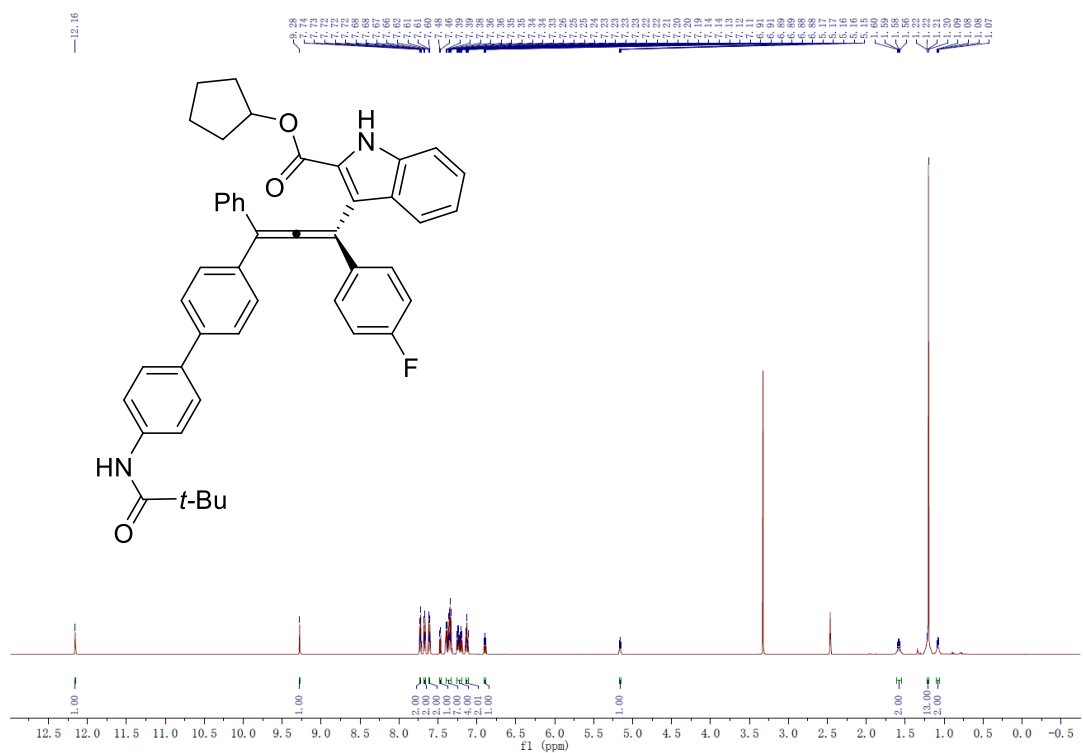
Cyclopentyl 3-(3-(4'-acetamido-[1,1'-biphenyl]-4-yl)-1,3-diphenylpropa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ca)



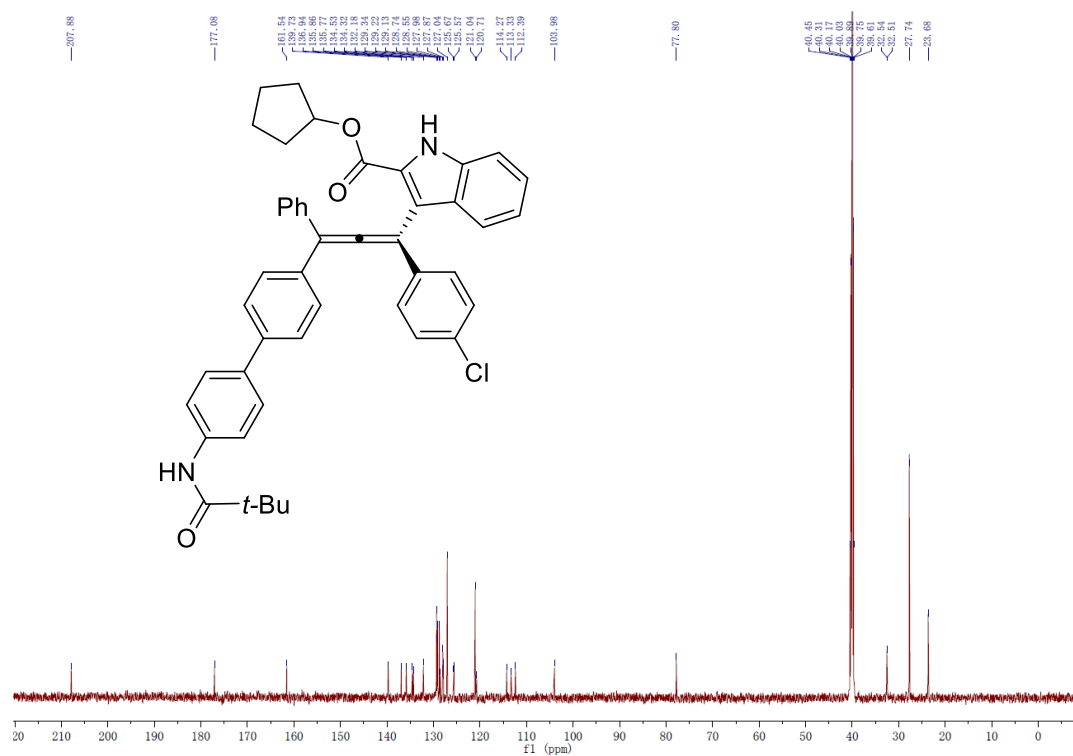
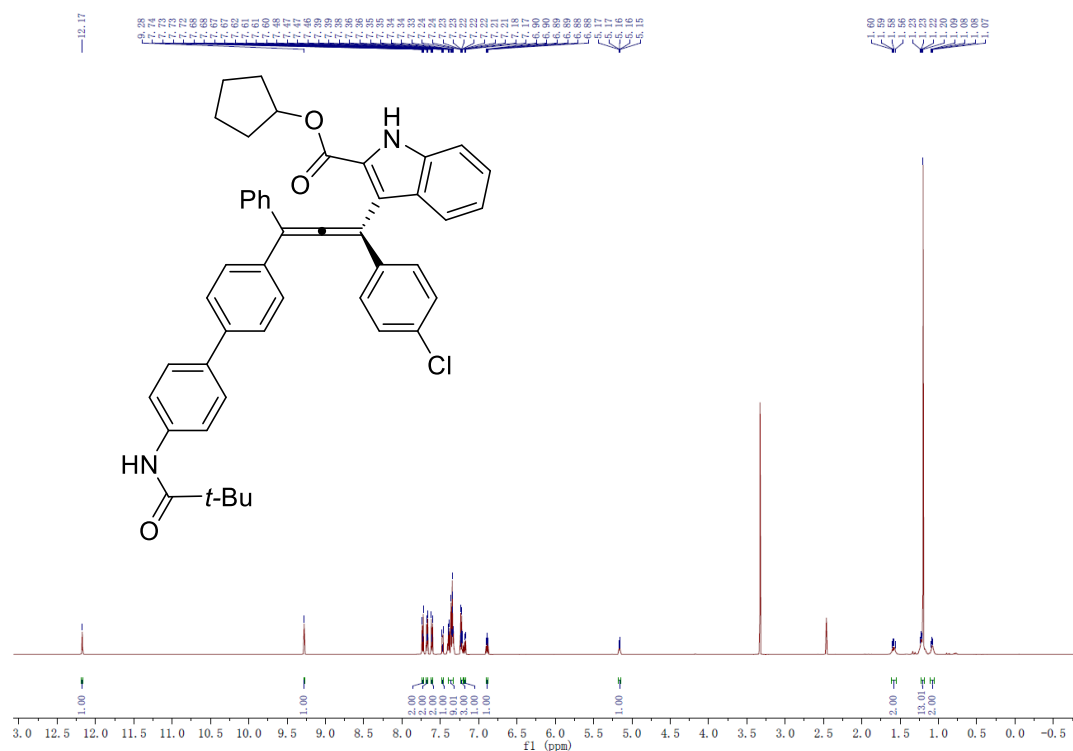
Cyclopentyl 3-(3-(4'-(3,5-bis(trifluoromethyl)benzamido)-[1,1'-biphenyl]-4-yl)-1,3-diphenylpropa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3da)



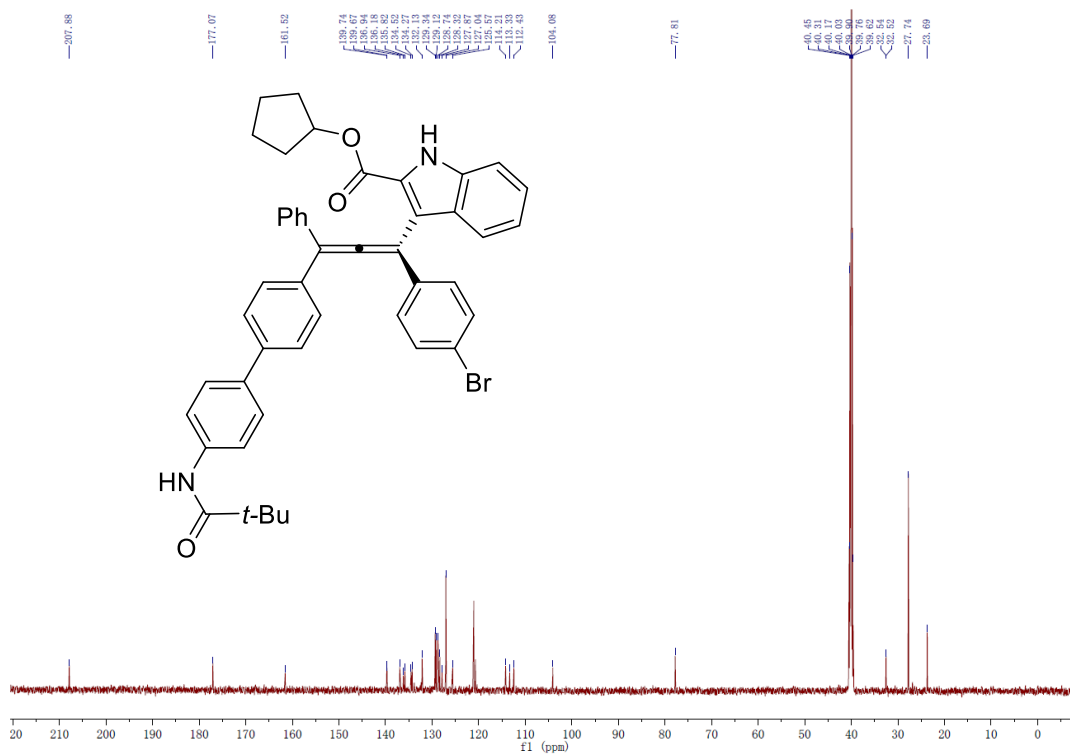
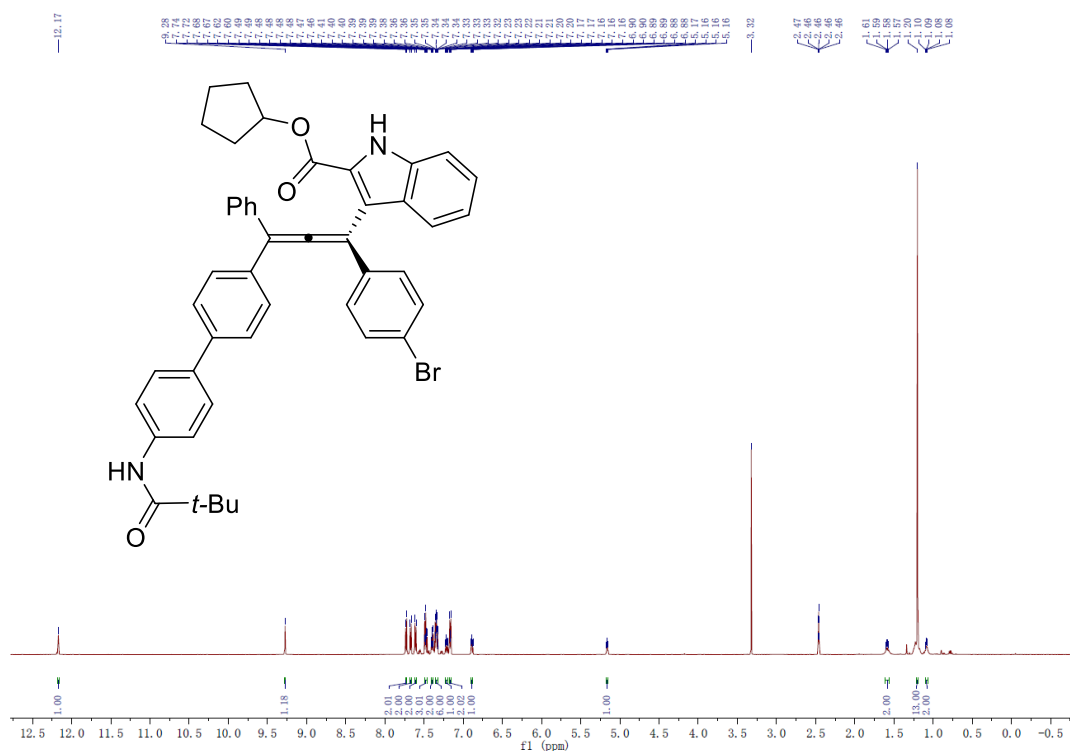
Cyclopentyl 3-(1-(4-fluorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ea)



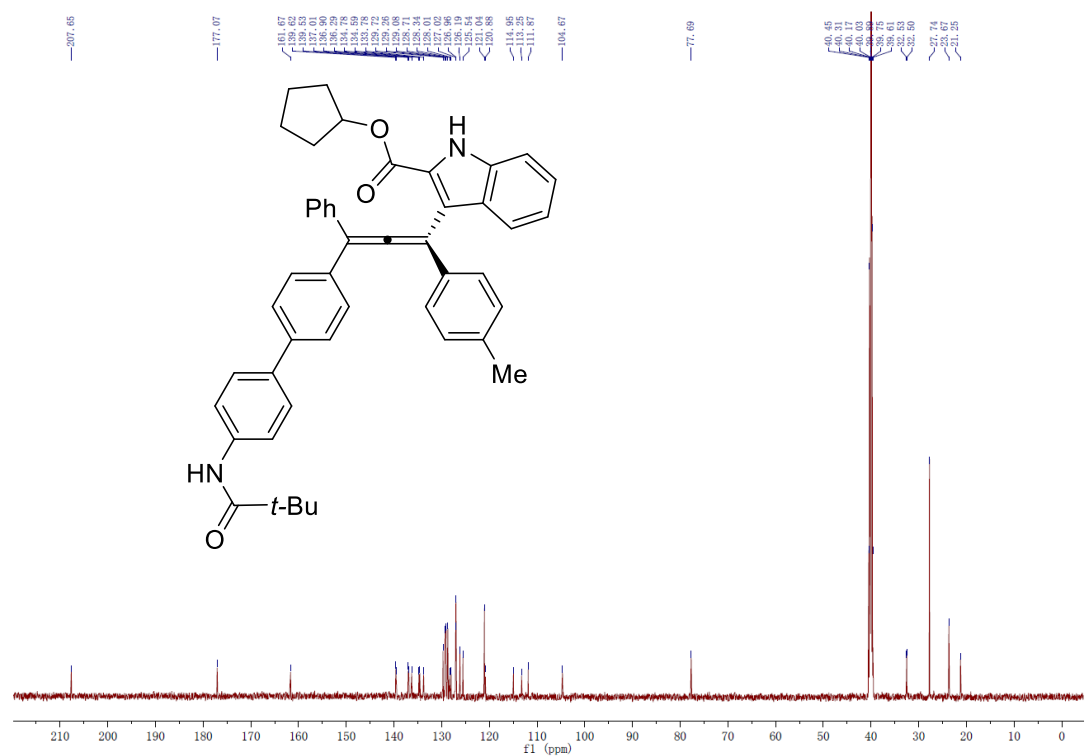
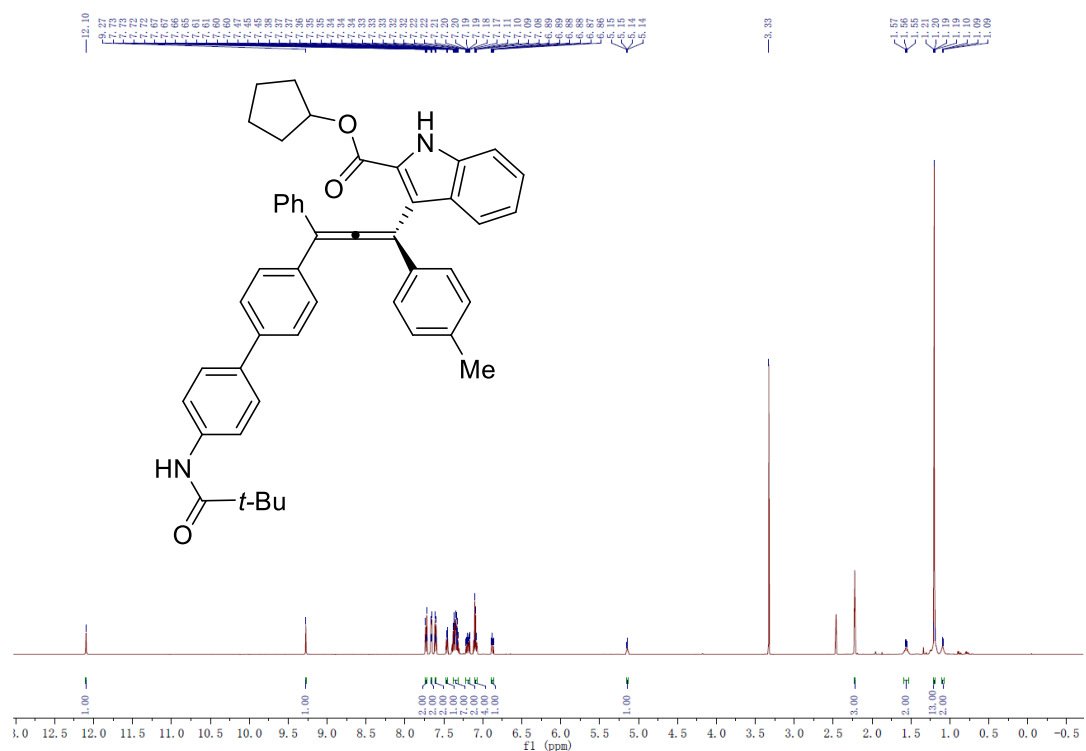
Cyclopentyl 3-(1-(4-chlorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3fa)



