

Expeditious and Environmentally Benign Synthesis of imidazo[4,5,1-*ij*]quinolines via sequential Povarov reaction/reductive cyclization

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Table of contents

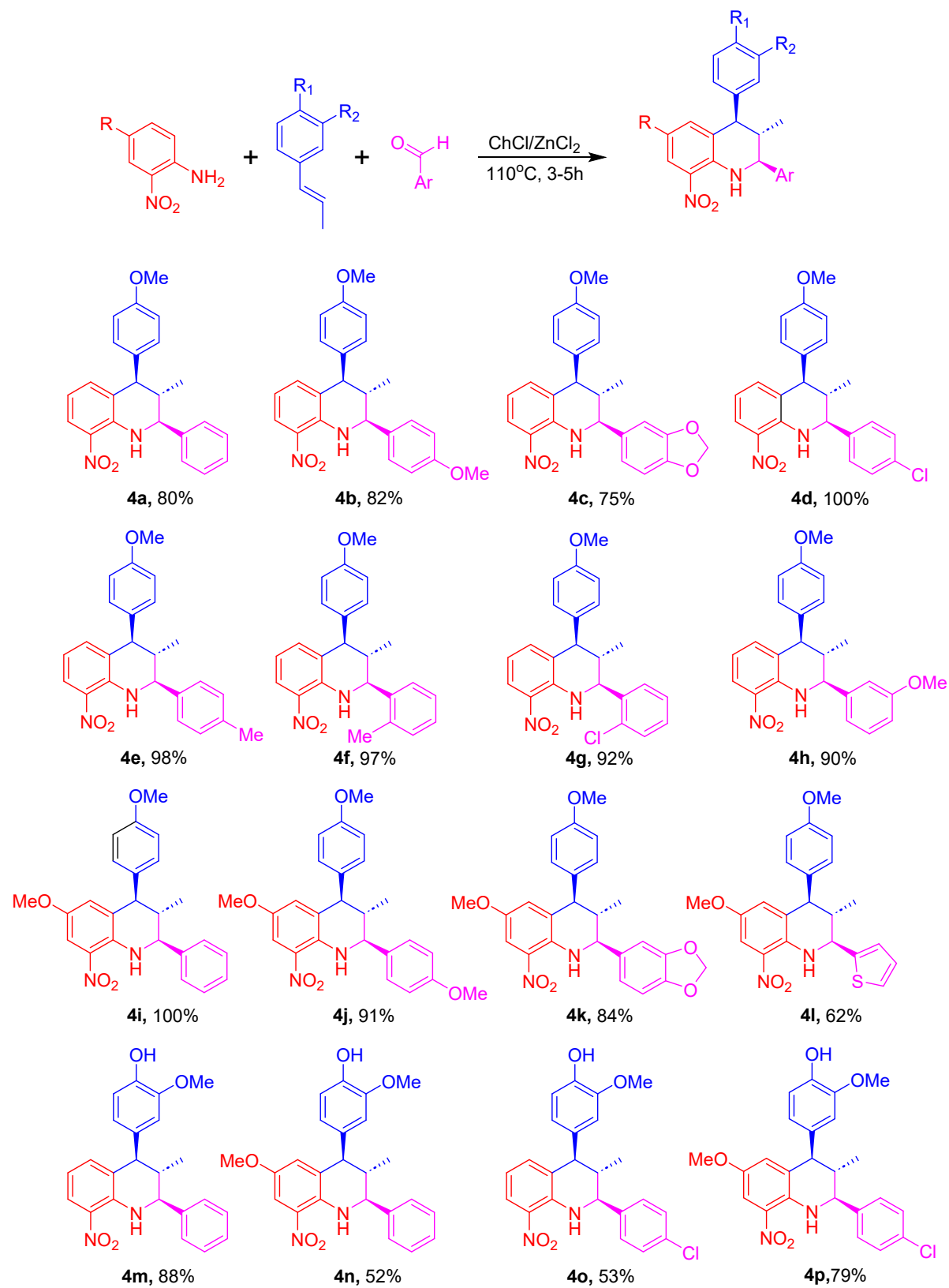
DES preparation	S3
General procedure for the synthesis of 8-nitrotetrahydroquinolines 4a-4p	S3
General procedure for the synthesis of imidazo[4,5,1- <i>ij</i>]quinolines 5a-5ac	S3
Characterization data for 8-nitrotetrahydroquinolines 4a-4p	S4
Characterization data for imidazo[4,5,1- <i>ij</i>]quinolines 5a-5ac	S13
Copies of IR, ¹ H NMR, ¹³ C NMR, APT, HMBC, HSQC and HRMS of 8-nitrotetrahydroquinolines 4a-4p	S28
Copies of IR, ¹ H NMR, ¹³ C NMR, APT, HSQC and HRMS of imidazo[4,5,1- <i>ij</i>]quinolines 5a-5ac	S59
Characterization data and copies of ¹ H NMR and APT for the 8-aminotetrahydroquinoline 6	S110
Microplate DPPH scavenging assay	S112

DES preparation. The DES was formed by mixing the components in the respective molar ratio in a glass vial. The mixture was heated up to 80 °C until a homogeneous, colourless liquid was obtained.

General procedure for the synthesis of 8-nitrotetrahydroquinolines 4a-4p. 0.8 g of ChCl/zinc chloride DES (1:2) was heated to 80 °C to obtain a clear melt. To this melt a mixture of substituted aniline (1 mmol), aromatic aldehyde (1.1 mmol) and *trans*-anethole (or *trans*-isoeugenol, 1.1 mmol) was added and the reaction was stirred at 110 °C. After completion of the reaction (monitored by TLC), the reaction mixture was quenched by adding water while still hot, cooled to room temperature and the crude solid was filtered, washed with water, and purified by column chromatography on silica gel (60–120 mesh) using a mixture of petroleum ether–ethyl acetate as eluent to afford the 8-nitrotetrahydroquinoline derivatives.

