

Supporting Information

Direct Cycloaddition of Activated N-Heteroarenes via Site- and Stereoselective Dearomatic Reactions

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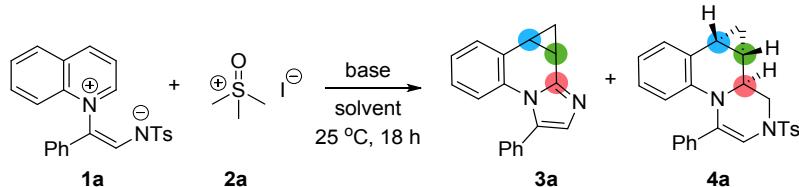
analysis.

I. General Methods

Unless otherwise stated, all commercial reagents and solvents were used without additional purification. The quinolinium zwitterions (**1**) were prepared according to the reported procedure.^{S1} Analytical thin layer chromatography (TLC) was performed on Merck pre-coated silica gel 60 F254 plates. Visualization on TLC was achieved by use of UV light (254 nm). Flash column chromatography was undertaken on silica gel (Merck Kiesel gel 60 F254 230-400 mesh). ¹H NMR were recorded on Bruker DPX FT (400 MHz) and JEOL (300 MHz). Chemical shifts were quoted in parts per million (ppm) referenced to the appropriate solvent peak or 0.0 ppm for tetramethylsilane. The following abbreviations were used to describe peak splitting patterns when appropriate: br = broad, s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet. Coupling constants, *J*, were reported in hertz unit (Hz). ¹³C NMR were recorded on Bruker FT AM 400 (100 MHz) and JEOL (75MHz). There were fully decoupled by broad band proton decoupling. Chemical shifts were reported in ppm referenced to the center line of a triplet at 77.0 ppm of chloroform-*d*. Infrared spectra were recorded on JASCO FT/IR-4700 and FT/IR-4200 FT-IR spectrometer. Frequencies are given in reciprocal centimeters (cm-1) and only selected absorbance is reported. Analytical normal-phase high-performance liquid chromatography (HPLC) was using an Agilent 1260 Infinity II series instrument equipped with a photodiode array detector (254 nm) and columns (chiral supports, 5 μ m particle size, 4.6 x 250 mm) from Daicel Chemical Industries. High resolution mass spectra were obtained from the Korea Basic Science Institute (Daegu) by EI or ESI method.

II. Experimental Procedure

II-1. Optimization Studies of Divergent Cycloaddition of Quinolinium Zwitterion (**1a**) and Sulfoxonium Salt (**2a**) (Table 1)



To a test tube with a triangular-shaped stir bar were added quinolinium zwitterion (**1a**, 0.1 mmol), trimethylsulfoxonium iodide (**2a**, 2 equiv), base (2 equiv) and solvent (1 mL) under N₂ atmospheric conditions. The reaction mixture was stirred at room temperature. After 18 h, it was filtered through a pad of silica and then washed with EtOAc (10 mL x 3). The organic solvent was removed under reduced pressure. The NMR yield of desired products (**3a** and **4a**) were determined by integration using an internal standard (CH₂Br₂).

II-2. Procedure for the Cycloaddition of Quinolinium Zwitterions (**1**) and Sulfur Ylides (**2**)

A. [2+1] Cycloaddition of Quinolinium Zwitterions (**1**) and Sulfoxonium Salt (**2a**) (Scheme 3).

To a test tube with a triangular-shaped stir bar were added quinolinium zwitterion (**1**, 0.1 mmol), trimethylsulfoxonium iodide (**2a**, 4 equiv), NaOMe (4 equiv), and DMF (2 mL) under N₂ atmospheric conditions. The reaction mixture was stirred at 40 °C for 3 h. After cooling the reaction mixture at room temperature, it was filtered through a pad of silica and then washed with EtOAc (10 mL x 3). The organic solvent was removed under reduced pressure. The organic residue was purified by chromatography on silica gel to give the desired product **3** (**3a–3h**).

B. [2+1] Cycloaddition of Quinolinium Zwitterion (**1a**) and Benzylidemethylsulfonium Salt (**2**) (Scheme 3).^{s2}

To a test tube with a triangular-shaped stir bar were added quinolinium zwitterion (**1a**, 0.1 mmol), dimethyl(phenyl)sulfonium trifluoromethanesulfonate (2.5 equiv), NaH (2.5 equiv) and DCE (2.5 mL) under N₂ atmospheric conditions. The reaction mixture was stirred at 40 °C for 3 h. After cooling the reaction mixture to room temperature, the reaction mixture was quenched by H₂O (5 mL) and extracted with CH₂Cl₂ (3 × 10 mL), the organic layer was combined, dried (Na₂SO₄), filtered and concentrated in vacuo to afford a crude oil. The organic residue was purified by chromatography on silica gel to give the desired product **3i**.

C. [2+1] Cycloaddition of Quinolinium Zwitterions (**1a**) and Estersulfonium (or Ketosulfonium) Ylide (**2**) (Scheme 3).^{s3}

To a test tube with a triangular-shaped stir bar were added quinolinium zwitterion (**1a**, 0.1 mmol), isolable sulfonium ylide (**2**, 2.5 equiv), and DCE (2.5 mL) under N₂ atmospheric conditions. The reaction mixture was stirred at 80 °C for 2 h. After cooling the reaction mixture at room temperature, it was filtered through a pad of silica and then washed with EtOAc (10 mL x 3). The organic solvent was removed under reduced pressure. The organic residue was purified by chromatography on silica gel to give the desired product **3** (**3j–3m**).

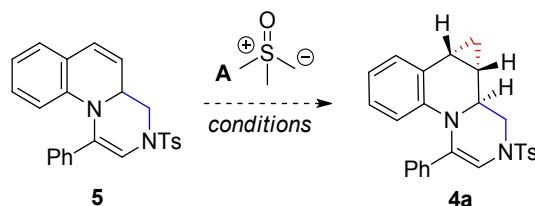
D. Cascade [2+1]/[5+1] Cycloaddition for Synthesis of Cyclopropane-fused N-Heterocycles (Scheme 4).

To a test tube with a triangular-shaped stir bar were added quinolinium zwitterion (**1**, 0.1 mmol), trimethylsulfoxonium iodide (2.5 equiv), NaH (2.5 equiv), and DMF (2.5 mL) under N₂ atmospheric conditions. The reaction mixture was stirred at room temperature. After 18 h, the reaction mixture was filtered through a pad of silica and then washed with EtOAc (10 mL x 3). The organic solvent was removed under reduced pressure. The organic residue was purified by chromatography on silica gel to give the desired product **4**.

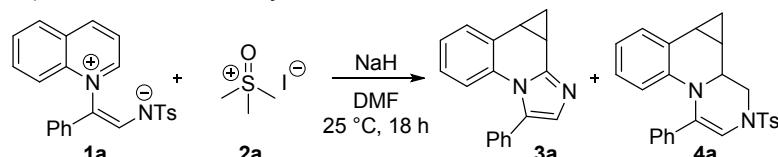
II-3. Control Experiment to Confirm the Sequence of Cascade Cycloaddition (Scheme 2)

To decipher the sequence of the cascade cycloaddition, we conducted a control experiment with isolated **5** and excess of ylide **A** under various reaction conditions. However, the expected compound **4a** was not observed at all.

A. Procedure: To a test tube with a triangular-shaped stir bar were added cyclic compound **5** (0.1 mmol), trimethylsulfoxonium iodide (**2a**, 2.5 equiv), NaH (2.5 equiv), and DMF (2.5 mL) under N₂ atmospheric conditions. The reaction mixture was stirred at room temperature for 18 h. However, the reaction mixture was not converted at all. In general, sulfur ylides do not undergo cyclopropanation when they are reacted with non-activated olefins.



B. Product ratio depending on the concentration: To a test tube with a triangular-shaped stir bar were added quinolinium zwitterion (**1a**, 0.1 mmol), trimethylsulfoxonium iodide (**2a**, 2.5 equiv), NaH (2.5 equiv), and DMF under N₂ atmospheric conditions. The reaction mixture was stirred at room temperature. After 18 h, the reaction mixture was filtered through a pad of silica and then washed with EtOAc (10 mL x 3). The organic solvent was removed under reduced pressure. The ratio of desired products (**3a** and **4a**) were determined by ¹H NMR.



Entry	Solvent (mL)	3a (%)	4a (%)
1	1	-	70
2	2	-	80
3	2.5	-	84
4	3	12	73
5	5	9	63

^a Conditions: **1a** (0.1 mmol), **2a** (2.5 equiv), base (2.5 equiv) and 25 °C, 18 h.

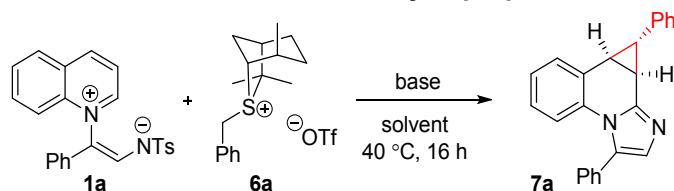
^b NMR yield using CH₂Br₂ as an internal standard.

II-4. Optimization Studies of the Asymmetric Cycloaddition of Quinolinium Zwitterion (**1a**) and Chiral Sulfur Ylides (Table S1)

To a test tube with a triangular-shaped stir bar were added quinolinium zwitterion (**1a**, 0.1 mmol), chiral sulfonium salt (**6a**), base and solvent under N₂ atmospheric conditions. The reaction mixture was stirred

at room temperature for 2 h and then it was heated to 40 °C for 16 h. After cooling the reaction mixture to room temperature, the reaction mixture was quenched by H₂O (5 mL) and extracted with CH₂Cl₂ (3 × 10 mL), the organic layer was combined, dried (Na₂SO₄), filtered and concentrated in vacuo to afford a crude oil. The organic residue was purified by chromatography on silica gel to give the desired product **7a**.

Table S1. Optimization Studies of Enantioselective Cyclopropanation



entry	equiv of 6a	base	solvent	yield (%)	ee (%)
1	2.5	KOH	MeCN/H ₂ O (9:1)	50 ^a [1:3.5]	96
2	2.5	KOH	MeCN/tBuOH (15:1)	29 [1.1:1]	95
3	2.5	NaOMe	DMF	30 [1.7:1]	93
4	2.5	NaH	DCE	73 [1.5:1]	86
5	2.5	NaH	DMF	41 [1.3:1]	93
6	2.5	NaH	MeCN	75 [1.3:1]	96
7	3.0	NaH	MeCN	78 [1.4:1]	95
8	3.0	KOH	MeCN	40 [1.2:1]	94
9	3.0	K ₂ CO ₃	MeCN	77 [1.4:1]	77

^aReaction was stirred at room temperature.

II-5. Procedure for Enantioselective Cyclopropanation of Quinolinium Zwitterion (**1**) (Scheme 5)

To a test tube with a triangular-shaped stir bar were added quinolinium zwitterion (**1**, 0.1 mmol), chiral sulfonium salt (**6**, 3 equiv), NaH (3.5 equiv), and acetonitrile (2.5 mL) under N₂ atmospheric conditions. The reaction mixture was stirred at room temperature for 2 h and then it was heated to 40 °C for 16 h. After cooling the reaction mixture at room temperature, the reaction mixture was quenched by H₂O (5 mL) and extracted with CH₂Cl₂ (3 × 10 mL), the organic layer was combined, dried (Na₂SO₄), filtered and concentrated in vacuo to afford a crude oil. The organic solvent was removed under reduced pressure. The organic residue was purified by chromatography on silica gel to give the desired product **7**.

II-6. Synthetic Applications of Obtained Compound **4** (Scheme 6)

A. Scale-up Reaction for the Synthesis of Compound **4a**.

To a 250 mL round bottom flask with a stir bar were added quinolinium zwitterion (**1a**, 4 mmol), trimethylsulfoxonium iodide (2.5 equiv), NaH (2.5 equiv), and DMF (100 mL) under N₂ atmospheric conditions. The reaction mixture was stirred at room temperature for 18 h, and the reaction was quenched with H₂O. The mixture was extracted with EtOAc (10 mL × 3), the organic phases were combined, dried over MgSO₄. Organic solvents were removed under reduced pressure. The organic residue was purified by chromatography on silica gel to give the desired product **4a** (1.35 g, 79%).

B. Detosylation of Compound **4h** (Compound **8**).

To a test tube with a triangular-shaped stir bar were added compound **4h** (0.1 mmol), Mg powder (10 equiv), THF (0.1 mL), and MeOH (1 mL) under N₂ atmospheric conditions. The reaction mixture was stirred at room temperature. After 1 h, the reaction mixture was filtered through a pad of silica and then

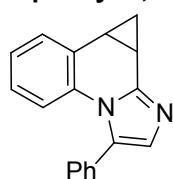
washed with DCM (10 mL x 3). The organic solvent was removed under reduced pressure and used in the next step without further purification.

C. Arylation of Detosylated Compound (Compound 9).

To a test tube with a stir bar were added detosylated compound **8** (0.08 mmol), iodobenzene (1.2 equiv), Pd(OAc)₂ (5 mol%), BINAP (5.5 mol%), NaOtBu (1.4 equiv), and toluene (0.5 mL) under N₂ atmospheric conditions. The reaction mixture was stirred at 110 °C for 1 h. After cooling the reaction mixture at room temperature, it was filtered through a pad of celite and then washed with EtOAc (10 mL x 3). The organic solvent was removed under reduced pressure. The organic residue was purified by chromatography on silica gel to give the desired product **9**.

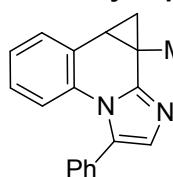
III. Spectroscopic data

3-phenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3a):



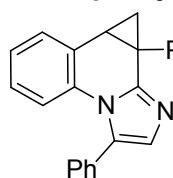
Pale yellow oil (83%); ¹H NMR (400 MHz, CDCl₃) δ 7.47-7.42 (m, 6H), 7.09-7.05 (td, *J* = 7.43 Hz, 1.06 Hz, 1H), 7.01-6.98 (m, 2H), 6.92-6.88 (m, 1H), 2.90-2.84 (m, 1H), 2.69-2.64 (m, 1H), 1.68-1.62 (td, *J* = 8.80 Hz, 4.56 Hz, 1H), 0.49-0.45 (q, *J* = 4.80 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃) δ 146.9, 133.4, 132.1, 130.9, 130.8, 129.7, 129.3, 128.6, 128.1, 127.4, 126.2, 124.9, 117.7, 18.9, 14.3, 12.0; IR (liquid) ν 1709.59, 1494.56, 1386.57, 1362.46, 1220.72 cm⁻¹; HRMS (EI) m/z calcd. for C₁₈H₁₄N₂ [M<+]]: 258.1157, found: 258.1155.

9a-methyl-3-phenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3b):



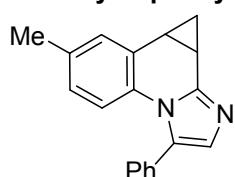
Pale yellow oil (75%); ¹H NMR (400 MHz, CDCl₃) δ 7.43-7.41 (m, 6H), 7.08-6.98 (m, 3H), 6.91-6.86 (m, 1H), 2.46-2.43 (dd, *J* = 8.98 Hz, 5.21 Hz, 1H), 1.76 (s, 3H), 1.55-1.52 (m, 1H), 0.61-0.59 (t, *J* = 4.77 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 149.8, 133.3, 132.2, 131.1, 130.6, 129.1, 128.6, 128.0, 126.0, 124.7, 117.6, 27.3, 21.0, 19.9, 19.7; IR (liquid) ν 1738.51, 1494.56, 1467.56, 1365.35, 1216.86 cm⁻¹; HRMS (EI) m/z calcd. for C₁₉H₁₆N₂ [M<+]]: 272.1316, found: 272.1313.

3,9a-diphenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3c):



Pale yellow oil (34%); ¹H NMR (300 MHz, CDCl₃) δ 7.55-7.53 (d, *J* = 7.19 Hz, 2H), 7.48-7.25 (m, 9H), 7.14-6.93 (m, 4H), 2.82-2.77 (m, 1H), 2.25-2.21 (dd, *J* = 9.38 Hz, 4.61 Hz, 1H), 1.00-0.97 (t, *J* = 5.10 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃) δ 149.0, 140.1, 133.2, 132.1, 131.1, 131.0, 129.7, 129.3, 129.2, 128.7, 128.7, 128.1, 127.5, 127.4, 126.5, 124.9, 117.9, 29.4, 28.4, 18.5; IR (liquid) ν 1635.34, 1267.00, 1244.83, 1234.22, 1209.15, 1183.11, 1128.15, 1101.15 cm⁻¹; HRMS (ESI) m/z calcd. for C₂₄H₁₈N₂ [M<+]] 334.1470, found: 334.1470.

7-methyl-3-phenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3d):



Pale yellow oil (77%); ¹H NMR (400 MHz, CDCl₃) δ 7.40 (s, 5H), 7.27-7.26 (m, 1H), 6.96 (s, 1H), 6.87-6.85 (d, *J* = 8.48 Hz, 1H), 6.71-6.68 (dd, *J* = 8.48 Hz, 1.52 Hz, 1H), 2.87-2.81 (m, 1H), 2.64-2.58 (m, 1H), 2.27 (s, 3H), 1.64-1.59 (td, *J* = 8.79 Hz, 4.54 Hz, 1H), 0.46-0.43 (q, *J* = 4.79 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 146.7, 134.5, 132.3, 131.1, 130.7, 130.5, 130.1, 129.3, 128.6, 128.0, 127.3, 126.8, 117.5, 20.5, 18.8, 14.3, 12.1; IR (liquid) ν 1737.55, 1505.17, 1375.00, 1228.43, 1216.86, 1206.26 cm⁻¹; HRMS (EI) m/z calcd. for C₁₉H₁₆N₂ [M<+]]: 272.1315, found: 272.1313

7-methoxy-3-phenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3e):

Pale yellow oil (86%); ^1H NMR (400 MHz, CDCl_3) δ 7.41 (s, 5H), 7.00-6.96 (m, 2H), 6.92-6.90 (d, $J = 9.13$ Hz, 1H), 6.45-6.42 (dd, $J = 9.13$ Hz, 2.88 Hz, 1H), 3.76 (s, 3H), 2.87-2.82 (m, 1H), 2.64-2.58 (m, 1H), 1.66-1.60 (m, 1H), 0.49-0.45 (m, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 156.5, 146.2, 132.3, 130.5, 130.4, 129.4, 129.0, 128.6, 128.1, 127.0, 118.7, 114.7, 111.3, 55.4, 19.0, 14.3, 12.2; IR (liquid) ν 1498.42, 1358.60, 1340.28, 1241.93, 1166.72, 1090.55 cm^{-1} ; HRMS (EI) m/z calcd. for $\text{C}_{19}\text{H}_{16}\text{N}_2\text{O}$ [M^+]: 288.1261, found: 288.1263.

3-(p-tolyl)-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3f):

Pale yellow oil (67%); ^1H NMR (400 MHz, CDCl_3) δ 7.47-7.44 (d, $J = 6.18$ Hz, 1H), 7.30-7.28 (m, 2H), 7.24-7.22 (m, 2H), 7.09-7.03 (m, 2H), 6.94-6.88 (m, 2H), 2.88-2.83 (m, 1H), 2.68-2.62 (m, 1H), 2.43 (s, 3H), 1.66-1.60 (td, $J = 8.79$ Hz, 4.54 Hz, 1H), 0.47-0.44 (q, $J = 4.79$ Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 146.7, 138.1, 133.5, 130.9, 130.5, 129.6, 129.4, 129.3, 127.4, 126.2, 124.8, 117.6, 21.3, 18.9, 14.3, 12.0; IR (liquid) ν 1633.41, 1493.60, 1465.63, 1385.60, 1366.32, 1144.55 cm^{-1} ; HRMS (EI) m/z calcd. for $\text{C}_{19}\text{H}_{16}\text{N}_2$ [M^+]: 272.1312, found: 272.1313.

3-(4-methoxyphenyl)-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3g):

Pale yellow oil (69%); ^1H NMR (400 MHz, CDCl_3) δ 7.47-7.44 (m, 1H), 7.33-7.31 (d, $J = 8.18$ Hz, 2H), 7.08-7.01 (m, 2H), 6.97-6.88 (m, 4H), 3.88 (s, 3H), 2.88-2.82 (m, 1H), 2.67-2.62 (m, 1H), 1.65-1.60 (td, $J = 8.79$ Hz, 4.54 Hz, 1H), 0.46-0.42 (q, $J = 4.78$ Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 159.6, 146.4, 133.5, 130.8, 130.5, 130.3, 129.6, 127.4, 126.2, 124.8, 124.5, 117.4, 114.1, 55.3, 18.7, 14.3, 11.9; IR (liquid) ν 1709.59, 1494.56, 1361.50, 1245.79, 1219.76 cm^{-1} ; HRMS (EI) m/z calcd. for $\text{C}_{19}\text{H}_{16}\text{N}_2\text{O}$ [M^+]: 288.1262, found: 288.1263.

3-(3-methoxyphenyl)-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3h):

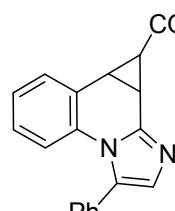
Pale yellow oil (58%); ^1H NMR (400 MHz, CDCl_3) δ 7.47-7.45 (dd, $J = 7.52$ Hz, 1.10 Hz, 1H), 7.35-7.31 (t, $J = 7.93$ Hz, 1H), 7.09-7.03 (m, 2H), 6.99-6.90 (m, 5H), 3.81 (s, 3H), 2.89-2.83 (m, 1H), 2.69-2.63 (m, 1H), 1.67-1.62 (td $J = 8.78$ Hz, 4.56 Hz, 1H), 0.48-0.45 (q, $J = 4.78$ Hz, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 159.7, 146.9, 133.4, 133.4, 130.8, 130.7, 129.7, 129.6, 127.3, 126.2, 124.9, 121.8, 117.7, 114.6, 113.9, 55.3, 18.9, 14.3, 12.0; IR (liquid) ν 1737.55, 1588.09, 1494.56, 1464.67, 1365.35, 1227.47, 1216.86 cm^{-1} ; HRMS (EI) m/z calcd. for $\text{C}_{19}\text{H}_{16}\text{N}_2\text{O}$ [M^+]: 288.1262, found: 288.1263.

3,9-diphenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3i):

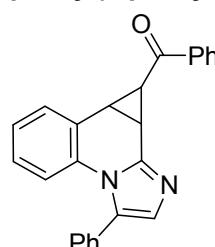
Pale yellow oil (41%); d.r.: 1:1.1; (major diastereomer) ^1H NMR (300 MHz, CDCl_3) δ 7.58-7.56 (d, $J = 7.43$ Hz, 1H), 7.31-7.27 (m, 3H), 7.25-7.22 (m, 2H), 7.13-6.95 (m, 5H), 6.87-6.79 (m, 3H), 6.61-6.58 (d, $J = 8.59$ Hz, 1H), 3.41-3.36 (t, $J = 8.36$ Hz, 1H), 3.17-3.11 (t, $J = 8.61$ Hz, 1H), 3.03-2.97 (t, $J = 8.93$ Hz, 1H); ^{13}C NMR (75 MHz, CDCl_3) δ 144.5, 134.1, 131.9, 130.7, 130.7, 130.5, 130.1, 128.4, 127.7, 127.6, 126.4, 126.4, 124.8, 124.8, 117.5, 24.6, 22.6, 19.8; (minor diastereomer) ^1H NMR (300 MHz, CDCl_3) δ 7.47-7.45 (m, 6H), 7.36-7.32 (m, 2H), 7.24-7.14 (m, 3H), 7.11-7.01 (m, 3H), 6.96-6.90

(m, 1H), 3.27-3.23 (dd, J = 8.49 Hz, 4.22 Hz, 1H), 2.98-2.93 (dd, J = 8.48 Hz, 4.55 Hz, 1H), 1.96-1.93 (t, J = 4.35 Hz, 1H); ^{13}C NMR (75 MHz, CDCl_3) δ 145.6, 139.6, 133.4, 132.1, 131.0, 131.0, 129.8, 129.4, 128.7, 128.6, 128.3, 126.6, 126.4, 126.4, 125.7, 125.1, 117.8, 29.7, 29.5, 24.7; IR (liquid) ν 1635.34, 1493.60, 1379.82, 1247.72 cm^{-1} ; HRMS (ESI) m/z calcd. for $\text{C}_{24}\text{H}_{18}\text{N}_2$ [M $^+$]: 334.1470, found: 334.1472.

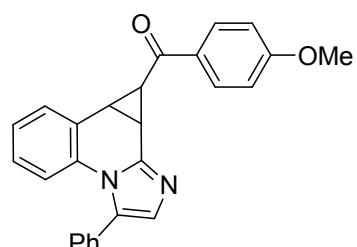
ethyl 3-phenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline-9-carboxylate (3j):

 Pale yellow oil (57%); ^1H NMR (300 MHz, CDCl_3) δ 7.53-7.41 (m, 6H), 7.14-6.93 (m, 4H), 4.31-4.17 (m, 2H), 3.47-3.43 (dd, J = 8.83 Hz, 3.59 Hz, 1H), 3.28-3.24 (m, 1H), 1.61-1.58 (m, 1H), 1.32-1.27 (t, J = 7.13 Hz, 3H); ^{13}C NMR (75 MHz, CDCl_3) δ 172.0, 133.6, 131.7, 131.1, 131.0, 131.0, 130.2, 130.2, 129.5, 128.7, 128.6, 128.5, 128.5, 127.3, 125.2, 125.2, 124.4, 124.4, 117.7, 117.6, 61.3, 27.5, 25.6, 23.5, 14.2; IR (liquid) ν 1720.19, 1641.13, 1412.60, 1381.75, 1329.68, 1302.68, 1270.86, 1182.15 cm^{-1} ; HRMS (ESI) m/z calcd. for $\text{C}_{21}\text{H}_{18}\text{N}_2\text{O}_2$ [M $^+$]: 330.1368, found: 330.1372.

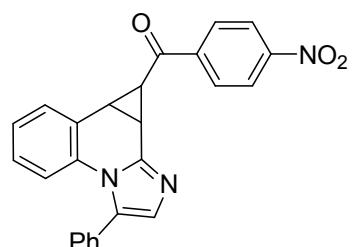
phenyl(3-phenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinolin-9-yl)methanone (3k):

 Pale yellow oil (98%); ^1H NMR (300 MHz, CDCl_3) δ 7.97-7.94 (d, J = 7.45 Hz, 2H), 7.59-7.43 (m, 9H), 7.15-6.97 (m, 4H), 3.71-3.67 (dd, J = 8.66 Hz, 3.42 Hz, 1H), 3.71-3.67 (dd, J = 8.66 Hz, 3.42 Hz, 1H), 3.52-3.48 (dd, J = 8.63 Hz, 3.75 Hz, 1H), 2.62-2.59 (t, J = 3.51 Hz, 1H); ^{13}C NMR (75 MHz, CDCl_3) δ 197.3, 144.0, 137.2, 133.8, 133.2, 131.7, 131.1, 130.3, 129.6, 128.8, 128.6, 128.5, 128.2, 127.4, 125.3, 125.0, 117.6, 30.8, 29.3, 26.8; IR (liquid) ν 1652.70, 1637.27, 1397.17, 1380.78, 1334.50, 1220.72, 1033.66, 1023.05 cm^{-1} ; HRMS (ESI) m/z calcd. for $\text{C}_{25}\text{H}_{18}\text{N}_2\text{O}$ [M $^+$]: 362.1419, found: 362.1419.

4-methoxyphenyl)(3-phenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinolin-9-yl)methanone (3l):

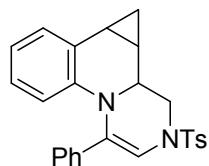
 Pale yellow oil (90%); ^1H NMR (300 MHz, CDCl_3) δ 7.96-7.93 (d, J = 8.82 Hz, 2H), 7.51-7.46 (m, 6H), 7.14-6.91 (m, 6H), 3.86 (s, 3H), 3.66-3.62 (dd, J = 8.75 Hz, 3.60 Hz, 1H), 3.49-3.45 (dd, J = 8.71 Hz, 3.89 Hz, 1H), 2.56-2.54 (t, J = 3.73 Hz, 3H); ^{13}C NMR (75 MHz, CDCl_3) δ 195.5, 163.7, 144.3, 133.8, 131.8, 131.1, 130.5, 130.5, 130.3, 130.3, 129.6, 129.5, 128.8, 128.7, 128.5, 128.5, 127.3, 127.3, 125.3, 125.3, 125.2, 117.7, 117.6, 113.7, 55.4, 30.3, 28.9, 26.4; IR (liquid) ν 1668.12, 1599.66, 1510.95, 1422.24, 1321.00, 1263.15, 1174.44, 1153.22, 1086.69 cm^{-1} ; HRMS (ESI) m/z calcd. for $\text{C}_{26}\text{H}_{20}\text{N}_2\text{O}_2$ [M $^+$]: 392.1525, found: 392.1527.

4-nitrophenyl)(3-phenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinolin-9-yl)methanone (3m):

 Pale yellow oil (76%); ^1H NMR (300 MHz, CDCl_3) δ 8.32-8.29 (d, J = 8.57 Hz, 2H), 8.12-8.09 (d, J = 8.60 Hz, 2H), 7.55-7.48 (m, 6H), 7.18-7.03 (m, 4H), 3.78-3.73 (dd, J = 8.74 Hz, 3.24 Hz, 1H), 3.61-3.57 (dd, J = 8.70 Hz, 3.62 Hz, 1H), 2.61-2.59 (m, 1H); ^{13}C NMR (75 MHz, CDCl_3) δ 196.1, 150.3, 143.4, 143.3, 141.6, 133.8, 131.5, 131.3, 131.2, 131.2, 130.4, 129.6, 129.2, 129.2, 128.8, 128.7, 128.7, 127.9, 127.8, 127.8, 125.5, 125.5, 124.4, 123.8, 123.8, 117.7, 117.7, 31.7, 30.1, 28.0; IR (liquid) ν 1636.30, 1524.45, 1347.03, 1334.50, 1216.86, 1037.52, 1010.52 cm^{-1} .

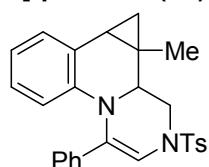
HRMS (ESI) m/z calcd. for C₂₅H₁₇N₃O₃ [M+]: 407.1270, found: 407.1272.

4-phenyl-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4a):



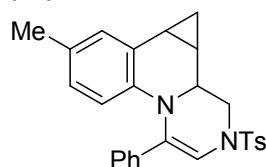
White solid (81%); m.p. 198.8-205.9 °C; ¹H NMR (300 MHz, CDCl₃) δ 7.66-7.63 (d, J = 8.20 Hz, 2H), 7.44-7.42 (d, J = 7.42 Hz, 2H), 7.31-7.26 (m, 2H), 7.25-7.21 (m, 3H), 7.18 (s, 1H), 7.12-7.10 (m, 1H), 6.73-6.67 (m, 1H), 6.67-6.62 (m, 1H), 6.12-6.10 (d, J = 7.69 Hz, 1H), 3.89-3.84 (dd, J = 11.62 Hz, 1.89 Hz, 1H), 3.42-3.38 (d, J = 10.40 Hz, 1H), 3.00-2.93 (m, 1H), 2.38 (s, 3H), 2.00-1.92 (m, 1H), 1.64-1.60 (m, 1H), 1.47-1.42 (q, J = 4.73 Hz, 1H), 1.06-0.99 (td, J = 8.43 Hz, 4.51 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 144.0, 138.7, 136.3, 134.3, 129.8, 128.8, 128.7, 128.0, 127.3, 126.9, 126.1, 123.9, 119.7, 118.7, 116.0, 51.4, 47.5, 21.5, 17.8, 14.3, 9.0; IR (liquid) ν 1357.64, 1340.28, 1165.76, 1090.55, 1491.67 cm⁻¹; HRMS (EI) m/z calcd. for C₂₆H₂₄N₂O₂S [M+]: 428.1558, found: 428.1559.

10a-methyl-4-phenyl-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4b):



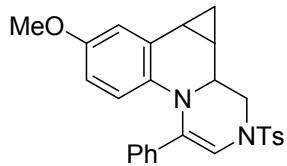
Pale yellow oil (59%); ¹H NMR (400 MHz, CDCl₃) δ 7.66-7.64 (d, J = 8.28, 2H), 7.44-7.42 (m, 2H), 7.30-7.19 (m, 6H), 7.09-7.07 (m, 1H), 6.71-6.67 (m, 1H), 6.66-6.62 (m, 1H), 6.12-6.10 (dd, J = 7.82 Hz, 1.18 Hz, 1H), 4.04-4.01 (dd, J = 11.88 Hz, 1.84 Hz, 1H), 3.11-3.08 (dd, J = 10.64 Hz, 1.60 Hz, 1H), 2.87-2.81 (m, 1H), 2.39 (s, 3H), 1.83-1.79 (dd, J = 8.68 Hz, 4.72 Hz, 1H), 1.74-1.71 (m, 1H), 1.22 (s, 3H), 0.77-0.74 (m, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 144.0, 138.3, 136.2, 134.1, 129.8, 129.0, 128.8, 127.5, 127.3, 127.0, 126.9, 125.9, 124.0, 119.8, 118.8, 115.8, 55.4, 45.3, 22.8, 21.5, 21.3, 17.4; IR (liquid) ν 2359.48, 2341.16, 1491.67, 1359.57, 1342.21, 1275.68, 1261.22, 1136.83 cm⁻¹; HRMS (EI) m/z calcd. for C₂₇H₂₆N₂O₂S [M+]: 442.1715, found: 442.1713.

8-methyl-4-phenyl-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4c):



White solid (80%); m.p. 156.6-161.4 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.65-7.63 (d, J = 8.32 Hz, 2H), 7.43-7.41 (m, 2H), 7.29-7.19 (m, 5H), 7.18-7.17 (m, 1H), 6.93-6.93 (d, J = 1.80 Hz, 1H), 6.51-6.49 (dd, J = 8.24 Hz, 1.52 Hz, 1H), 6.02-6.00 (d, J = 8.24 Hz, 1H), 3.86-3.83 (dd, J = 11.64 Hz, 1.96 Hz, 1H), 3.37-3.34 (d, J = 10.36 Hz, 1H), 2.98-2.92 (m, 1H), 2.38 (s, 3H), 2.13 (s, 3H), 1.94-1.88 (td, J = 8.46 Hz, 4.88 Hz, 1H), 1.60-1.55 (m, 1H), 1.44-1.40 (q, J = 4.79 Hz, 1H), 1.03-0.98 (m, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 143.9, 136.4, 136.3, 134.4, 129.8, 128.9, 128.8, 128.8, 128.7, 127.2, 126.9, 126.7, 126.0, 123.9, 118.7, 115.7, 51.4, 47.3, 21.5, 20.3, 17.7, 14.3, 9.0; IR (liquid) ν 2360.44, 2341.16, 1499.38, 1359.57, 1339.32, 1257.36, 1167.69 cm⁻¹; HRMS (EI) m/z calcd. for C₂₇H₂₆N₂O₂S [M+]: 442.1715, found: 442.1718.

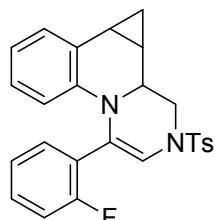
8-methoxy-4-phenyl-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4d):



White solid (85%); m.p. 178.0-181.7 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.66-7.64 (d, J = 8.28 Hz, 2H), 7.44-7.42 (d, J = 7.36 Hz, 2H), 7.30-7.26 (m, 2H), 7.25-7.19 (m, 3H), 7.16 (s, 1H), 6.72-6.71 (d, J = 2.92 Hz, 1H), 6.29-6.26 (dd, J = 8.84 Hz, 2.92 Hz, 1H), 6.04-6.02 (d, J = 8.84 Hz, 1H), 3.85-3.82 (m, 1H), 3.65 (s, 3H), 3.33-3.31 (d, J = 10.36 Hz, 1H), 2.95-2.89 (m, 1H), 2.38 (s, 3H), 1.95-1.89 (m, 1H), 1.61-1.56 (m, 1H), 1.48-1.45 (q, J = 4.79 Hz, 1H), 1.05-1.00 (td, J = 8.47 Hz, 4.50 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 153.1, 143.9, 136.5, 134.3, 132.5, 129.8, 129.0, 128.8, 127.4, 127.3, 126.9, 123.9, 119.6, 115.6, 114.0, 111.1, 55.3, 51.4, 47.0, 21.5, 17.8, 14.7, 9.0; IR (liquid) ν 1498.42, 1358.60, 1340.28, 1241.93, 1218.79, 1166.72 cm⁻¹; HRMS (EI) m/z

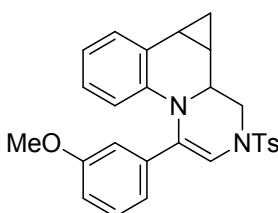
calcd. for $C_{27}H_{26}N_2O_3S$ [M+]: 458.1664, found: 458.1663.

4-(2-fluorophenyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4e):



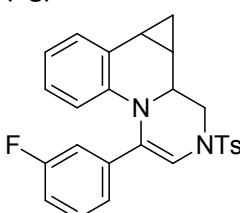
Pale yellow solid (63%); m.p. 68.4 -126.7 °C; 1H NMR (300 MHz, $CDCl_3$) δ 7.64-7.62 (d, J = 8.22 Hz, 2H), 7.45 (s, 1H), 7.32-7.27 (m, 1H), 7.22-7.19 (d, J = 8.14 Hz, 2H), 7.15-7.06 (m, 3H), 7.00-6.94 (m, 1H), 6.70-6.62 (m, 2H), 6.03-6.01 (d, J = 7.66 Hz, 1H), 3.90-3.85 (dd, J = 11.2 Hz, 2.00 Hz, 1H), 3.60-3.56 (d, J = 10.45 Hz, 1H), 2.94-2.86 (t, J = 10.99 Hz, 1H), 2.36 (s, 3H), 1.96-1.91 (m, 1H), 1.66-1.61 (m, 1H), 1.47-1.43 (q, J = 4.75 Hz, 1H), 1.07-1.01 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.8, 157.5, 143.9, 138.7, 133.9, 129.7, 128.0, 127.9, 127.7, 127.6, 126.9, 126.2, 126.1, 124.4, 124.3, 123.7, 123.6, 122.7, 122.6, 121.3, 121.1, 119.7, 117.8, 116.4, 116.1, 51.4, 47.5, 21.5, 18.0, 14.2, 8.8; IR (liquid) ν 3433.64, 1633.41, 1485.74, 1360.53, 1339.32, 1167.69 cm^{-1} ; HRMS (EI) m/z calcd. for $C_{26}H_{23}FN_2O_2S$ [M+]: 446.1464, found: 446.1468.

4-(3-methoxyphenyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4f):



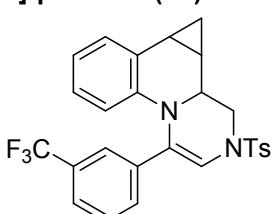
Pale yellow solid (71%); m.p. 81.7-139.7 °C; 1H NMR (400 MHz, $CDCl_3$) δ 7.65-7.63 (d, J = 8.28 Hz, 2H), 7.25-7.21 (m, 3H), 7.19-7.17 (m, 1H), 7.11-7.09 (dd, J = 7.28 Hz, 1.60 Hz, 1H), 7.05-7.03 (d, J = 7.96 Hz, 1H), 6.97-6.96 (m, 1H), 6.77-6.75 (m, 1H), 6.73-6.69 (m, 1H), 6.66-6.62 (m, 1H), 6.15-6.13 (d, J = 7.92 Hz, 1H), 3.87-3.84 (dd, J = 11.68 Hz, 2.00 Hz, 1H), 3.77 (s, 3H), 3.39-3.36 (d, J = 10.36 Hz, 1H), 2.98-2.93 (m, 1H), 2.38 (s, 3H), 1.98-1.92 (td, J = 8.44 Hz, 4.84 Hz, 1H), 1.62-1.57 (m, 1H), 1.44-1.41 (q, J = 4.79 Hz, 1H), 1.04-0.99 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.0, 144.0, 138.7, 138.0, 134.2, 129.8, 128.5, 128.0, 126.9, 126.1, 126.1, 119.7, 118.6, 116.5, 116.2, 112.3, 109.9, 55.2, 51.3, 47.4, 21.5, 17.8, 14.2, 9.0; IR (liquid) ν 1597.73, 1578.45, 1490.70, 1339.32, 1164.79 cm^{-1} ; HRMS (EI) m/z calcd. for $C_{27}H_{26}N_2O_3S$ [M+]: 458.1664, found: 458.1667.

4-(3-fluorophenyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinolone (4g):



White solid (58%); m.p. 153.8-188.1 °C; 1H NMR (400 MHz, $CDCl_3$) δ 7.65-7.63 (d, J = 8.08 Hz, 2H), 7.28-7.21 (m, 5H), 7.13-7.11 (d, J = 7.08 Hz, 1H), 7.09-7.07 (d, J = 7.08 Hz, 1H), 6.91-6.87 (m, 1H), 6.75-6.71 (m, 1H), 6.69-6.65 (m, 1H), 6.10-6.08 (d, J = 7.96 Hz, 1H), 3.88-3.85 (m, 1H), 3.40-3.37 (d, J = 10.44 Hz, 1H), 2.98-2.92 (t, J = 11.16 Hz, 1H), 2.38 (s, 3H), 1.99-1.94 (m, 1H), 1.64-1.58 (m, 1H), 1.45-1.41 (q, J = 4.71 Hz, 1H), 1.07-1.01 (td, J = 8.41 Hz, 4.58 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 164.6, 162.1, 144.1, 139.0, 138.9, 138.5, 134.3, 130.4, 130.3, 129.9, 128.2, 127.4, 127.4, 126.9, 126.2, 126.2, 120.0, 119.3, 119.3, 118.5, 116.9, 114.1, 113.9, 111.0, 110.7, 51.4, 47.4, 21.5, 17.7, 14.3, 9.0; IR (liquid) ν 2359.48, 2341.16, 1609.31, 1582.31, 1491.67, 1360.53, 1340.28, 1167.69 cm^{-1} ; HRMS (EI) m/z calcd. for $C_{26}H_{23}FN_2O_2S$ [M+]: 446.1464, found: 446.1465.

2-tosyl-4-(3-(trifluoromethyl)phenyl)-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4h):



Pale yellow solid (59%); m.p. 83.4-126.2 °C; 1H NMR (400 MHz, $CDCl_3$) δ 7.67-7.65 (m, 3H), 7.58-7.56 (d, J = 7.72 Hz, 1H), 7.47-7.45 (m, 1H), 7.41-7.37 (m, 1H), 7.27-7.26 (m, 3H), 7.15-7.12 (dd, J = 7.20 Hz, 1.76 Hz, 1H), 6.75-6.71 (m,

1H), 6.70-6.66 (m, 1H), 6.07-6.05 (dd, J = 7.88 Hz, 1.00 Hz, 1H), 3.90-3.86 (dd, J = 11.74 Hz, 1.98 Hz, 1H), 3.40-3.38 (d, J = 10.32 Hz, 1H), 3.00-2.94 (m, 1H), 2.39 (s, 3H), 2.01-1.95 (td, J = 8.47 Hz, 4.86 Hz, 1H), 1.66-1.60 (m, 1H), 1.45-1.42 (q, J = 4.83 Hz, 1H), 1.09-1.03 (m, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 144.2, 138.4, 137.4, 134.3, 131.7, 131.4, 131.1, 130.8, 130.0, 129.4, 128.3, 127.2, 127.1, 126.9, 126.3, 126.3, 125.4, 123.9, 123.8, 122.7, 120.2, 120.2, 120.1, 118.5, 117.3, 51.4, 47.3, 21.6, 17.7, 14.3, 9.1; IR (liquid) ν 1491.67, 1354.75, 1330.64, 1306.54, 1166.72, 1124.3 cm^{-1} ; HRMS (EI) m/z calcd. for $\text{C}_{27}\text{H}_{23}\text{F}_3\text{N}_2\text{O}_2\text{S}$ [M $^+$]: 496.1432, found: 496.1435.

4-(p-tolyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4i):

Pale yellow solid (73%); m.p. 84.0-136.5 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.64-7.62 (d, J = 8.24 Hz, 2H), 7.32-7.30 (d, J = 8.12 Hz, 2H), 7.25-7.21 (m, 2H), 7.13 (s, 1H), 7.11-7.08 (m, 3H), 6.71-6.67 (m, 1H), 6.65-6.62 (m, 1H), 6.12-6.10 (d, J = 7.84 Hz, 1H), 3.87-3.84 (dd, J = 11.60 Hz, 1.96 Hz, 1H), 3.41-3.38 (d, J = 10.40 Hz, 1H), 2.98-2.92 (m, 1H), 2.37 (s, 3H), 2.31 (s, 3H), 1.97-1.92 (td, J = 8.44 Hz, 4.88 Hz, 1H), 1.62-1.56 (m, 1H), 1.46-1.42 (q, J = 4.76 Hz, 1H), 1.04-0.99 (td, J = 8.46 Hz, 4.52 Hz); ^{13}C NMR (100 MHz, CDCl_3) δ 143.9, 138.8, 137.1, 134.2, 133.4, 129.8, 129.5, 129.0, 128.0, 126.9, 126.1, 123.8, 119.6, 118.6, 115.3, 51.4, 47.5, 21.5, 21.1, 17.8, 14.3, 8.9; IR (liquid) ν 1492.63, 1357.64, 1338.36, 1166.72, 1090.55 cm^{-1} ; HRMS (EI) m/z calcd. for $\text{C}_{27}\text{H}_{26}\text{N}_2\text{O}_2\text{S}$ [M $^+$]: 442.1715, found: 442.1717.