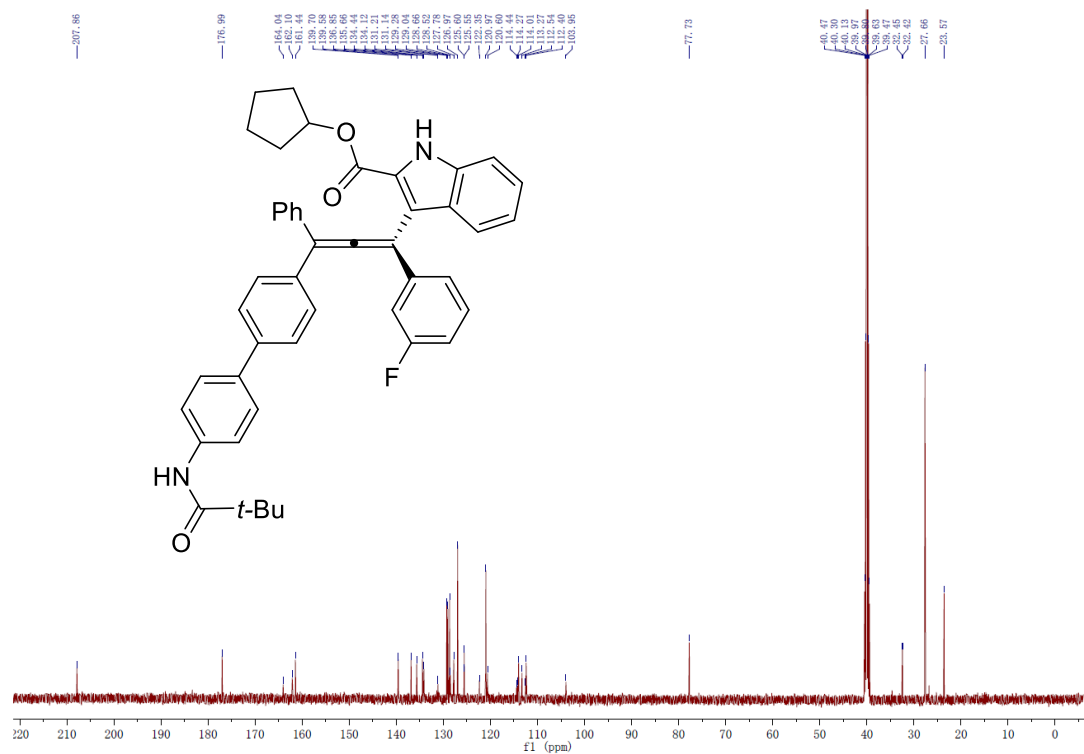
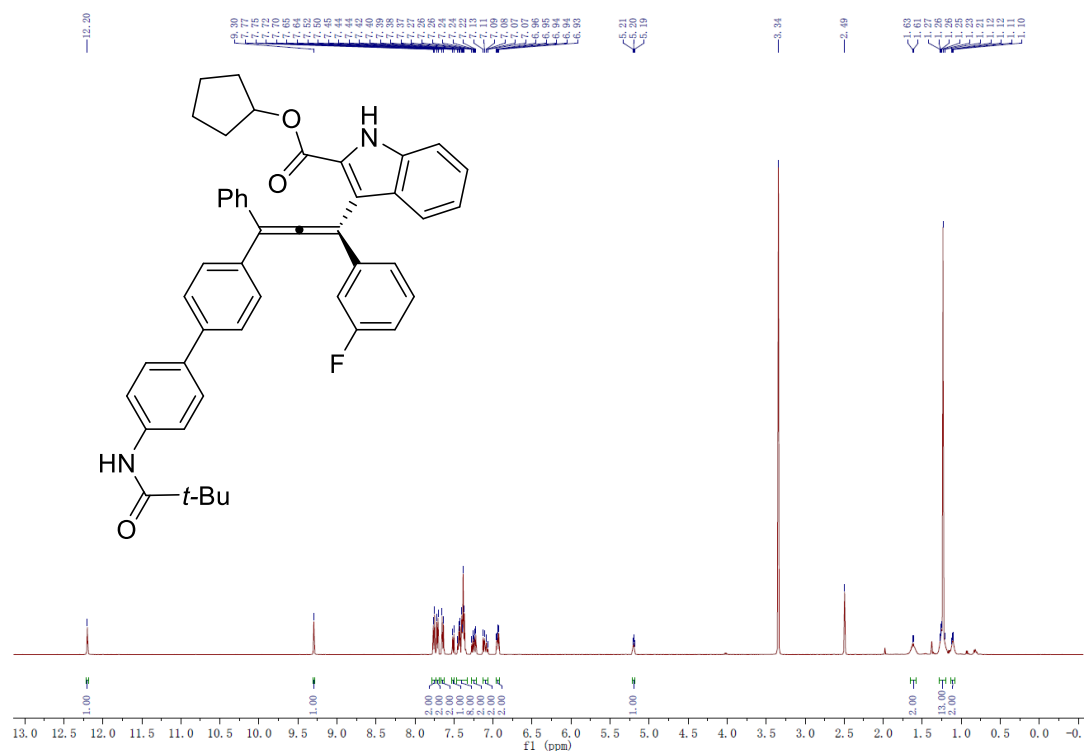
Cyclopentyl 3-(1-(4-chlorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ga)



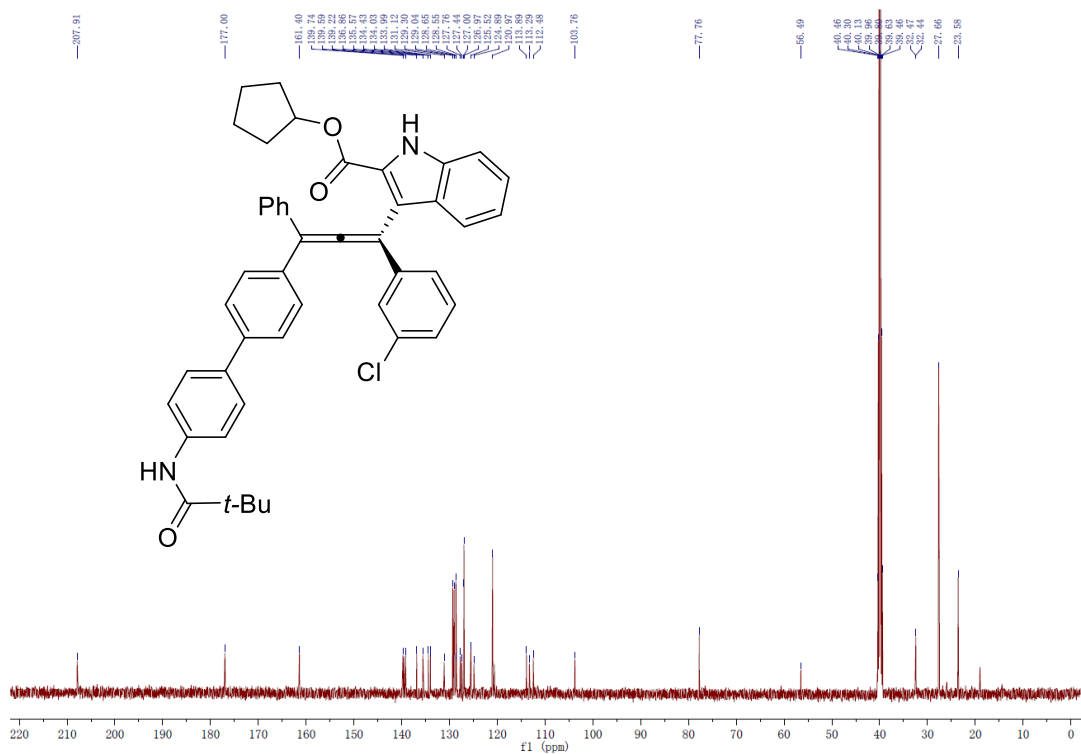
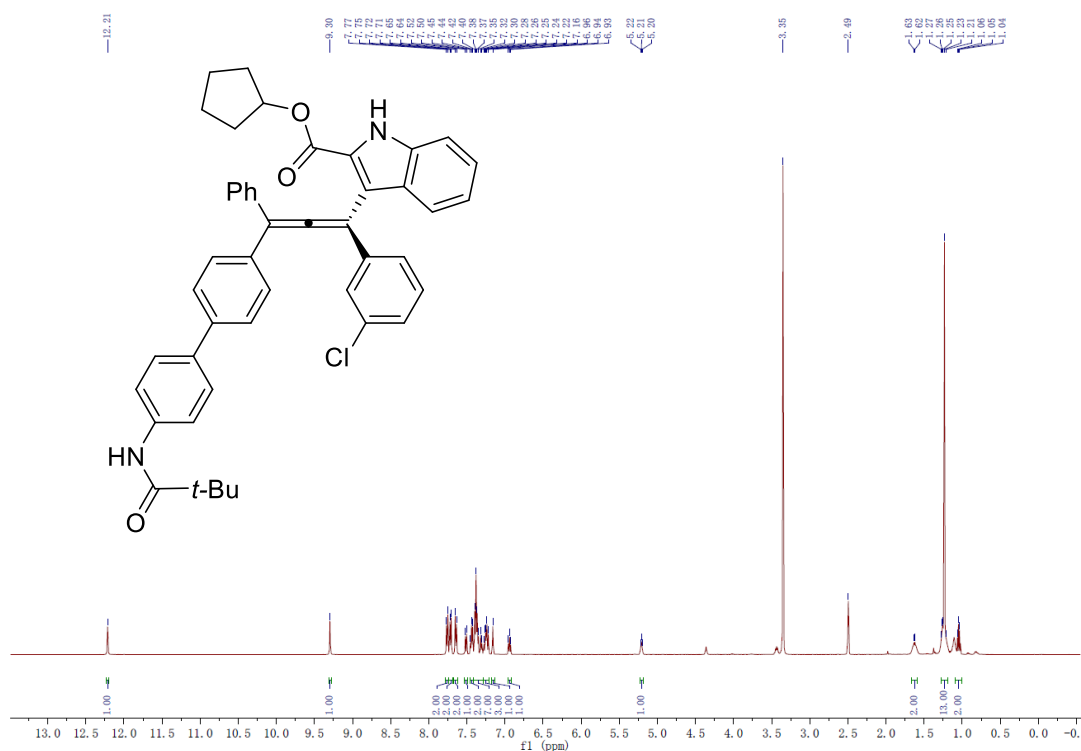
Cyclopentyl 3-(3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)-1-(*p*-tolyl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ha)



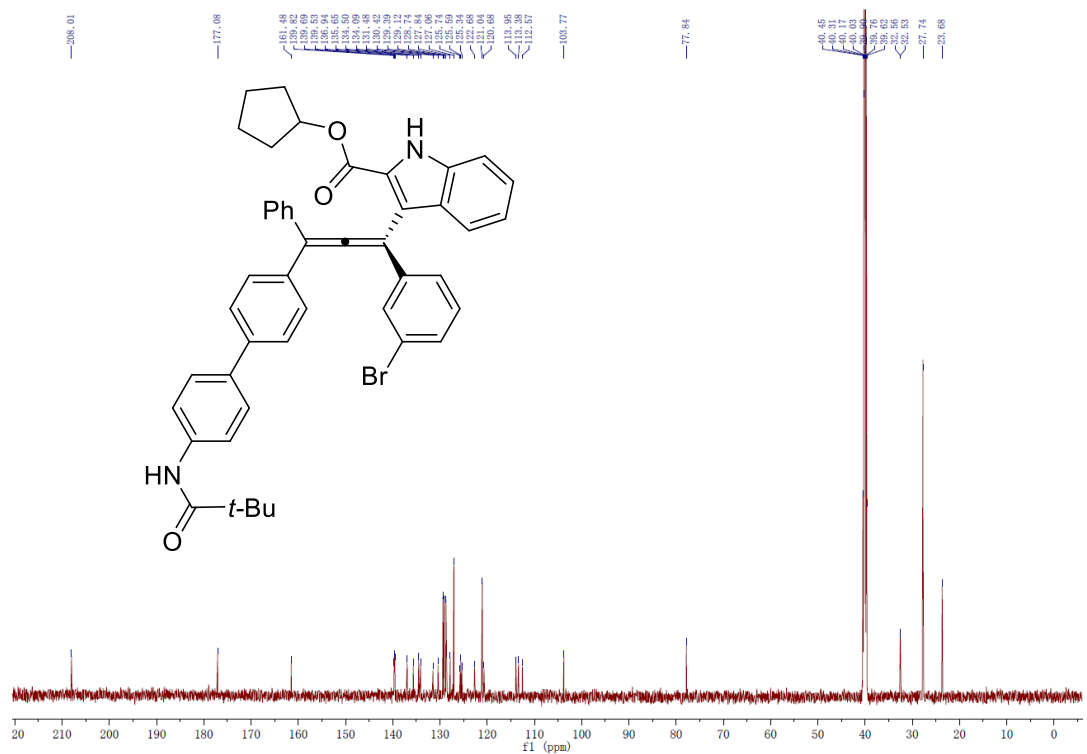
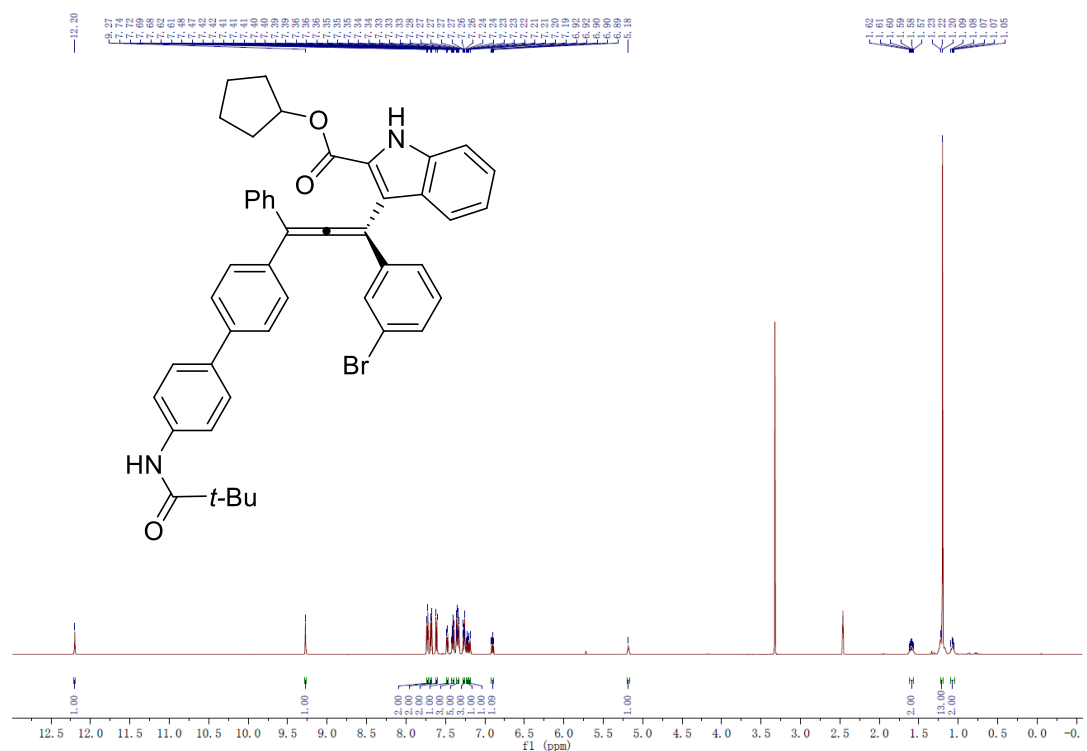
Cyclopentyl 3-(1-(4-fluorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ia)