General procedure for the synthesis of imidazo[4,5,1-*ij*]quinolines 5a-5ac. A 10 mL microwave vial was charged with 0.26 mmol of 8-nitrotetrahydroquinoline, 0.34 mmol of aldehyde and 3 mL of EtOH/H₂O (3:1). To this mixture, 226.3 mg (1.3 mmol) of Na₂S₂O₄ was added and the vial was heated at 110°C during 15 minutes in the microwave reactor. After completion of the reaction (monitored by TLC), the solvent was evaporated, NH₄OH was added (pH=8) and the crude product was extracted (3x10 mL, AcOEt) and purified by column chromatography on silica gel (60–120 mesh) using a mixture of petroleum ether–ethyl acetate as eluent to afford the corresponding imidazo[4,5,1-*ij*]quinolines.

Characterization data for 8-nitrotetrahydroquinolines 4a-4p.



Cis-4-(4-methoxyphenyl)-3-methyl-8-nitro-2-phenyl-1,2,3,4-tetrahydroquinoline (4a). Light yellow solid (299 mg, 0.8 mmol, 80%); R_f [P.E-AcOEt 6:1] = 0.55; mp 155 - 157 °C; IR (ATR) $\nu_{\max}$ = 3327, 2924, 1604, 1495, 1574, 1335, 1249 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 8.50 (s, 1H, N-H), 8.01 (d, J = 8.6 Hz, 1H, 7-H), 7.44 – 7.35 (m, 5H, H-2',3',4',5',6'), 7.10 (d, J = 8.6 Hz, 2H, H-3'',5''), 6.91 (d, J = 8.7 Hz, 2H, H-2'',6''), 6.75 (d, J = 7.2 Hz, 1H, H-5), 6.44 (dd, J = 8.6, 7.3 Hz, 1H, H-6), 4.32 (d, J = 10.2 Hz, 1H, H-2), 3.83 (s, 3H, 4''-OCH₃), 3.74 (d, J = 11.4 Hz, 1H, H-4), 2.20 – 2.10 (m, 1H, H-3), 0.60 (d, J = 6.5 Hz, 3H, 3-CH₃); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 158.6, 143.1, 141.2, 136.0, 134.3, 130.8, 130.2, 129.7, 128.9, 128.5, 127.6, 124.8, 114.7, 114.2, 63.4, 55.2, 51.2, 39.2, 16.4. HRMS (APCI-Q-TOF) m/z calc. for $\text{C}_{23}\text{H}_{23}\text{N}_2\text{O}_3$ $[\text{M}+\text{H}]^+$: 375.1708, found: 375.1704.

Cis-2,4-bis(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline (4b). Yellow solid (332 mg, 0.82 mmol, 82%); R_f [hexane-AcOEt 6:1]: 0.42; mp 174 - 176 °C; IR (ATR) $\nu_{\max}$ = 3372, 2944, 1607, 1577, 1486, 1333, 1244, 1031 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 8.44 (s, 1H, N-H), 8.00 (d, J = 9.8, 1H, H-7), 7.33 (d, J = 8.7, 2H, H-Ar), 7.09 (d, J = 8.7, 2H, H-Ar), 6.91 (dd, J = 10.5, 8.6, 4H, H-Ar), 6.73 (d, J = 7.4, 1H, H-5), 6.42 (dd, J = 8.6, 7.3, 1H, H-6), 4.27 (d, J = 10.1, 1H, H-2), 3.83 (s, 3H, OCH₃), 3.82 (s, 3H, OCH₃), 3.72 (d, J = 11.4, 1H, H-4), 2.11 (m, 1H, H-3), 0.59 (d, J = 6.5, 3H, 3-CH₃); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 159.7, 158.6, 143.2, 136.0, 134.5, 133.2, 130.8, 130.2, 129.7, 128.7, 124.8, 114.7, 114.3, 114.3, 62.8, 55.4, 55.3, 51.3, 39.3, 16.4; HRMS (APCI-Q-TOF) m/z calc. for $\text{C}_{24}\text{H}_{25}\text{N}_2\text{O}_4$ $[\text{M}+\text{H}]^+$: 405.1814, found 405.1822.

Cis-2-(benzo[d][1,3]dioxol-5-yl)-4-(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline (4c). Orange solid (313 mg, 0.75 mmol, 75%); R_f [hexane-AcOEt 6:1]: 0.42;

mp 156 - 158 °C; IR (ATR) ν_{max} = 3349, 2948, 1610, 1573, 1488, 1331, 1243, 1037 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 8.42 (s, 1H, NH), 8.00 (d, J = 8.5 Hz, 1H, H-7), 7.08 (d, J = 8.8 Hz, 2H, H-Ar), 6.91 (m, 2H, H-Ar), 6.89 (s, 1H, H-Ar), 6.87 (d, J = 8.0 Hz, 1H, H-Ar), 6.81 (d, J = 7.9 Hz, 1H, H-Ar), 6.73 (d, J = 7.4 Hz, 1H, H-5), 6.43 (dd, J = 8.5, 7.4 Hz, 1H, H-6), 5.99 (s, 2H, OCH_2O), 4.23 (d, J = 10.1 Hz, 1H, H-2), 3.82 (s, 3H, 4''- OCH_3), 3.70 (d, J = 11.5 Hz, 1H, H-4), 2.13 – 2.05 (m, 1H, H-3), 0.60 (d, J = 6.5 Hz, 3H, 3- CH_3); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 158.6, 148.3, 147.7, 143.0, 136.1, 135.0, 134.4, 130.9, 130.2, 129.7, 124.8, 121.4, 114.8, 114.3, 108.4, 107.4, 101.3, 63.2, 55.3, 51.2, 39.3, 16.4.; HRMS (APCI-Q-TOF) m/z calc. for $\text{C}_{24}\text{H}_{23}\text{N}_2\text{O}_5$ $[\text{M}+\text{H}]^+$: 419.1607, found 419.1605.