4-(4-methoxyphenyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4j):

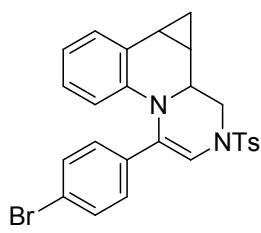
White solid (58%); m.p. 176.0-191.0 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.64-7.62 (d, J = 8.28 Hz, 2H), 7.37-7.33 (d, J = 8.92 Hz, 2H), 7.24-7.22 (d, J = 7.97 Hz, 2H), 7.11-7.09 (dd, J = 7.22 Hz, 1.73 Hz, 1H), 7.05 (s, 1H), 6.85-6.81 (d, J = 8.91 Hz, 2H), 6.72-6.67 (m, 1H), 6.66-6.62 (m, 1H), 6.12-6.09 (dd, J = 7.93 Hz, 1.05 Hz, 1H), 3.87-3.83 (dd, J = 11.58 Hz, 2.02 Hz, 1H), 3.79 (s, 3H), 3.43-3.40 (d, J = 10.37 Hz, 1H), 2.96-2.91 (m, 1H), 2.38 (s, 3H), 1.98-1.92 (td, J = 8.46 Hz, 4.86 Hz, 1H), 1.63-1.59 (m, 1H), 1.45-1.41 (q, J = 4.79 Hz, 1H), 1.05-0.99 (m, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 159.1, 143.9, 138.8, 134.2, 129.8, 128.9, 128.8, 128.0, 126.9, 126.1, 126.1, 125.2, 119.6, 118.6, 114.5, 114.2, 55.3, 51.5, 47.5, 21.5, 17.9, 14.3, 8.9; IR (liquid) ν 1509.99, 1491.67, 1340.28, 1249.65, 1166.72 cm^{-1} ; HRMS (EI) m/z calcd. for $\text{C}_{27}\text{H}_{26}\text{N}_2\text{O}_3\text{S}$ [M $^+$]: 458.1664, found: 458.1662.

4-(4-(tert-butyl)phenyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4k):

Pale yellow solid (84%); m.p. 188.4-191.5 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.64-7.62 (d, J = 8.32 Hz, 2H), 7.38-7.35 (m, 2H), 7.31-7.29 (m, 2H), 7.25-7.21 (m, 2H), 7.16 (s, 1H), 7.12-7.09 (dd, J = 7.28 Hz, 1.68 Hz, 1H), 6.73-6.69 (m, 1H), 6.66-6.62 (m, 1H), 6.14-6.12 (dd, J = 7.98 Hz, 0.86 Hz, 1H), 3.86-3.82 (dd, J = 11.60 Hz, 2.08 Hz, 1H), 3.40-3.37 (d, J = 10.36 Hz, 2.99-2.93 (m, 1H), 2.37 (s, 3H), 1.98-1.92 (td, J = 8.46 Hz, 4.84 Hz, 1H), 1.62-1.57 (m, 1H), 1.46-1.43 (q, J = 4.79 Hz, 1H), 1.29 (s, 9H), 1.04-0.98 (m, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ 150.4, 143.9, 138.9, 134.2, 133.3, 129.8, 128.9, 128.0, 126.9, 126.1, 126.1, 125.7, 123.5, 119.6, 118.7, 115.5, 51.4, 47.5, 34.5, 31.3, 21.5, 17.9, 14.3, 8.9; IR (liquid) ν 1491.67, 1359.57, 1339.32, 1166.72, 1091.51 cm^{-1} ; HRMS (EI) m/z calcd. for $\text{C}_{30}\text{H}_{32}\text{N}_2\text{O}_2\text{S}$ [M $^+$]: 484.2184, found: 484.2185.

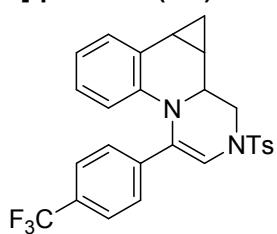
4-(4-bromophenyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinolone

(4l):



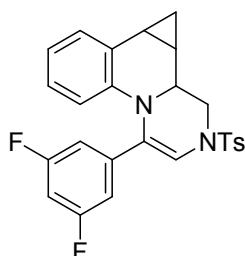
White solid (65%); m.p. 180.1-187.4 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.65-7.62 (d, *J* = 8.28 Hz, 2H), 7.40-7.38 (m, 2H), 7.30-7.27 (m, 2H), 7.25-7.23 (d, *J* = 7.40 Hz, 2H), 7.18 (s, 1H), 7.13-7.11 (dd, *J* = 7.20 Hz, 1.72 Hz, 1H), 6.74-6.70 (m, 1H), 6.69-6.65 (m, 1H), 6.07-6.05 (dd, *J* = 7.92 Hz, 0.88 Hz, 1H), 3.88-3.84 (dd, *J* = 11.68 Hz, 2.00 Hz, 1H), 3.38-3.36 (d, *J* = 10.32 Hz, 1H), 2.97-2.92 (m, 1H), 2.38 (s, 3H), 1.99-1.93 (td, *J* = 8.45 Hz, 4.86 Hz, 1H), 1.64-1.58 (m, 1H), 1.43-1.39 (q, *J* = 4.81 Hz, 1H), 1.06-1.01 (m, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 144.1, 138.4, 135.3, 134.3, 131.9, 129.9, 128.2, 127.5, 126.9, 126.2, 126.2, 125.4, 120.8, 120.0, 118.5, 116.4, 51.4, 47.4, 21.5, 17.7, 14.3, 9.0; IR (liquid) ν 1491.67, 0359.57, 1339.32, 1166.72, 1090.55 cm⁻¹; HRMS (EI) m/z calcd. for C₂₆H₂₃BrN₂O₂S [M⁺]: 506.0664, found: 506.0662.

2-tosyl-4-(4-(trifluoromethyl)phenyl)-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4m):



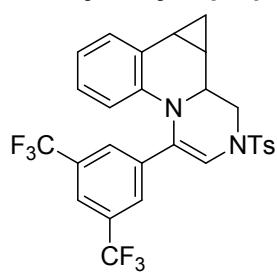
Pale yellow solid (42%); m.p. 153.5-162.2 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.66-7.64 (d, *J* = 8.28 Hz, 2H), 7.52 (s, 4H), 7.31 (s, 1H), 7.27-7.25 (m, 2H), 7.15-7.12 (dd, *J* = 7.20 Hz, 1.72 Hz, 1H), 6.75-6.71 (m, 1H), 6.70-6.66 (m, 1H), 6.06-6.04 (m, 1H), 3.90-3.86 (dd, *J* = 11.72 Hz, 2.00 Hz, 1H), 3.40-3.37 (d, *J* = 10.32 Hz, 1H), 3.01-2.95 (m, 1H), 2.39 (s, 3H), 2.01-1.96 (td, *J* = 8.44 Hz, 4.88 Hz, 1H), 1.65-1.60 (m, 1H), 1.45-1.42 (q, *J* = 4.81, 1H), 1.09-1.03 (m, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 144.3, 139.9, 138.3, 134.3, 130.0, 129.1, 128.8, 128.3, 126.9, 126.3, 126.3, 125.9, 125.8, 125.8, 125.5, 123.9, 122.8, 120.1, 118.5, 117.8, 51.4, 47.4, 21.5, 17.7, 14.3, 9.1; IR (liquid) ν 1611.23, 1492.63, 1323.89, 1166.72, 1121.40 cm⁻¹; HRMS (EI) m/z calcd. for C₂₇H₂₃F₃N₂O₂S [M⁺]: 496.1432, found: 496.1434.

4-(3,5-difluorophenyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4n):



White solid (50%); m.p. 215.5-216.2 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.65-7.63 (d, *J* = 8.24 Hz, 2H), 7.27-7.26 (m, 2H), 7.22 (s, 1H), 7.15-7.12 (dd, *J* = 7.26 Hz, 1.42 Hz, 1H), 6.95-6.90 (m, 2H), 6.78-6.74 (m, 1H), 6.72-6.68 (m, 1H), 6.66-6.61 (m, 1H), 6.09-6.07 (d, *J* = 8.00 Hz, 1H), 3.88-3.85 (dd, *J* = 11.72 Hz, 1.72 Hz, 1H), 3.37-3.35 (d, *J* = 1-3.36 Hz, 1H), 2.97-2.91 (m, 1H), 2.40 (s, 3H), 1.64-1.59 (m, 1H), 1.43-1.40 (q, *J* = 4.81 Hz, 1H), 1.08-1.03 (td, *J* = 8.48 Hz, 4.64 Hz, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 164.8, 164.7, 162.3, 162.2, 144.3, 140.3, 140.3, 140.2, 138.3, 134.3, 130.0, 128.3, 126.9, 126.4, 126.4, 126.4, 126.3, 120.2, 118.4, 117.8, 106.7, 106.6, 106.5, 106.4, 102.6, 102.4, 102.1, 51.4, 47.2, 21.6, 17.7, 14.2, 9.1; IR (liquid) ν 2358.52, 1616.06, 1590.02, 1492.63, 1350.89, 1167.69, 983.52 cm⁻¹; HRMS (EI) m/z calcd. for C₂₆H₂₂F₂N₂O₂S [M⁺]: 464.1370, found: 464.1372.

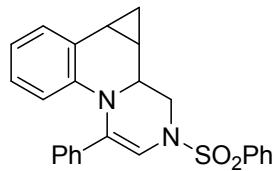
4-(3,5-bis(trifluoromethyl)phenyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4o):



White solid (68%); m.p. 189.0-200.7 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.79 (s, 2H), 7.69 (s, 1H), 7.68-7.66 (d, *J* = 8.32 Hz, 2H), 7.35 (s, 1H), 7.30-2.28 (d, *J* = 8.00 Hz, 2H), 7.17-7.15 (m, 1H), 6.79-6.75 (m, 1H), 6.74-6.70 (m, 1H), 6.03-6.01 (dd, *J* = 7.84 Hz, 1.08 Hz, 1H), 3.90-3.87 (dd, *J* = 11.84 Hz, 2.04 Hz, 1H), 3.38-3.36 (d, *J* = 10.28 Hz, 1H), 3.02-2.96 (m, 1H), 2.41 (s, 3H), 2.03-1.98 (td, *J* = 8.46 Hz, 4.84 Hz, 1H), 1.67-1.62 (tdd, *J* = 8.18 Hz, 5.07 Hz, 1.19 Hz, 1H), 1.44-1.40 (q, *J* = 4.85 Hz, 1H), 1.12-1.06 (m, 1H); ¹³C NMR (100 MHz, CDCl₃)

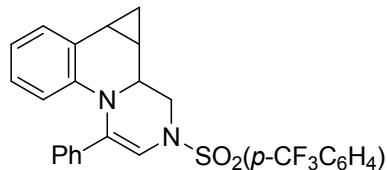
δ 144.5, 139.0, 138.0, 134.2, 132.7, 132.4, 132.0, 131.7, 130.1, 128.5, 126.9, 126.5, 126.4, 125.4, 124.6, 123.5, 123.5, 121.9, 120.6, 120.5, 118.9, 118.2, 51.4, 47.1, 21.6, 17.6, 14.2, 9.2; IR (liquid) ν 1491.67, 1362.46, 1335.46, 1306.54, 1276.65, 1165.76, 1129.12 cm⁻¹; HRMS (EI) m/z calcd. for C₂₈H₂₂F₆N₂O₂S [M⁺]: 564.1306, found: 564.1307.

4-phenyl-2-(phenylsulfonyl)-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinolone (4p):



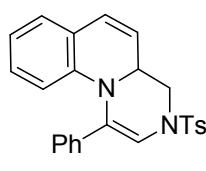
White solid (74%); m.p. 211.7-217.9 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.79-7.76 (m, 2H), 7.56-7.52 (m, 1H), 7.47-7.42 (m, 4H), 7.31-7.27 (m, 2H), 7.25-7.19 (m, 2H), 7.12-7.10 (dd, J = 7.22 Hz, 1.75 Hz, 1H), 6.72-6.68 (m, 1H), 6.66-6.63 (m, 1H), 6.13-6.10 (dd, J = 7.96 Hz, 1.08 Hz, 1H), 3.90-3.87 (dd, J = 11.59 Hz, 2.01 Hz, 1H), 3.42-3.40 (d, J = 10.39 Hz, 1H), 2.99-2.94 (m, 1H), 1.99-1.93 (td, J = 8.47 Hz, 4.86 Hz, 1H), 1.64-1.58 (tdd, J = 8.21 Hz, 5.07 Hz, 1.24 Hz, 1H), 1.46-1.43 (q, J = 4.80 Hz, 1H), 1.06-1.00 (m, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 138.6, 137.2, 136.2, 133.1, 129.2, 128.9, 128.8, 128.0, 127.4, 126.9, 126.2, 126.1, 123.9, 119.8, 118.6, 115.8, 51.4, 47.5, 17.8, 14.3, 9.0; IR (liquid) ν 1635.34, 1491.67, 1358.60, 1340.28, 1250.61, 1168.65, 1090.55 cm⁻¹; HRMS (EI) m/z calcd. for C₂₈H₂₂F₆N₂O₂S [M⁺]: 414.1402, found: 414.1404.

4-phenyl-2-((4-(trifluoromethyl)phenyl)sulfonyl)-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4q):



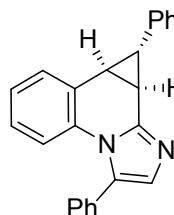
Pale yellow solid (71%); m.p. 218.8-223.3 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.88-7.86 (d, J = 8.18 Hz, 2H), 7.71-7.69 (d, J = 8.27 Hz, 2H), 7.44-7.42 (m, 2H), 7.32-7.28 (m, 2H), 7.26-7.22 (m, 1H), 7.15 (s, 1H), 7.12-7.09 (m, 1H), 6.69-6.62 (m, 2H), 6.03-6.00 (m, 1H), 3.92-3.89 (dd, J = 11.32 Hz, 2.20 Hz, 1H), 3.55-3.52 (d, J = 10.36 Hz, 1H), 2.97-2.91 (t, J = 11.05 Hz, 1H), 2.00-1.94 (td, J = 8.48 Hz, 4.86 Hz, 1H), 1.67-1.62 (tdd, J = 8.20 Hz, 5.03 Hz, 1.28 Hz, 1H), 1.49-1.46 (q, J = 4.83 Hz, 1H), 1.9-1.03 (m, 1H); ¹³C NMR (100 MHz, CDCl₃) δ 140.3, 138.6, 135.8, 134.8, 134.5, 130.6, 128.9, 128.1, 127.7, 127.4, 126.4, 126.4, 126.3, 126.3, 126.2, 126.1, 124.4, 124.0, 121.7, 120.0, 118.3, 115.4, 51.6, 47.8, 17.8, 14.3, 8.9; IR (liquid) ν 1634.38, 1491.67, 1364.39, 1321.96, 1171.54, 1133.94, 1061.62 cm⁻¹; HRMS (EI) m/z calcd. for C₂₈H₂₂F₆N₂O₂S [M⁺]: 482.1276, found: 482.1280.

1-phenyl-3-tosyl-4,4a-dihydro-3H-pyrazino[1,2-a]quinoline (5):



Pale yellow solid (67%); m.p. 174.3-176.3 °C; ¹H NMR (300 MHz, CDCl₃) δ 7.69-7.66 (d, J = 8.24 Hz, 2H), 7.47-7.45 (d, J = 7.04 Hz, 2H), 7.33-7.21 (m, 5H), 7.08 (s, 1H), 6.88-6.85 (m, 1H), 6.78-6.72 (m, 1H), 6.63-6.58 (m, 1H), 6.48-6.45 (d, J = 9.80 Hz, 1H), 6.12-6.09 (d, J = 8.09 Hz, 1H), 5.69-5.64 (dd, J = 9.77 Hz, 5.23 Hz, 1H), 3.74-3.70 (m, 1H), 3.66-3.61 (m, 1H), 3.27-3.20 (m, 1H), 2.40 (s, 3H); ¹³C NMR (75 MHz, CDCl₃) δ 144.1, 140.4, 135.8, 134.5, 130.0, 128.9, 128.8, 128.0, 127.4, 127.3, 127.0, 125.3, 124.6, 121.6, 120.8, 119.2, 117.4, 115.5, 53.8, 47.4, 21.6; IR (liquid) ν 1631.48, 1488.78, 1351.86, 1165.76, 1092.48, 1025.94, 1002.80 cm⁻¹; HRMS (EI) m/z calcd. for C₂₅H₂₂N₂O₂S [M⁺]: 414.1402, found: 414.1398.

(8bS,9S,9aR)-3,9-diphenyl-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7a):



Pale yellow oil (65%); d.r.: 3.0:1; (major diastereomer) ¹H NMR (300 MHz, CDCl₃) δ 7.47-7.45 (m, 6H), 7.36-7.32 (m, 2H), 7.24-7.14 (m, 3H), 7.11-7.01 (m, 3H), 6.96-6.90 (m, 1H), 3.27-3.23 (dd, J = 8.49 Hz, 4.22 Hz, 1H), 2.98-2.93 (dd, J = 8.48 Hz, 4.55 Hz,

1H), 1.96-1.93 (t, J = 4.35 Hz, 1H); ^{13}C NMR (75 MHz, CDCl_3) δ 145.6, 139.6, 133.4, 132.1, 131.0, 131.0, 129.8, 129.4, 128.7, 128.6, 128.3, 126.6, 126.4, 126.4, 125.7, 125.1, 117.8, 29.7, 29.5, 24.7; (minor diastereomer) ^1H NMR (300 MHz, CDCl_3) δ 7.58-7.56 (d, J = 7.43 Hz, 1H), 7.31-7.27 (m, 3H), 7.25-7.22 (m, 2H), 7.13-6.95 (m, 5H), 6.87-6.79 (m, 3H), 6.61-6.58 (d, J = 8.59 Hz, 1H), 3.41-3.36 (t, J = 8.36 Hz, 1H), 3.17-3.11 (t, J = 8.61 Hz, 1H), 3.03-2.97 (t, J = 8.93 Hz, 1H); ^{13}C NMR (75 MHz, CDCl_3) δ 144.5, 134.1, 131.9, 130.7, 130.5, 130.1, 128.4, 127.7, 127.6, 126.4, 126.4, 124.8, 124.8, 117.5, 24.6, 22.6, 19.8; IR (liquid) ν 1635.34, 1493.60, 1379.82, 1247.72 cm^{-1} ; HRMS (ESI) m/z calcd. for $\text{C}_{24}\text{H}_{18}\text{N}_2$ [M $^+$]: 334.1470, found: 334.1472.; The product major diastereomer was analyzed by HPLC to determine the enantiomeric excess: 95% ee (CHIRALPAK OD-H, hexane/i-PrOH = 70/30, flow rate: 0.5 mL/min, T = 40 °C, 254 nm), tR (major) = 9.530 min, tR (minor) = 29.729 min.; The product minor diastereomer was analyzed by HPLC to determine the enantiomeric excess: 96% ee (CHIRALPAK AD-H, hexane/i-PrOH = 90/10, flow rate: 0.3 mL/min, T = r.t, 254 nm), tR (minor) = 52.908 min, tR (major) = 80.654 min.

(8bS,9S,9aR)-9-phenyl-3-(m-tolyl)-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7b):

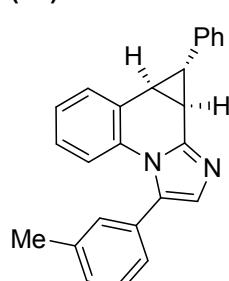
Pale yellow oil (65%); d.r.: 3.3:1; (major diastereomer) ^1H NMR (300 MHz, CDCl_3) δ 7.47-7.44 (m, 1H), 7.36-7.31 (m, 4H), 7.26-7.23 (m, 3H), 7.16-7.14 (m, 2H), 7.11-7.06 (m, 2H), 6.99-6.91 (m, 2H), 3.26-3.22 (dd, J = 8.50 Hz, 4.22 Hz, 1H), 2.97-2.93 (dd, J = 8.50 Hz, 4.56 Hz, 1H), 2.40 (s, 3H), 1.96-1.93 (t, J = 4.36 Hz, 1H); ^{13}C NMR (75 MHz, CDCl_3) δ 145.5, 139.6, 138.5, 133.5, 132.0, 131.2, 130.8, 130.0, 129.7, 129.0, 128.6, 128.6, 126.6, 126.5, 126.4, 126.4, 125.7, 125.0, 117.8, 29.7, 29.6, 24.7, 21.4; (minor diastereomer) ^1H NMR (300 MHz, CDCl_3) δ 7.57-7.54 (m, 1H), 7.12-6.97 (m, 7H), 6.92 (s, 1H), 6.86-6.79 (m, 3H), 6.62-6.59 (d, J = 8.21 Hz, 1H), 3.38-3.32 (t, J = 8.37 Hz, 1H), 3.16-3.10 (t, J = 8.71 Hz, 1H), 3.01-2.95 (t, J = 8.75 Hz, 1H), 2.26 (b, 3H); ^{13}C NMR (75 MHz, CDCl_3) δ 144.4, 134.2, 134.1, 131.6, 130.7, 130.7, 130.3, 130.0, 128.5, 127.7, 127.6, 126.4, 126.4, 124.8, 124.8, 117.7, 24.6, 22.6, 21.2, 19.8; IR (liquid) ν 1635.34, 1493.60, 1375.96, 1143.58 cm^{-1} ; HRMS (ESI) m/z calcd. for $\text{C}_{25}\text{H}_{20}\text{N}_2$ [M $^+$]: 348.1626, found: 348.1628.; The product major diastereomer was analyzed by HPLC to determine the enantiomeric excess: 96% ee (CHIRALPAK OD-H, hexane/i-PrOH = 70/30, flow rate: 0.5 mL/min, T = 40 °C, 254 nm), tR (major) = 9.751 min, tR (minor) = 64.132 min.; The product minor diastereomer was analyzed by HPLC to determine the enantiomeric excess: 96% ee (CHIRALPAK AD-H, hexane/i-PrOH = 70/30, flow rate: 0.3 mL/min, T = r.t, 254 nm), tR (minor) = 18.050 min, tR (major) = 43.683 min.

(8bS,9S,9aR)-7-methoxy-3,9-diphenyl-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7c):

Pale yellow oil (58%); d.r.: 3.1:1; (major diastereomer) ^1H NMR (300 MHz, CDCl_3) δ 7.44 (s, 5H), 7.37-7.32 (m, 2H), 7.24-7.14 (m, 3H), 7.00-6.94 (m, 3H), 6.49-6.45 (dd, J = 9.14 Hz, 2.90 Hz, 1H), 3.75 (s, 3H), 3.26-3.22 (dd, J = 8.45 Hz, 4.29 Hz, 1H), 2.93-2.89 (dd, J = 8.47 Hz, 4.51 Hz, 1H), 1.97-1.94 (t, J = 4.33 Hz, 1H); ^{13}C NMR (75 MHz, CDCl_3) δ 156.7, 144.9, 139.6, 132.2, 130.7, 130.5, 129.5, 128.7, 128.6, 128.2, 128.0, 127.0, 126.4, 125.7, 118.9, 114.5, 112.0, 55.4, 29.7, 29.7, 24.7; (minor diastereomer) ^1H NMR (300 MHz, CDCl_3) δ 7.61-7.21 (m, 5H), 7.10-7.09 (m, 1H), 7.06-6.98 (m, 3H), 6.93 (s, 1H), 6.88-6.86 (m, 2H), 6.52-6.49 (m, 1H), 6.37-6.33 (m, 1H), 3.79 (s, 3H), 3.37-3.31 (t, J = 8.37 Hz, 1H), 3.11-3.05 (t, J = 8.74 Hz, 1H), 3.00-2.94 (t, J = 8.80 Hz, 1H); ^{13}C NMR (75 MHz, CDCl_3) δ 156.5, 143.8, 134.1, 131.8, 130.6, 130.6, 130.1, 130.0, 128.5, 128.4, 128.4, 127.8, 127.7, 127.7, 127.7, 127.6, 126.4, 126.4, 126.4, 118.6, 115.1, 111.5, 55.4, 24.7, 22.8, 19.7; IR (liquid) ν 1636.30, 1503.24, 1243.86, 1146.47, 1039.44 cm^{-1} ; HRMS (ESI) m/z calcd. for $\text{C}_{25}\text{H}_{20}\text{N}_2\text{O}$ [M $^+$]: 364.1576, found: 364.1578.; The product major diastereomer was analyzed by HPLC to determine the enantiomeric excess: 96% ee (CHIRALPAK OD-H, hexane/i-PrOH = 90/10, flow rate: 0.5 mL/min, T = 40 °C, 254 nm), tR (major) = 23.208 min, tR (minor) = 27.969 min.; The product

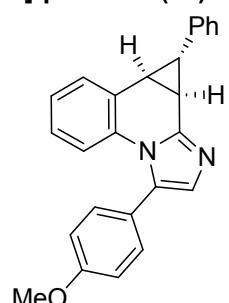
minor diastereomer was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK AD-H, hexane/i-PrOH =80/20, flow rate: 0.5 mL/min, T = r.t, 254 nm), tR (major) = 23.644 min, tR (minor) = 32.208 min.

(8bS,9S,9aR)-7-methyl-3,9-diphenyl-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinolone (7d):



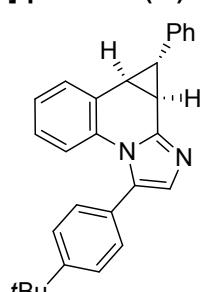
Pale yellow oil (66%); d.r.: 3.7:1; (major diastereomer) ¹H NMR (300 MHz, CDCl₃) δ 7.44 (s, 5H), 7.36-7.28 (m, 3H), 7.23-7.14 (m, 3H), 7.00 (s, 1H), 6.92-6.89 (d, J = 8.50 Hz, 1H), 6.75-6.72 (d, J = 8.44 Hz, 1H), 3.25-3.21 (dd, J = 8.47 Hz, 4.21 Hz, 1H), 2.92-2.88 (dd, J = 8.46 Hz, 4.52 Hz, 1H), 2.26 (s, 3H), 1.93-1.90 (t, J = 4.31 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃) δ 145.3, 139.6, 134.9, 132.1, 131.0, 130.9, 130.4, 130.2, 129.5, 128.7, 128.6, 128.3, 127.2, 126.4, 126.3, 125.7, 117.6, 29.6, 29.5, 24.6, 20.5; (minor diastereomer) ¹H NMR (300 MHz, CD₂Cl₂) δ 7.32-7.31 (m, 1H), 7.19 (b, 3H) 7.00-6.89 (m, 4H), 6.81-6.75 (m, 4H), 6.55-6.51 (m, 1H), 6.39-6.36 (d, J = 8.46 Hz, 1H), 3.24-3.18 (t, J = 8.33 Hz, 1H), 3.04-2.98 (t, J = 8.75 Hz, 1H), 2.90-2.84 (t, J = 8.80, 1H), 2.22 (s, 3H); ¹³C NMR (75 MHz, CDCl₃) δ 144.3, 134.4, 134.2, 131.9, 131.8, 130.7, 130.5, 130.4, 130.3, 128.4, 127.6, 127.6, 127.6, 127.1, 127.1, 127.0, 126.4, 126.4, 124.7, 117.4, 117.4, 24.5, 22.6, 20.7, 19.7; IR (liquid) ν 1638.23, 1529.27, 1503.24, 1380.78, 1380.78, 1264.11, 1242.90 cm⁻¹; HRMS (ESI) m/z calcd. for C₂₅H₂₀N₂ [M+]: 348.1626, found: 348.1629.; The product major diastereomer was analyzed by HPLC to determine the enantiomeric excess: 96% ee (CHIRALPAK OD-H, hexane/i-PrOH = 90/10, flow rate: 0.5 mL/min, T = 40 °C, 254 nm), tR (major) = 15.236 min, tR (minor) = 40.128 min.; The product minor diastereomer was analyzed by HPLC to determine the enantiomeric excess: 96% ee (CHIRALPAK OD-H, hexane/i-PrOH = 90/10, flow rate: 0.3 mL/min, T = r.t, 254 nm), tR (minor) = 24.110 min, tR (major) = 34.446 min.

(8bS,9S,9aR)-3-(4-methoxyphenyl)-9-phenyl-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7e):



Pale yellow oil (68%); d.r.: 2.1:1; (major diastereomer) ¹H NMR (300 MHz, CDCl₃) δ 7.47-7.44 (d, J = 7.41 Hz, 1H), 7.37-7.31 (m, 4H), 7.26-7.06 (m, 5H), 7.00-6.92 (m, 4H), 3.89 (s, 3H), 3.26-3.22 (dd, J = 8.49 Hz, 4.22 Hz, 1H), 2.96-2.92 (dd, J = 8.47 Hz, 4.50 Hz, 1H), 1.93-1.90 (t, J = 4.30 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃) δ 159.7, 145.1, 139.7, 133.6, 130.9, 130.7, 130.5, 129.7, 128.6, 126.7, 126.4, 126.4, 125.7, 125.0, 124.4, 117.5, 114.2, 55.3, 29.5, 29.4, 24.7; (minor diastereomer) ¹H NMR (300 MHz, CDCl₃) δ 7.57-7.54 (dd, J = 7.62 Hz, 1.49 Hz, 1H), 7.26 (m, 1H), 7.11-6.96 (m, 5H), 6.89-6.79 (m, 6H), 6.63-6.60 (d, J = 7.89 Hz, 1H), 3.81 (s, 3H), 3.37-3.31 (t, J = 8.39 Hz, 1H), 3.14-3.08 (t, J = 8.72 Hz, 1H), 2.98-2.93 (t, J = 8.76 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃) δ 159.3, 143.9, 134.3, 134.2, 134.2, 130.7, 130.7, 130.1, 130.1, 130.1, 130.0, 130.0, 127.6, 127.6, 126.4, 126.4, 126.4, 126.4, 126.3, 124.8, 124.7, 124.7, 124.7, 124.2, 117.3, 117.3, 113.9, 55.3, 24.4, 22.4, 19.8; IR (liquid) ν 1637.27, 1493.60, 1248.68, 1029.80 cm⁻¹; HRMS (ESI) m/z calcd. for C₂₅H₂₀N₂O [M+]: 394.1576, found: 394.1578.; The product major diastereomer was analyzed by HPLC to determine the enantiomeric excess: 96% ee (CHIRALPAK OD-H, hexane/i-PrOH = 70/30, flow rate: 0.5 mL/min, T = 40 °C, 254 nm), tR (major) = 11.302 min, tR (minor) = 70.248 min.; The product minor diastereomer was analyzed by HPLC to determine the enantiomeric excess: 96% ee (CHIRALPAK AD-H, hexane/i-PrOH = 80/20, flow rate: 0.5 mL/min, T = r.t, 254 nm), tR (major) = 21.015 min, tR (minor) = 32.129 min.

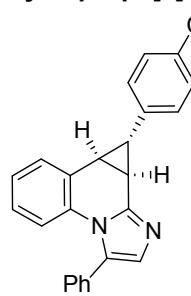
(8bS,9S,9aR)-3-(4-(tert-butyl)phenyl)-9-phenyl-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7f):



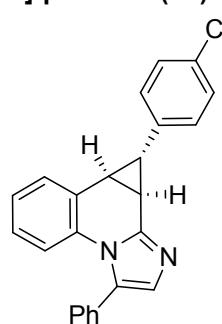
Pale yellow oil (65%); d.r.: 2.3:1; (major diastereomer) ¹H NMR (300 MHz, CDCl₃)

δ 7.48-7.45 (m, 3H), 7.38-7.31 (m, 4H), 7.26-7.06 (m, 5H), 6.99-6.92 (m, 2H), 3.28-3.24 (d, J = 8.36 Hz, 4.20 Hz, 1H), 2.97-2.93 (dd, J = 8.45 Hz, 4.54 Hz, 1H), 1.96-1.93 (t, J = 4.26 Hz, 1H), 1.39 (s, 9H); ^{13}C NMR (75 MHz, CDCl_3) δ 151.5, 145.3, 139.5, 133.5, 131.1, 130.5, 129.7, 129.1, 129.0, 128.6, 126.7, 126.4, 126.4, 125.7, 125.6, 125.1, 117.8, 34.7, 31.3, 29.6, 29.5, 24.6; (minor diastereomer) ^1H NMR (300 MHz, CDCl_3) δ 7.57-5.54 (dd, J = 7.55 Hz, 1.19 Hz, 1H), 7.26 (b, 3H), 7.11-6.96(m, 5H), 6.92 (s, 1H), 6.85-6.80 (m, 3H), 6.65-6.62 (d, J = 8.32 Hz, 1H), 3.38-3.32 (t, J = 8.35 Hz, 1H), 3.14-3.09 (t, J = 8.70 Hz, 1H), 3.00-2.94 (t, J = 8.73 Hz, 1H) 1.31 (s, 9H); ^{13}C NMR (75 MHz, CDCl_3) 150.9, 144.2, 134.3, 134.2, 130.7, 130.5, 130.0, 128.9, 128.3, 127.6, 126.4, 125.4, 124.8, 124.7, 117.5, 34.6, 31.3, 24.5, 22.5, 19.8; IR (liquid) ν 1638.23, 1534.10, 1492.63, 1464.67, 1376.93, 1265.09, 1145.51 cm^{-1} ; HRMS (ESI) m/z calcd. for $\text{C}_{28}\text{H}_{26}\text{N}_2$ [M^+]: 390.2096, found: 390.2097.; The product major diastereomer was analyzed by HPLC to determine the enantiomeric excess: 96% ee (CHIRALPAK OD-H, hexane/i-PrOH = 70/30, flow rate: 0.5 mL/min, T = 40 °C, 254 nm), tR (major) = 8.591 min, tR (minor) = 37.323 min.; The product minor diastereomer was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK AD-H, hexane/i-PrOH = 90/10, flow rate: 0.3 mL/min, T = r.t, 254 nm), tR (major) = 29.976 min, tR (minor) = 45.743 min.

(8bS,9S,9aR)-3-phenyl-9-(4-(trifluoromethyl)phenyl)-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7g):

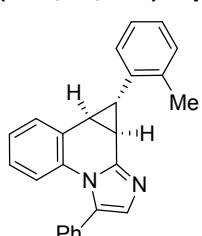

 Pale yellow oil (88%); d.r.: >20:1; ^1H NMR (300 MHz, CD_2Cl_2) δ 7.62-7.59 (d, J = 8.20 Hz, 2H), 7.49-7.43 (m, 6H), 7.28-7.26 (d, J = 8.14 Hz, 2H), 7.12-7.04 (m, 2H), 6.97-6.92 (m, 2H), 3.30-3.25 (dd, J = 8.62 Hz, 4.17 Hz, 1H), 3.06-3.01 (dd, J = 8.62 Hz, 4.51 Hz, 1H), 2.02-1.99 (t, J = 4.31 Hz, 1H); ^{13}C NMR (75 MHz, CDCl_3) δ 144.9, 140.0, 140.0, 133.4, 131.9, 131.2, 131.0, 131.0, 129.8, 129.8, 129.5, 129.4, 128.8, 128.8, 128.8, 128.4, 128.4, 128.4, 127.0, 127.0, 125.8, 125.8, 125.8, 125.6, 125.6, 125.6, 125.5, 125.5, 125.5, 125.2, 117.8, 117.8, 30.1, 29.3, 25.2; IR (liquid) ν 1635.34, 1530.24, 1493.60, 1380.78, 1325.82, 1163.83, 1120.44, 1068.37 cm^{-1} ; HRMS (ESI) m/z calcd. for $\text{C}_{25}\text{H}_{17}\text{F}_3\text{N}_2$ [M^+]: 402.1344, found: 402.1344 ; The product was analyzed by HPLC to determine the enantiomeric excess: 95% ee (CHIRALPAK AD-H, hexane/i-PrOH = 50/50, flow rate: 0.5 mL/min, T = r.t, 254 nm), tR (minor) = 20.281 min, tR (major) = 36.392 min.

(8bS,9S,9aR)-9-(4-chlorophenyl)-3-phenyl-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7h):


 Pale yellow oil (90%); d.r.: 1.6:1; (major diastereomer) ^1H NMR (300 MHz, CDCl_3) δ 7.47-7.44 (m, 6H), 7.32-7.29 (d, J = 8.42 Hz, 2H), 7.11-7.00 (m, 5H), 6.98-6.91 (m, 1H), 3.24-3.20 (dd, J = 8.55 Hz, 4.21 Hz, 1H), 2.94-2.89 (dd, J = 8.54 Hz, 4.53 Hz, 1H), 1.93-1.90 (t, J = 4.35 Hz, 1H); ^{13}C NMR (75 MHz, CDCl_3) δ 145.2, 138.1, 133.4, 132.2, 132.0, 131.1, 131.0, 129.8, 129.7, 129.4, 128.8, 128.7, 128.7, 128.3, 128.3, 127.0, 126.8, 126.8, 126.0, 125.1, 125.1, 125.1, 117.8, 117.7, 29.6, 29.0, 24.7; (minor diastereomer) ^1H NMR (300 MHz, CDCl_3) δ 7.56-7.54 (m, 1H), 7.30-7.27 (m, 4H), 7.13-7.08 (t, J = 7.34 Hz, 1H), 6.99-6.76 (m, 7H), 6.64-6.61 (d, J = 8.44 Hz, 1H), 3.38-3.33 (t, J = 8.39 Hz, 1H), 3.15-3.10 (t, J = 8.71 Hz, 1H), 2.94-2.88 (t, J = 8.83 Hz, 1H), ^{13}C NMR (75 MHz, CDCl_3) δ 144.0, 134.0, 132.7, 132.5, 132.1, 132.1, 131.7, 130.9, 130.6, 130.1, 130.1, 128.6, 127.9, 127.8, 127.8, 126.6, 124.9, 124.9, 124.4, 117.6, 117.6, 117.6, 24.5, 21.8, 19.9; IR (liquid) ν 1637.27, 1630.52, 1530.24, 1493.60, 1464.67, 1378.85, 1260.25, 1145.51, 1088.62, 1012.45 cm^{-1} ; HRMS (ESI) m/z calcd. for $\text{C}_{24}\text{H}_{17}\text{ClN}_2$ [M^+]: 368.1080, found: 368.1084; The product major diastereomer was analyzed by HPLC to determine the enantiomeric excess: 94% ee (CHIRALPAK AD-H, hexane/i-PrOH = 70/30, flow rate: 0.5 mL/min, T = r.t, 254 nm), tR (minor) = 33.971 min, tR (major) = 53.081 min.; The product minor diastereomer was

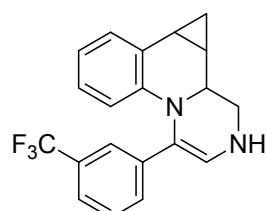
analyzed by HPLC to determine the enantiomeric excess: 93% ee (CHIRALPAK AD-H, hexane/i-PrOH =70/30, flow rate: 0.5 mL/min, T = r.t, 254 nm), tR (minor) = 10.557 min, tR (major) = 18.305 min.

(8bS,9S,9aR)-3-phenyl-9-(o-tolyl)-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7i):



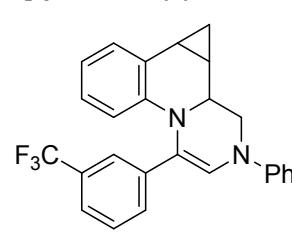
Pale yellow oil (81%); d.r.: 1.6:1; (major diastereomer) ¹H NMR (300 MHz, CDCl₃) δ 7.54-7.52 (dd, J = 7.51 Hz, 1.20 Hz, 2H), 7.45-7.28 (m, 6H), 7.24-7.19 (m, 3H), 7.14-7.09 (m, 1H), 7.07-7.03 (m, 2H), 6.97-6.91 (m, 1H), 3.25-3.20 (dd, J = 8.47 Hz, 4.51 Hz, 1H), 2.88-2.83 (dd, J = 8.47 Hz, 4.86 Hz, 1H), 2.42 (s, 3H), 1.98-1.95 (t, J = 4.60 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃) δ 145.8, 138.1, 137.0, 133.6, 132.2, 131.0, 131.0, 130.0, 129.7, 129.4, 128.7, 128.2, 126.9, 126.7, 126.6, 126.1, 125.8, 125.1, 117.8, 28.0, 27.6, 22.4, 20.0; (minor diastereomer) ¹H NMR (300 MHz, CD₂Cl₂) δ 7.60-7.57 (dd, J = 7.60 Hz, 1.53 Hz, 1H), 7.30-7.30 (b, 4H), 7.11-6.93(m, 5H), 6.85-6.74 (m, 2H), 6.66-6.64 (m, 1H), 6.52-6.49 (d, J = 7.66 Hz, 1H), 3.39-3.33 (t, J = 8.39 Hz, 1H), 3.20-3.14 (t, J = 8.72 Hz, 1H), 2.85-2.79 (t, J = 8.75 Hz, 1H), 2.33 (s, 3H); ¹³C NMR (75 MHz, CDCl₃) δ 144.8, 139.2, 134.4, 132.7, 131.9, 130.8, 130.6, 130.4, 129.7, 129.7, 129.6, 128.5, 127.7, 126.7, 126.5, 126.4, 124.8, 124.8, 124.8, 124.7, 124.6, 124.6, 117.4, 117.4, 25.2, 21.8, 20.0, 19.7; IR (liquid) ν 1630.52, 1589.06, 1530.24, 1492.63, 1463.71, 1378.85, 1262.18, 1145.51 cm⁻¹; HRMS (ESI) m/z calcd. for C₂₅H₂₀N₂ [M⁺]: 348.1626, found: 348.1626; The product major diastereomer was analyzed by HPLC to determine the enantiomeric excess: 97% ee (CHIRALPAK AD-H, hexane/i-PrOH = 30/70, flow rate: 0.7 mL/min, T = r.t, 254 nm), tR (minor) = 7.492 min, tR (major) = 16.941 min.; The product minor diastereomer was analyzed by HPLC to determine the enantiomeric excess: 96% ee (CHIRALPAK AD-H, hexane/i-PrOH = 30/70, flow rate: 0.7 mL/min, T = r.t, 254 nm), tR (minor) = 6.993 min, tR (major) = 8.597 min.

4-(3-(trifluoromethyl)phenyl)-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (8):



Pale yellow solid (93%); ¹H NMR (300 MHz, DMSO-d) δ 7.47-7.45 (m, 2H), 7.37-7.32 (m, 1H), 7.21-7.16 (m, 3H), 6.82-6.77 (t, J = 7.38 Hz, 1H), 6.62-6.57 (t, J = 7.23 Hz, 1H), 6.16-6.10 (m, 2H), 3.45-3.42 (m, 2H), 2.82-2.73 (t, J = 11.44 Hz, 1H), 2.04-1.97 (m, 1H), 1.86-1.81 (m, 1H), 1.39-1.35 (q, J = 4.49 Hz, 1H), 1.05-0.96 (m, 1H); HRMS (EI) m/z calcd. for C₂₀H₁₇F₃N₂ [M⁺]: 342.1344, found: 342.1344.

2-phenyl-4-(3-(trifluoromethyl)phenyl)-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (9):



Pale yellow solid (93%); m.p. 180.4-194.2 °C; ¹H NMR (300 MHz, CDCl₃) δ 7.67 (s, 1H), 7.55-7.54 (m, 1H), 7.37-7.29 (m, 5H), 7.23-7.21 (d, J = 7.30 Hz, 1H), 7.03-7.00 (d, J = 8.42 Hz, 2H), 6.97-6.92 (t, J = 7.31 Hz, 1H), 6.87-6.82 (t, J = 7.70 Hz, 1H), 6.74-6.69 (t, J = 7.32 Hz, 1H), 6.33-6.30 (d, J = 8.06 Hz, 1H), 3.87-3.82 (m, 1H), 3.46-3.38 (t, J = 11.23 Hz, 1H), 2.10-2.03 (m, 1H), 1.81-1.74 (m, 1H), 1.63-1.58 (m, 1H), 1.14-1.07 (m, 1H); ¹³C NMR (75 MHz, CDCl₃) δ 145.0, 139.8, 138.8, 131.7, 131.2, 130.8, 130.4, 129.7, 129.4, 129.2, 128.2, 126.3, 126.3, 126.1, 126.0, 126.0, 122.5, 121.8, 121.8, 121.8, 121.7, 121.1, 120.6, 119.4, 118.9, 118.8, 118.8, 118.7, 118.2, 115.5, 51.7, 49.7, 18.3, 14.3, 8.8; IR (liquid) ν 1629.55, 1597.73, 1490.70, 1320.04, 1253.50, 1242.90, 1163.83, 1122.37 cm⁻¹; HRMS (EI) m/z calcd. for C₂₆H₂₁F₃N₂ [M⁺]: 418.1657, found: 418.1661.

IV . X-ray crystal data (4a and 7f)

Compound 4a

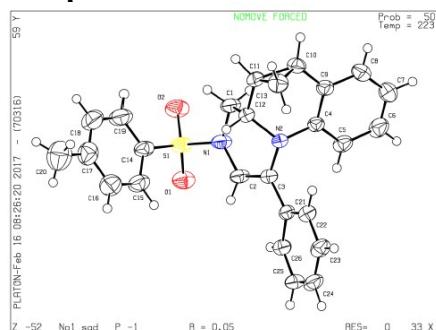


Table 1. Crystal data and structure refinement for compound 4a.

Identification code	No1_sqd		
Empirical formula	$C_{26} H_{24} N_2 O_2 S$		
Formula weight	428.53		
Temperature	223(2) K		
Wavelength	0.71073 Å		
Crystal system	Triclinic		
Space group	P-1		
Unit cell dimensions	$a = 10.6565(7) \text{ Å}$	$\alpha = 69.374(3)^\circ$	
	$b = 11.1408(9) \text{ Å}$	$\beta = 76.912(3)^\circ$	
	$c = 12.3000(10) \text{ Å}$	$\gamma = 65.303(3)^\circ$	
Volume	$1236.26(17) \text{ Å}^3$		
Z	2		
Density (calculated)	1.151 Mg/m ³		
Absorption coefficient	0.154 mm ⁻¹		
F(000)	452		
Crystal size	0.220 x 0.150 x 0.080 mm ³		
Theta range for data collection	2.103 to 28.357°		
Index ranges	$-14 \leq h \leq 14, -14 \leq k \leq 14, -16 \leq l \leq 16$		
Reflections collected	35044		
Independent reflections	6146 [R(int) = 0.0722]		
Completeness to theta = 25.242°	100.0 %		
Absorption correction	Semi-empirical from equivalents		
Max. and min. transmission	0.7457 and 0.5829		
Refinement method	Full-matrix least-squares on F ²		
Data / restraints / parameters	6146 / 0 / 281		
Goodness-of-fit on F ²	1.077		
Final R indices [I>2sigma(I)]	R1 = 0.0504, wR2 = 0.1262		
R indices (all data)	R1 = 0.0782, wR2 = 0.1367		
Extinction coefficient	n/a		
Largest diff. peak and hole	0.316 and -0.255 e.Å ⁻³		

Compound 7f

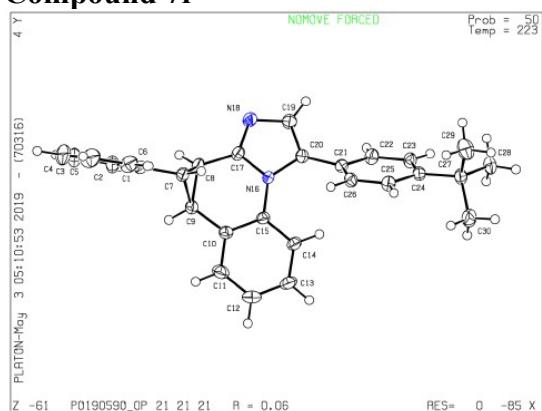


Table 1. Crystal data and structure refinement for compound 6f.