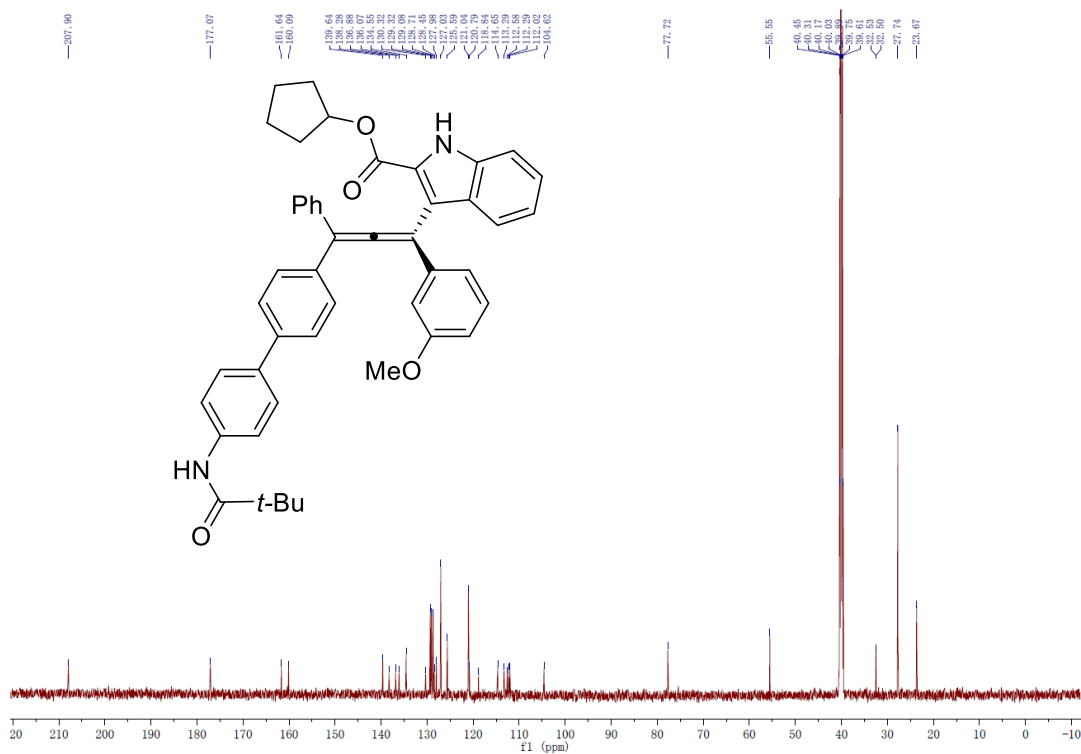
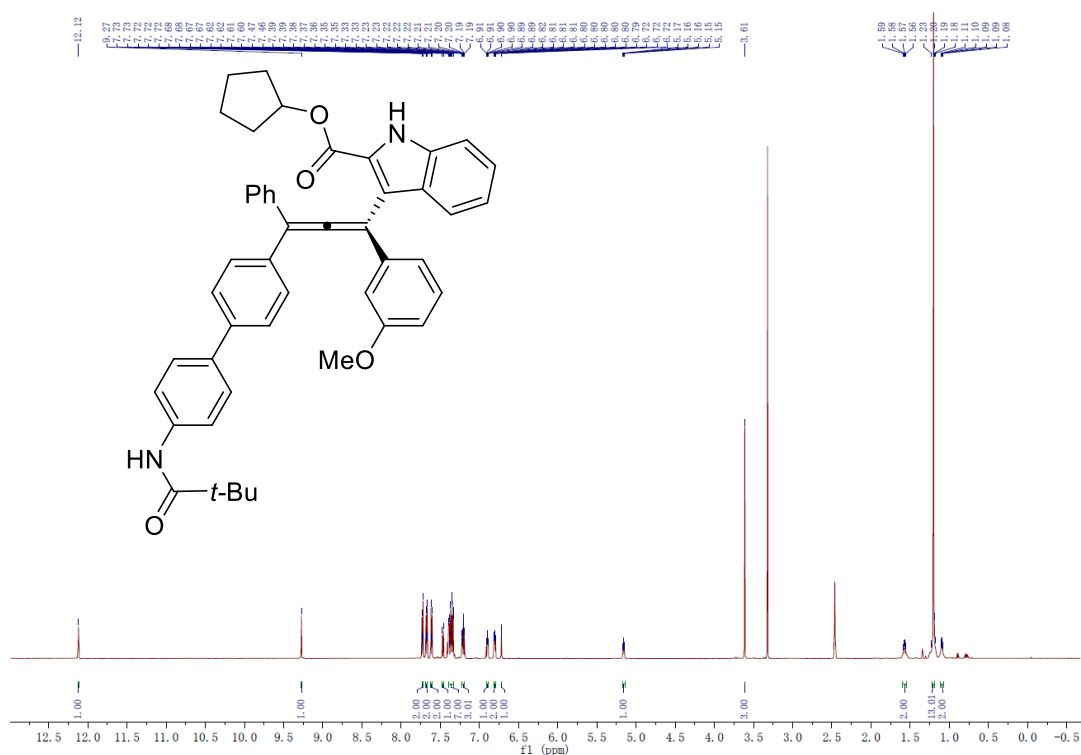
Cyclopentyl 3-(1-(3-chlorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ja)



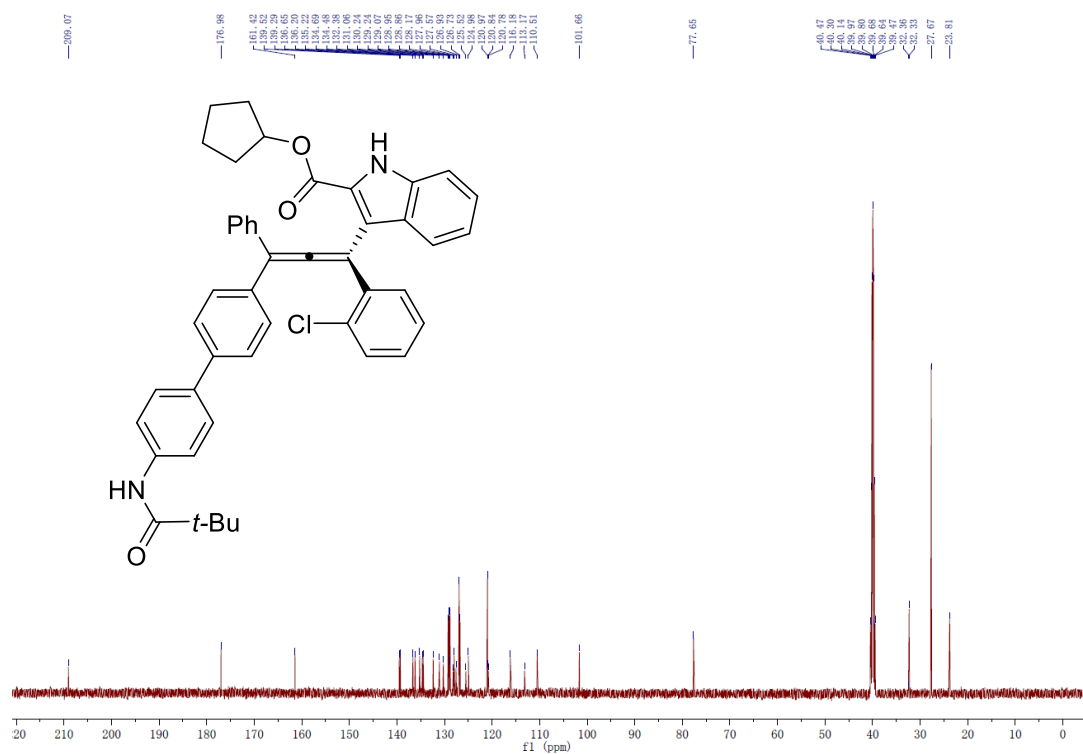
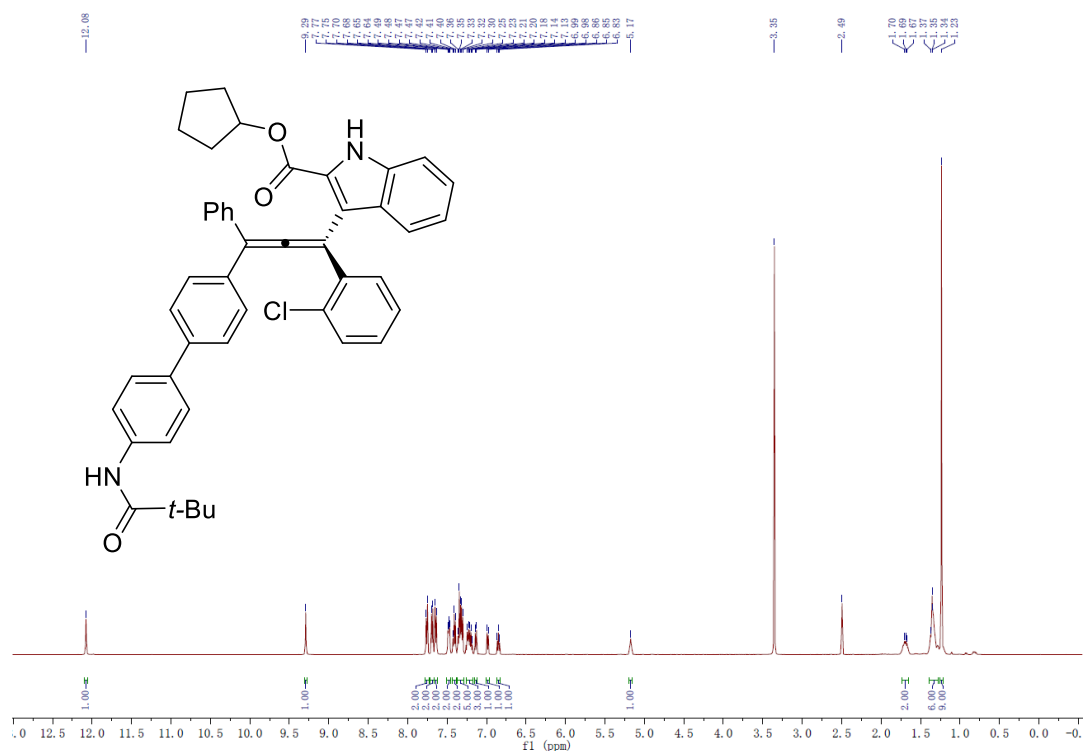
Cyclopentyl 3-(1-(3-bromophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ka)



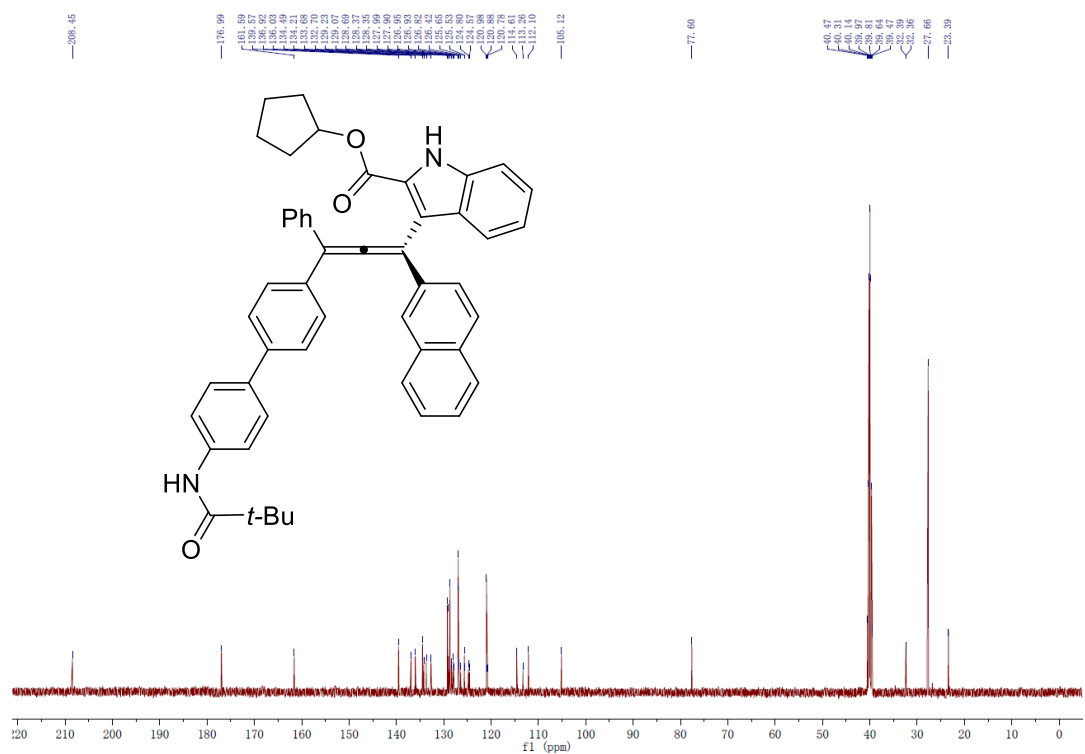
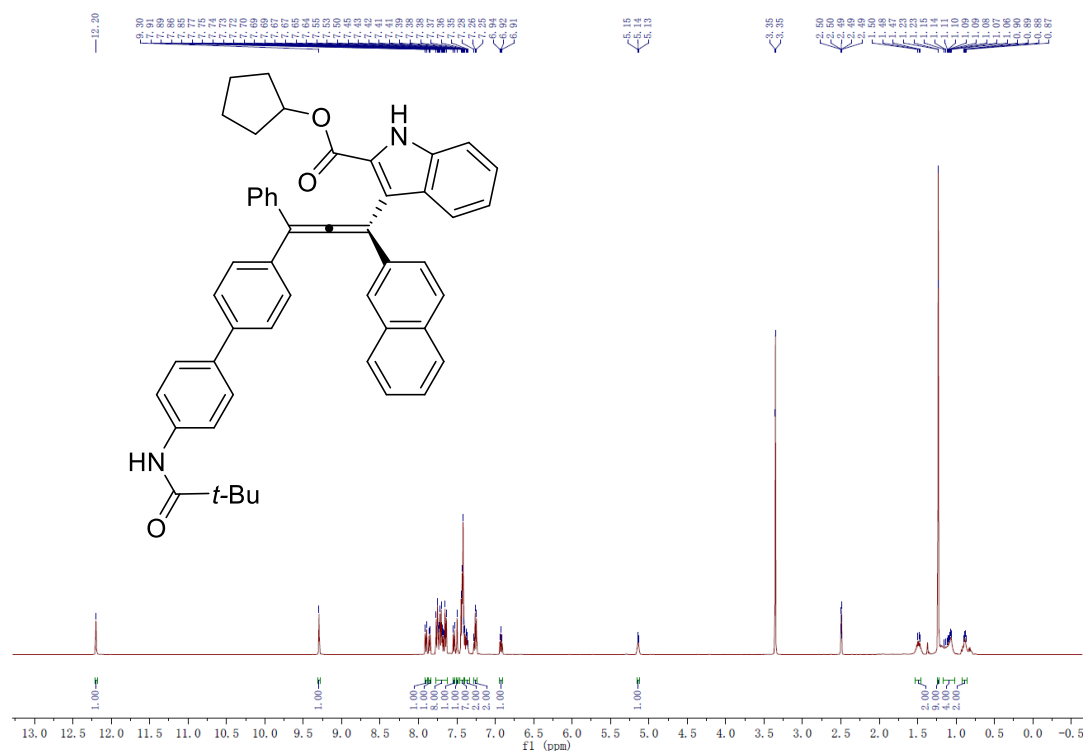
Cyclopentyl 3-(1-(3-methoxyphenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3la)