Cis-2-(4-chlorophenyl)-4-(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline

(4d). Dark yellow solid (408 mg, 1 mmol, 100%); R_f [hexane-AcOEt 6:1]: 0.52; mp 176 – 178 °C; IR (ATR) ν_{max} = 3343, 2949, 1608, 1570, 1482, 1332, 1251, 1036, 739 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 8.41 (s, 1H, NH), 8.01 (d, J = 8.6 Hz, 1H, H-Ar), 7.42 – 7.33 (m, 4H, H-Ar), 7.08 (d, J = 8.6 Hz, 2H, H-Ar), 6.90 (d, J = 8.7 Hz, 2H, H-Ar), 6.75 (d, J = 7.2 Hz, 1H, H-5), 6.45 (dd, J = 8.7, 7.3 Hz, 1H, H-6), 4.31 (d, J = 10.0 Hz, 1H, H-2), 3.82 (s, 4H, 4''- OCH_3), 3.72 (d, J = 11.4 Hz, 1H, H-4), 2.16 – 2.05 (m, 1H, H-3), 0.59 (d, J = 6.5 Hz, 3H, 3- CH_3); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 158.7, 142.9, 139.8, 136.2, 134.3, 134.2, 131.1, 130.2, 129.6, 129.2, 129.0, 128.1, 124.9, 115.0, 114.3, 62.8, 55.3, 51.1, 39.3, 16.3; HRMS (APCI-Q-TOF) m/z calc. for $\text{C}_{22}\text{H}_{22}\text{ClN}_2\text{O}_3$ $[\text{M}+\text{H}]^+$: 409.1319, found 409.1331.

Cis-2-(4-methylphenyl)-4-(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline

(4e). Orange solid (380 mg, 0.98 mmol, 98%); R_f [hexane-AcOEt 6:1]: 0.55; mp 139 - 141 °C; IR (ATR) ν_{max} = 3357, 2963, 1607, 1572, 1508, 1312, 1244, 1032 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 8.46 (s, 1H, NH), 8.00 (d, J = 8.5 Hz, 1H, H-7), 7.30 (d, J = 8.0 Hz, 2H, H-Ar), 7.20 (d,

$J = 8.1$ Hz, 2H, H-Ar), 7.09 (d, $J = 8.8$ Hz, 2H, H-Ar), 6.90 (d, $J = 8.8$ Hz, 2H, H-Ar), 6.73 (d, $J = 7.8$ Hz, 1H, H-5), 6.42 (dd, $J = 8.7, 7.3$ Hz, 1H, H-6), 4.28 (d, $J = 10.3$ Hz, 1H, H-2), 3.82 (s, 3H, 4''-OCH₃), 3.72 (d, $J = 11.6$ Hz, 1H, H-4), 2.38 (s, 3H, 3'-CH₃), 2.23 – 2.03 (m, 1H, H-3), 0.59 (d, $J = 6.5$ Hz, 3H, 3-CH₃); NMR ¹³C (100 MHz, CDCl₃) δ (ppm): 158.6, 143.2, 138.2, 138.2, 136.0, 134.5, 130.8, 130.2, 129.7, 129.6, 127.5, 124.8, 114.7, 114.3, 63.2, 55.3, 51.3, 39.2, 21.2, 16.4; HRMS (APCI-Q-TOF) m/z calc. for C₂₄H₂₅N₂O₃ [M+H]⁺: 389.1865, found 389.1861.

Cis-2-(2-methylphenyl)-4-(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline

(4f). Orange solid (376 mg, 0.97 mmol, 97%); R_f [hexane-AcOEt 6:1]: 0.55; mp 145 - 147 °C; IR (ATR) $\nu_{\max} = 3360, 2950, 1609, 1570, 1508, 1339, 1248, 1032$ cm⁻¹; NMR ¹H (400 MHz, CDCl₃) δ (ppm): 8.37 (s, 1H, NH), 8.01 (d, $J = 8.6$ Hz, 1H, H-7), 7.45 (d, $J = 7.6$ Hz, 1H, H-Ar), 7.26 – 7.18 (m, 4H, H-Ar), 7.10 (d, $J = 8.8$ Hz, 2H, H-Ar), 6.90 (d, $J = 8.8$ Hz, 2H, H-Ar), 6.75 (d, $J = 7.4$ Hz, 1H, H-5), 6.43 (dd, $J = 8.5, 7.3$ Hz, 1H, H-6), 4.69 (d, $J = 10.4$ Hz, 1H, H-2), 3.83 (s, 3H, 4''-OCH₃), 3.77 (d, $J = 11.1$ Hz, 1H, H-4), 2.43 (s, 3H, 3'-CH₃), 2.16 – 2.07 (m, 1H, H-3), 0.61 (d, $J = 6.5$ Hz, 3H, 3-CH₃); NMR ¹³C (100 MHz, CDCl₃) δ (ppm): δ 158.6, 143.2, 138.2, 138.2, 136.0, 134.5, 130.8, 130.2, 129.7, 129.6, 127.5, 124.8, 114.7, 114.3, 63.2, 55.3, 51.3, 39.2, 21.2, 16.4; HRMS (APCI-Q-TOF) m/z calc. for C₂₄H₂₅N₂O₃ [M+H]⁺: 389.1865, found 389.1866.