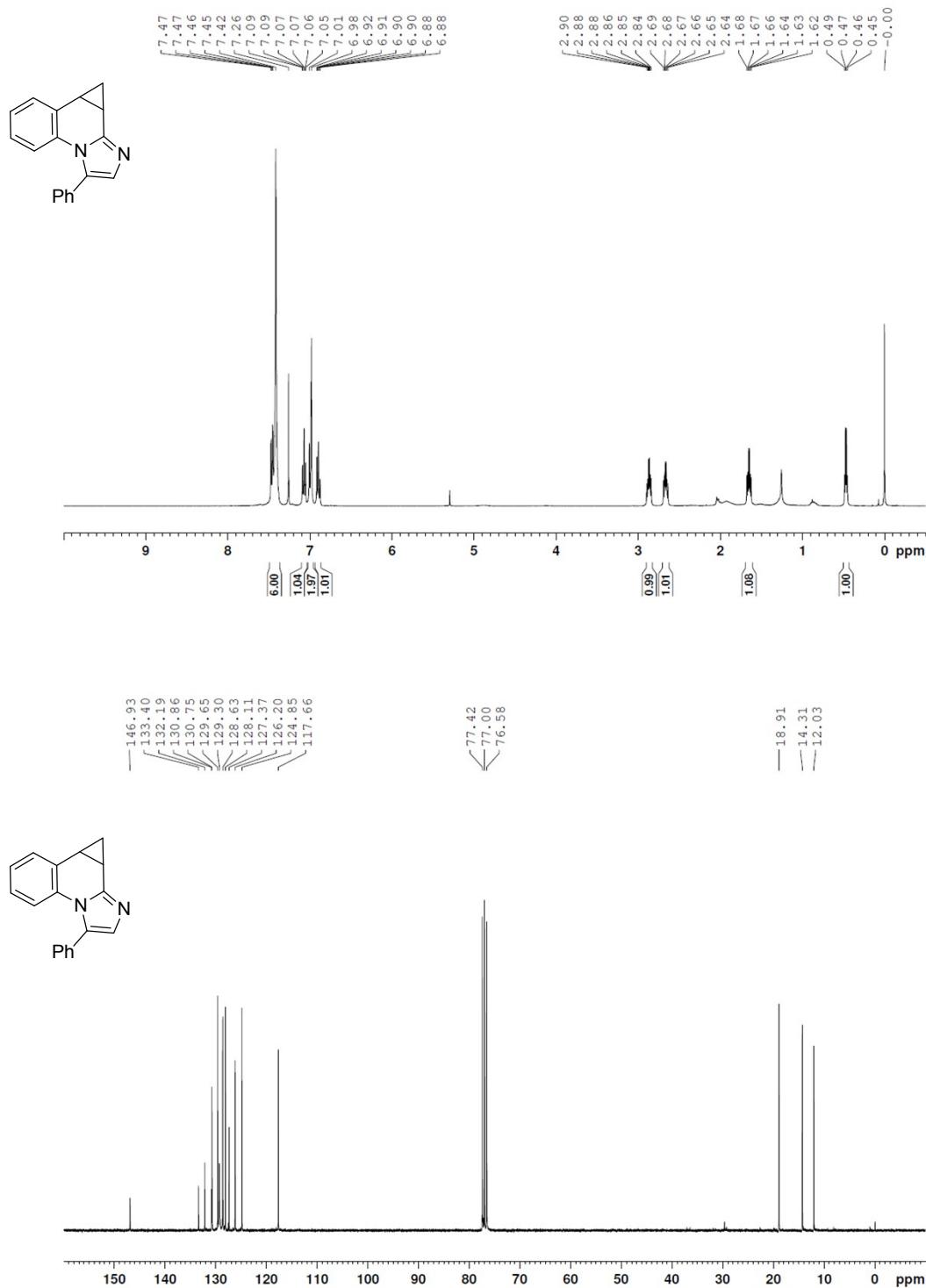
Empirical formula	$C_{28}H_{26}N_2$		
Formula weight	390.51		
Temperature	223(2) K		
Wavelength	0.730 Å		
Crystal system	Orthorhombic		
Space group	$P2_12_12_1$		
Unit cell dimensions	$a = 9.0720(18)$ Å	$\alpha = 90^\circ$	
	$b = 9.846(2)$ Å	$\beta = 90^\circ$	
	$c = 23.918(5)$ Å	$\gamma = 90^\circ$	
Volume	$2136.4(7)$ Å ³		
Z	4		
Density (calculated)	1.214 Mg/m ³		
Absorption coefficient	0.073 mm ⁻¹		
F(000)	832		
Crystal size	$0.092 \times 0.078 \times 0.032$ mm ³		
Theta range for data collection	1.749 to 33.528°.		
Index ranges	$-13 \leq h \leq 13, -14 \leq k \leq 14, -33 \leq l \leq 33$		
Reflections collected	22591		
Independent reflections	6930 [R(int) = 0.0826]		
Completeness to theta = 25.976°	100.0 %		
Absorption correction	Empirical		
Max. and min. transmission	1.000 and 0.963		
Refinement method	Full-matrix least-squares on F ²		
Data / restraints / parameters	6930 / 0 / 274		
Goodness-of-fit on F ²	1.154		
Final R indices [I>2sigma(I)]	R1 = 0.0588, wR2 = 0.1755		
R indices (all data)	R1 = 0.0643, wR2 = 0.1815		
Largest diff. peak and hole	0.409 and -0.333 e·Å ⁻³		

V. Reference

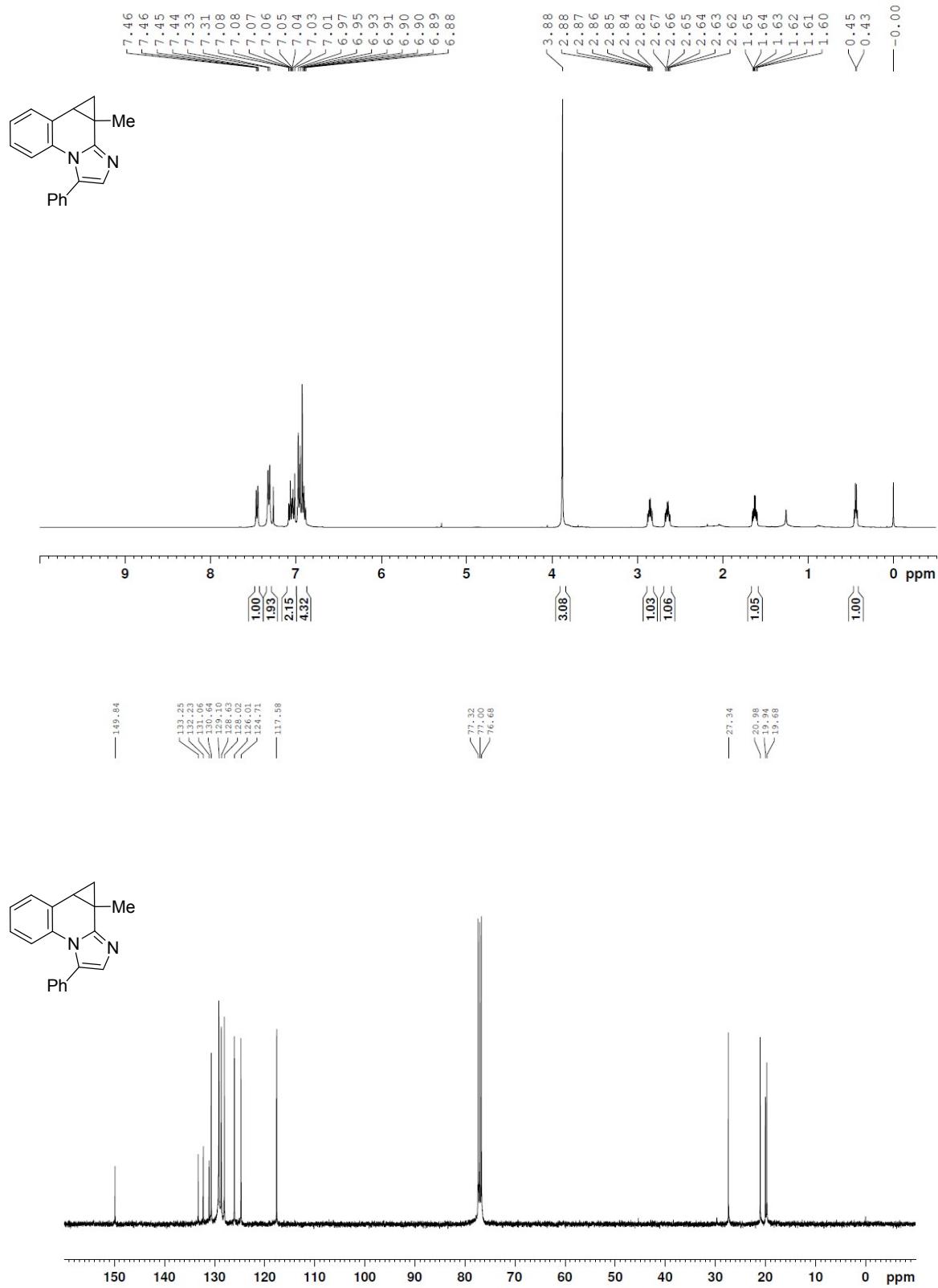
- S1. (a) D. J. Lee, H. S. Han, J. Shin and E. J. Yoo, *J. Am. Chem. Soc.*, 2014, **136**, 11606; (b) J. Y. Lee, J. Y. Shim, H. K. Kim, D. Ko, M.-H. Baik and E. J. Yoo, *J. Org. Chem.*, 2017, **82**, 4352; (c) N. De, C. E. Song, D. H. Ryu and E. J. Yoo, *Chem. Commun.*, 2018, **54**, 6911.
- S2. (a) F. G. Buono, M. C. Eriksson, B-S. Yang, S. R. Kapadia, H. Lee, J. Brazzillo, J. C. Lorenz, L. Nummy, C. A. Busacca, N. Yee and C. Senanayake, *Org. Process Res. Dev.*, 2014, **18**, 1527; (b) J. Forrester, R. V. H. Jones, L. Newton and P. N. Preston, *Tetrahedron*, 2001, **57**, 2871.
- S3. I. Stahl, S. Schomburg and H. O. Kalinowski, *Chem. Ber.*, 1984, **117**, 2247.

VI. Copies of Spectral Data of Compounds Obtained in this Study

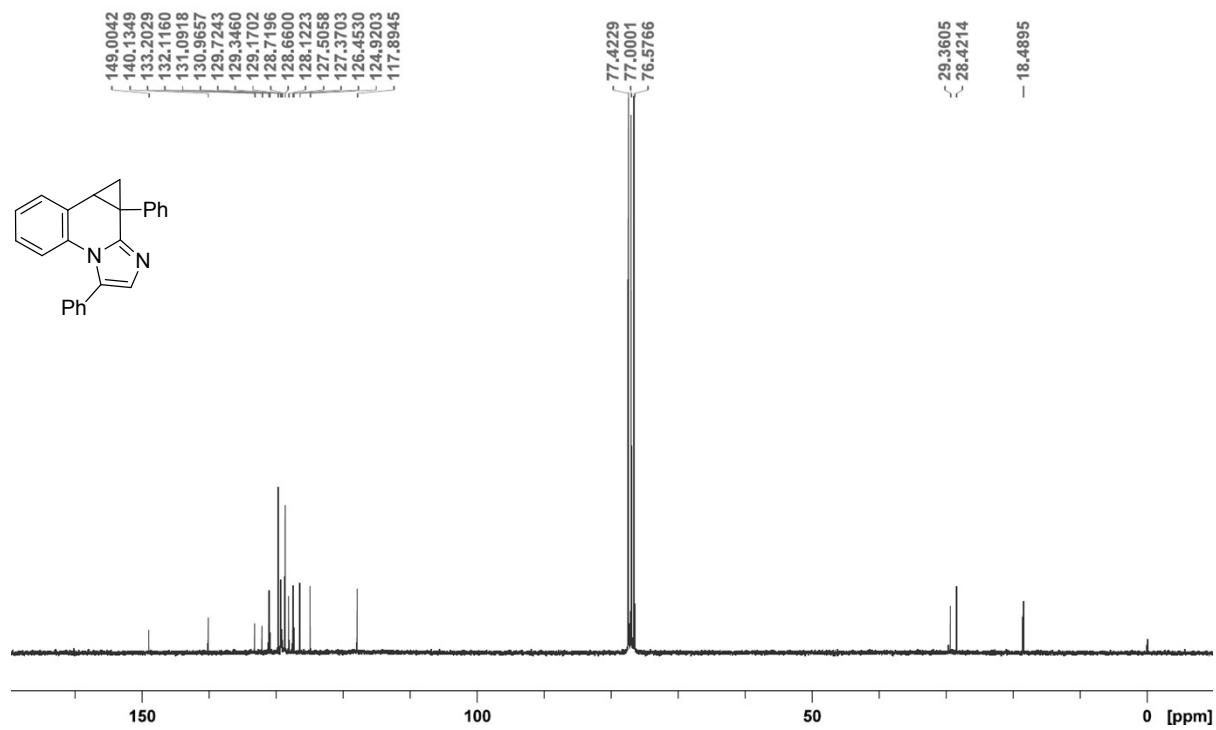
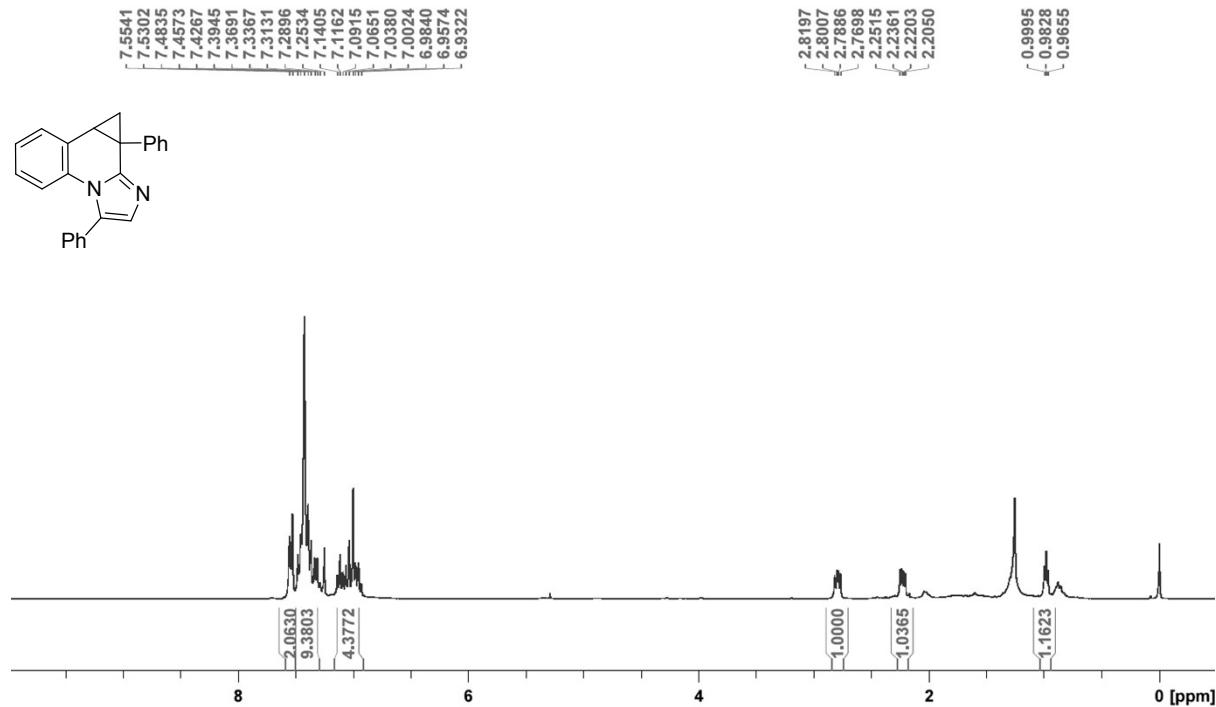
3-phenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3a) :



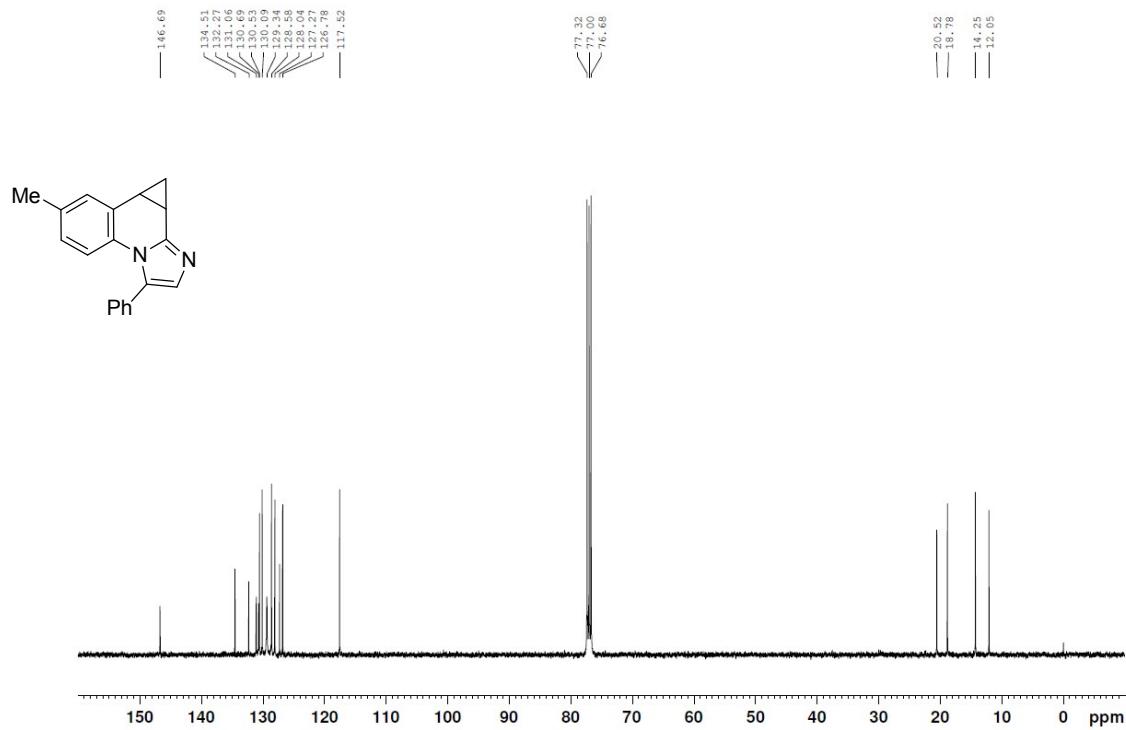
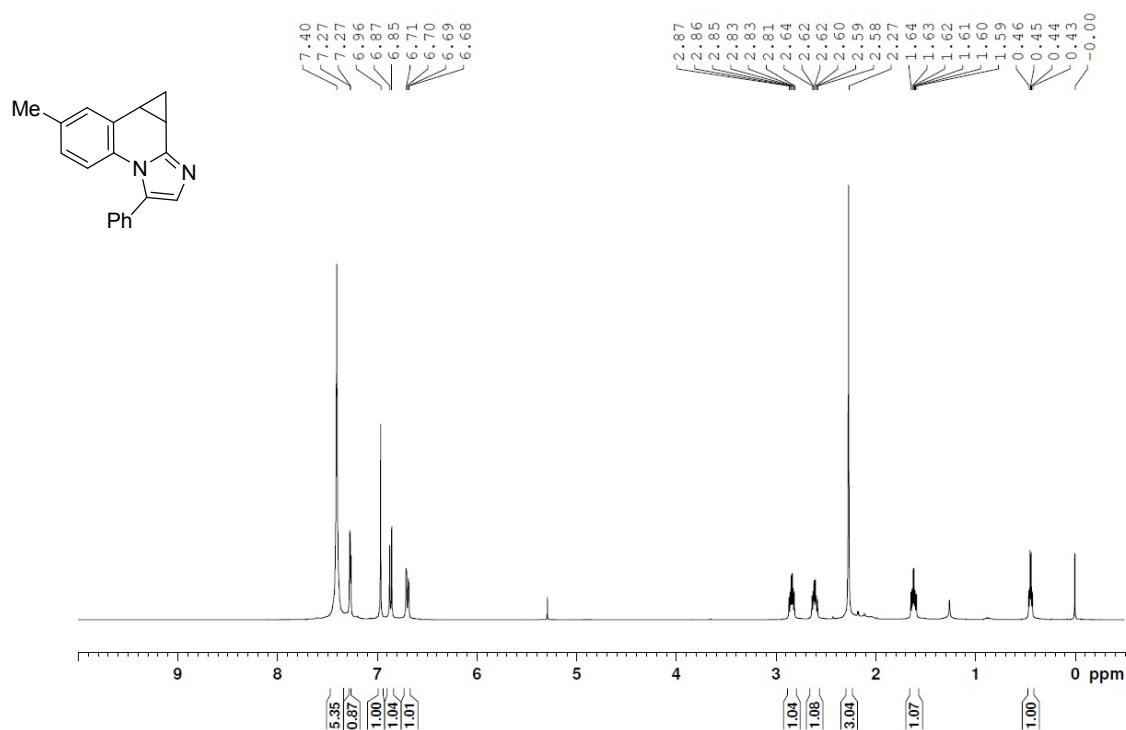
9a-methyl-3-phenyl-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3b):



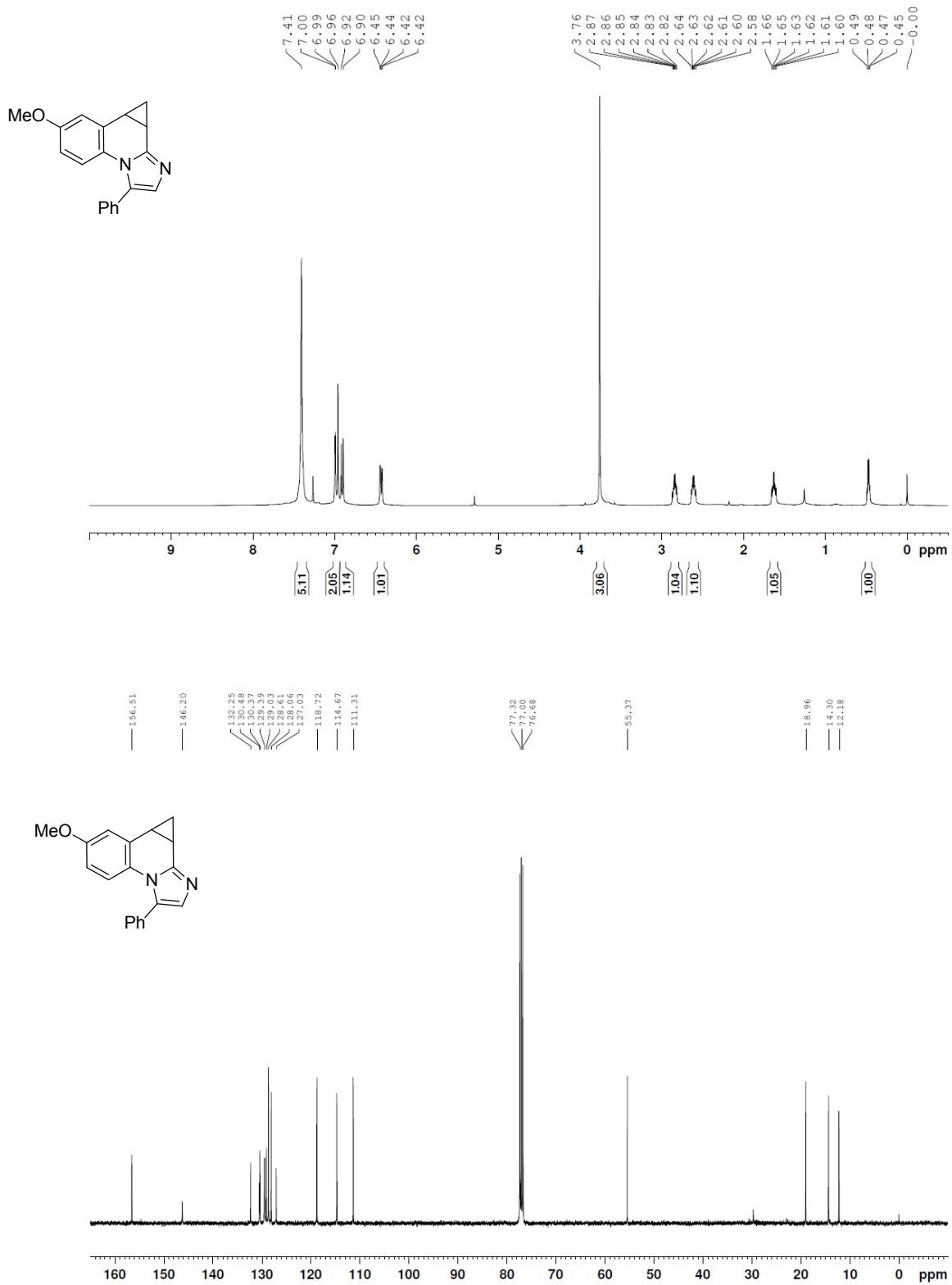
3,9a-diphenyl-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3c):



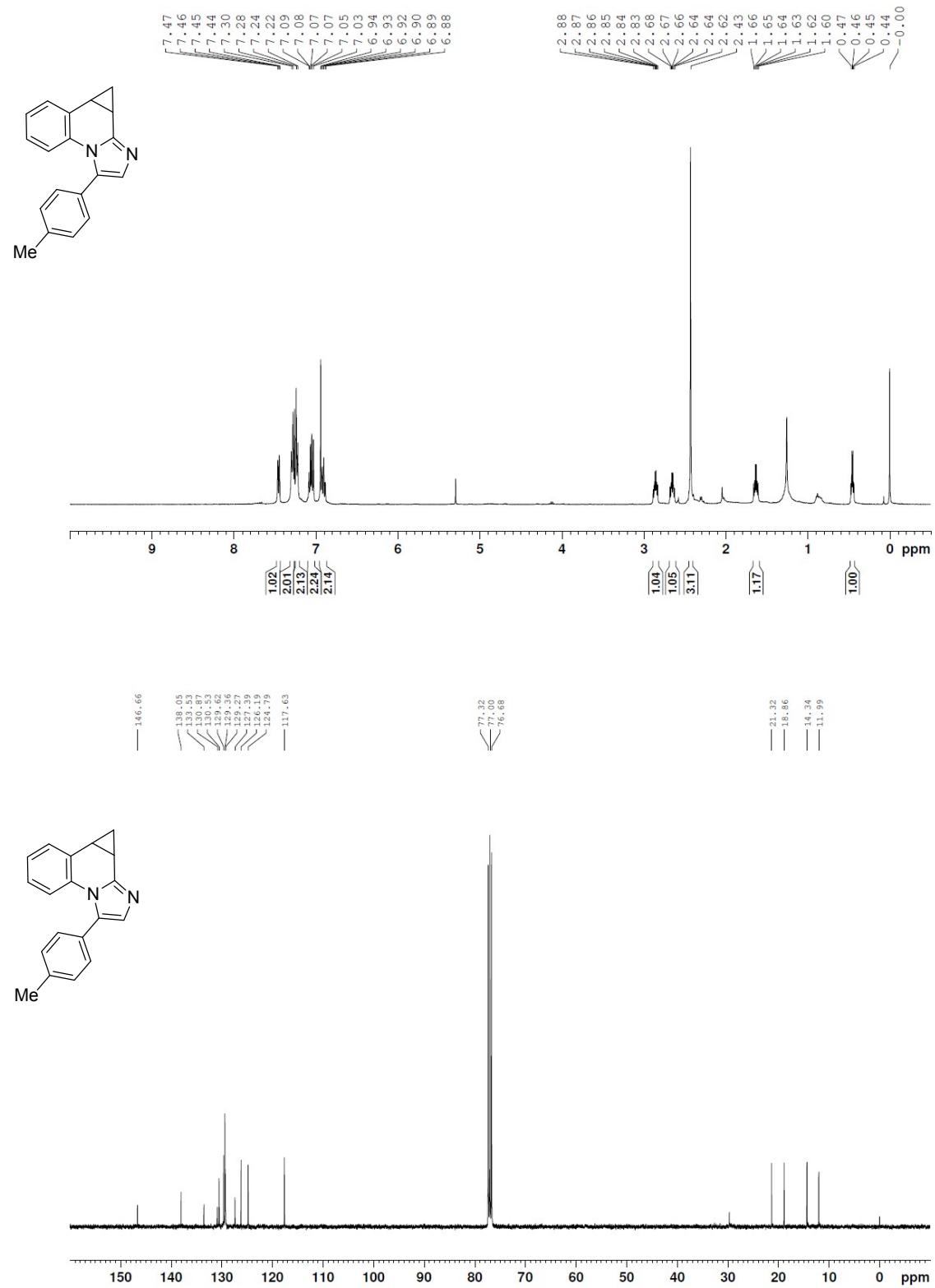
7-methyl-3-phenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3d):



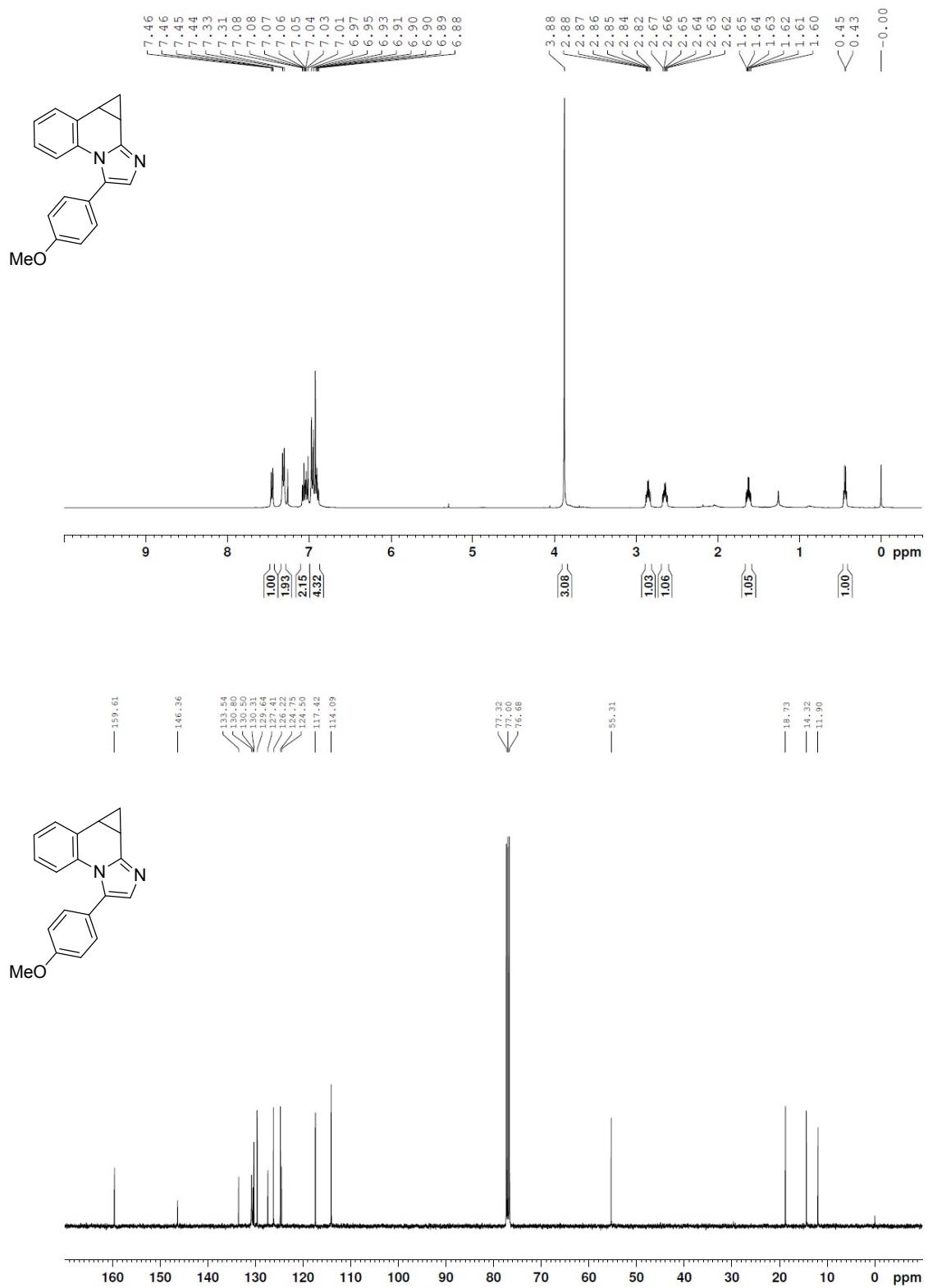
7-methoxy-3-phenyl-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3e):



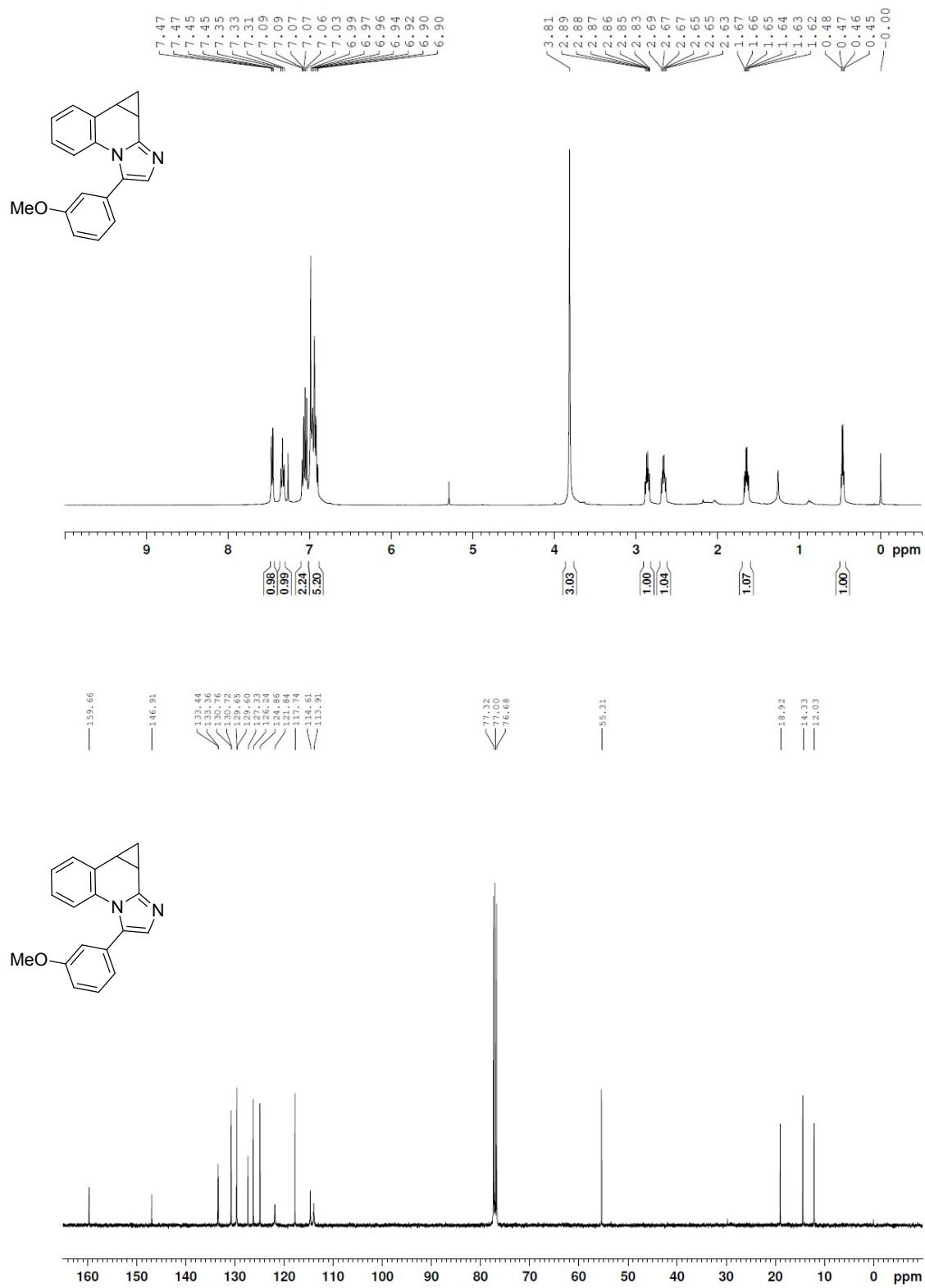
3-(p-tolyl)-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3f):



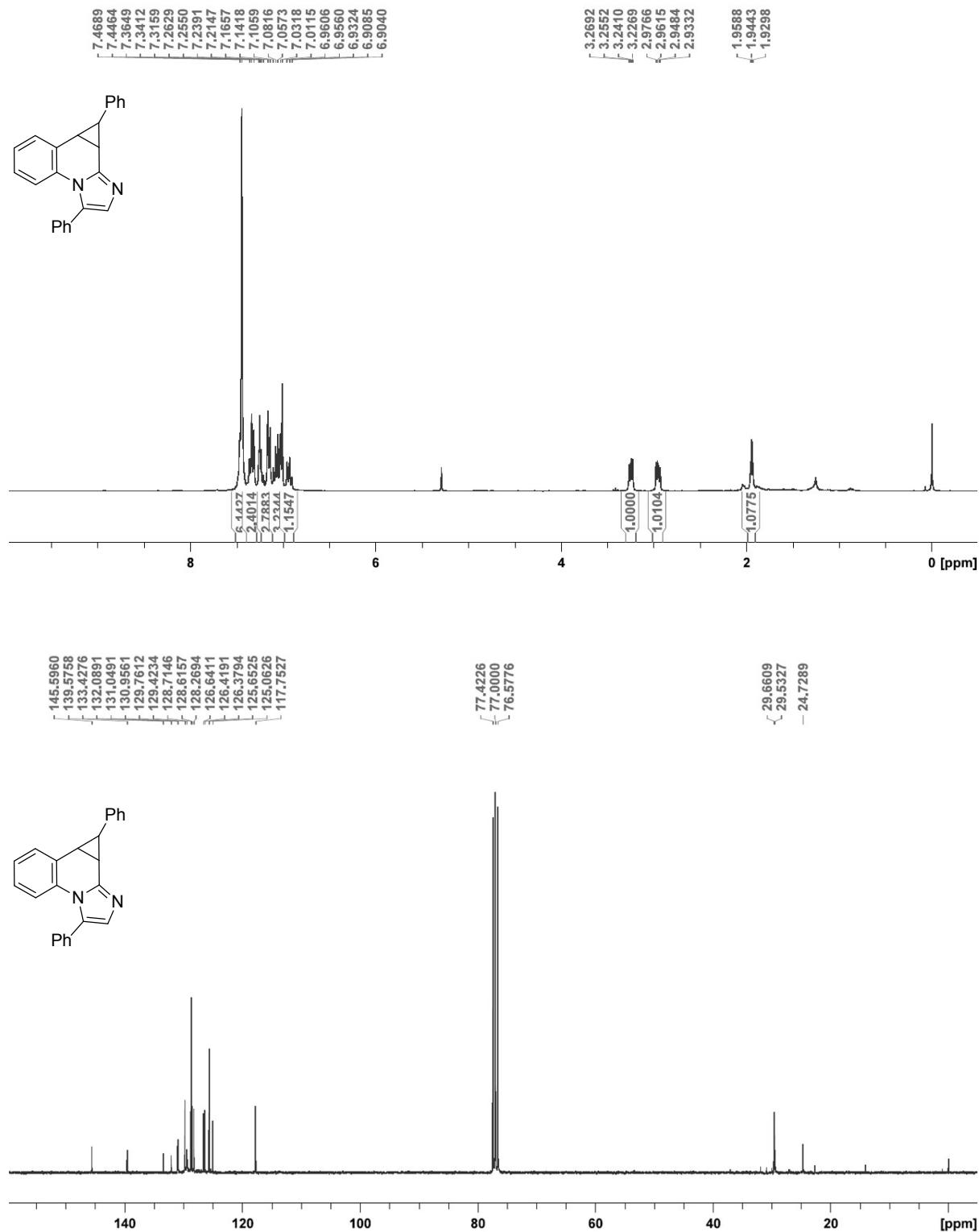
3-(4-methoxyphenyl)-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3g):

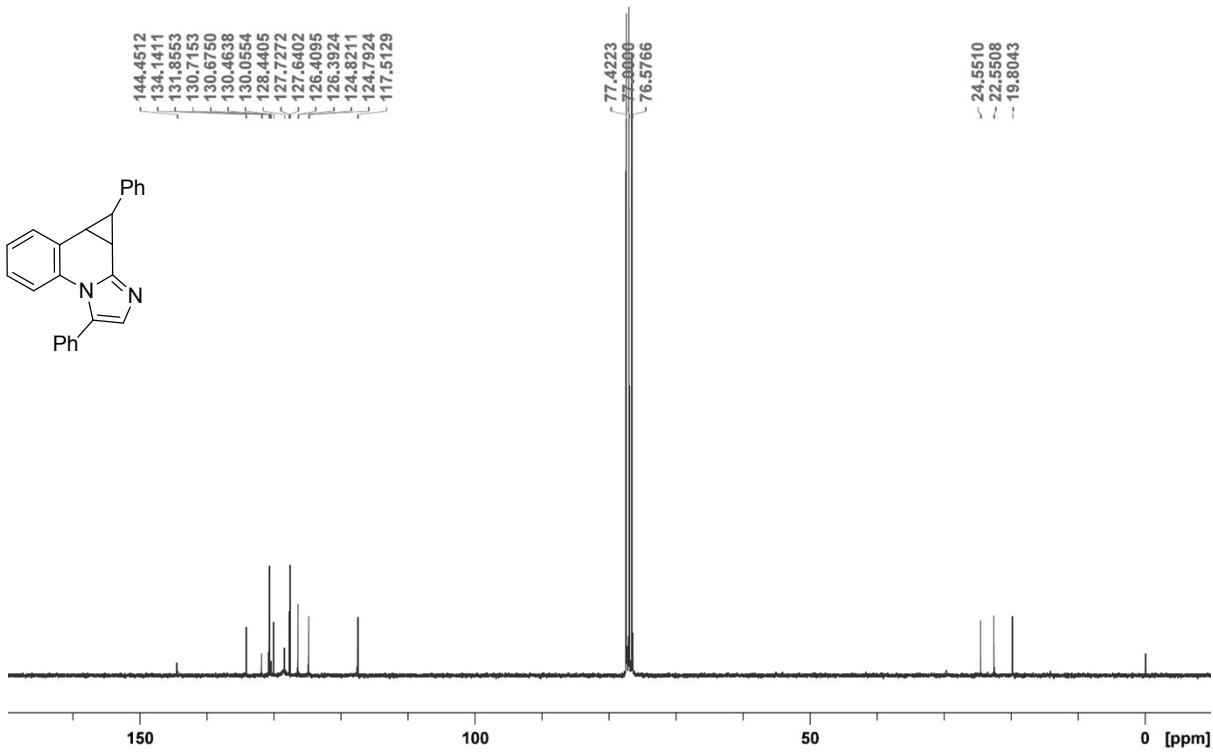
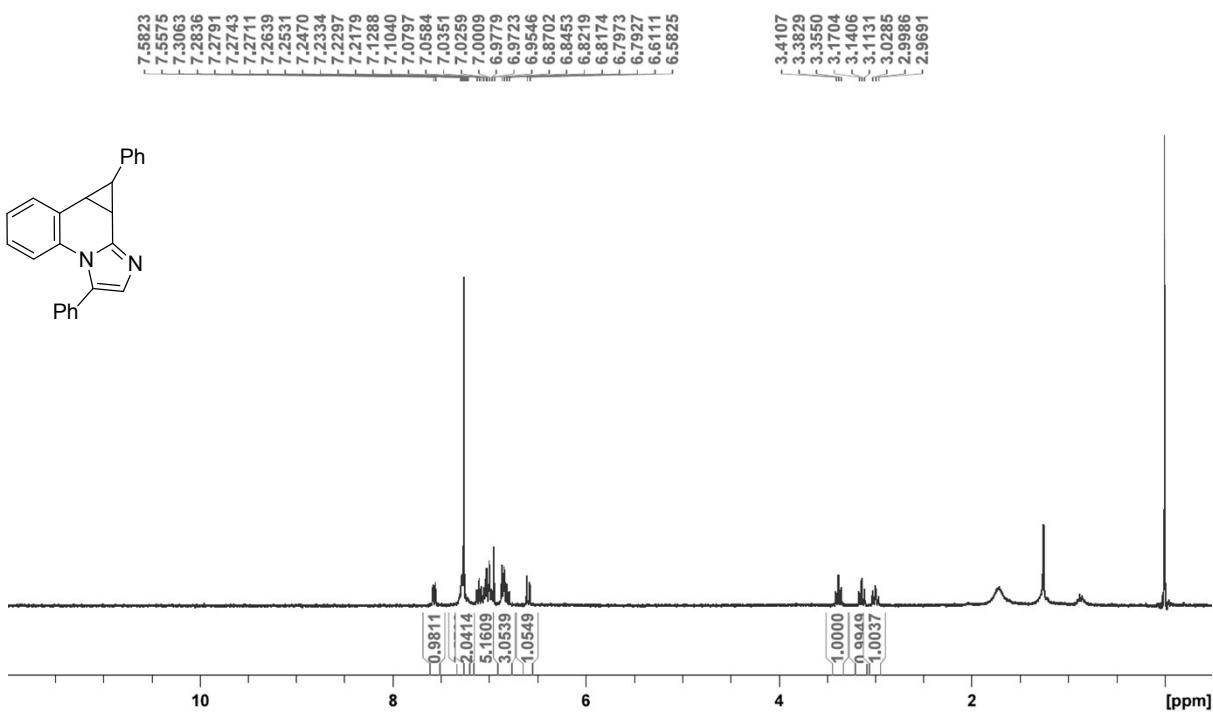


