Electronic Supporting Information

Catalytic asymmetric formal [3+2] cycloaddition of isatogens with azlactones to construct indolin-3-one derivatives

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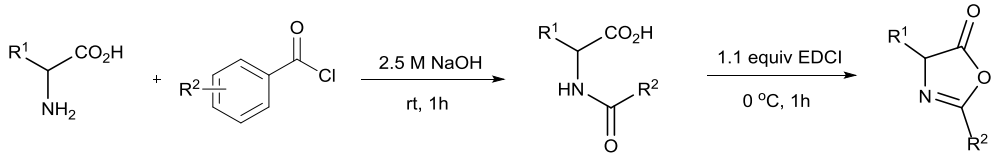
1. General information

^{1}H NMR spectra were recorded on Bruker ASCEND^{TM} operating at 400 MHz. Chemical shifts were reported in ppm from tetramethylsilane with the solvent resonance as the internal standard [$CDCl_3$, δ = 7.26), MeOD, δ = 2.64, $(CD_3)_2CO$, δ = 2.05, $(CD_3)_2SO$, δ = 2.50]. Spectra were reported as follows: chemical shift (δ ppm), multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublets, dt = doublet of triplets), coupling constants (Hz), integration and assignment. NMR characterization data were collected on bruker ASCEND^{TM} operating at 400 MHz for 101 MHz for ^{13}C{1H} NMR (with complete proton decoupling), and 376 MHz for ^{19}F{^{1}H} NMR (with complete proton decoupling). Chemical shifts are reported in ppm from the tetramethylsilane with the solvent resonance as internal standard. Enantiomeric excesses (ee) were determined by Ultra Performance Convergence Chromatography (UPCC) on systems of an Agilent 1100 or 1200 series with chiral stationary phases (Chiralpak OX, Chiralpak OJ, Chiralpak ODH, Chiralpak AD) from Chiral Technologies Inc in the experimental procedures at 35 ºC. Optical rotations were reported as follows: $[\alpha]_D^T$(*c*: g/100 mL, in solvent). The unit is deg•cm^3•g^{-1}•dm^{-1}. IR spectra were recorded on Bruker Tensor II spectrometer with Plantium ATR accessory, and the wave numbers of the absorption peaks are given in cm^{-1}. High resolution mass spectra (HRMS) analyses were recorded on a Thermo Scientific LTQ Orbitrap XL with positive ion mode. HRMS was recorded on a commercial apparatus (FTMS+c ESI).

All catalytic reactions were run in dried glassware. THF, toluene and diethyl ether (Et_2O) were distilled from sodium benzophenone ketyl before use. Ethyl acetate, DCM was distilled over CaH_2 before use. The experiments requiring substrates azlactones[1], isatogens[2-5], chiral guanidines[6] were synthesized according to known procedures. The starting materials were purchased from Accela, 3A chemicals, Aladdin, Adamas, Acros, Aldrich or Ark, and used without further purification. Reactions were monitored using thin-layer chromatography (TLC) on GF254 silica gel. Visualization of the developed plates was performed under UV light (254 nm) or using iodine, cobalt thiocyanate or $KMnO_4$. The products were purified by flash column chromatography with Silicycle 300-400 mesh silica gel or with Aluminum oxide (neutral) 100-200 mesh.

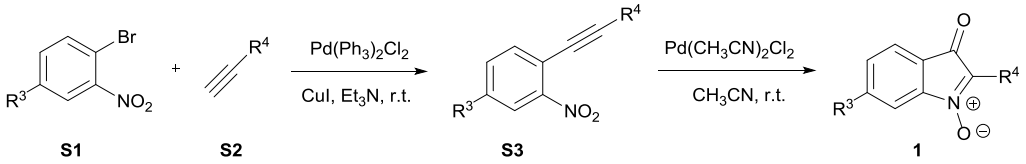
2. Substrates synthesis

2.1 General procedure for the synthesis of azlactones according to the literature procedure.[1]



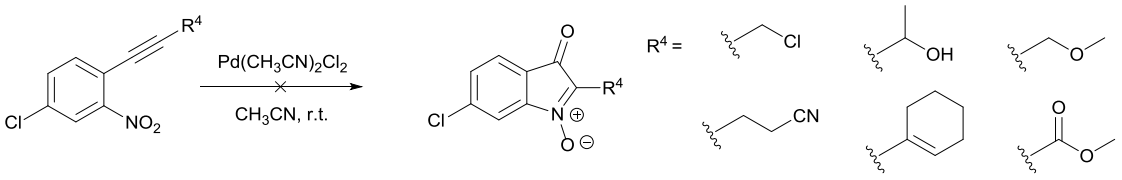
2.2 General procedure for the synthesis of isatogens

a) Method A: Isatogens were prepared according to the literature procedure.[2]



R^3 = H, F, Cl, Br, Me, R^4 = cyclopropyl, *i*-Pr, cyclohexyl, *t*-Bu, *n*-C_4H_9, Ph;

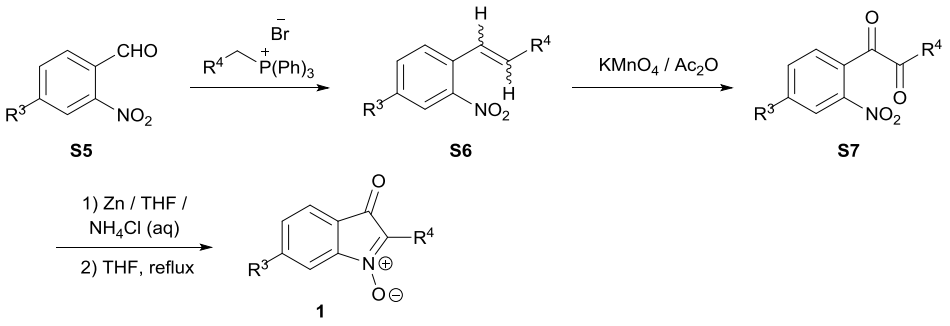
R^3 = Cl, R^4 = *i*-Pr, cyclopentyl, cyclohexyl, *i*-Bu, *t*-Bu, *n*-C_4H_9, *n*-C_6H_{13}, *n*-$C_{10}H_{21}$, Ph, Pyridyl, 2-thienyl, $(CH_2)_2CH_2Cl$, $(CH_2)_2CH_2Ph$, $(CH_2)_3CH_2OH$, $(CH_2)_4CCH$, $(CH_2)_3CO_2Me$.



General Procedure for the Sonogashira Coupling: $Pd(PPh_3)_2Cl_2$ (0.25 mmol) was added to a solution of aryl bromide **S1** (5.0 mmol) in Et_3N (6 mL), after stirring for 15 min at room temperature, **S2** (5.5 mmol) and CuI were added, and the mixture was stirred at room temperture for 6 h. Then, washed with saturated NH_4Cl solution, the aqueous layer was extracted with ethyl acetate, and the combined organic mixtures were washed with brine, dried over anhydrous Na_2SO_4, filtered (solvent: DCM), and concentrated under reduced pressure. And then the mixture was purified by column chromatography (petroleum ether/ethyl acetate = 20/1, v/v) to afford the compound **S3** (yellow oil, 80-95% yield).

General Procedure for the Cycloisomerization: $Pd(CH_3CN)_2Cl_2$ (0.025 mmol, 5 mol-%) was added to a solution of alkyne **S3** (0.5 mmol) in CH_3CN (15 mL), and the mixture was stirred under argon at room temperature for 4 h. The reaction mixture was concentrated, and then the mixture was purified by column chromatography (petroleum ether/ethyl acetate = 20/1, v/v or petroleum ether/diethyl ether = 20/1, v/v) to afford the compound **1** (orange or yellow solid, 20-80% yield).

b) Method B: Isatogens were prepared according to the literature procedure.[3]



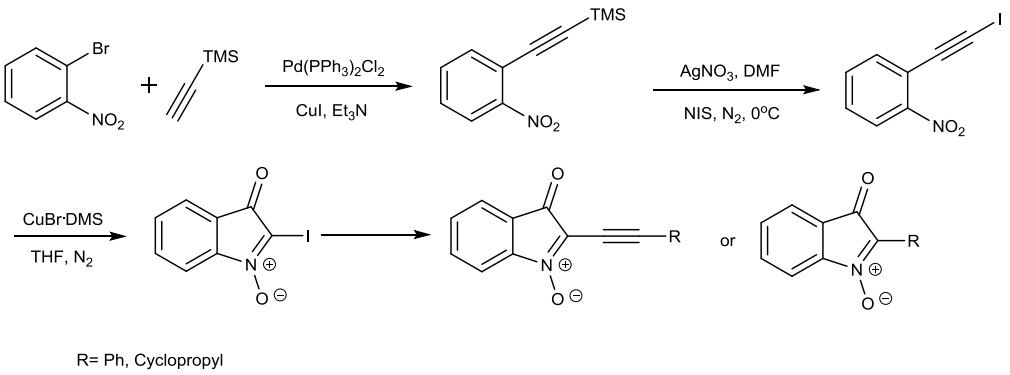
R^3 = H, R^4 = Me, Et, *i*-Pr, *n*-C_3H_7;
R^3 = Cl, R^4 = Me, Et, *n*-C_3H_7.

General Synthetic Procedure for Alkene Compound S6: To a solution of ortho-nitro benzaldehyde **S5** (10.7 mmol) in dichloromethane (140 mL), was added the appropriate phosphonium salt (14.6 mmol), aq. NaOH solution (50%, 12.8 mmol) and tetrabutylammonium chloride (0.54 mol). The mixture was stirred at room temperature until complete disappearance of the starting product. It was then extracted by dichloromethane; the organic phase was washed with brine, dried over anhydrous $MgSO_4$ and concentrated under reduced pressure. The mixture was purified by column chromatography (petroleum ether/ethyl acetate = 10/1, v/v) to afford a mixture of *E*/*Z*-diastereoisomers **S6** (yellow oil, 70-90% yield)

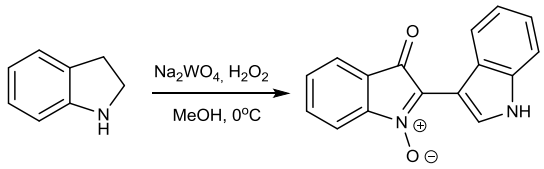
General Synthetic Procedure for Diketone Compound S7: To a solution of alkene **S6** (4.8 mmol) in acetic anhydride (32 ml), was added (at 0–5ºC with stirring) $KMnO_4$ (19.2 mmol) in five portions over a period of 20 min. After completion of the addition the mixture was stirred in a cooling bath for 2 h. Then the reaction was stopped by addition of ethyl acetate/cyclohexane (1:1) (32 mL) and an ice cold solution of sodium dithionite 10%. After stirring in the cooling bath for several minutes the mixture was extracted by dichloromethane, and the organic phase was washed by an aqueous NaOH solution, water and dried over anhydrous $MgSO_4$. After evaporation of the solvent, the mixture was purified by column chromatography (petroleum ether/ethyl acetate = 3/1, v/v) to afford the compound **S7** (yellow oil, 40-60% yield).

General Synthetic Procedure for Indolone-1-oxide Analogue 1: To a solution of **S7** (0.59 mmol) in THF (10 ml) was added a 10% aqueous solution of NH_4Cl (11 ml) and Zn (2.50 mmol). After 20 min of stirring at r.t., the mixture was filtered and the two liquid phases separated. The organic phase was dried over Na_2SO_4 and concentrated under vacuum. The residue was dissolved in THF or dichloromethane (10 ml) and heated under reflux until complete disappearance of the hydroxylamine intermediate. After evaporation of the solvent, the mixture was purified by column chromatography (petroleum ether/ethyl acetate = 5/1, v/v) to afford the compound **1** (yellow oil, 20-30% yield).

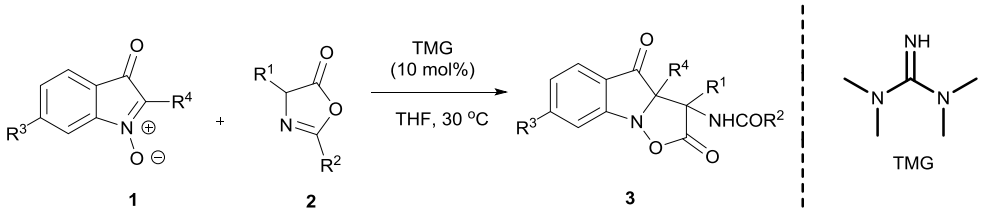
c) Method C: Isatogens were prepared according to the literature procedure.[4]



d) Method D: Isatogen was prepared according to the literature procedure.[5]



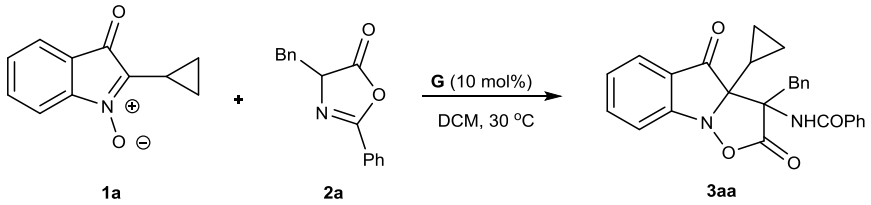
2.3 General procedure for the synthesis of racemic products 3



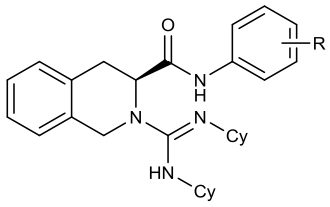
In a dry tube was charged with the TMG (10 mol%), **1** (0.10 mmol), and **2** (0.10 mmol) in THF (1.0 mL) and was stirred at 30 ºC for 24 h. The solvent was removed under reduced pressure, and then the mixture purified by column chromatography (petroleum ether/ethyl acetate = 5/1, v/v) to afford the product **3**.

3. Optimization of the reaction conditions

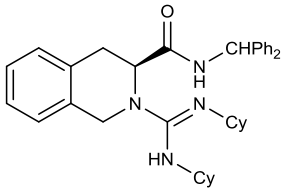
Table S1. Screening of chiral guanidines[a]



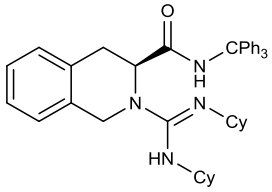
1a **2a** **3aa**



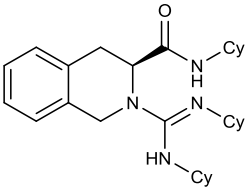
G-1: R = H
G-2: R = 2,6-$(Me)_2$
G-3: R = 2,6-$(Et)_2$
G-4: R = 2,6-$(i\text{-}Pr)_2$
G-5: R = 2, 4, 6-$(i\text{-}Pr)_3$



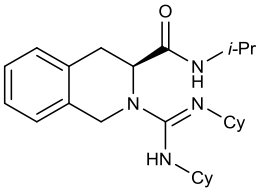
G-6



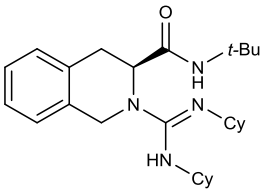
G-7



G-8



G-9

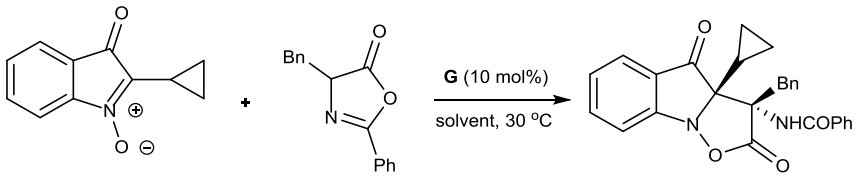


G-10

entry	cat.	yield (%)[b]	ee (%)[c]
1	**G-1**	65	59
2	**G-2**	56	76
3	**G-3**	44	62
4	**G-4**	36	63
5	**G-5**	26	41
6	**G-6**	53	70
7	**G-7**	57	31
8	**G-8**	33	44
9	**G-9**	43	51
10	**G-10**	54	47

[a] The reactions were carried out with **1a** (0.10 mmol), **2a** (0.10 mmol) and **G** (10 mol%) in DCM (1.0 mL) at 30 °C under N_2 for 18 h. Dr values (>19:1) were determined by ^1H NMR. [b] Isolated yield. [c] Determined by UPCC analysis on a chiral stationary phase.

Table S2. Screening of the solvents[a]

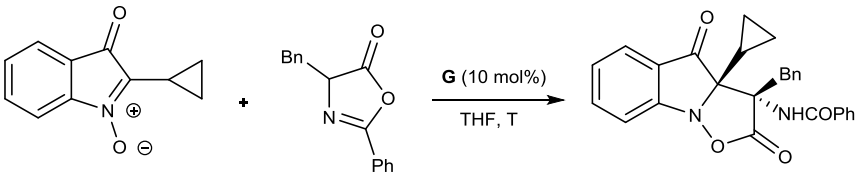


1a 2a 3aa

entry	G; solvent	yield (%)[b]	ee (%)[c]
1	**G-1**; Toluene	48	58
2	**G-1**; THF	99	65
3	**G-1**; DCM	65	59
4	**G-1**; Et_2O	69	66
5	**G-2**; THF	63	83
6	**G-6**; THF	52	83

[a] The reactions were carried out with **1a** (0.10 mmol), **2a** (0.10 mmol) and **G-1** (10 mol%) in solvent (1.0 mL) at 30 °C under N_2 for 18 h. Dr values (>19:1) were determined by ^1H NMR. [b] Isolated yield. [c] Determined by UPCC analysis on a chiral stationary phase.

Table S3. Screening of the temperature[a]

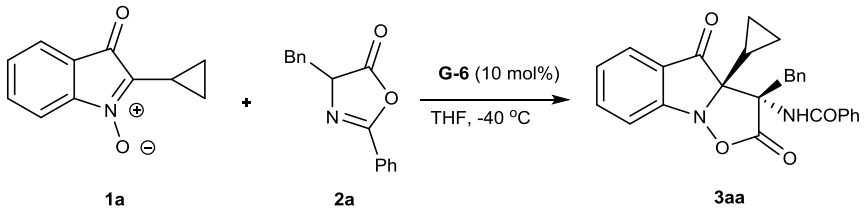


1a 2a 3aa

entry	T (°C)	**G-2**		**G-6**	
		yield (%)[b]	ee (%)[c]	yield (%)[b]	ee (%)[c]
1	0	46	91	80	87
2	-10	57	94	85	92
3	-20	64	93.5	85	93
4	-30	61	93	85	93
5	-40	46	93	88	95
6	-50	43	93	69	94

[a] The reactions were carried out with **1a** (0.10 mmol), **2a** (0.10 mmol) and **G** (10 mol%) in THF (1.0 mL) under N_2 for 18 h. Dr values (>19:1) were determined by ^{1}H NMR. [b] Isolated yield. [c] Determined by UPCC analysis on a chiral stationary phase.

Table S4. Screening of the ratio of two substrates[a]

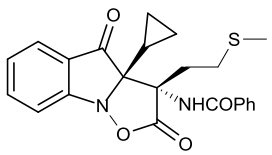


1a **2a** **3aa**

entry	T (^{0}C)	cat. (mol%)	**1a** : **2a**	yield (%)[b]	ee (%)[c]
1[d]	−20	**G-2** (10 mol%)	1 : 1	85	93.5
2[d]	−20	**G-2** (10 mol%)	1 : 1.2	92	92
3[d]	−20	**G-6** (10 mol%)	1 : 1.2	86	93
4[d]	−20	**G-6** (10 mol%)	1 2 : 1	78	93
5	−40	**G-6** (10 mol%)	1 : 1.2	88	95
6	−40	**G-6** (10 mol%)	1 : 1.5	78	93
7	−40	**G-6** (5 mol%)	1 : 1.2	83	93
8	−40	**G-6** (2.5 mol%)	1: 1.2	13	51
9	−40	**G-6** (10 mol%)	1.2 : 1	94	95
10	−40	**G-6** (5 mol%)	1.2 : 1	87	93
11[d]	−40	**G-6** (10 mol%)	1 : 1.2	92	94

[a] The reactions were carried out with **1a** , **2a** and **G** (10 mol%) in THF (1.0 mL) under N_2 for 18 h. Dr values (>19:1) were determined by ^{1}H NMR. [b] Isolated yield. [c] Determined by UPCC analysis on a chiral stationary phase. [d] For 24 h.

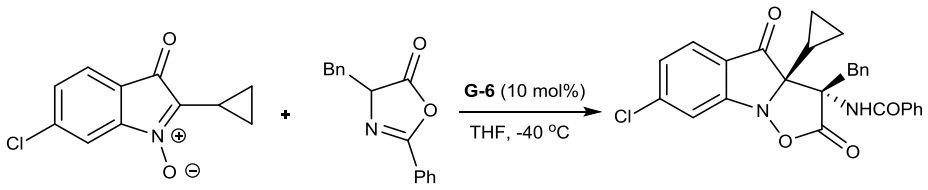
For example



3ad

The reactions were carried out with **1a** (0.10 mmol), **2d** (0.12 mmol) in THF (1.0 mL) under N_2.

A. Using 10 mol% of **G-2** at - 20 °C for 16 h.
99% yield, 85%ee
B. Using 10 mol% of **G-6** at - 20 °C for 12 h.
99% yield, 89% ee
C. Using 10 mol% of **G-6** at - 40 °C for 9 h.
99% yield, 91% ee



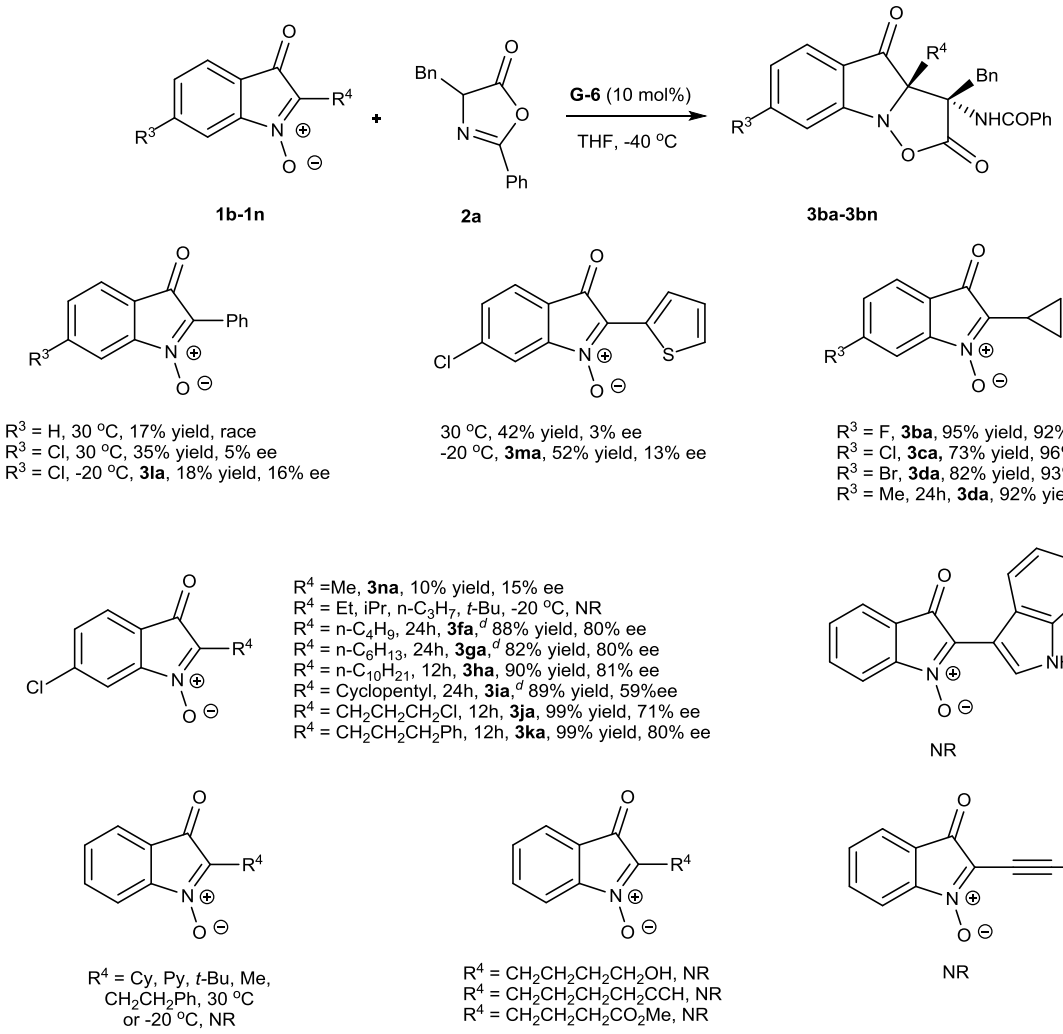
1c **2a** **3ca**

entry	**1c** : **2a**	yield (%)[b]	ee (%)[c]
1	1 : 1	46	95
2	1 : 1.2	44	95
3	1 : 1.5	39	94
4	1.2 : 1	46	95
5	1.5 : 1	59	95
6[d]	1 : 1	38	90
7[e]	1 : 1	38	89
8[f]	1.5 : 1	73	96

[a] The reactions were carried out with **1c** , **2a** and **G-6** (10 mol%) in THF (1.0 mL) at －40 °C under N_2 for 24 h. Dr values (>19:1) were determined by ^{1}H NMR. [b] Isolated yield. [c] Determined by UPCC analysis on a chiral stationary phase. [d] Using 5 mol% of **G-6.** [e] Using 2.5 mol% of **G-6.** [f] Using 10 mol% of **G-6** for 36 h.

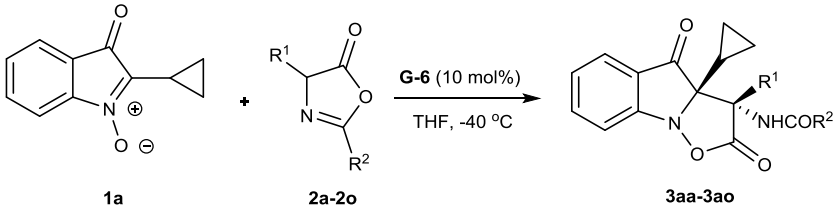
4. Substrate scope

Scheme 1. Substrate scope of isatogens **1**[a]



[a] The reactions were carried out with **1** (0.15 mmol), **2a** (0.10 mmol) and **G-6** (10 mol%) in THF (1.0 mL) at −40 ℃ under N_2 for 36 h. Dr values (>19:1) were determined by ^{1}H NMR. [b] Isolated yield. [c] Determined by UPCC analysis on a chiral stationary phase. [d] At −20 ℃. NR = no reaction.

Table S5. Substrate scope of azlactones **2**[a]

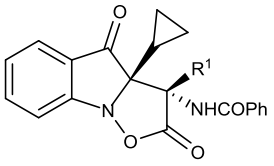


entry	t (h)	R^1, R^2	yield (%)[b]	ee (%)[c]
1	24	Bn, C_6H_5	**3aa**, 92	94
2	36	phenethyl, C_6H_5	**3ab**, 91	94
3	36	isobutyl, C_6H_5	**3ac**, 95	93

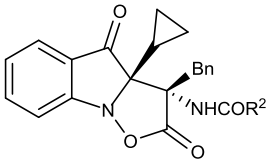
4	9	2-(methylthio)ethyl, C_6H_5	**3ad**, 99	91
5	24	(1H-indol-3-yl)methyl, C_6H_5	**3ae**, 84	91
6	9	cyclohexylmethyl, C_6H_5	**3af**, 81	93
7	9	4-chlorobenzyl, C_6H_5	**3ag**, 86	95
8	9	4-bromobenzyl, C_6H_5	**3ah**, 94	94
9	9	3-methylbenzyl, C_6H_5	**3ai**, 83	90
10	9	Bn, 4-FC_6H_4	**3aj**, 94	92
11	9	Bn, 4-ClC_6H_4	**3ak**, 96	92
12	9	Bn, 4-BrC_6H_4	**3al**, 82	91
13[d]	36	Bn, 4-$MeOC_6H_4$	**3am**, 65	91
14	9	Bn, 3,5-$(Me)_2C_6H_3$	**3an**, 83	99
15[e]	36	Bn, 2-naphthyl	**3ao**, 78	94

[a] Unless otherwise noted, the reactions were carried out **G-6** (10 mol%), **1a** (0.10 mmol) and **2** (0.12 mmol) in THF (1.0 mL) at −40 ºC. Dr values (>19:1) were determined by ^{1}H NMR. [b] Isolated yield. [c] Determined by UPCC analysis on a chiral stationary phase. [d] At −20 ºC. [e] At −10 ºC.

Substrate of failure : The reactions were carried out with **1a** (0.10 mmol) and **2** (0.10 mmol) and **G-6** (10 mol%) in THF (1.0 mL) at -40 °C under N_2 for 24 h.



R^1 = Me, 44% yield, 31% ee
R^1 = Ph, 29% yied, 7% ee
R^1 = Et, 9% yield, 44% ee
R^1 = iPr, Cy, tBu, NR

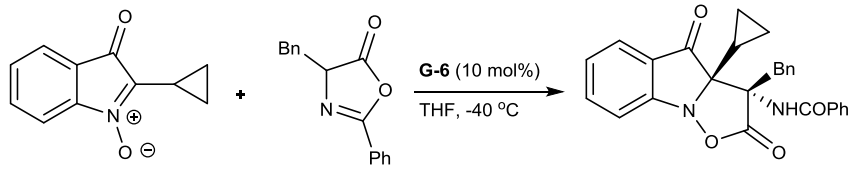


At -20 °C

R^2 = 1-adamantyl, 19% yield, 44% ee
R^2 = 2-furyl, 14% yield, 85% ee
R^2 = 2-thienyl, 20% yield, 83% ee
R^2 = cyclohexyl, 11% yield, 65% ee
R^2 = 4-MeC_6H_4, 4-NCC_6H_4, NR

5. Typical procedure for the asymmetric reaction

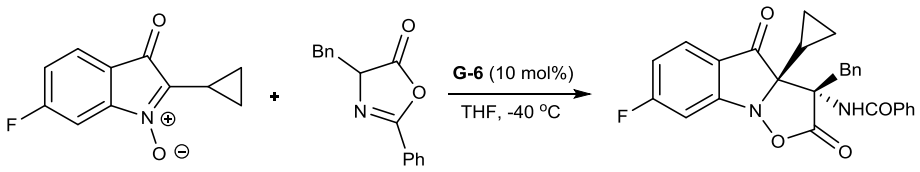
5.1. Representative experimental procedure for the asymmetric reaction of isatogen 1a with azlactone 2a



1a **2a** **3aa**

A dry tube was charged with **G-6** (5.6 mg, 0.01 mmol, 10 mol%) and **1a** (18.7 mg, 0.10 mmol). Under N_2 atmosphere, THF (1.0 mL) was added. The mixture was stirred at 30 ºC for 30 min and then cooled to －40 ºC. Then azlactone **2a** (30.1 mg, 0.12 mmol) was added under stirring and the mixture continued stirring at －40 ºC for 24 h. The solvent was removed under reduced pressure, and then the mixture purified by column chromatography (petroleum ether/ethyl acetate = 5/1, v/v) to afford the product **3aa**. The product **3aa** was obtained in 92% yield (40.3 mg). The enantiomeric excess (ee) was determined by UPCC with Daicel Chiralcel **OJ** (94% ee).

5.2. Typical experimental procedure for the scale-up reaction

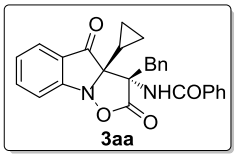


1b 2a 3ba

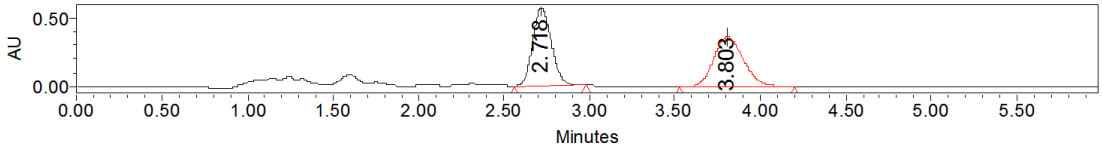
A dry round-bottom flask was charged with **G-6** (56.0 mg, 0.1 mmol, 10 mol%) and **1b** (307.5 mg, 1.5 mmol). Under N_2 atmosphere, THF (15.0 mL) was added. The mixture was stirred at 30 ºC for 30 min and then cooled to －40 ºC. Then azlactone **2a** (251.0 mg, 1.0 mmol) was added under stirring and the mixture continued stirring at －40 ºC for 48 h. The solvent was removed under reduced pressure, and then the mixture was purified by flash column chromatography on silica gel (petroleum ether/ethyl acetate = 5/1, v/v) to afford the product **3ba**. The product **3ba** was obtained in 87% yield (396.7 mg). The enantiomeric excess (ee) was determined by UPCC with Daicel Chiralcel **OJ** (92% ee).

6. The analytical and spectral characterization data of the products

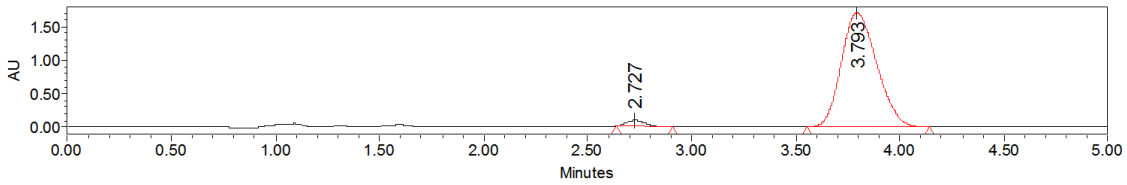
N-[(3*S*,3a*S*)-3-Benzyl-3a-cyclopropyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide



The compound **3aa** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 5/1) to afford a yellow oil in 92% yield. **UPCC** (Daicel Chiralcel **OJ**, $scCO_2$/MeOH = 80/20, flow rate 1.5 mL/min, λ = 254 nm), t (major) = 3.79 min, t (minor) = 2.73 min, ee = 94%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{20}_D$ = +279.7 (*c*: 0.86, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.74 – 7.67 (m, 1H), 7.66 – 7.50 (m, 4H), 7.48 – 7.36 (m, 4H), 7.26 (5H), 6.48 (s, 1H), 4.02 (d, J = 15.2 Hz, 1H), 3.58 (d, J = 15.2 Hz, 1H), 1.68 (tt, J = 8.1, 5.3 Hz, 1H), 0.95 – 0.78 (m, 2H), 0.53 – 0.43 (m, 1H), 0.27 (dq, J = 10.1, 5.2 Hz, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 197.0, 172.6, 165.5, 159.8, 136.4, 132.5, 131.6, 131.3, 130.0, 128.4, 127.8, 127.4, 126.2, 126.0, 125.5, 122.8, 119.4, 78.7, 61.8, 39.2, 13.0, 2.8, 0.0. **IR** 3366, 1792, 1723, 1660, 1605, 1580, 1517, 1474, 1294, 1173, 1137, 769, 730, 701 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{27}H_{22}N_2O_4$ [(M+H$^+$)]** = 439.1652, Found 439.1658.