Cis-2-(2-chlorophenyl)-4-(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline

(4g). Orange solid (376 mg, 0.92 mmol, 92%); R_f [hexane-AcOEt 6:1]: 0.55; mp 140 - 142 °C; IR (ATR) $\nu_{\max} = 3355, 2956, 1606, 1568, 1511, 1310, 1249, 1034, 736$ cm⁻¹; NMR ¹H (400 MHz, CDCl₃) δ (ppm): 8.38 (s, 1H, NH), 8.01 (d, $J = 8.1$ Hz, 1H, H-7), 7.56 (d, $J = 5.6$ Hz, 1H, H-Ar), 7.42 (dd, $J = 7.9, 1.5$ Hz, 1H, H-Ar), 7.36 – 7.32 (m, 1H, H-Ar), 7.29 (dd, $J = 7.8, 1.8$ Hz, 1H, H-Ar), 7.10 (d, $J = 8.8$ Hz, 2H, H-Ar), 6.90 (d, $J = 8.8$ Hz, 2H, H-Ar), 6.77 (d, $J = 7.3$ Hz, 1H, H-5), 6.46 (dd, $J = 8.7, 7.3$ Hz, 1H, H-6), 5.03 (d, $J = 9.4$ Hz, 1H, H-2), 3.83 (s, 3H, 4''-OCH₃), 3.80 (d,

$J = 11.2$ Hz, 2H, H-4), 2.31 – 2.13 (m, 1H, H-3), 0.66 (d, $J = 6.6$ Hz, 3H, 3-CH₃); NMR ¹³C (100 MHz, CDCl₃) δ (ppm): 158.7, 143.2, 138.7, 136.2, 134.2, 131.1, 130.2, 129.9, 129.6, 129.4, 127.9, 124.9, 115.0, 114.3, 55.3, 51.1, 15.7; HRMS (APCI-Q-TOF) m/z calc. for C₂₃H₂₂ClN₂O₃ [M+H]⁺: 409.1319, found 409.1325.

Cis-2-(3-methoxyphenyl)-4-(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-

tetrahydroquinoline (4h). Light orange solid (363 mg, 0.9 mmol, 90%); R_f [hexane-AcOEt 6:1]: 0.47; mp 152 - 154 °C; IR (ATR) $\nu_{\max} = 3339, 2931, 1604, 1504, 1331, 1246, 1031$ cm⁻¹; NMR ¹H (400 MHz, CDCl₃) δ (ppm): 8.48 (s, 1H, NH), 8.01 (d, $J = 8.1$ Hz, 1H, H-7), 7.31 (t, $J = 7.9$ Hz, 1H, H-Ar), 7.09 (d, $J = 8.8$ Hz, 2H, H-Ar), 7.00 (d, $J = 7.7$ Hz, 1H, H-Ar), 6.96 (bs, 1H, H-Ar), 6.90 (d, $J = 8.9$ Hz, 4H, H-Ar), 6.74 (d, $J = 7.2$ Hz, 1H, H-5), 6.43 (dd, $J = 8.7, 7.2$ Hz, 1H, H-6), 4.29 (d, $J = 10.1$ Hz, 1H, H-2), 3.83 (s, 3H, OCH₃), 3.82 (s, 3H, OCH₃), 3.72 (d, $J = 11.4$ Hz, 1H, H-4), 2.14 (m, 1H, H-3), 0.61 (d, $J = 6.4$ Hz, 3H, 3-CH₃); NMR ¹³C (100 MHz, CDCl₃) δ (ppm): 160.0, 158.6, 143.1, 142.8, 136.1, 134.4, 130.9, 130.2, 129.9, 129.7, 124.8, 120.1, 114.8, 114.3, 113.7, 113.3, 63.4, 55.3, 55.3, 51.2, 39.1 16.4; HRMS (APCI-Q-TOF) m/z calc. for C₂₄H₂₅N₂O₄ [M+H]⁺: 405.1814, found 405.1822.

Cis-4-(4-methoxyphenyl)-3-methyl-6-methoxy-2-phenyl-8-nitro-1,2,3,4-tetrahydroquinoline

(4i). Red solid (404 mg, 1 mmol, 100%); R_f [hexane-AcOEt 6:1] = 0.47; mp 132 - 134 °C; IR (ATR) $\nu_{\max} = 3323, 2923, 1614, 1506, 1578, 1318, 1246, 1033$ cm⁻¹; NMR ¹H (400 MHz, CDCl₃) δ (ppm): 8.52 (s, 1H, N-H), 7.43 (d, $J = 2.9$, 1H, H-7), 7.41 – 7.35 (m, H-2'-H-6'), 7.08 (d, $J = 8.8$ Hz, 2H, H-2'', H-6''), 6.89 (d, $J = 8.6$ Hz, 2H, H-3'', H-5''), 6.48 (bs, 1H, H-5), 4.31 (d, $J = 10.1$ Hz, 1H, H-2), 3.82 (s, 3H, OCH₃), 3.72 (d, $J = 11.0$ Hz, 1H, H-4), 3.69 (s, 3H, OCH₃), 2.20 – 2.13 (m, 1H, H-3), 0.58 (d, $J = 6.5$ Hz, 3H, 3-CH₃); NMR ¹³C (100 MHz, CDCl₃) δ (ppm): 158.8, 149.0,

141.3, 139.5, 134.0, 131.8, 130.2, 129.7, 127.8, 127.7, 114.4, 104.3, 63.5, 55.6, 55.3, 51.3, 39.4, 16.4; HRMS (APCI-Q-TOF) m/z calc. for $C_{24}H_{25}N_2O_4$ $[M+H]^+$: 405.1814, found 405.1827.

Cis-6-methoxy-2,4-bis(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline (4j).