3-(3-methoxyphenyl)-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3h):

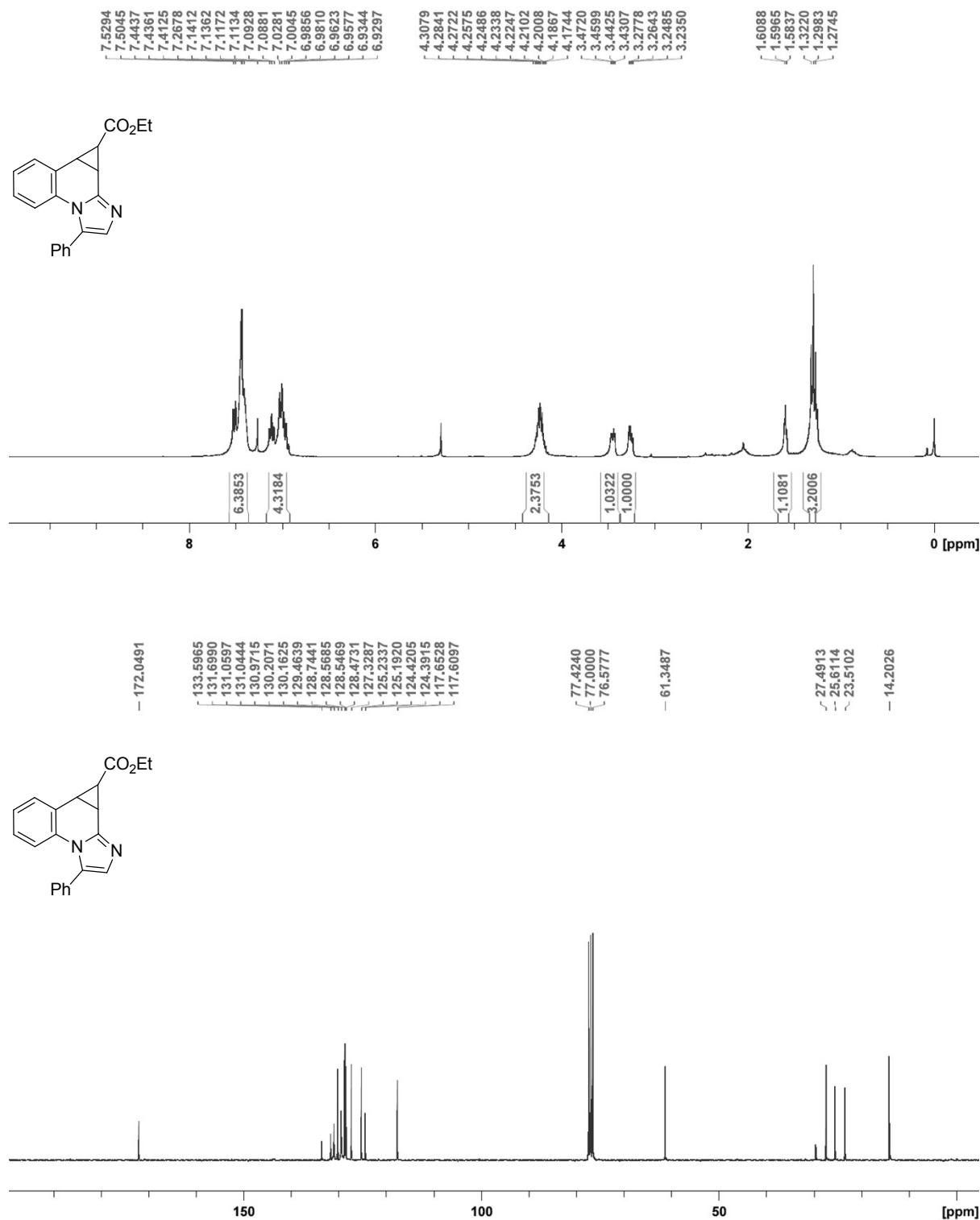


3,9-diphenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (3i):

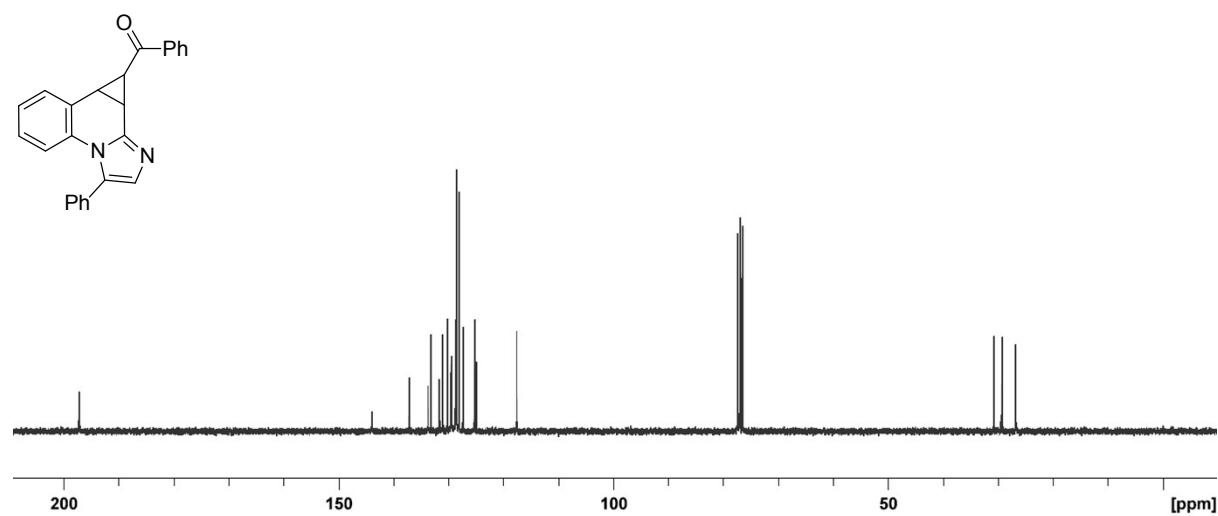
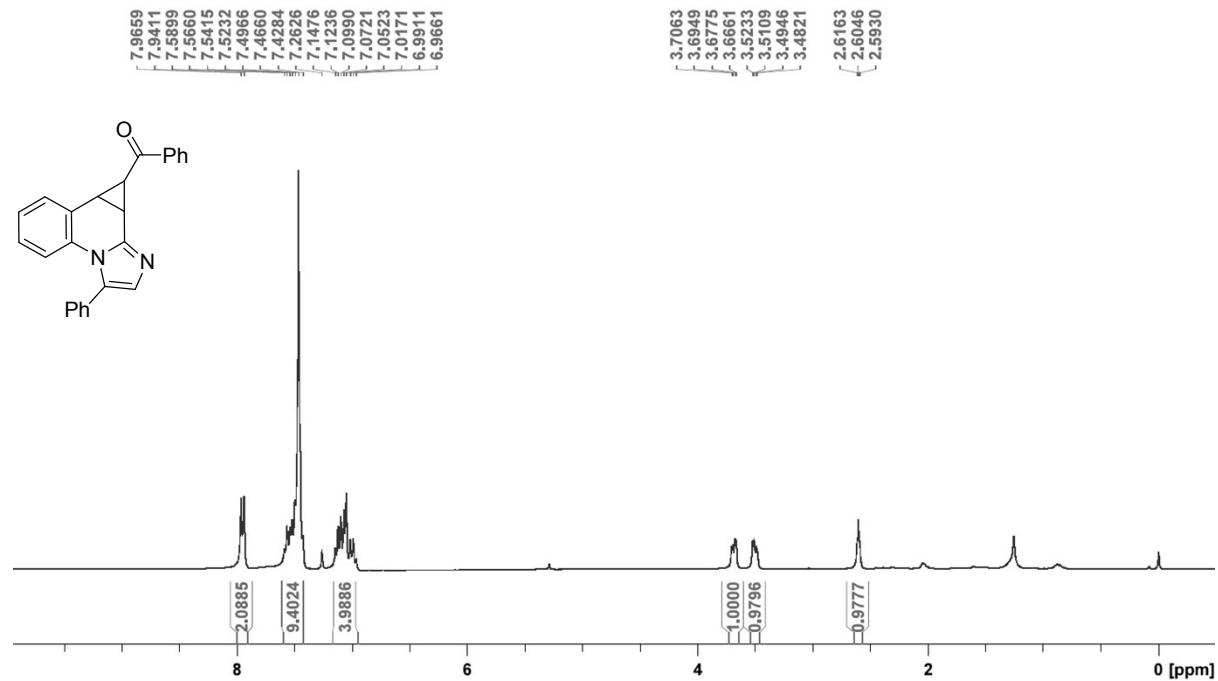




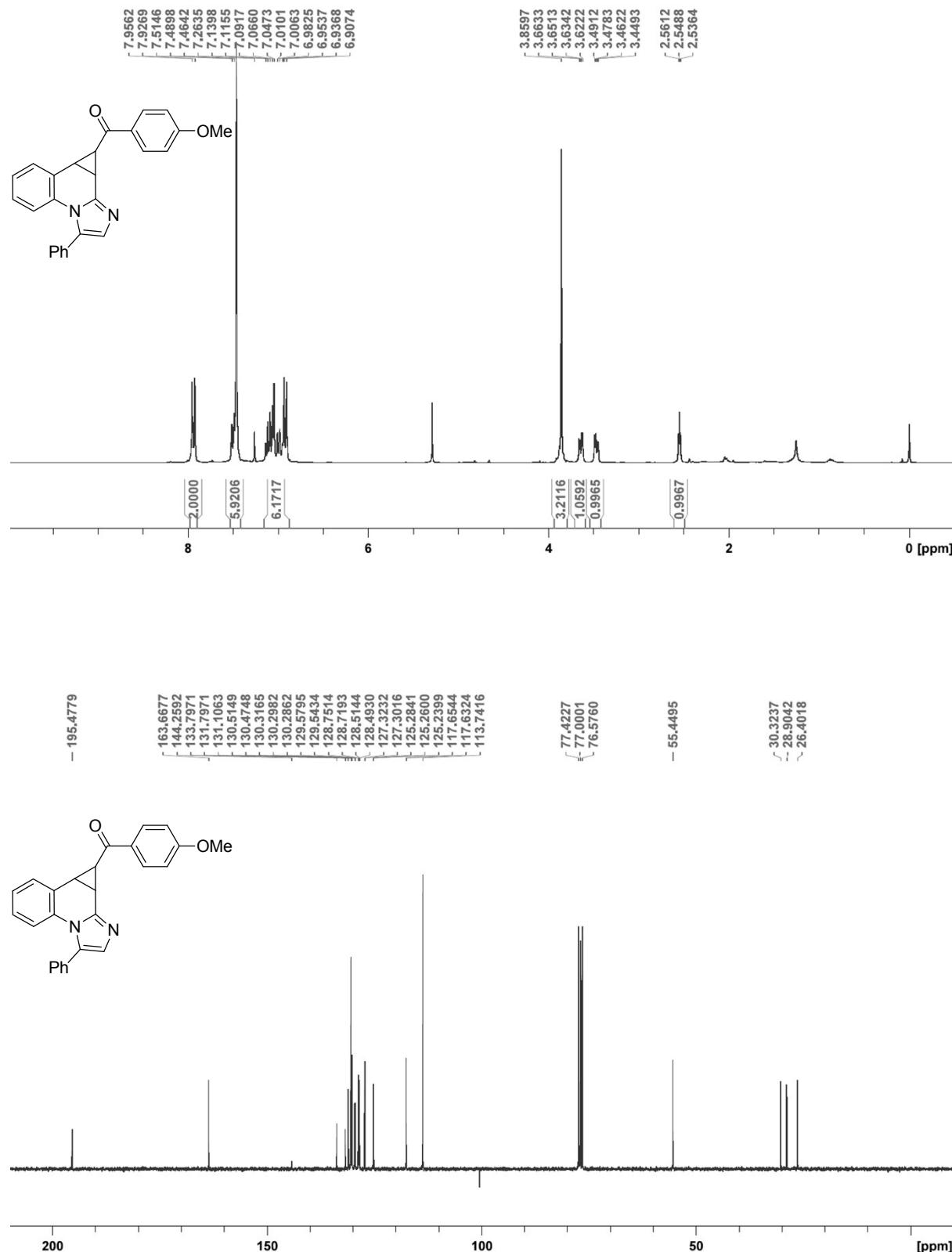
ethyl 3-phenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline-9-carboxylate (3j):



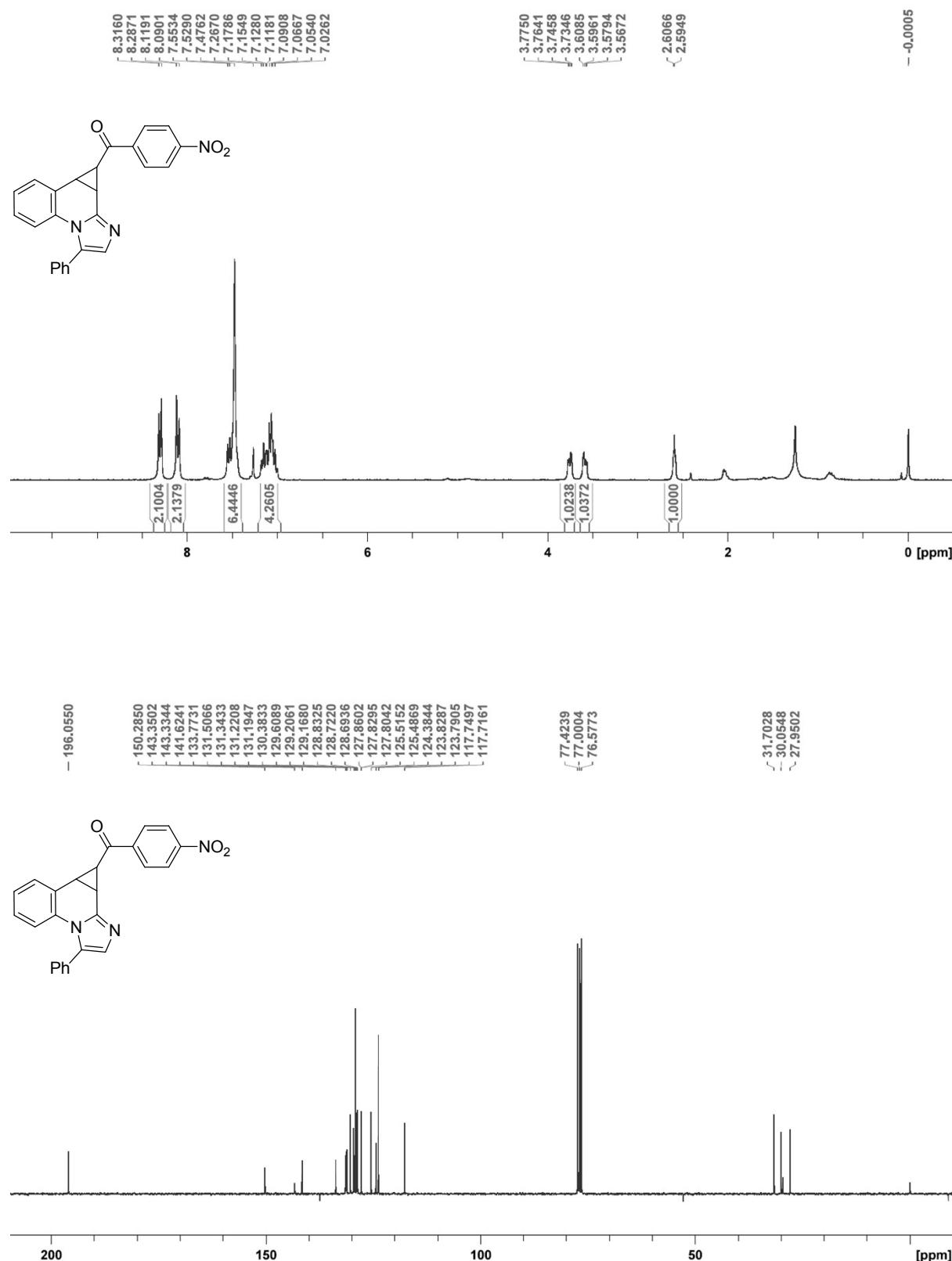
phenyl(3-phenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinolin-9-yl)methanone (3k):



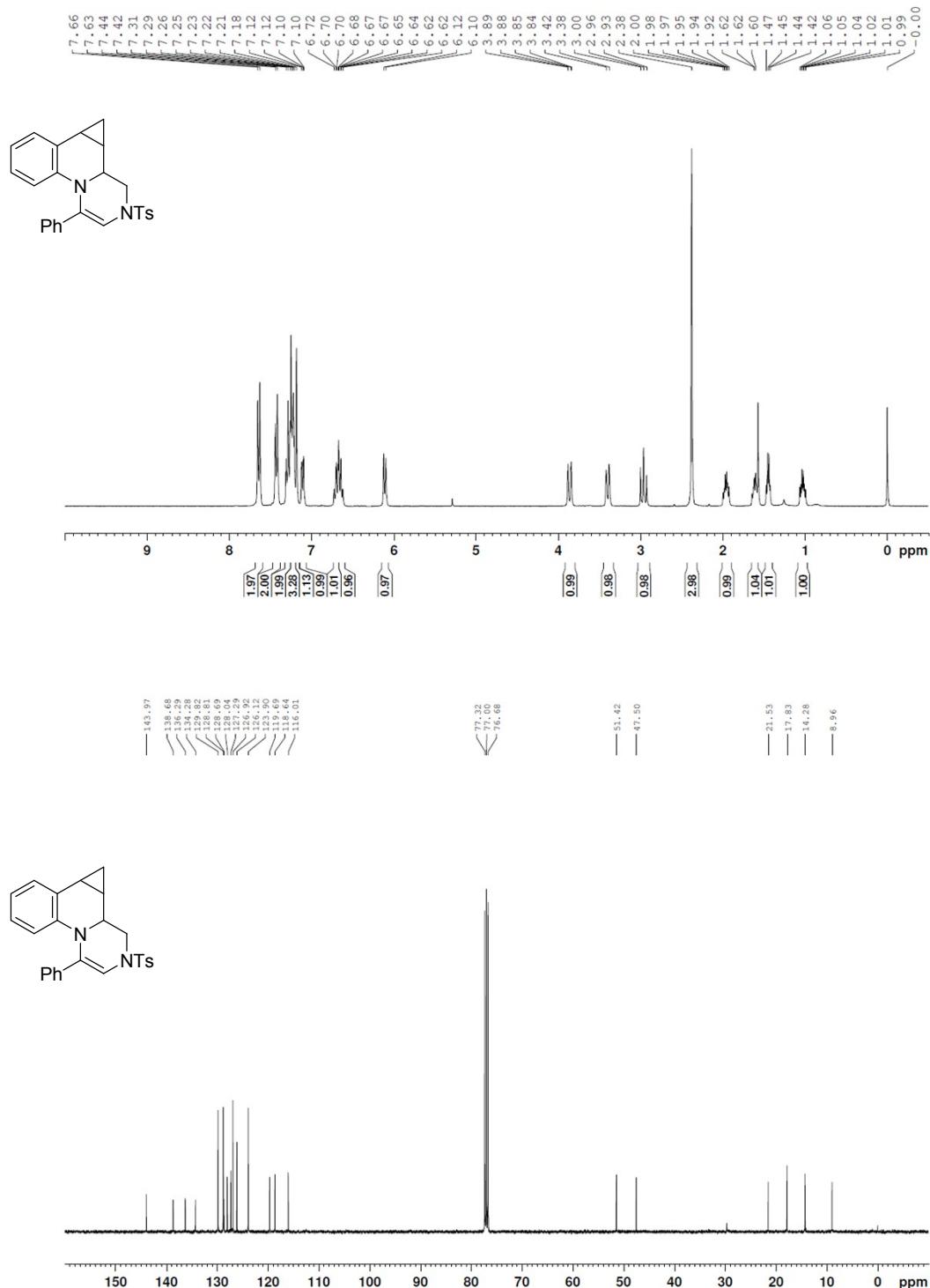
4-methoxyphenyl)(3-phenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinolin-9-yl)methanone (3l):



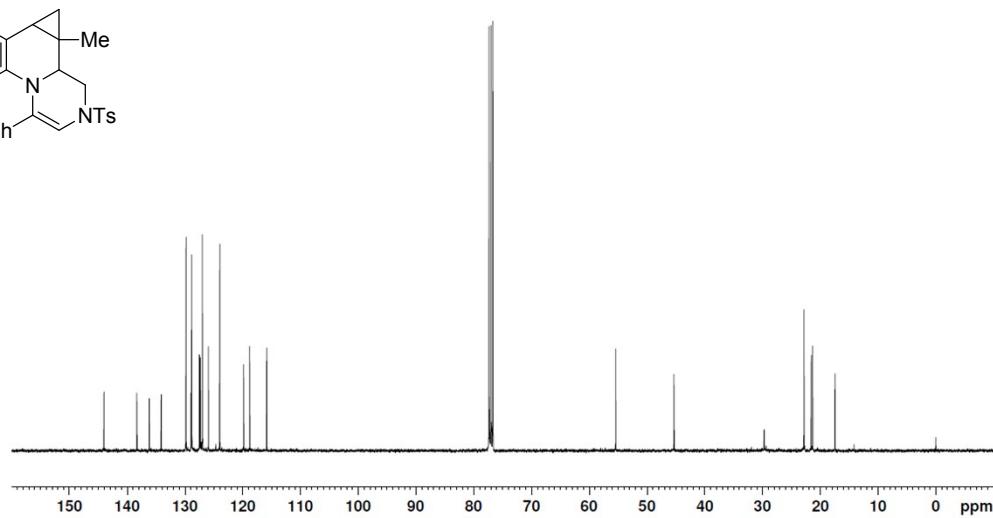
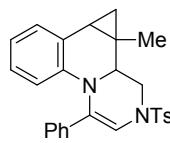
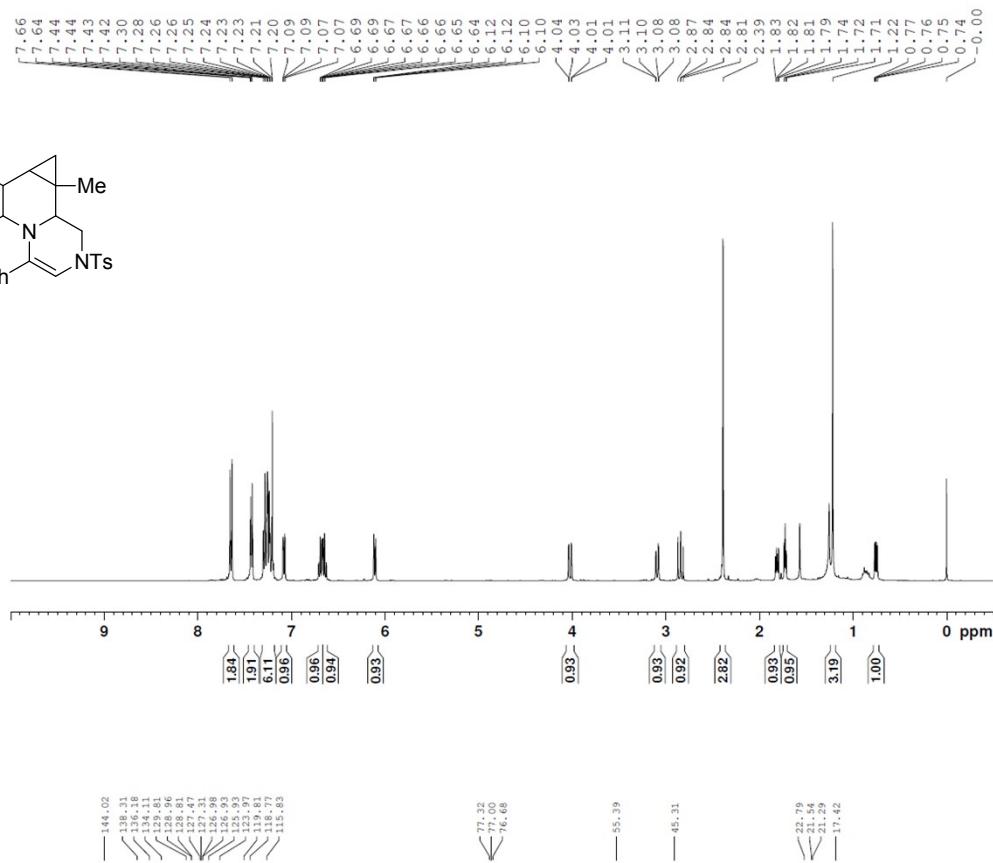
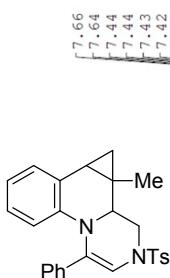
**4-nitrophenyl)(3-phenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinolin-9-yl)methanone
(3m):**



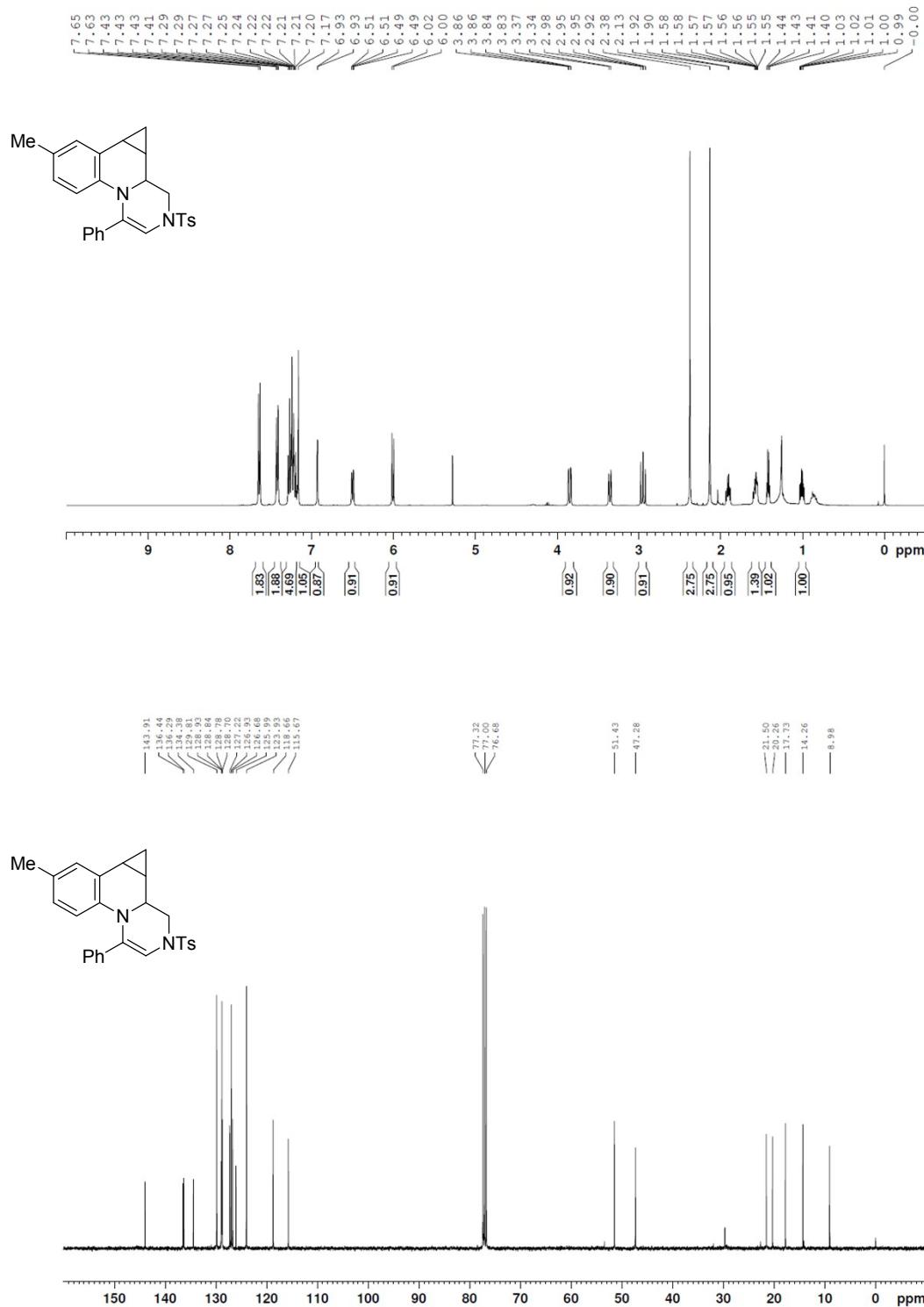
4-phenyl-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4a):



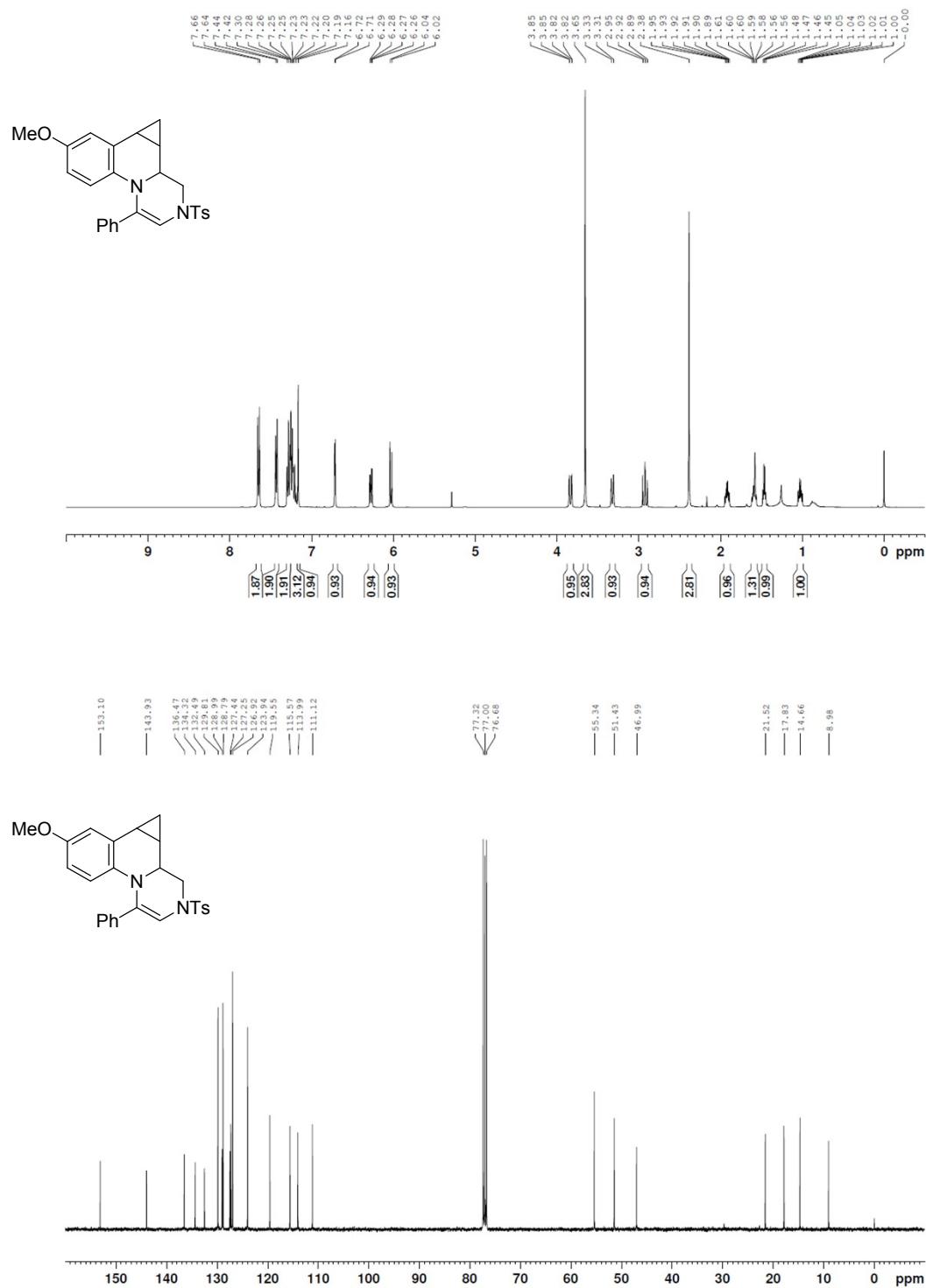
10a-methyl-4-phenyl-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4b):



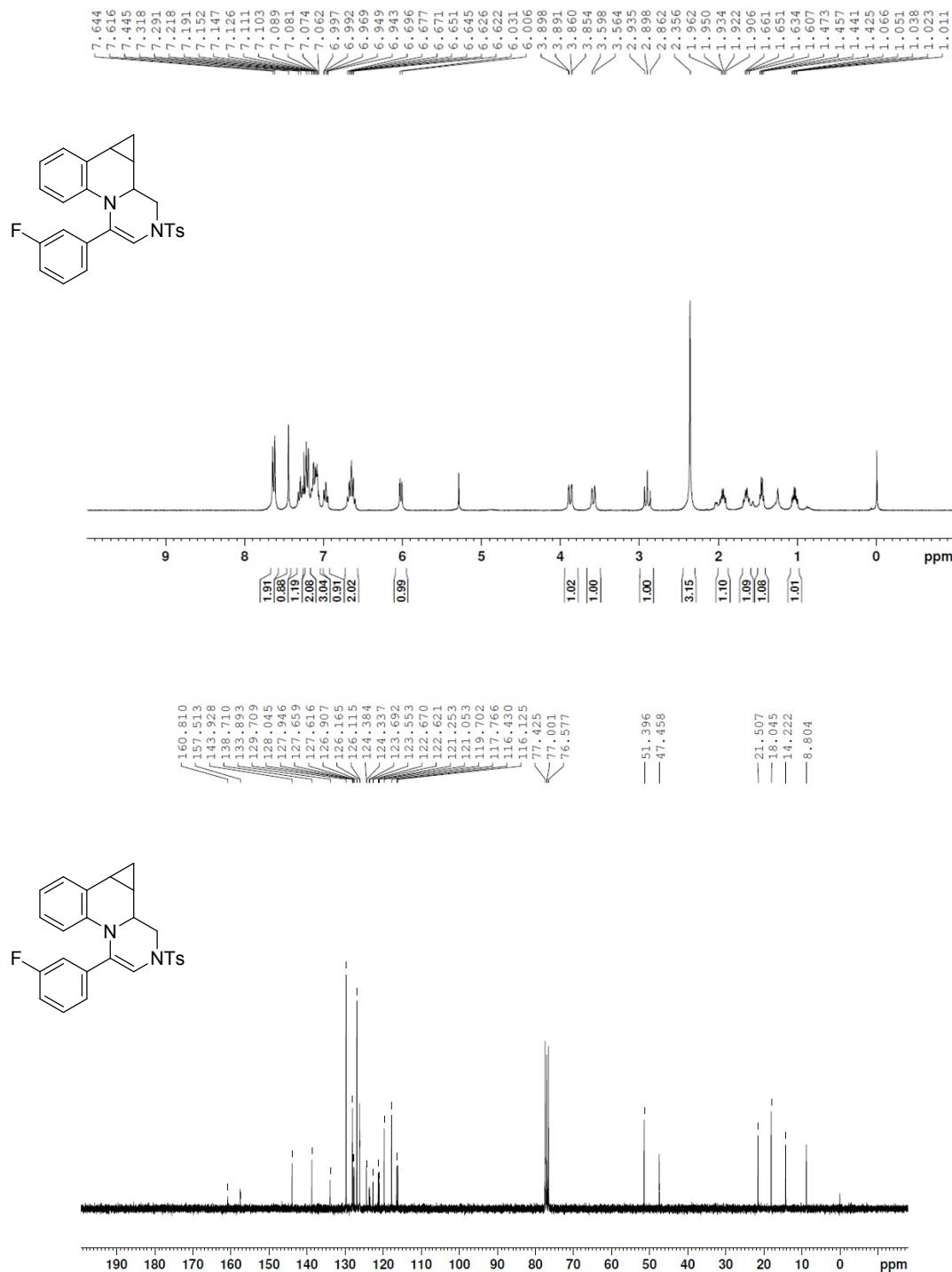
8-methyl-4-phenyl-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4c):



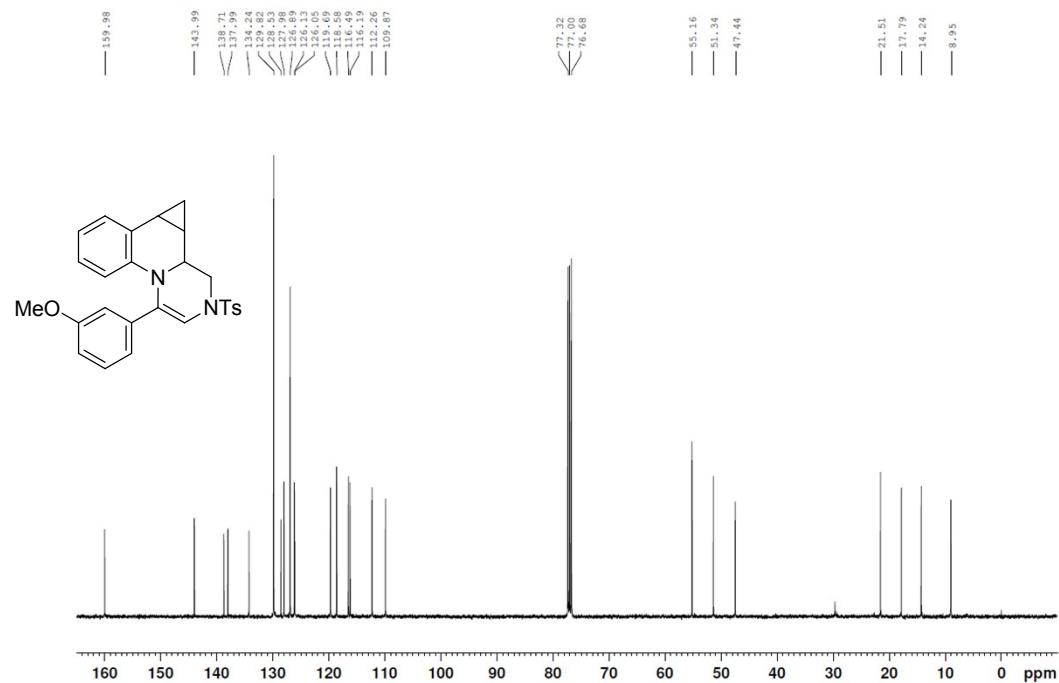
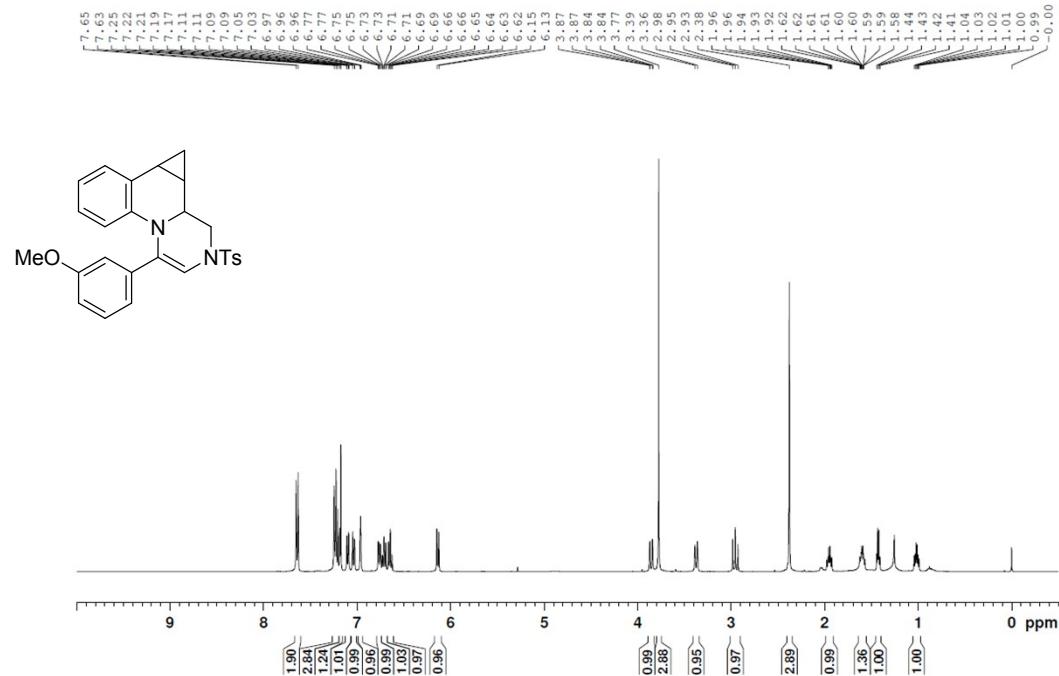
8-methoxy-4-phenyl-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4d):



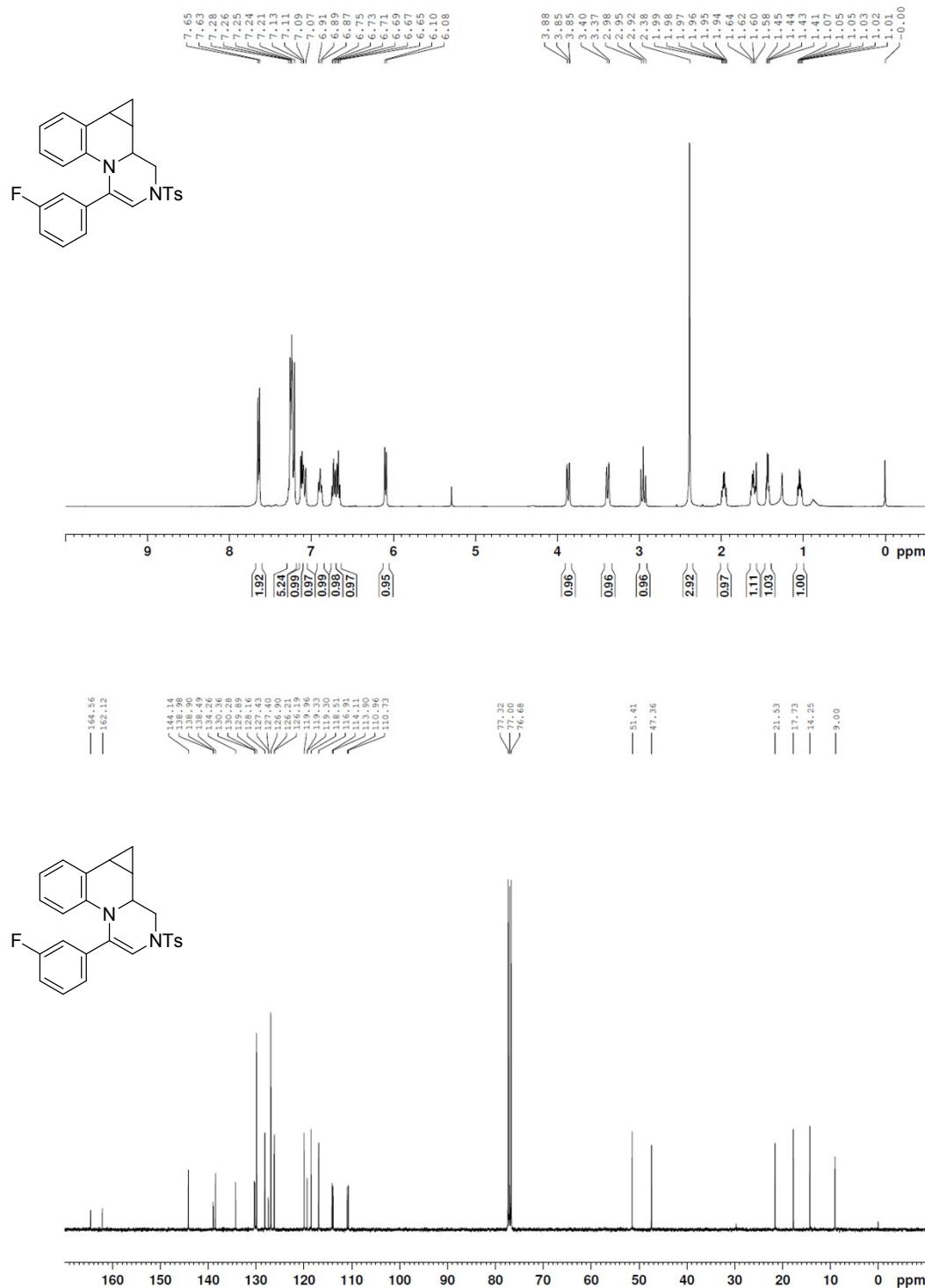
4-(3-fluorophenyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4e):