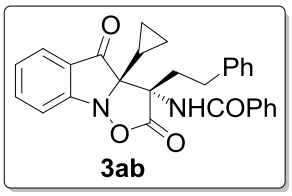


	Retention Time	Area	% Area
1	2.718	4409157	50.11
2	3.803	4389891	49.89



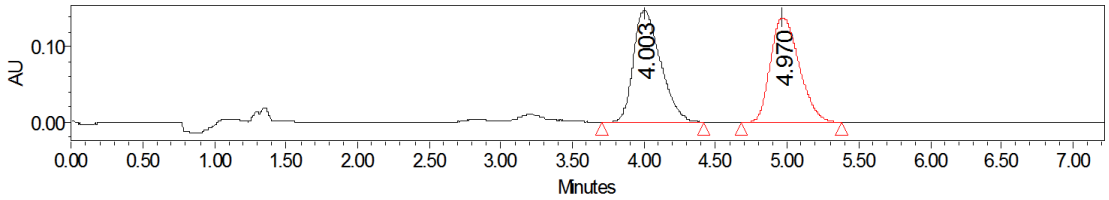
	Retention Time	Area	% Area
1	2.727	592177	2.85
2	3.793	20216256	97.15

***N*-[(3*S*,3a*S*)-3a-Cyclopropyl-2,4-dioxo-3-phenethyl-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**

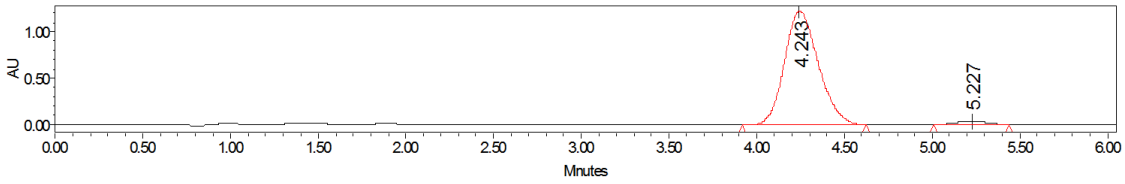


3ab

The compound **3ab** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 5/1) to afford a yellow oil in 91% yield. **UPCC** (Daicel Chiralcel **OJ**, $scCO_2$/MeOH = 90/10, flow rate 1.5 mL/min, λ = 254 nm), t (major) = 4.24 min, t (minor) = 5.23 min, ee = 94%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{23}_D$ = +299.4 (*c*: 0.37, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.73 – 7.65 (m, 1H), 7.58 – 7.51 (m, 2H), 7.46 – 7.30 (m, 5H), 7.27 – 7.20 (m, 5H), 7.15 – 7.10 (m, 2H), 6.51 (s, 1H), 3.32 – 3.09 (m, 2H), 2.88 – 2.64 (m, 2H), 1.49 (tt, J = 8.1, 5.3 Hz, 1H), 0.88 – 0.70 (m, 2H), 0.50 – 0.35 (m, 1H), 0.22 (dq, J = 10.2, 5.2 Hz, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 198.2, 173.2, 166.5, 160.8, 140.9, 137.2, 132.2, 132.1, 129.3, 128.8, 128.5, 127.0, 126.9, 126.8, 126.2, 123.6, 120.2, 79.7, 63.4, 35.3, 29.4, 12.7, 3.6, 0.5. **IR** 3361, 1781, 1720, 1656, 1604, 1580, 1519, 1485, 1455, 1293, 1139, 1088, 1030, 732, 700 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{28}H_{24}N_2O_4$ [(M+H$^+$)]** = 453.1809, Found 453.1810.

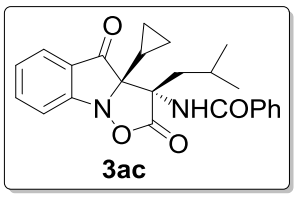


	Retention Time	Area	% Area
1	4.003	1968541	50.17
2	4.970	1954943	49.83



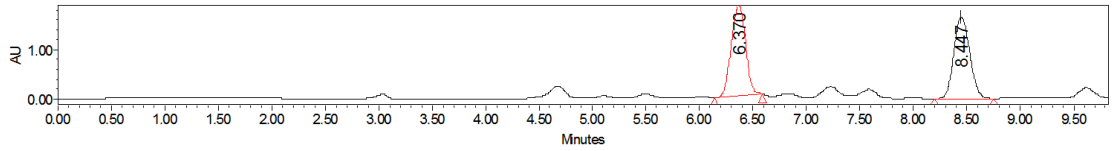
	Retention Time	Area	% Area
1	4.243	16616628	97.14
2	5.227	488879	2.86

***N*-[(3*S*,3a*S*)-3a-Cyclopropyl-3-isobutyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**

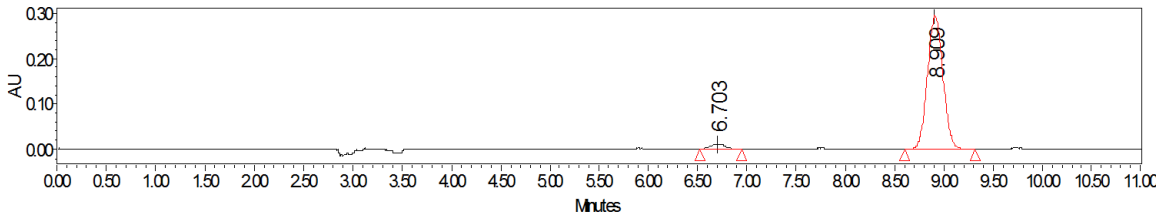


3ac

The compound **3ac** was purified by Aluminum oxide (neutral) (petroleum ether/ethyl acetate = 5/1) to afford a yellow oil in 95% yield. **UPCC** (Daicel Chiralcel **ODH**, $scCO_2$/MeOH = 80/20, flow rate 1.0 mL/min, λ = 254 nm), t (major) = 8.91 min, t (minor) = 6.70 min, ee = 93%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{20}_D$ = +334.4 (*c*: 0.49, in CH_2Cl_2). **^{1}H NMR** (400 MHz, MeOD) δ 7.84 – 7.78 (m, 1H), 7.68 – 7.58 (m, 4H), 7.57 – 7.51 (m, 1H), 7.48 – 7.41 (m, 3H), 2.46 (dd, J = 14.9, 4.2 Hz, 1H), 2.34 (dd, J = 14.9, 7.3 Hz, 1H), 2.24 (qd, J = 6.7, 4.2 Hz, 1H), 1.48 – 1.38 (m, 1H), 1.20 (d, J = 6.6 Hz, 3H), 1.05 (d, J = 6.6 Hz, 3H), 0.81 – 0.71 (m, 2H), 0.42 – 0.32 (m, 1H), -0.02 – -0.10 (m, 1H). **^{13}C{^{1}H} NMR** (101 MHz, MeOD) δ 199.7, 173.5, 170.3, 161.3, 137.9, 134.3, 133.1, 129.5, 129.2, 128.6, 124.5, 122.4, 79.4, 65.4, 42.9, 25.6, 25.0, 24.2, 14.0, 4.9, 0.5. **IR** 3372, 1786, 1722, 1657, 1605, 1524, 1486, 1294, 1175, 1157, 1140, 1135, 765, 750, 709 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{24}H_{24}N_2O_4$ [(M+H$^+$)]** = 405.1809. Found 405.1806.

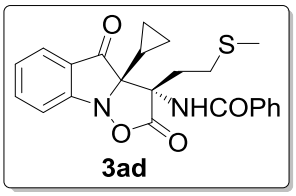


	Retention Time	Area	% Area
1	6.370	17271337	49.52
2	8.447	17605467	50.48



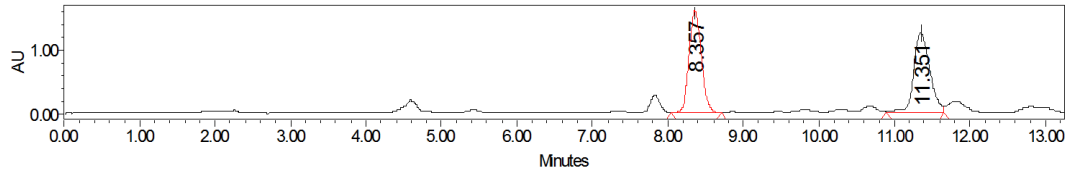
	Retention Time	Area	% Area
1	6.703	118897	3.54
2	8.909	3239699	96.46

***N*-[(3*S*,3a*S*)-3a-Cyclopropyl-3-(2-(methylthio)ethyl)-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**

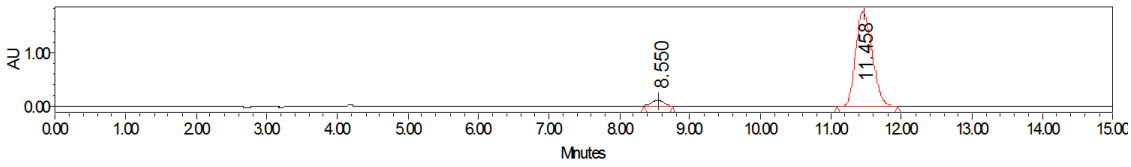


3ad

The compound **3ad** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 5/1) to afford a pale yellow oil in 99% yield. **UPCC** (Daicel Chiralcel **ODH**, $scCO_2$/MeOH = 80/20, 1.0 mL/min, λ = 254 nm), t (major) = 11.46 min, t (minor) = 8.55 min, ee = 91%. dr >19:1 (by ^1H NMR). $[\alpha]^{19}_D$ = +350.0 (*c*: 0.65, in CH_2Cl_2). **^1H NMR** (400 MHz, $CDCl_3$) δ 7.96 (s, 1H), 7.73 – 7.68 (m, 1H), 7.64 – 7.52 (m, 4H), 7.48 – 7.42 (m, 1H), 7.38 – 7.32 (m, 2H), 7.30 – 7.26 (m, 1H), 3.19 – 3.09 (m, 1H), 3.08 – 2.98 (m, 1H), 2.78 – 2.68 (m, 1H), 2.68 – 2.58 (m, 1H), 2.22 (s, 3H), 1.45 – 1.36 (m, 1H), 0.84 – 0.70 (m, 2H), 0.46 – 0.36 (m, 1H), 0.24 – 0.13 (m, 1H). **$^{13}C\{^1H\}$ NMR** (101 MHz, $CDCl_3$) δ 197.9, 173.4, 166.8, 160.5, 137.1, 132.2, 132.2, 128.6, 127.3, 127.1, 126.4, 123.6, 120.3, 79.0, 63.5, 32.3, 27.8, 16.0, 12.9, 3.6, 0.5. **IR** 3344, 1784, 1721, 1659, 1605, 1580, 1523, 1486, 1325, 1293, 1172, 1164, 1141, 768, 711cm^{-1}. **HRMS** (FTMS+c ESI) calcd for **$C_{23}H_{22}N_2O_4S$ [(M+H$^+$)]** = 423.1373. Found 423.1379.

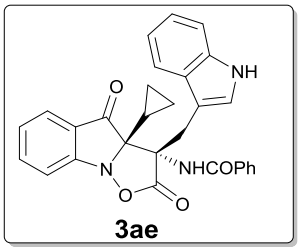


	Retention Time	Area	% Area
1	8.357	18580170	49.61
2	11.351	18873540	50.39



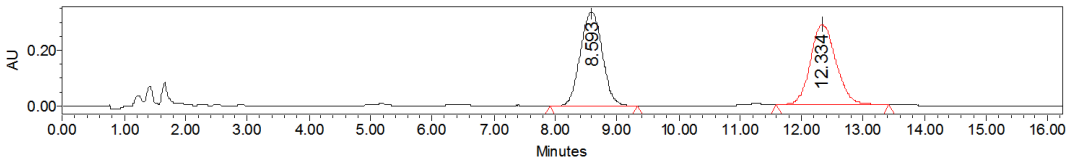
	Retention Time	Area	% Area
1	8.550	1291048	4.32
2	11.458	28611184	95.68

***N*-[(3*S*,3a*S*)-3-((1H-indol-3-yl)methyl)-3a-cyclopropyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**

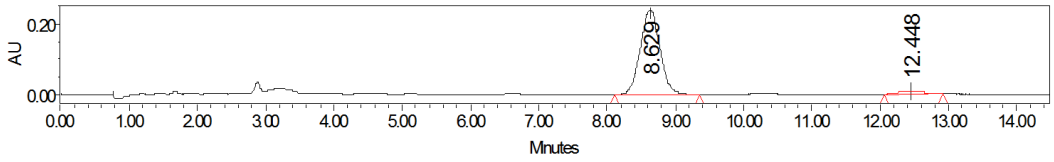


3ae

The compound **3ae** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 2/1) to afford a pale yellow solid in 84% yield. **UPCC** (Daicel Chiralcel. **OX**, $scCO_2$/MeOH = 80/20, 1.5 mL/min, λ = 254nm), t (major) = 8.63 min, t (minor) = 12.45 min, ee = 91%. dr >19:1 (by ^{1}H NMR). mp decomposed at 80 ºC. $[\alpha]^{23}_D$ = +343.3 (*c*: 0.24, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $(CD_3)_2CO$) δ 10.37 (s, 1H), 8.05 – 7.79 (m, 2H), 7.78 – 7.72 (m, 2H), 7.65 – 7.54 (m, 2H), 7.52 – 7.33 (m, 5H), 7.33 – 7.26 (m, 2H), 7.21 – 7.10 (m, 2H), 4.17 (dd, J = 15.7, 0.9 Hz, 1H), 3.86 (dd, J = 15.7, 0.8 Hz, 1H), 1.89 – 1.70 (m, 1H), 0.96 – 0.73 (m, 2H), 0.43 (tdd, J = 8.8, 6.1, 4.4 Hz, 1H), 0.14 (dtd, J = 9.7, 5.8, 4.5 Hz, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $(CD_3)_2CO$) δ 198.2, 173.6, 167.2, 161.3, 137.5, 137.2, 133.7, 132.7, 129.7, 129.1, 128.2, 128.0, 127.9, 126.1, 124.0, 122.5, 121.3, 120.2, 118.9, 112.6, 107.6, 79.2, 64.4, 31.0, 14.2, 4.2, 0.6. **IR** 3401,1787, 1721, 1651, 1604, 1514, 1480, 1459, 1295, 1253, 1175, 1141, 1102, 740, 708 cm^{-1}. **HRMS** (FTMS+c ESI) calcd for **$C_{29}H_{23}N_3O_4$ [(M+H$^+$)]** = 478.1761. Found 478.1767.

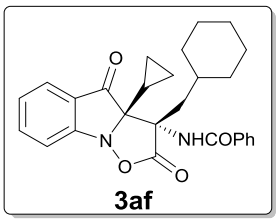


	Retention Time	Area	% Area
1	8.593	8323556	50.69
2	12.334	8096144	49.31



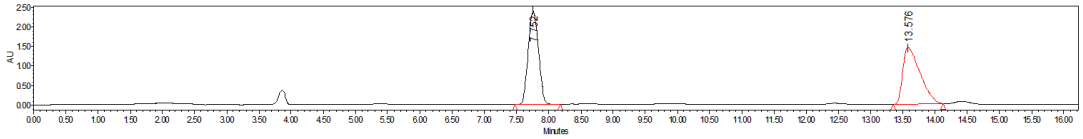
	Retention Time	Area	% Area
1	8.629	4831590	95.64
2	12.448	220198	4.36

***N*-[(3*S*,3a*S*)-3-(Cyclohexylmethyl)-3a-cyclopropyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**

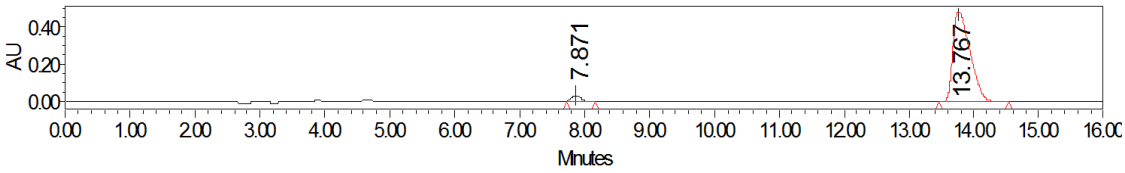


3af

The compound **3af** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 5/1) to afford a yellow oil in 81% yield. **UPCC** (Daicel Chiralcel **ODH**, $scCO_2$/MeOH = 80/20, 1.0 mL/min, λ = 254nm), t (major) = 13.77 min, t (minor) = 7.87 min, ee = 93%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{16}_D$ = +289.6 (*c*: 0.64, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.73 – 7.66 (m, 1H), 7.59 – 7.52 (m, 2H), 7.51 – 7.43 (m, 3H), 7.42 – 7.32 (m, 2H), 7.30 – 7.21 (m, 2H), 6.46 (s, 1H), 2.35 – 2.20 (m, 2H), 2.17 – 2.05 (m, 1H), 1.94 (dt, J = 12.5, 2.8 Hz, 1H), 1.87 – 1.77 (m, 1H), 1.77 – 1.61 (m, 3H), 1.46 – 1.31 (m, 3H), 1.25 – 1.10 (m, 3H), 0.87 – 0.67 (m, 2H), 0.48 – 0.35 (m, 1H), 0.15 (ddt, J = 9.7, 5.8, 4.8 Hz, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 198.5, 172.9, 166.6, 160.7, 137.1, 132.6, 132.2, 128.8, 127.1, 127.0, 126.5, 123.6, 120.4, 79.4, 63.4, 41.8, 36.1, 32.4, 26.4, 26.2, 26.0, 13.0, 3.9, 0.5. **IR** 3364, 1787, 1721, 1655, 1605, 1580, 1522, 1484, 1448, 1293, 1265, 1178, 1159, 1135, 848, 767, 732, 707 cm^{-1}. **HRMS** (FTMS+c ESI) calcd for **$C_{27}H_{28}N_2O_4$ [(M+H$^+$)]**= 445.2122. Found 445.2114.

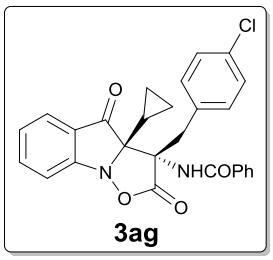


	Retention Time	Area	% Area
1	7.752	26586325	49.71
2	13.576	26897750	50.29

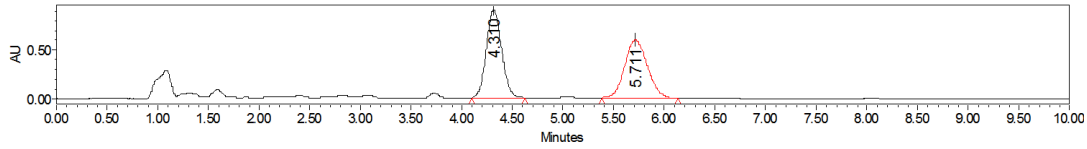


	Retention Time	Area	% Area
1	7.871	305724	3.36
2	13.767	8803146	96.64

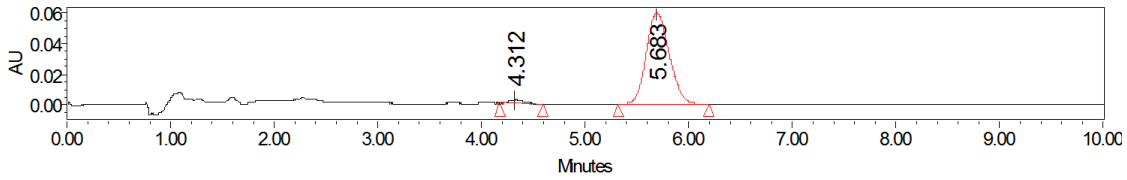
***N*-[(3*S*,3a*S*)-3-(4-Chlorobenzyl)-3a-cyclopropyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**



The compound **3ag** was purified by Aluminum oxide (neutral) (petroleum ether/ethyl acetate = 5/1) to afford a yellow solid in 86% yield. **UPCC** (Daicel Chiralcel **OJ**, $scCO_2$/MeOH = 80/20, flow rate 1.5 mL/min, λ = 254nm), t (major) = 5.68 min, t (minor) = 4.31 min, ee = 95%. dr >19:1 (by ^{1}H NMR). mp decomposed at 58 ℃. $[\alpha]^{19}_D$ = +284.6 (*c*: 0.60, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.76 – 7.698 (m, 1H), 7.61 – 7.54 (m, 2H), 7.49 – 7.36 (m, 5H), 7.33 – 7.26 (m, 5H), 6.40 (s, 1H), 3.96 (d, J = 15.3 Hz, 1H), 3.56 (d, J = 15.3 Hz, 1H), 1.63 (tt, J = 8.0, 5.3 Hz, 1H), 0.92 – 0.79 (m, 2H), 0.51 – 0.42 (m, 1H), 0.29 – 0.20 (m, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 198.0, 173.0, 166.7, 160.6, 137.3, 134.3, 132.3, 132.3, 132.2, 131.9, 129.2, 128.7, 127.3, 126.9, 126.4, 123.7, 120.5, 79.3, 62.8, 39.3, 13.7, 3.8, 0.8. **IR** 3369, 1769, 1728, 1656, 1605, 1580, 1523, 1485, 1298, 1176, 1141, 1097, 1016, 766, 710 cm^{-1}. **HRMS** (FTMS+c ESI) calcd for **$C_{27}H_{21}N_2O_4Cl$ [(M+H$^+$)]** = 473.1263, 475.1233. Found 473.1265, 475.1240.

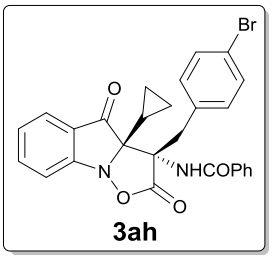


	Retention Time	Area	% Area
1	4.310	9406124	50.70
2	5.711	9146091	49.30

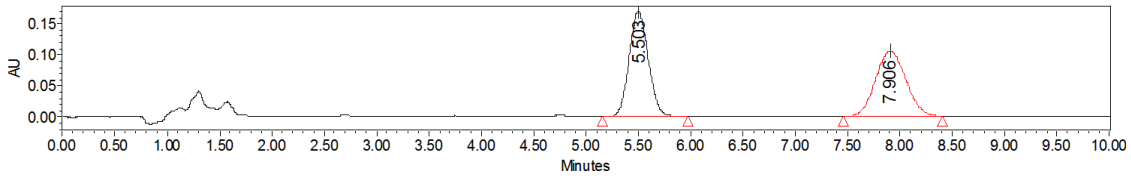


	Retention Time	Area	% Area
1	4.312	21958	2.37
2	5.683	905819	97.63

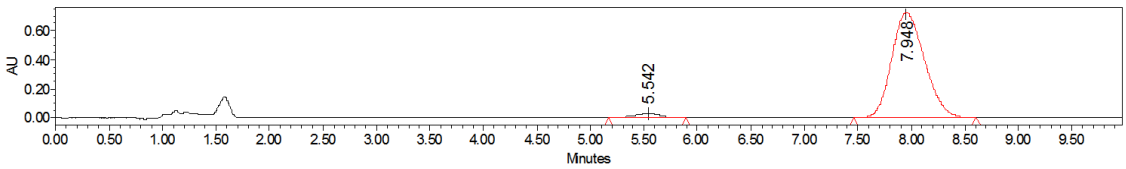
***N*-[(3*S*,3a*S*)-3-(4-Bromobenzyl)-3a-cyclopropyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**



The compound **3ah** was purified by Aluminum oxide (neutral) (petroleum ether/ethyl acetate = 5/1) to afford a yellow solid in 94% yield. **UPCC**(Daicel Chiralcel. **OJ**, $scCO_2$/MeOH = 80/20, flow rate 1.5 mL/min, λ = 254 nm), t (major) = 7.95 min, t (minor) = 5.55 min, ee = 94%. dr >19:1 (by ^{1}H NMR). mp decomposed at 56 ℃. $[\alpha]^{20}_D$ = +263.8 (*c*: 0.71, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.74 – 7.68 (m, 1H), 7.61 – 7.49 (m, 4H), 7.48 – 7.34 (m, 5H), 7.31 – 7.26 (m, 1H), 7.15 – 7.08 (m, 2H), 6.51 (s, 1H), 4.00 (d, J = 15.1 Hz, 1H), 3.57 (d, J = 15.1 Hz, 1H), 1.65 (tt, J = 8.0, 5.3 Hz, 1H), 0.94 – 0.79 (m, 2H), 0.52 – 0.42 (m, 1H), 0.30 – 0.19 (m, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 198.0, 173.2, 165.6, 160.6, 137.3, 133.2, 131.9, 131.3, 130.9, 129.2, 128.5, 128.4, 127.3, 127.0, 126.4, 123.6, 120.4, 79.4, 62.7, 40.0, 13.8, 3.8, 0.9. **IR** 3381, 2360, 2340, 1769, 1730, 1655, 1605, 1523, 1485, 1298, 1176, 1143, 1074, 1014, 765, 749, 710 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{27}H_{21}N_2O_4Br$ [(M+H$^+$)]** = 517. 0758, 519.0737. Found 517.0761, 519.0736.

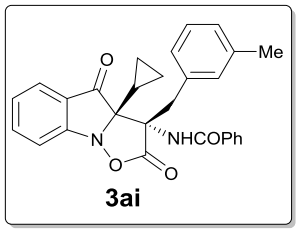


	Retention Time	Area	% Area
1	5.503	2140949	50.17
2	7.906	2126843	49.83

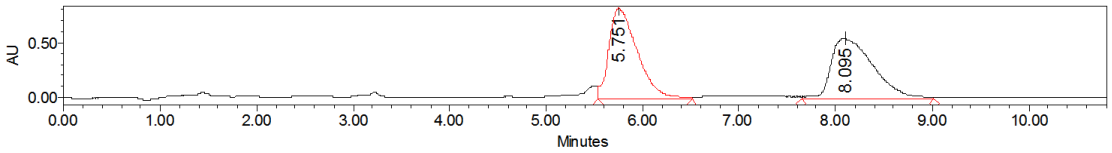


	Retention Time	Area	% Area
1	5.549	457790	2.89
2	7.953	15375050	97.11

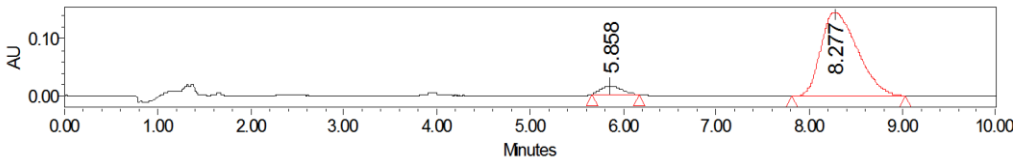
***N*-[(3*S*,3a*S*)-3a-Cyclopropyl-3-(3-methylbenzyl)-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**



The compound **3ai** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 5/1) to afford a yellow oil in 83% yield. **UPCC** (Daicel Chiralcel. **OJ**, $scCO_2$/MeOH = 90/10, flow rate 1.5 mL/min, λ = 254 nm), t (major) = 8.28 min, t (minor) = 5.86 min, ee = 90%. dr >19:1 (by ^1H NMR). $[\alpha]^{19}_D$ = +214.0 (*c*: 0.31, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.74 – 7.68 (m, 1H), 7.60 – 7.48 (m, 2H), 7.47 – 7.31 (m, 4H), 7.28 – 7.22 (m, 5H), 7.21 – 7.15 (m, 1H), 6.53 (s, 1H), 3.99 (d, J = 15.1 Hz, 1H), 3.53 (d, J = 15.2 Hz, 1H), 2.40 (s, 3H), 1.68 (tt, J = 8.1, 5.3 Hz, 1H), 0.98 – 0.75 (m, 2H), 0.54 – 0.42 (m, 1H), 0.34 – 0.19 (m, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 197.8, 173.5, 166.2, 160.7, 139.0, 137.2, 133.2, 132.5, 132.1, 131.7, 129.1, 129.0, 128.6, 127.8, 127.0, 126.9, 126.3, 123.6, 120.2, 79.6, 62.5, 40.0, 21.6, 13.9, 3.7, 0.8. **IR** 3409, 1792, 1722, 1662, 1605, 1581, 1517, 1484, 1293, 1268, 1173, 1137, 1102, 849, 767, 701 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{28}H_{24}N_2O_4$ [(M+H$^+$)]** = 453.1809. Found 453.1804.

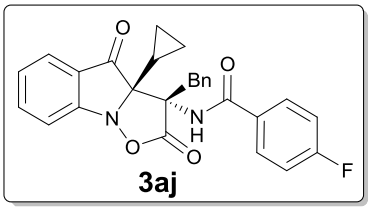


	Retention Time	Area	% Area
1	5.751	16743233	50.62
2	8.095	16335395	49.38

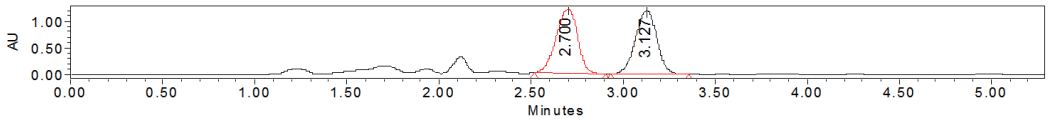


	Retention Time	Area	% Area
1	5.858	213398	5.08
2	8.277	3983455	94.92

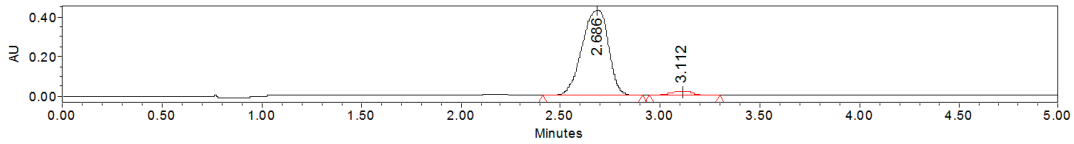
***N*-[(3*S*,3a*S*)-3-Benzyl-3a-cyclopropyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]-4-fluorobenzamide**



The compound **3aj** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 5/1) to afford a yellow oil in 94% yield. **UPCC** (Daicel Chiralcel. **OX**, $scCO_2$/MeOH = 80/20, flow rate 1.5 mL/min, λ = 254 nm), t (major) = 2.69 min, t (minor) = 3.11 min, ee = 92%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{19}_D$ = +385.8 (*c*: 0.41, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.75 – 7.69 (m, 1H), 7.62 – 7.48 (m, 4H), 7.48 – 7.42 (m, 2H), 7.41 – 7.35 (m, 1H), 7.31 – 7.23 (m, 4H), 6.94 (t, J = 8.5 Hz, 2H), 6.41 (s, 1H), 4.01 (d, J = 15.2 Hz, 1H), 3.58 (d, J = 15.2 Hz, 1H), 1.73 – 1.61 (m, 1H), 0.96 – 0.78 (m, 2H), 0.53 – 0.43 (m, 1H), 0.26 (dq, J = 10.2, 5.2 Hz, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 197.9, 173.4, 165.3, 165.1 (d, *J* = 253.5 Hz, 1C), 160.6, 137.2, 133.3, 130.9, 129.3 (d, *J* = 9.1 Hz, 1C), 129.2, 128.7 (d, *J* = 3.0 Hz, 1C), 128.3, 127.2, 126.4, 123.6, 120.4, 115.8 (d, *J* = 22.2 Hz, 1C), 79.4, 62.7, 40.0, 13.9, 3.8, 0.9. **^{19}F{^{1}H} NMR** (376 MHz, $CDCl_3$) δ −106.8. **IR** 3354, 1790, 1728, 1657, 1604, 1530, 1496,1293, 1236, 1159, 1136, 852, 766, 724, 701 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{27}H_{21}N_2O_4F$ [(M+H$^+$)]** = 457.1558, Found 457.1554.

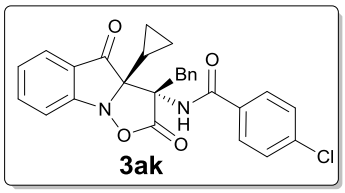


	Retention Time	Area	% Area
1	2.700	9707893	50.33
2	3.127	9579144	49.67



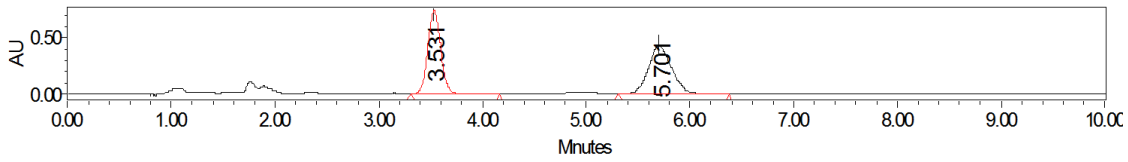
	Retention Time	Area	% Area
1	2.686	4002403	95.92
2	3.112	170406	4.08

***N*-[(3*S*,3a*S*)-3-Benzyl-3a-cyclopropyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]-4-chlorobenzamide**

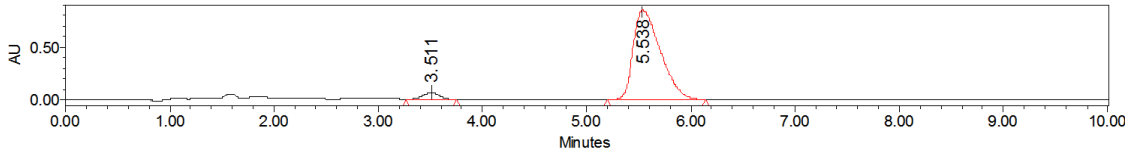


3ak

The compound **3ak** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 10/1) to afford a yellow oil in 96% yield. **UPCC** (Daicel Chiralcel. **OJ**, $scCO_2$/MeOH = 80/20, 1.5 mL/min, λ = 254 nm), t (major) = 5.54 min, t (minor) = 3.51 min, ee = 92%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{23}_D$ = +262.2 (*c*: 0.26, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.75 – 7.69 (m, 1H), 7.62 – 7.50 (m, 4H), 7.48 – 7.33 (m, 5H), 7.30 – 7.22 (m, 2H), 7.14 – 7.08 (m, 2H), 6.41 (s, 1H), 4.01 (d, J = 15.2 Hz, 1H), 3.57 (d, J = 15.2 Hz, 1H), 1.73 – 1.63 (m, 2H), 0.93 – 0.78 (m, 2H), 0.53 – 0.43 (m, 1H), 0.31 – 0.20 (m, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 197.1, 172.4, 164.6, 159.8, 137.7, 136.4, 132.4, 130.0, 130.0, 128.4, 128.2, 128.1, 128.1, 127.5, 126.4, 125.5, 122.8, 119.5, 78.5, 61.8, 39.1, 13.0, 2.9, 0.0. **IR** 3351, 1788, 1727, 1656, 1604, 1522, 1482, 1438, 1294, 1173, 1137, 1090, 1014, 847, 759, 723, 700 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{27}H_{21}N_2O_4Cl$ [(M+H$^+$)]** = 473.1263, 475.1233, Found 473.1263, 475.1240.