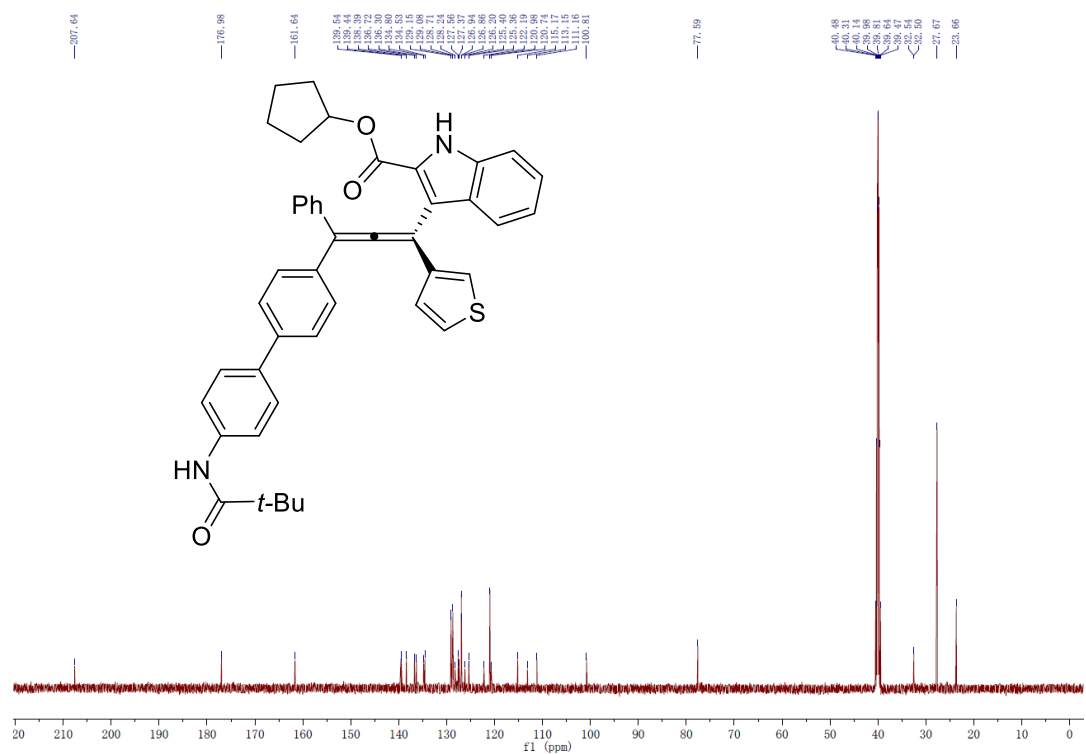
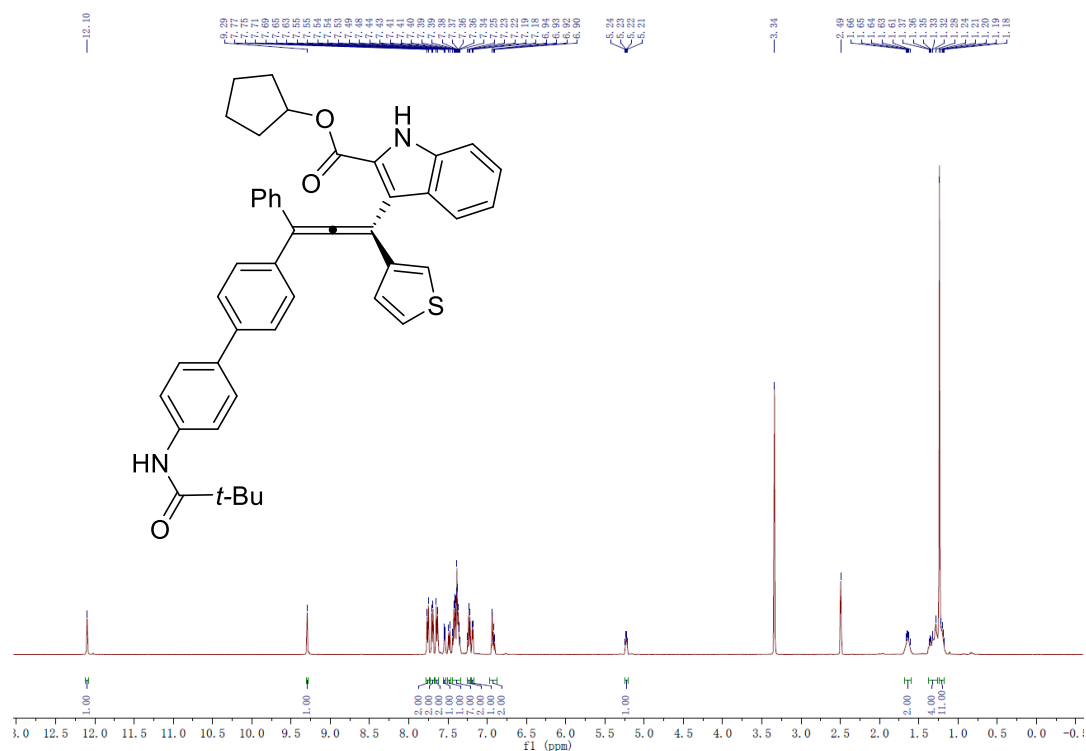
Cyclopentyl 3-(1-(2-chlorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ma)



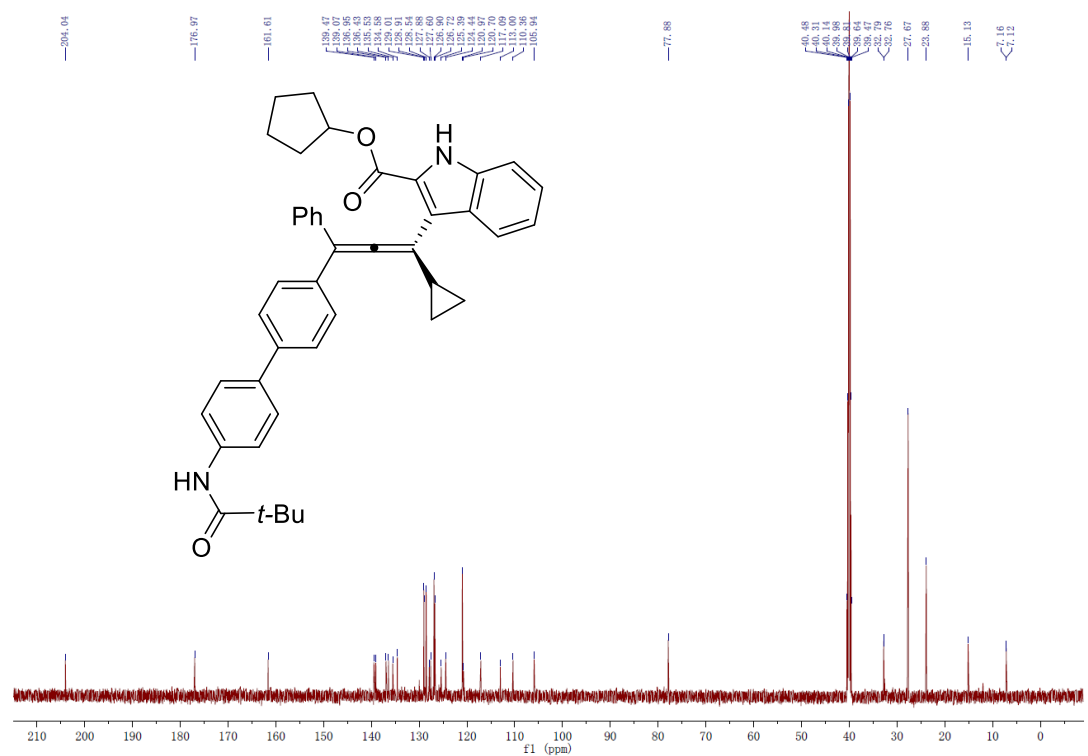
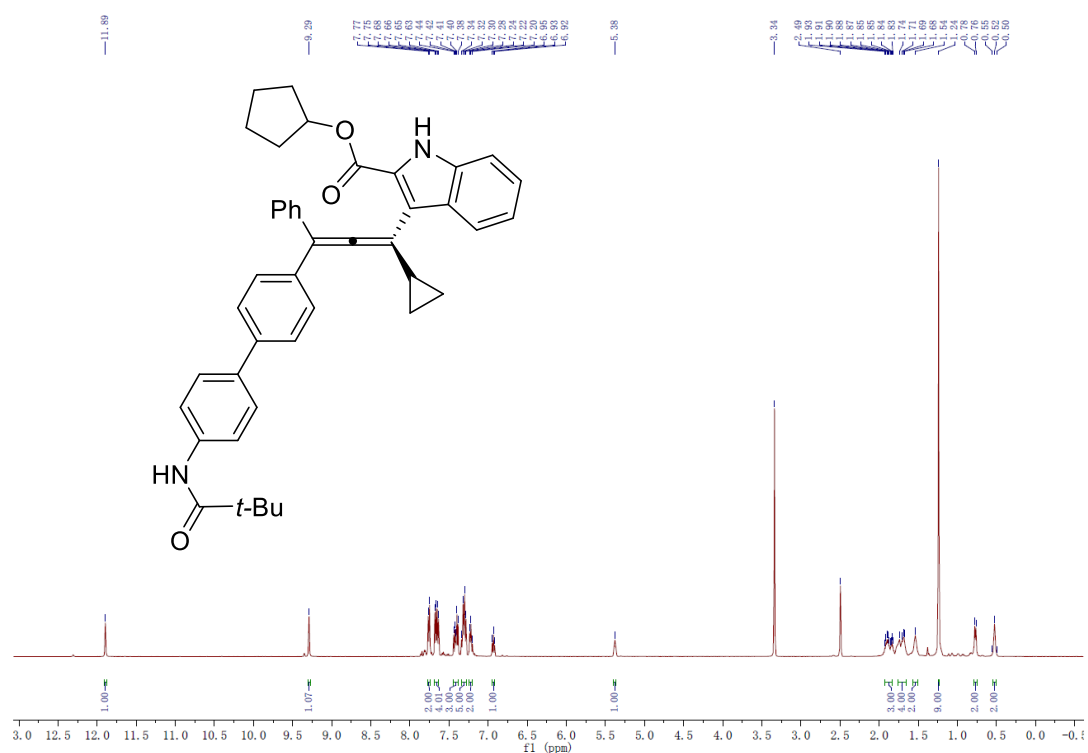
Cyclopentyl 3-(1-(naphthalen-2-yl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3na)



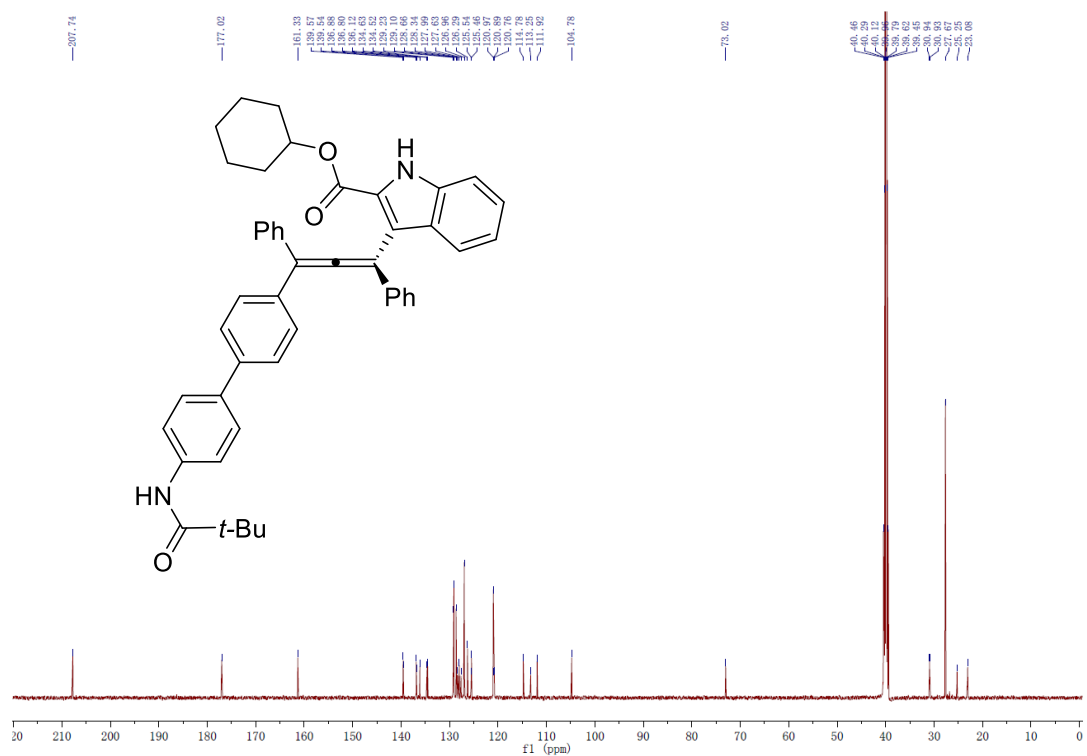
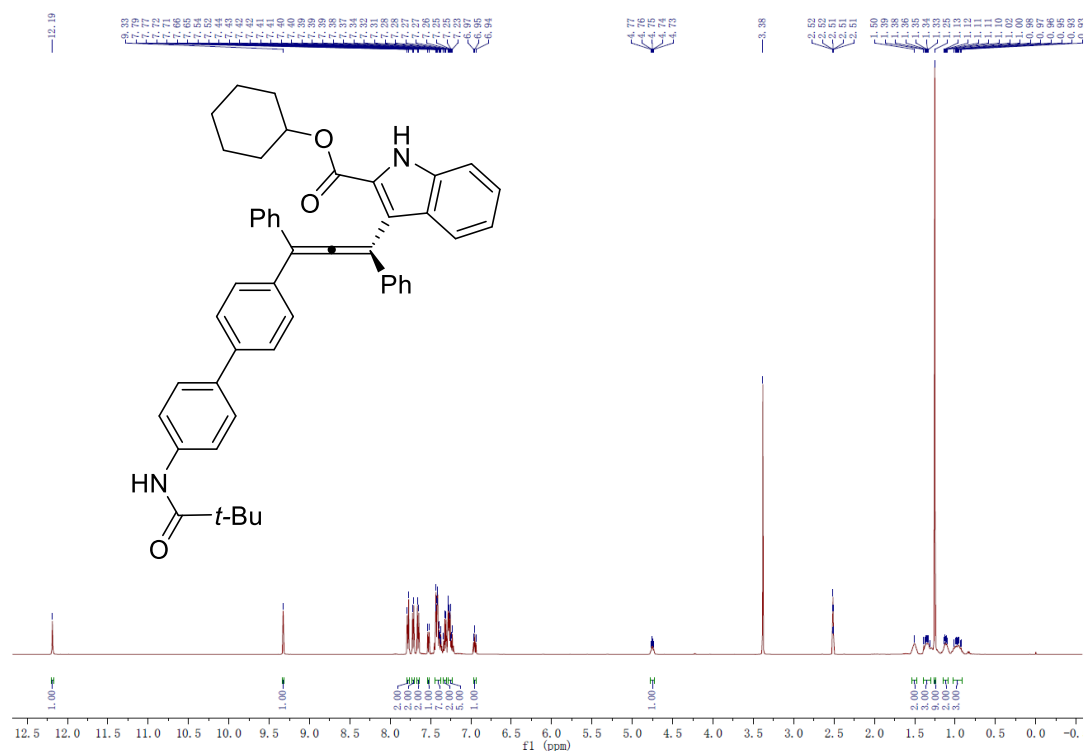
Cyclopentyl 3-(3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)-1-(thiophen-3-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (30a)