Red solid (395 mg, 0.91 mmol, 91%); R_f [hexane-AcOEt 3:1]: 0.47; mp 134 - 136 °C; IR (ATR) $\nu_{\max}$ = 3339, 2931, 1606, 1579, 1503, 1331, 1244, 1036 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 8.47 (s, 1H, NH), 7.43 (d, J = 3.1 Hz, 1H, H-7), 7.32 (d, J = 8.8 Hz, 2H, H-Ar), 7.08 (d, J = 8.8 Hz, 2H, H-Ar), 6.92 (d, J = 8.7 Hz, 2H), 6.89 (d, J = 8.8 Hz, 2H, H-Ar), 6.47 (bs = 1H, H-5), 4.26 (d, J = 10.1 Hz, 1H, H-2), 3.83 (s, 3H, OCH_3), 3.82 (s, 3H, OCH_3), 3.81 (d, J = 11.2 Hz, H-4), 3.68 (s, 3H, OCH_3), 2.18 – 2.07 (m, 1H, H-3), 0.58 (d, J = 6.5 Hz, 3H; 3- CH_3); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 159.7, 158.7, 148.9, 139.5, 134.0, 133.3, 131.8, 130.2, 129.7, 129.4, 128.9, 128.7, 127.8, 114.5, 114.4, 114.3, 62.9, 55.6, 55.3, 55.3, 39.4, 16.4; HRMS (APCI-Q-TOF) m/z calc. for $C_{25}H_{27}N_2O_5$ $[M+H]^+$: 435.1220, found 435.1938.

Cis-2-(benzo[*d*][1,3]dioxol-5-yl)-6-methoxy-4-(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline (4k).

Dark red solid (372 mg, 0.84 mmol, 84%); R_f [hexane-AcOEt 3:1]: 0.45; mp 152 - 154 °C; IR (ATR) $\nu_{\max}$ = 3323, 2923, 1607, 1579, 1503, 1316, 1246, 1039 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 8.43 (s, 1H, NH), 7.43 (d, J = 2.9 Hz, 1H, H-7), 7.07 (d, J = 8.8 Hz, 2H, H-Ar), 6.91 – 6.88 (m, 3H, H-Ar), 6.87 – 6.85 (m, 1H, H-Ar), 6.80 (d, J = 8.0 Hz, 1H, H-Ar), 6.47 (bs, 1H, H-5), 5.99 (s, 2H, OCH_2O), 4.22 (d, J = 10.1 Hz, 1H, H-2), 3.82 (s, 3H, OCH_3), 3.79 (d, J = 11.1 Hz, 1H, H-4), 3.68 (s, 3H, OCH_3), 2.14 – 2.07 (m, 1H, H-3), 0.60 (d, J = 6.6 Hz, 3H, 3- CH_3); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 158.7, 148.9, 148.2, 147.7, 139.4, 135.1, 133.9,

131.7, 130.1, 129.8, 127.7, 121.4, 114.4, 108.3, 107.5, 104.3, 101.3, 63.3, 55.6, 55.3, 51.3, 39.4, 16.4; HRMS (APCI-Q-TOF) m/z calc. for $C_{25}H_{25}N_2O_6$ $[M+H]^+$: 449.1712, found 449.1731.

Cis-4-(4-methoxyphenyl)-3-methyl-6-methoxy-8-nitro-2-(thiophen-2-yl)-1,2,3,4-

tetrahydroquinoline (4l). Red solid (254 mg, 0.60 mmol, 62%); R_f [hexane-AcOEt 5:1]: 0.42; mp 135 - 137 °C; NMR 1H (400 MHz, $CDCl_3$) δ (ppm): 8.51 (s, 1H, NH), 7.43 (dd, $J=3.1$, 0.9. 1H, H-7), 7.32 (d, $J=6.8$. 1H, H-Ar), 7.12 (dd, $J=3.5$. 1.3. 1H, H-Ar), 7.08 (d, $J=8.7$. 2H, H-Ar), 7.01 – 6.98 (m, 1H, H-Ar), 6.90 (d, $J=8.8$. 2H, H-Ar), 6.47 (bs, 1H, H-5), 4.65 (d, $J=10.1$. 1H, H-2), 3.82 (s, 3H, OCH_3), 3.71 (d, $J=11.4$. 1H, H-4), 3.68 (s, 3H, OCH_3), 2.18 (m, 1H, H-3), 0.67 (d, $J=6.5$. 3H, 3- CH_3); NMR ^{13}C (100 MHz, $CDCl_3$) δ (ppm): 158.7, 149.1, 144.7, 138.5, 133.8, 131.5, 130.2, 130.1, 127.7, 126.7, 126.4, 125.5, 114.4, 104.5, 58.8, 55.6, 55.3, 51.3, 40.5, 16.6; HRMS (APCI-Q-TOF) m/z calc. for $C_{22}H_{23}N_2O_4S$ $[M+H]^+$: 411.1378, found 411.1385.

Cis-4-(4-hydroxy-3-methoxyphenyl)-3-methyl-2-phenyl-8-nitro-1,2,3,4-tetrahydroquinoline

(4m). Red solid (185 mg, 0.44 mmol, 88%); R_f [hexane-AcOEt 3:1]: 0.47; mp 199 - 201 °C; NMR 1H (400 MHz, $CDCl_3$) δ (ppm): 8.49 (s, 1H, NH), 8.01 (d, $J = 8.6$, 1H, H-7), 7.43 – 7.36 (m, 5H, H-Ar), 6.91 (d, $J=8.0$, 1H, H-Ar), 6.78 (d, $J = 7.3$, 1H, H-Ar), 6.72 (dd, $J = 8.1$, 2.0. 1H, H-Ar), 6.60 (d, $J = 1.9$, 1H, H-Ar), 6.45 (dd, $J = 8.7$, 7.3, 1H, H-6), 5.62 (s, 1H, OH), 4.32 (d, $J = 10.1$, 1H, H-2), 3.85 (s, 3H, 3''- OCH_3), 3.71 (d, $J = 11.5$, 1H, H-4), 2.16 – 2.11 (m, 1H, H-3), 0.61 (d, $J = 6.4$, 3H, 3- CH_3); NMR ^{13}C (100 MHz, $CDCl_3$) δ (ppm): 147.1, 144.7, 143.1, 141.2, 136.1, 134.1, 130.9, 129.5, 129.0, 128.5, 127.7, 124.9, 122.6, 114.8, 114.5, 110.7, 63.4, 56.0, 51.8, 39.2, 16.4; HRMS (APCI-Q-TOF) m/z calc. for $C_{23}H_{23}N_2O_4$ $[M+H]^+$: 391.1658, found 391.1649.