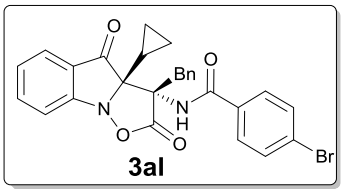


	Retention Time	Area	% Area
1	3.531	6480906	49.46
2	5.701	6623395	50.54

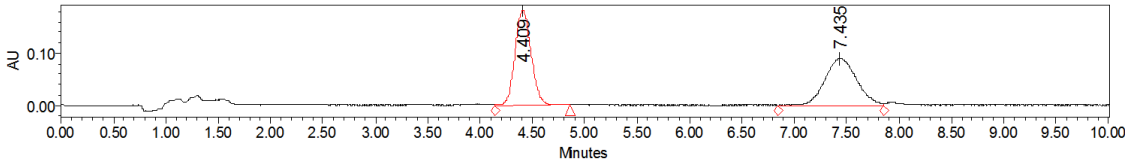


	Retention Time	Area	% Area
1	3.511	673103	4.20
2	5.538	15360420	95.80

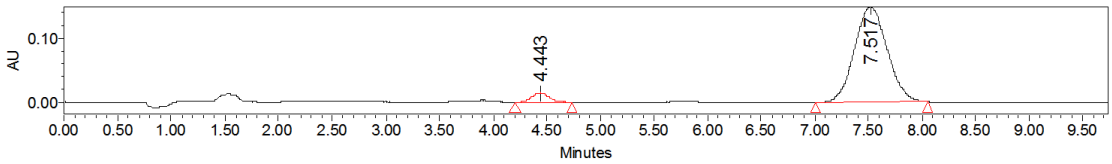
***N*-[(3*S*,3a*S*)-3-Benzyl-3a-cyclopropyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]-4-bromobenzamide**



The compound **3al** was purified by Aluminum oxide (neutral) (petroleum ether/ethyl acetate = 10/1) to afford a yellow oil in 82% yield. **UPCC** (Daicel Chiralcel **OJ**, $scCO_2$/MeOH = 80/20, 1.5 mL/min, λ = 254 nm), t (major) = 7.52 min, t (minor) = 4.44 min, ee = 91%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{20}_D$ = +241.6 (*c*: 0.43, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.76 – 7.69 (m, 1H), 7.62 – 7.52 (m, 4H), 7.45 – 7.37 (m, 3H), 7.35 – 7.27 (m, 5H), 6.45 (s, 1H), 3.95 (d, J = 15.2 Hz, 1H), 3.54 (d, J = 15.2 Hz, 1H), 1.67 – 1.58 (m, 1H), 0.94 – 0.79 (m, 2H), 0.51 – 0.43 (m, 1H), 0.28 – 0.21 (m, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 197.1, 172.2, 165.7, 159.8, 136.5, 131.7, 131.5, 131.5, 131.5, 131.3, 127.9, 126.5, 126.1, 125.5, 122.9, 121.6, 119.6, 78.5, 61.8, 43.1, 12.8, 2.9, 0.00. **IR** 3363, 1796, 1728, 1662, 1605, 151, 1523, 1479, 1296, 1173, 1138, 1010, 767, 756, 722, 700 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{27}H_{21}N_2O_4Br$ [(M+H$^+$)]** = 517.0758, 519.0737, Found 517.0761, 519.0736.

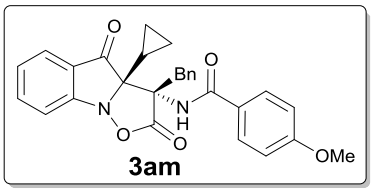


	Retention Time	Area	% Area
1	4.409	1938317	50.59
2	7.435	1893257	49.41



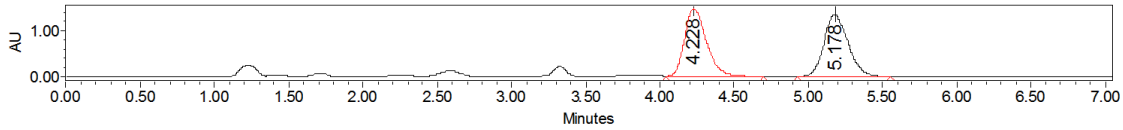
	Retention Time	Area	% Area
1	4.443	153767	4.69
2	7.517	3122205	95.31

***N*-[(3*S*,3a*S*)-3-Benzyl-3a-cyclopropyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]-4-methoxybenzamide**

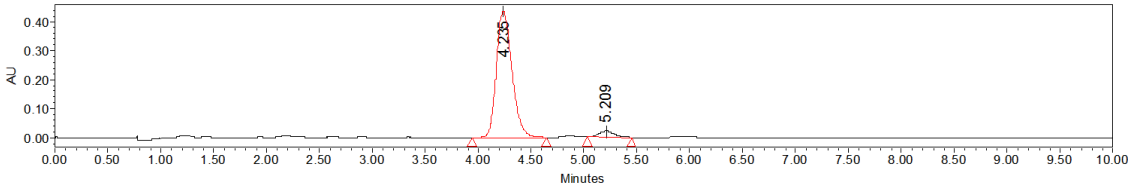


3am

The compound **3am** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 5/1) to afford a yellow oil in 65% yield. **UPCC** (Daicel Chiralcel. **OX**, $scCO_2$/MeOH = 80/20, 1.5 mL/min, λ = 254 nm), t (major) = 4.23 min, t (minor) = 5.21 min, ee = 91%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{19}_D$ = +256.5 (*c*: 0.43, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.74 – 7.67 (m, 1H), 7.59 – 7.52 (m, 4H), 7.48 – 7.41 (m, 2H), 7.40 – 7.34 (m, 1H), 7.30 – 7.22 (m, 2H), 7.18 – 7.10 (m, 2H), 7.09 – 7.00 (m, 2H), 6.42 (s, 1H), 4.01 (d, J = 15.2 Hz, 1H), 3.57 (d, J = 15.2 Hz, 1H), 2.30 (s, 3H), 1.73 – 1.62 (m, 1H), 0.93 – 0.78 (m, 2H), 0.54 – 0.42 (m, 1H), 0.27 (dq, J = 10.3, 5.2 Hz, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 197.8, 173.6, 166.2, 160.7, 142.7, 137.2, 133.4, 130.9, 129.6, 129.3, 129.2, 128.2, 127.0, 126.9, 126.3, 123.6, 120.21, 79.7, 62.6, 40.1, 21.6, 13.9, 3.7, 0.8. **IR** 3356, 1790, 1724, 1656, 1606, 1527, 1494, 1294, 1174, 1138, 752, 724, 701 cm^{-1}. **HRMS** (FTMS+c ESI) calcd for **$C_{28}H_{24}N_2O_5$ [(M+H$^+$)]** = 469.1758. Found 469.1759.

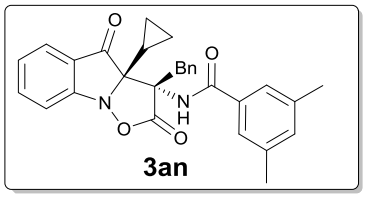


	Retention Time	Area	% Area
1	4.228	4.228	4.228
2	5.178	5.178	5.178

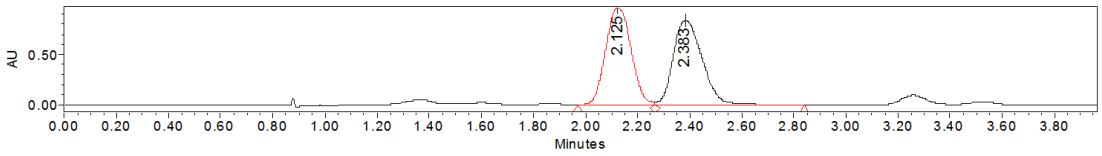


	Retention Time	Area	% Area
1	4.235	4484233	95.48
2	5.209	212440	4.52

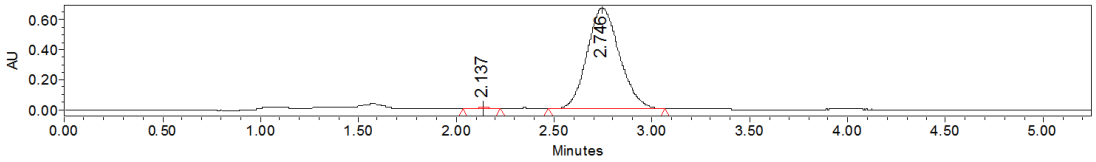
***N*-[(3*S*,3a*S*)-3-Benzyl-3a-cyclopropyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]-3,5-dimethylbenzamide**



The compound **3an** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 5/1) to afford a t yellow oil in 83% yield. **UPCC** (Daicel Chiralcel. **OJ**, $scCO_2$/MeOH = 80/20, 1.5 mL/min, λ = 254 nm), t (major) = 2.75 min, (minor) = 2.14 min, ee = 99%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{20}_D$ = +288.6 (*c*: 0.53, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.75 – 7.68 (ddd, J = 8.2, 7.2, 1.3 Hz, 1H), 7.63 – 7.50 (m, 4H), 7.49 – 7.42 (m, 2H), 7.41 – 7.36 (m, 1H), 7.31 – 7.25 (m, 2H), 7.01 (s, 1H), 6.83 (s, 2H), 6.43 (s, 1H), 4.01 (d, J = 15.1 Hz, 1H), 3.57 (d, J = 15.1 Hz, 1H), 2.20 (s, 6H), 1.72 – 1.61 (m, 1H), 0.95 – 0.77 (m, 2H), 0.52 – 0.43 (m, 1H), 0.30 – 0.22 (m, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 166.7, 160.6, 138.3, 137.1, 133.7, 133.4, 132.4, 131.0, 129.1, 128.2, 127.1, 126.4, 124.7, 123.7, 120.3, 79.4, 62.5, 40.0, 21.2, 21.2, 13.9, 3.7, 0.9. **IR** 3413, 1790, 1726, 1661, 1509, 1472, 1323, 1240, 1172, 1136, 858, 767, 722, 701 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{29}H_{26}N_2O_4$ [(M+H$^+$)]** = 467.1965, Found 467.1962.

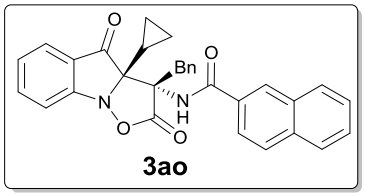


	Retention Time	Area	% Area
1	2.125	6490525	50.28
2	2.383	6418487	49.72

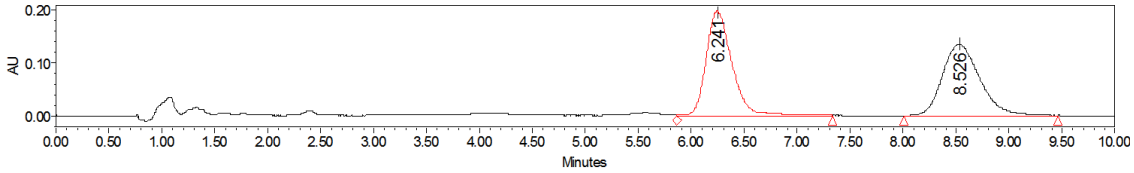


	Retention Time	Area	% Area
1	2.137	30114	0.39
2	2.746	7769718	99.61

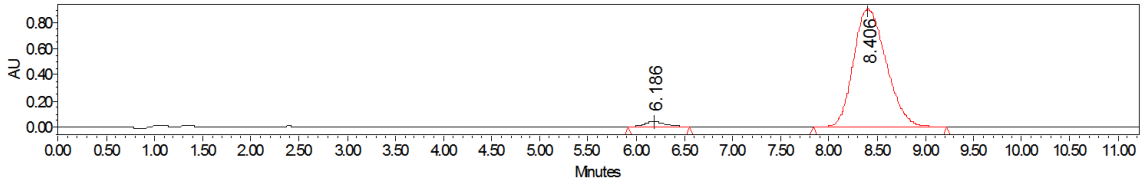
***N*-[(3*S*,3a*S*)-3-Benzyl-3a-cyclopropyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]-2-naphthamide**



The compound **3ao** was purified by Aluminum oxide (neutral) (petroleum ether/ethyl acetate = 5/1) to afford a yellow oil in 78% yield. **UPCC** (Daicel Chiralcel. **OJ**, $scCO_2$/MeOH = 80/20, 1.5 mL/min, λ = 254 nm), t (major) = 8.41 min, t (minor) = 6.19 min, ee = 94%. dr >19:1 (by ^1H NMR). $[\alpha]^{23}_D$ = +209.1 (*c*: 0.23, in CH_2Cl_2). **^1H NMR** (400 MHz, $CDCl_3$) δ 7.85 – 7.67 (m, 5H), 7.64 – 7.54 (m, 4H), 7.53 – 7.44 (m, 4H), 7.44 – 7.37 (m, 1H), 7.32 – 7.25 (m, 2H), 6.64 (s, 1H), 4.05 (d, J = 15.1 Hz, 1H), 3.62 (d, J = 15.1 Hz, 1H), 1.70 (tt, J = 8.0, 5.3 Hz, 1H), 0.97 – 0.80 (m, 2H), 0.54 – 0.44 (m, 1H), 0.33 – 0.24 (m, 1H). **$^{13}C\{^1H\}$ NMR** (101 MHz, $CDCl_3$) δ 197.0, 172.6, 165.5, 159.8, 136.3, 134.1, 132.5, 131.5, 130.1, 128.8, 128.3, 128.2, 127.7, 127.4, 127.2, 126.9, 126.9, 126.2, 126.0, 125.5, 122.8, 122.2, 119.4, 78.7, 61.8, 39.2, 13.0, 2.8, 0.0. **IR** 3413, 1789, 1725, 1660, 1605, 1519, 1498, 1436, 1296, 1173, 1138, 777, 723, 701, 660 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{31}H_{24}N_2O_4$ [$(M+H^+)$]** = 489.1809. Found 489.1806.

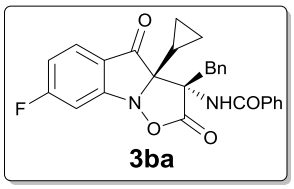


	Retention Time	Area	% Area
1	6.241	3298237	50.13
2	8.526	3280843	49.87

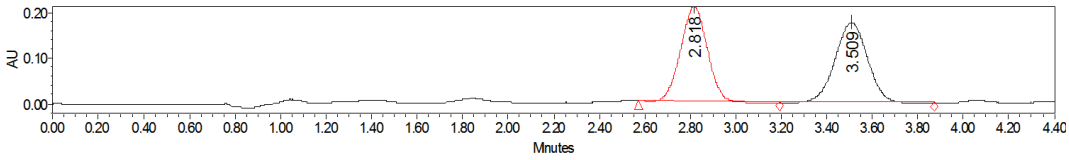


	Retention Time	Area	% Area
1	6.186	654474	2.98
2	8.406	21276720	97.02

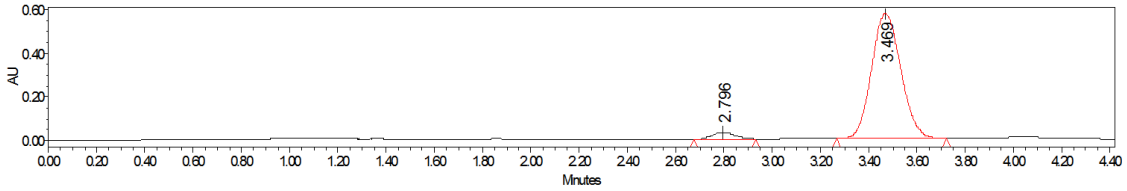
***N*-[(3*S*,3a*S*)-3-Benzyl-3a-cyclopropyl-7-fluoro-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**



The compound **3ba** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 5/1) to afford a pale yellow oil in 95% yield. **UPCC** (Daicel Chiralcel. **OJ**, $scCO_2$/MeOH = 85/15, 1.5 mL/min, λ = 254 nm), t (major) = 3.47 min, t (minor) = 2.80 min, ee = 92%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{19}_D$ = +235.2 (*c*: 0.42, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.63 – 7.50 (m, 3H), 7.49 – 7.32 (m, 4H), 7.31 – 7.22 (m, 5H), 6.94 (td, J = 8.6, 2.2 Hz, 1H), 6.47 (s, 1H), 4.00 (d, J = 15.3 Hz, 1H), 3.58 (d, J = 15.3 Hz, 1H), 1.70 – 1.62 (m, 1H), 0.91 – 0.77 (m, 2H), 0.56 – 0.44 (m, 1H), 0.35 – 0.26 (m, 1H). **^{13}C{^{1}H}NMR** (101 MHz, $CDCl_3$) δ 195.1, 172.6, 167.8 (d, *J* = 259.6 Hz, 1C), 165.4, 162.0 (d, *J* = 13.1 Hz, 1C), 132.3, 131.4, 131.3, 123.0, 128.5, 127.8, 127.5, 126.0, 124.9 (d, *J* = 11.1 Hz, 1C), 121.5, 114.6 (d, *J* = 24.2 Hz, 1C), 106.2 (d, *J* = 26.3 Hz, 1C), 79.7, 61.4, 39.2, 13.0, 2.7, 0.0. **^{19}F{^{1}H} NMR** (376 MHz, $CDCl_3$) δ −97.4. **IR** 3350, 1796, 1727, 1659, 1599, 1517, 1483, 1314, 1270, 1229, 1139, 1063, 700, 638 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{27}H_{21}N_2O_4F$ [(M+H$^+$)]** = 457.1558. Found 457.1559.

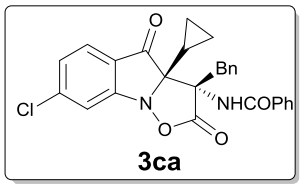


	Retention Time	Area	% Area
1	2.818	1694422	49.79
2	3.509	1708547	50.21

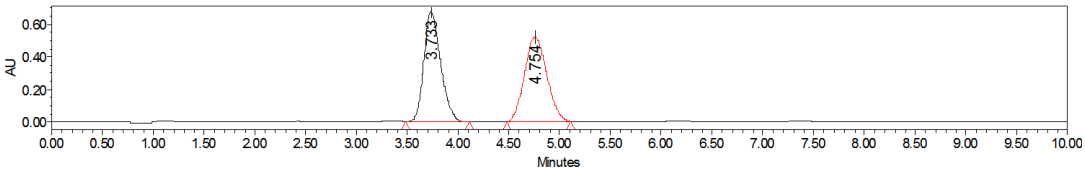


	Retention Time	Area	% Area
1	2.796	203350	4.05
2	3.469	4819902	95.95

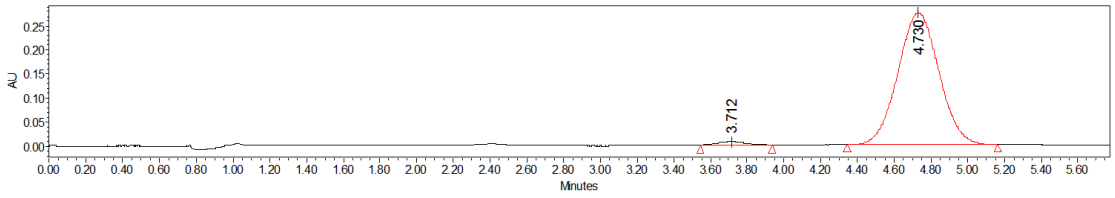
***N*-[(3*S*,3a*S*)-3-Benzyl-7-chloro-3a-cyclopropyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**



The compound **3ca** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 5/1) to afford a pale yellow oil in 73% yield. **UPCC** (Daicel Chiralcel. **OJ**, $scCO_2$/MeOH = 85/15, 1.5 mL/min, λ = 254nm), t (major) = 4.73 min, t (minor) = 3.71 min, ee = 96%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{19}_D$ = +392.4 (*c*: 0.36, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.62 – 7.51 (m, 3H), 7.50 – 7.35 (m, 5H), 7.30 – 7.25 (m, 4H), 7.23 (dd, J = 8.2, 1.7 Hz, 1H), 6.51 (s, 1H), 3.99 (d, J = 15.3 Hz, 1H), 3.58 (d, J = 15.3 Hz, 1H), 1.69 – 1.59 (m, 1H), 0.92 – 0.78 (m, 2H), 0.55 – 0.43 (m, 1H), 0.31 – 0.21 (m, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 196.5, 173.2, 166.5, 161.3, 143.6, 133.2, 132.4, 132.1, 130.8, 129.3, 128.7, 128.4, 127.9, 126.9, 124.8, 124.5, 120.5, 79.9, 62.4, 40.0, 14.0, 3.7, 0.8. **IR** 3350, 1797, 1726, 1659, 1598, 151, 1481, 1314, 1137, 1063, 710, 698 cm^{-1}. **HRMS** (FTMS+cESI) caled for **$C_{27}H_{21}N_2O_4Cl$ [(M+H$^+$)]** = 473.1263, 475.1233. Found 473.1256, 475.1229.

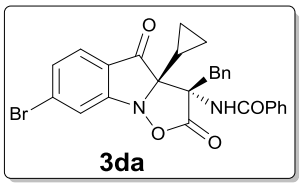


	Retention Time	Area	% Area
1	3.733	7635204	49.23
2	4.754	7874066	50.77

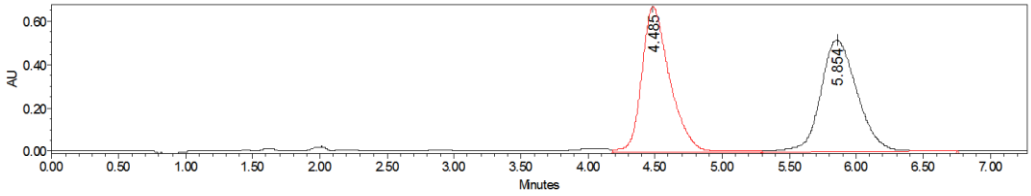


	Retention Time	Area	% Area
1	3.712	81532	1.88
2	4.730	4246430	98.12

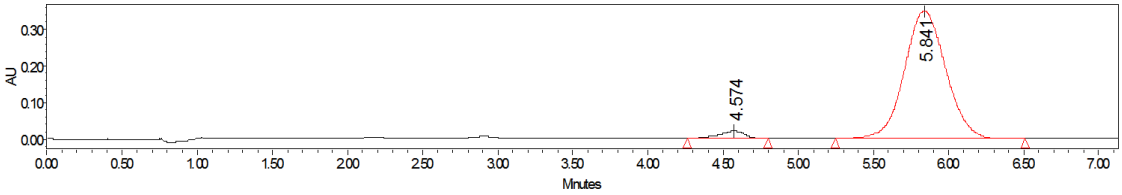
***N*-[(3*S*,3a*S*)-3-Benzyl-7-bromo-3a-cyclopropyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**



The compound **3da** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 5/1) to afford a yellow oil in 82% yield. **UPCC** (Daicel Chiralcel. **OJ**, $scCO_2$/MeOH = 85/15, 1.5 mL/min, λ = 254 nm), t (major) = 5.84 min, t (minor) = 4.57 min, ee = 93%. dr >19:1 (by ^1H NMR). $[\alpha]^{23}_D$ = +345.5 (*c*: 0.22, in CH_2Cl_2). **^1H NMR** (400 MHz, $CDCl_3$) δ 7.76 (s, 1H), 7.56 – 7.51 (m, 2H), 7.49 – 7.34 (m, 6H), 7.31 – 7.26 (m, 4H), 6.52 (s, 1H), 3.98 (d, J = 15.2 Hz, 1H), 3.58 (d, J = 15.2 Hz, 1H), 1.67 – 1.58 (m, 1H), 0.91 – 0.78 (m, 2H), 0.53 – 0.43 (m, 1H), 0.30 – 0.20 (m, 1H). **$^{13}C\{^1H\}$ NMR** (101 MHz, $CDCl_3$) δ 196.7, 173.2, 166.5, 161.2, 133.2, 132.4, 132.2, 132.1, 130.8, 130.7, 129.3, 128.7, 128.4, 126.9 125.3, 124.6, 123.5, 79.6, 62.4, 40.0, 13.9, 3.8, 0.8. **IR** 3340,1795, 1724, 1656, 1596, 1514, 1481, 1137, 734, 701 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{27}H_{21}N_2O_4Br$ [$(M+H^+)$]** = 517.0757, 519.0737. Found 517.0766, 519.0745.

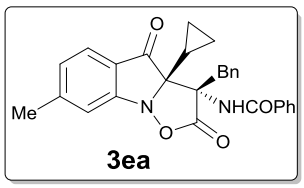


	Retention Time	Area	% Area
1	4.485	9695252	50.15
2	5.854	9638151	49.85

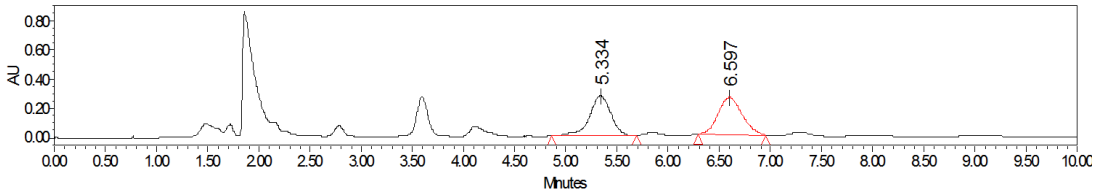


	Retention Time	Area	% Area
1	4.574	247336	3.57
2	5.841	6679215	96.43

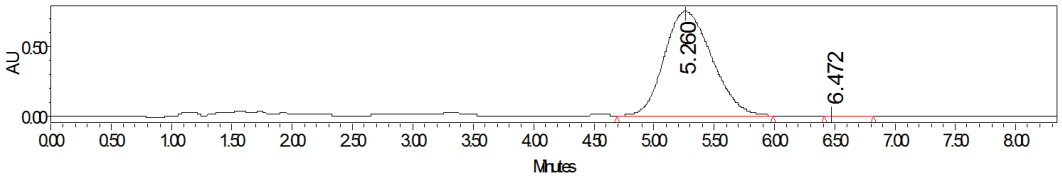
***N*-[(3*S*,3a*S*)-3-Benzyl-3a-cyclopropyl-7-methyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**



The compound **3ea** was purified by Aluminum oxide (neutral) (petroleum ether/ethyl acetate = 3/1) to afford a yellow oil in 92% yield. **UPCC** (Daicel Chiralcel. **OJ**, $scCO_2$/MeOH = 85/15, 1.5 mL/min, λ = 254 nm), t (major) = 5.26 min, t (minor) = 6.47 min, ee = 99%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{23}_D$ = +175.5 (*c*: 0.10, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.58 – 7.51 (m, 2H), 7.48 – 7.34 (m, 6H), 7.28 – 7.24 (m, 4H), 7.07 (dd, J = 8.0, 1.3 Hz, 1H), 6.47 (s, 1H), 4.02 (d, J = 15.2 Hz, 1H), 3.57 (d, J = 15.2 Hz, 1H), 2.48 (s, 3H), 1.74 – 1.60 (m, 1H), 0.92 – 0.77 (m, 2H), 0.53 – 0.40 (m, 1H), 0.32 – 0.19 (m, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 197.3, 173.6, 166.3, 161.1, 149.1, 133.4, 132.5, 132.1, 130.9, 129.2, 128.6, 128.2, 126.9, 124.1, 123.4, 120.4, 79.7, 62.6, 40.1, 22.6, 13.9, 3.7, 0.8. **IR** 3350, 1792, 1717, 1658, 112, 1580, 1520, 1485, 1454, 1314, 1268, 1229, 1177, 1139, 128, 733, 700 cm^{-1}. **HRMS** (FTMS+c ESI) calcd for **$C_{28}H_{24}N_2O_4$ [(M+H$^+$)]** = 453.1829. Found 453.1806.

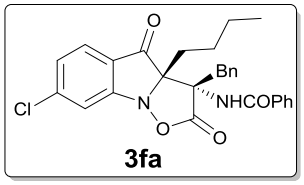


	Retention Time	Area	% Area
1	5.334	3956964	49.29
2	6.597	4070922	50.71

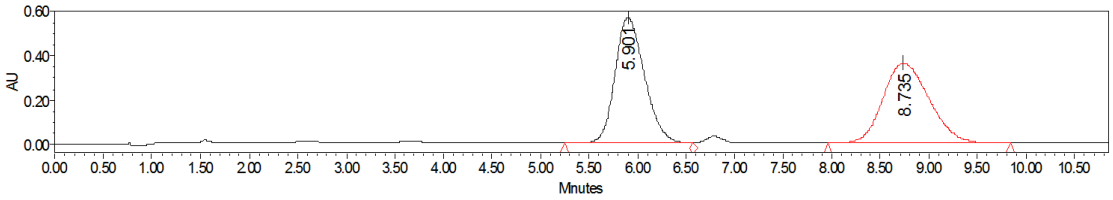


	Retention Time	Area	% Area
1	5.260	20494484	99.99
2	6.472	1220	0.01

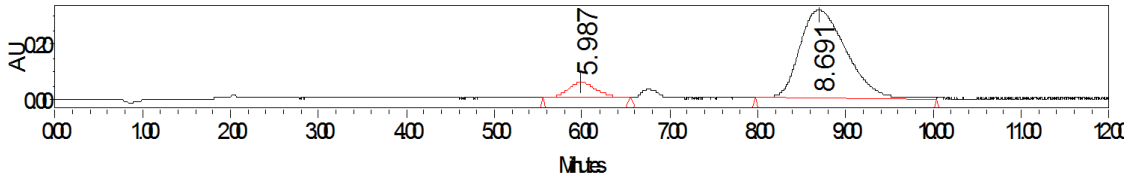
***N*-[(3*S*,3a*S*)-3-Benzyl-3a-butyl-7-chloro-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**



The compound **3fa** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 10/1) to afford a yellow oil in 88% yield. **UPCC** (Daicel Chiralcel **OJ**, $scCO_2$/MeOH = 90/10, 1.5 mL/min, λ = 254 nm), t (major) = 8.69 min, t (minor) = 5.99 min, ee = 80%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{19}_D$ = +319.9 (*c*: 0.45, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.64 (d, J = 1.6 Hz, 1H), 7.55 – 7.34 (m, 7H), 7.29 – 7.23 (m, 3H), 7.22 – 7.15 (m, 3H), 6.26 (s, 1H), 3.61 (d, J = 15.0 Hz, 1H), 3.43 (d, J = 15.0 Hz, 1H), 2.35 (td, J = 12.3, 4.5 Hz, 1H), 2.16 (td, J = 12.5, 3.6 Hz, 1H), 1.40 – 1.31 (m, 2H), 1.31 – 1.16 (m, 2H), 1.07 – 0.95 (m, 1H), 0.85 (t, J = 7.2 Hz, 3H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 196.8, 173.0, 166.1, 161.9, 143.8, 132.8, 132.3, 132.2, 131.0, 129.3, 128.7, 128.4, 127.8, 126.8, 125.4, 124.0, 120.6, 81.8, 62.2, 39.6, 34.0, 25.9, 23.2, 13.9. **IR** 3340, 1793, 1726, 1656, 1599, 1523, 1485, 1457, 1425, 1316, 1154, 1062, 937, 700 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{28}H_{25}ClN_2O_4$ [(M+H$^+$)]** = 489.1576, 491.1546. Found 489.1877, 491.1541.

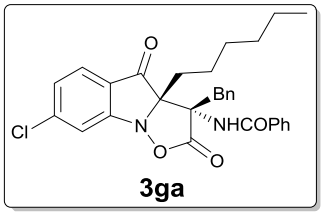


	Retention Time	Area	% Area
1	5.901	11938359	50.13
2	8.735	11878136	49.87

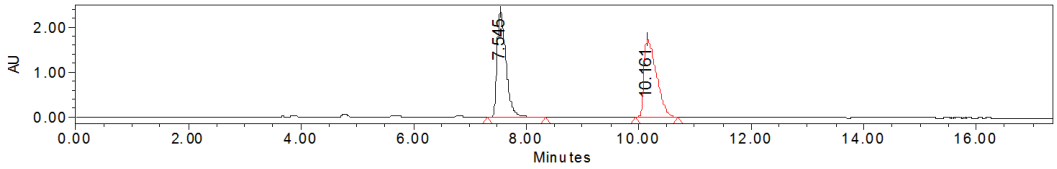


	Retention Time	Area	% Area
1	5.987	1285149	10.06
2	8.691	11487303	89.94

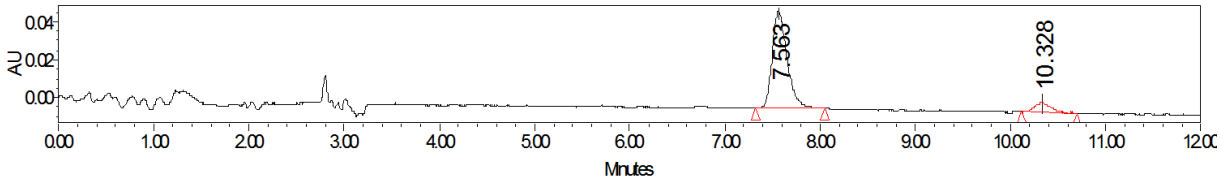
***N*-[(3*S*,3a*S*)-3-Benzyl-7-chloro-3a-hexyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**



The compound **3ga** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 10/1) to afford a yellow oil in 82% yield. **UPCC** (Daicel Chiralcel **ODH**, $scCO_2$/MeOH = 60/40, 1.0 mL/min, λ = 254 nm), t (major) = 7.56 min, t (minor) = 10.33 min, ee = 80%. dr >19:1 (by ^1H NMR). $[\alpha]^{19}_D$ = +321.5 (*c*: 0.40, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.63 (d, J = 1.6 Hz, 1H), 7.50 – 7.35 (m, 7H), 7.28 – 7.23 (m, 2H), 7.22 – 7.15 (m, 3H), 6.26 (s, 1H), 3.60 (d, J = 15.0 Hz, 1H), 3.43 (d, J = 15.0 Hz, 1H), 2.45 – 2.25 (m, 1H), 2.15 (td, J = 12.5, 3.1 Hz, 1H), 1.33 – 1.18 (m, 7H), 1.08 – 0.97 (m, 1H), 0.84 (t, J = 6.8 Hz, 3H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 13C NMR (101 MHz, CDCl3) δ 196.8, 173.0, 166.1, 161.9, 143.7, 132.8, 132.3, 132.2, 131.0, 129.2, 128.7, 128.4, 127.7, 126.8, 125.4, 124.0, 120.5, 81.9, 62.2, 39.6, 34.2, 31.5, 29.7, 23.8, 22.6, 14.1. **IR** 3338, 1794, 1726, 1655, 1599, 1525, 1486, 1456, 1316, 1157, 1062, 700 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{30}H_{29}ClN_2O_4$ [(M+H$^+$)]** = 517.1889, 519.1859. Found 517.1890, 519.1851.