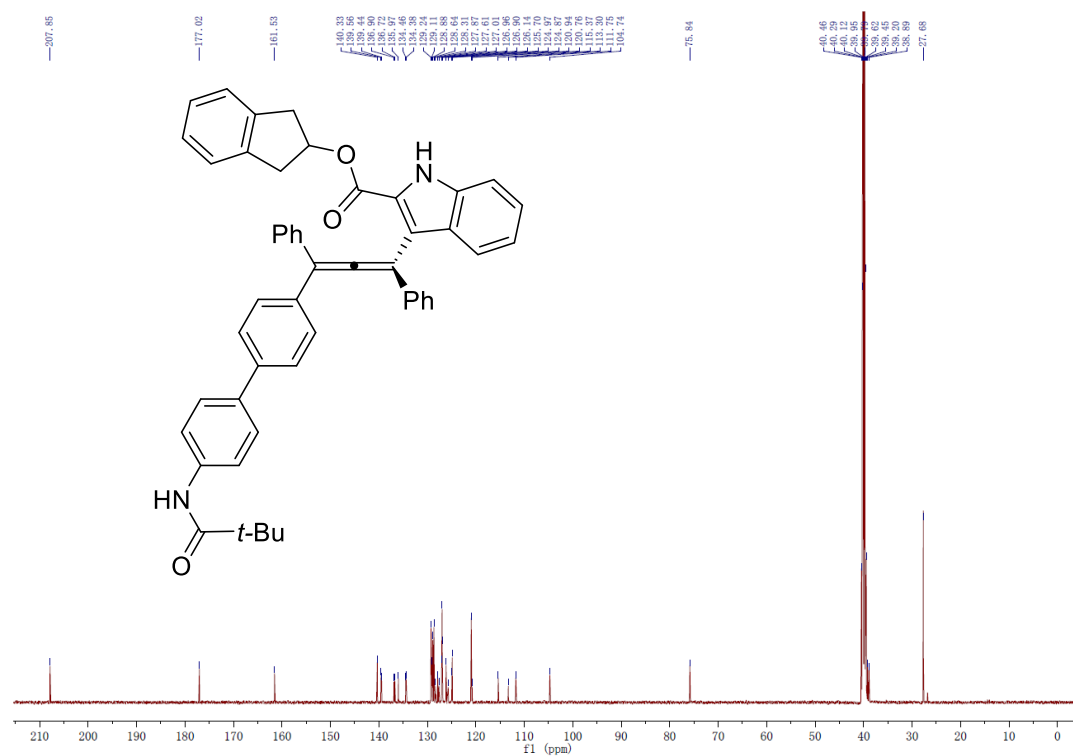
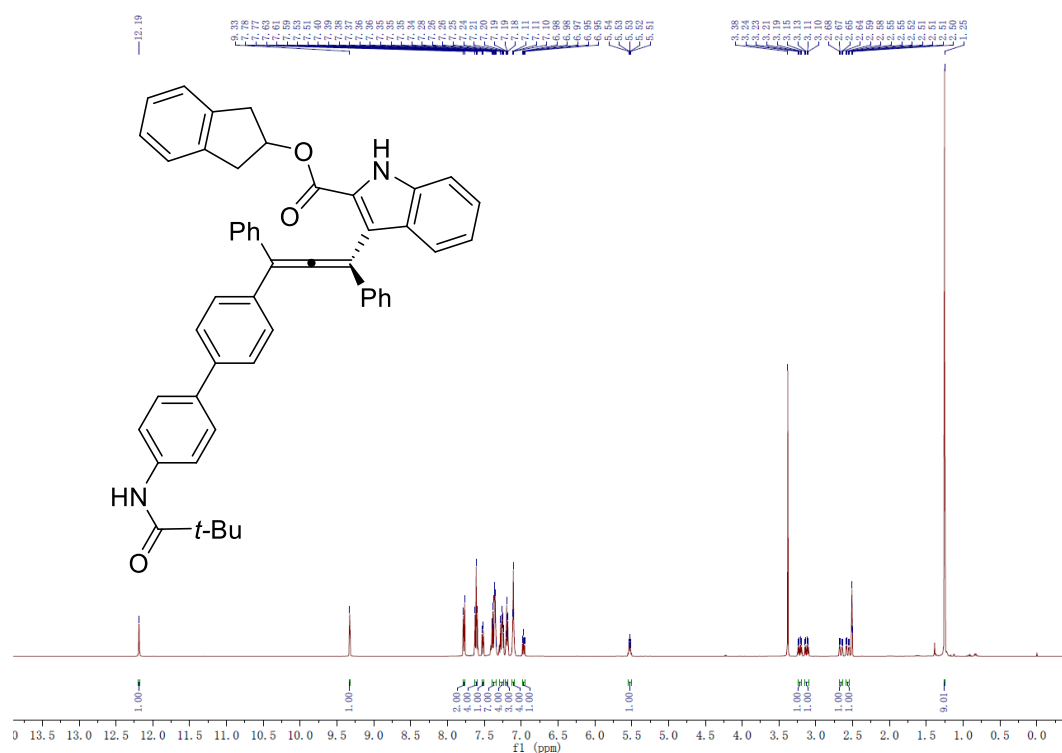
Cyclopentyl 3-(1-cyclopropyl-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3pa)



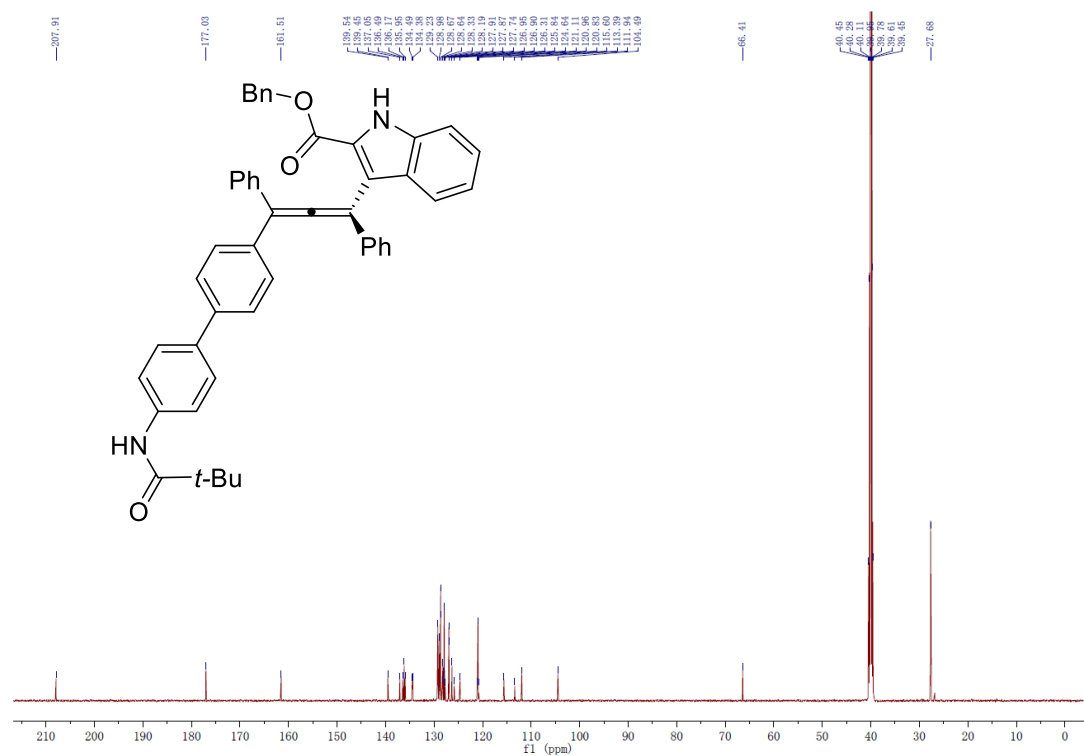
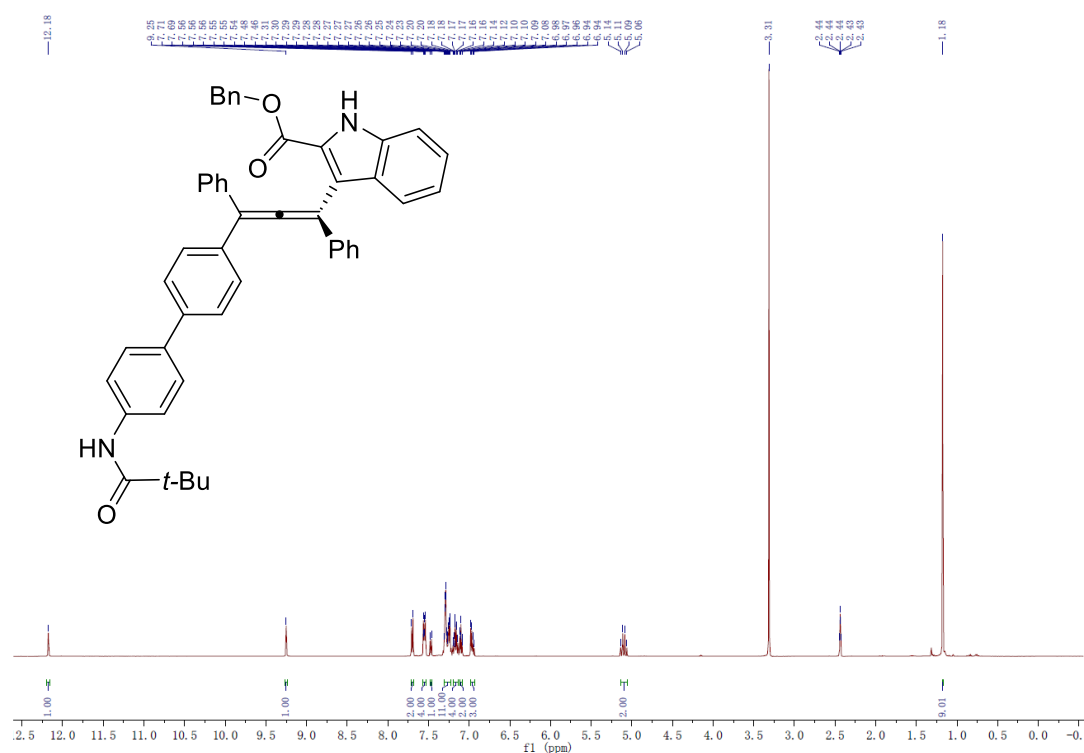
Cyclohexyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3bb)



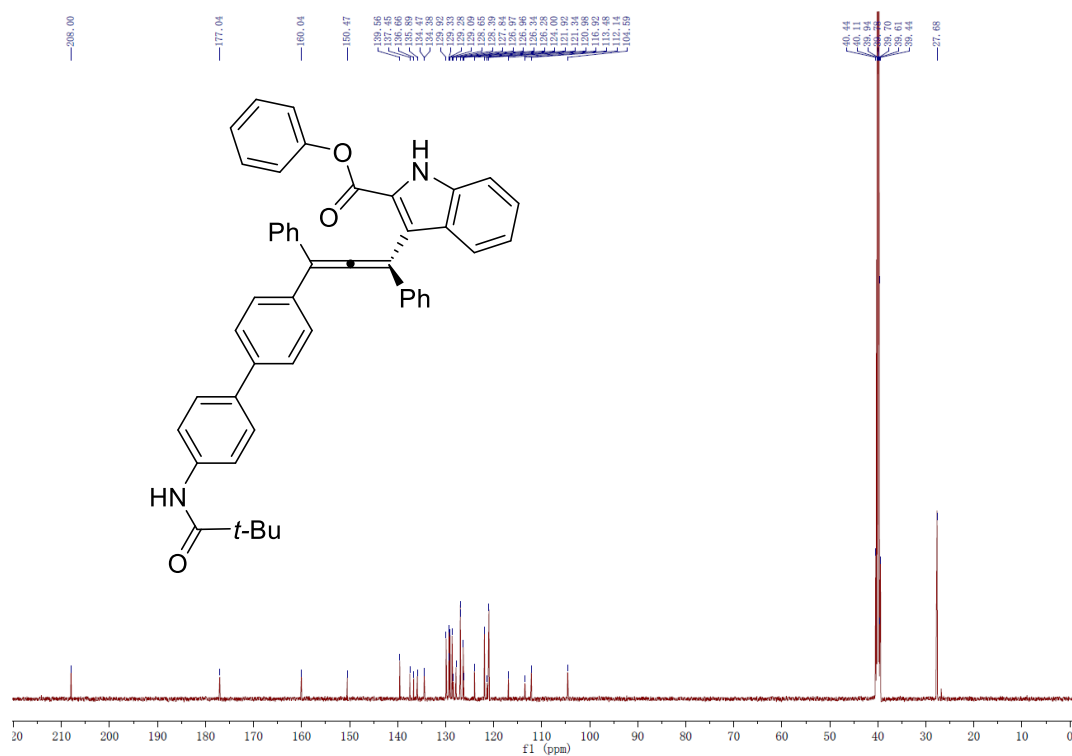
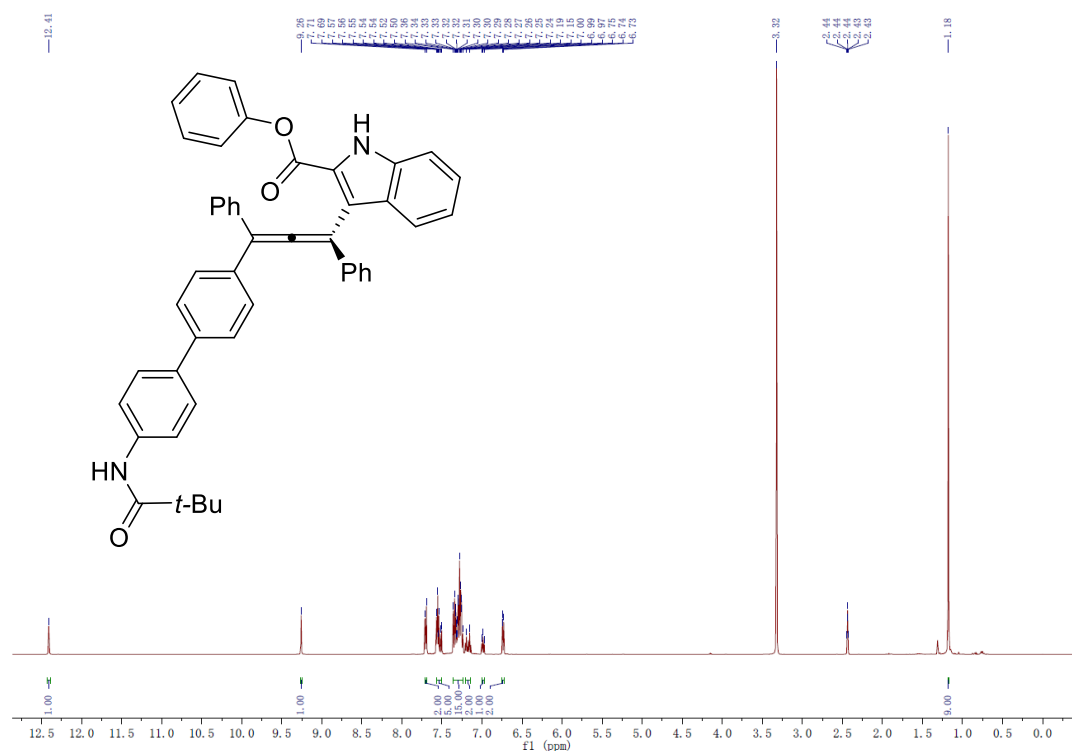
2,3-Dihydro-1*H*-inden-2-yl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3bc)



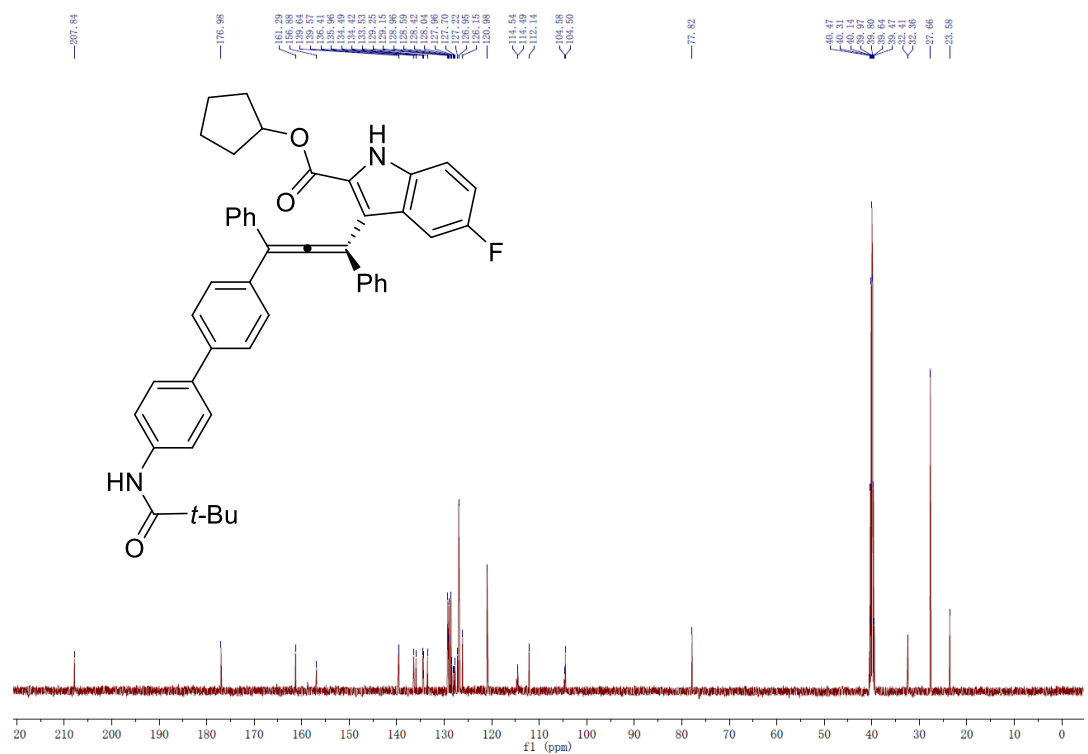
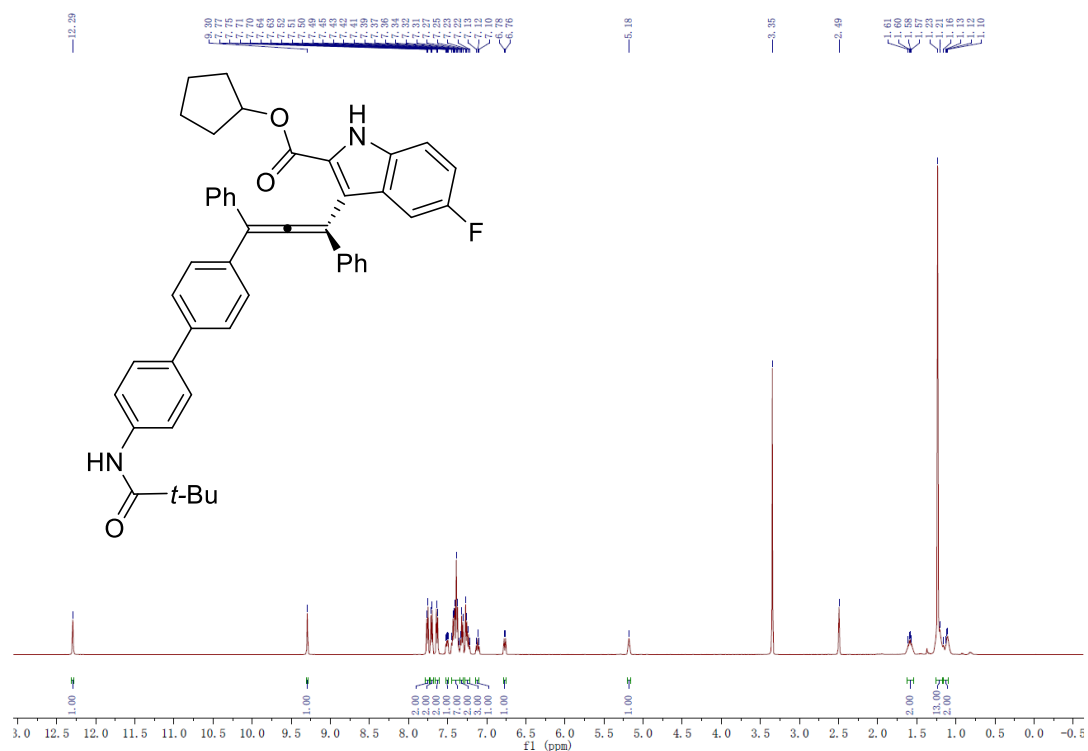
Benzyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3bd)