Cis-4-(4-hydroxy-3-methoxyphenyl)-3-methyl-6-methoxy-2-phenyl-8-nitro-1,2,3,4-

tetrahydroquinoline (4n). Red solid (218 mg, 0.52 mmol, 52%); R_f [hexane-AcOEt 3:1]: 0.42;

mp 197 - 199 °C; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 8.51 (s, 1H, NH), 7.44 (dd, $J=3.1$, 1.0, 1H, H-7), 7.42 – 7.35 (m, 5H, H-Ar), 6.90 (d, $J=8.0$, 1H, H-Ar), 6.71 (dd, $J=8.1$, 2.0, 1H, H-Ar), 6.60 (d, $J=2.0$, 1H, H-5), 6.50 (bs, 1H, H-Ar), 5.61 (s, 1H, OH), 4.31 (d, $J=10.1$, 1H, H-2), 3.85 (s, 3H, OCH_3), 3.70 (s, 3H, OCH_3), 3.66 (d, $J=11.2$, 1H, H-4), 2.18 – 2.11 (m, 1H, H-3), 0.60 (d, $J=6.5$, 3H, 3- CH_3); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 149.0, 147.1, 144.8, 141.3, 139.4, 133.6, 131.6, 129.8, 128.9, 128.5, 127.7, 127.7, 122.6, 114.6, 110.7, 104.3, 63.5, 56.0, 55.6, 51.9, 39.3, 16.4; HRMS (APCI-Q-TOF) m/z calc. for $\text{C}_{24}\text{H}_{25}\text{N}_2\text{O}_5$ $[\text{M}+\text{H}]^+$: 421.1763, found 421.1755.

***Cis*-2-(4-chlorophenyl)-4-(4-hydroxy-3-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-**

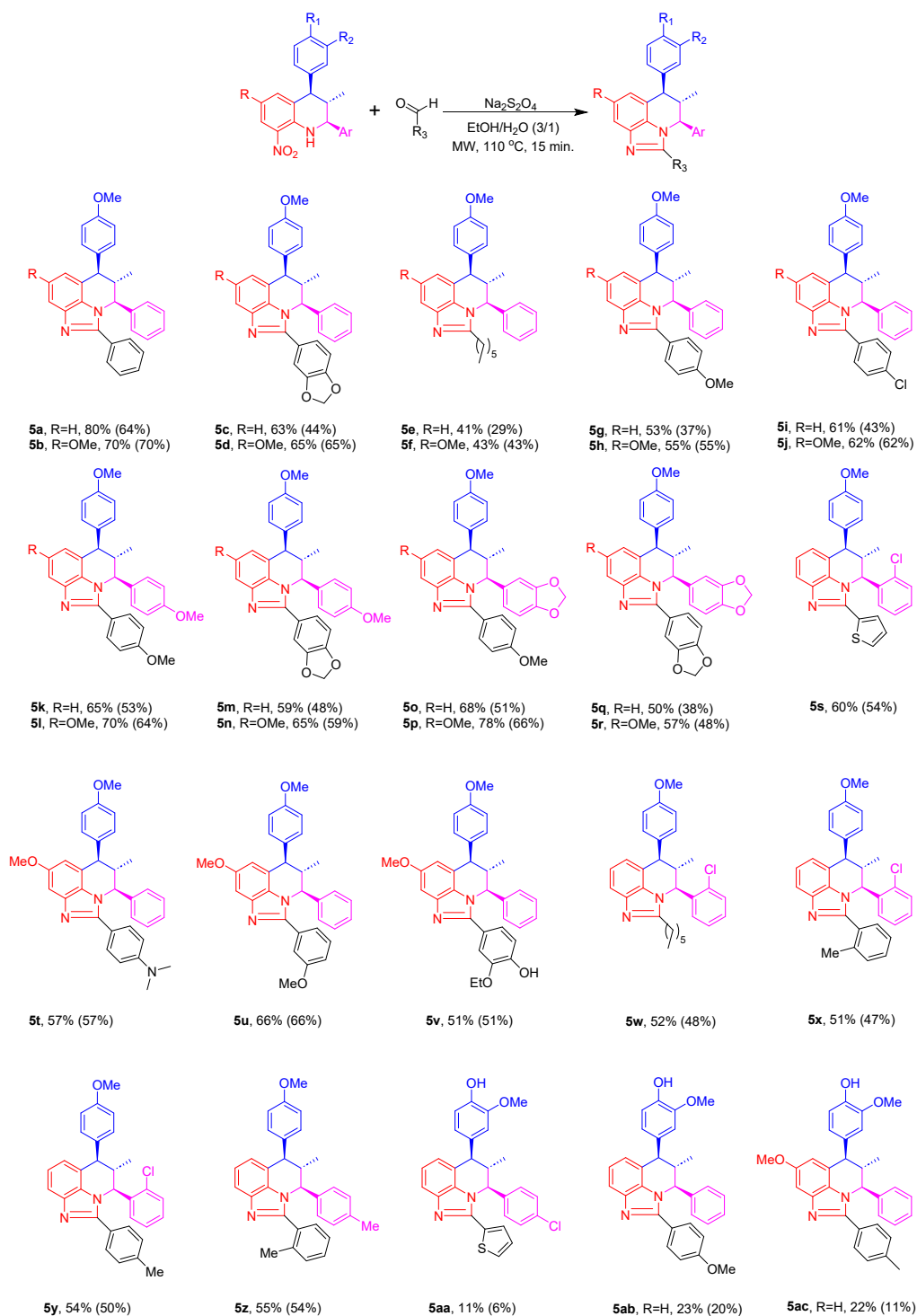
tetrahydroquinoline (4o). Orange solid (112.6 mg, 0.26 mmol, 53%); R_f [hexane-AcOEt 3:1]: 0.47; mp 195 - 197 °C; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 8.42 (s, 1H, NH), 8.00 (d, $J=8.6$, 1H, H-7), 7.38 (s, 4H, H-Ar), 6.91 (d, $J=8.1$, 1H, H-Ar), 6.79 (d, $J=7.3$, 1H, H-Ar), 6.71 (dd, $J=8.1$, 2.0, 1H, H-Ar), 6.60 (d, $J=2.0$, 1H, H-Ar), 6.46 (dd, $J=8.7$, 7.2, 1H, H-6), 5.64 (s, 1H, OH), 4.31 (d, $J=10.1$, 1H, H-2), 3.85 (s, 3H, OCH_3), 3.70 (d, $J=11.5$, 1H, H-4), 2.09 (m, 1H, H-3), 0.61 (d, $J=6.5$, 3H, 3- CH_3); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 147.1, 144.7, 142.8, 139.8, 136.2, 134.2, 133.9, 131.0, 129.4, 129.2, 129.0, 124.9, 122.6, 115.1, 114.5, 110.7, 62.8, 56.0, 51.7, 39.2, 16.4; HRMS (APCI-Q-TOF) m/z calc. for $\text{C}_{23}\text{H}_{22}\text{ClN}_2\text{O}_4$ $[\text{M}+\text{H}]^+$: 425.1268, found 425.1257.