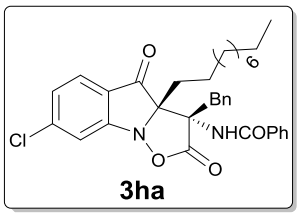


	Retention Time	Area	% Area
1	7.545	26170315	49.51
2	10.161	26685709	50.49

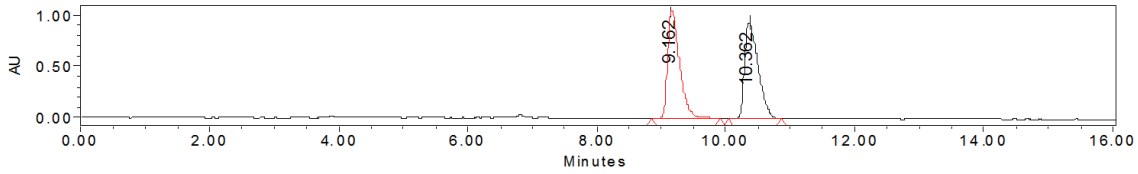


	Retention Time	Area	% Area
1	7.563	553280	90.19
2	10.328	60148	9.81

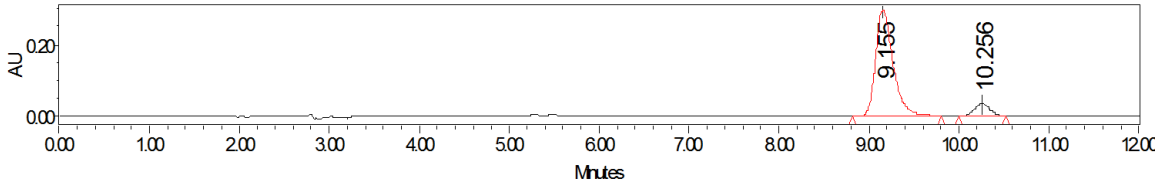
***N*-[(3*S*,3a*S*)-3-Benzyl-7-chloro-3a-decyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**



The compound **3ha** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 10/1) to afford a yellow oil in 90% yield. **UPCC** (Daicel Chiralcel **ODH**, $scCO_2$/MeOH = 60/40, 1.0 mL/min, λ = 254 nm), t (major) = 9.15 min, t (minor) = 10.26 min, ee = 81%. dr >19:1 (by ^1H NMR). $[\alpha]^{19}_D$ = +331.6 (*c*: 0.72, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.63 (d, J = 1.6 Hz, 1H), 7.51 – 7.34 (m, 7H), 7.29 – 7.22 (m, 2H), 7.22 – 7.15 (m, 3H), 6.28 (s, 1H), 3.60 (d, J = 15.0 Hz, 1H), 3.43 (d, J = 15.0 Hz, 1H), 2.40 – 2.27 (m, 1H), 2.15 (td, J = 12.5, 3.0 Hz, 1H), 1.31 – 1.16 (m, 15H), 1.08 – 0.97 (m, 1H), 0.86 (t, J = 6.8 Hz, 3H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 196.8, 173.0, 166.1, 161.9, 143.7, 132.8, 132.3, 132.2, 131.0, 129.2, 128.7, 128.4, 127.7, 126.8, 125.4, 124.0, 120.5, 81.9, 62.2, 39.6, 34.2, 32.0, 30.0, 29.6, 29.56, 29.4, 23.9, 22.8, 14.2. **IR** 3348, 1794, 1727, 1653, 1599, 1524, 1486, 1457, 1316, 1158, 1062, 700 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{34}H_{37}ClN_2O_4$ [(M+H$^+$)]** = 573.2515, 575.2485. Found 573.2510, 575.2487.

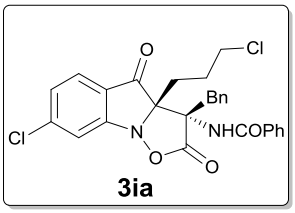


	Retention Time	Area	% Area
1	9.162	13427959	49.77
2	10.362	13553975	50.23



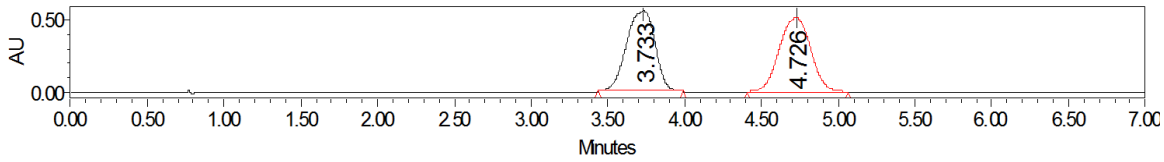
	Retention Time	Area	% Area
1	9.155	3999246	90.51
2	10.256	419362	9.49

***N*-[(3*S*,3a*S*)-3-Benzyl-7-chloro-3a-(3-chloropropyl)-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**

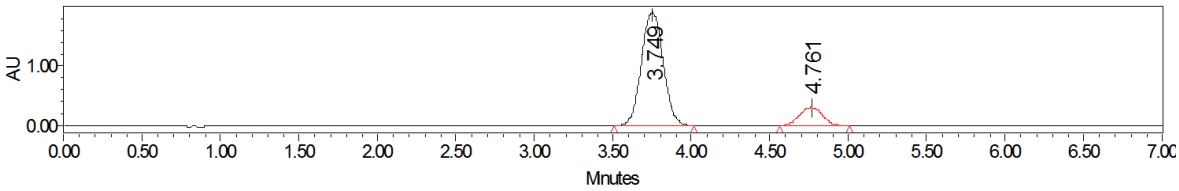


3ia

The compound **3ia** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 5/1) to afford a yellow oil in 99% yield. **UPCC** (Daicel Chiralcel **OX**, $scCO_2$/MeOH = 80/20, 1.5 mL/min, λ = 254 nm), t (major) = 3.75 min, t (minor) = 4.76 min, ee = 71%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{20}_D$ = +293.7 (*c*: 1.01, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.64 (d, *J* = 1.7 Hz, 1H), 7.52 – 7.35 (m, 7H), 7.28 – 7.24 (m, 3H), 7.22 – 7.16 (m, 3H), 6.30 (s, 1H), 3.60 (d, *J* = 15.1 Hz, 1H), 3.53 (t, *J* = 6.2 Hz, 2H), 3.45 (d, *J* = 15.0 Hz, 1H), 2.52 (ddd, *J* = 13.0, 11.6, 4.6 Hz, 1H), 2.35 (td, *J* = 12.4, 3.9 Hz, 1H), 1.83 – 1.70 (m, 1H), 1.60 – 1.47 (m, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 196.2, 172.8, 166.1, 161.8, 144.1, 132.5, 132.4, 132.0, 131.0, 129.3, 128.7, 128.5, 127.9, 126.8, 125.1, 124.1, 120.6, 81.4, 62.2, 44.6, 39.7, 31.6, 26.9. **IR** 3351, 1792, 1725, 1656, 1598, 1523, 1485, 456, 1426, 1316, 1267, 1221, 158, 1135, 1063 700 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{27}H_{22}Cl_2N_2O_4$ [(M+H$^+$)]** = 509.1029, 511.1000, 513.0970. Found 509.1017, 511.0987, 513.0972.

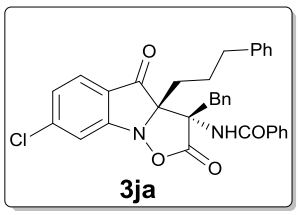


	Retention Time	Area	% Area
1	3.733	7225243	49.95
2	4.726	7239162	50.05



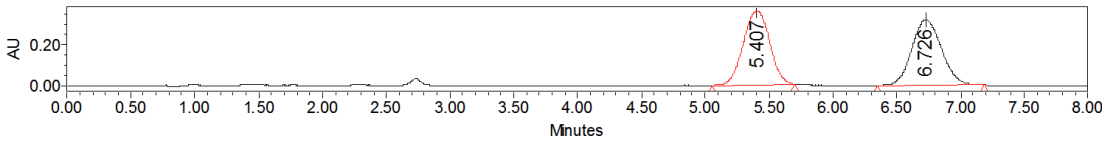
	Retention Time	Area	% Area
1	3.749	18252666	85.32
2	4.761	3139470	14.68

***N*-[(3*S*,3a*S*)-3-Benzyl-7-chloro-2,4-dioxo-3a-(3-phenylpropyl)-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**

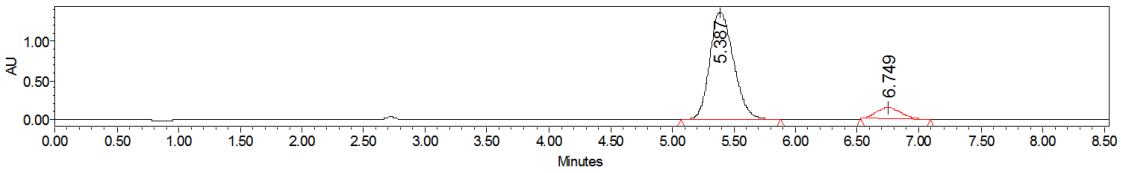


3ja

The compound **3ja** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 10/1) to afford a yellow oil in 99% yield. **UPCC** (Daicel Chiralcel **OX**, $scCO_2$/MeOH = 80/20, 1.5 mL/min, λ = 254nm), t (major) = 5.39 min, t (minor) = 6.75 min, ee = 80%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{19}_D$ = +261.2 (*c*: 1.03, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.61 (d, J = 1.7 Hz, 1H), 7.43 – 7.34 (m, 7H), 7.28 – 7.22 (m, 5H), 7.22 – 7.15 (m, 4H), 7.14 – 7.08 (m, 2H), 6.30 (s, 1H), 3.54 (d, J = 15.0 Hz, 1H), 3.39 (d, J = 15.0 Hz, 1H), 2.63 (t, J = 7.6 Hz, 2H), 2.37 (td, J = 12.5, 4.4 Hz, 1H), 2.20 (td, J = 12.6, 3.9 Hz, 1H), 1.70 – 1.56 (m, 1H), 1.44 – 1.29 (m, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 196.6, 172.9, 166.1, 161.9, 143.8, 141.1, 132.6, 132.3, 132.1, 131.0, 129.2, 128.7, 128.6, 128.5, 128.4, 127.8, 126.8, 126.2, 125.3, 124.0, 120.5, 81.7, 62.2, 39.5, 36.0, 33.7, 25.6. **IR** 3339, 1793, 1725, 1658, 1599, 1522, 1485, 1455, 1426, 1317, 1266, 1224, 1158, 1135, 1063, 700 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{33}H_{27}ClN_2O_4$ [(M+H$^+$)]** = 551.1732, 553.1703, Found 551.1725, 553.1694.

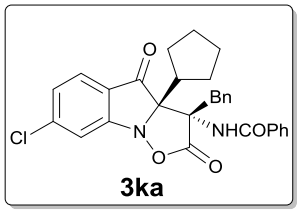


	Retention Time	Area	% Area
1	5.407	5182741	50.07
2	6.726	5169171	49.93



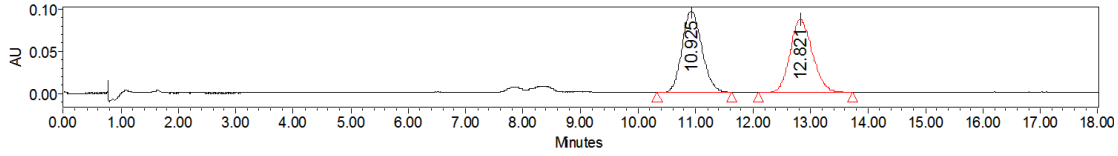
	Retention Time	Area	% Area
1	5.387	18311691	89.95
2	6.749	2045930	10.05

***N*-[(3*S*,3a*S*)-3-Benzyl-7-chloro-3a-cyclopentyl-2,4-dioxo-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**

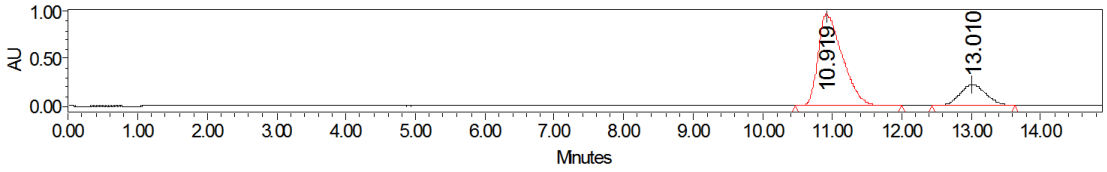


3ka

The compound **3ka** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 10/1) to afford a yellow oil in 89% yield. **UPCC** (Daicel Chiralcel **OX**, $scCO_2$/MeOH = 90/10, 1.5 mL/min, λ = 254nm), t (major) = 10.92 min, t (minor) = 13.01 min, ee = 59%. dr >19:1 (by ^{1}H NMR). $[\alpha]^{19}_D$ = +244.6 (*c*: 0.86, in CH_2Cl_2). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.67 – 7.55 (m, 3H), 7.49 – 7.42 (m, 2H), 7.41 – 7.32 (m, 2H), 7.31 – 7.26 (m, 1H), 7.17 (t, J = 7.7 Hz, 2H), 7.09 – 7.01 (m, 1H), 6.97 – 6.88 (m, 2H), 5.93 (d, J = 3.7 Hz, 1H), 3.65 (d, J = 15.1 Hz, 1H), 3.56 (d, J = 15.1 Hz, 1H), 3.07 – 2.86 (m, 1H), 2.04 – 1.91 (m, 1H), 1.85 – 1.65 (m, 5H), 1.56 – 1.46 (m, 1H), 1.26 – 1.12 (m, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 196.0, 174.0, 164.9, 162.3, 143.7, 132.8, 132.0, 131.2, 129.3, 128.5, 128.3, 126.6, 126.4, 124.0, 123.8, 119.4, 85.6, 61.3, 42.9, 40.2, 28.7, 28.2, 26.2, 25.3. **IR** 3348， 1796， 1721， 1672， 1600， 1514， 1483， 1316， 1063， 1288， 1141 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{29}H_{25}ClN_2O_4$ [(M+H$^+$)]** = 501.1576, 503.1546, Found 501.1558, 503.1546.

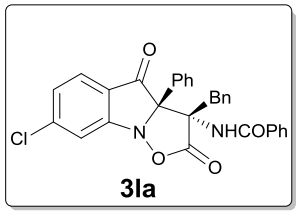


	RetentionTime	Area	% Area
1	10.925	2330844	49.86
2	12.821	2343992	50.14



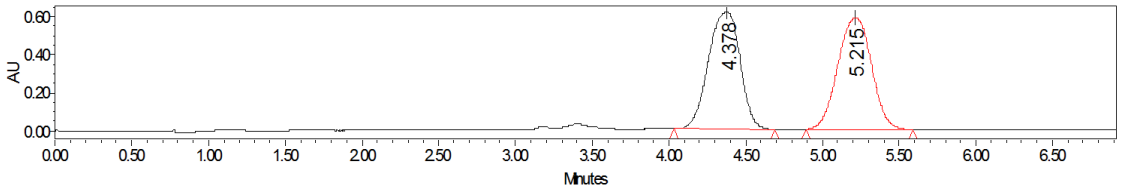
	RetentionTime	Area	% Area
1	10.919	23520009	79.73
2	13.010	5978033	20.27

***N*-[(3*S*,3a*S*)-3-Benzyl-7-chloro-2,4-dioxo-3a-phenyl-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**

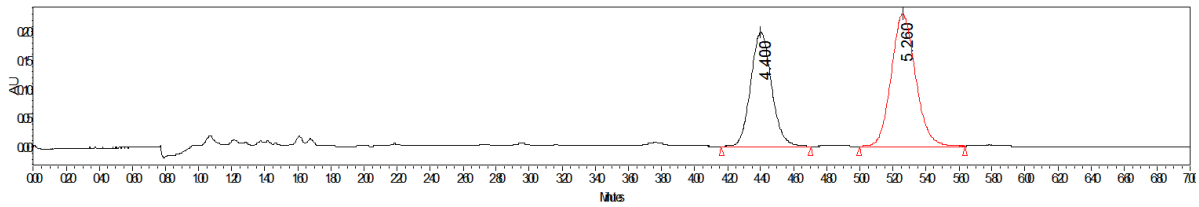


3la

The compound **3la** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 5/1) to afford a pale yellow solid in 18% yield. **UPCC** (Daicel Chiralcel **OX**, $scCO_2$/MeOH = 80/20, 1.5 mL/min, λ = 254 nm), t (major) = 5.26 min, t (minor) = 4.40 min, ee = 15%. dr >19:1 (by ^{1}H NMR). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.92 – 7.83 (m, 2H), 7.74 (d, J = 1.6 Hz, 1H), 7.61 – 7.46 (m, 4H), 7.43 – 7.32 (m, 6H), 7.28 – 7.19 (m, 4H), 6.97 – 6.87 (m, 2H), 6.75 (s, 1H), 3.05 (d, J = 14.5 Hz, 1H), 2.96 (d, J = 14.5 Hz, 1H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 195.1, 171.2, 167.8, 159.5, 143.0, 134.2, 132.4, 132.1, 130.6, 129.3, 129.0, 128.9, 128.7, 128.5, 128.1, 127.3, 127.1, 125.7, 125.3, 122.3, 79.4, 64.6, 42.2, 0.0. **IR** 3343, 1785, 1730, 1651, 1597, 1523, 1485, 1447, 1313, 1262, 1224, 1153, 1063, 731, 701 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{30}H_{21}ClN_2O_4$ [(M+H$^+$)]** = 509.1263, 511.1233, Found 509.1269, 511.1251.

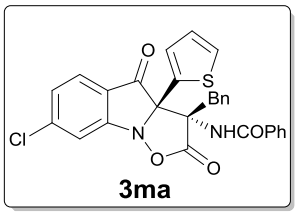


	Retention Time	Area	% Area
1	4.378	8883316	49.62
2	5.215	9018663	50.38

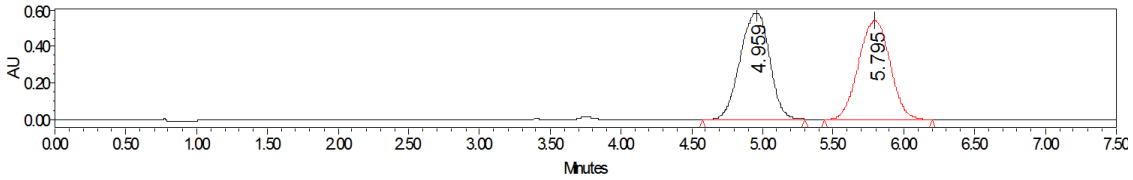


	Retention Time	Area	% Area
1	4.400	1725896	42.45
2	5.260	2339484	57.55

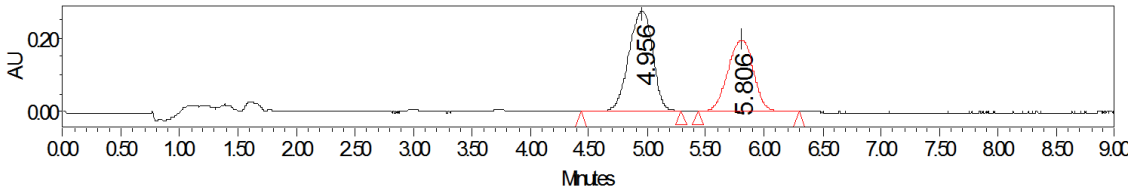
***N*-[(3*S*,3a*S*)-3-Benzyl-7-chloro-2,4-dioxo-3a-(thiophen-2-yl)-2,3,3a,4-tetrahydroisoxazolo[2,3-a]indol-3-yl]benzamide**



The compound **3ma** was purified by silica gel chromatography (petroleum ether/ethyl acetate = 5/1) to afford a pale yellow solid in 52% yield. **UPCC** (Daicel Chiralcel **OX**, $scCO_2$/MeOH = 80/20, 1.5 mL/min, λ = 254 nm), t (major) = 4.96 min, t (minor) = 5.81 min, ee = 13%. dr >19:1 (by ^{1}H NMR). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.86 – 7.63 (m, 2H), 7.62 – 7.45 (m, 5H), 7.44 – 7.32 (m, 4H), 7.32 – 7.26 (m, 3H), 7.11 – 6.90 (m, 2H), 6.69 (s, 1H), 3.05 (d, J = 2.4 Hz, 2H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 194.5, 171.4, 167.7, 159.8, 143.1, 134.6, 132.4, 132.3, 132.3, 130.6, 129.2, 128.7, 128.7, 128.1, 127.2, 127.1, 126.8, 125.4, 125.2, 124.9, 122.2, 79.6, 64.1, 41.7. **IR** 3367, 1788, 1733, 1654, 1608, 1521, 1486, 1315, 1263, 1224, 1149, 1063, 779, 701 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{28}H_{19}SClN_2O_4$ [(M+H$^+$)]** = 515.0827, 517.0797. Found 515.0823, 517.0807.

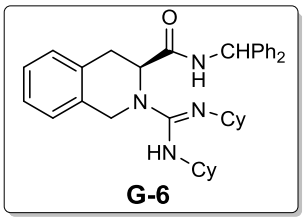


	Retention Time	Area	% Area
1	4.959	8440981	50.12
2	5.795	8401847	49.88



	Retention Time	Area	% Area
1	4.956	3864797	56.58
2	5.806	2966263	43.42

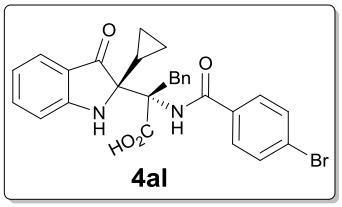
Chiral guanidine G-6



G-6

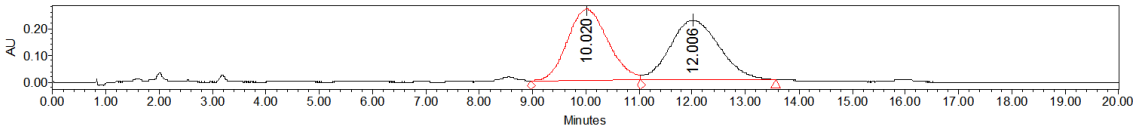
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.51 (d, *J* = 8.4 Hz, 1H), 7.32 – 7.04 (m, 14H), 6.96 (d, *J* = 7.4 Hz, 1H), 6.17 (d, *J* = 7.9 Hz, 1H), 4.80 (d, *J* = 6.3 Hz, 1H), 4.34 (d, *J* = 16.5 Hz, 1H), 4.13 (d, *J* = 16.5 Hz, 1H), 3.51 – 3.28 (m, 2H), 3.03 – 2.84 (m, 3H), 1.91 (d, *J* = 11.4 Hz, 1H), 1.80 (d, *J* = 12.5 Hz, 1H), 1.71 – 1.42 (m, 9H), 1.28 – 0.85 (m, 10H). **^{13}C{^{1}H} NMR** (101 MHz, $CDCl_3$) δ 171.7, 155.7, 142.6, 142.5, 134.4, 132.6, 129.0, 128.3, 128.2, 127.8, 127.7, 126.9, 126.8, 126.5, 125.7, 125.4, 56.9, 55.8, 55.4, 53.7, 47.3, 35.5, 35.2, 34.6, 33.8, 29.6, 25.7, 25.5, 25.2, 25.1, 24.8. $[\alpha]^{27}_{D}$ = −23.5 (*c*: 0.31, in CH_2Cl_2). mp 72.0-79.3 ℃. **IR** 2926, 2851, 2360, 1668, 1616, 1450, 1402, 1226, 740, 698 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{36}H_{44}N_4O$ [(M+H$^+$)]** = 549.3588. Found 549.3591.

(*S*)-2-(4-bromobenzamido)-2-[(*S*)-2-cyclopropyl-3-oxoindolin-2-yl]-3-phenylpropanoic acid

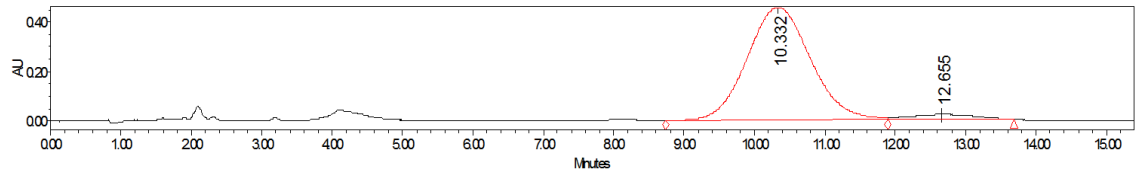


4al

The **3al** (0.2 mmol, 103.2mg) in aqueous dioxane (10% v/v) was added to a suspention of 10% palladium on carbon in aqueous dioxane (10% v/v). The sample was placed in H_2 gas under the ambient pressure, and stirred at room temperature for 12 h. The solution was filtered through celite (solvent: DCM), and concentrated under reduced pressure. And then the mixture was purified by silica gel chromatography (ethyl acetate/MeOH = 10/1) to afford the compound **4al** (yellow solid, 84% yield). **UPCC** (Daicel Chiralcel **AD**, $scCO_2$/MeOH = 80/20, 1.5 mL/min, λ = 254 nm), t (major) = 10.33 min, t (minor) = 12.65 min, ee = 91%. dr >19:1 (by ^{1}H NMR). **^{1}H NMR** (400 MHz, DMSO-d6) δ 8.38 (s, 1H), 7.55 (d, J = 8.0 Hz, 2H), 7.44 – 7.19 (m, 6H), 7.11 – 6.85 (m, 5H), 6.66 (t, J = 7.3 Hz, 1H), 3.94 (d, J = 13.0 Hz, 1H), 3.36 (d, J = 13.1 Hz, 1H), 1.64 – 1.51 (m, 1H), 0.53 – 0.28 (m, 2H), 0.12 – -0.03 (m, 1H), -0.24 – -0.41 (m, 1H). **^{13}C{^{1}H} NMR** δ 201.4, 169.6, 165.8, 161.1, 138.3, 136.4, 135.3, 131.4, 130.5, 128.4, 127.2, 125.6, 124.5, 123.9, 121.8, 117.5, 112.1, 73.0, 70.3, 33.1, 13.6, 2.6, -1.6. $[\alpha]^{22}_{D}$ = −24.3 (*c*: 0.50, in CH_2Cl_2). **IR** 3333, 1615, 1475, 1382, 1297, 1224, 1167, 1115, 1075, 1027, 881, 755, 703, 666, 630, 576, 516 cm^{-1}. **HRMS** (FTMS+c ESI) caled for **$C_{27}H_{23}BrN_2O_4$ [(M+H$^+$)]** = 519.0914, 521.0893. Found 519.0920, 521.0901.



	Retention Time	Area	% Area
1	10.020	14202375	49.74
2	12.006	14351080	50.26



	Retention Time	Area	% Area
1	10.332	28654756	95.40
2	12.655	1380520	4.60

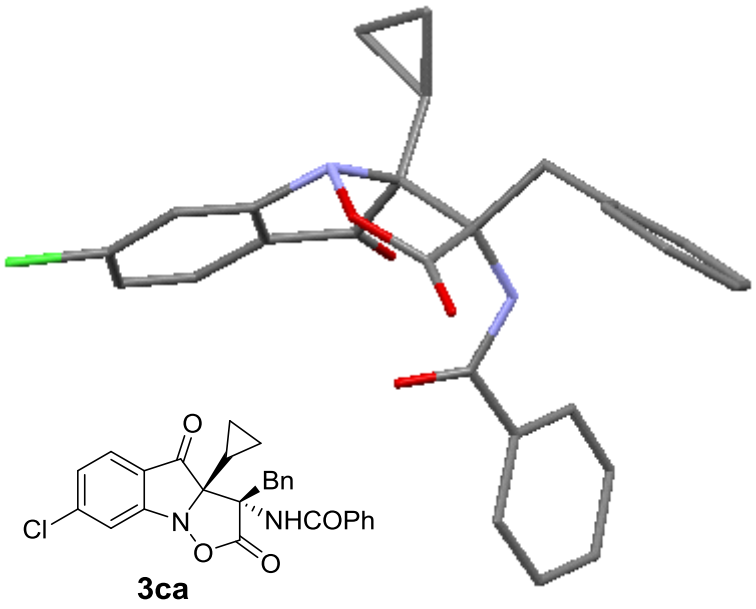
7. Crystallographic date and analysis results of 2D NMR spectra for 3ca.

CCDC 1972984

3ca was recrystallized from mixed solvents of Et_2O, *n*-hexane, isopropanol at －20 ℃. The absolute configuration of the product **3ca** was determined to be (3*S*, 3a*S*) according to X-ray crystal structural analysis.

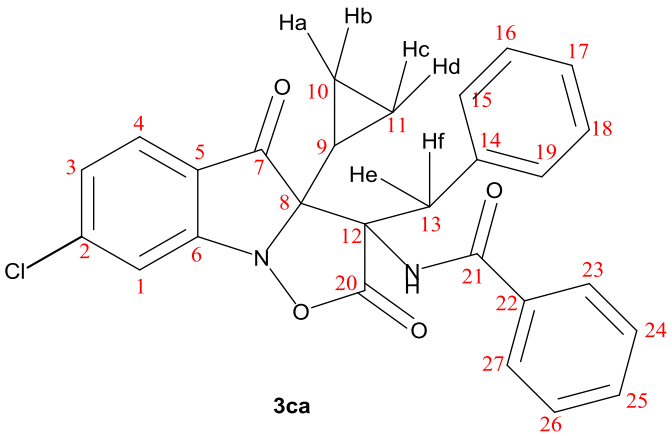
Formula	C27 H21 Cl N2 O4
Formula mass (amu)	472.91
Space group	$P2_1/n$
a (Å)	11.6645(7)
b (Å)	10.8473(7)
c (Å)	18.3820(11)
α (deg)	90
β (deg)	97.004(4)
γ (deg)	90
V (Å^3)	2308.5(2)
Z	4
λ (Å)	1.54178
T (K)	203 (2)
ρ_{calcd} (g cm^{-3})	1.361
μ (mm^{-1})	1.775
Transmission factors	0.739,0.956

$2\theta_{max}$ (deg)	69.066
No. of unique data, including $F_o^2 < 0$	4243
No. of unique data, with $F_o^2 > 2\sigma(F_o^2)$	2177
No. of variables	311
$R(F)$ for $F_o^2 > 2\sigma(F_o^2)$ [a]	0.0844
$R_w(F_o^2)$ [b]	0.2974
Goodness of fit	0.997



3ca

Analysis results of 2D NMR spectra of the product 3ja



3ca

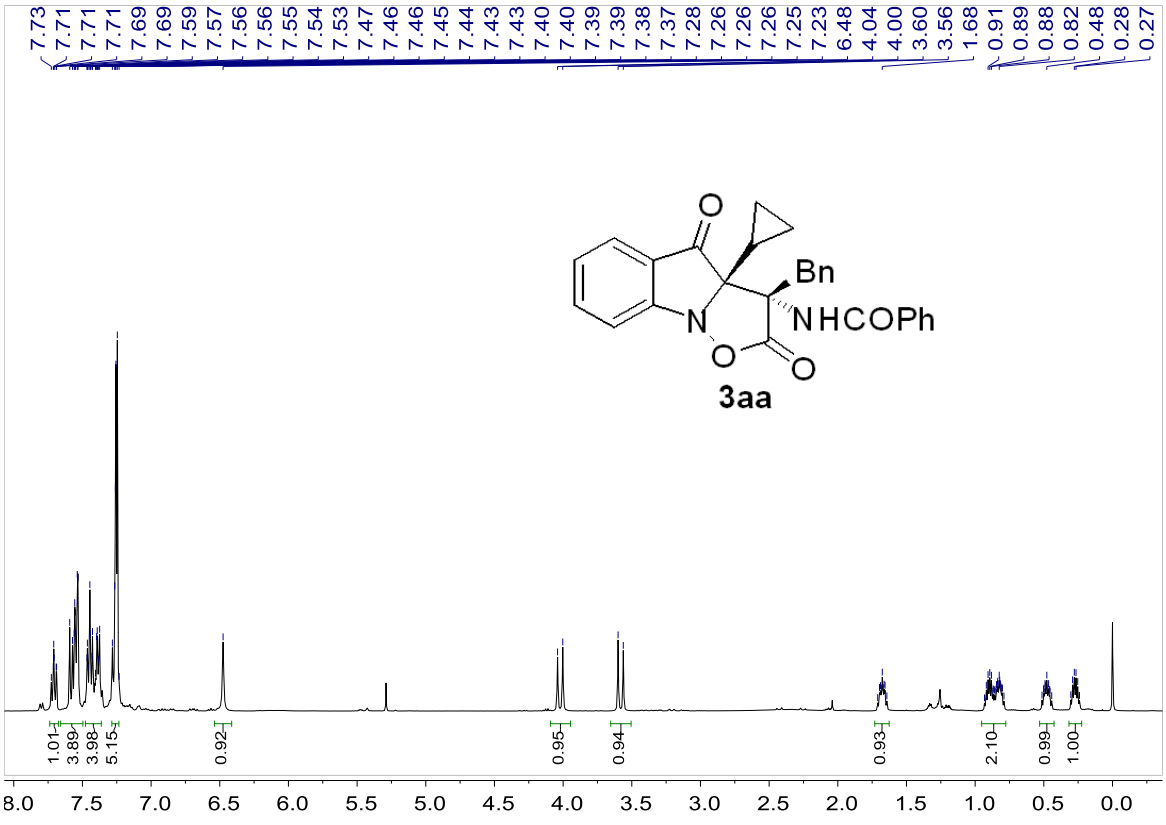
HSQC-Correlation Peak: (7.57, 120.31); (3.60, 39.96); (4.00, 39.79); (1.63, 13.80); (0.85, 3.52); (0.48, 0.77); (0.26, 0.76).

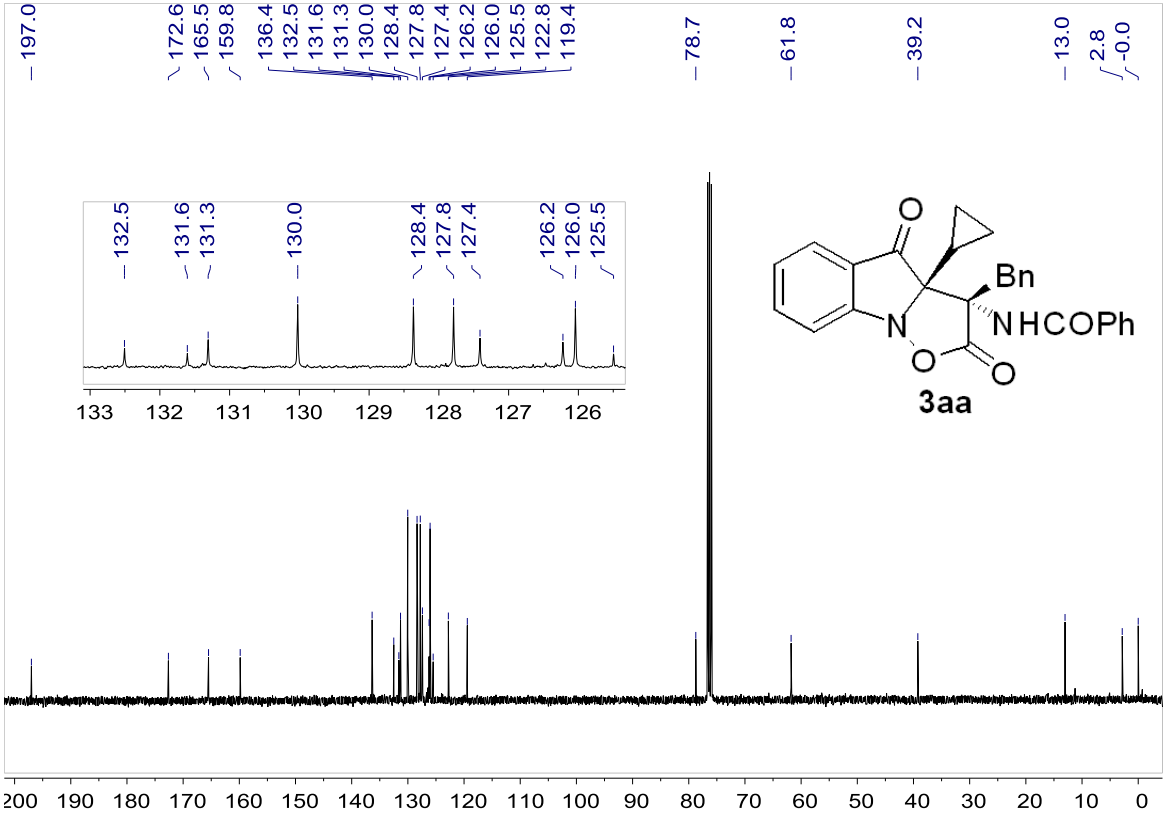
HMBC-Correlation Peak: (7.57, 143.53); (7.57, 161.13); (7.46, 196.40); (6.54, 166.34); (6.54, 62.43); (6.55, 40.02); (3.97. 173.12); (3.97, 62.36); (4.04, 78.92); (3.60, 173.09); (3.60, 80.11); (3.56, 62.33); (1.63, 79.83); (0.86, 79.74); (0.88, 13.58); (0.48, 80.00); (0.26, 79.71); (0.26, 13.89).