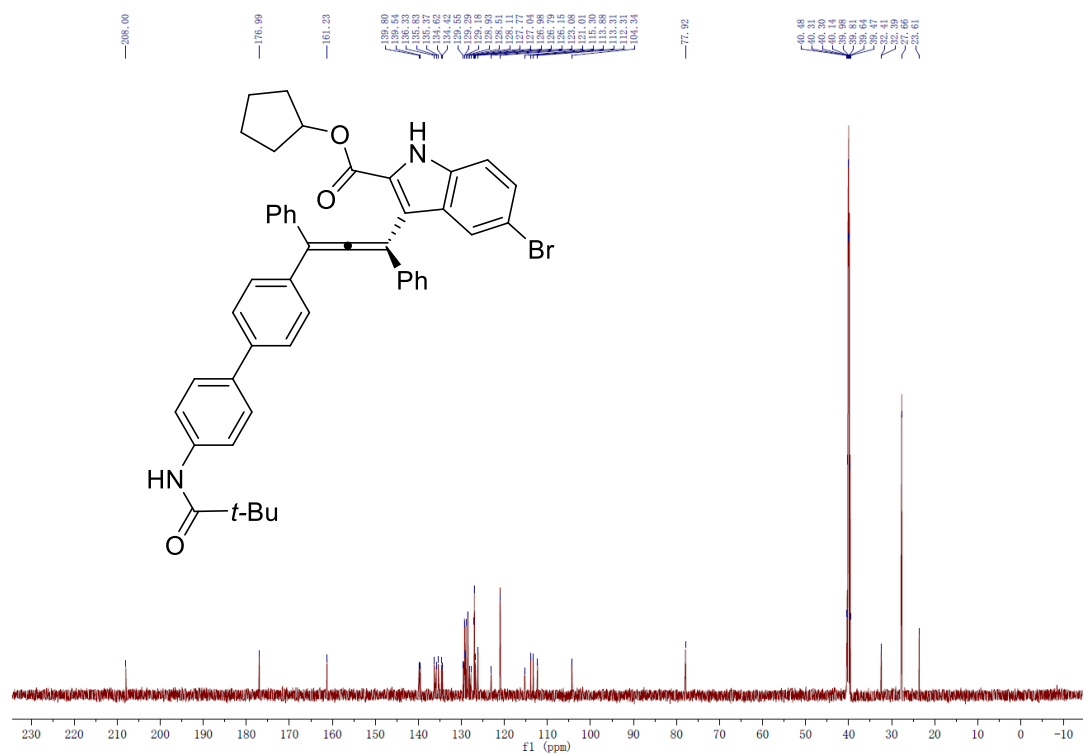
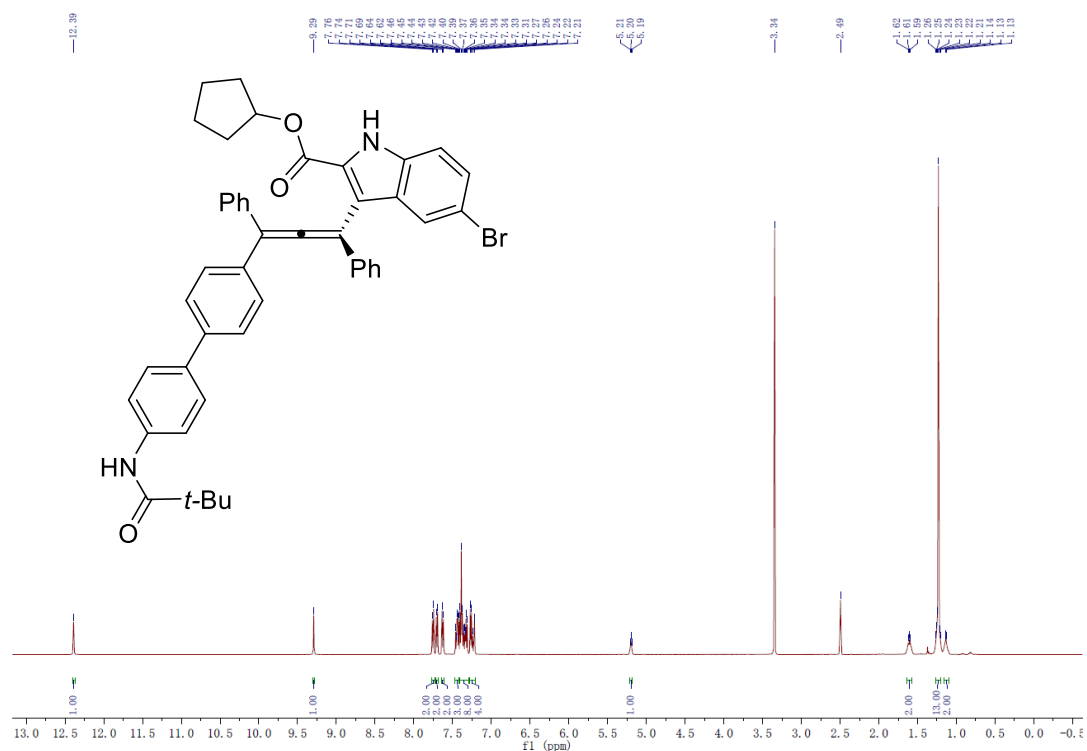
Phenyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1H-indole-2-carboxylate (3be)



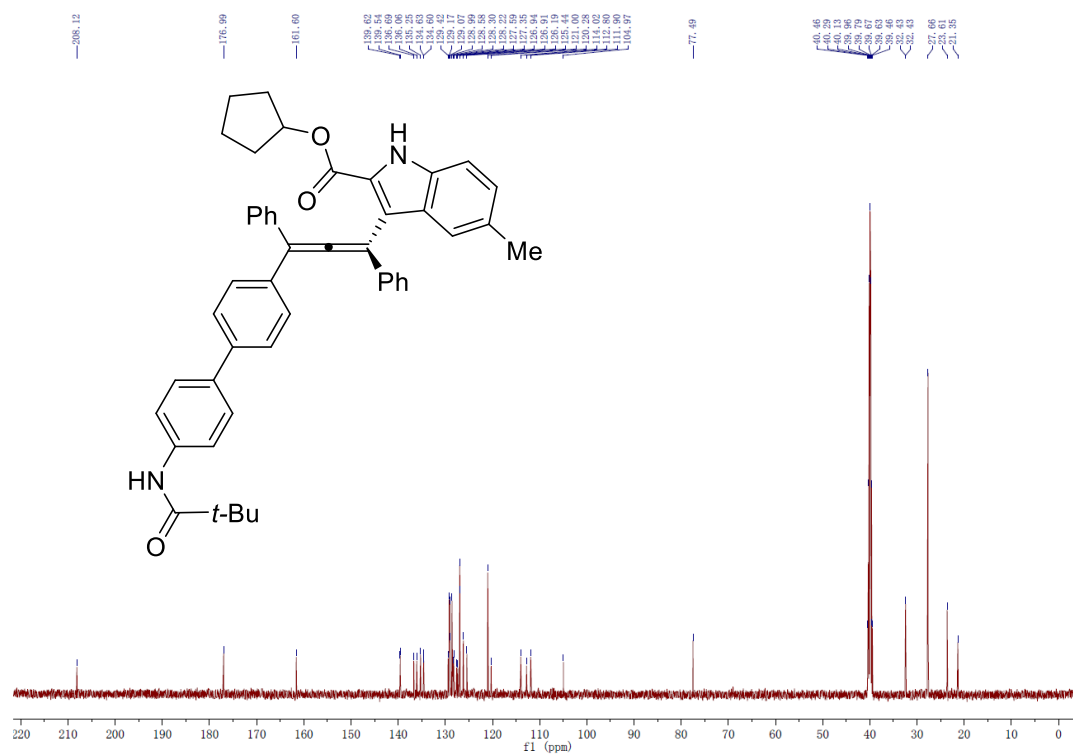
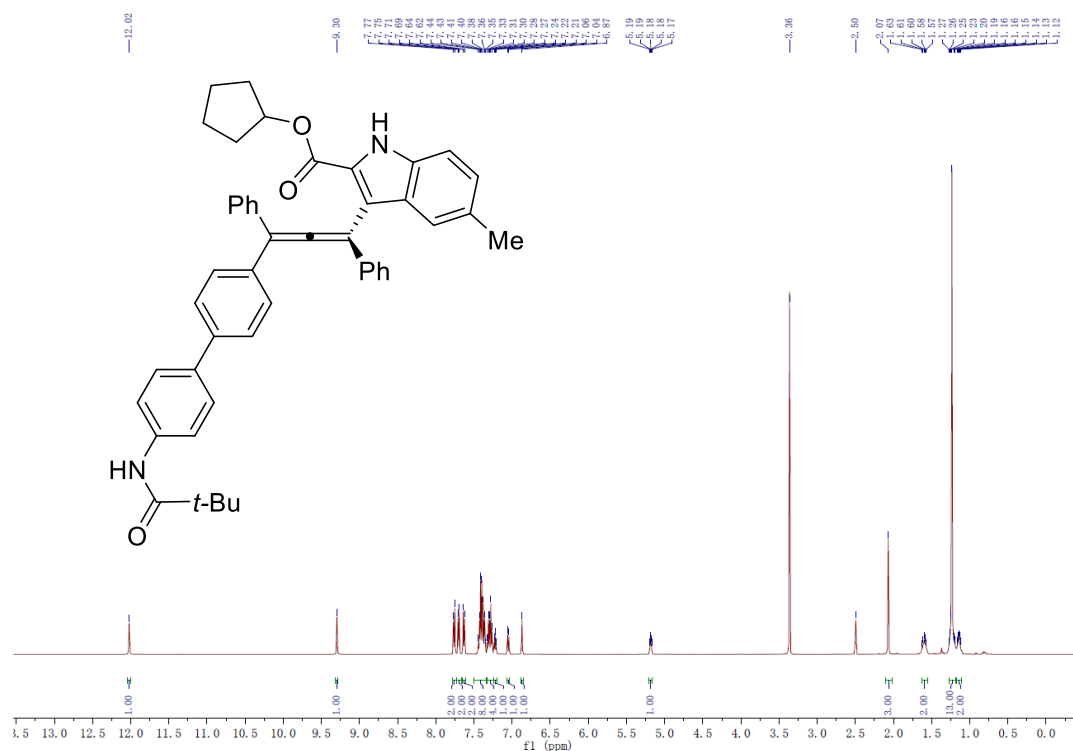
Cyclopentyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-5-fluoro-1*H*-indole-2-carboxylate (3bf)



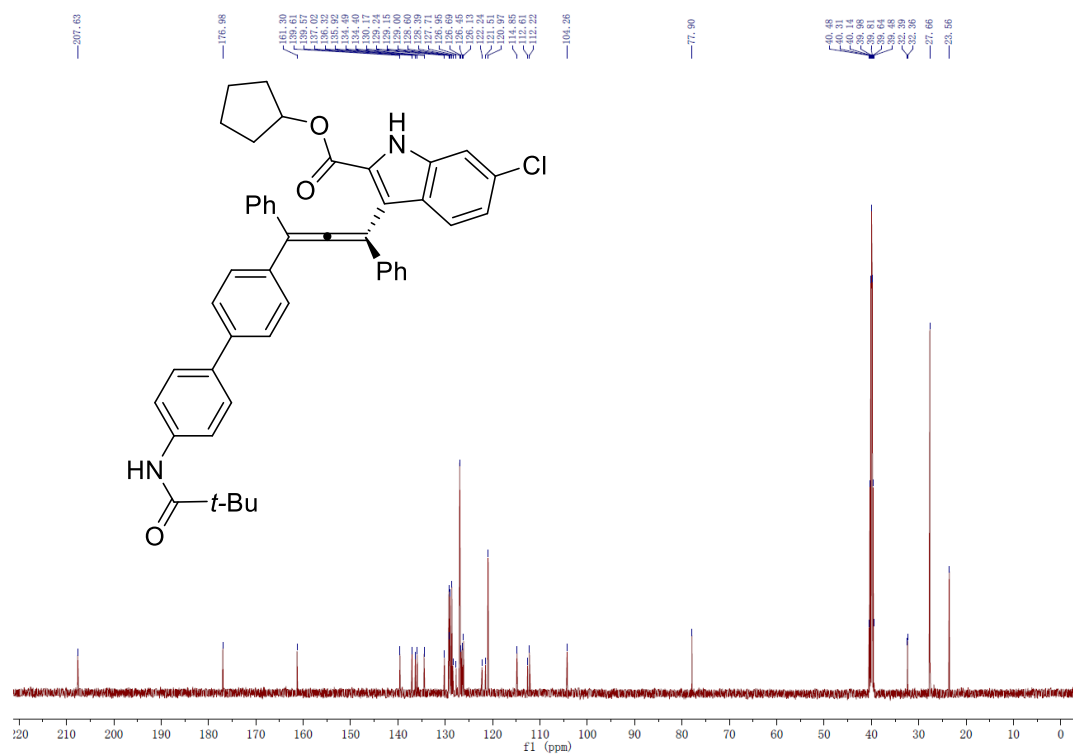
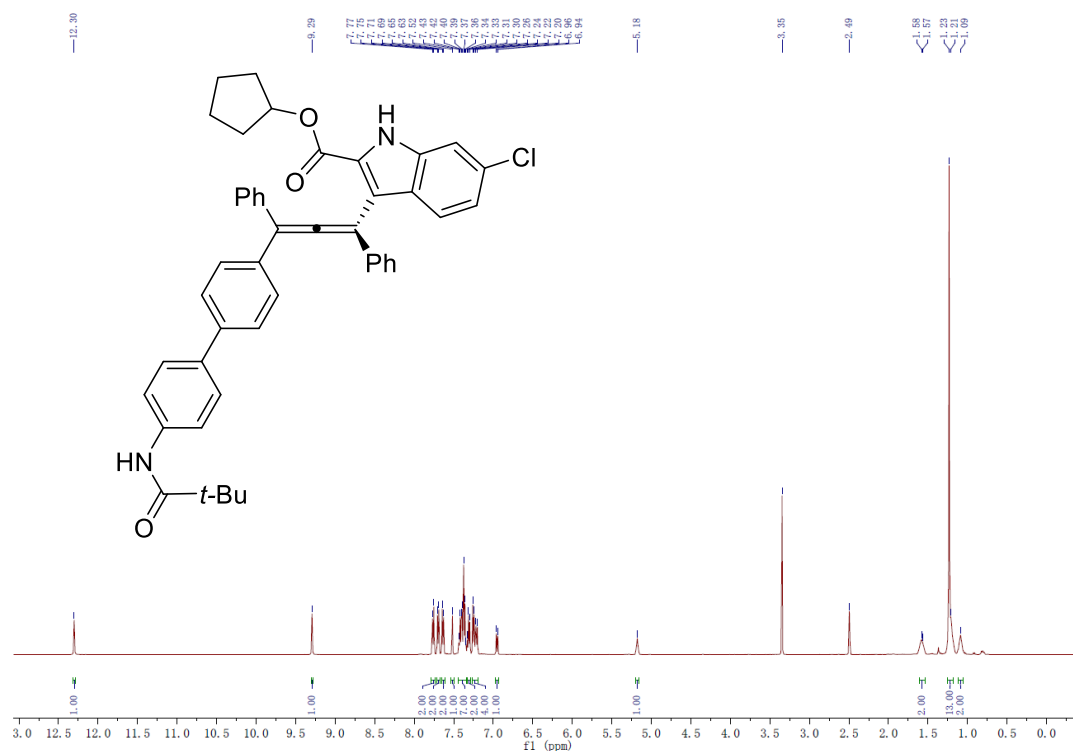
Cyclopentyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-5-methyl-1*H*-indole-2-carboxylate (3bg)