***Cis*-2-(4-chlorophenyl)-4-(4-hydroxy-3-methoxyphenyl)-3-methyl-6-methoxy-8-nitro-**

1,2,3,4-tetrahydroquinoline (4p). Red solid (395 mg, 0.78 mmol, 79%); R_f [hexane-AcOEt 3:1]: 0.4; mp 193 - 195 °C; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 8.43 (s, 1H, NH), 7.44 (d, $J=2.2$, 1H, H-7), 7.37 (m, 4H, H-Ar), 7.26 (s, 1H, H-Ar), 6.90 (d, $J=8.0$, 1H, H-Ar), 6.70 (dd, $J=8.1$, 2.0, 1H, H-Ar), 6.58 (d, $J=1.9$, 1H, H-Ar), 6.52 (dd, $J=3.6$, 1.6, 1H, H-5), 5.60 (s, 1H, OH), 4.29

(d, $J = 10.1$. 1H, H-2), 3.85 (s, 3H, OCH₃), 3.69 (s, 3H, OCH₃), 3.67 (d, $J = 11.4$. 1H, H4), 2.10 (m, 1H, H-3), 0.60 (d, $J = 6.5$. 3H, 3-CH₃); NMR ¹³C (100 MHz, CDCl₃) δ (ppm): 149.1, 147.1, 144.8, 139.8, 139.1, 134.2, 133.4, 131.4, 131.0, 129.2, 129.0, 127.7, 122.5, 114.6, 104.4, 62.9, 56.0, 55.6, 51.8, 39.4, 16.4; HRMS (APCI-Q-TOF) m/z calc. for C₂₄H₂₄ClN₂O₅ [M+H]⁺: 455.1373, found 455.1362.

Characterization data for imidazo[4,5,1-*ij*]quinolines 5a-5ac.



Cis-6-(4-methoxyphenyl)-5-methyl-2,4-diphenyl-5,6-dihydro-4H-imidazo[4,5,1-*ij*]quinoline (5a). White solid (91.6 mg, 0.21 mmol, 80%); R_f [P.E-AcOEt 2:1] = 0.41; mp 224 – 226 °C; IR (ATR) $\nu_{\max}$ = 2991, 1604, 1506, 1250, 1029, 767, 746 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.72 (d, J = 8.1 Hz, 1H, 9-H), 7.44 (dd, J = 7.6, 1.8 Hz, 2H, H-Ar), 7.24 – 7.20 (m, 1H, 8-H), 7.19 – 7.14 (m, 3H, H-Ar), 7.07 (d, J = 8.6 Hz, 2H, H-3'',5''), 6.98 – 6.93 (m, 3H, H-Ar), 6.83 (dd, J = 7.3, 2.1 Hz, 2H, H-Ar), 6.79 (d, J = 8.7 Hz, 2H, H-2'',6''), 6.71 (d, J = 7.3 Hz, 1H, H-7), 5.33 (d, J = 8.0 Hz, 1H, H-4), 4.07 (d, J = 9.0 Hz, 1H, H-6), 3.79 (s, 3H, 4''-OCH₃), 2.66 – 2.58 (m, 1H, H-5), 0.88 (d, J = 6.6 Hz, 3H, 5-CH₃); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 158.3, 152.8, 140.9, 139.8, 134.2, 133.2, 131.2, 130.0, 128.9, 128.9, 128.1, 127.8, 127.7, 127.5, 125.8, 122.5, 120.8, 117.2, 113.9, 65.7, 55.3, 47.9, 45.1, 17.7. HRMS (APCI-Q-TOF) m/z calc. for $\text{C}_{30}\text{H}_{27}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 431.2195, found: 431.2123