Number of Atom	H	C
1, 3, 4	7.59-7.51 (m,3H)	120.5, 143.53, 161.13
2, 5, 6	--	can't be sure
7	--	166.5
8	--	62.4
10, 11	0.95-0.75 (m, 2H), 0.55-0.43 (tt, 1H), 0.32-0.20 (dq, 1H)	0.8, 3.7
9	1.63 (tt, 1H)	13.9
12	--	79.9
13	4.04-3.53 (dd, 2H)	40.0
14, 15, 16, 17, 18, 19	7.5.-7.35 (m, 5H)	can't be sure
20	--	173.3
21	--	196.5
22, 23, 24, 25, 26, 27	7.30-7.20 (m, 5H)	can't be sure
NH	6.51 (s, 1H)	--

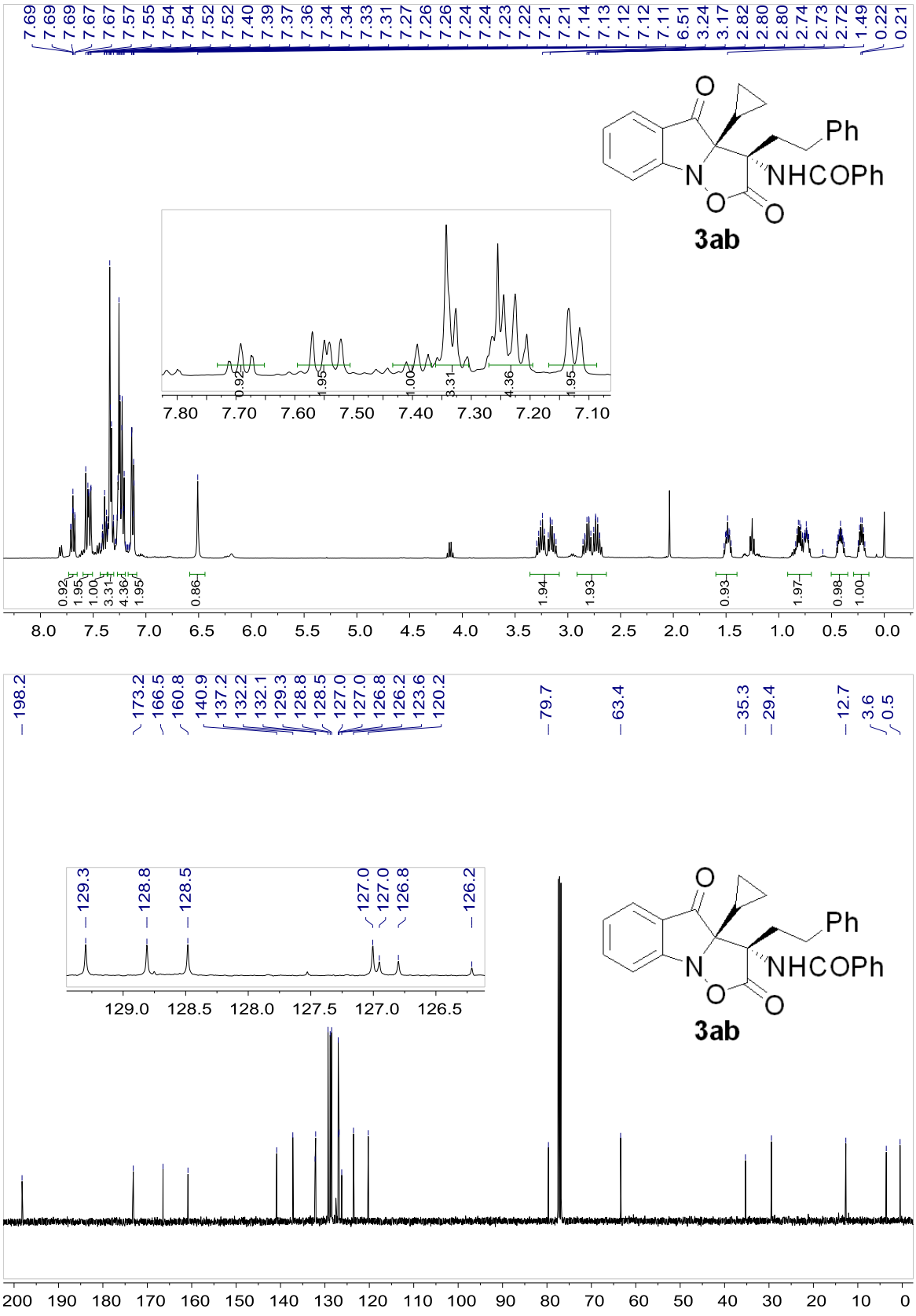
8. NMR spectra

^{1}H NMR (400 MHz) and $^{13}C\{^{1}H\}$ NMR (101 MHz), $CDCl_3$, compound **3aa**

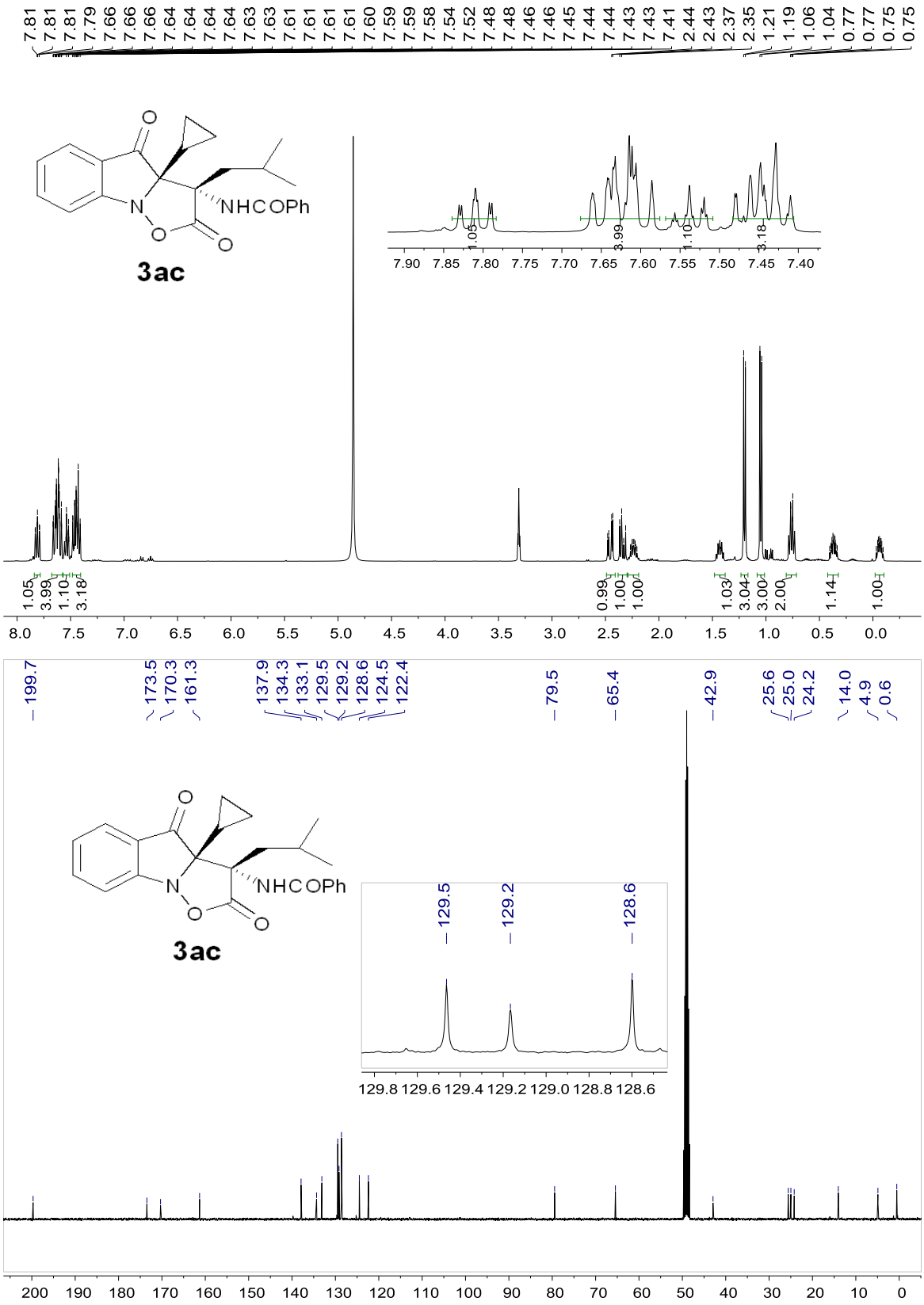




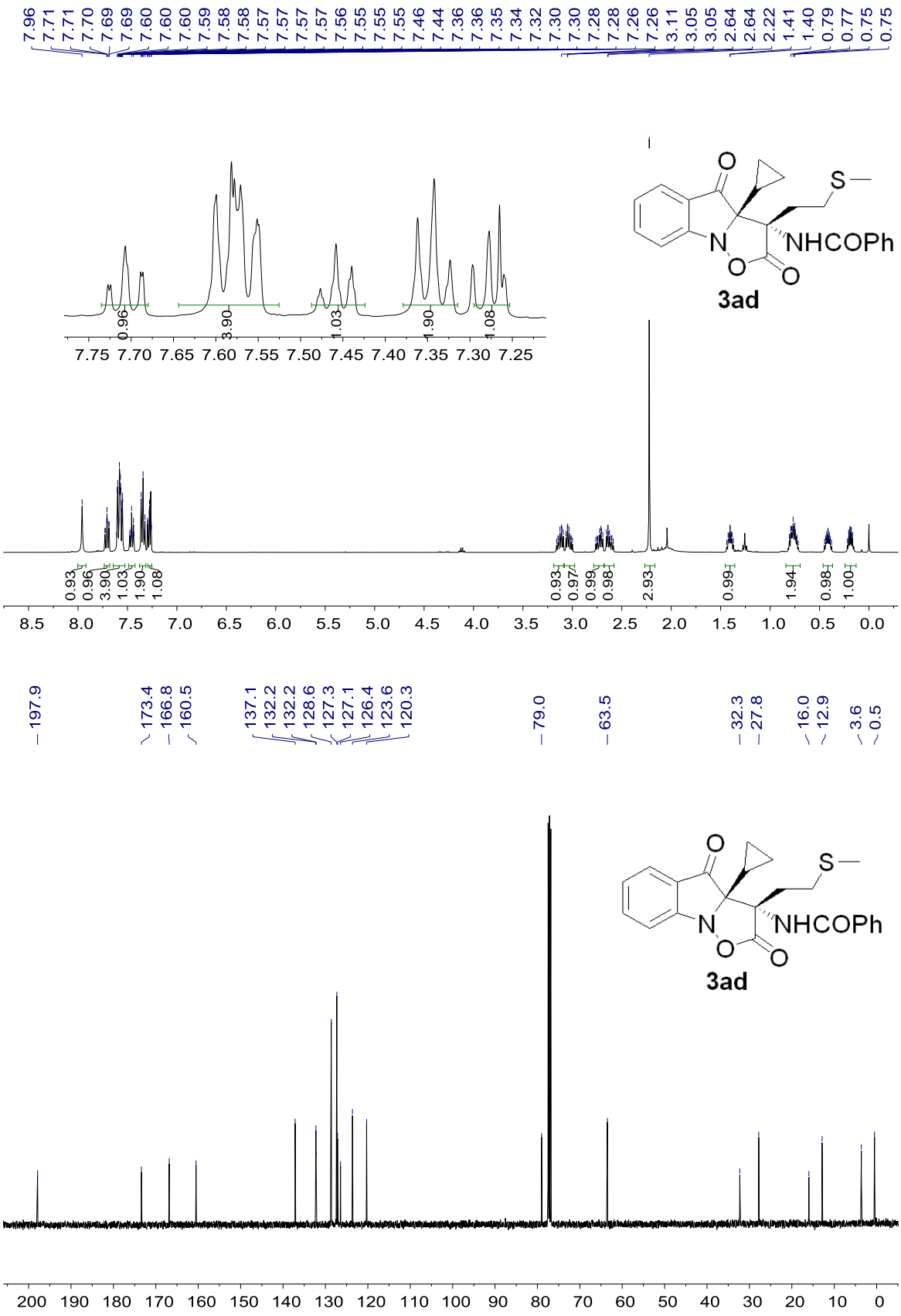
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ab**



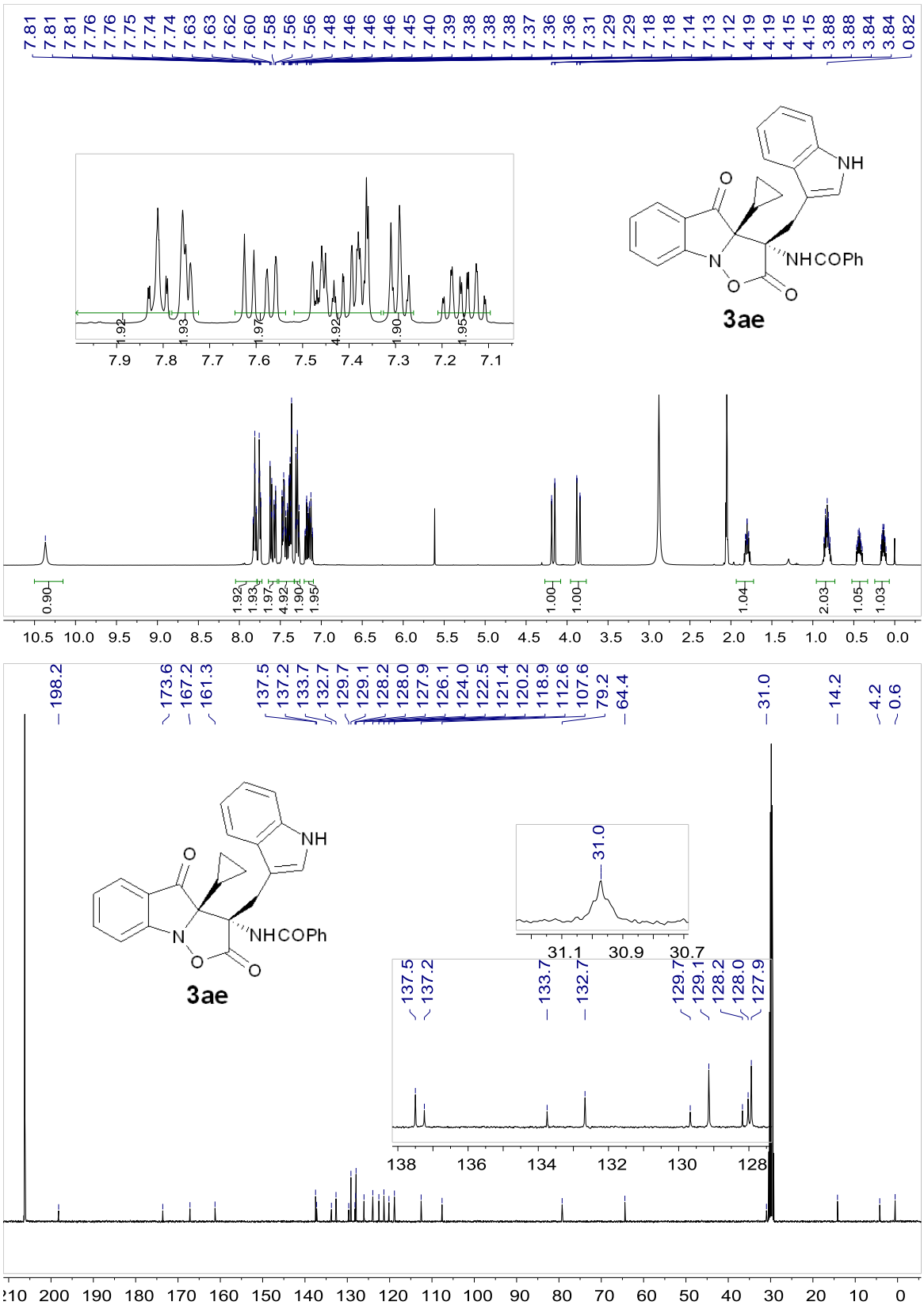
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), MeOD, compound **3ac**



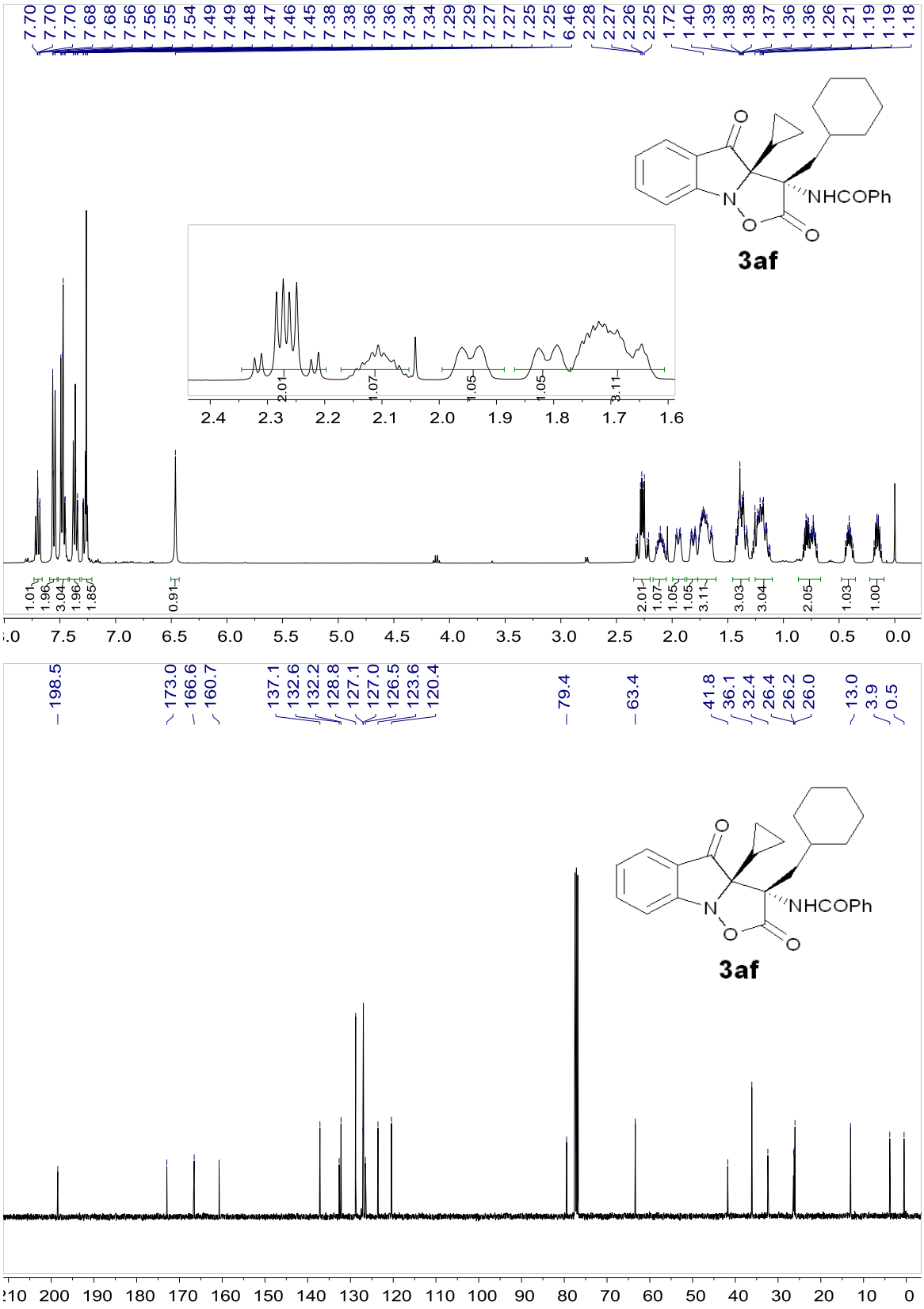
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ad**



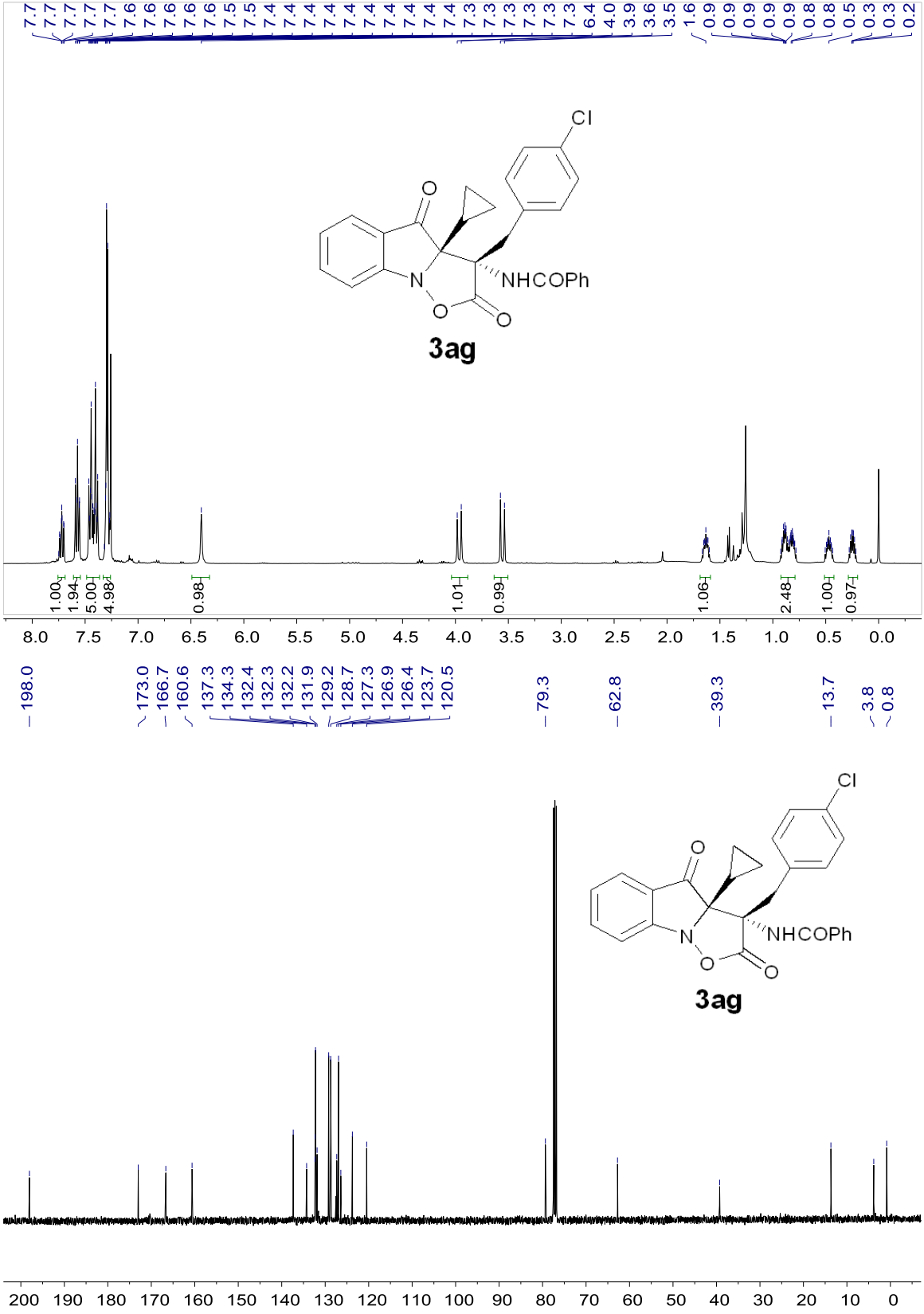
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $(CD_3)_2CO$, compound **3ae**



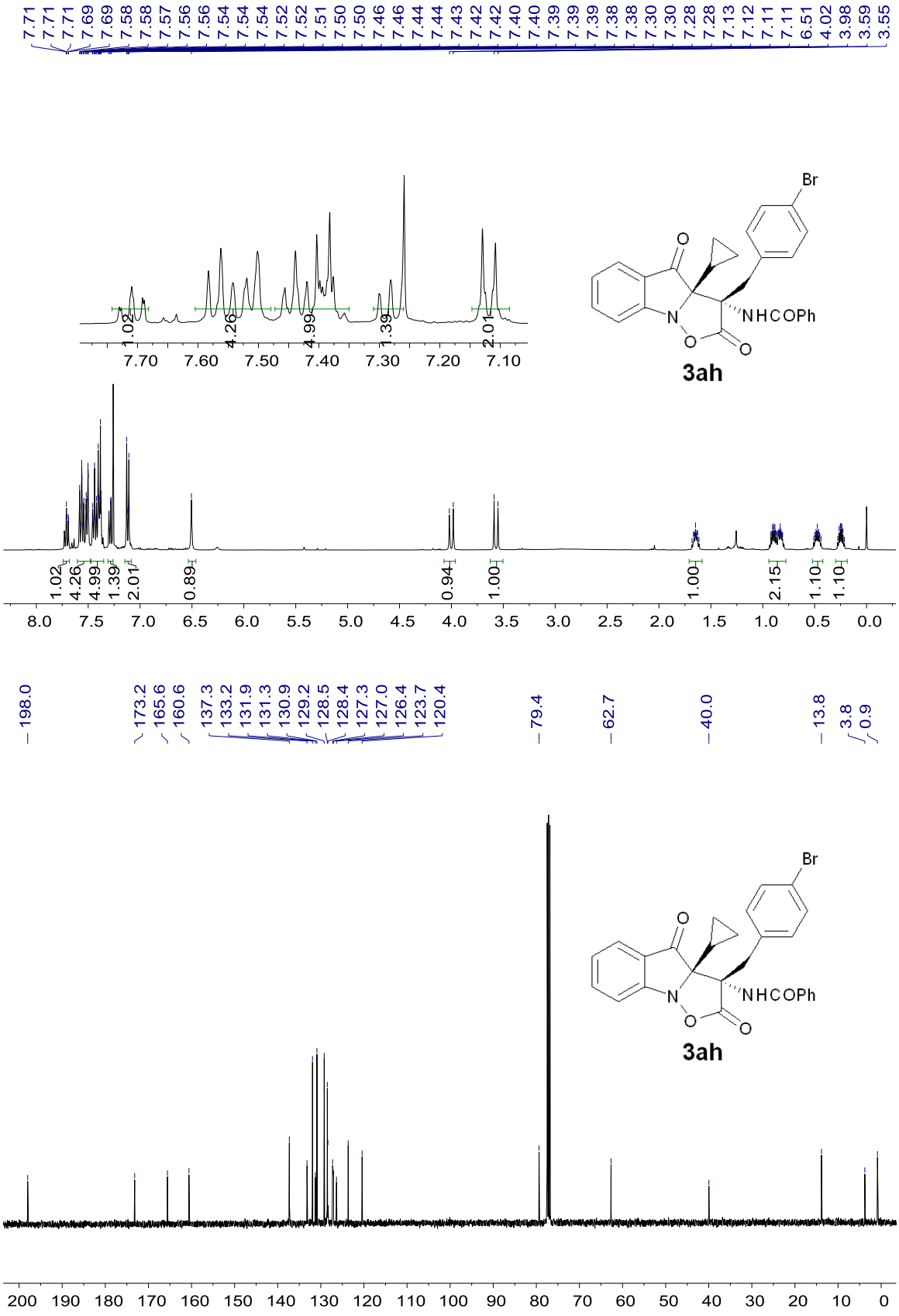
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3af**



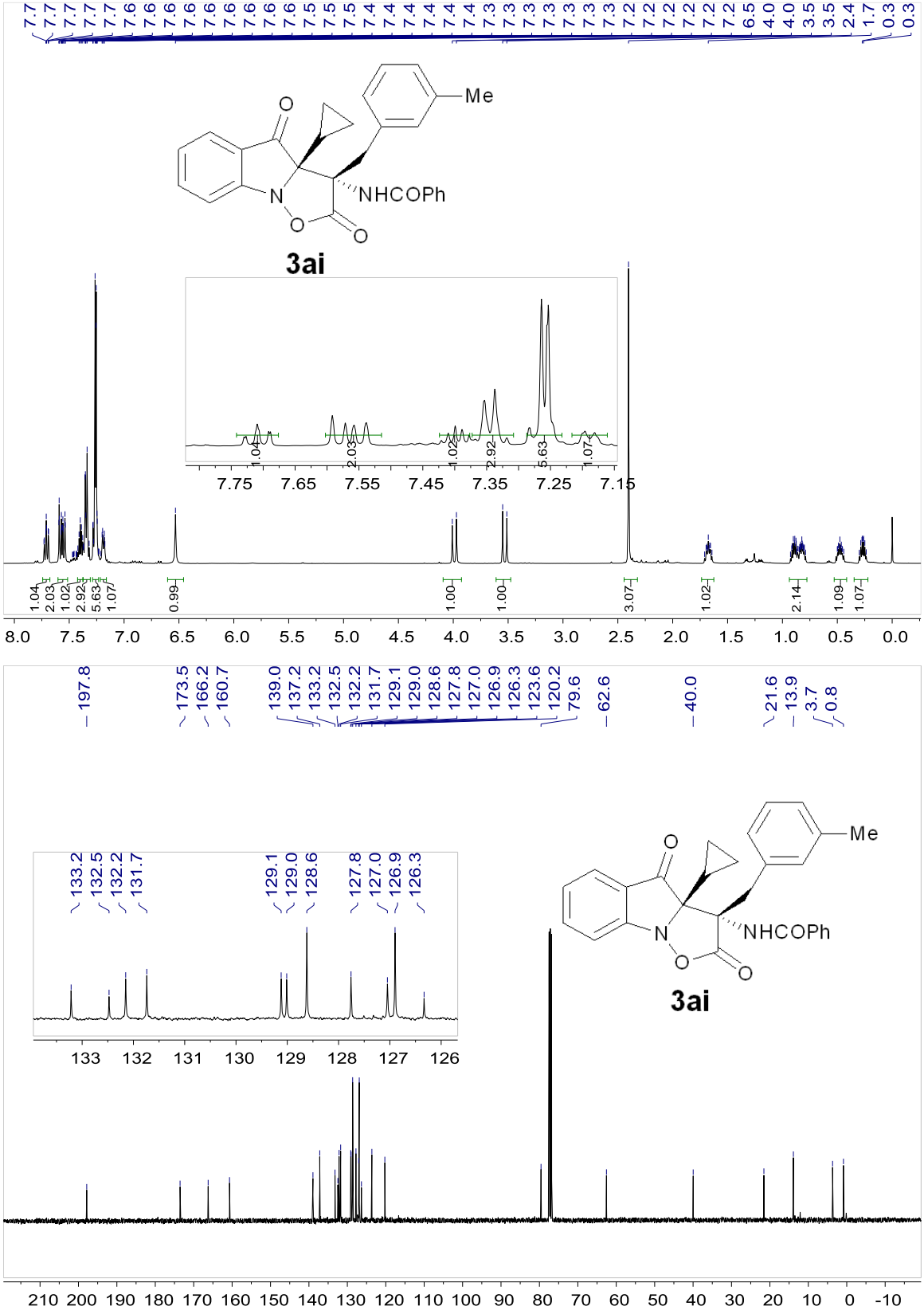
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ag**



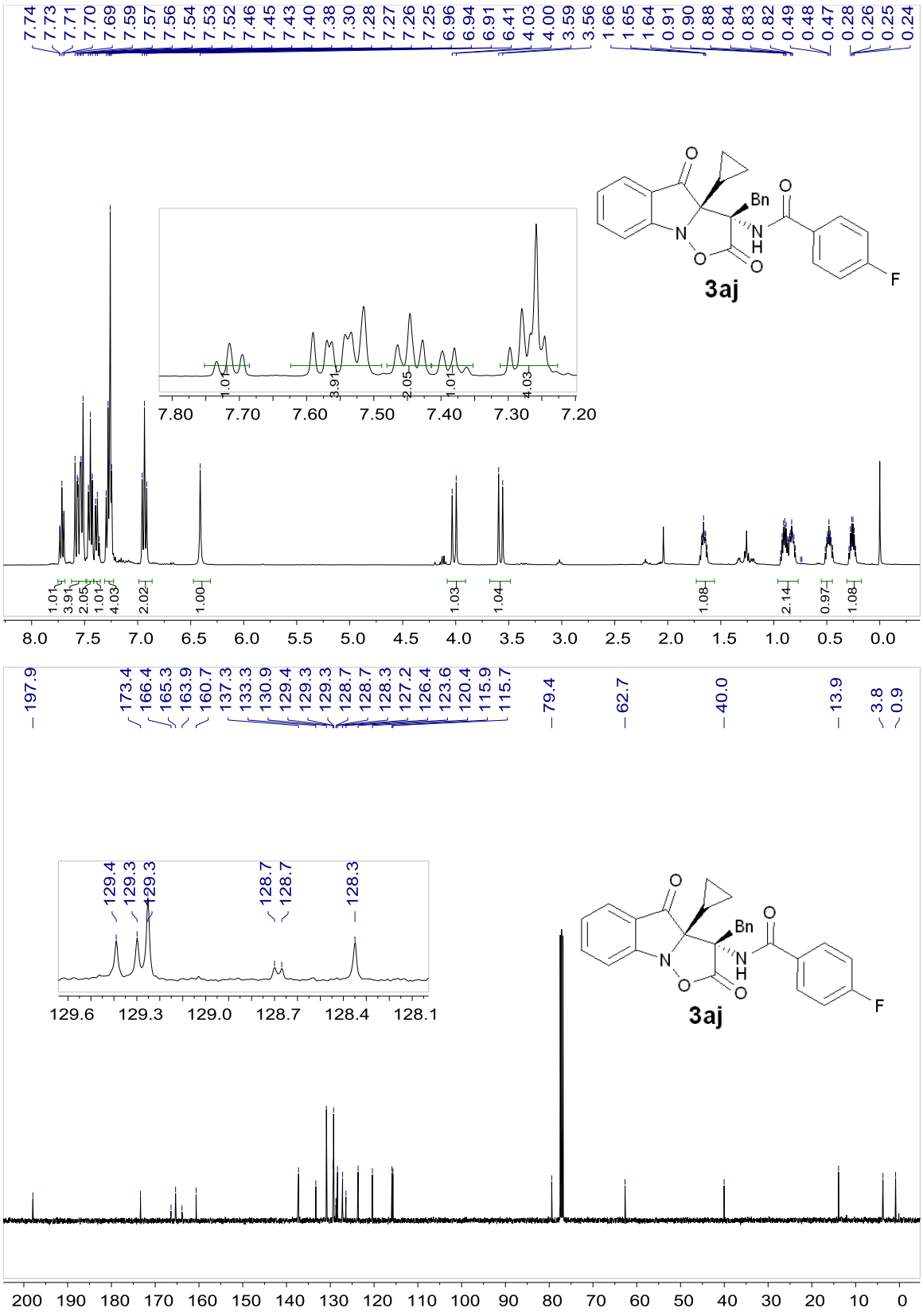
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ah**