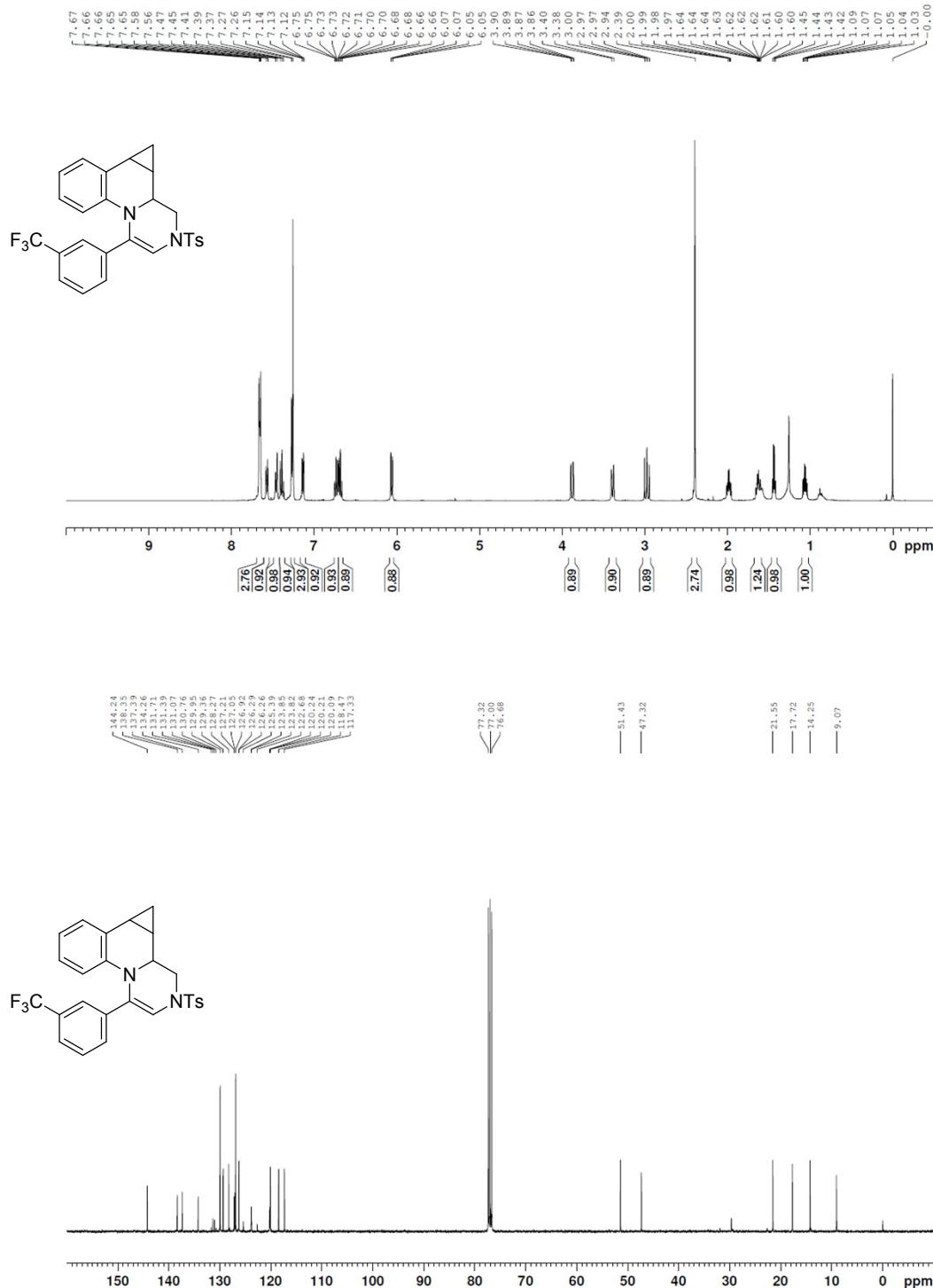
4-(3-methoxyphenyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4f):



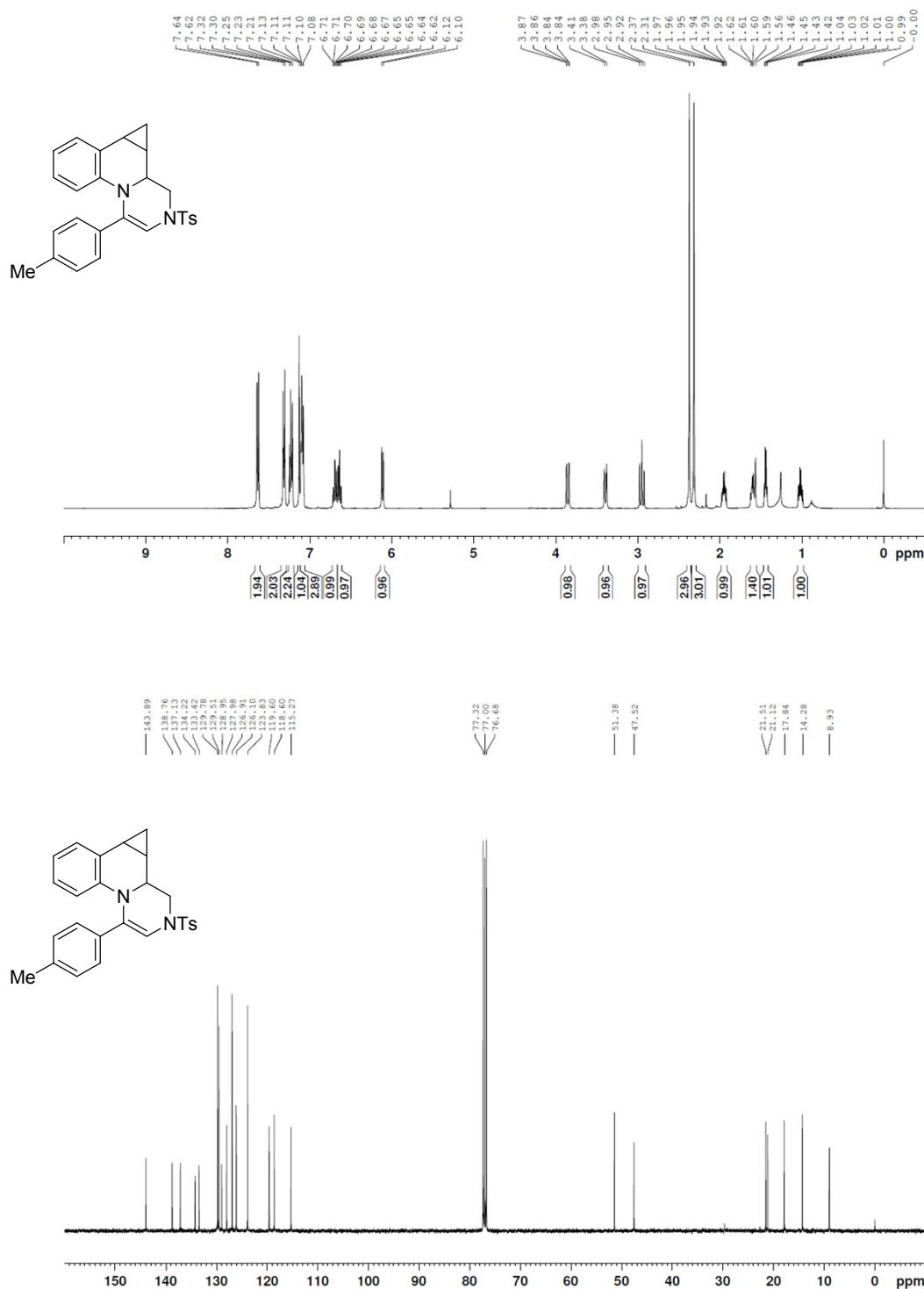
4-(3-fluorophenyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinolone (4g):



2-tosyl-4-(3-(trifluoromethyl)phenyl)-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4h):

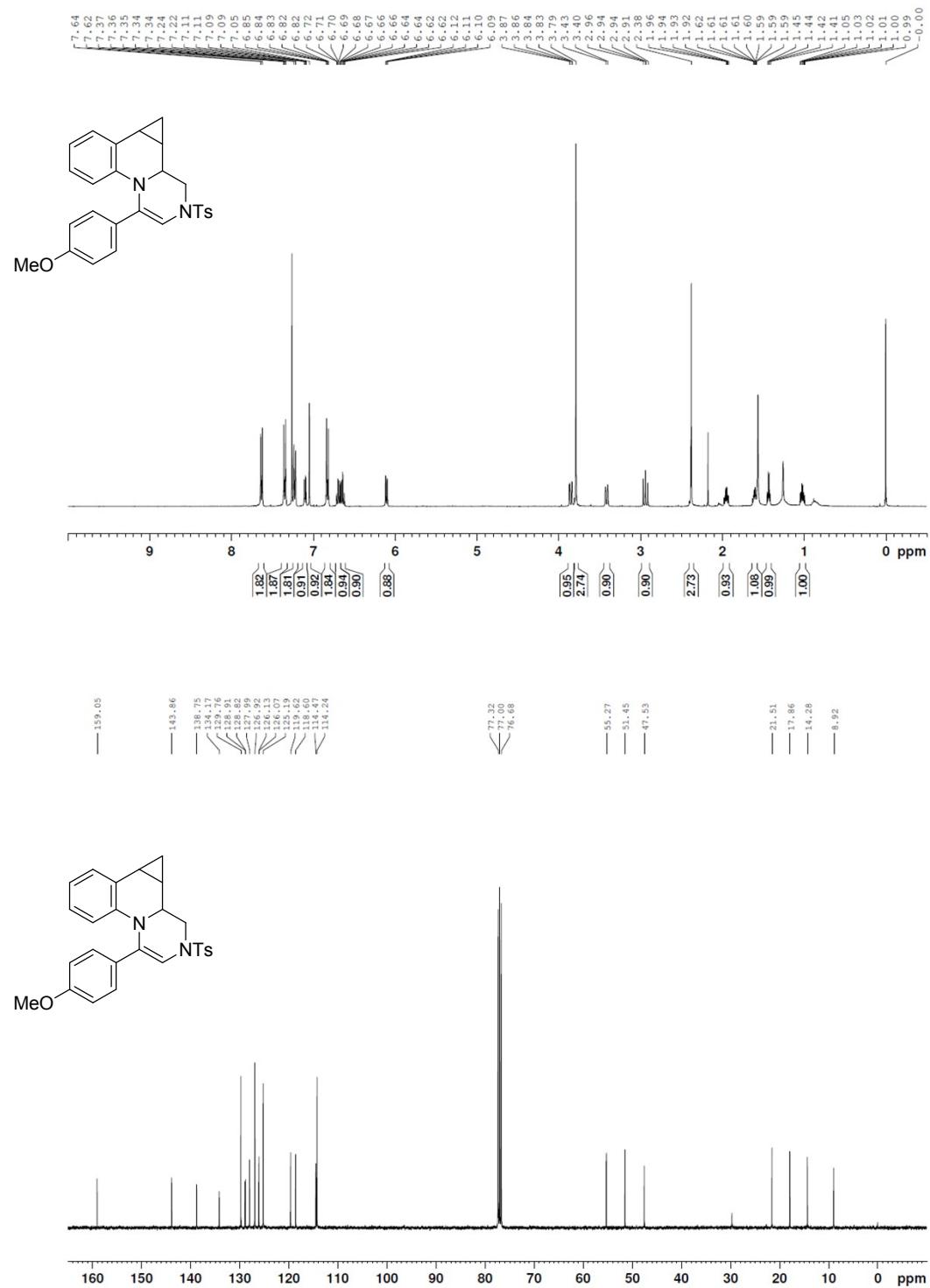


4-(p-tolyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4i):



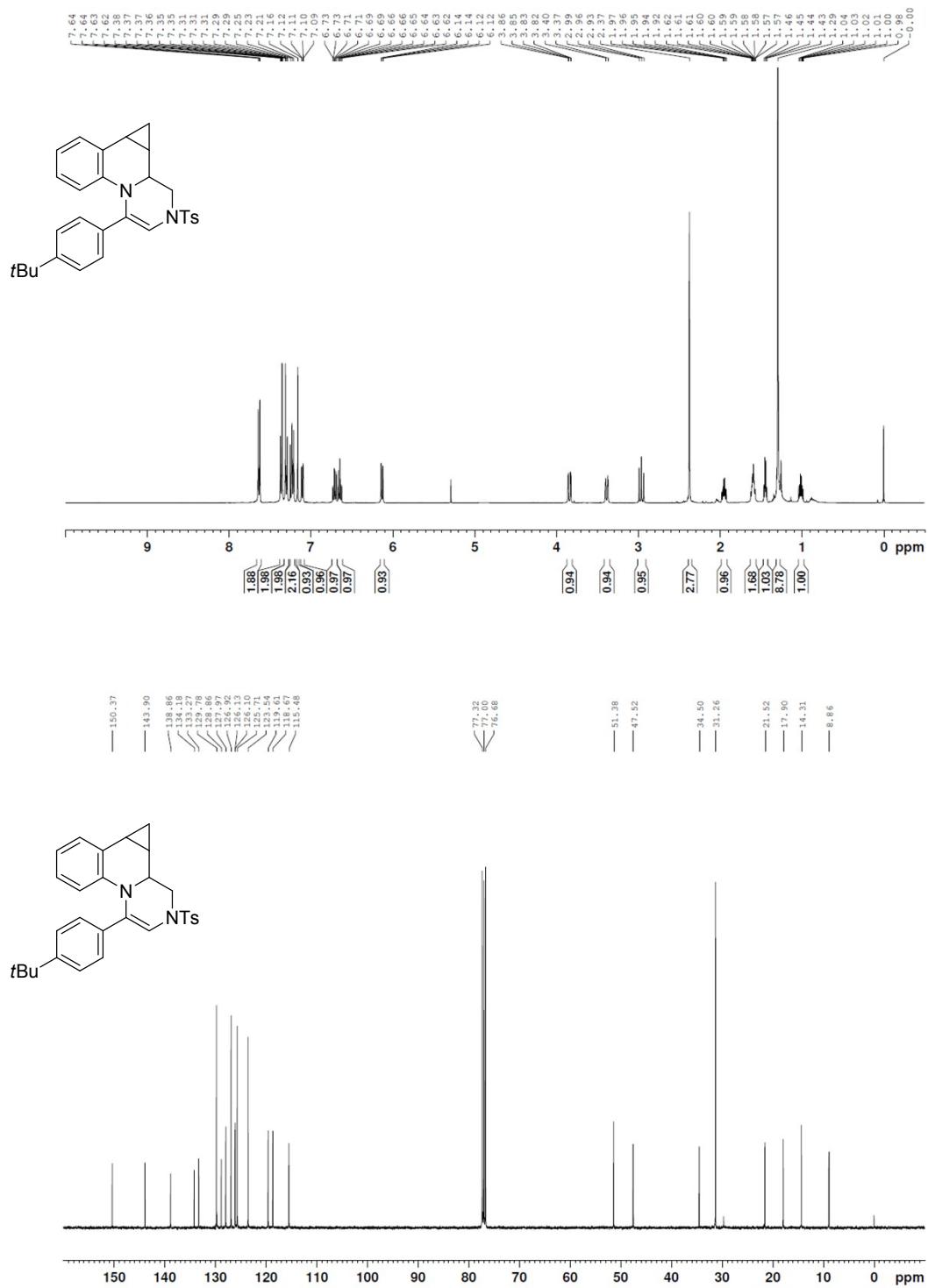
4-(4-methoxyphenyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-

a]quinoline (4j):



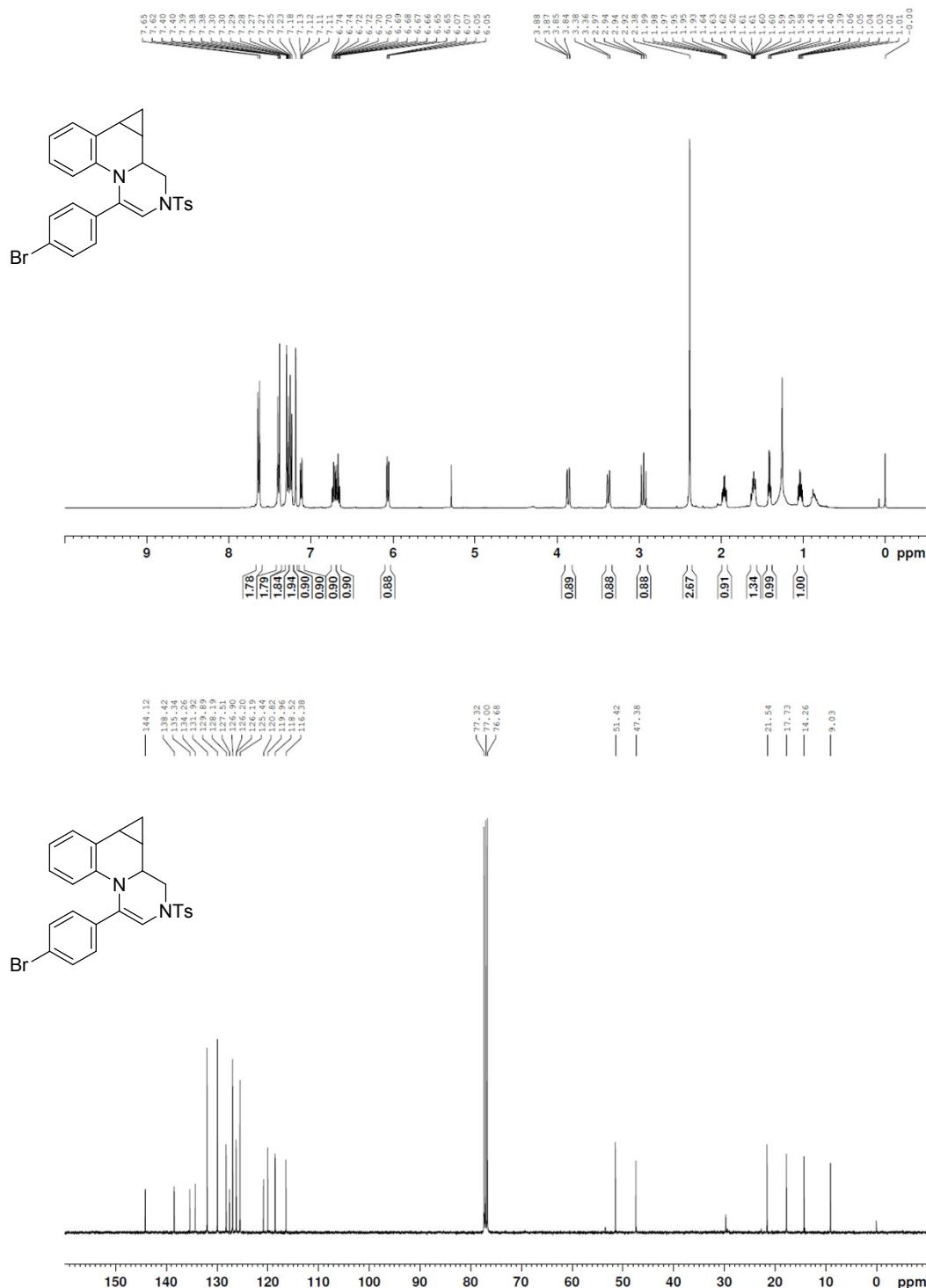
4-(4-(tert-butyl)phenyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-

a]quinoline (4k):



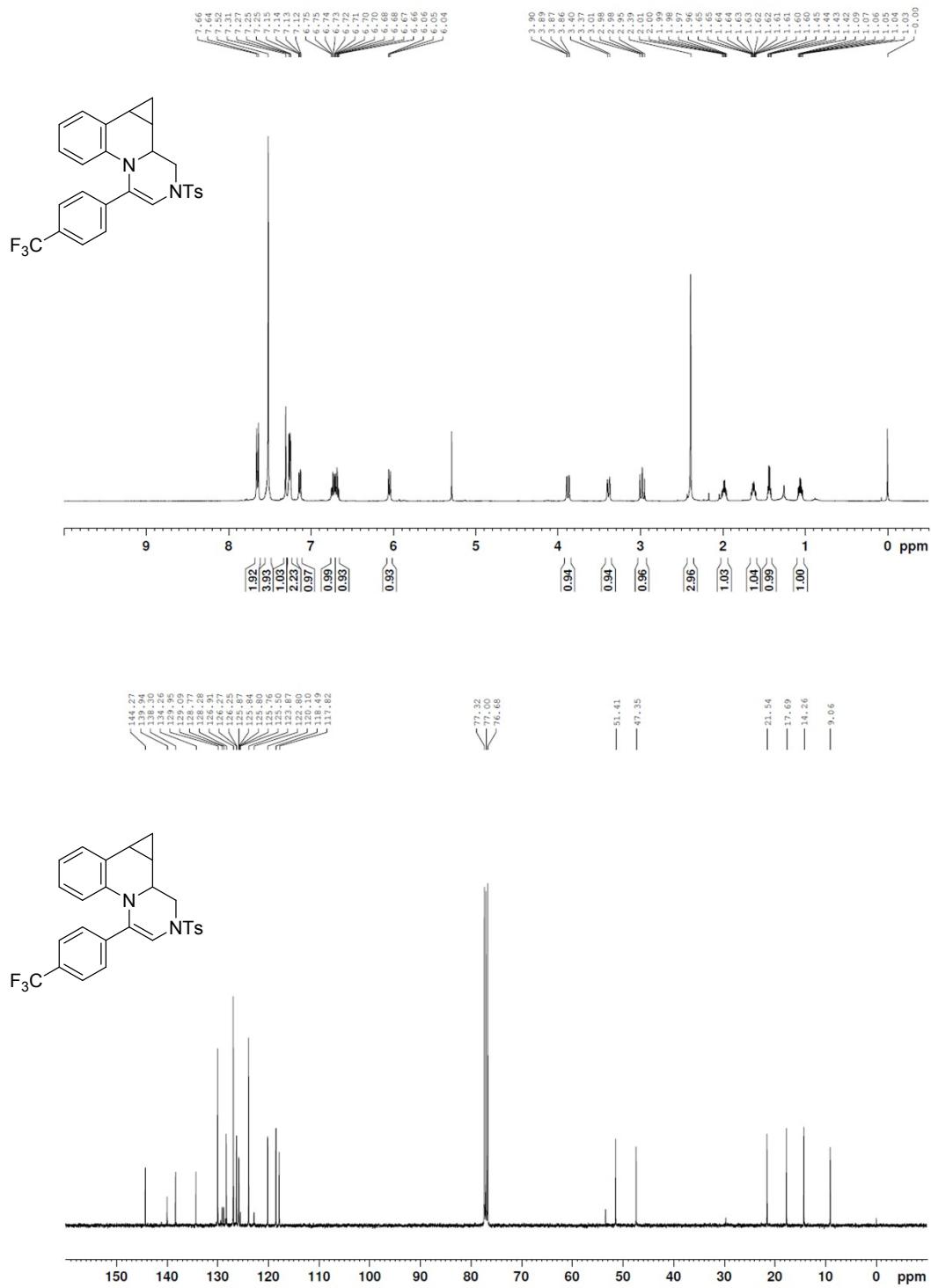
4-(4-bromophenyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinolone

(4l):



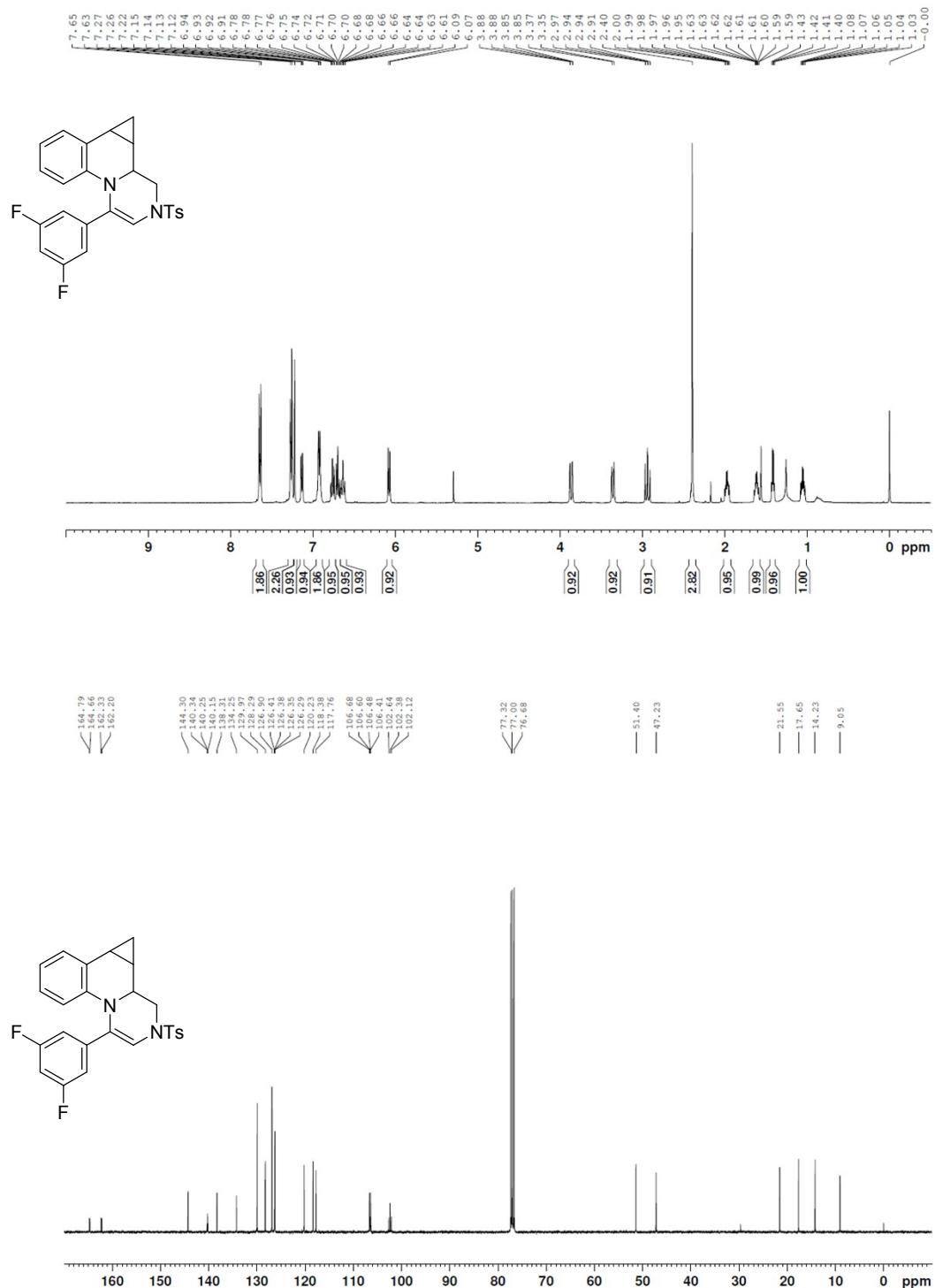
2-tosyl-4-(4-(trifluoromethyl)phenyl)-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-

a]quinoline (4m):



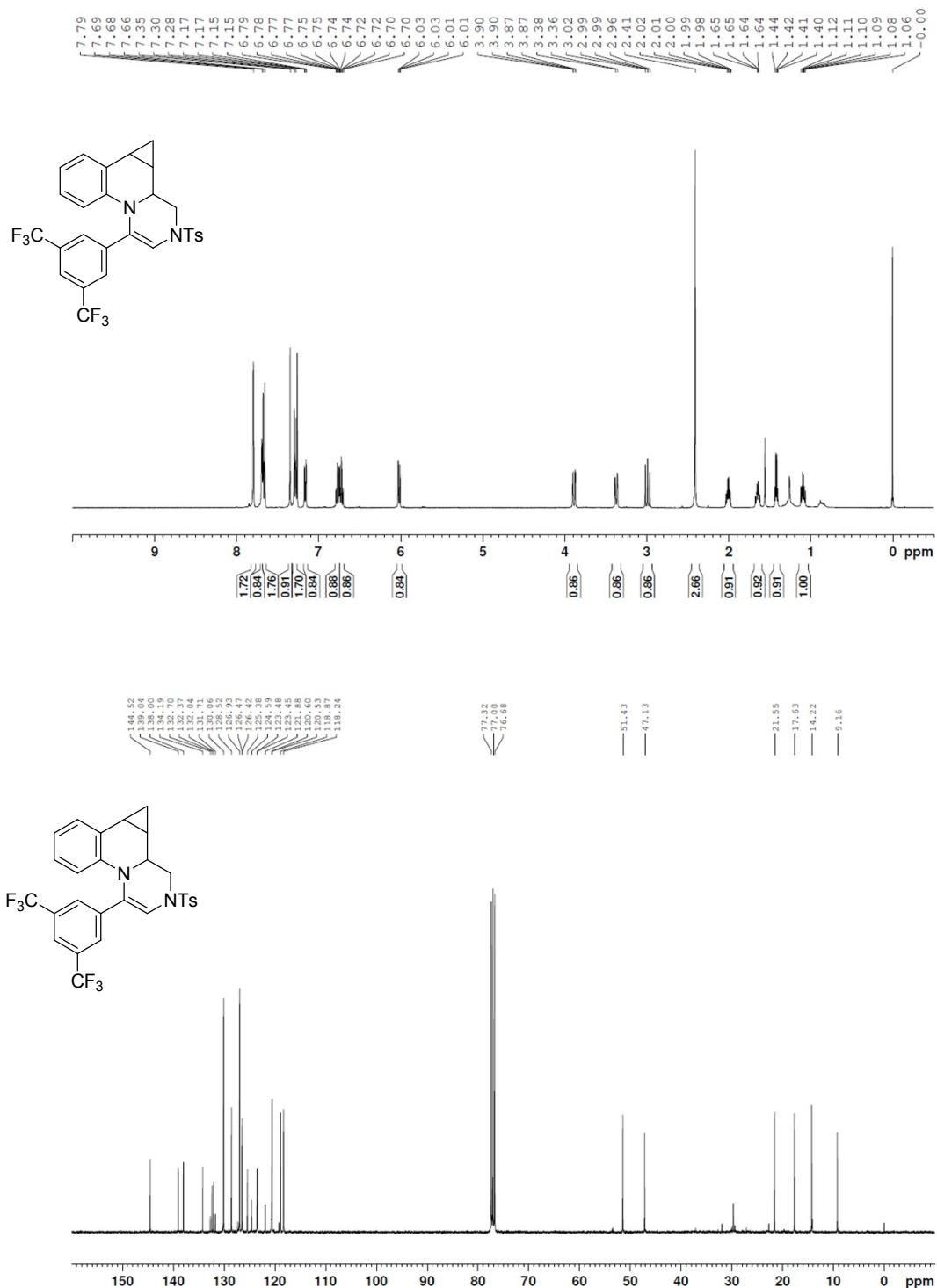
4-(3,5-difluorophenyl)-2-tosyl-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-

a]quinoline (4n):



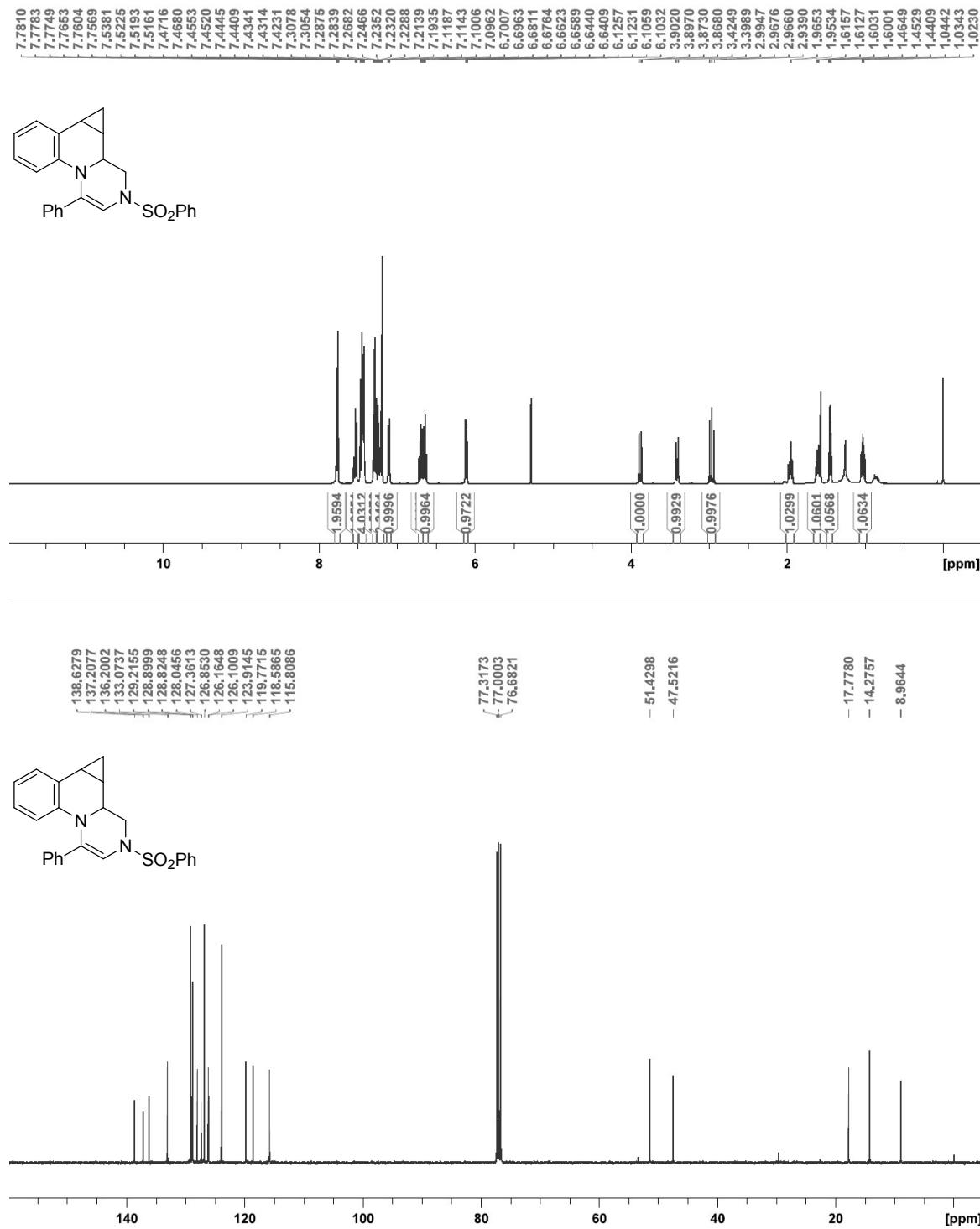
4-(3,5-bis(trifluoromethyl)phenyl)-2-tosyl-1,2,9b,10,10a,10b-

hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4o):

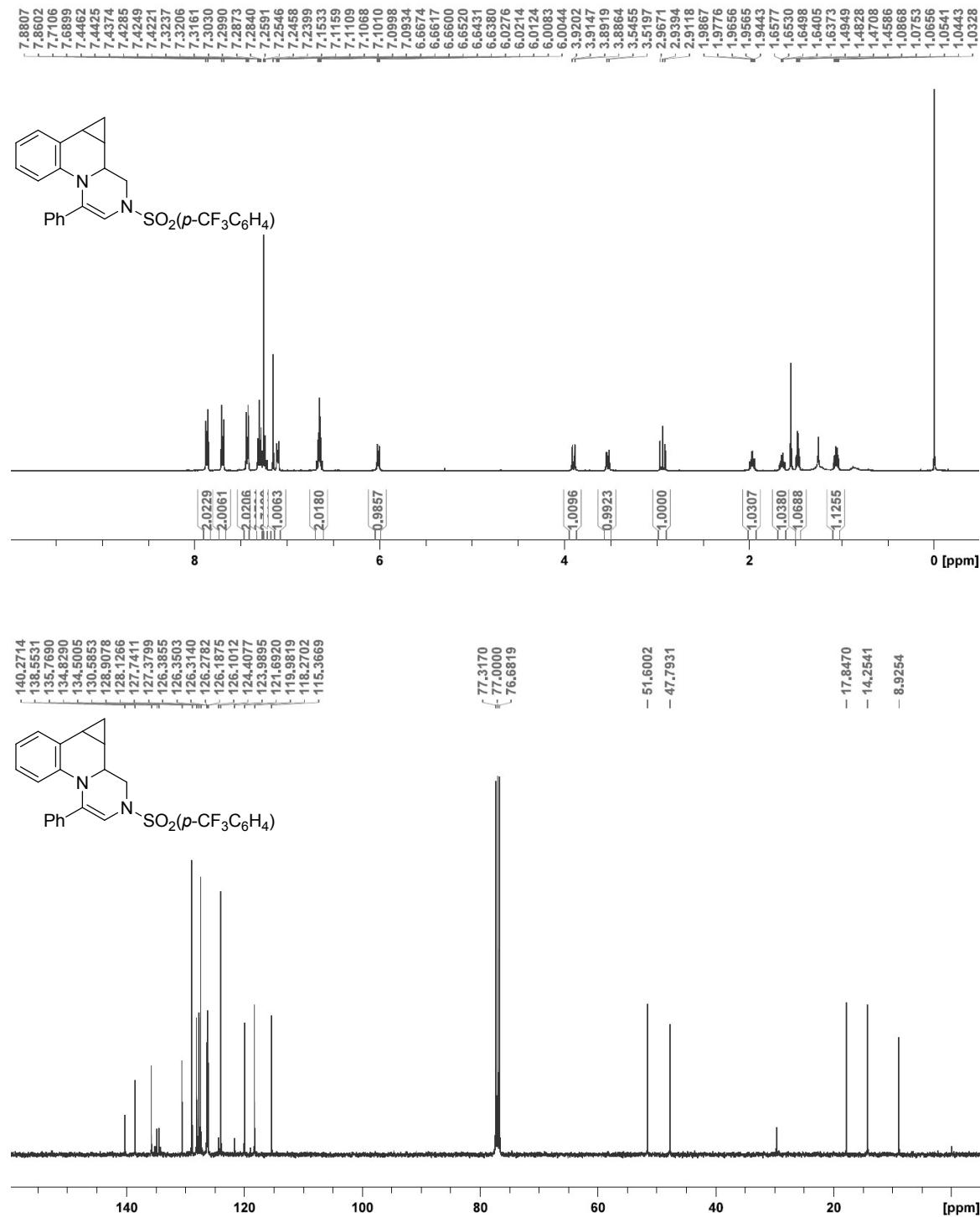


4-phenyl-2-(phenylsulfonyl)-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-

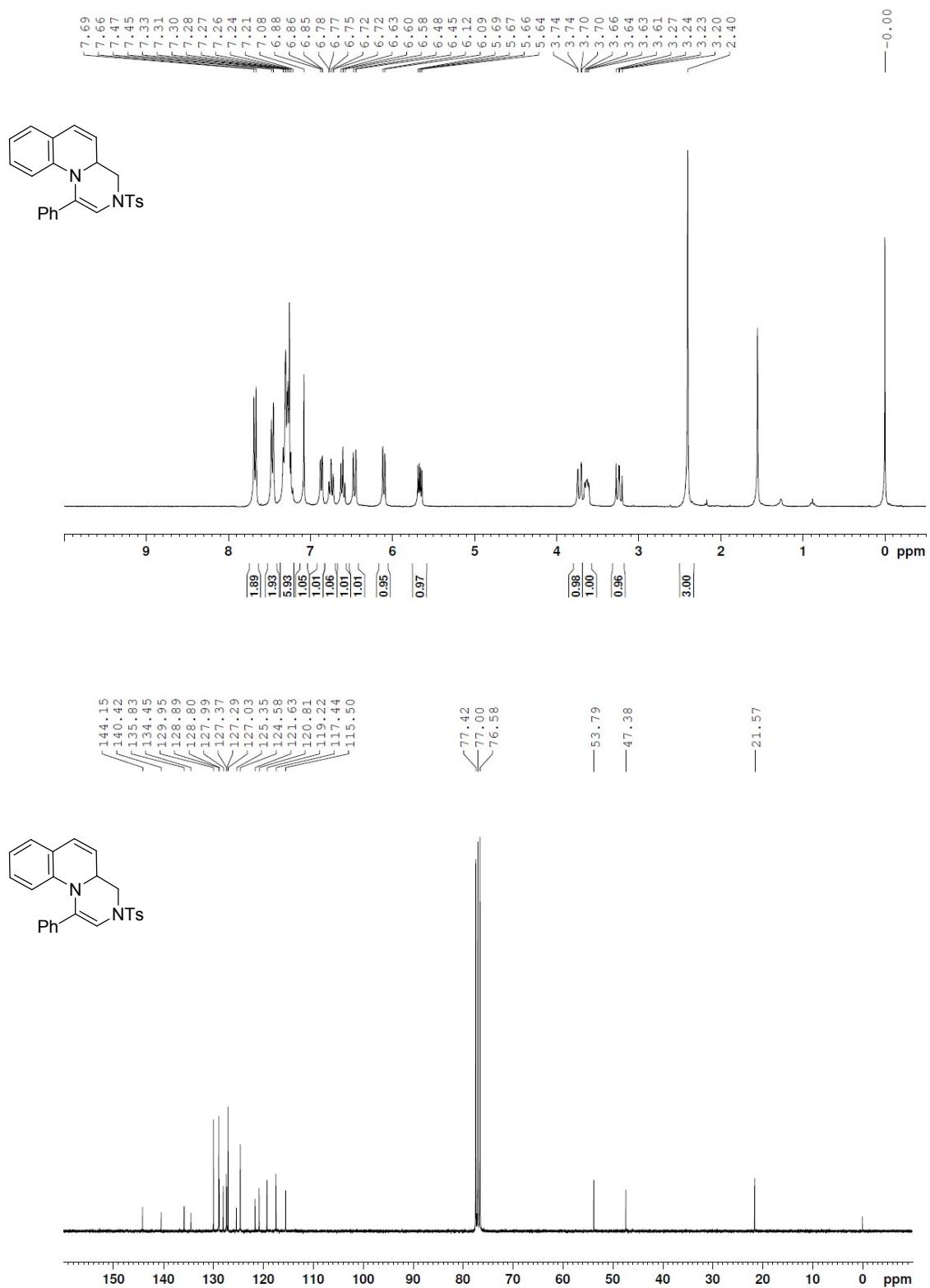
a]quino^{lone} (4p):



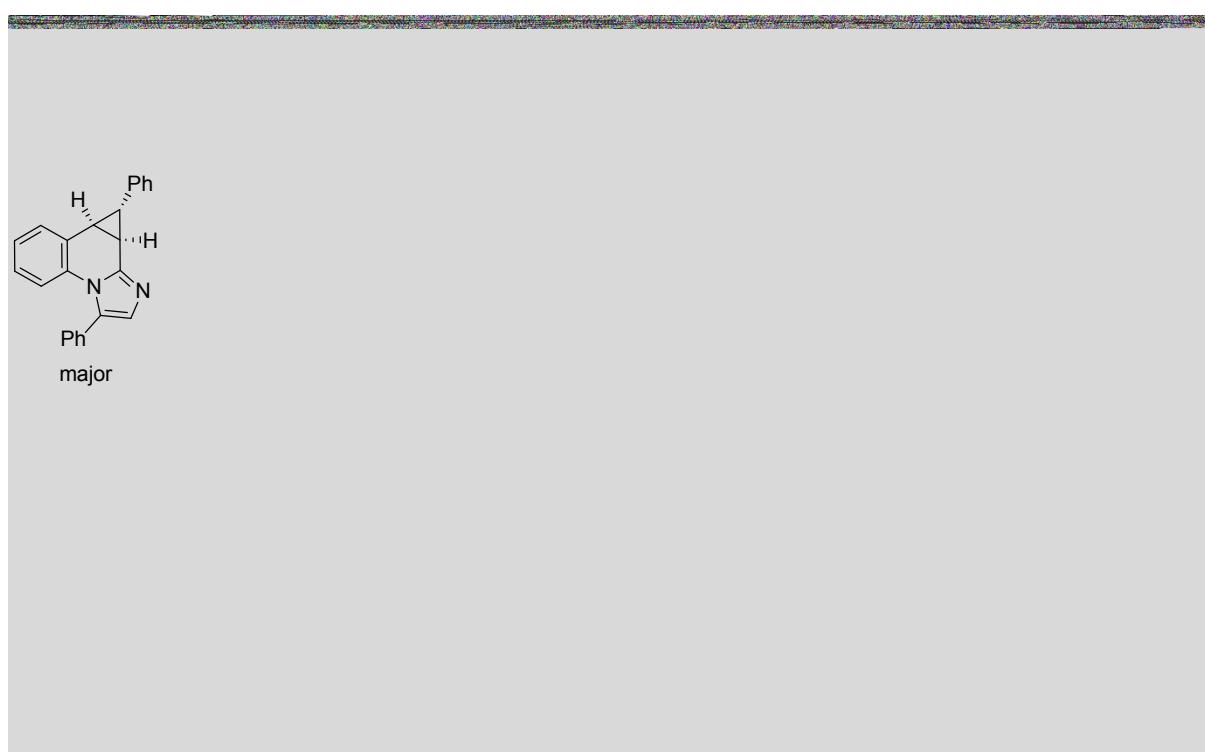
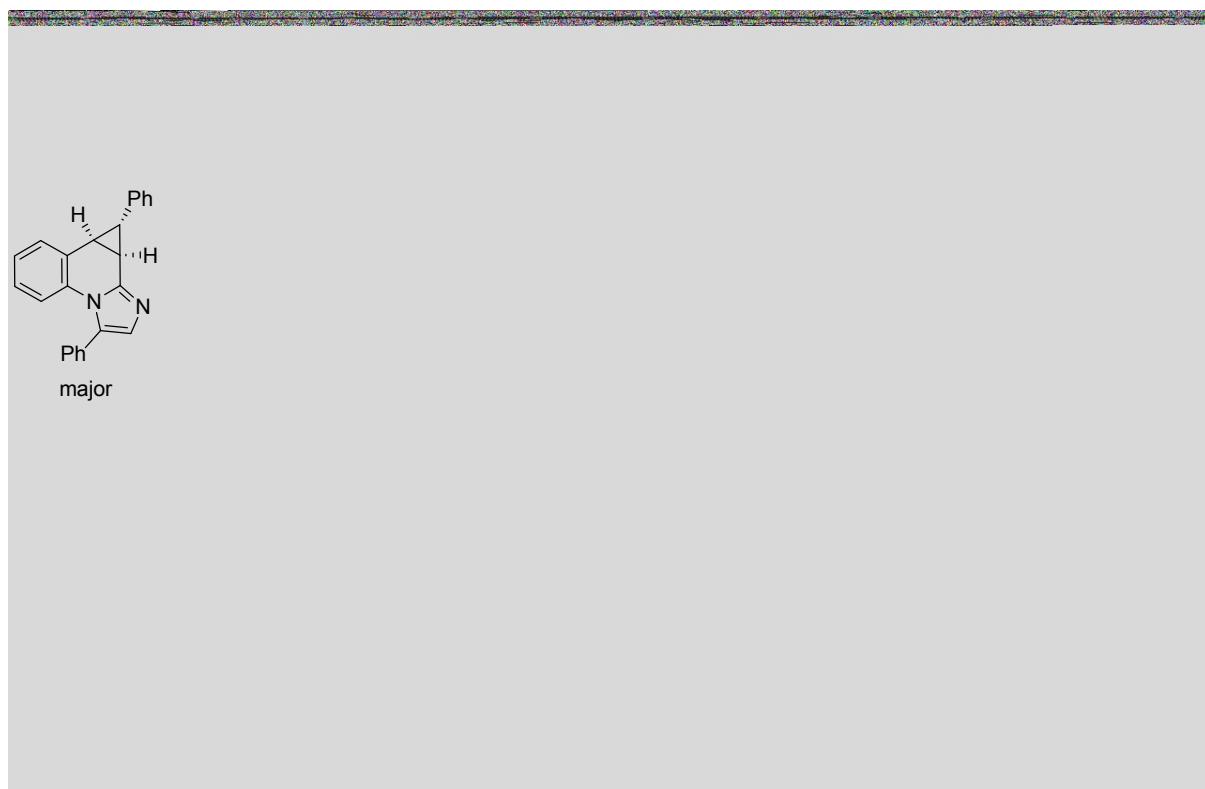
4-phenyl-2-((4-(trifluoromethyl)phenyl)sulfonyl)-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (4q):