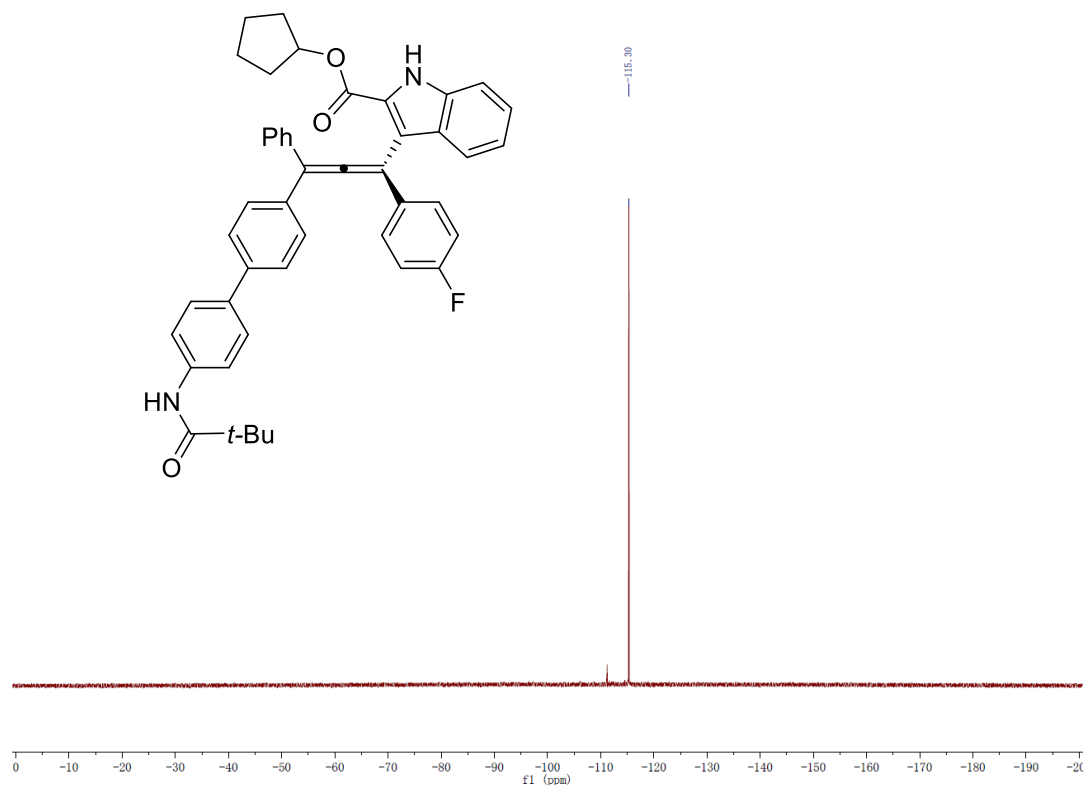
Cyclopentyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-5-methyl-1*H*-indole-2-carboxylate (3bh)



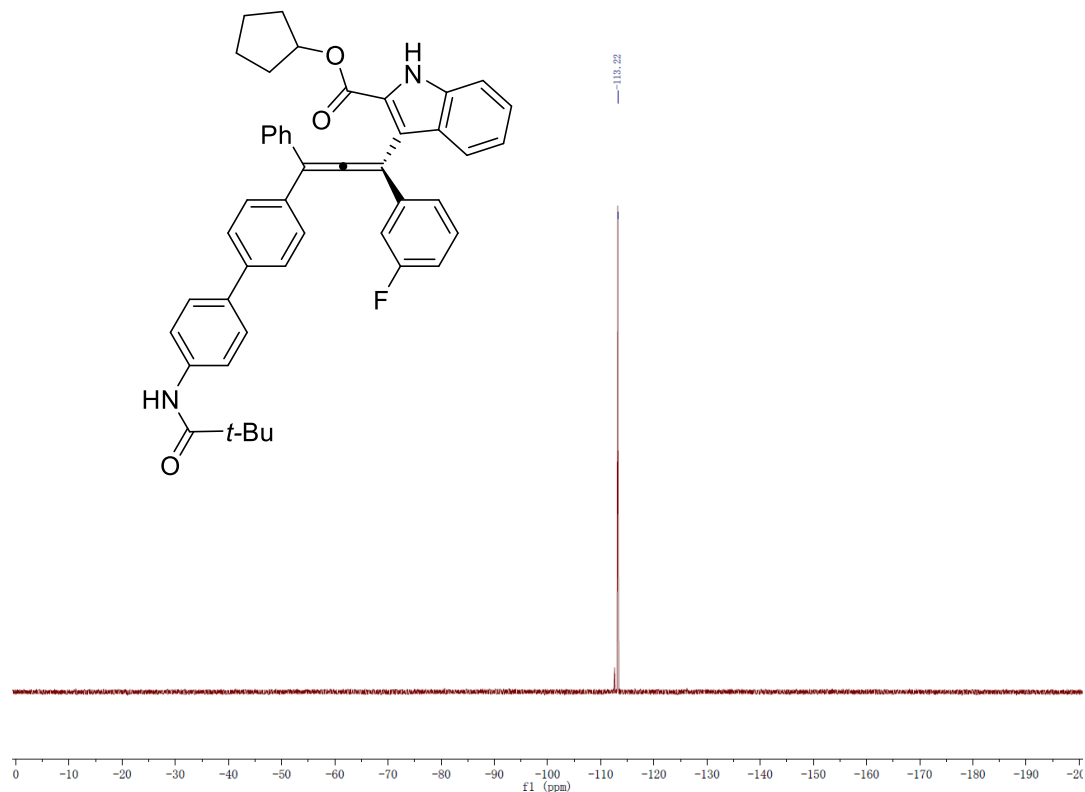
**Cyclopentyl 6-chloro-3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)prop
a-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3bi)**



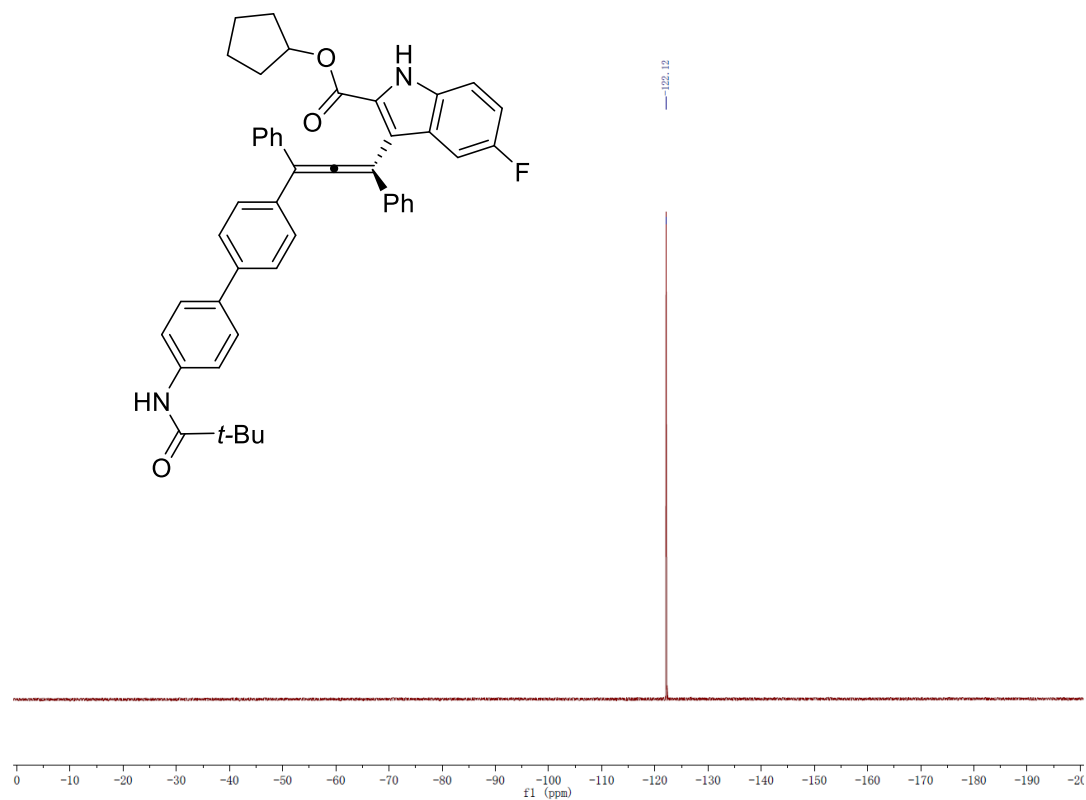
Cyclopentyl 3-(1-(4-fluorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ea)



Cyclopentyl 3-(1-(4-fluorophenyl)-3-phenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-1*H*-indole-2-carboxylate (3ia)



Cyclopentyl 3-(1,3-diphenyl-3-(4'-pivalamido-[1,1'-biphenyl]-4-yl)propa-1,2-dien-1-yl)-5-fluoro-1*H*-indole-2-carboxylate (3bf)



I: Reference

1. C. H. Tang, Y. Z. Yuan, N. Jiao, *Org. Lett.* **2015**, *17*, 2206-2209.
2. L. Guo, A. Chatupheeraphat, M. Rueping. *Angew. Chem. Int. Ed.* **2016**, *55*, 11810-11813.
3. X. Li, J. Sun, *Angew. Chem. Int. Ed.* **2020**, *59*, 17049-17054.

J: Determination of the Absolute Configuration.

The absolute configuration of **3ba** was determined by ECD. Conformations of (*S*)-**3ba** was searched using Grimme's programs xTB 6.3. Next, Conformers within 2 kcal/mol were conducted at the M06-2X/6-31+G(d) level in DCM solvent by a self-consistent reaction field (SCRF) using the SMD implicit solvent model with *Gaussian 16*. Then, conformers with distinct conformations were further subjected to TD-DFT calculation at the M06-2X/6-31+G(d) level in DCM solvent as aforementioned for dipole and rotational strengths of the first 20 excited states in the UV range. Next, ECD spectra of (*S*)-**3ba** were calculated from excitation energies and rotational strengths as averages weighed on Boltzmann conformer relative populations as a sum of Gaussian functions centered at the wavelength of each transition with appropriate widths of the band at half-height using SpecDis (version 1.71), respectively.

Samples of compound **3ba** for ECD were dissolved in DCM solvent, and spectra were acquired in a 1.0-mm pathlength cuvette, respectively. The UV and ECD spectra were recorded using a Chirascan Spectrophotometer with the following instrumental parameters: 230-400 nm with a 1 nm step and a 2 nm bandwidth with data averaging over 1.0 sec per point. Three spectral acquisitions were taken for each sample and were averaged and smoothed thereafter.

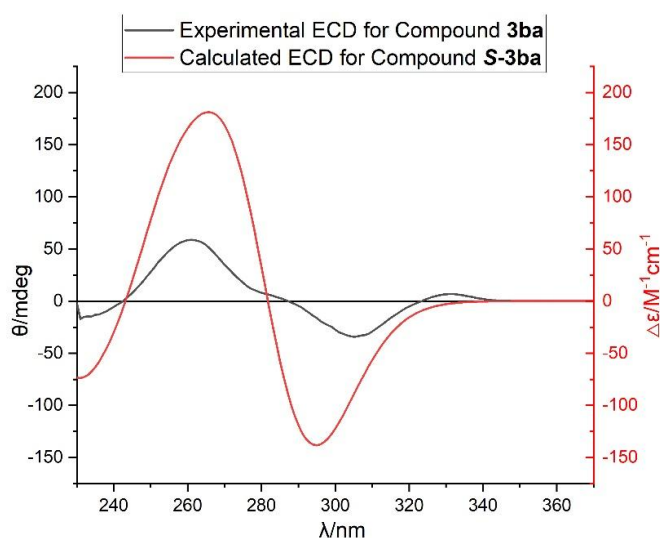


Figure S1. Comparison of the calculated ECD of compound (*S*)-3ba with the experimental one of compound 3fa. Width of the band at half-height σ : 0.25 eV; Shift: 0 nm.

The calculated spectrum for (*S*)-3ba was same to the experimental one, and thus, the absolute configuration of compound 3ba was assigned to *S* accordingly. The absolute configurations of other chiral products were assigned by analogue to that of 3ba.

Table S1. Energies of calculated conformers of (*S*)-3ba. Energies (E) (in Hartree) of the structures calculated at the SMD_(DCM)/M06-2X/6-31+G(d) level of theory.

Structure (<i>S</i>)-3ba	$E_{(\text{solv-M06-2X})}$	$G_{(\text{corr-solv-M06-2X})}$	$G_{(\text{solv-M06-2X})}$	Boltzmann distribution(%)
conformer-1	-2112.641429	0.690543	-2111.950886	99.72%
conformer-2	-2112.63522	0.686488	-2111.948732	0.14%
conformer-3	-2112.635202	0.688427	-2111.946775	0.14%
conformer-4	-2112.63245	0.69127	-2111.94118	0.01%

Cartesian coordinates for DFT-optimized structures for conformers of (S)-3fa

(S)-3fa-conformer-1

C	3.81806900	-1.66985300	-1.79240800
C	4.15529700	-0.58376600	-1.00807100
C	5.22361700	-0.45376200	-3.03627900
C	6.05297800	0.05900000	-4.04706600
C	6.70296100	1.25179200	-3.78604800
C	6.54323800	1.93221800	-2.55325900
C	5.72786500	1.42558700	-1.55856700
C	5.05420000	0.21050300	-1.79449100
H	6.17337500	-0.46138000	-4.99277700
H	7.35109600	1.68027400	-4.54536400
H	7.07150300	2.86774000	-2.39401900
H	5.60083200	1.94916300	-0.61372900
N	4.45921000	-1.58700100	-3.00589600
H	4.35254000	-2.26391500	-3.75338900
C	2.87967000	-2.77810800	-1.55274400
O	2.55017300	-3.56719800	-2.41976100
O	2.45765800	-2.79284900	-0.29382300
C	1.42932400	-3.73985600	0.09299600
C	0.07803400	-3.03081600	-0.01165300
C	1.62975200	-4.02360400	1.59345700
H	1.52259500	-4.62066500	-0.54324000
C	0.02106800	-2.21666200	1.28530400
H	-0.71794400	-3.78681800	-0.01705100
H	-0.00371600	-2.43874100	-0.92956700
C	0.53239400	-3.21863000	2.32985300
H	1.55404200	-5.09602300	1.79437100
H	2.63517600	-3.70150600	1.88611200
C	3.64861900	-0.24317700	0.34888700
C	2.86310500	0.80812100	0.45768100
C	2.04488300	1.83715900	0.54527000
C	4.04289300	-1.05671600	1.53541500
C	5.11039500	-1.95852400	1.45757000

H	5.65479100	-2.07002900	0.52352000
C	4.78439600	-2.59004600	3.77048900
H	5.06864800	-3.18525600	4.63372800
C	3.71825000	-1.69166900	3.85548100
H	3.16574400	-1.58728100	4.78556100
C	3.34957600	-0.93355800	2.74756600
H	2.50823800	-0.24674600	2.81699500
C	2.55930400	3.20724000	0.83421400
C	3.72241200	3.38378300	1.59683700
C	1.90368000	4.33699400	0.32788100
C	4.22635900	4.66008400	1.83547000
H	4.22621700	2.51302300	2.01126400
C	2.40946600	5.61414000	0.56732200
H	1.00149500	4.21661300	-0.26622000
C	3.57178400	5.78057100	1.32045800
H	5.12704900	4.78004300	2.43125200
H	1.89421100	6.48016400	0.16108800
H	3.96277900	6.77647600	1.50895700
C	5.47865000	-2.71858600	2.56754800
H	6.30990200	-3.41406700	2.48923800
C	0.58104000	1.60099100	0.36177800
C	0.12027500	0.75941800	-0.65697800
C	-0.35498000	2.17142100	1.23353800
C	-1.23643000	0.48201400	-0.79201700
H	0.83442600	0.32446800	-1.35327400
C	-1.71049000	1.88519900	1.10337700
H	-0.01822600	2.82453800	2.03511800
C	-2.17619700	1.03280000	0.09068200
H	-1.56993800	-0.15712100	-1.60585900
H	-2.41352800	2.30961200	1.81545500
C	-3.61975100	0.71411200	-0.03626700
C	-4.04512100	-0.56136400	-0.43815300
C	-4.60493900	1.66739200	0.24452500
C	-5.39432900	-0.86262200	-0.55193700
H	-3.31285500	-1.33768800	-0.64660000
C	-5.96474600	1.38178700	0.13472400
H	-4.31142400	2.67180300	0.53941700
C	-6.37258600	0.10285100	-0.26734000