^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ai**



^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3aj**


7.74 7.73 7.71 7.70 7.69 7.59 7.57 7.56 7.54 7.53 7.52 7.46 7.45 7.43 7.40 7.38 7.30 7.28 7.27 7.26 7.25 6.96 6.94 6.91 6.41 4.03 4.00 3.59 3.56 1.66 1.65 1.64 0.91 0.90 0.88 0.84 0.83 0.82 0.49 0.48 0.47 0.28 0.26 0.25 0.24
O
Bn
O
N
N
H
O
O
F
3aj
1.01 3.91 2.05 1.01 4.03
7.80 7.70 7.60 7.50 7.40 7.30 7.20
1.01 3.91 2.05 1.01 4.03 2.02 1.00 1.03 1.04 1.08 2.14 0.97 1.08
8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
197.9 173.4 166.4 165.3 163.9 160.7 137.3 133.3 130.9 129.4 129.3 129.3 128.7 128.7 128.3 127.2 126.4 123.6 120.4 115.9 115.7 79.4 62.7 40.0 13.9 3.8 0.9
129.4 129.3 129.3 128.7 128.7 128.3
129.6 129.3 129.0 128.7 128.4 128.1
O
Bn
O
N
N
H
O
O
F
3aj
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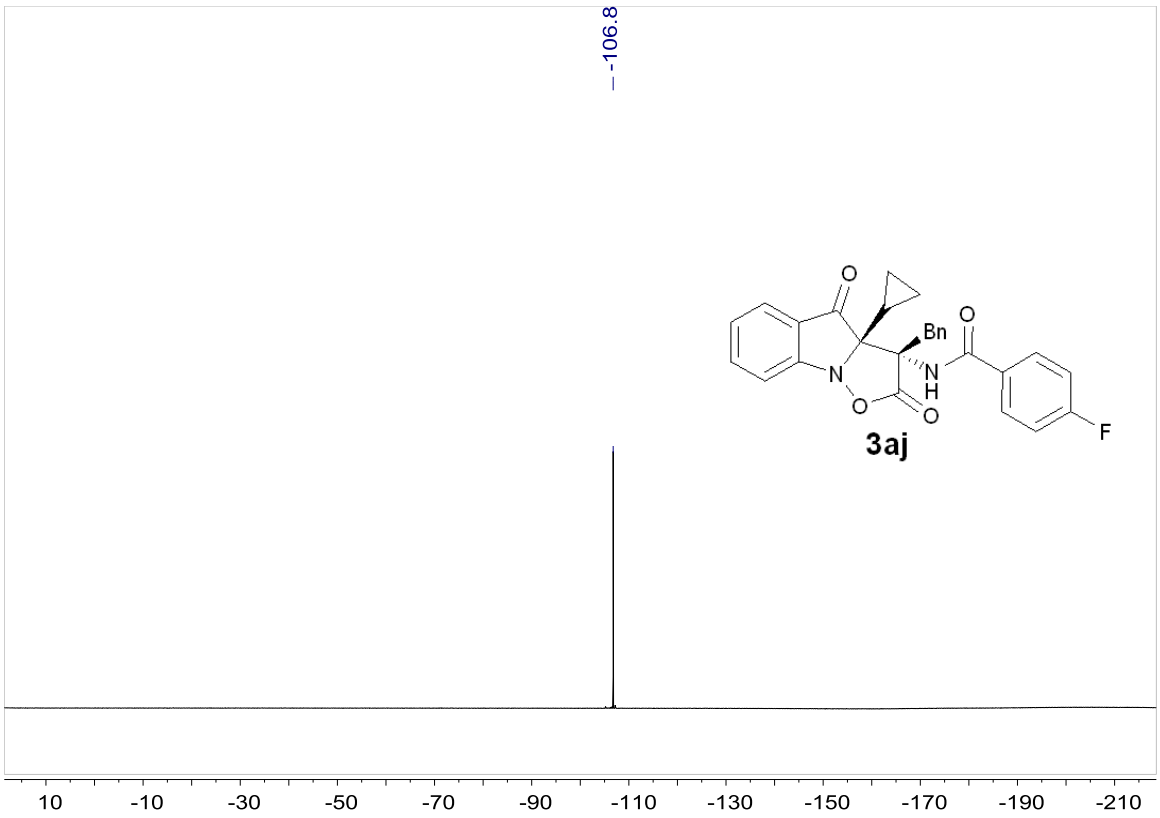
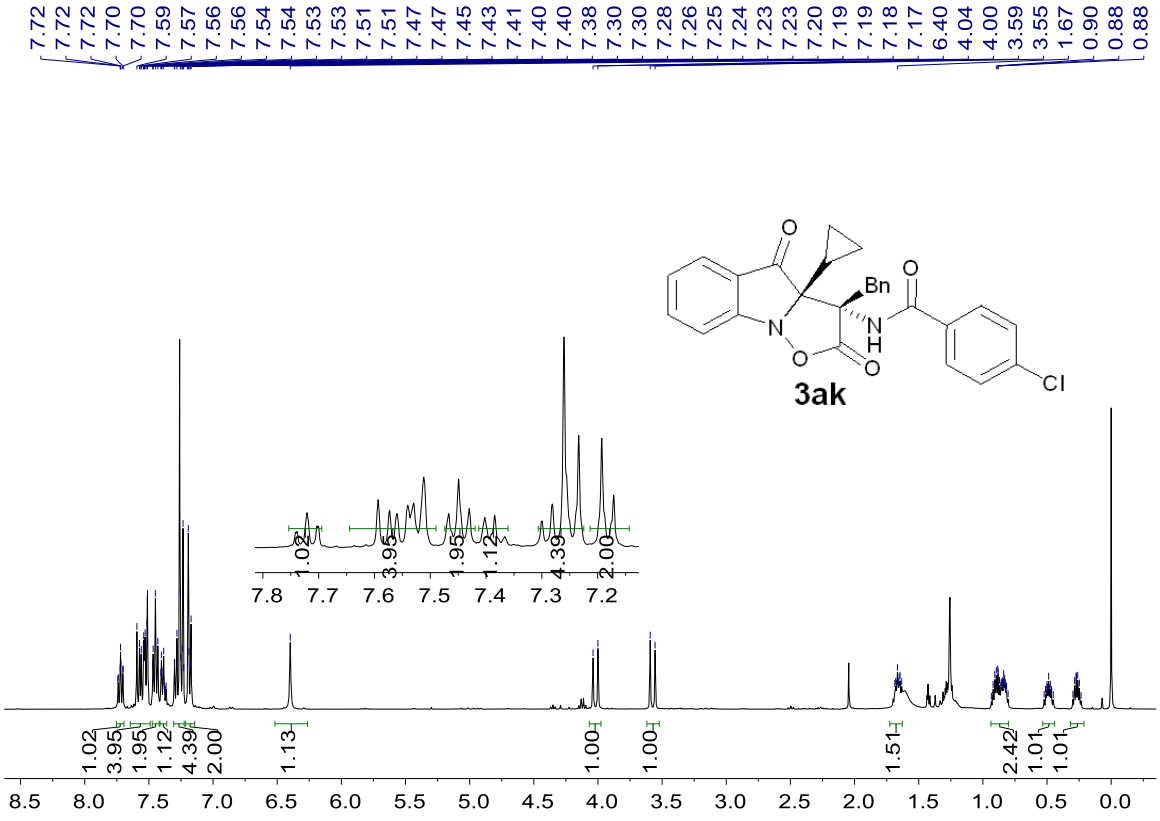
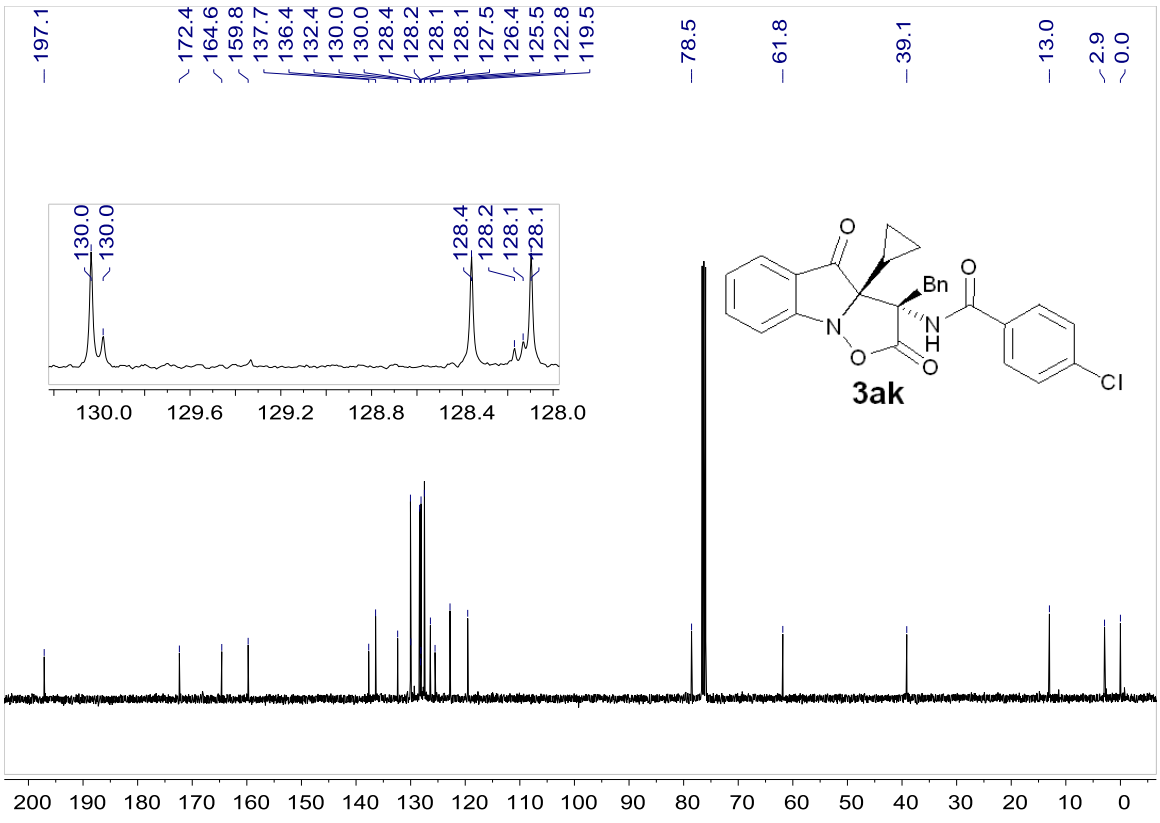
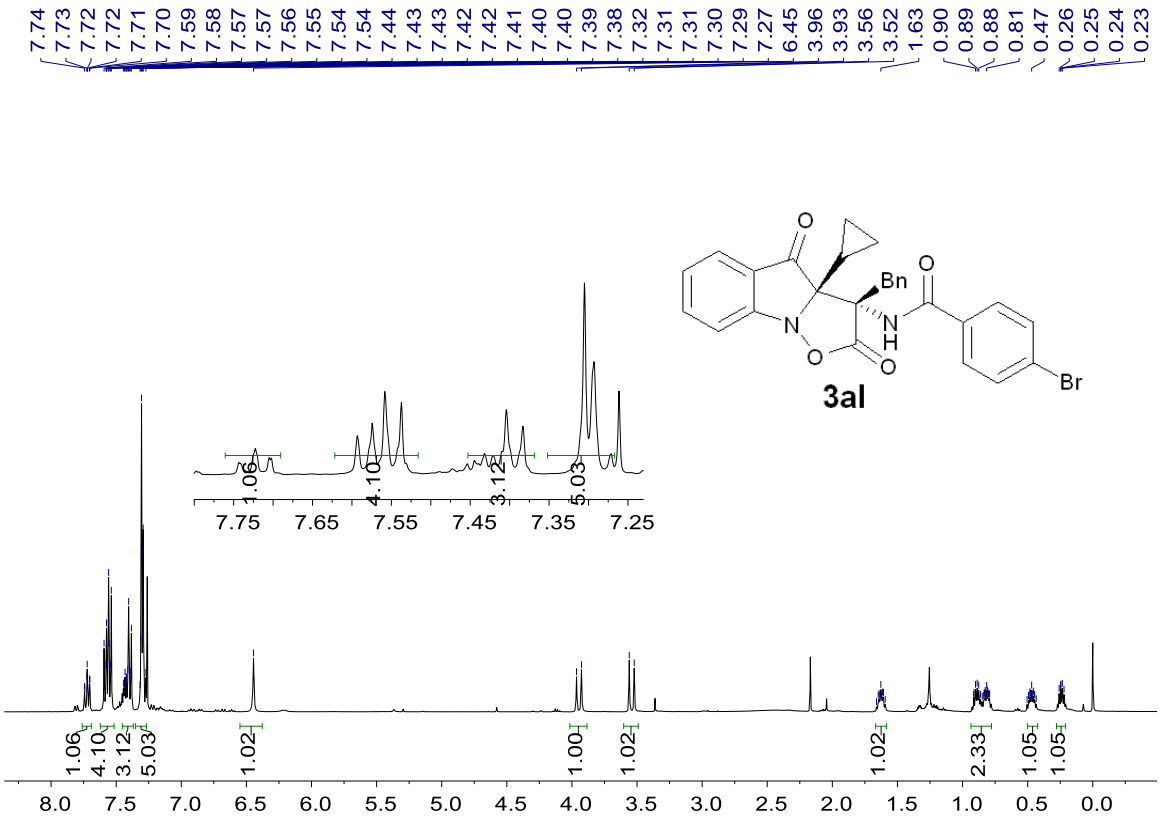


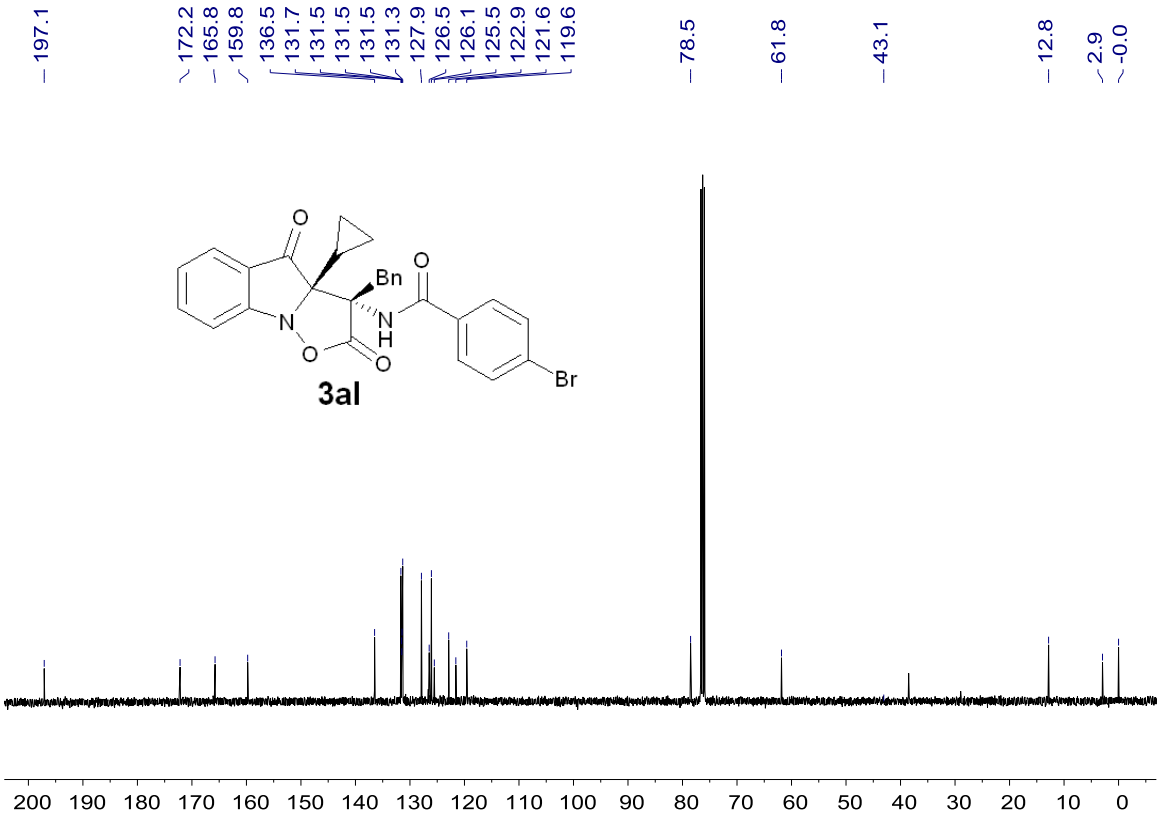
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ak**



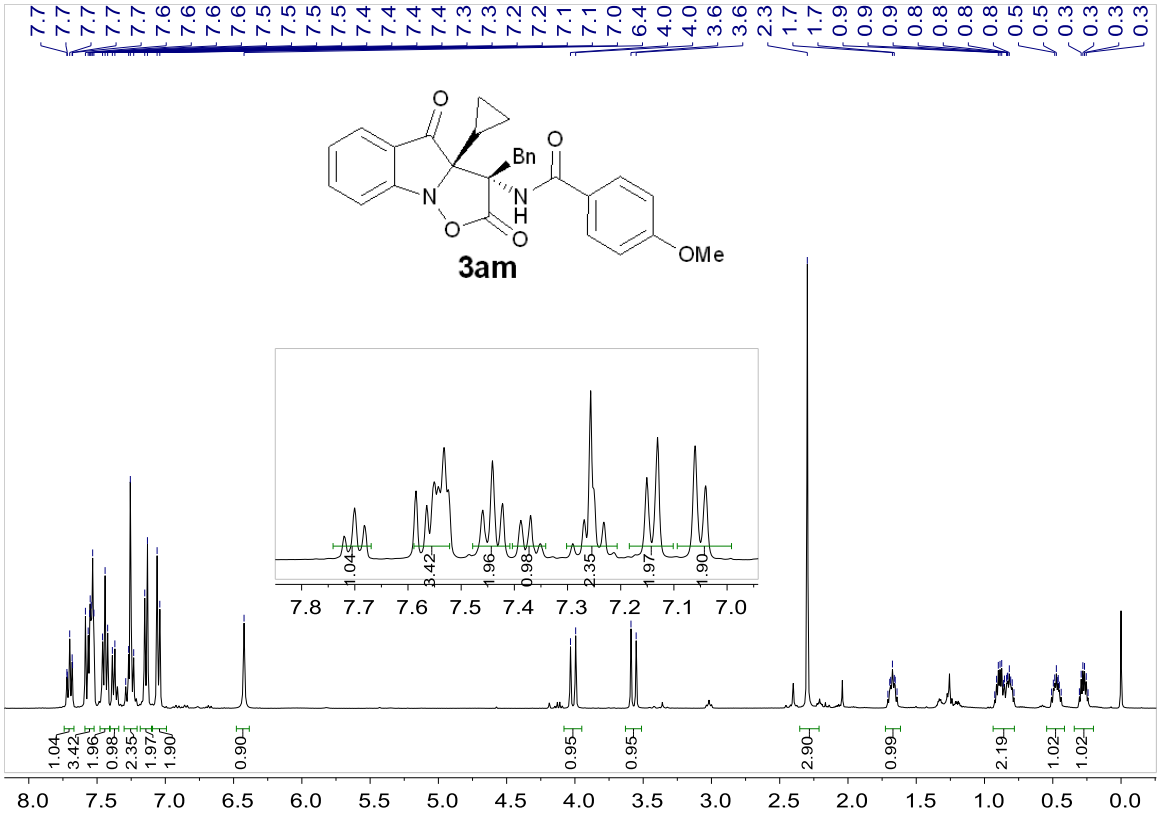


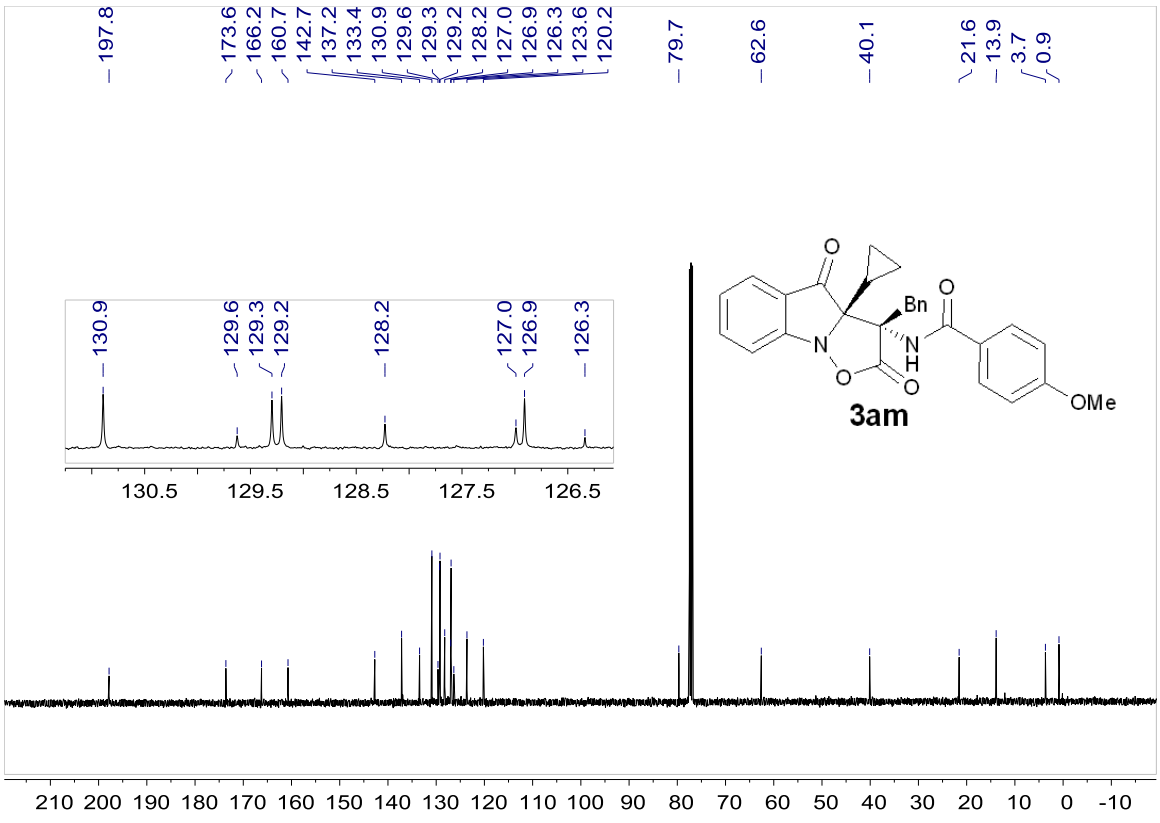
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3al**



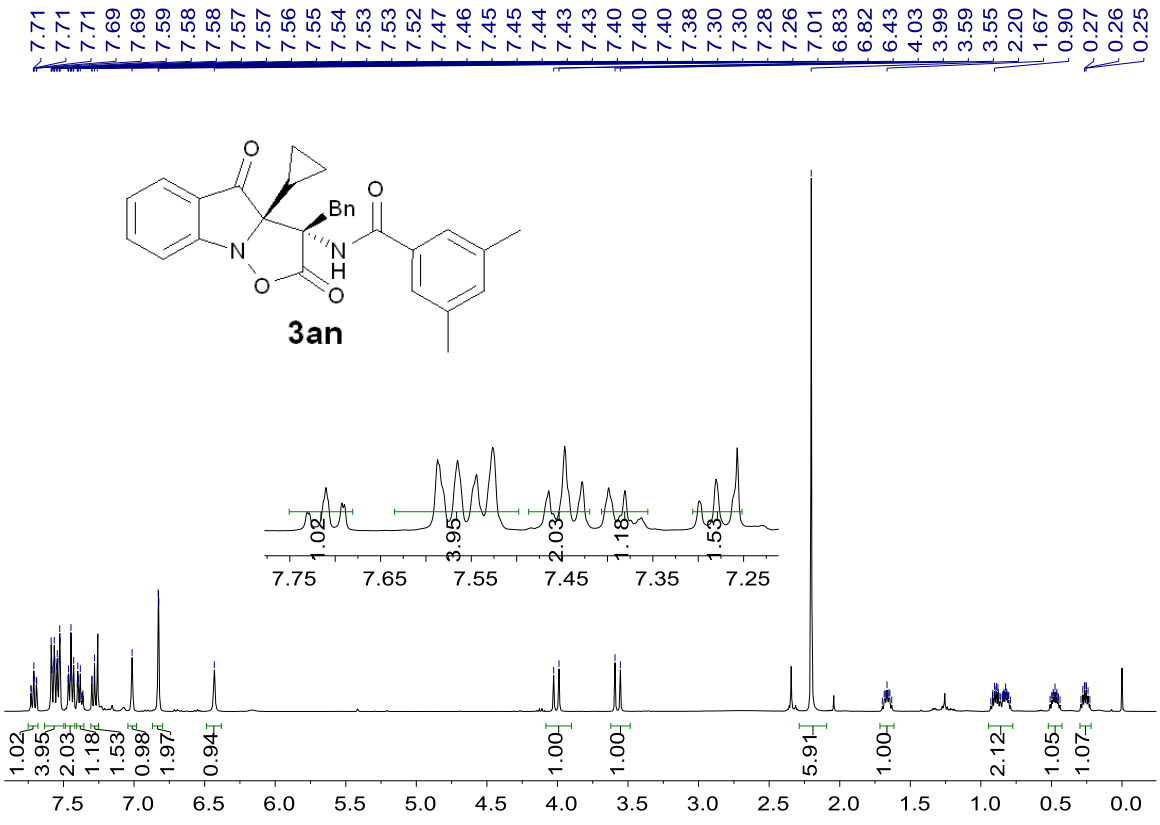


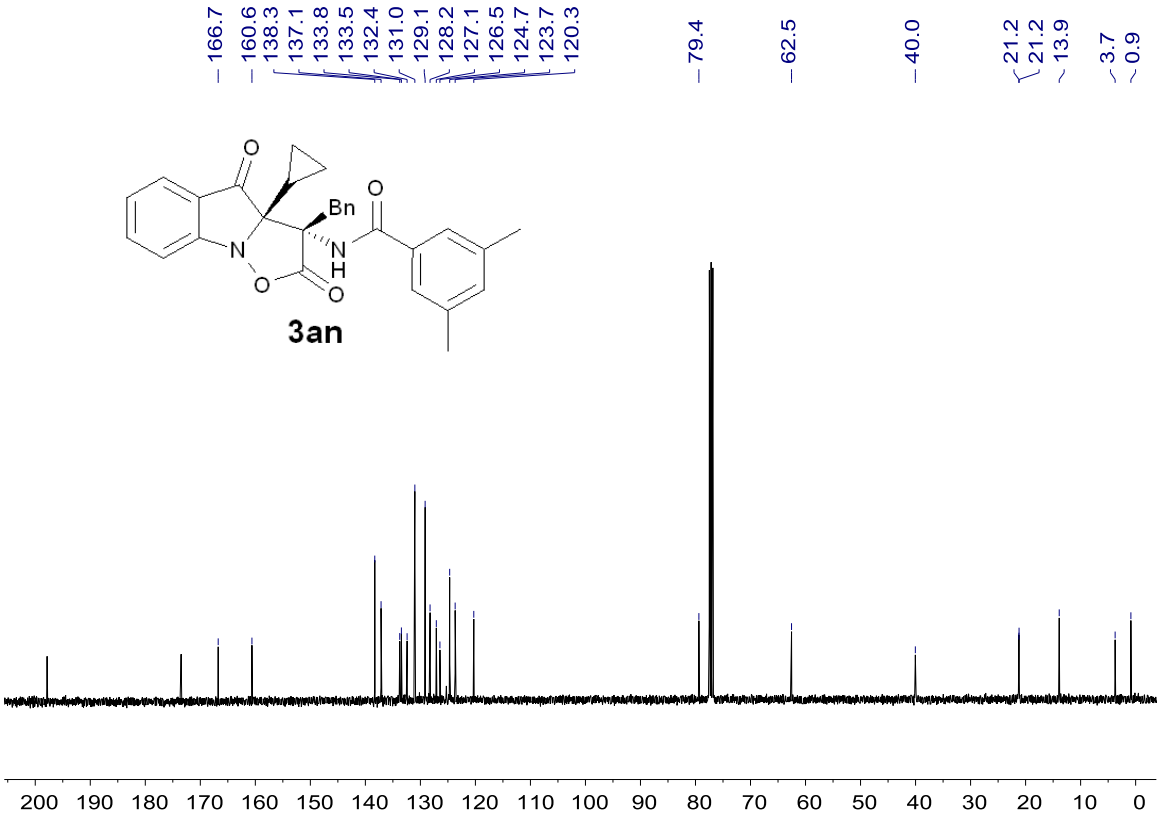
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3am**



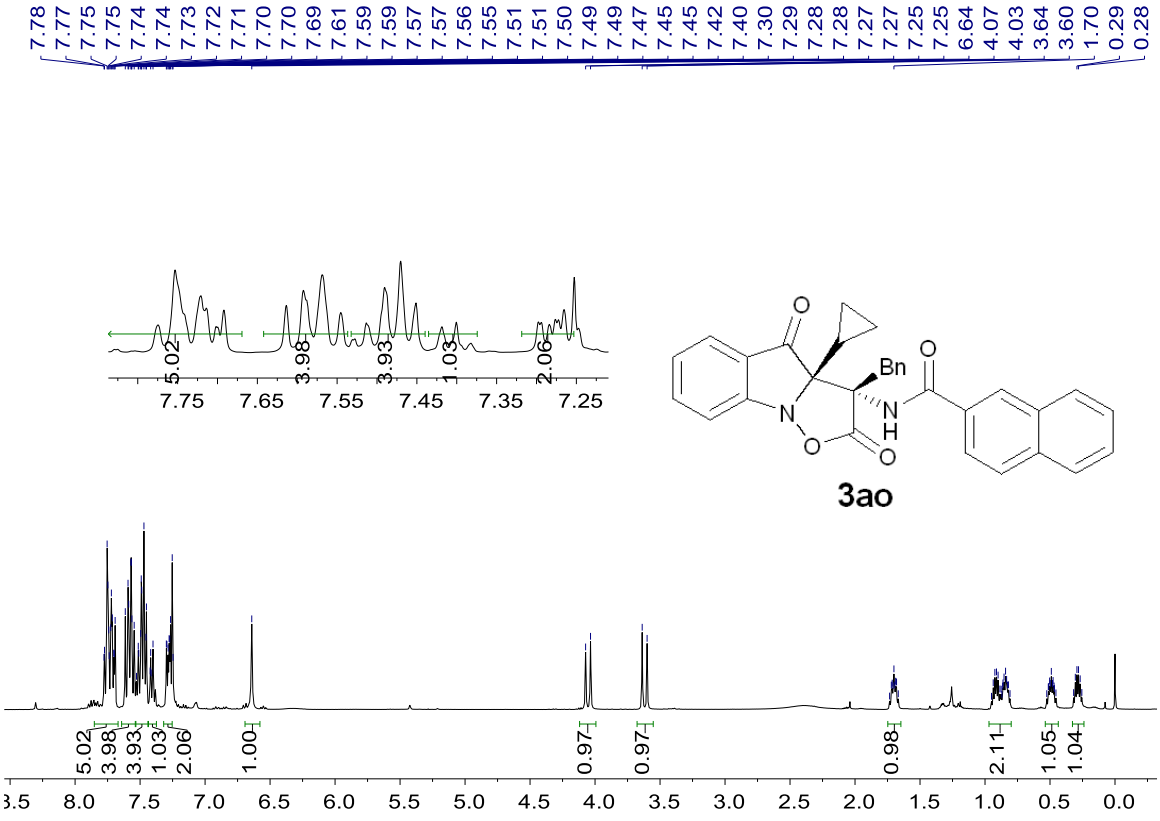


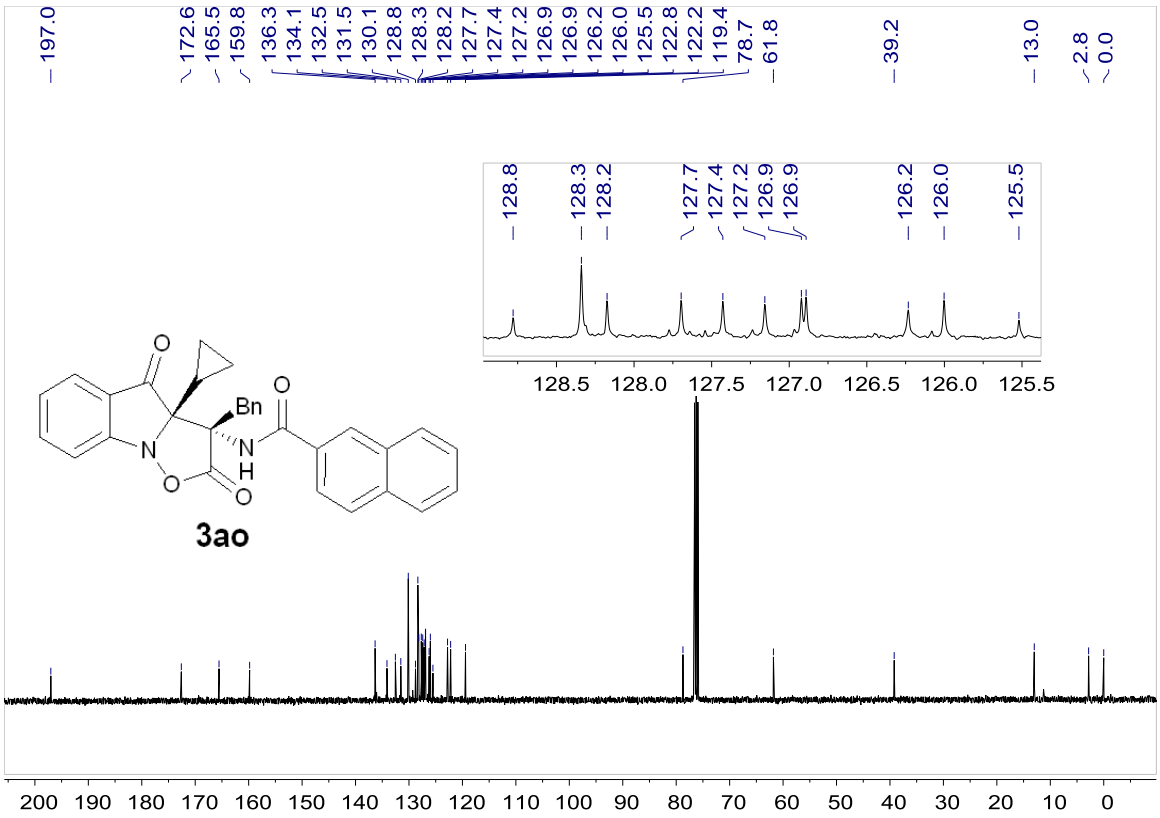
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3an**