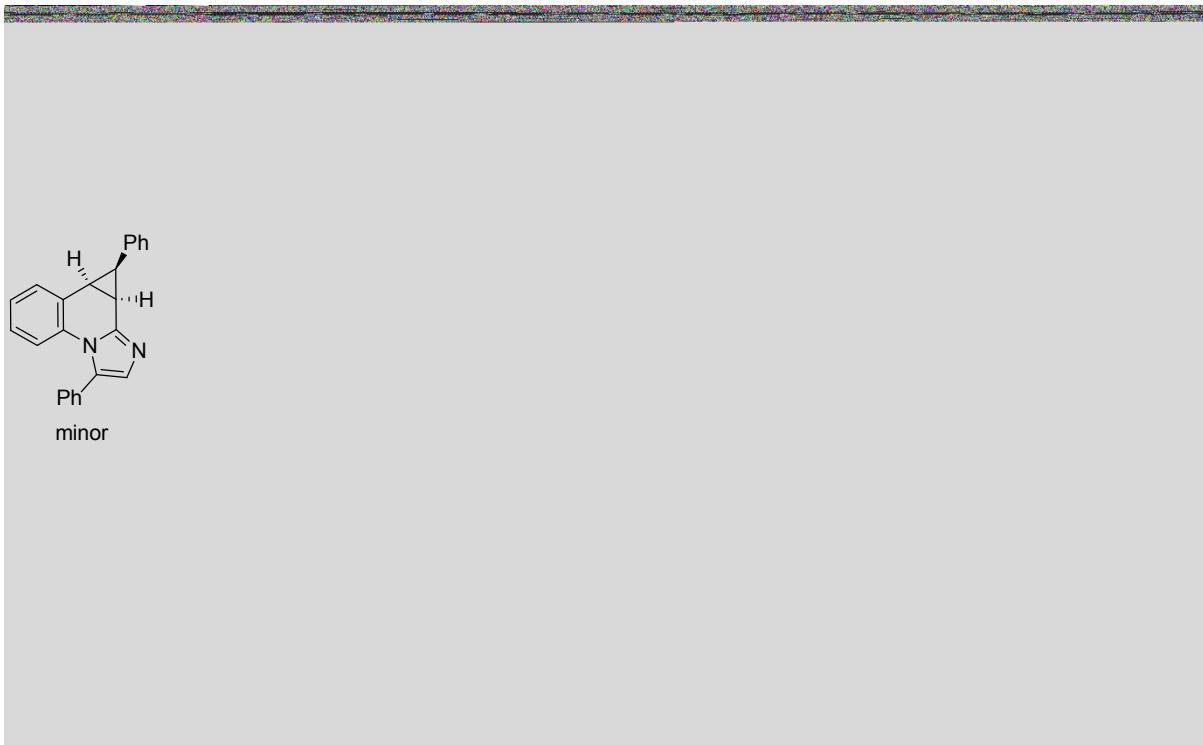


1-phenyl-3-tosyl-4,4a-dihydro-3H-pyrazino[1,2-a]quinoline (5):

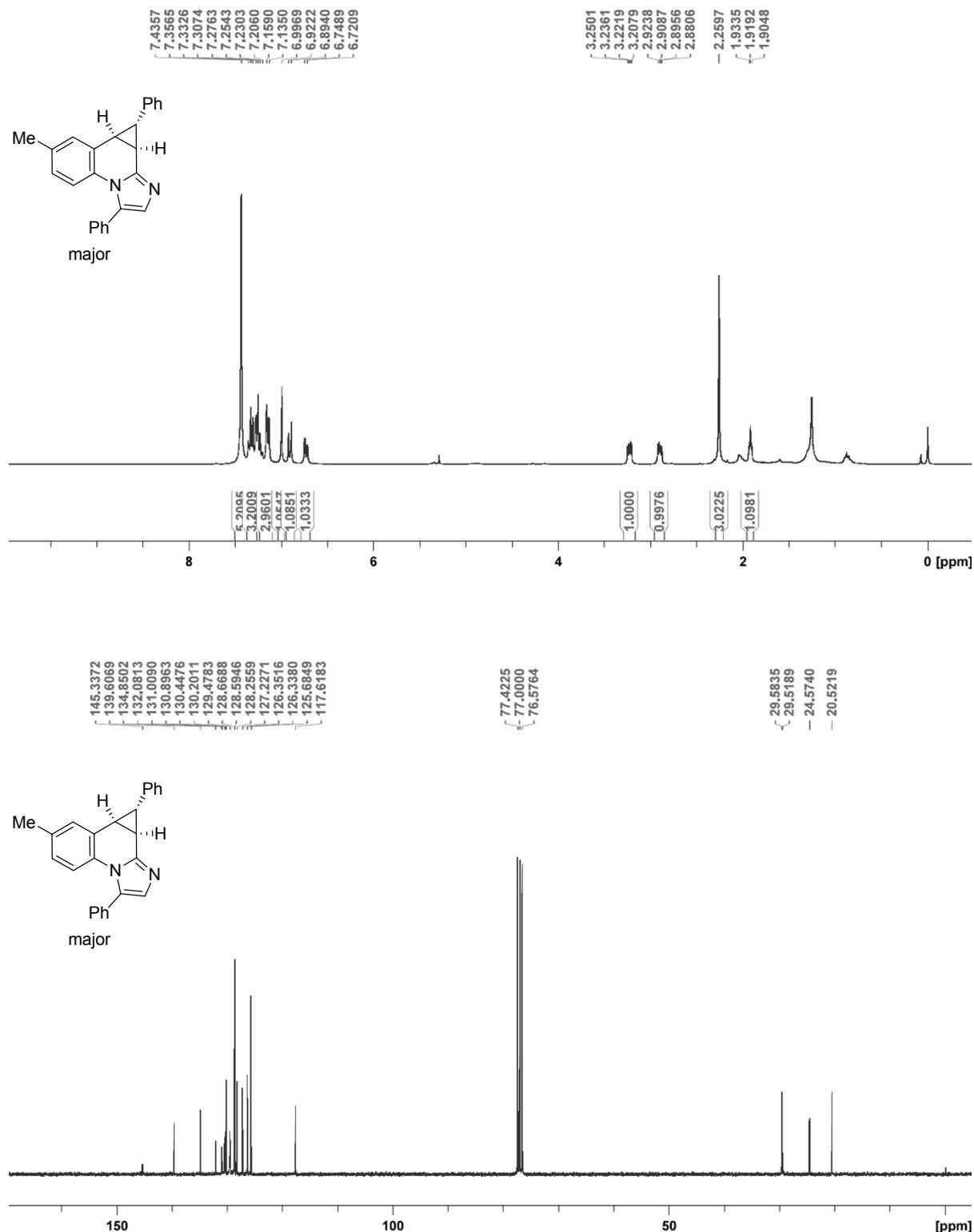


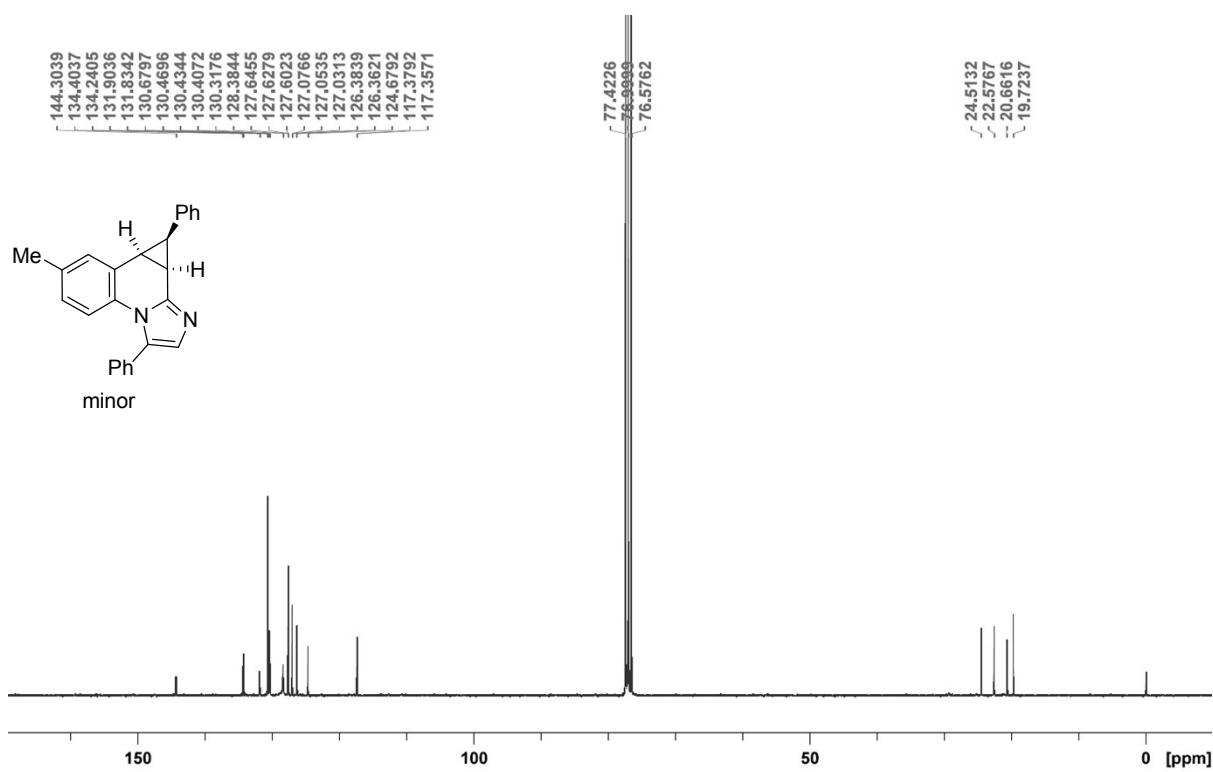
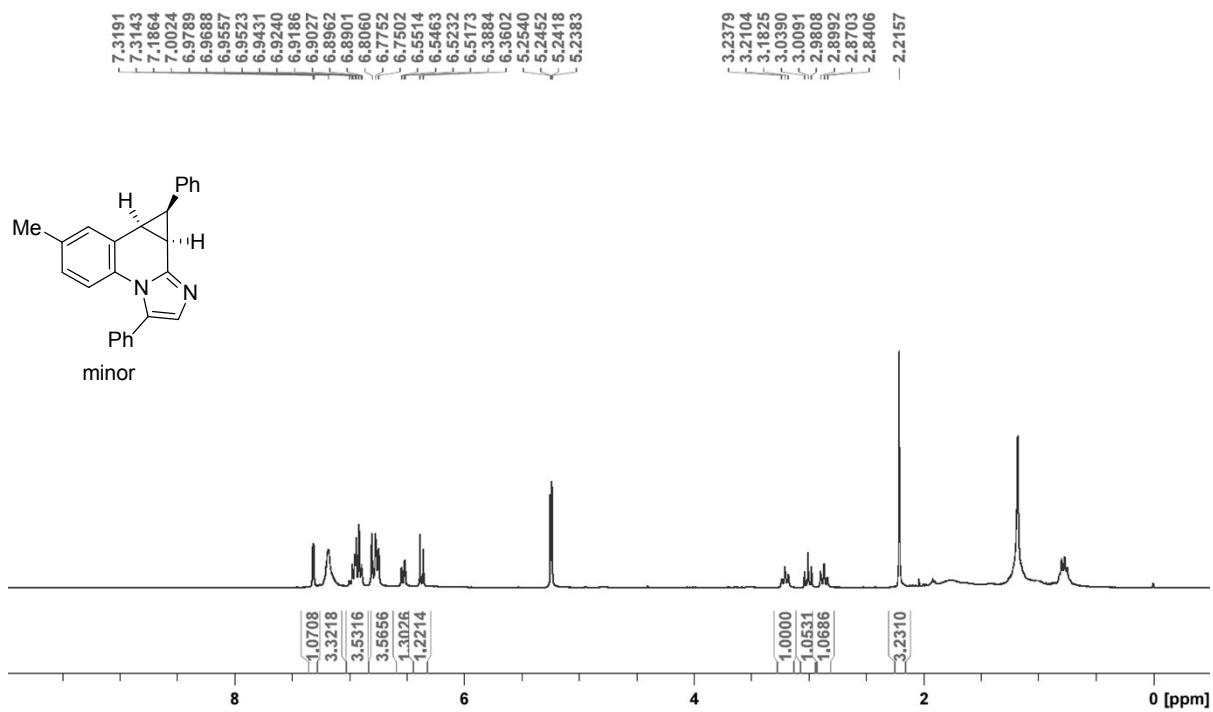
(8bS,9S,9aR)-3,9-diphenyl-9,9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7a):



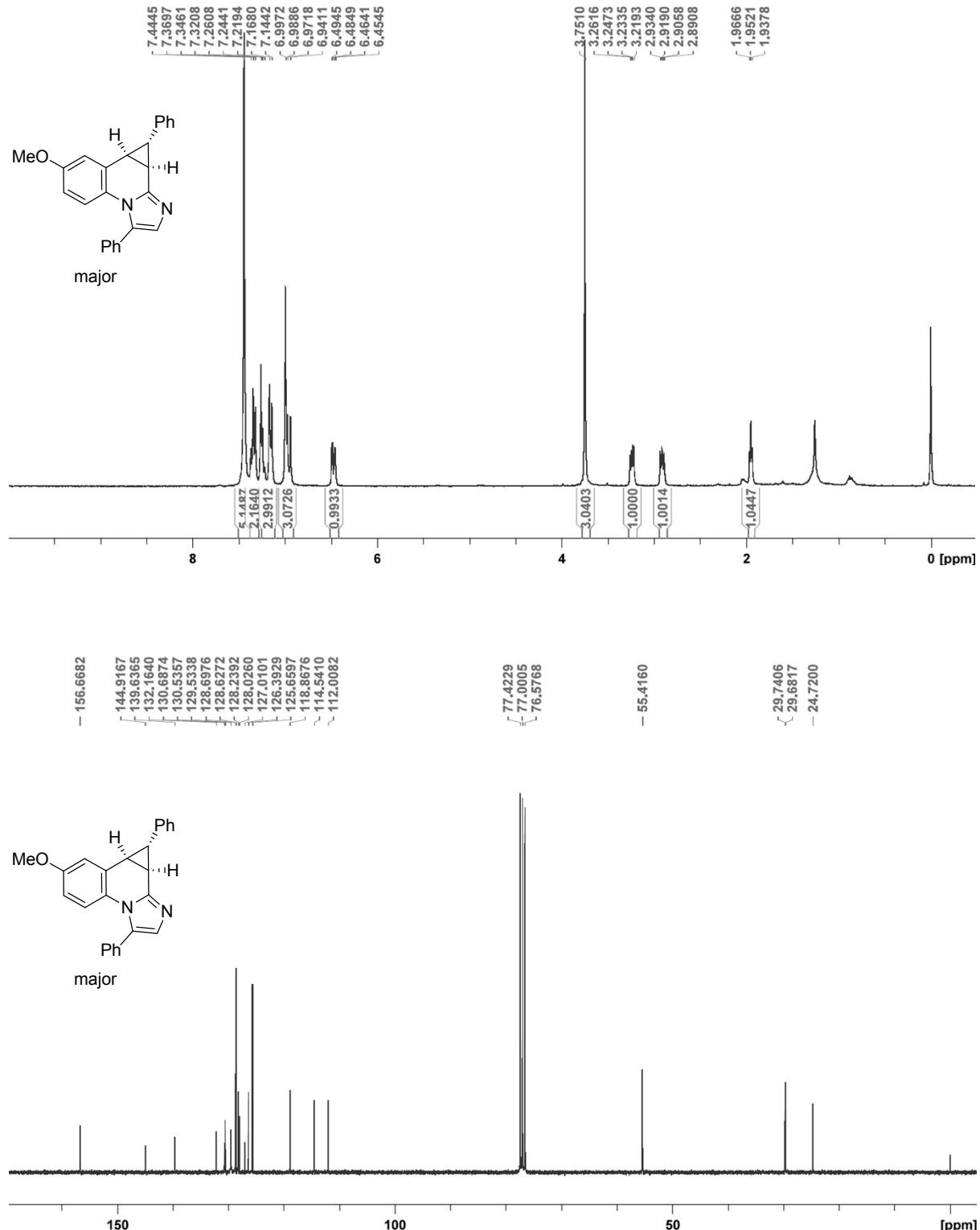


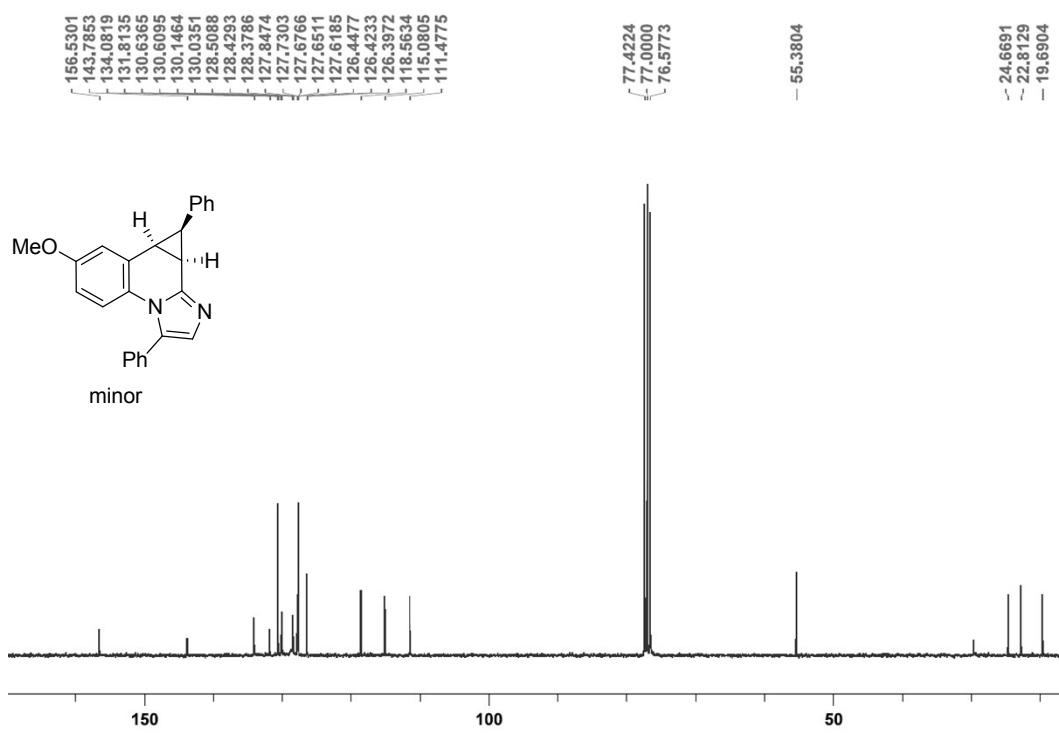
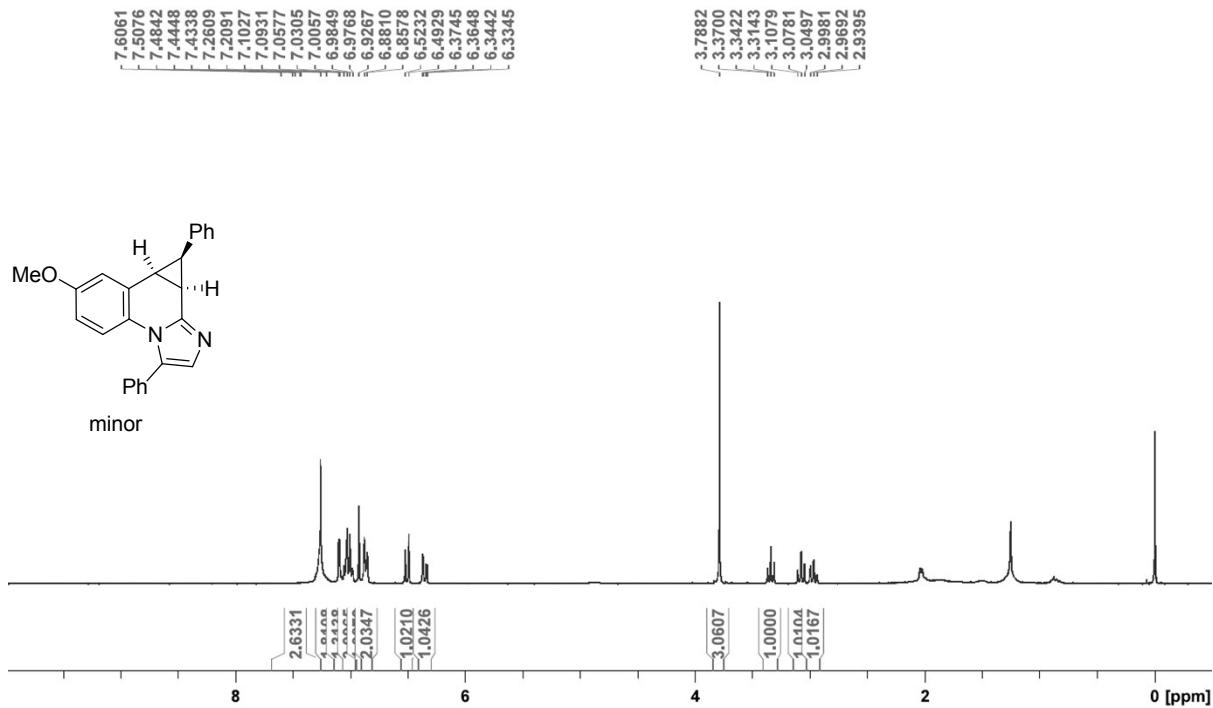
(8bS,9S,9aR)-7-methyl-3,9-diphenyl-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinolone (7b):



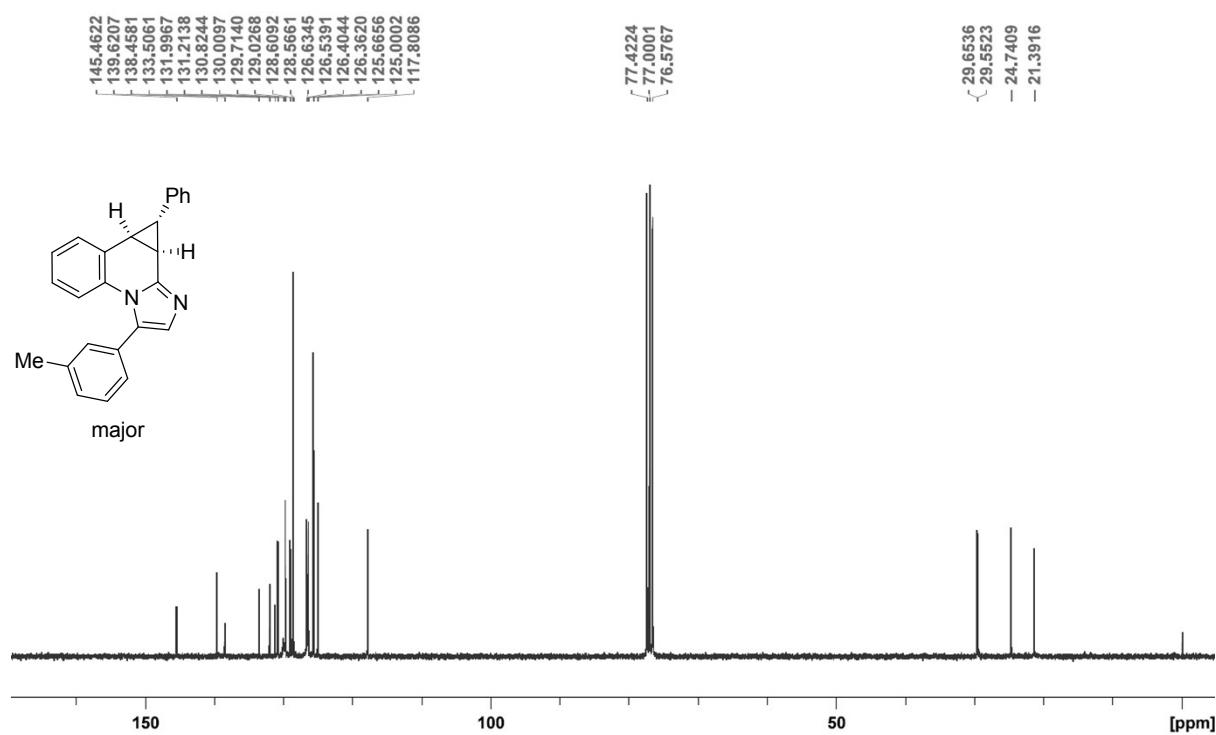
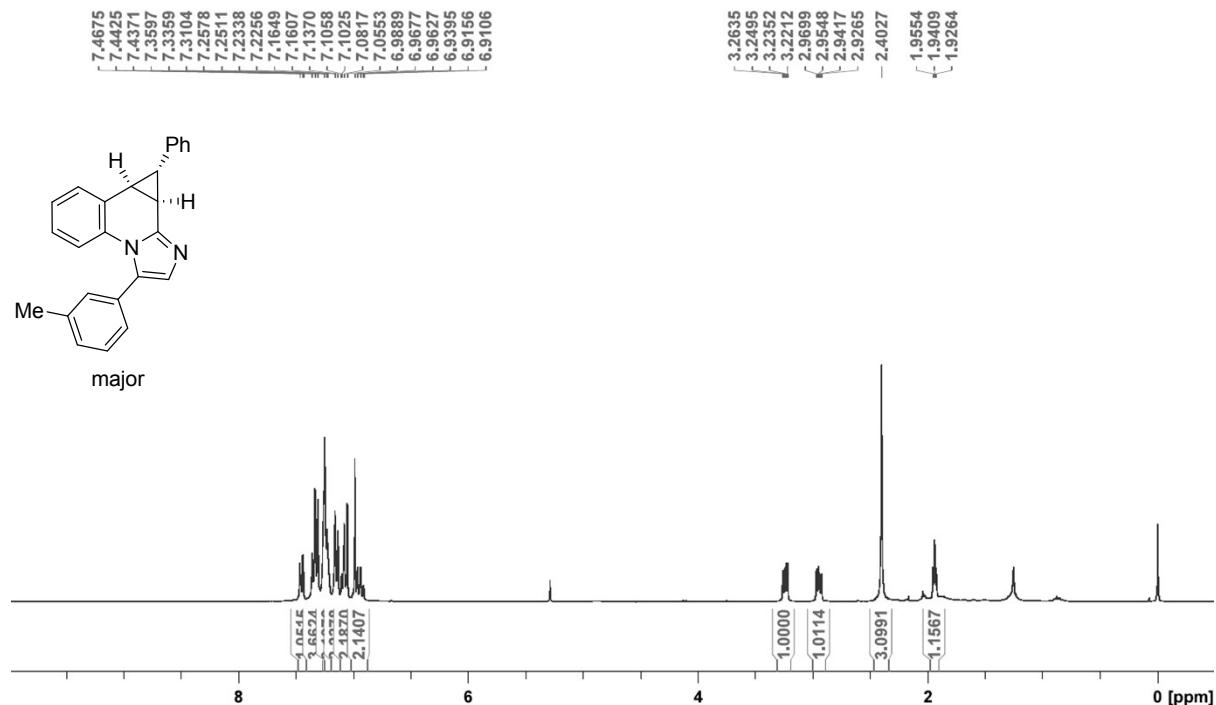


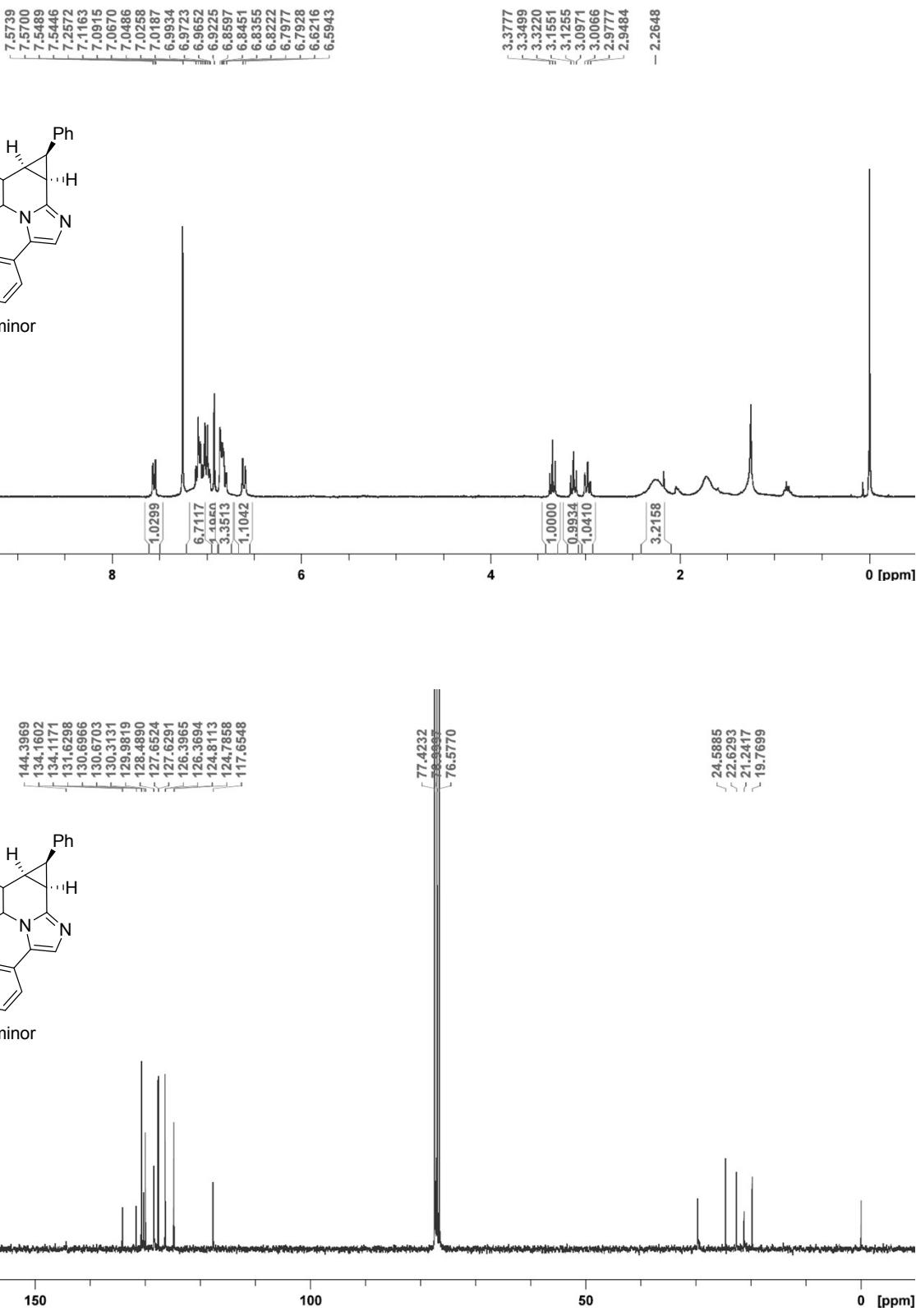
(8bS,9S,9aR)-7-methoxy-3,9-diphenyl-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7c):



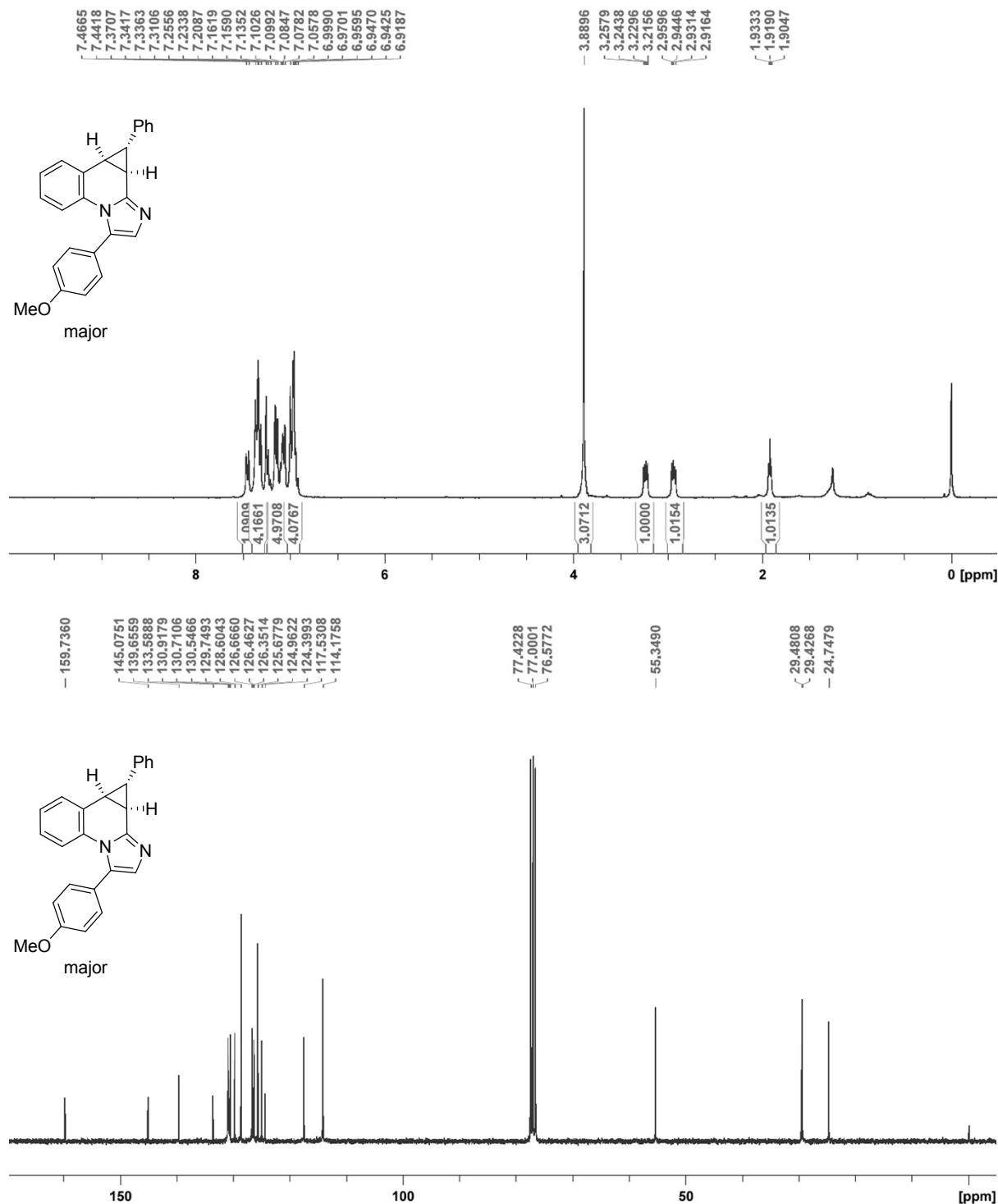


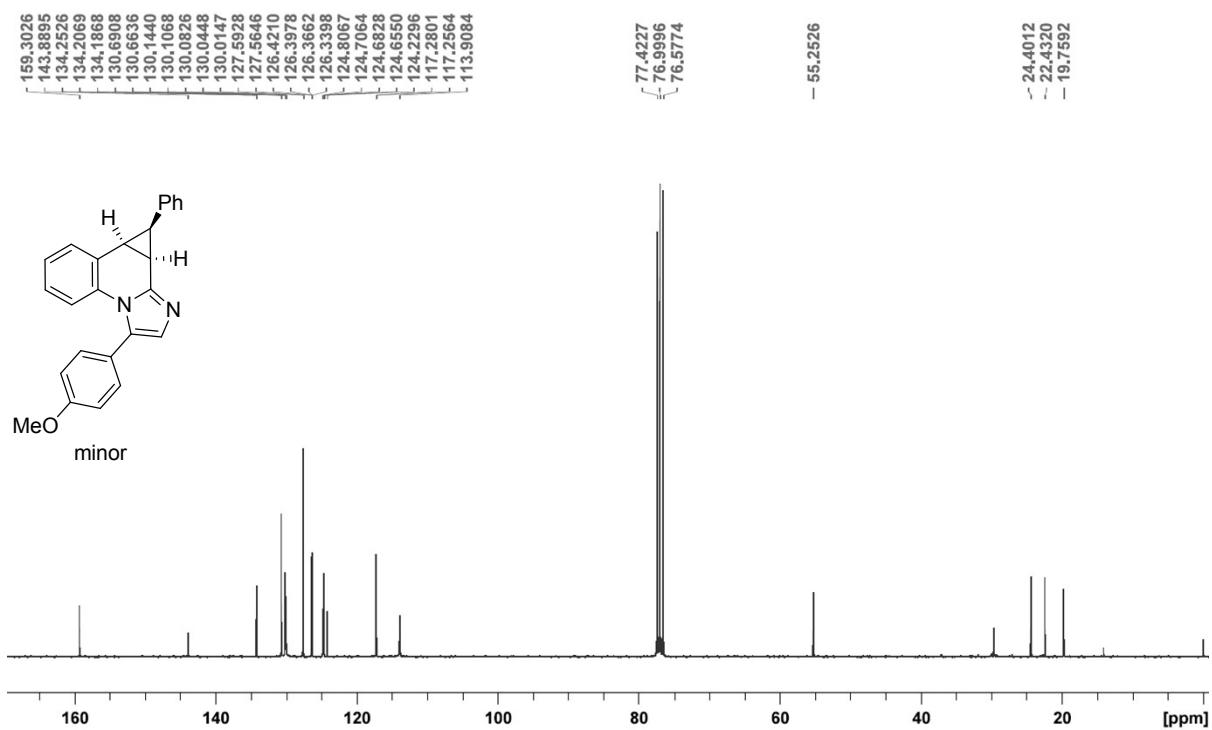
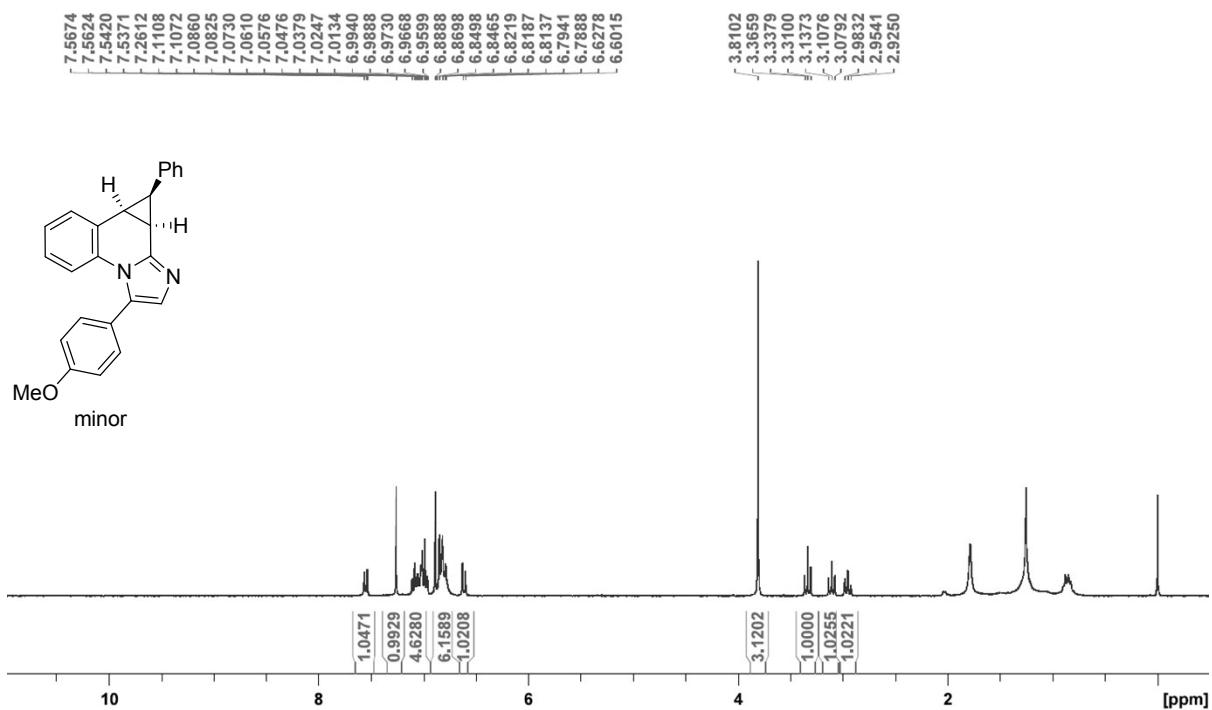
(8bS,9S,9aR)-9-phenyl-3-(m-tolyl)-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7d):