H	-5.70222800	-1.85991600	-0.85870000
H	-6.69888000	2.14497800	0.35076800
H	-0.28617300	-3.88506600	2.62685100
H	-0.97743800	-1.82771100	1.51017300
H	0.70707700	-1.36132800	1.21089500
H	0.90897300	-2.73478100	3.23590900
N	-7.71352300	-0.29399700	-0.40744200
C	-8.85454700	0.44370300	-0.23302600
O	-8.83259100	1.62178100	0.10246900
C	-10.16337300	-0.31814700	-0.49987000
C	-10.25607500	-1.54682000	0.41978000
H	-9.49297300	-2.30018700	0.19530100
H	-10.15680600	-1.26024000	1.47280800
H	-11.23412400	-2.02276000	0.28685300
C	-11.33779900	0.61797200	-0.21335900
H	-12.27683200	0.08771200	-0.40533800
H	-11.33516300	0.95150500	0.82905800
H	-11.30378600	1.50552300	-0.85227300
C	-10.20931600	-0.75703100	-1.97318000
H	-10.10554100	0.10358900	-2.64353500
H	-9.42283700	-1.47934200	-2.21814700
H	-11.17402500	-1.23490500	-2.17795400
H	-7.83523100	-1.26185000	-0.68370900

(S)-3fa-conformer-2

C	-3.66996800	1.69405500	-0.27065100
C	-4.29585700	0.57479600	0.24350300
C	-5.85775600	2.01251900	-0.63372700
C	-7.11679500	2.50992500	-1.00652900
C	-8.21792300	1.72510200	-0.71454200
C	-8.08467300	0.47085800	-0.07012500
C	-6.84341800	-0.01624600	0.29680500
C	-5.70328900	0.76472500	0.01917400
H	-7.21617000	3.46985600	-1.50494100
H	-9.20885500	2.07661000	-0.98785300
H	-8.97595400	-0.11428200	0.13689000
H	-6.74512600	-0.98021500	0.78980800

N	-4.61140200	2.54927400	-0.80050900
H	-4.39681600	3.44209400	-1.22860200
C	-2.23937600	2.05710500	-0.28084700
O	-1.36544900	1.44691700	0.29569500
O	-2.03011900	3.16322600	-1.00776000
C	-0.65878100	3.63711800	-1.08501700
C	-0.25948500	4.34710900	0.22751200
C	-0.63921800	4.71867100	-2.16179900
H	-0.03180500	2.77448400	-1.31878500
C	-0.20022600	5.85522500	-0.10752900
H	0.69408800	3.96562300	0.60311700
H	-1.01341100	4.13982500	0.99366500
C	-1.01195800	5.99544600	-1.40249900
H	0.38548100	4.80390100	-2.54403500
H	-1.29661600	4.47179700	-3.00059400
C	-3.68509000	-0.63891700	0.83934200
C	-2.78658000	-1.31161900	0.15107900
C	-1.90303200	-1.98447100	-0.55749800
C	-4.13899600	-1.09277600	2.18712200
C	-4.70989700	-0.18360800	3.08617400
H	-4.82970300	0.85732400	2.79668500
C	-4.96627900	-1.93337900	4.73665800
H	-5.28824200	-2.25934500	5.72169800
C	-4.40211600	-2.84729300	3.84330200
H	-4.28655500	-3.88913100	4.12948500
C	-3.99485000	-2.43160100	2.57894600
H	-3.56941500	-3.15000000	1.88160100
C	-2.36486300	-3.04093400	-1.50890700
C	-1.59979300	-4.19589400	-1.71926700
C	-3.58672100	-2.90689000	-2.18228900
C	-2.05265000	-5.19782800	-2.57659000
H	-0.65134500	-4.31664300	-1.20227700
C	-4.03779900	-3.90826400	-3.03938800
H	-4.17982000	-2.00663200	-2.03641400
C	-3.27197700	-5.05787100	-3.24011300
H	-1.45093600	-6.09047200	-2.72400800
H	-4.98532000	-3.78621800	-3.55697700
H	-3.62172500	-5.83738300	-3.91112500

C	-5.11840900	-0.60168100	4.35275000
H	-5.55628500	0.11759300	5.03946100
C	-0.44672300	-1.69952300	-0.40273600
C	0.40411300	-1.68781000	-1.51504300
C	0.09375500	-1.40560800	0.85390600
C	1.75384900	-1.38012800	-1.37373200
H	0.00465100	-1.90188900	-2.50334900
C	1.44395000	-1.10441500	0.99519000
H	-0.55186200	-1.42167900	1.72891800
C	2.30006700	-1.08604900	-0.11577900
H	2.38678700	-1.34962800	-2.25692000
H	1.84304800	-0.90620500	1.98655100
C	3.74287800	-0.77525700	0.03811200
C	4.18794000	0.15307400	0.99171300
C	4.71019100	-1.40133100	-0.75613400
C	5.53805500	0.43490600	1.14054400
H	3.46834600	0.67726300	1.61541200
C	6.07057000	-1.13034200	-0.61971900
H	4.40422900	-2.14119400	-1.49145900
C	6.49844000	-0.20357800	0.34031600
H	5.85984400	1.16326100	1.88177100
H	6.79061300	-1.64046100	-1.24366900
H	-0.77941200	6.90865900	-1.95829400
H	0.83686000	6.15613900	-0.29734000
H	-0.58053600	6.47790400	0.70740100
H	-2.08685000	5.99902400	-1.18051100
N	7.84326300	0.13756600	0.56786500
C	8.96960800	-0.34433600	-0.04493100
O	8.92637200	-1.17281300	-0.94652600
C	10.29231200	0.22744800	0.49131800
C	10.44718000	-0.14603200	1.97484200
H	11.42641700	0.19185800	2.33254600
H	9.68455400	0.32410800	2.60551600
H	10.38816100	-1.23111400	2.11617400
C	11.44470900	-0.38118100	-0.30820600
H	11.36246900	-0.13760400	-1.37196800
H	12.39384600	0.01700100	0.06646100
H	11.46372300	-1.47083100	-0.21127000

C	10.31115400	1.75574300	0.32690900
H	11.29198000	2.13861200	0.63065000
H	10.14180700	2.04221700	-0.71715600
H	9.55845700	2.25542900	0.94625100
H	7.98229900	0.82003200	1.30498700

(S)-3fa-conformer-3

C	-4.20947000	0.74993900	-1.08454500
C	-3.40773700	1.49583900	-0.24255300
C	-3.88244500	2.69834300	-2.14103600
C	-3.87728700	3.77127900	-3.04673800
C	-3.15789700	4.89729100	-2.68850100
C	-2.45243900	4.96808400	-1.46232200
C	-2.45940200	3.91003100	-0.57168100
C	-3.18959900	2.75191900	-0.90643000
H	-4.41590100	3.71392000	-3.98817700
H	-3.13148200	5.74804500	-3.36362000
H	-1.89812000	5.87085300	-1.22278500
H	-1.91583700	3.96662700	0.36831100
N	-4.48605500	1.47443300	-2.22369000
H	-5.06182200	1.13955100	-2.98719700
C	-4.78832700	-0.59415900	-0.89545900
O	-4.69525000	-1.25398500	0.11668700
O	-5.44615600	-0.98951100	-1.99393700
C	-6.09045200	-2.29009400	-1.93216900
C	-6.52857300	-2.61677100	-3.35697100
C	-7.39196400	-2.20520400	-1.10515800
H	-5.36216200	-2.99349100	-1.52405100
C	-7.90056200	-1.94664900	-3.47673900
H	-6.64141800	-3.70475500	-3.44069800
H	-5.79031200	-2.29198300	-4.09603900
C	-8.54993000	-2.28342300	-2.12721000
H	-7.43578800	-3.00940900	-0.36547200
H	-7.40928100	-1.25985400	-0.55367600
C	-2.81349800	1.10903800	1.06090500
C	-2.03567800	0.04885800	1.12373500
C	-1.24410600	-1.00336000	1.16685400

C	-3.07487800	1.96279200	2.25737600
C	-4.19852100	2.79728500	2.30062100
H	-4.88020500	2.82788200	1.45448200
C	-3.59047500	3.54955200	4.51777000
H	-3.78859200	4.16420300	5.39135200
C	-2.46459400	2.72317100	4.48005700
H	-1.78030200	2.69542300	5.32368200
C	-2.20707900	1.93957400	3.35901300
H	-1.32140500	1.30860300	3.32908100
C	-1.80435700	-2.34527900	1.50886500
C	-1.38202800	-3.48926500	0.81936800
C	-2.78117200	-2.47362200	2.50294000
C	-1.93588400	-4.73465100	1.11154900
H	-0.62544400	-3.40239600	0.04324300
C	-3.33102100	-3.71926100	2.79847500
H	-3.10954200	-1.58871300	3.04318400
C	-2.91112000	-4.85397400	2.10296100
H	-1.60509200	-5.61246000	0.56307700
H	-4.08714600	-3.80360900	3.57430700
H	-3.33893600	-5.82570800	2.33372900
C	-4.45482800	3.58310100	3.42444900
H	-5.33342800	4.22218400	3.44239800
C	0.21389100	-0.84759800	0.88494700
C	0.67116500	0.13805400	-0.00005500
C	1.16151000	-1.65769200	1.52374100
C	2.03082300	0.31377800	-0.23176000
H	-0.04970600	0.76164100	-0.52440900
C	2.52232000	-1.47928800	1.29212600
H	0.83577500	-2.42274500	2.22361200
C	2.98352100	-0.49145600	0.41053800
H	2.35433200	1.06914700	-0.94340300
H	3.23641700	-2.10298000	1.82389100
C	4.43454200	-0.30495000	0.16353500
C	4.97560300	0.97181900	-0.05043400
C	5.31378700	-1.39336600	0.13783400
C	6.33336100	1.14537300	-0.27523300
H	4.33071500	1.84622400	-0.01999600
C	6.68029200	-1.23723700	-0.08771000

H	4.92638600	-2.39939300	0.27775300
C	7.20507100	0.04536900	-0.29629500
H	6.73178800	2.14573400	-0.42980100
H	7.32878000	-2.10156700	-0.10848600
H	-8.94885300	-3.30399400	-2.16316000
H	-8.47991900	-2.30463200	-4.33296000
H	-7.77857700	-0.86096700	-3.58133000
H	-9.37713000	-1.61526100	-1.87094900
N	8.56548300	0.31781900	-0.52383200
C	9.61138200	-0.55955400	-0.64035700
O	9.46644800	-1.77262700	-0.54793300
C	10.97886800	0.09300500	-0.90247600
C	10.94406100	0.83628300	-2.24861500
H	11.94168000	1.23324300	-2.46797500
H	10.65897700	0.16082300	-3.06306300
H	10.24576600	1.68039000	-2.24350100
C	11.32083500	1.06891600	0.23526700
H	12.33046200	1.46545600	0.07989000
H	10.63526400	1.92220100	0.27748400
H	11.29856500	0.56324000	1.20717100
C	12.03984000	-1.00655900	-0.96026300
H	12.08913000	-1.56143200	-0.01824100
H	11.83028300	-1.71999600	-1.76287800
H	13.01918300	-0.55260100	-1.14657000
H	8.78325700	1.30408600	-0.61739500

(S)-3fa-conformer-4

C	-4.26278000	0.82895100	-1.42215200
C	-3.62603900	1.66218700	-0.52160200
C	-4.11480500	2.81479200	-2.44799800
C	-4.18409700	3.88709300	-3.35171400
C	-3.63774900	5.09158100	-2.94657500
C	-3.02952600	5.23877800	-1.67613500
C	-2.96479900	4.18145100	-0.78689900
C	-3.52221500	2.94359700	-1.16716600
H	-4.64568400	3.76899900	-4.32781900
H	-3.67181100	5.94425300	-3.61891800

H	-2.60776700	6.20092500	-1.40038900
H	-2.49508300	4.30008500	0.18617000
N	-4.54618800	1.52370400	-2.57679800
H	-5.02697000	1.12907900	-3.37669900
C	-4.65578300	-0.58842800	-1.28273700
O	-4.62487400	-1.21124100	-0.24484000
O	-5.06387000	-1.08224700	-2.45957700
C	-5.47975100	-2.46990600	-2.54385100
C	-6.61897400	-2.86711600	-1.57425200
C	-4.32609600	-3.46005000	-2.30067800
H	-5.82382200	-2.52899900	-3.57753200
C	-6.04175400	-3.99737700	-0.70829300
H	-7.46219900	-3.23998900	-2.16611000
H	-6.97704300	-2.01369900	-0.99255000
C	-5.00974300	-4.65867000	-1.63108800
H	-3.80314100	-3.71167900	-3.22775300
H	-3.60326000	-3.01884600	-1.60601800
C	-3.06535100	1.32195100	0.80800700
C	-2.27307700	0.27710800	0.92900500
C	-1.48565000	-0.77368400	1.03212800
C	-3.38774000	2.18905400	1.97983500
C	-4.57476800	2.93123600	2.00909200
H	-5.25989800	2.88535700	1.16629000
C	-4.01817900	3.78562300	4.20290700
H	-4.26102200	4.40471600	5.06196100
C	-2.82987200	3.05207000	4.17865100
H	-2.14163600	3.10064600	5.01809900
C	-2.51573200	2.26328400	3.07539300
H	-1.58232000	1.70495100	3.05376700
C	-2.07060000	-2.10456000	1.37817300
C	-1.65586600	-3.25840600	0.70149600
C	-3.06467400	-2.21150200	2.35715000
C	-2.23662600	-4.49288600	0.98872600
H	-0.88589300	-3.18760400	-0.06339800
C	-3.64056100	-3.44633500	2.64922000
H	-3.38770200	-1.31801100	2.88648600
C	-3.23065700	-4.59096800	1.96365400
H	-1.91306300	-5.37835500	0.44788000

H	-4.41193900	-3.51429700	3.41165200
H	-3.68275000	-5.55355000	2.18754900
C	-4.88765300	3.72236800	3.11441800
H	-5.81442700	4.28950300	3.12279200
C	-0.01627100	-0.63557900	0.80862700
C	0.48781400	0.33658500	-0.06595800
C	0.89543200	-1.45201300	1.49007000
C	1.85750200	0.49254900	-0.24681000
H	-0.20408700	0.96472700	-0.62295800
C	2.26639100	-1.29283400	1.30979600
H	0.53310300	-2.20713000	2.18269600
C	2.77431700	-0.31937300	0.43815700
H	2.21791300	1.23683400	-0.95232200
H	2.95113700	-1.92084600	1.87389100
C	4.23611500	-0.15582000	0.24373300
C	4.80341500	1.11033200	0.03439900
C	5.09978800	-1.25687300	0.26359400
C	6.17091400	1.26185400	-0.14262900
H	4.17104400	1.99439100	0.03113600
C	6.47564500	-1.12296400	0.08625200
H	4.69289200	-2.25550700	0.40135100
C	7.02652600	0.14918200	-0.11886600
H	6.58911000	2.25474600	-0.29386800
H	7.11168000	-1.99655700	0.09936800
H	-5.51980200	-5.27373700	-2.38473400
H	-6.81066800	-4.69232700	-0.35647600
H	-5.53075200	-3.57378100	0.16323800
H	-4.30121900	-5.30058700	-1.09814100
N	8.39813300	0.39826700	-0.30113300
C	9.43542000	-0.49495200	-0.36085200
O	9.27080700	-1.70381600	-0.24839900
C	10.82040700	0.13455400	-0.58501700
C	10.84542000	0.85473800	-1.94366400
H	11.85645700	1.23253300	-2.13346200
H	10.57888400	0.17014800	-2.75676600
H	10.16139400	1.70967300	-1.97726100
C	11.13365400	1.12680000	0.54675200
H	12.15473200	1.50488000	0.42337800

H	10.46075000	1.99109500	0.54551400
H	11.06571300	0.64074100	1.52651300
C	11.86761800	-0.97959500	-0.58484700
H	11.87575000	-1.51772900	0.36803300
H	11.67701200	-1.70474200	-1.38171000
H	12.85901800	-0.54183500	-0.74410300
H	8.63331700	1.37922000	-0.40744800