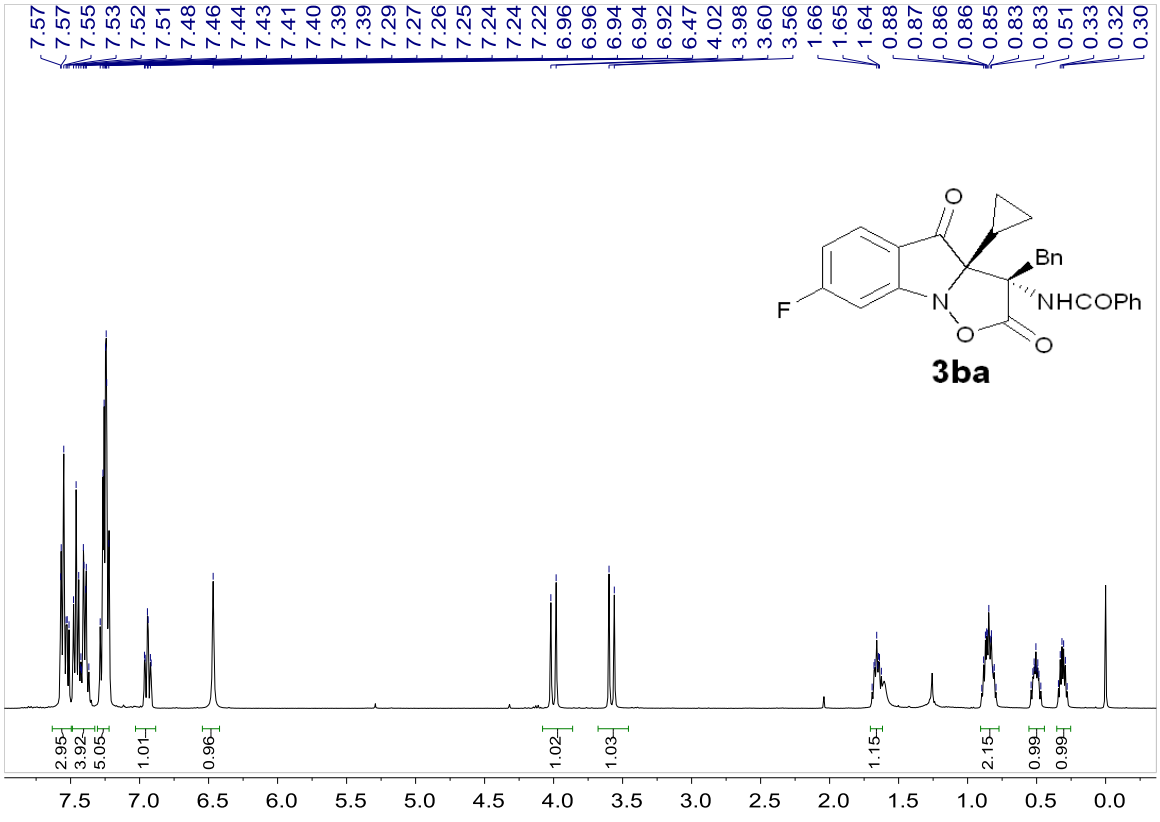


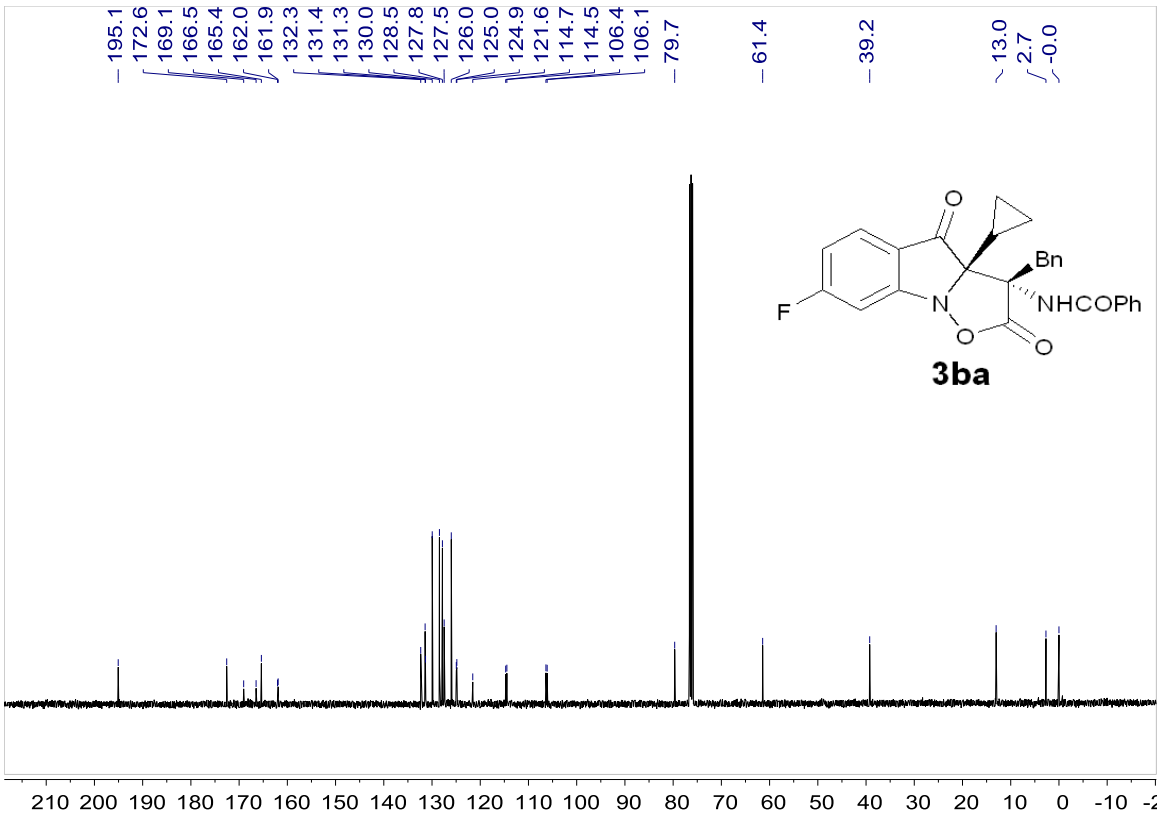
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ao**





^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ba**

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169.1
166.5
165.4
162.0
161.9
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131.3
130.0
128.5
127.8
127.5
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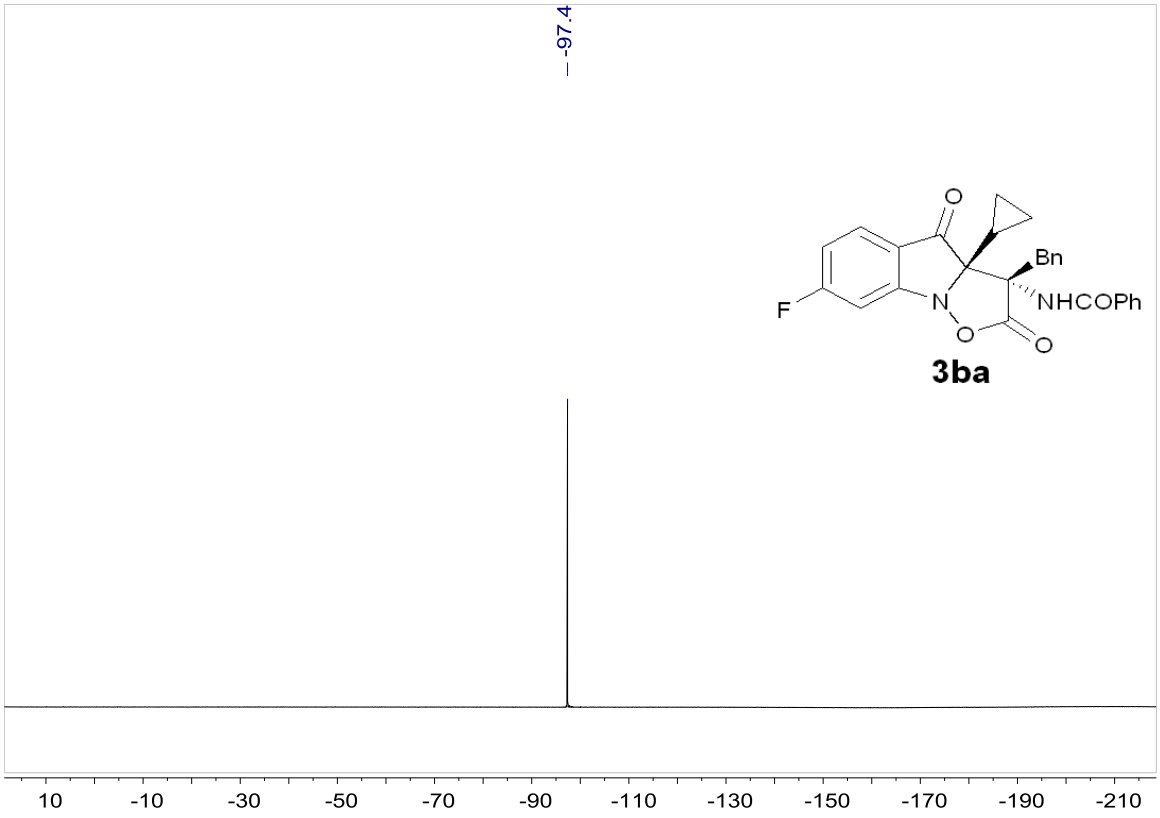
121.6
114.7
114.5
106.4
106.1
79.7
61.4
39.2
13.0
2.7
0.0
Bn
NHCOPh
F
O
N
O
O
3ba
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10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N
O
O
3ba
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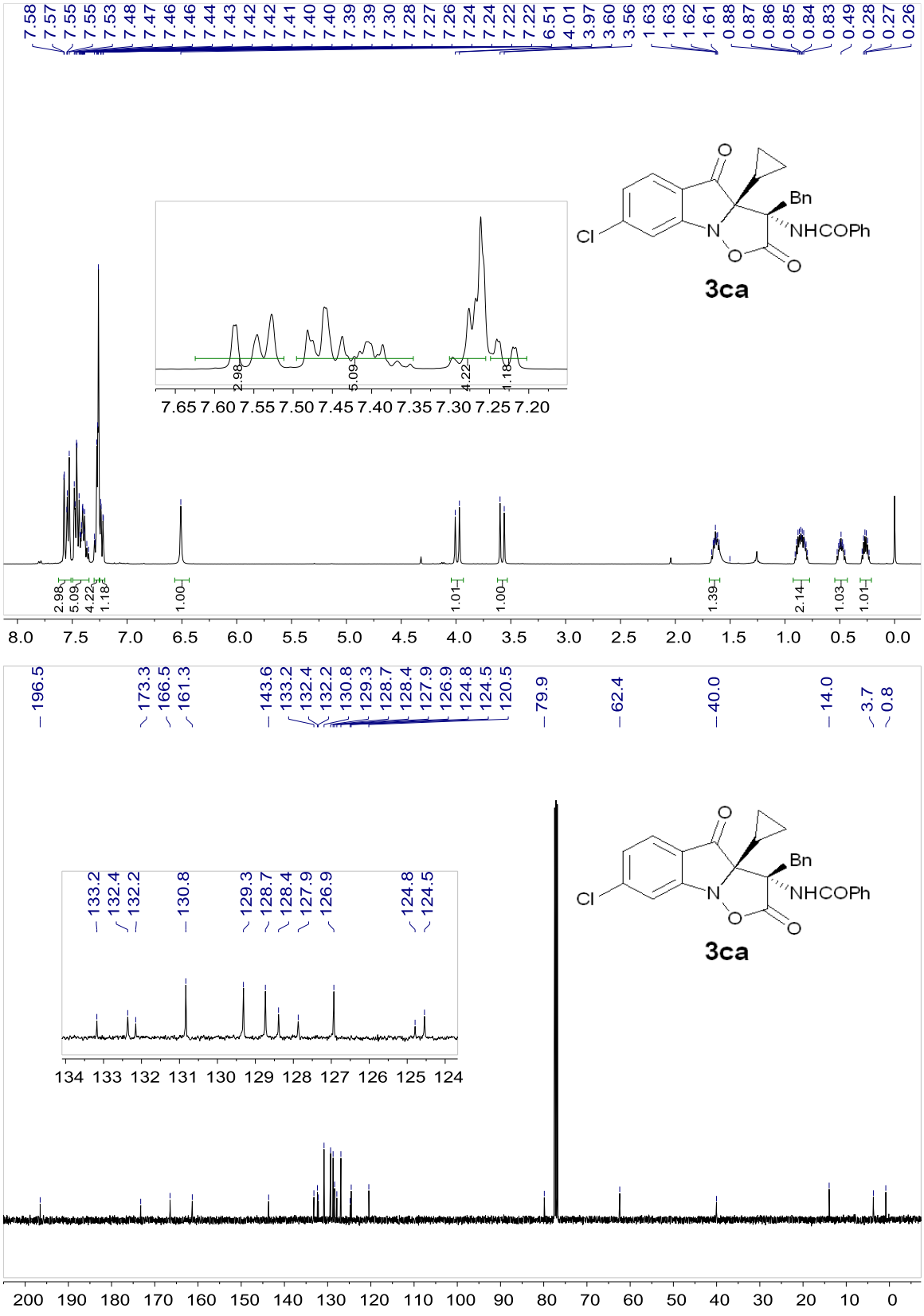
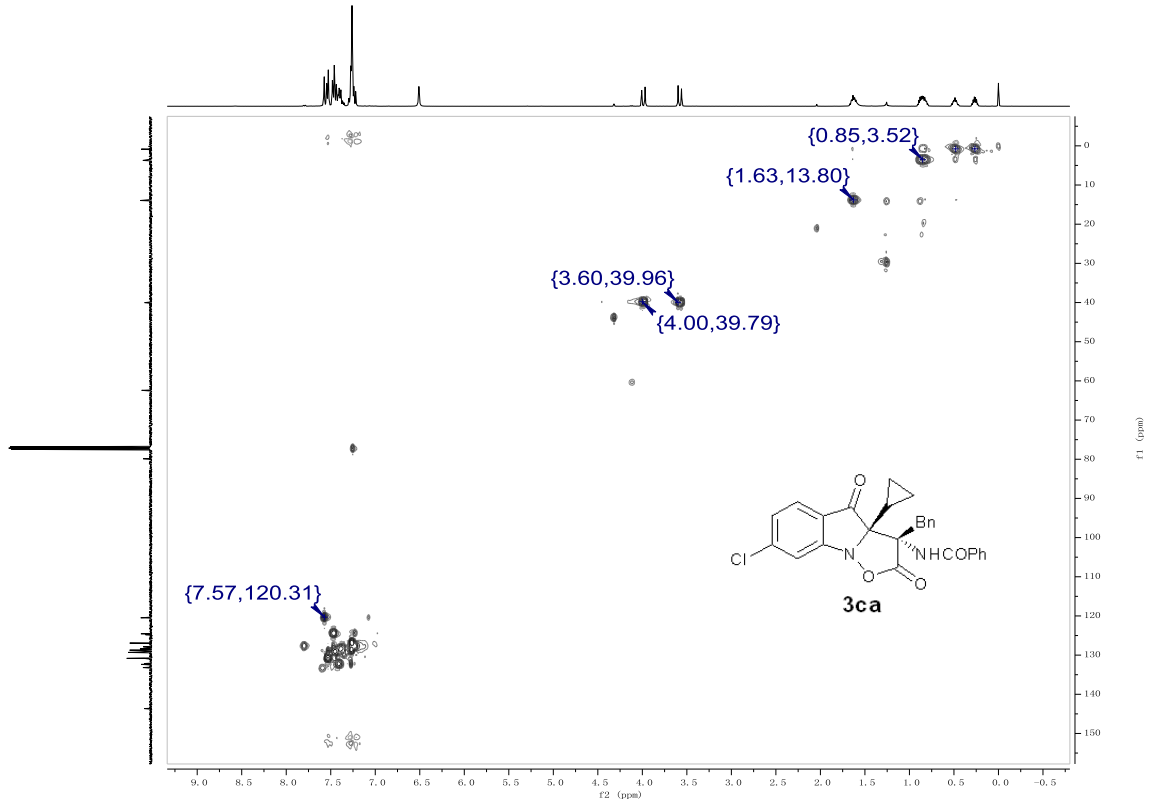
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ca**



HSQC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{1.63,13.80}
{3.60,39.96}
{4.00,39.79}
{7.57,120.31}
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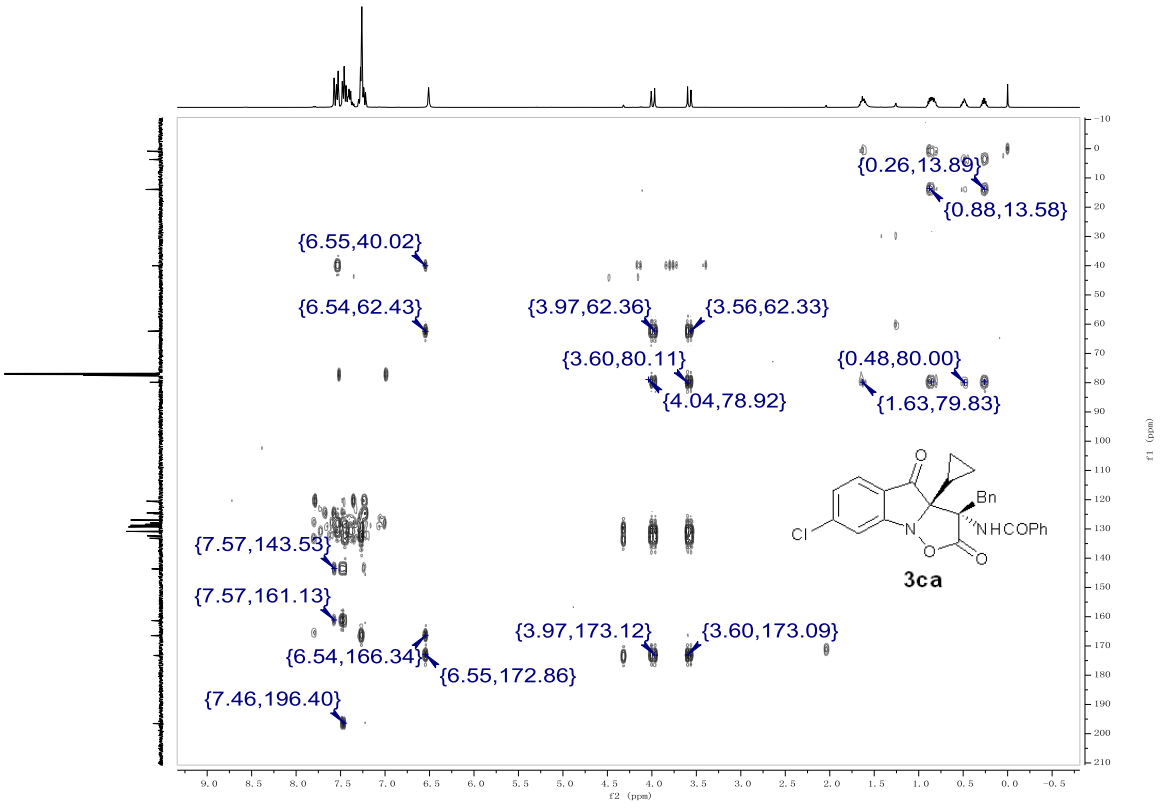
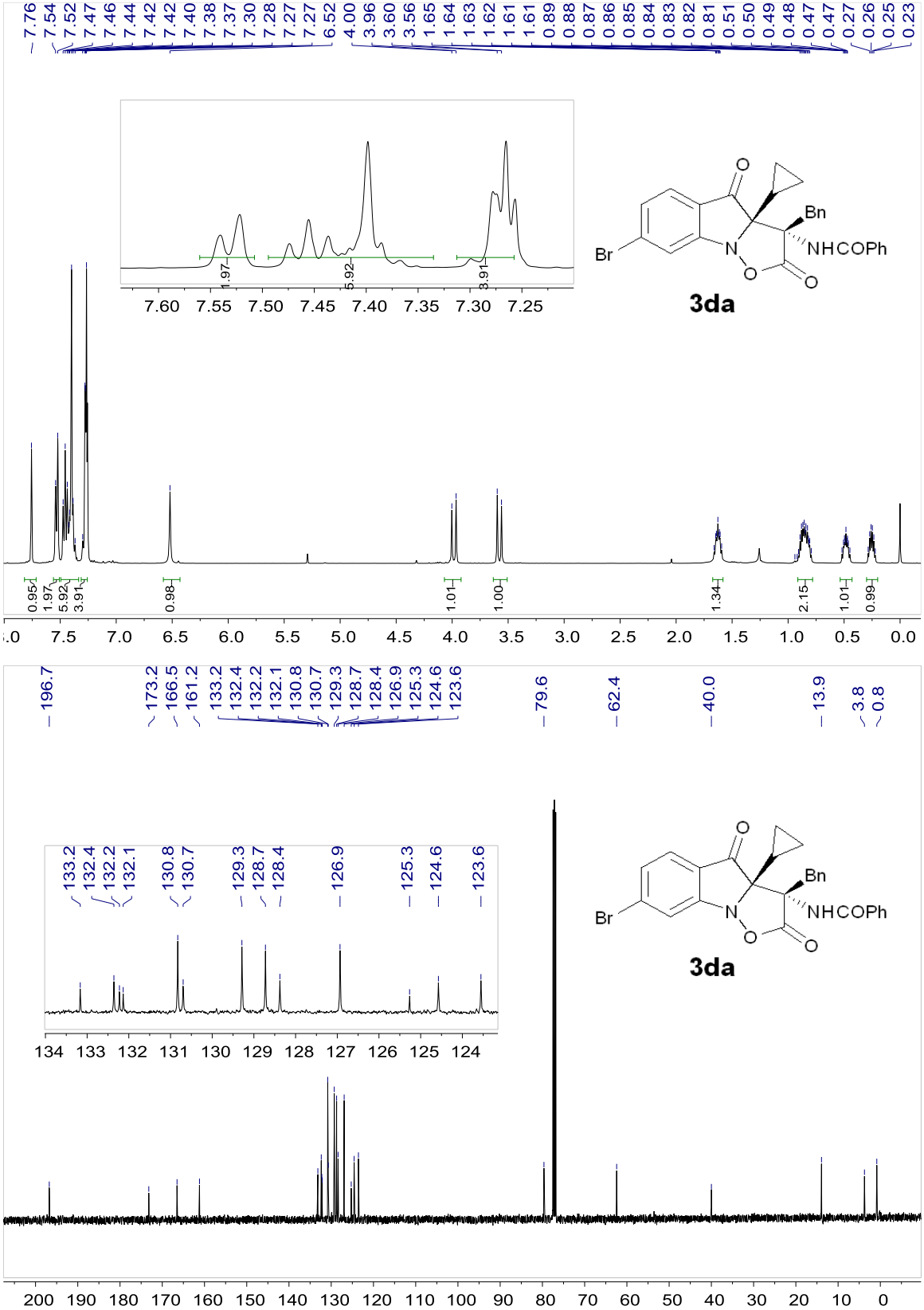
NHCOPh
Cl
3ca
f2 (ppm)
f1 (ppm)

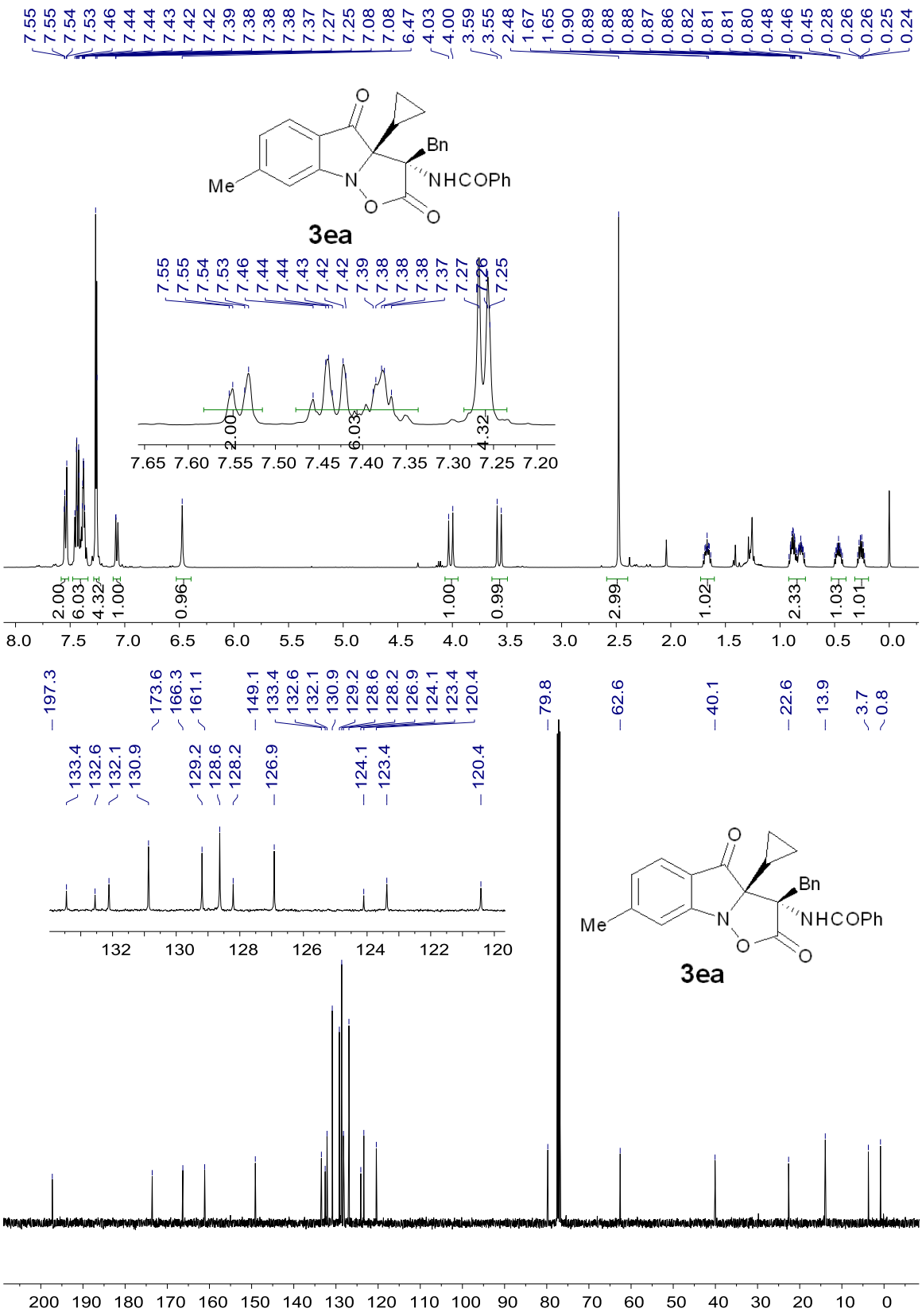
HMBC


{0.26,13.89}
{0.88,13.58}
{6.55,40.02}
{6.54,62.43}
{3.97,62.36}
{3.56,62.33}
{3.60,80.11}
{4.04,78.92}
{0.48,80.00}
{1.63,79.83}
{7.57,143.53}
{7.57,161.13}
{6.54,166.34}
{6.55,172.86}
{3.97,173.12}
{3.60,173.09}
{7.46,196.40}
Bn
NHCOPh
Cl
3ca
f2 (ppm)
f1 (ppm)

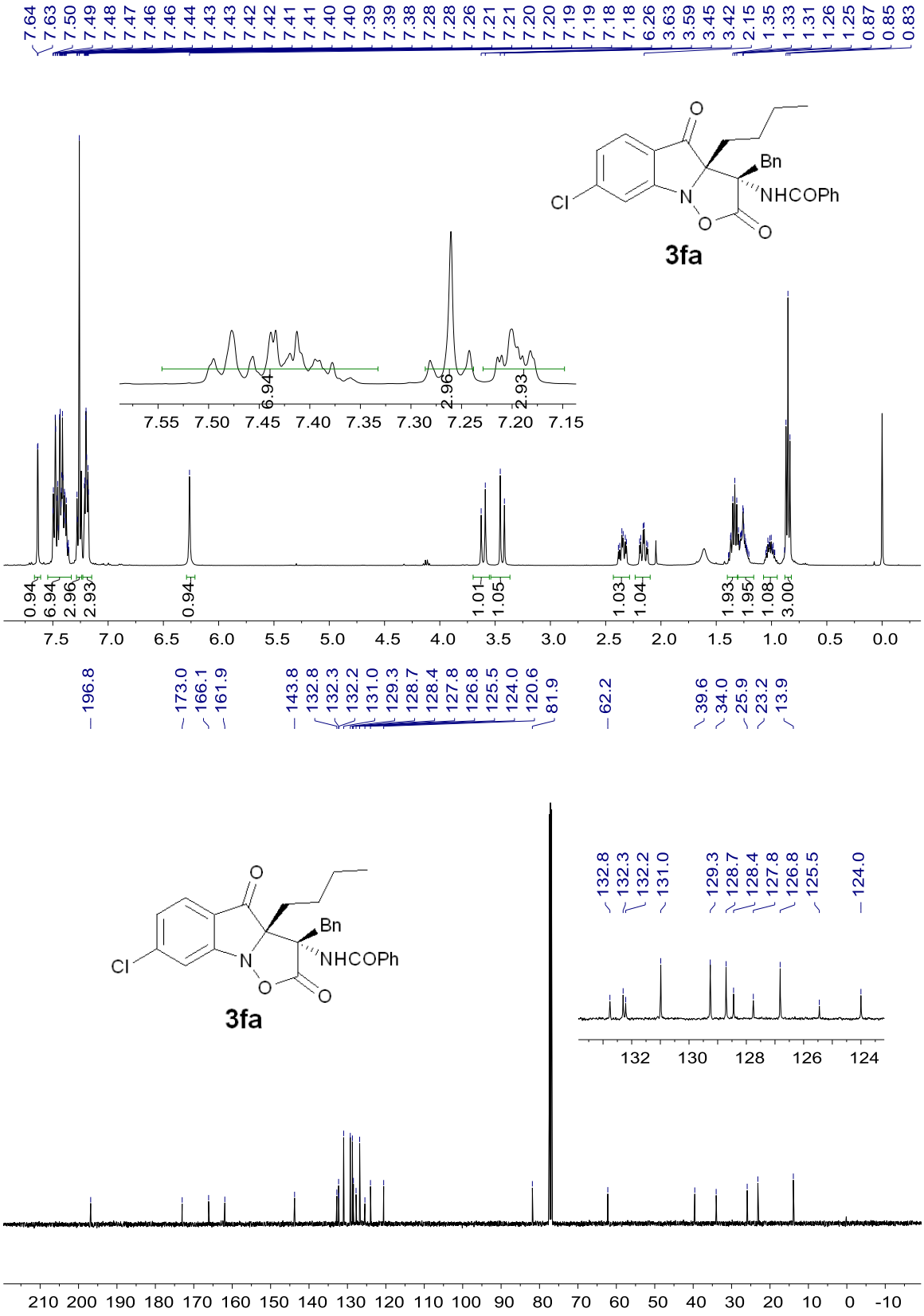
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3da**



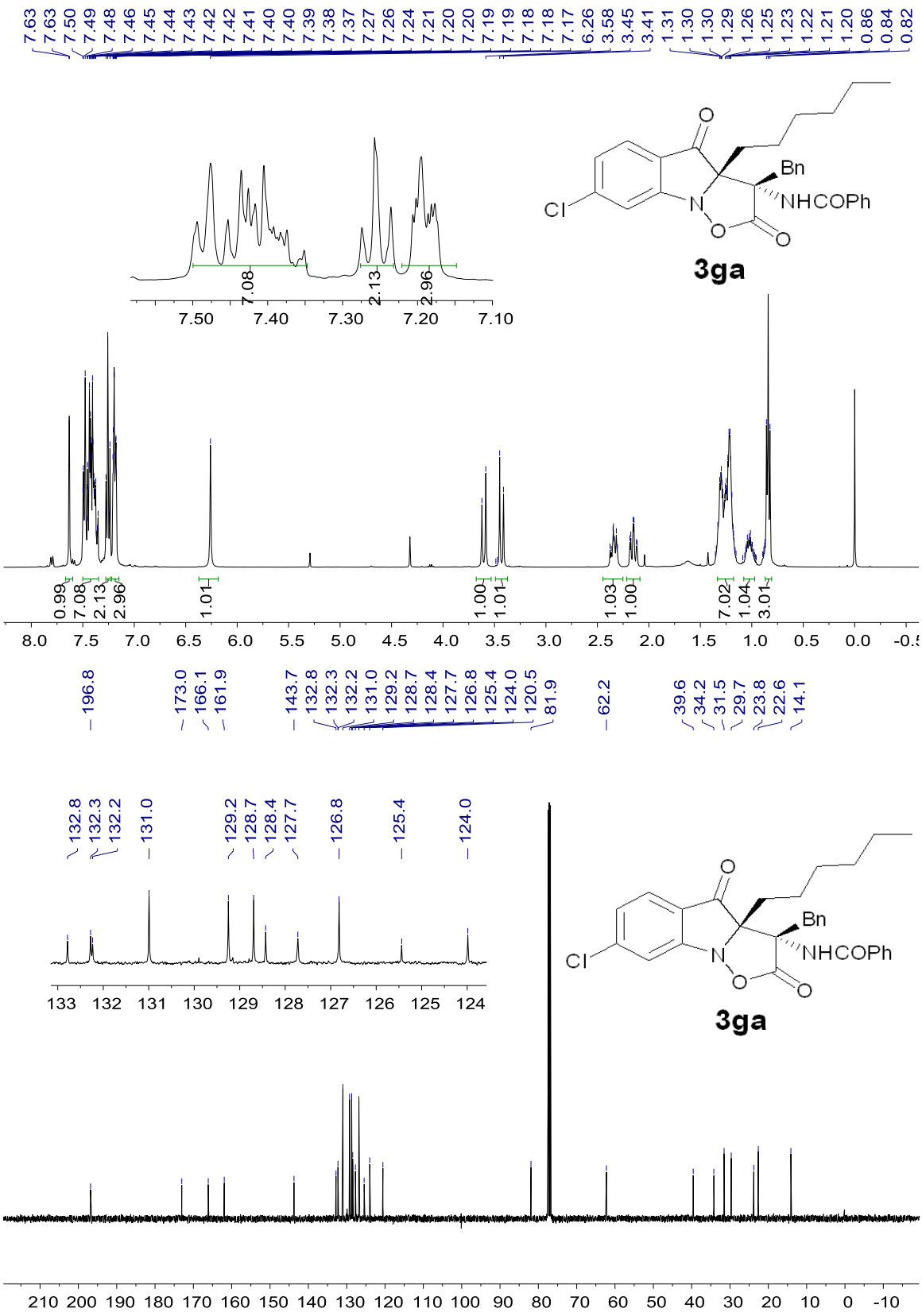
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ea**