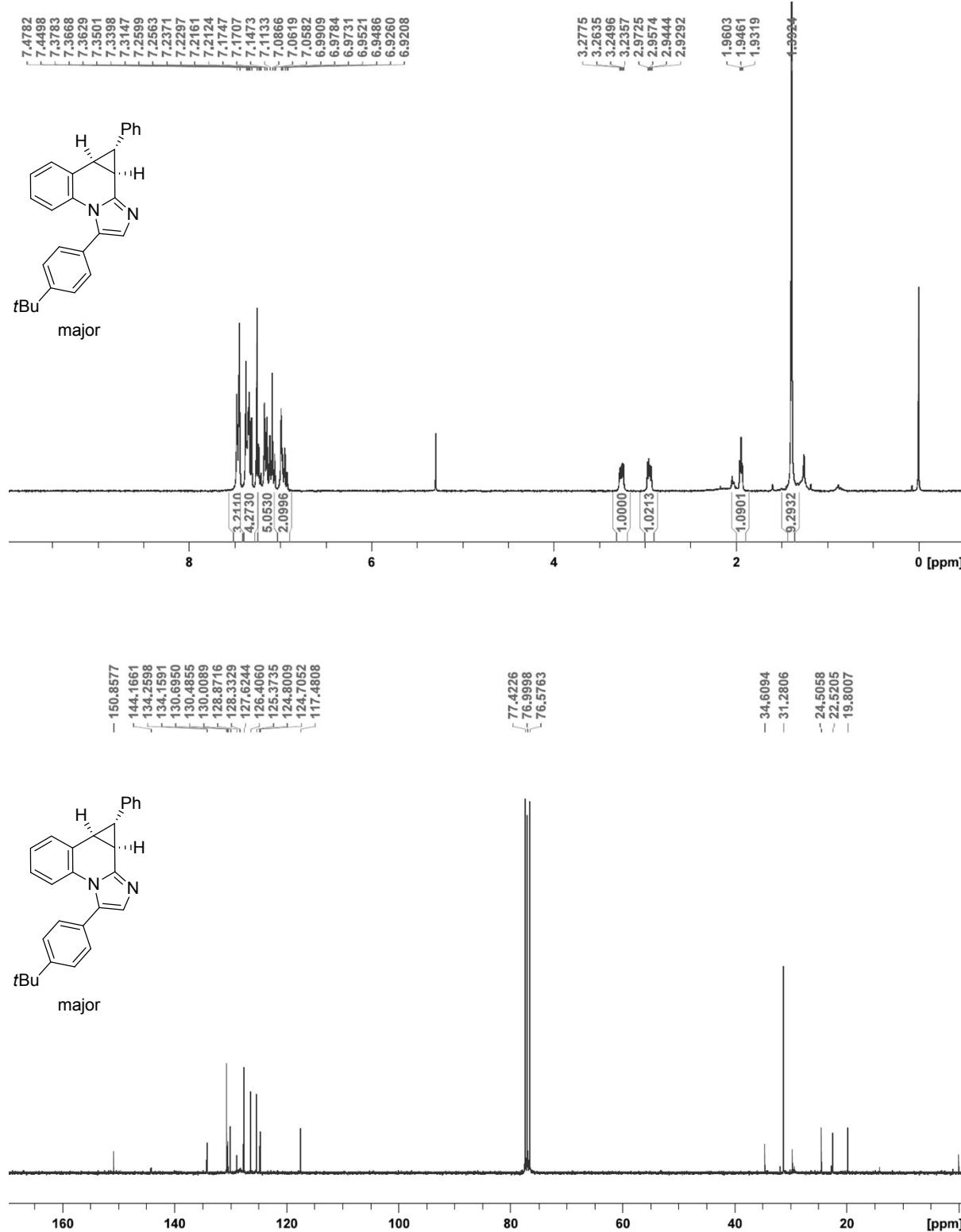


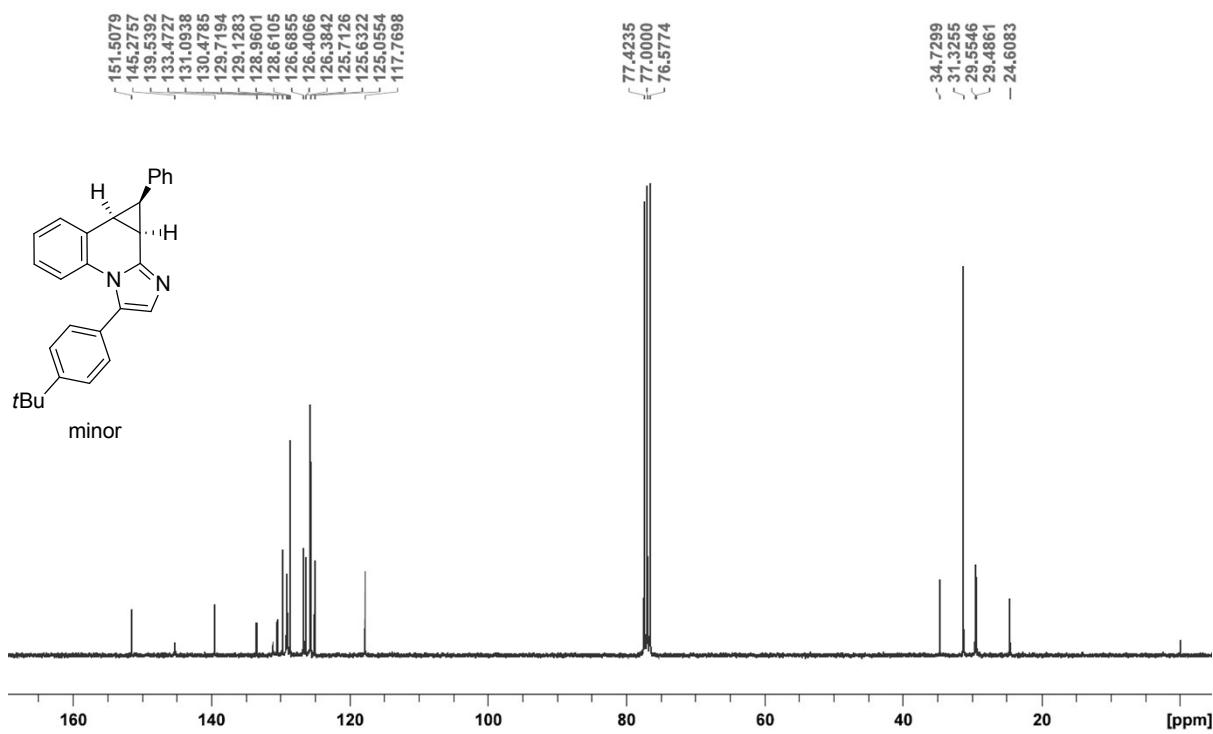
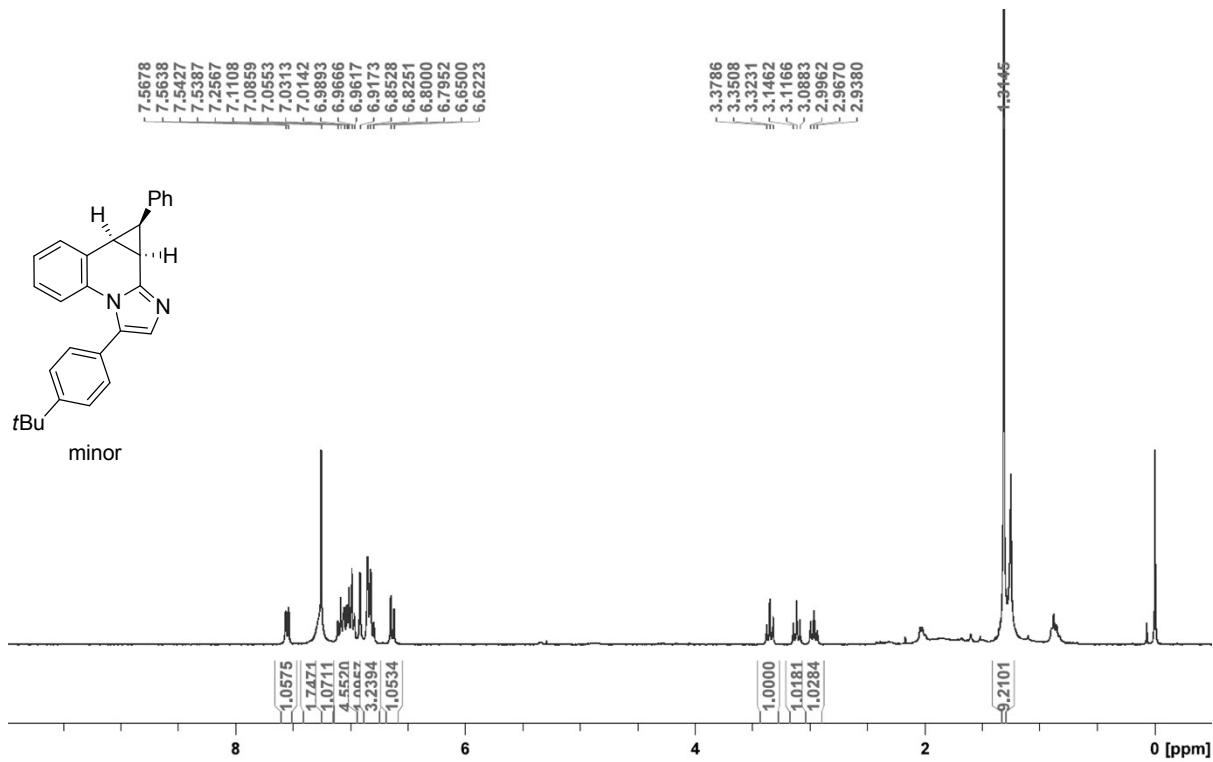
(8bS,9S,9aR)-3-(4-methoxyphenyl)-9-phenyl-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7e):



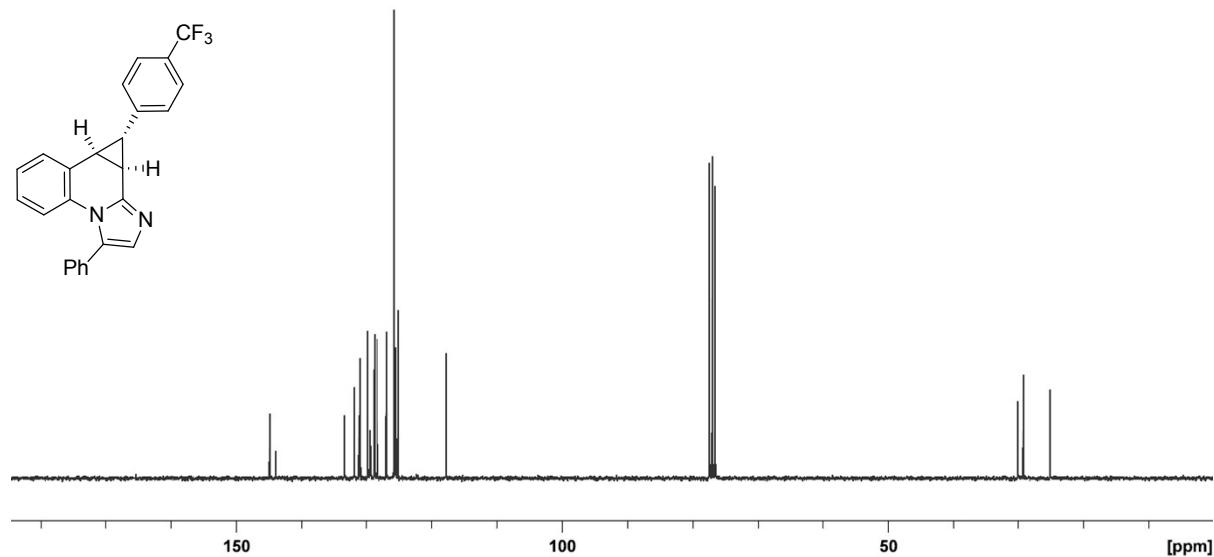
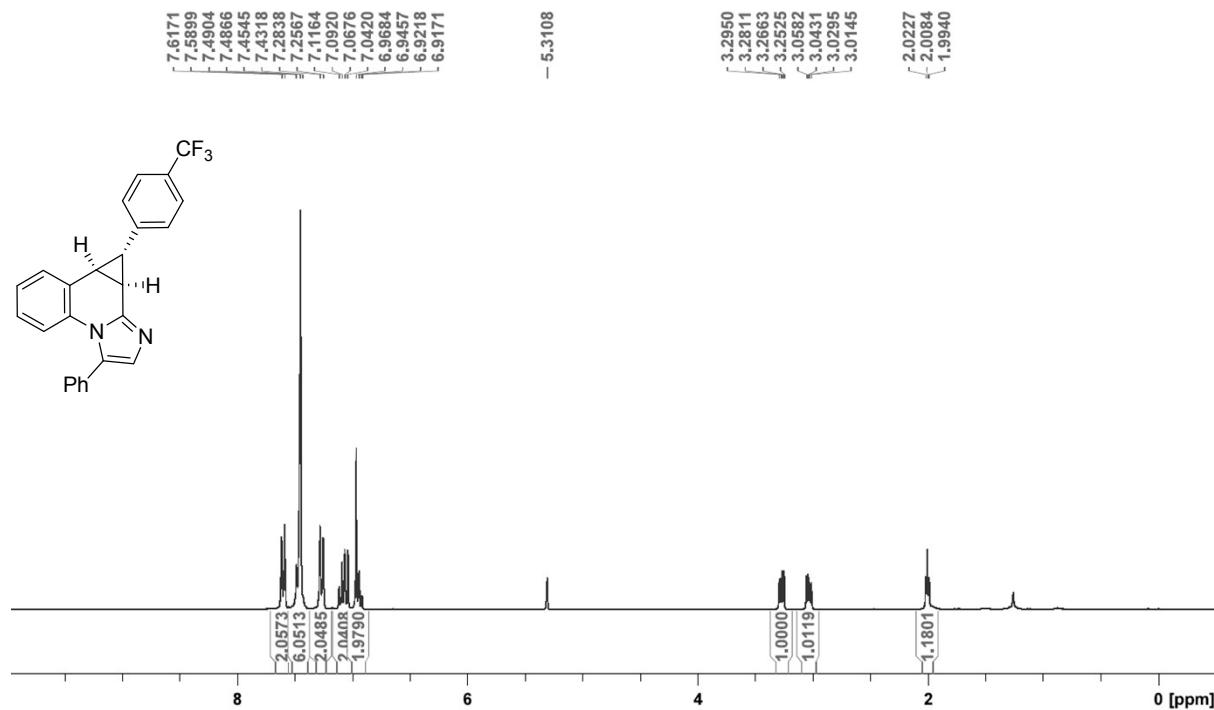


(8bS,9S,9aR)-3-(4-(tert-butyl)phenyl)-9-phenyl-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7f):

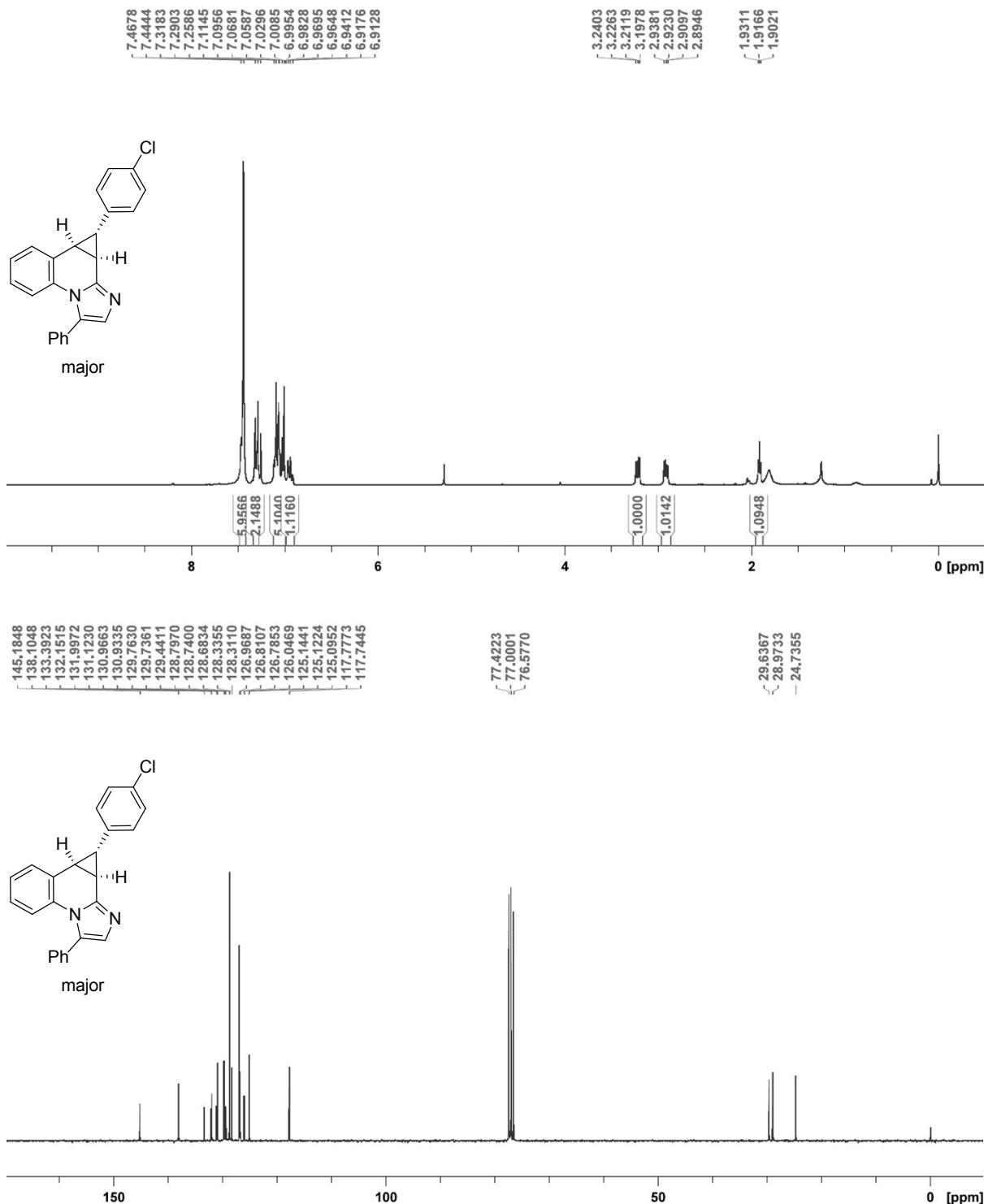


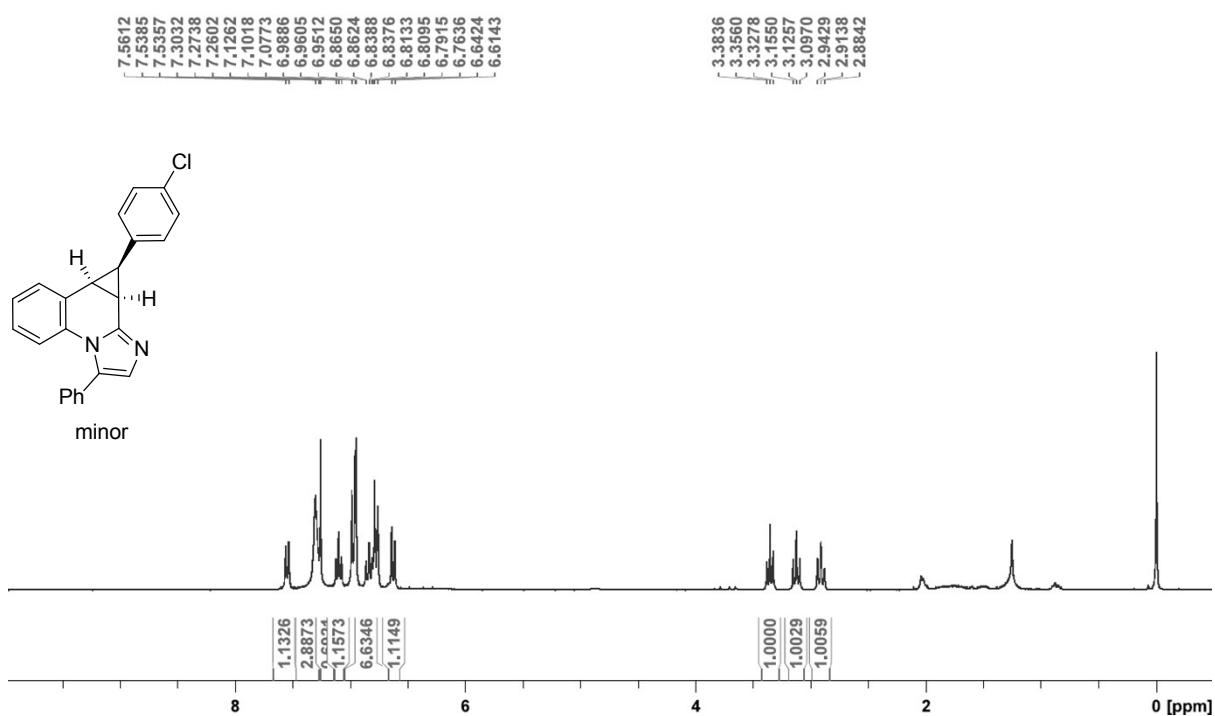


(8bS,9S,9aR)-3-phenyl-9-(4-(trifluoromethyl)phenyl)-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7g):

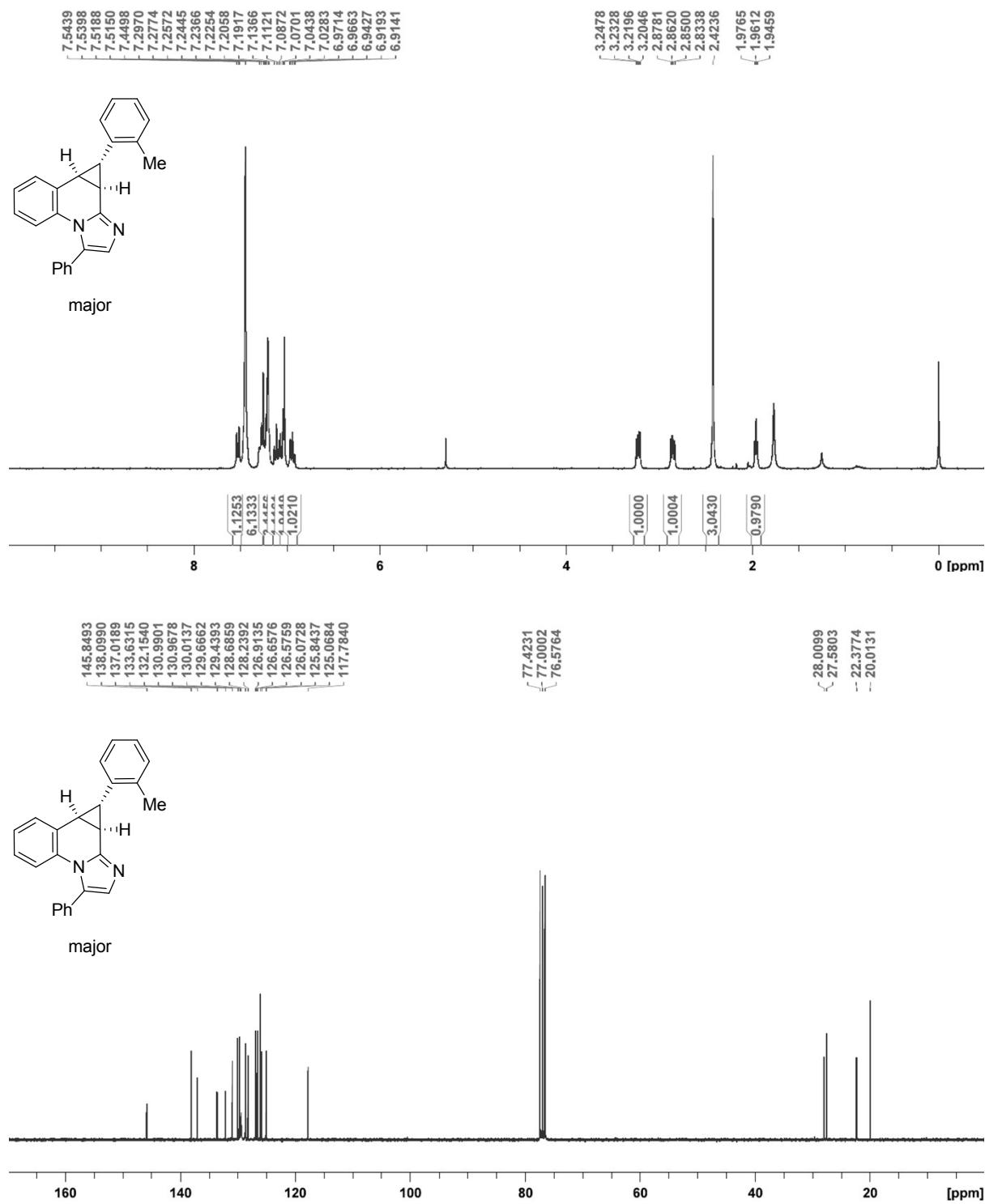


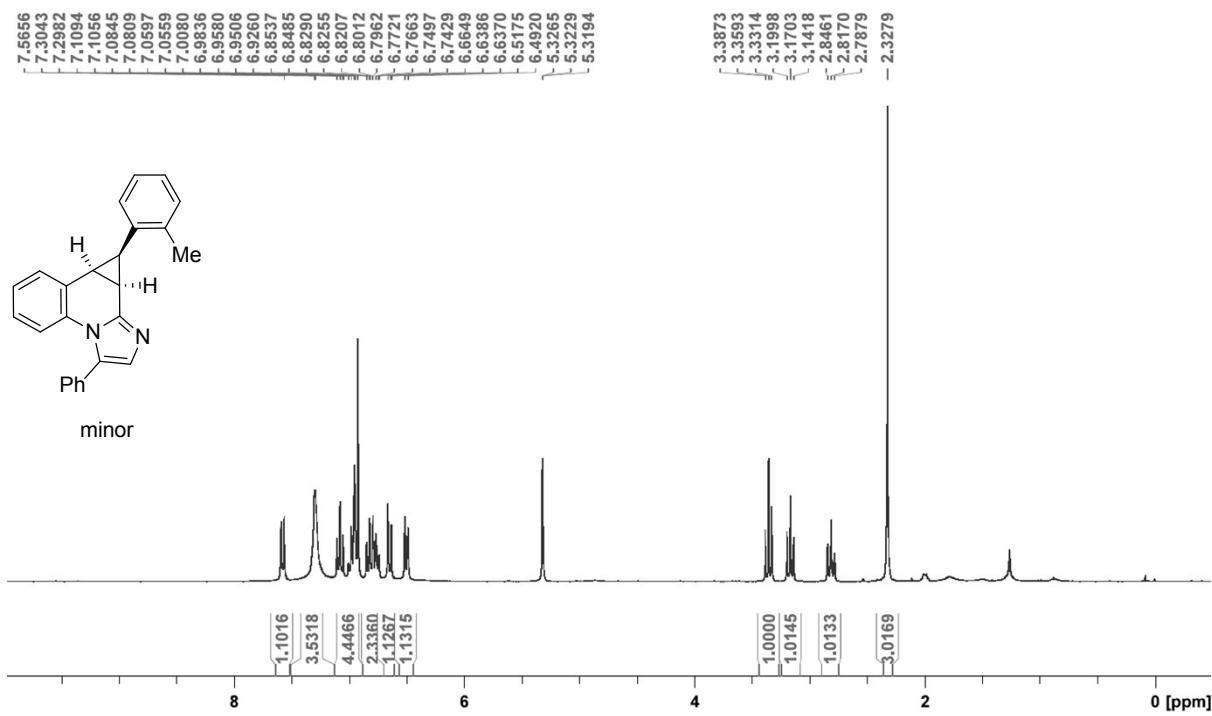
(8bS,9S,9aR)-9-(4-chlorophenyl)-3-phenyl-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7h):



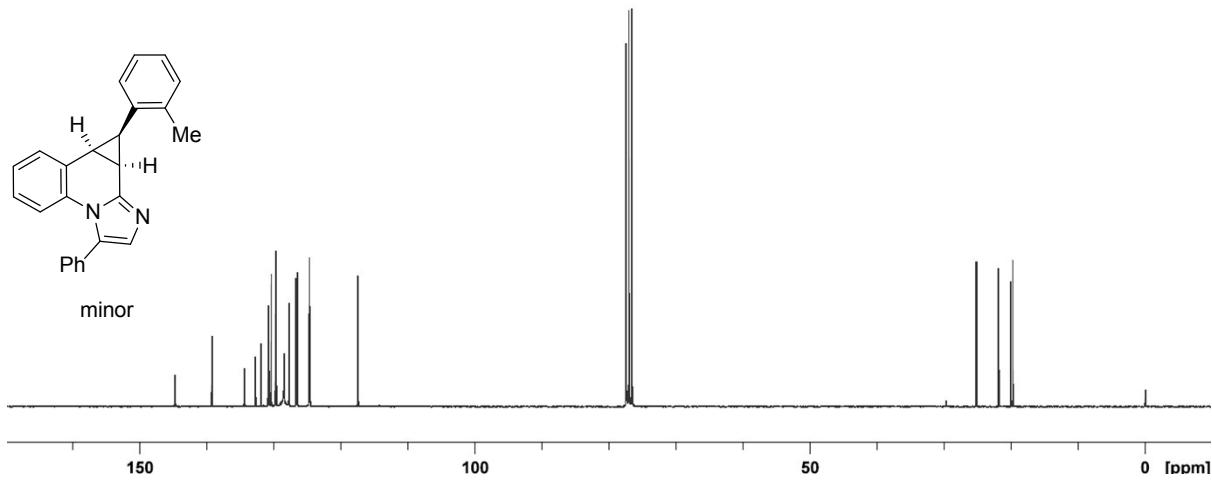


(8bS,9S,9aR)-3-phenyl-9-(o-tolyl)-9a-dihydro-8bH-cyclopropa[c]imidazo[1,2-a]quinoline (7i):

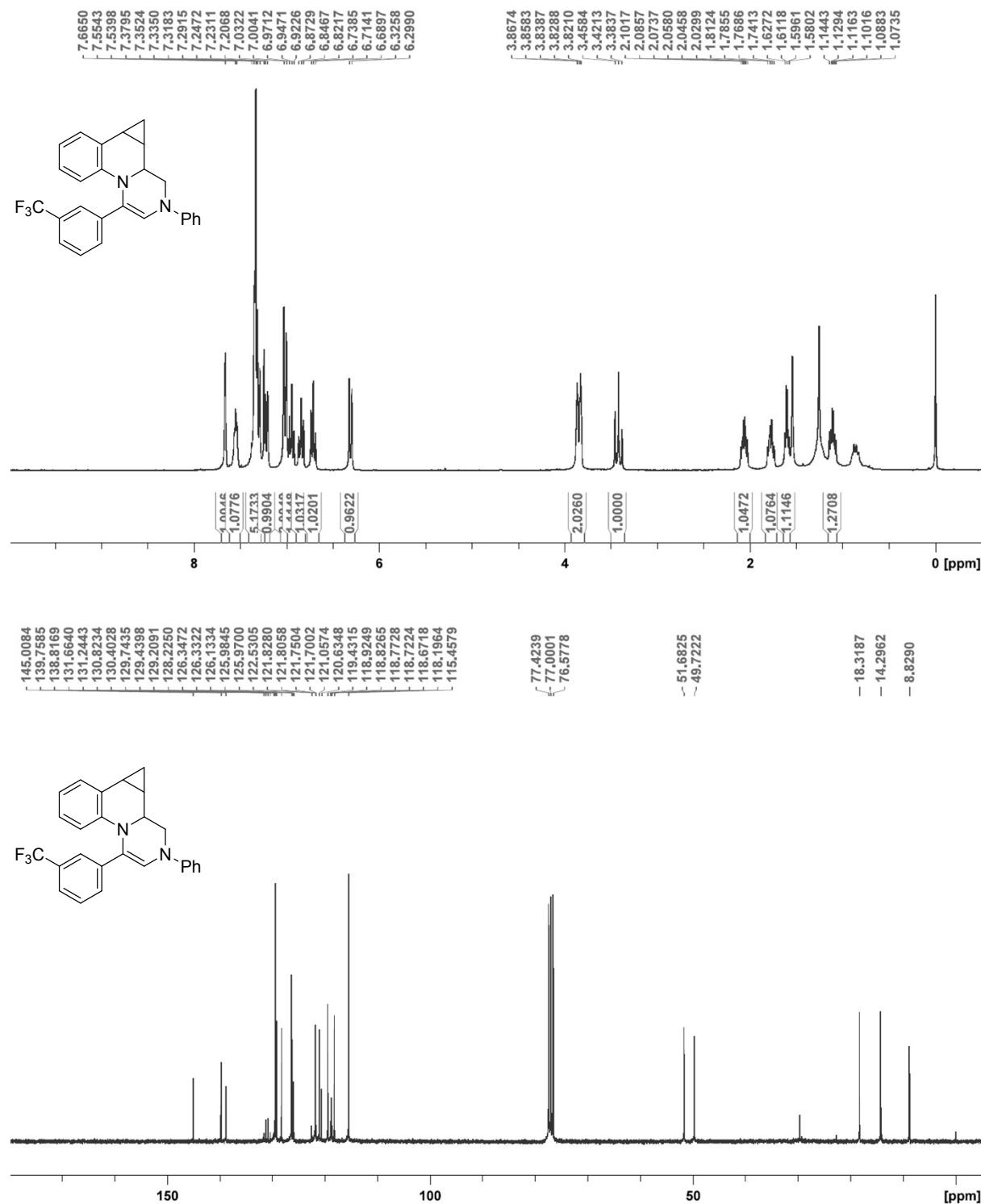




144.7556	139.2310	134.3948	132.7461	131.9370	130.8171	130.6359	129.7438	129.6621	129.6279	128.4843	127.7353	126.6850	126.4805	126.4462	124.8142	124.7902	124.7612	124.6908	124.6281	124.5917	117.4465	117.4122
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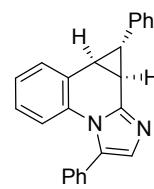
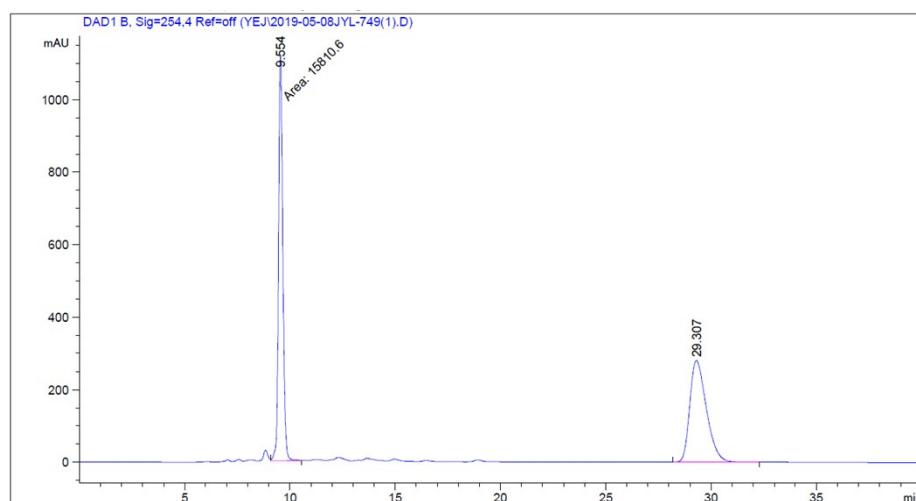


2-phenyl-4-(3-(trifluoromethyl)phenyl)-1,2,9b,10,10a,10b-hexahydrocyclopropa[c]pyrazino[1,2-a]quinoline (9):

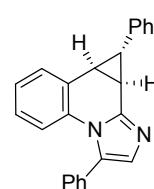
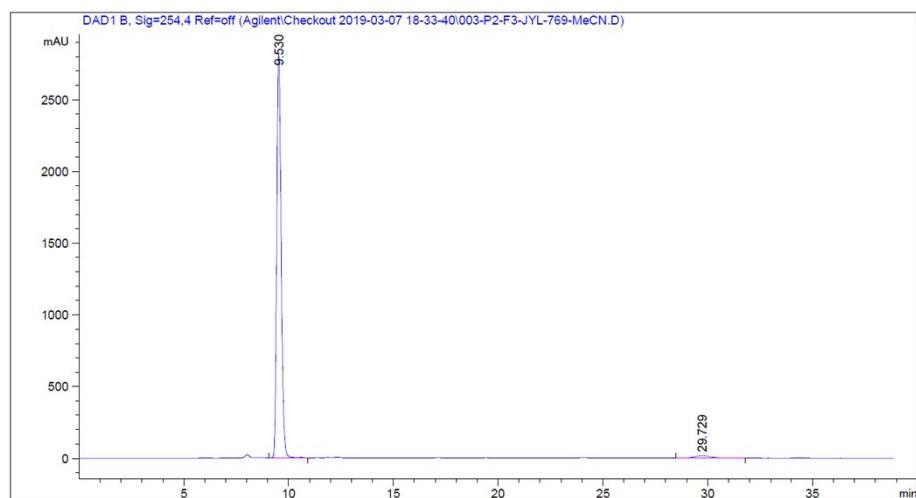


VII. HPLC of products

7a major diastereomer

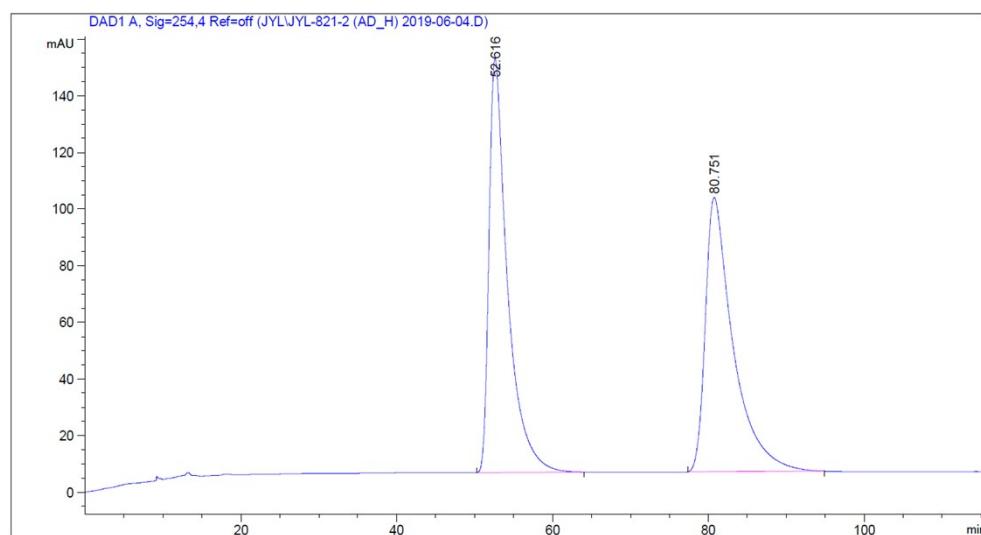


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.554	FM	0.2360	1.58106e4	1116.41833	50.7543
2	29.307	BB	0.8421	1.53407e4	280.48782	49.2457
Totals :						3.11513e4 1396.90616

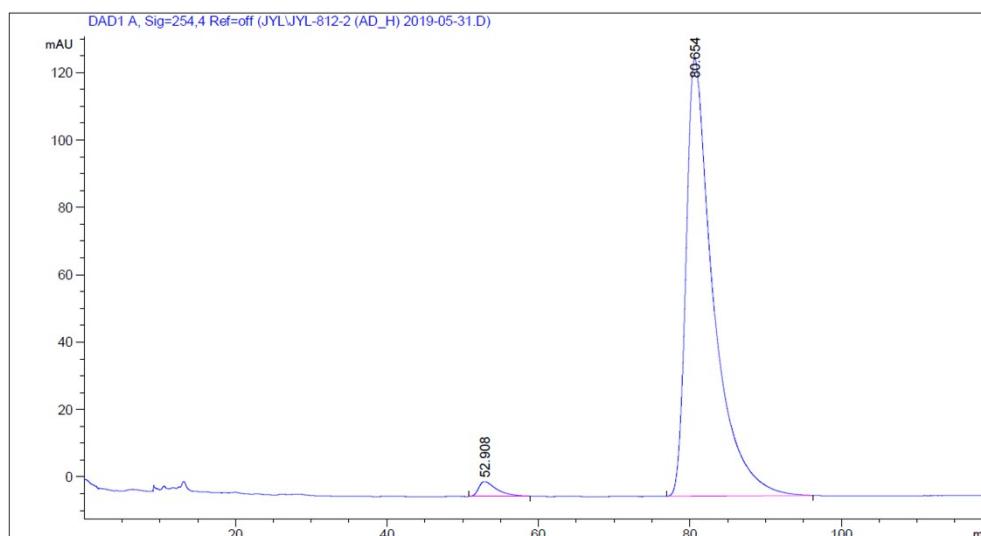


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.530	BV R	0.2269	4.12200e4	2813.56543	97.7388
2	29.729	BB	0.8447	953.61121	16.89080	2.2612
Totals :						4.21736e4 2830.45623

7a minor diastereomer

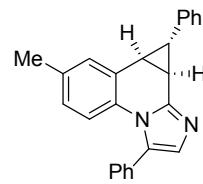
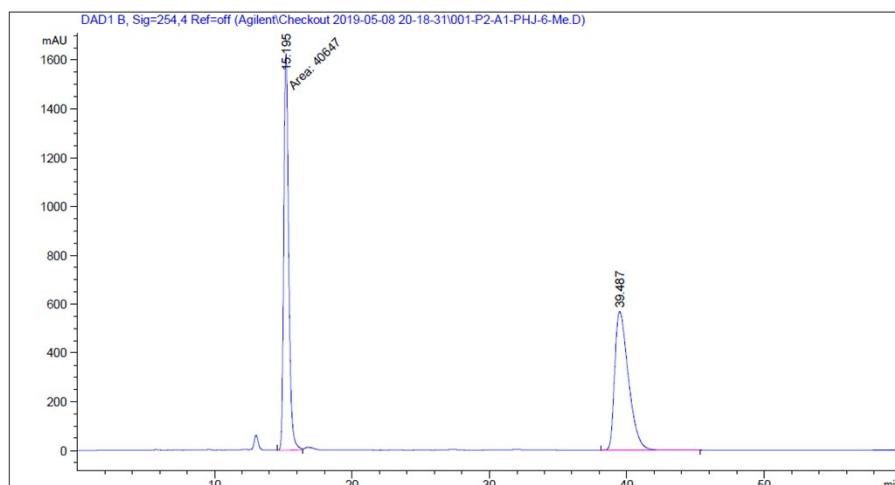


Peak	RetTime	Type	Width	Area	Height	Area
#	[min]		[min]	[mAU*s]	[mAU]	%
1	52.616	BB	2.3309	2.40837e4	146.27423	50.0869
2	80.751	BB	3.2372	2.40001e4	96.84647	49.9131
Totals :						4.80838e4 243.12070

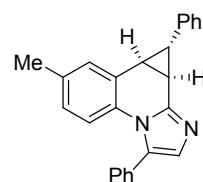
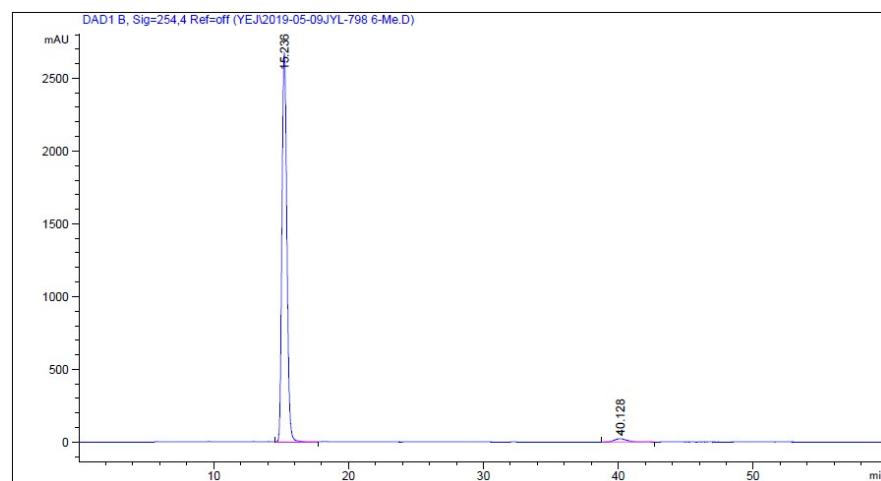


Peak	RetTime	Type	Width	Area	Height	Area
#	[min]		[min]	[mAU*s]	[mAU]	%
1	52.908	BB	1.9572	732.33685	4.40145	2.2182
2	80.654	BB	3.3713	3.22824e4	129.90094	97.7818
Totals :						3.30147e4 134.30239

7b major diastereomer

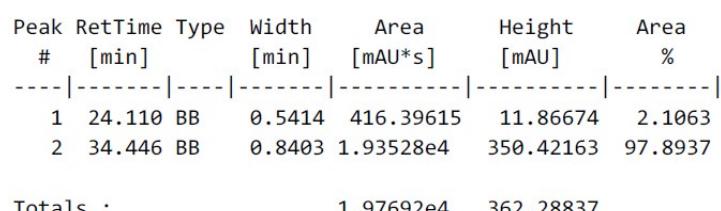
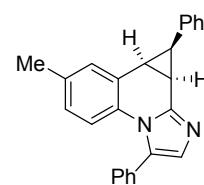
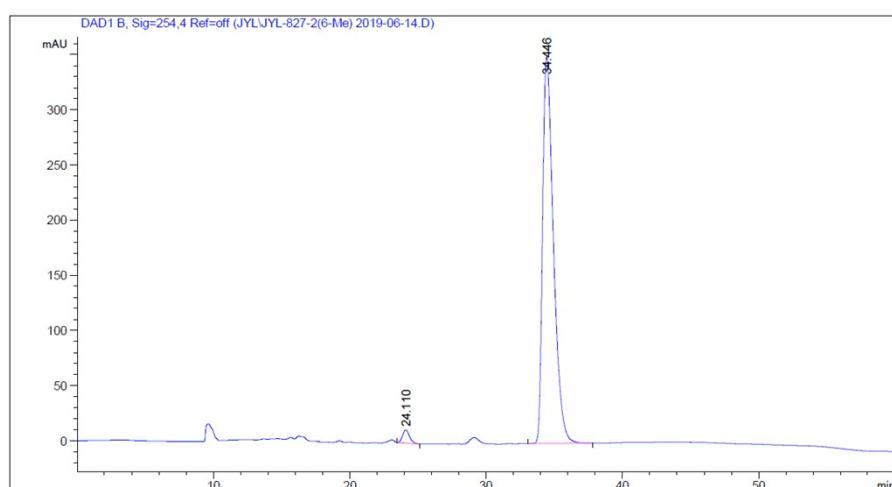
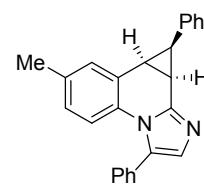
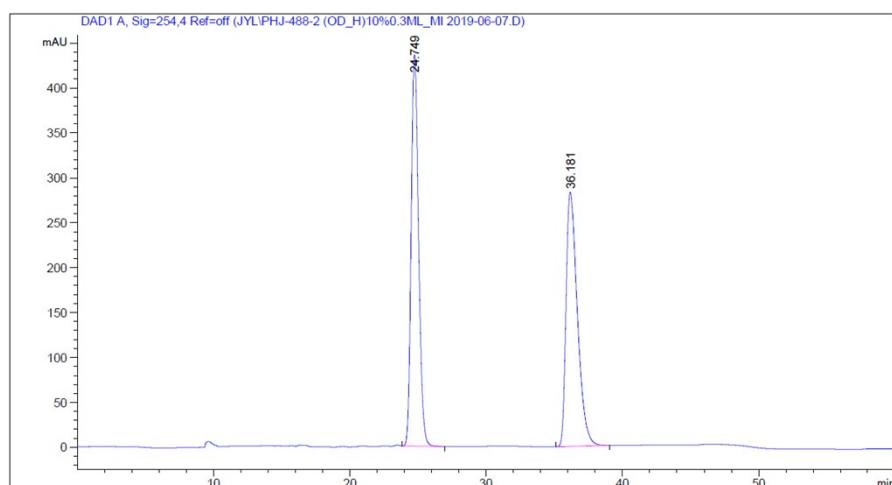


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.195	MM	0.4163	4.06470e4	1627.22803	50.8568
2	39.487	BB	1.0646	3.92774e4	568.12988	49.1432
Totals :					7.99244e4	2195.35791