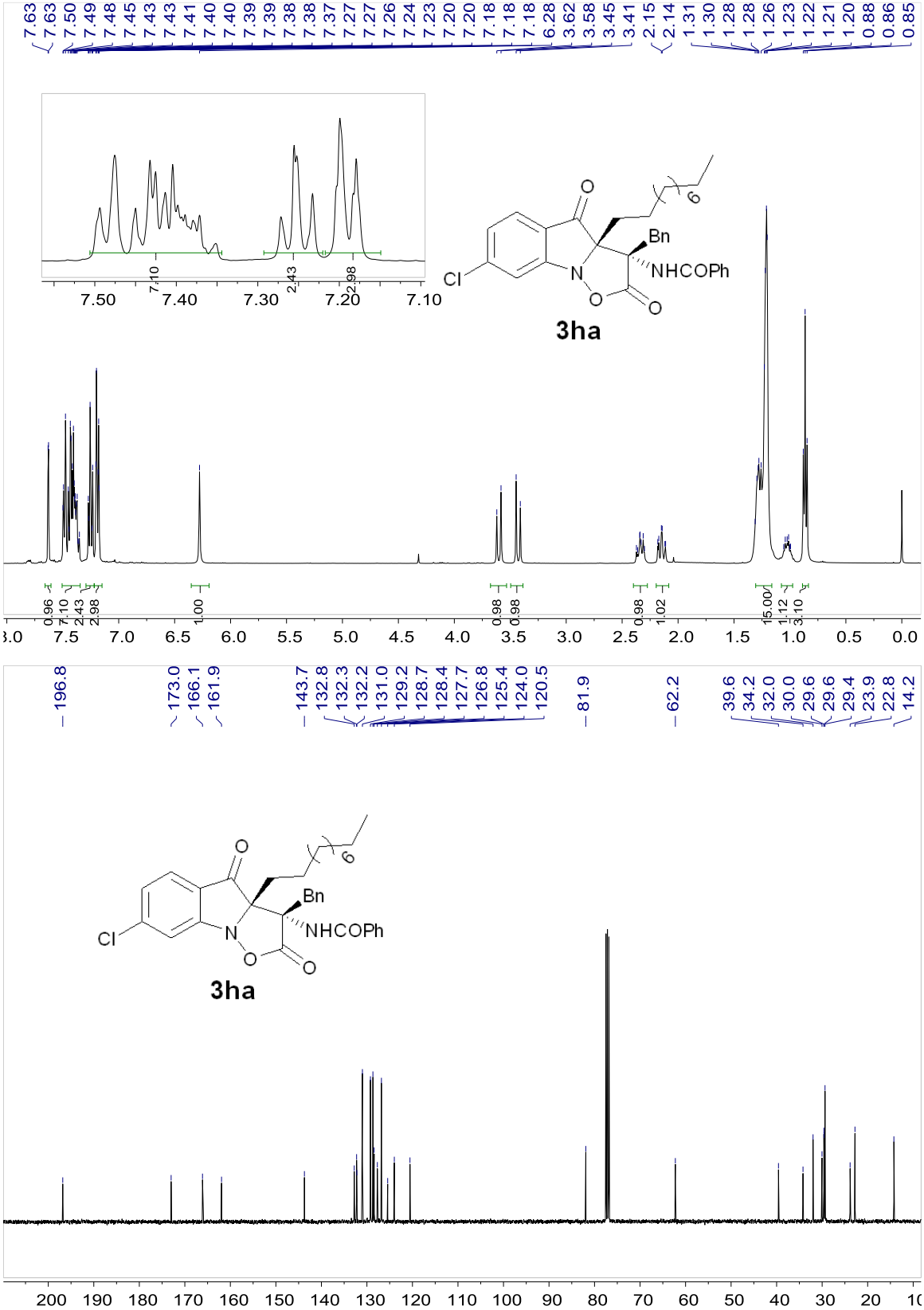
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3fa**



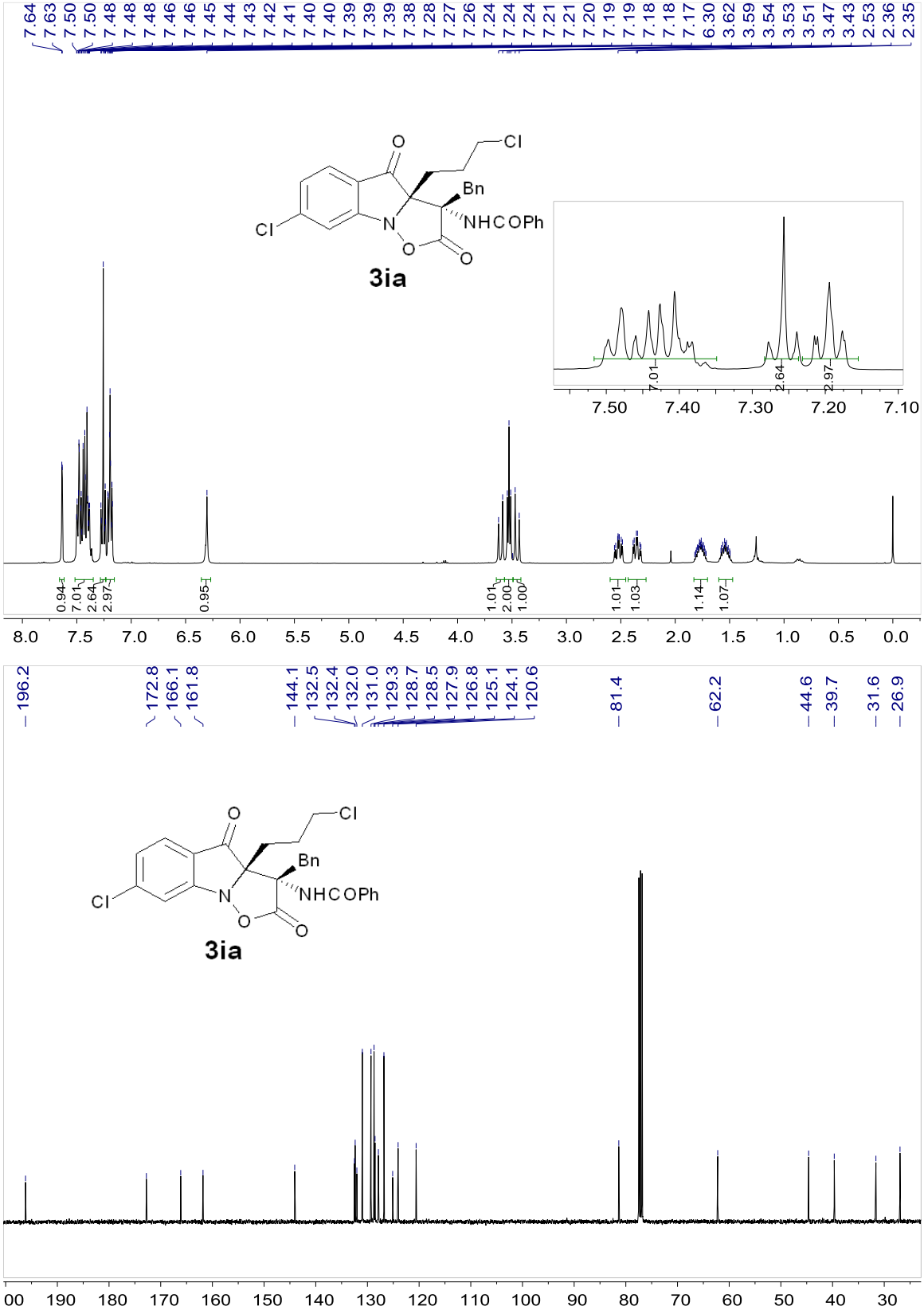
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ga**



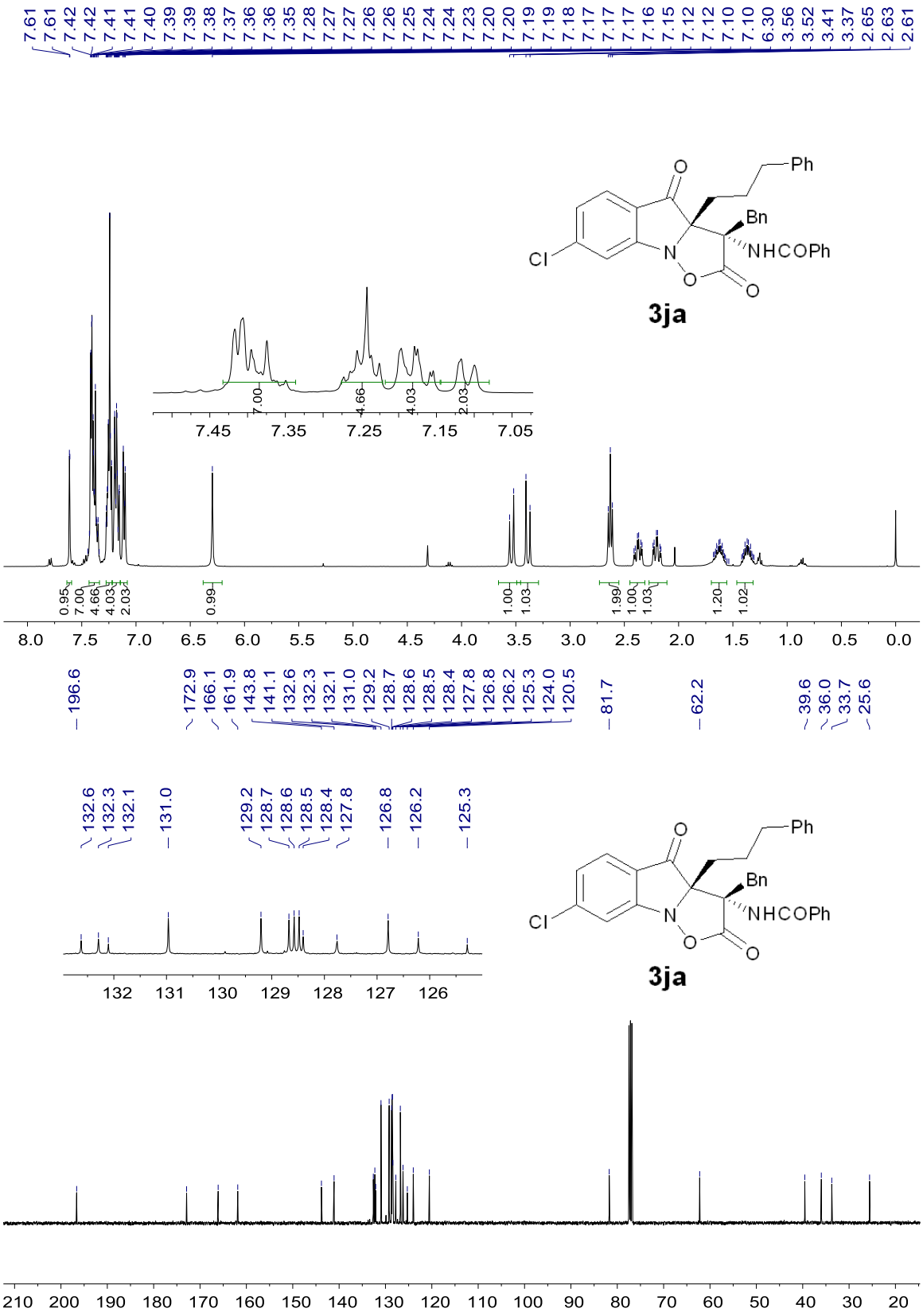
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ha**



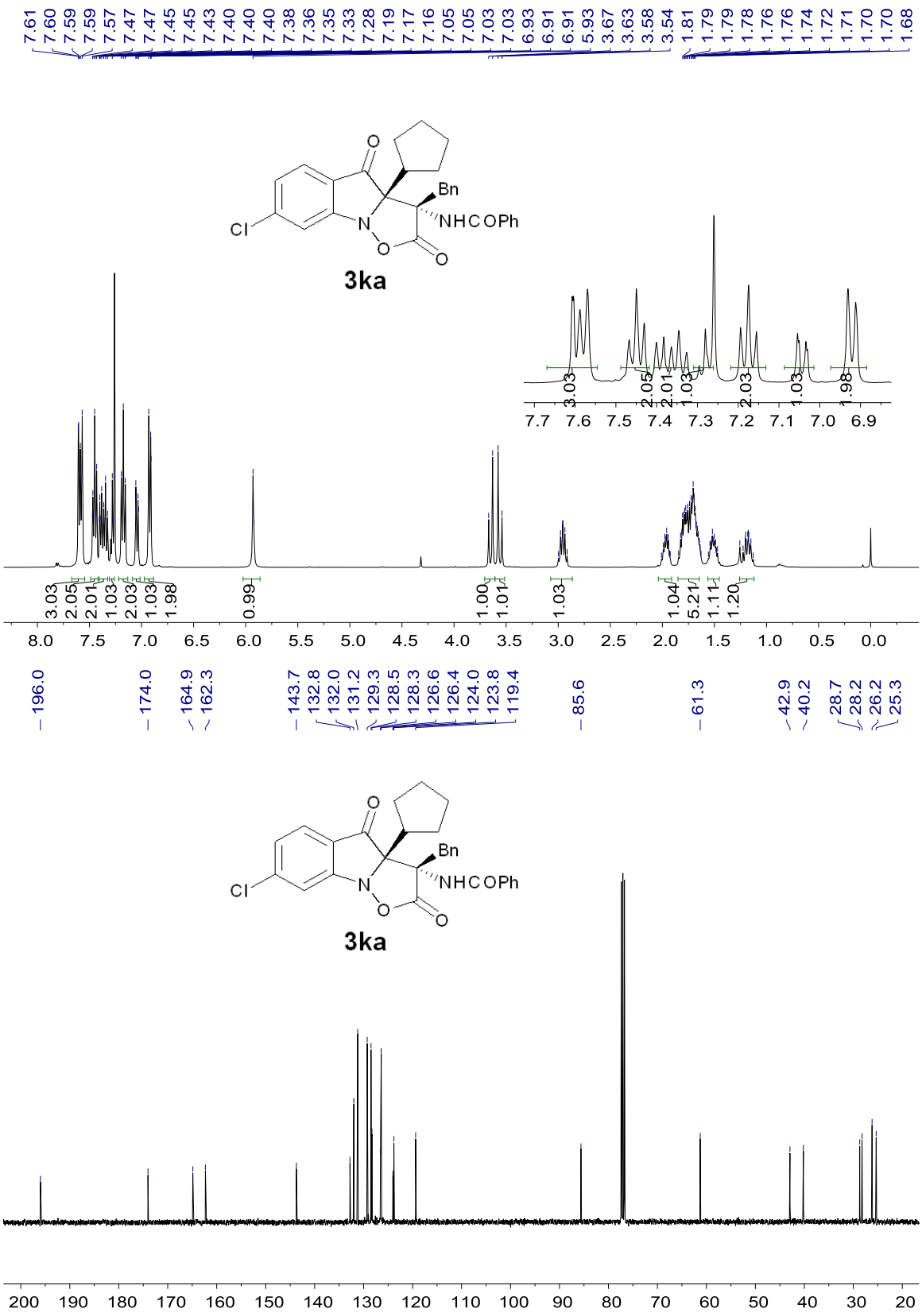
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ia**



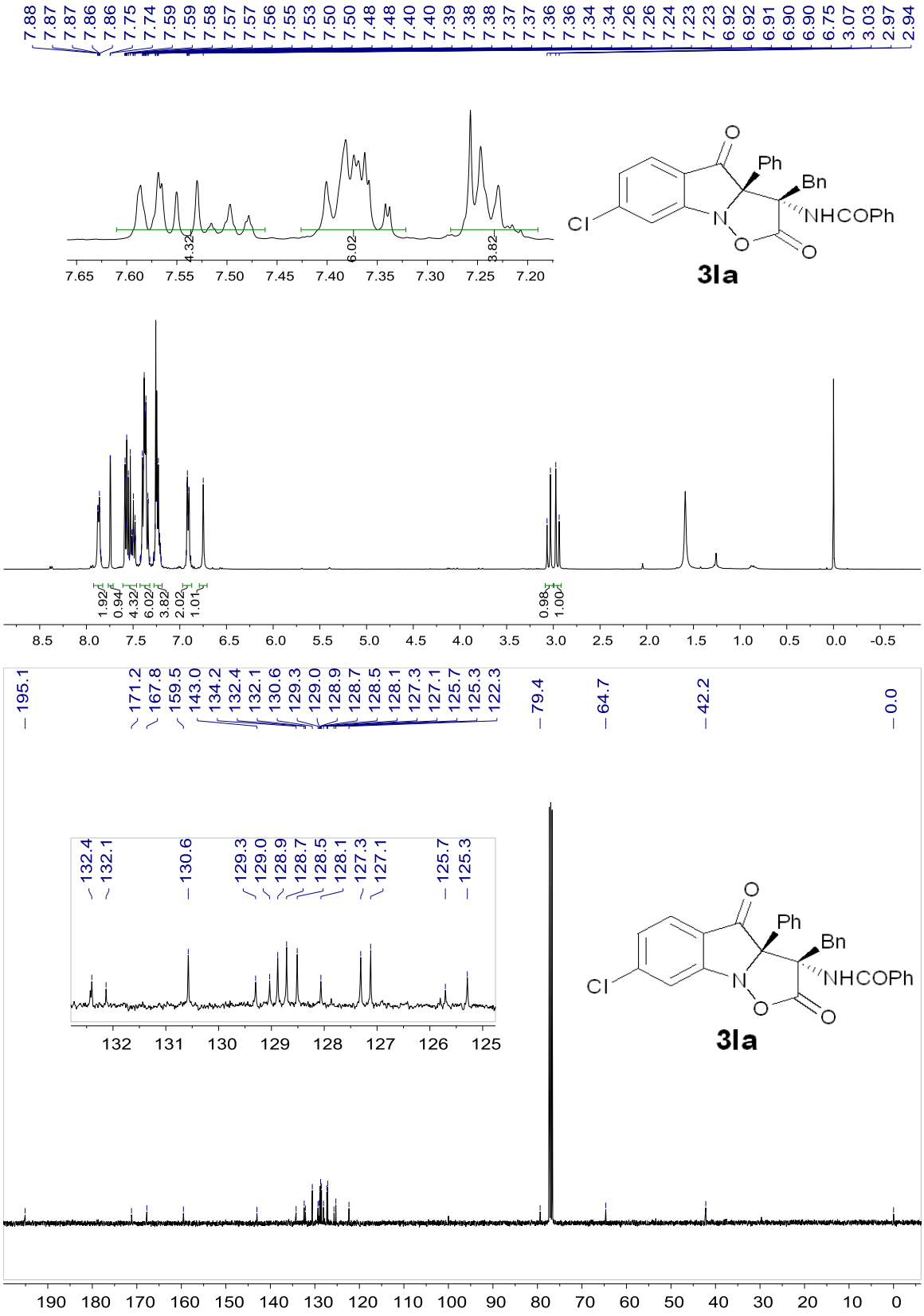
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ja**



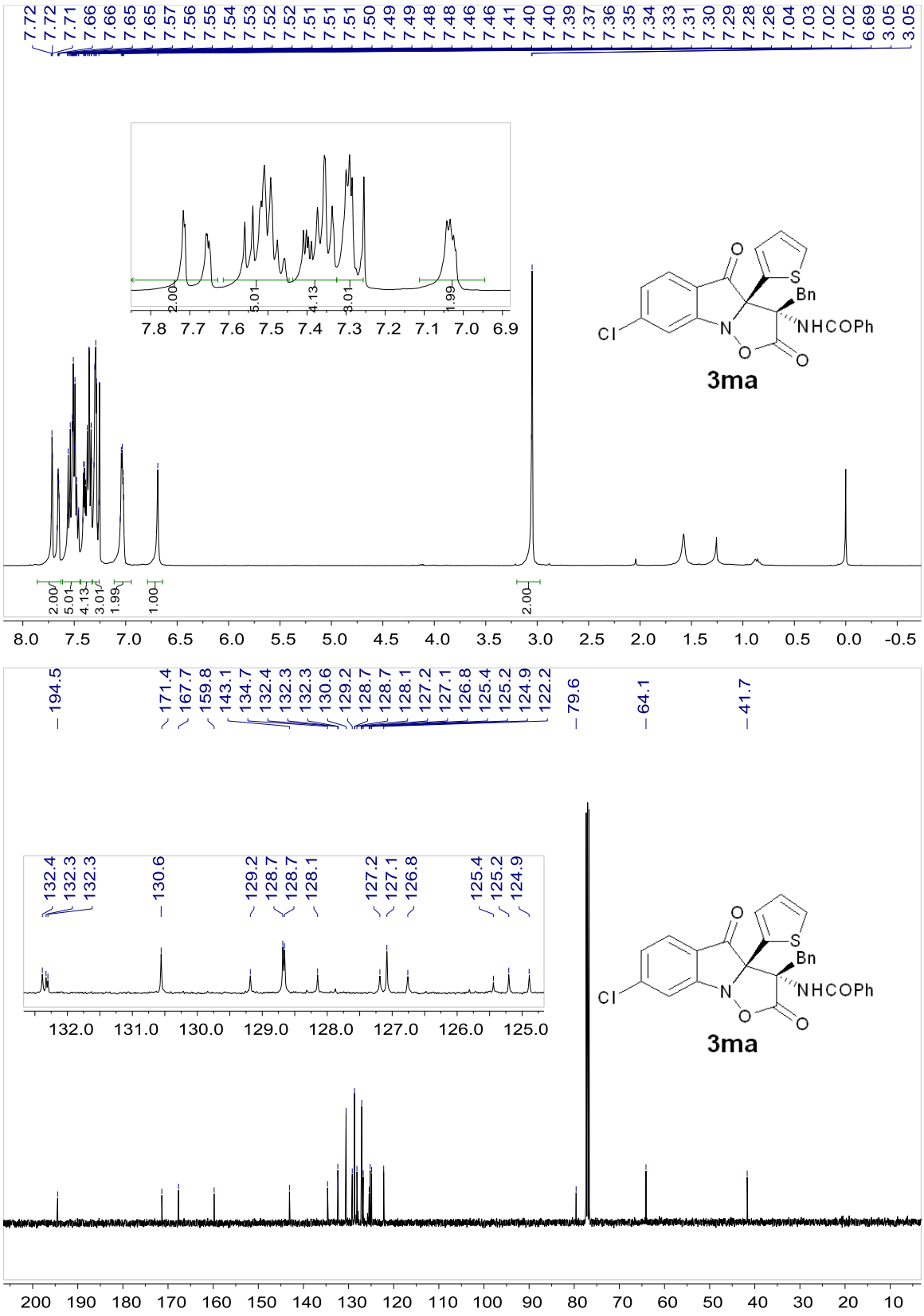
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ka**



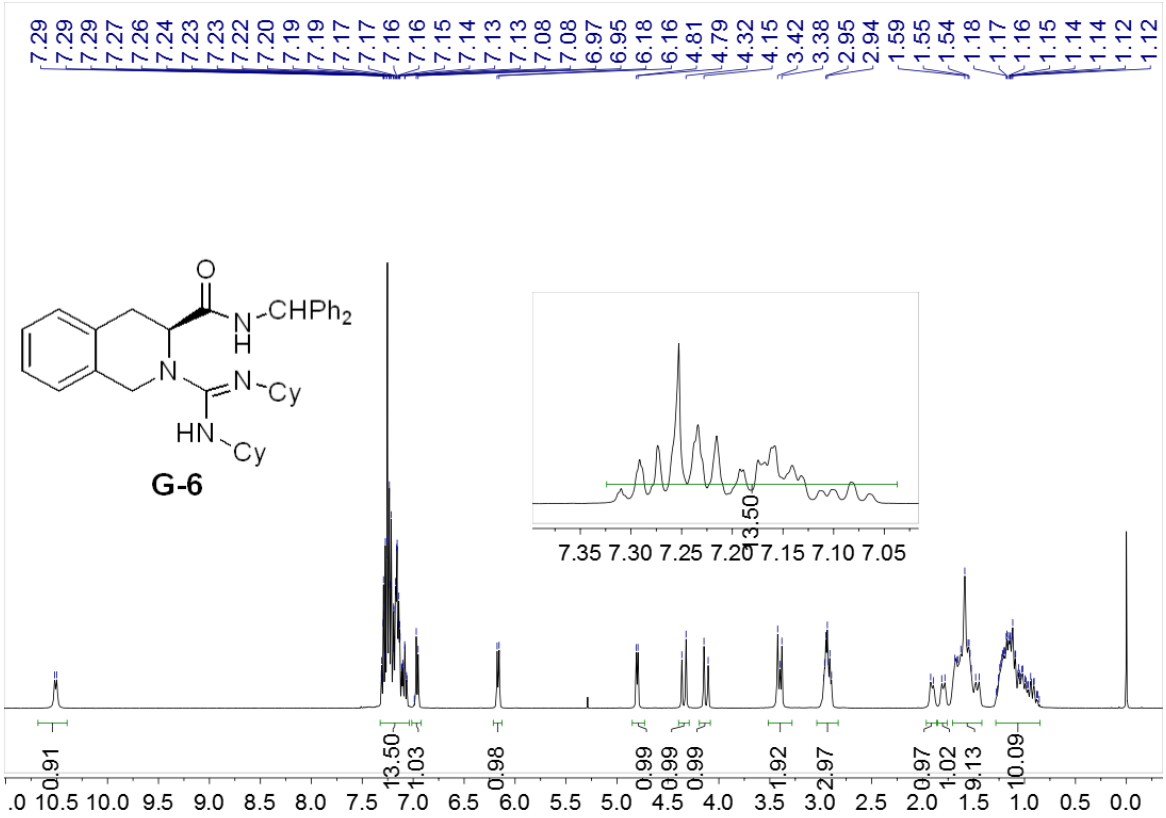
^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3la**

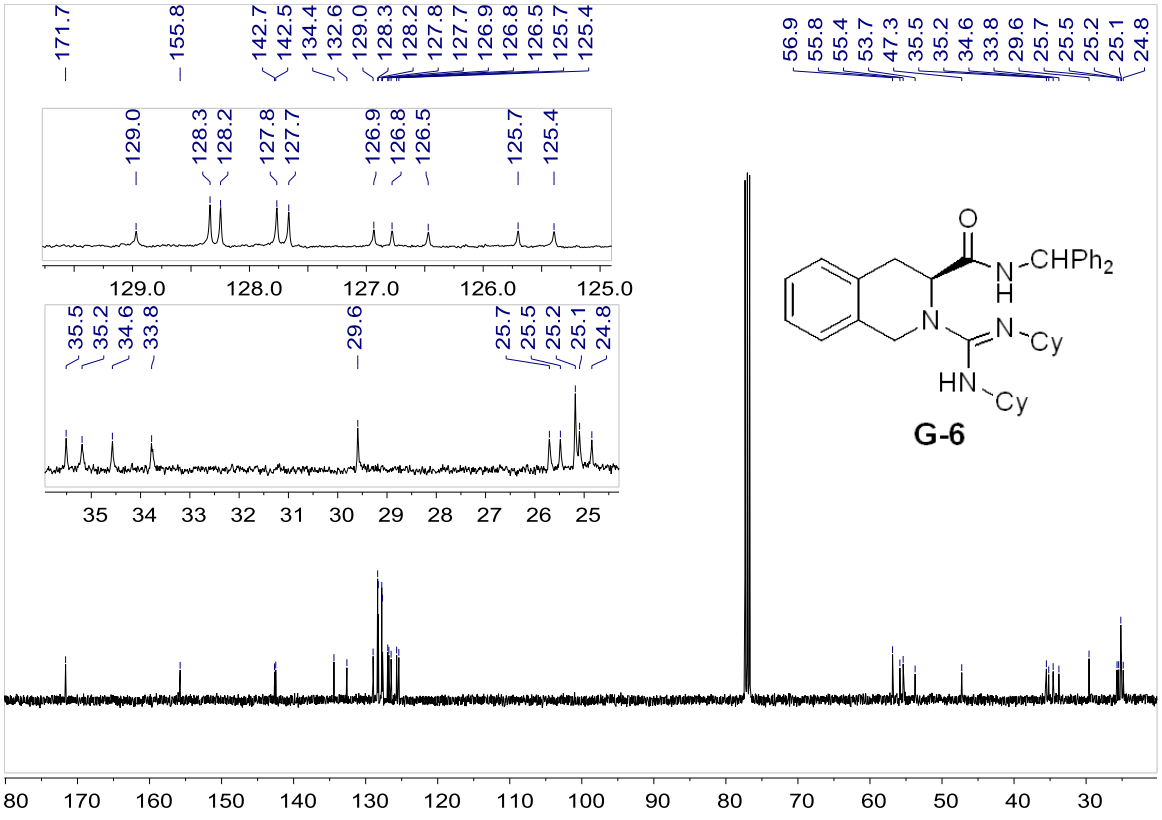


^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **3ma**

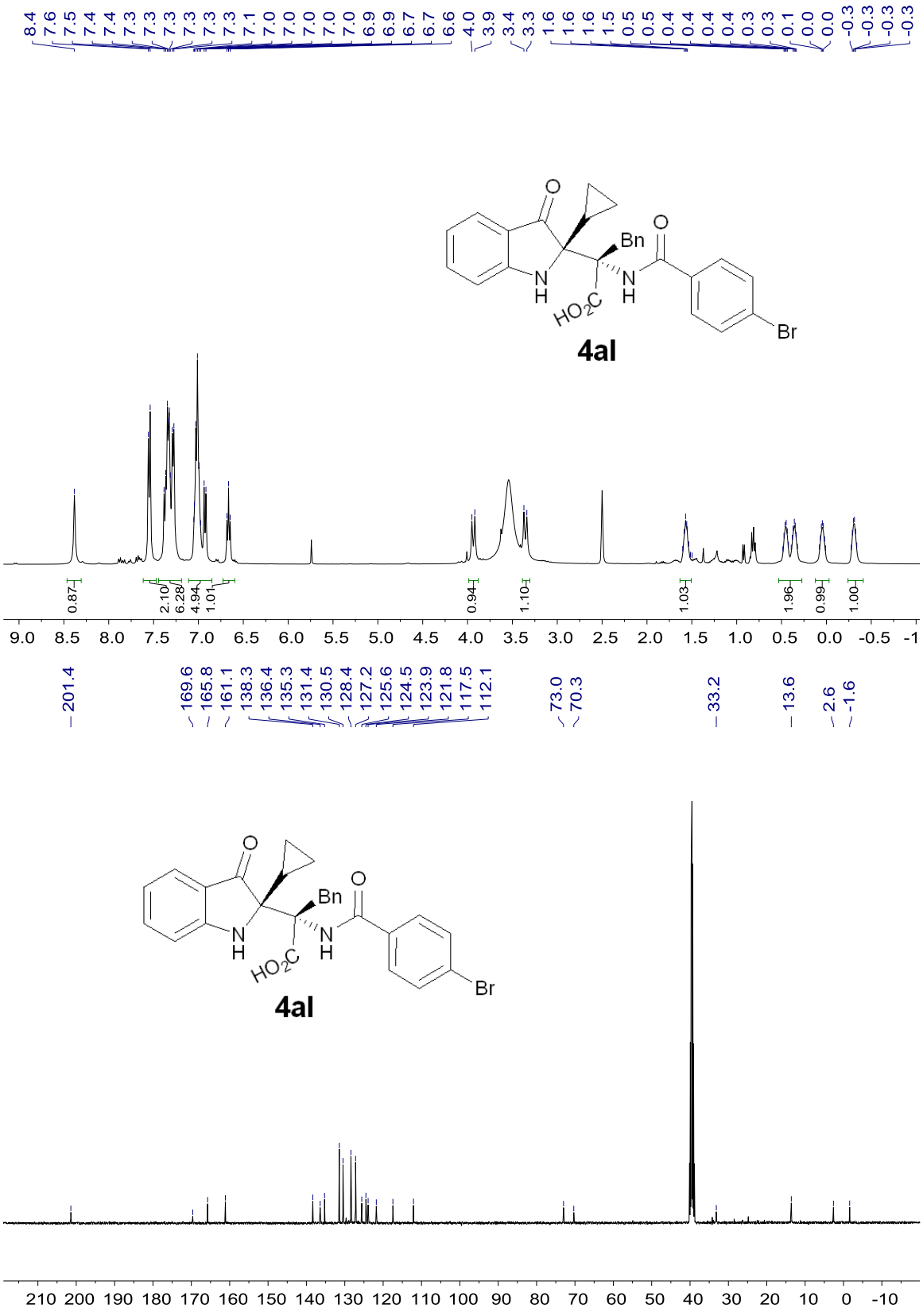

7.72 7.72 7.71 7.66 7.66 7.65 7.65 7.57 7.56 7.55 7.54 7.53 7.52 7.52 7.51 7.51 7.50 7.49 7.49 7.48 7.48 7.46 7.46 7.41 7.40 7.40 7.39 7.37 7.36 7.35 7.34 7.33 7.31 7.30 7.29 7.28 7.26 7.04 7.03 7.02 7.02 6.69 3.05 3.05
2.00 5.01 4.13 3.01 1.99
7.8 7.7 7.6 7.5 7.4 7.3 7.2 7.1 7.0 6.9
Cl
O
S
Bn
NHCOPh
N
O
O
3ma
2.00 5.01 4.13 3.01 1.99 1.00 2.00
8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
194.5 171.4 167.7 159.8 143.1 134.7 132.4 132.3 132.3 130.6 129.2 128.7 128.7 128.1 127.2 127.1 126.8 125.4 125.2 124.9 122.2 79.6 64.1 41.7
132.4 132.3 132.3 130.6 129.2 128.7 128.7 128.1 127.2 127.1 126.8 125.4 125.2 124.9
132.0 131.0 130.0 129.0 128.0 127.0 126.0 125.0
Cl
O
S
Bn
NHCOPh
N
O
O
3ma
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10

^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), $CDCl_3$, compound **G-6**





^{1}H NMR (400 MHz) and ^{13}C{^{1}H} NMR (101 MHz), DMSO, compound **4al**



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