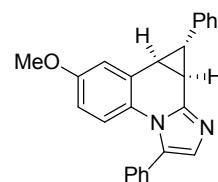
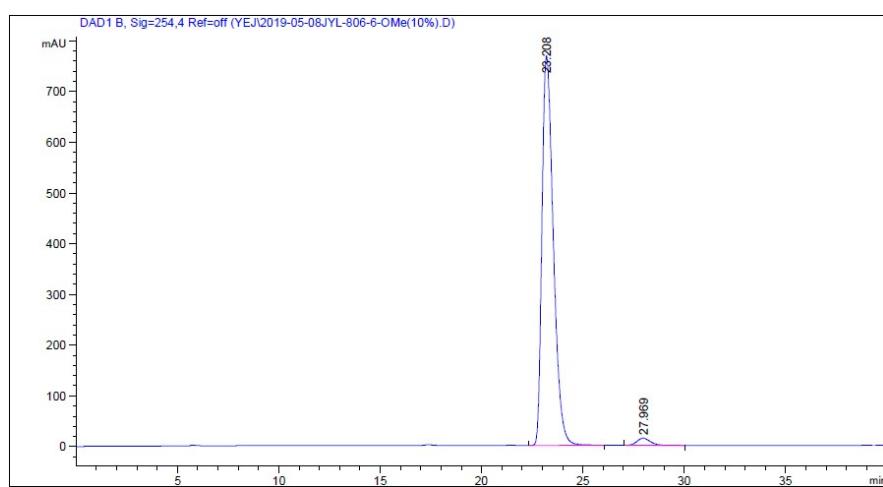
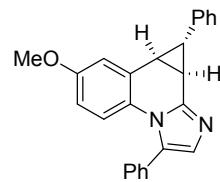
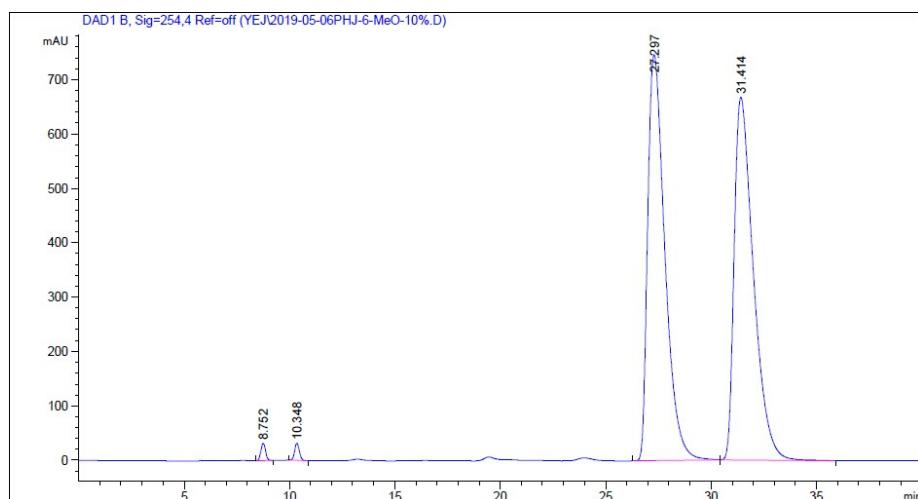


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.236	BB	0.4004	6.79041e4	2672.94434	97.9014
2	40.128	BB	0.9686	1455.61096	22.09552	2.0986
Totals :					6.93597e4	2695.03985

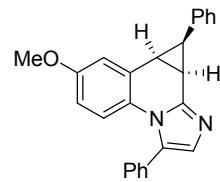
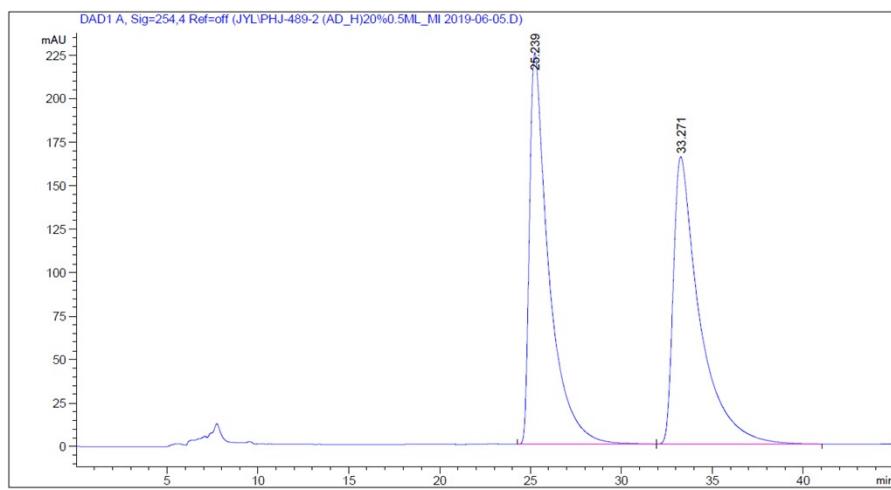
7b minor diastereomer



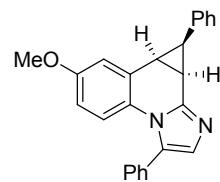
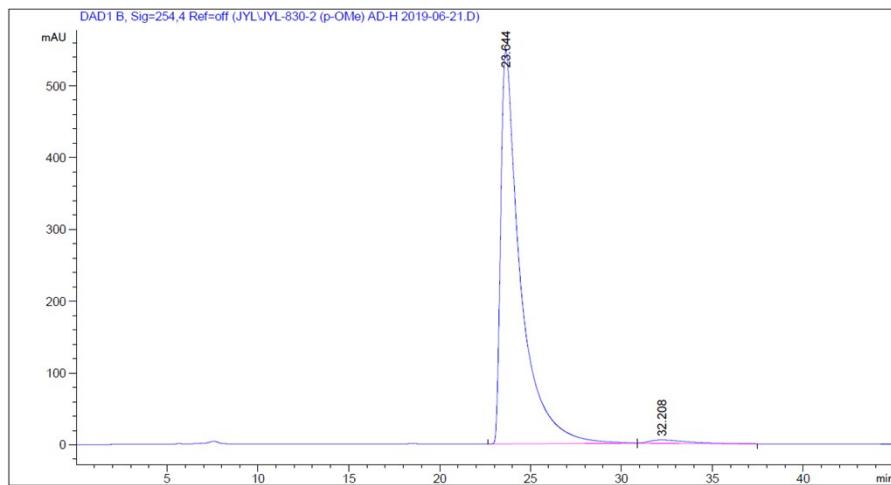
7c major diastereomer



7c minor diastereomer

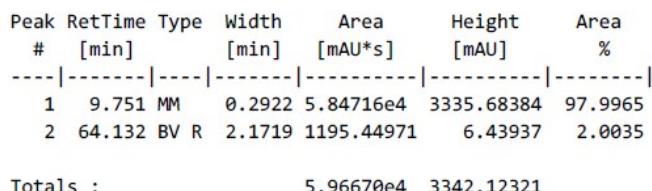
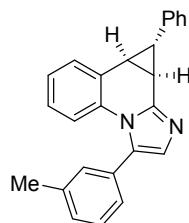
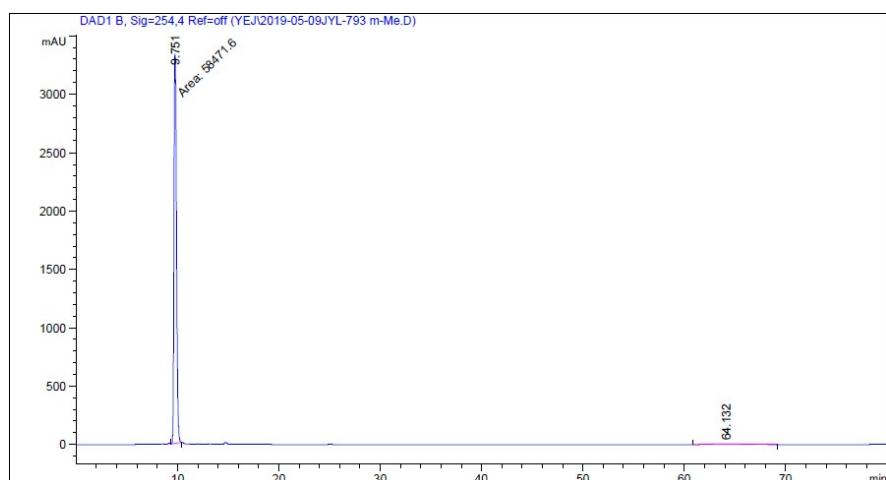
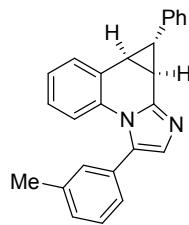
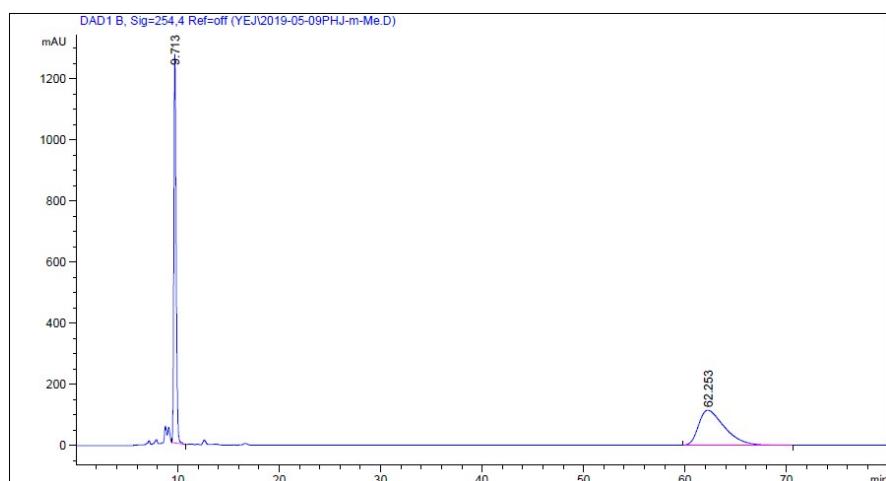


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	25.239	BB	1.0432	1.65733e4	225.04286	50.0629
2	33.271	BB	1.4095	1.65317e4	165.20308	49.9371
Totals :					3.31049e4	390.24594

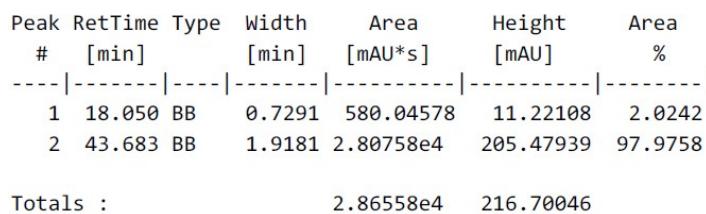
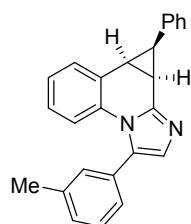
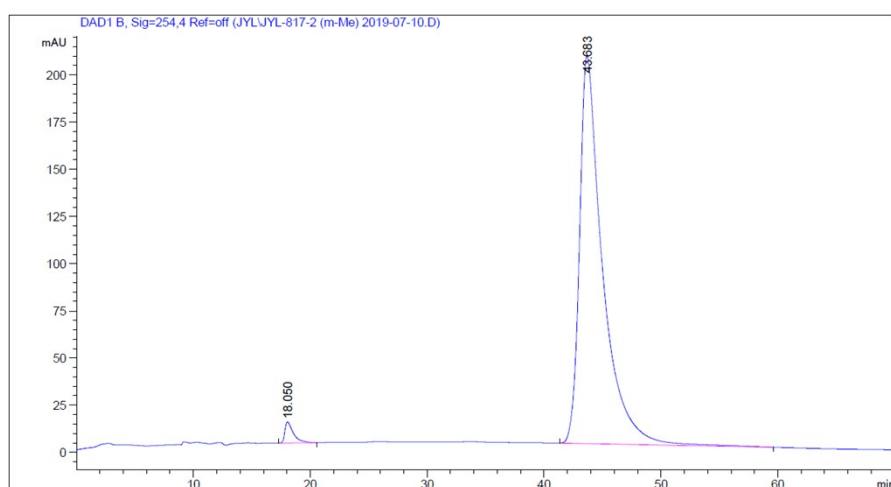
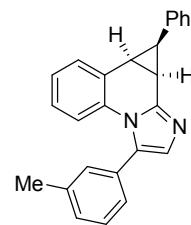
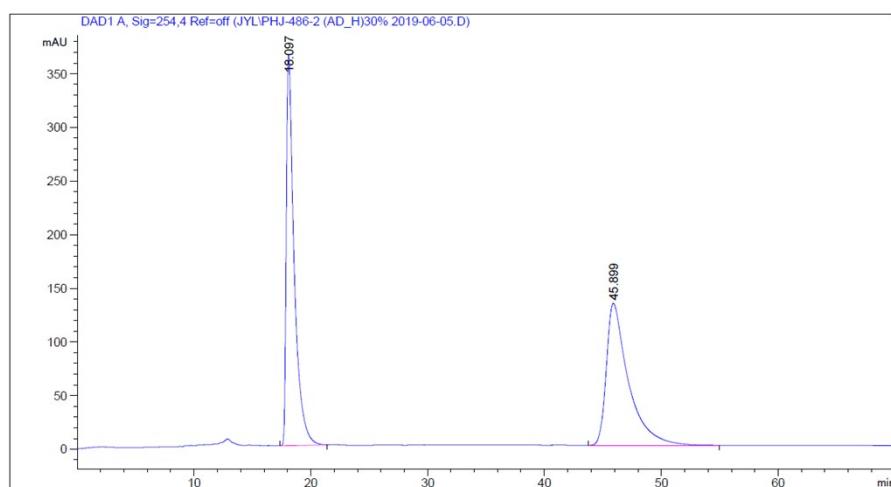


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	23.644	BB	1.0620	4.11928e4	548.59381	98.4934
2	32.208	BB	1.6061	630.08698	4.59412	1.5066
Totals :					4.18229e4	553.18793

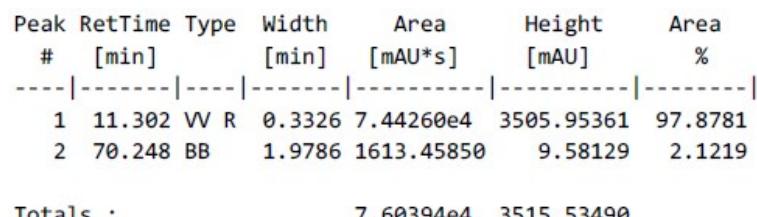
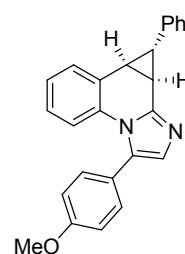
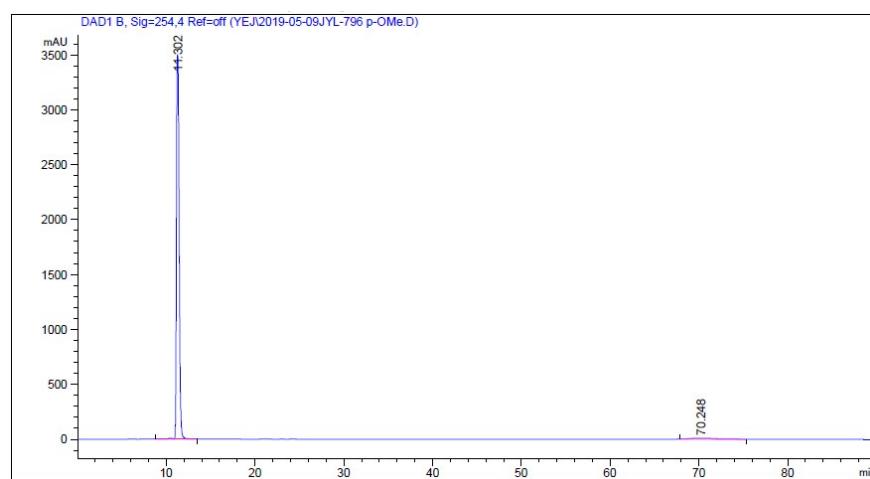
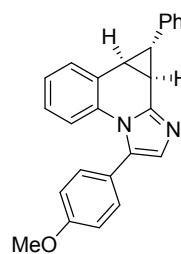
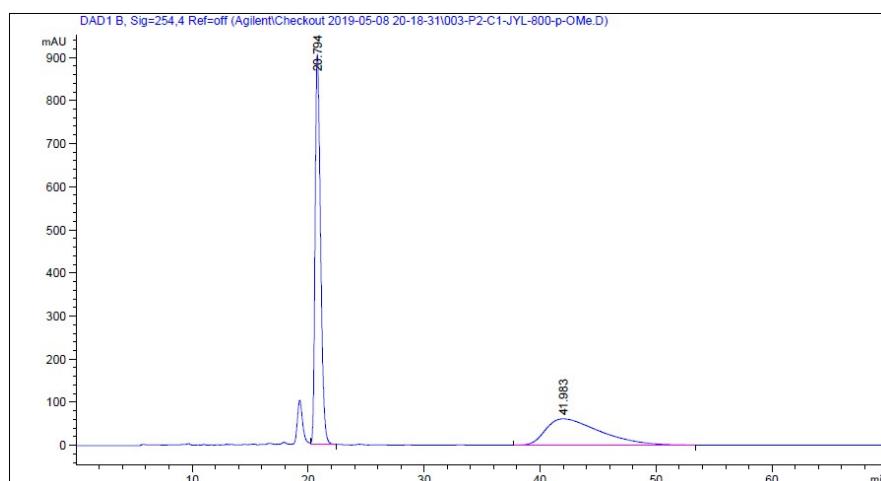
7d major diastereomer



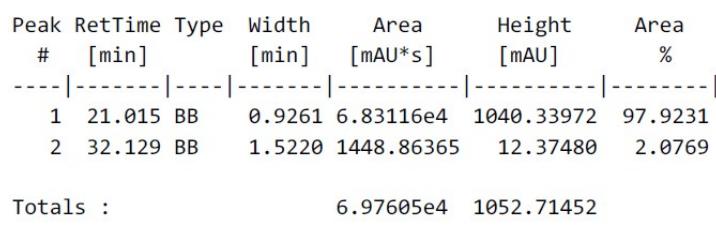
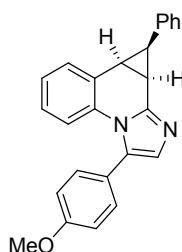
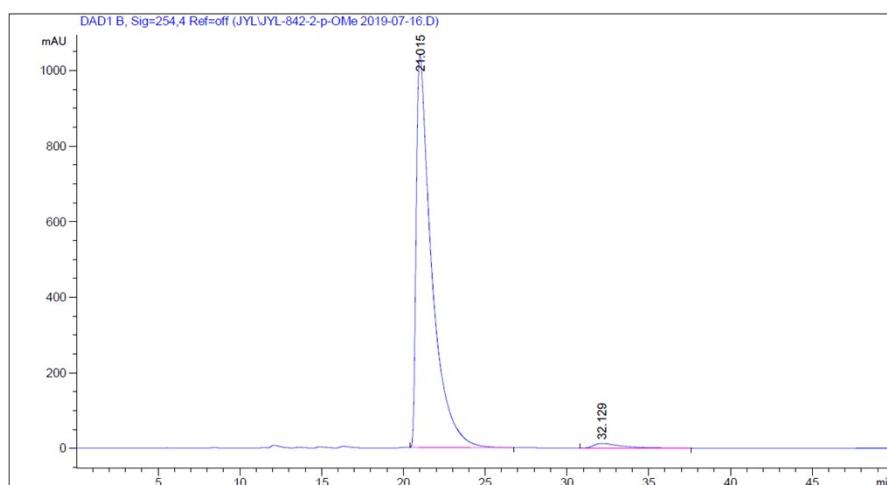
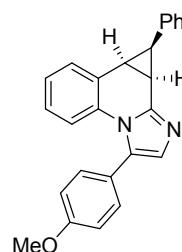
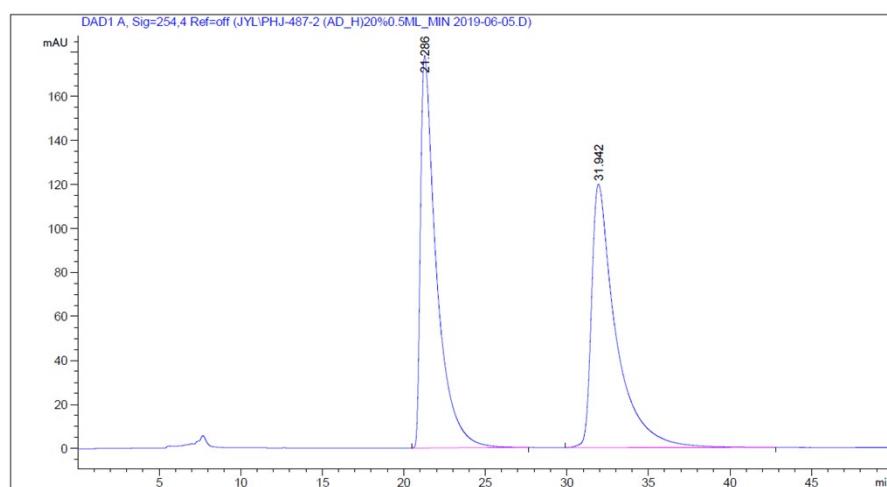
7d minor diastereomer



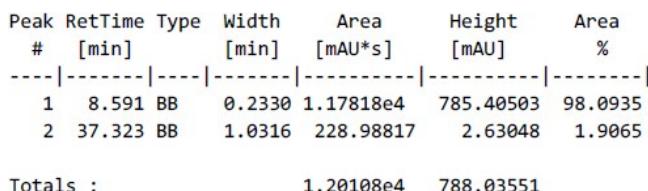
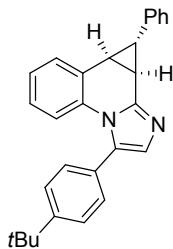
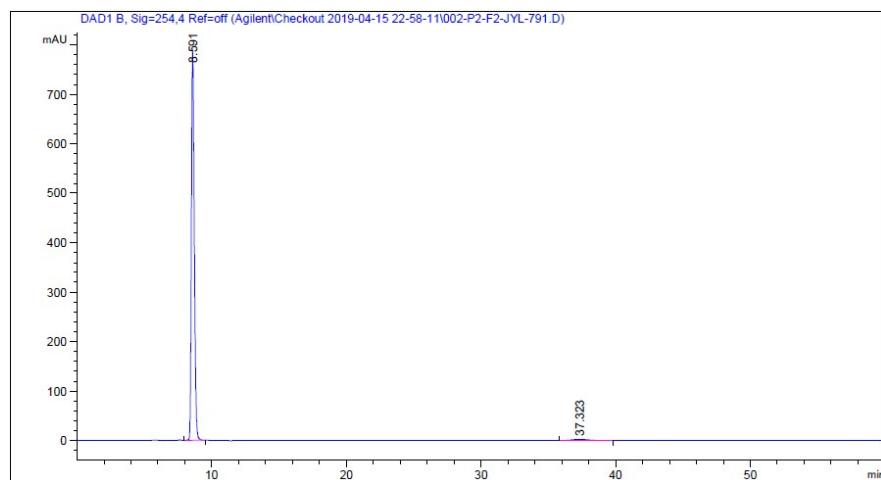
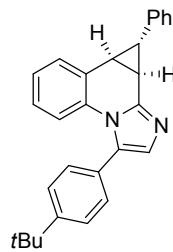
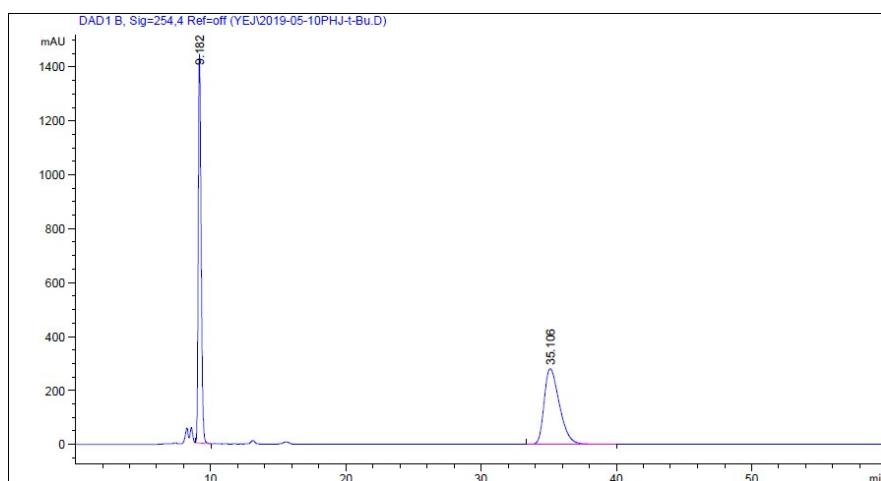
7e major diastereomer



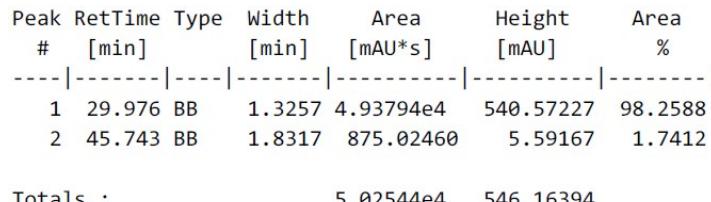
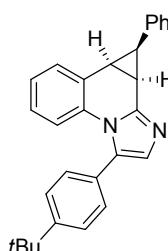
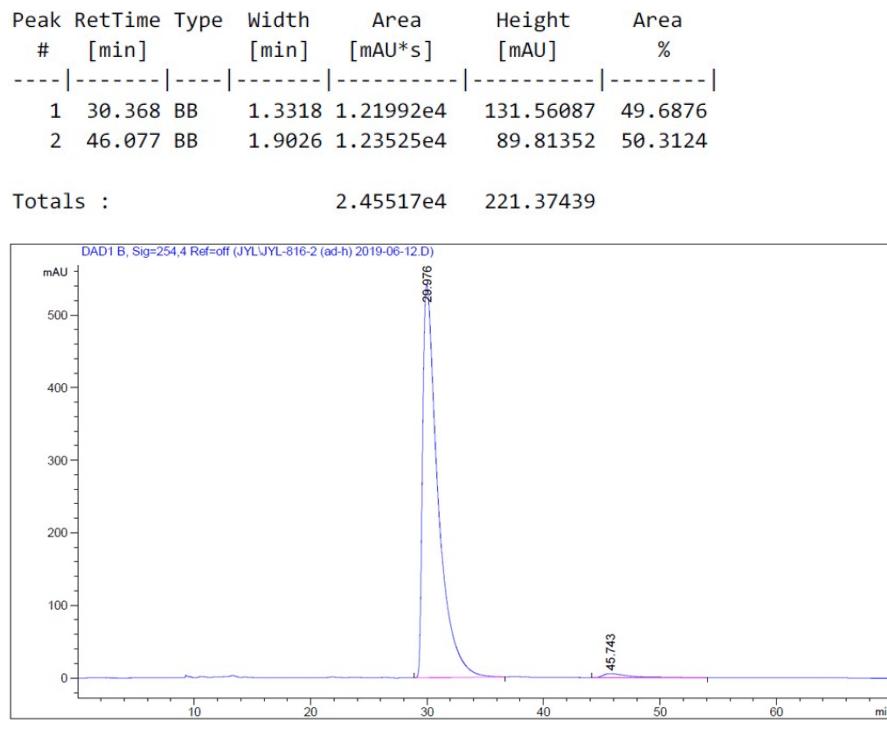
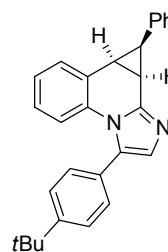
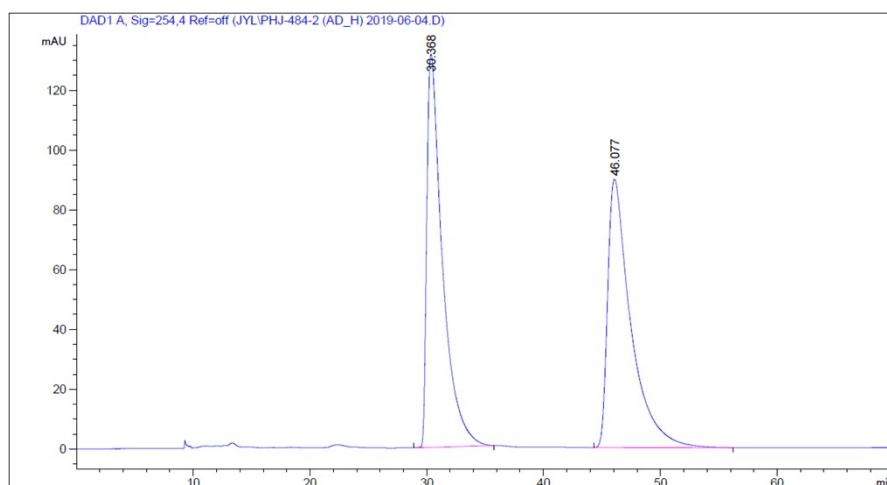
7e minor diastereomer



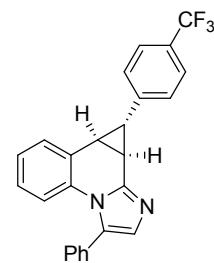
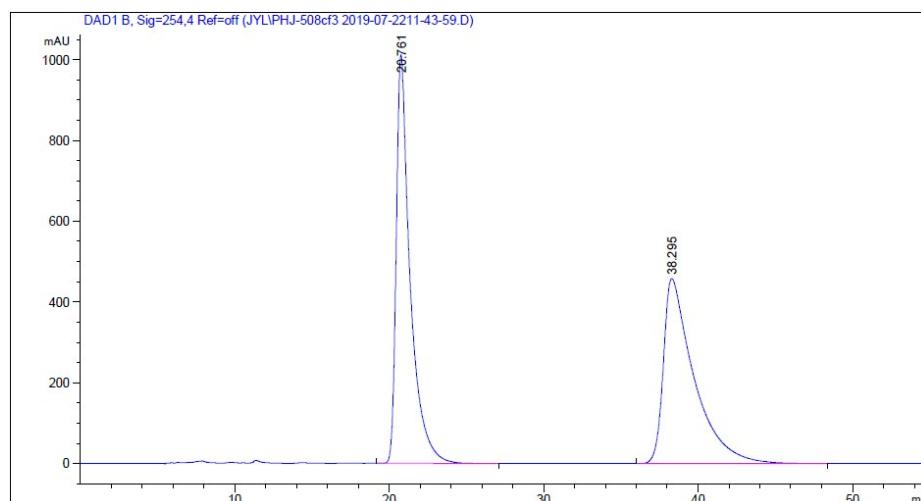
7f major diastereomer



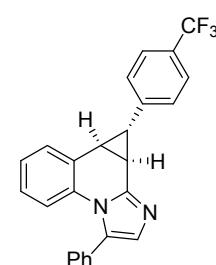
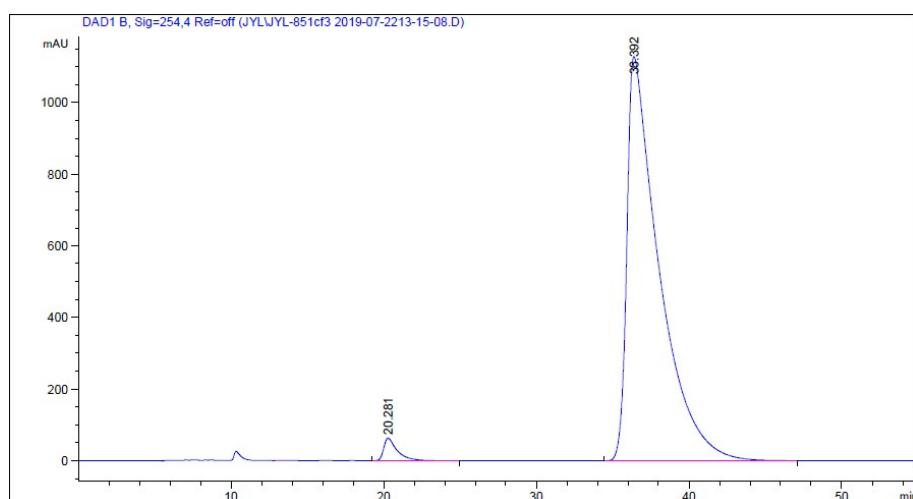
7f minor diastereomer



7g

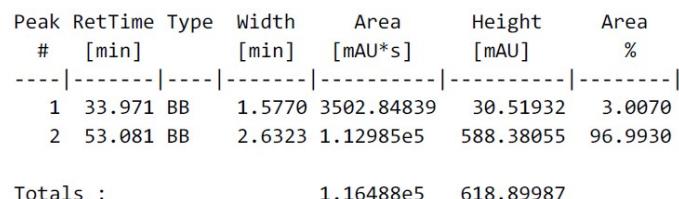
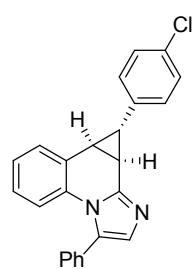
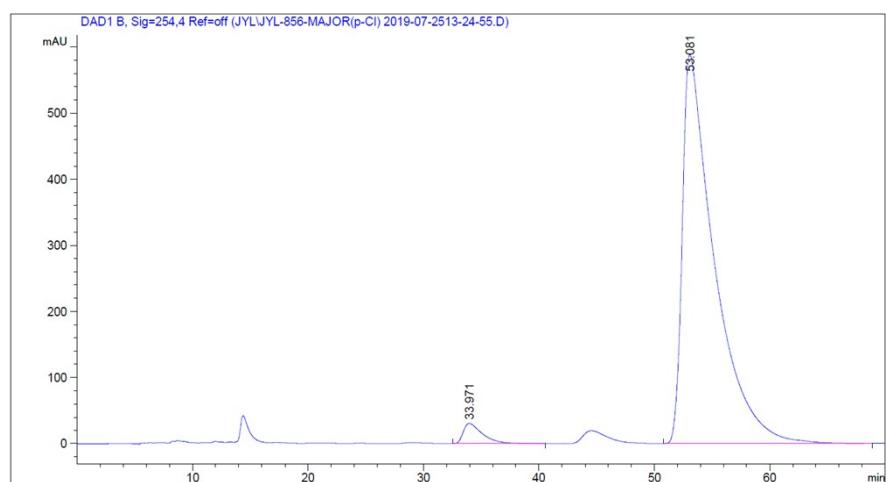
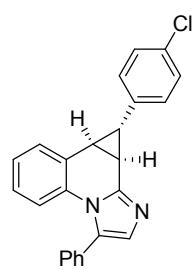
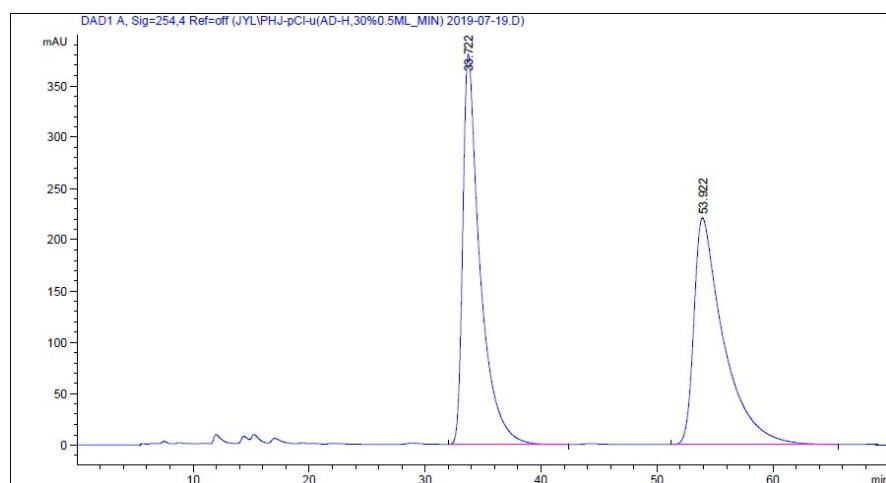


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	20.761	BB	0.8899	6.31403e4	1011.96063	50.0655
2	38.295	BB	1.9143	6.29751e4	458.54788	49.9345
Totals :						1.26115e5 1470.50851

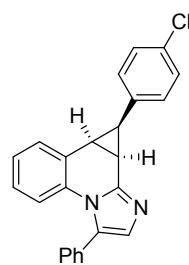
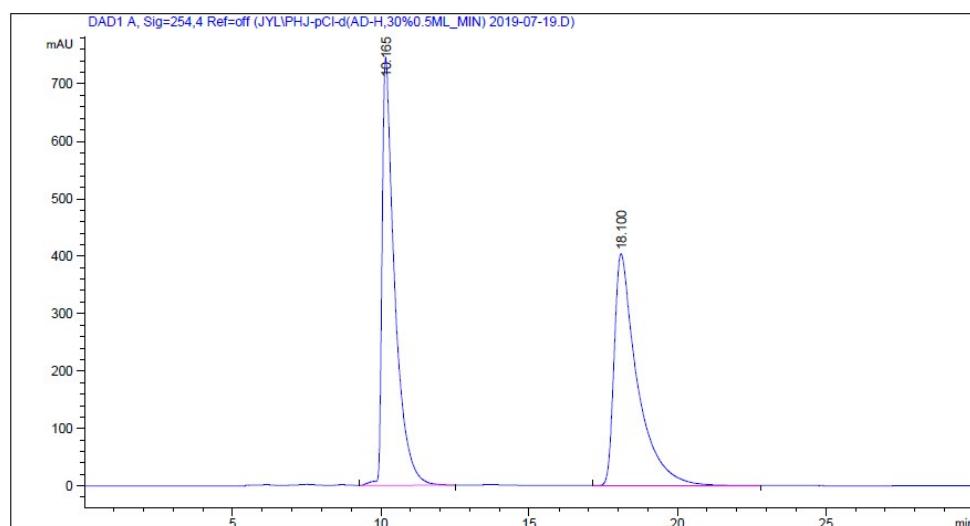


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	20.281	BB	0.8750	3839.18896	62.64167	2.2824
2	36.392	BB	1.9860	1.64369e5	1128.01184	97.7176
Totals :						1.68208e5 1190.65351

7h major diastereomer

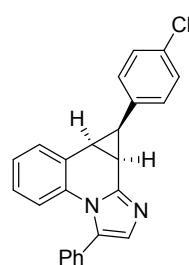
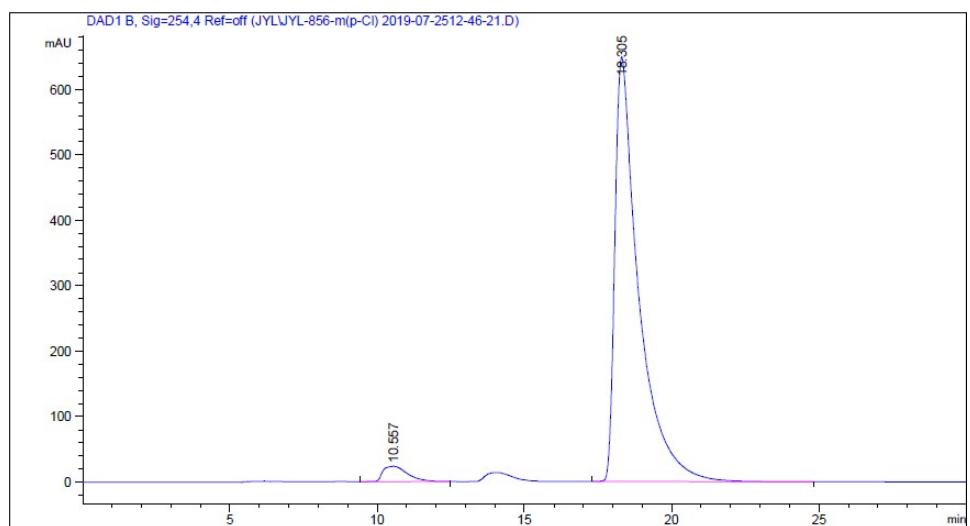


7h minor diastereomer



Peak RetTime Type Width Area Height Area
[min] [min] [mAU*s] [mAU] %
-----|-----|-----|-----|-----|-----|-----|
1 10.165 BB 0.4201 2.19571e4 744.35358 50.2048
2 18.100 BB 0.7635 2.17779e4 403.63522 49.7952

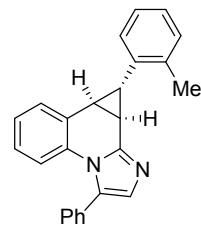
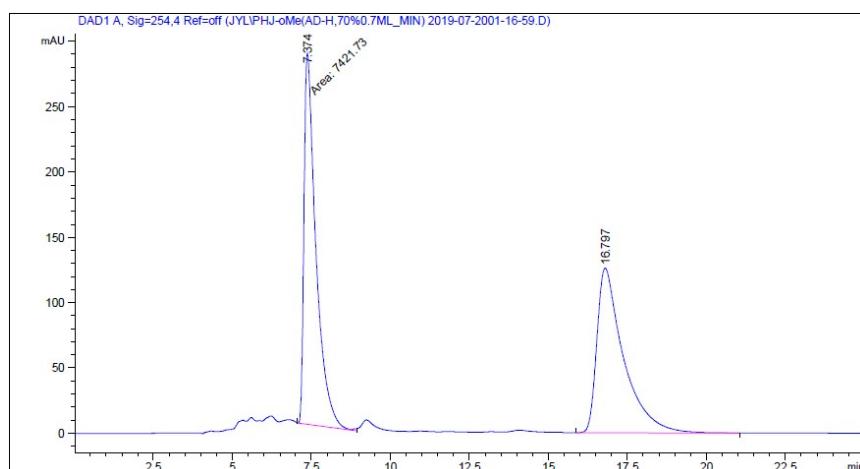
Totals : 4.37350e4 1147.98880



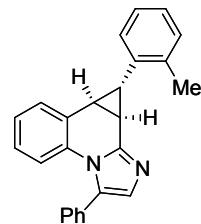
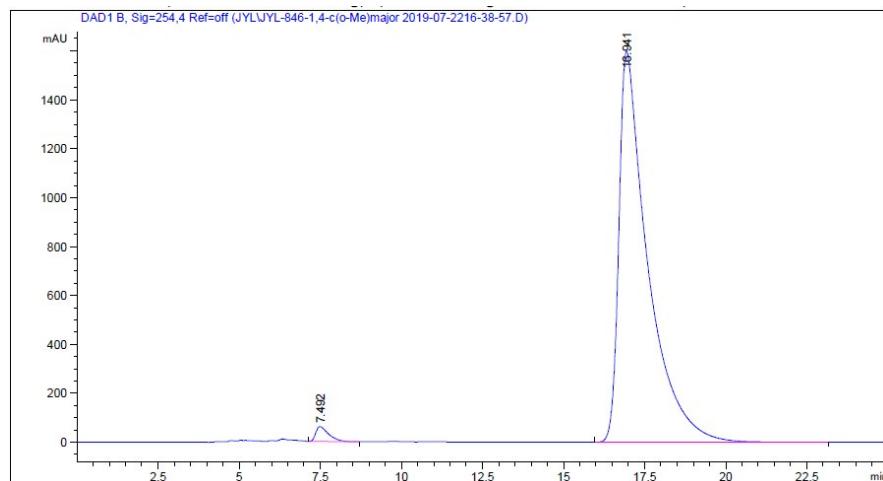
Peak RetTime Type Width Area Height Area
[min] [min] [mAU*s] [mAU] %
-----|-----|-----|-----|-----|-----|-----|
1 10.557 BB 0.9005 1368.25354 23.59773 3.6128
2 18.305 BB 0.7961 3.65044e4 649.13269 96.3872

Totals : 3.78726e4 672.73042

7i major diastereomer

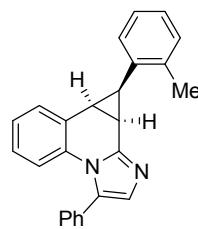
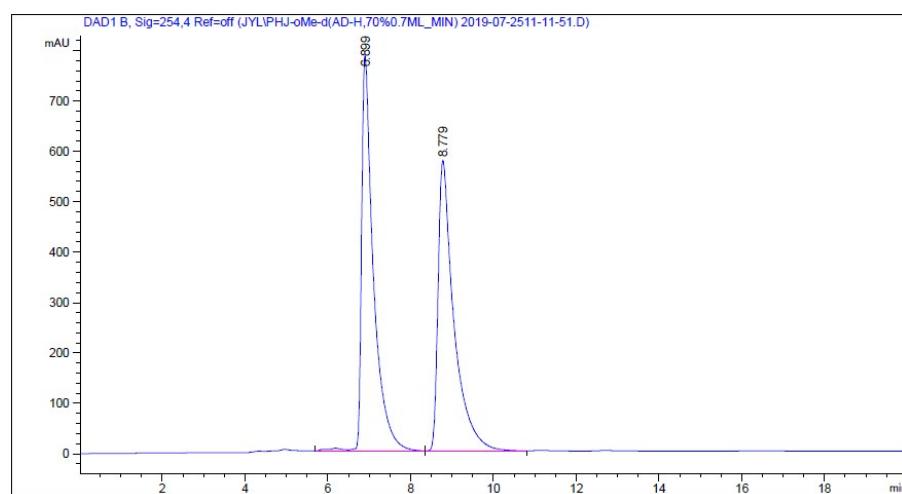


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7.374	MM	0.4350	7421.72607	284.35568	50.2870
2	16.797	BB	0.8293	7336.99951	126.00552	49.7130
Totals :						1.47587e4 410.36120

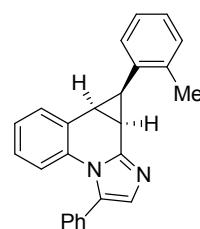
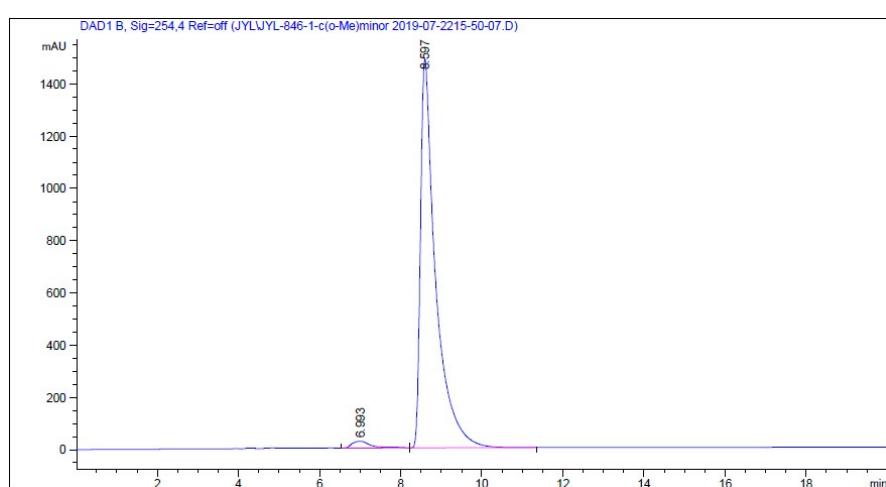


Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	7.492	BB	0.4049	1667.50891	60.99730	1.6675
2	16.941	BB	0.8559	9.83331e4	1598.12390	98.3325
Totals :						1.00001e5 1659.12120

7i minor diastereomer



Totals : 3.07679e4 1359.78345



Totals : 3.93283e4 1514.79301