Cis-8-methoxy-6-(4-methoxyphenyl)-5-methyl-2,4-diphenyl-5,6-dihydro-4H-imidazo[4,5,1-*ij*]quinoline (5b). White solid (79.7 mg, 0.17 mmol, 70 %); R_f [hexane-AcOEt 1:1] = 0.47; mp 227 - 229 °C; IR (ATR) $\nu_{\max}$ = 2973, 1603 y 1512, 1242, 1025, 755, 723 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.43 (dd, J = 7.6, 1.9 Hz, 2H, H-Ar), 7.20 (d, J = 2.9 Hz, 1H, H-9), 7.15 (d, J = 7.4 Hz, 3H, H-Ar), 7.05 (d, J = 8.8 Hz, 2H, H-2'',6''), 6.96 – 6.93 (m, 3H, H-Ar), 6.83 (dd, J = 7.5, 2.1 Hz, 2H, H-Ar), 6.77 (d, J = 8.6 Hz, 2H, H-3'',5''), 6.40 (bs, 1H, H-7), 5.31 (d, J = 7.9 Hz, 1H, H-4), 4.03 (d, J = 8.4 Hz, 1H, H-6), 3.82 (s, 3H, 8-OCH₃), 3.78 (s, 3H, 4''-OCH₃), 2.62 (m, 1H, H-5), 0.88 (d, J = 6.8 Hz, 3H, 5-CH₃); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 158.3, 157.0, 152.7, 141.1, 139.6, 132.9, 131.0, 129.9, 129.0, 128.9, 128.8, 128.1, 127.8, 127.7, 127.5, 126.3, 113.9, 111.4, 99.3, 65.6, 55.9, 55.3, 47.8, 45.2, 17.7; HRMS (ESI-Q-TOF) m/z calc. for $\text{C}_{31}\text{H}_{29}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$: 461.2229, found 461.2219.

Cis-2-(benzo[*d*][1,3]dioxol-5-yl)-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5c). Yellowish white solid (79.8 mg, 0.16 mmol, 63%); R_f [hexane-AcOEt 1:1] = 0.55; mp 194 - 196 °C; IR (ATR) $\nu_{\max}$ = 2950. 1603. 1508. 1468. 1250. 1032. 747. 698 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.69 (d, J = 8.1 Hz, 1H, H-9), 7.23 – 7.19 (m, 1H, H-Ar), 7.05 (d, J = 8.7 Hz, 2H, H-Ar), 7.00 (dd, J = 5.1, 2.1 Hz, 3H, H-Ar), 6.94 (dd, J = 8.1, 1.8 Hz, 1H, H-Ar), 6.91 (d, J = 1.6 Hz, 1H, H-Ar), 6.83 (dd, J = 4.8, 2.9 Hz, 2H, H-Ar), 6.78 (d, J = 8.8 Hz, 2H, H-Ar), 6.70 (d, J = 7.3 Hz, 1H, H-Ar), 6.59 (d, J = 8.0 Hz, 1H, H-Ar), 5.88 (s, 2H, OCH_2O), 5.28 (d, J = 7.9 Hz, 1H, H-4), 4.04 (d, J = 8.8 Hz, 1H, H-6), 3.78 (s, 3H, 4''- OCH_3), 2.65 – 2.57 (m, 1H, H-5), 0.88 (d, J = 6.7 Hz, 3H, 5- CH_3); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 158.3, 152.5, 148.1, 147.0, 140.7, 139.8, 134.1, 133.3, 130.0, 128.1, 127.6, 127.5, 125.6, 125.0, 123.4, 122.5, 120.7, 117.0, 113.8, 109.4, 107.8, 101.2, 65.6, 55.3, 47.8, 45.1, 17.8; HRMS (ESI-Q-TOF) m/z calc. for $\text{C}_{31}\text{H}_{26}\text{N}_2\text{O}_3$ $[\text{M}+\text{H}]^+$: 475.2021, found 475.2007.

Cis-2-(benzo[*d*][1,3]dioxol-5-yl)-8-methoxy-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5d). Yellowish white solid (81 mg, 0.16 mmol, 65%); R_f [hexane-AcOEt 1:1]: 0.37; mp 199 – 201 °C; IR (ATR) $\nu_{\max}$ = 2962. 1603. 1480. 1431. 1248. 1030. 727 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.16 (d, J = 2.2 Hz, 1H, H-Ar), 7.03 (d, J = 8.8 Hz, 2H, H-Ar), 7.00 (dd, J = 5.1, 2.1 Hz, 3H, H-Ar), 6.93 (dd, J = 8.1, 1.7 Hz, 1H, H-Ar), 6.89 (d, J = 1.7 Hz, 1H, H-Ar), 6.84 – 6.82 (m, 2H, H-Ar), 6.76 (d, J = 8.8 Hz, 2H, H-Ar), 6.58 (d, J = 8.1 Hz, 1H H-Ar), 6.38 (bs, 1H, H-Ar), 5.88 (d, J = 2.7 Hz, 2H, OCH_2O), 5.26 (d, J = 7.8 Hz, 1H, H-4), 4.02 (d, J = 8.7 Hz, 1H, H-6), 3.81 (s, 3H, OCH_3), 3.77 (s, 3H, OCH_3), 2.62 (m, 1H, H-5), 0.88 (d, J = 6.6 Hz, 3H, 5- CH_3); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 158.3, 157.0, 152.4, 148.0, 146.9, 139.6, 132.9, 129.9, 128.1, 127.6, 127.5, 126.2, 123.3, 113.9, 111.2, 109.3, 107.8, 101.2,

99.3, 65.6, 55.9, 55.3, 47.8, 45.1, 17.8; HRMS (ESI-Q-TOF) m/z calc. for $C_{32}H_{29}N_2O_4$ $[M+H]^+$: 505.2127, found 505.2108.

***Cis*-2-(hexyl)-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5e).** White solid (48 mg, 0.10 mmol, 41%); R_f [hexane-AcOEt 2:1] = 0.51; mp 162 - 164 °C; IR (ATR) $\nu_{\max}$ = 2960. 2927. 1603. 1504. 1244. 1030. 752. 749 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.57 (d, J = 8.1 Hz, 1H, H-9), 7.34 (m, 3H, H-Ar), 7.25 – 7.20 (m, 2H, H-Ar), 7.14 – 7.08 (m, 3H, H-Ar), 6.85 (d, J = 8.8 Hz, 2H, H-Ar), 6.56 (d, J = 7.4 Hz, 1H, H-Ar), 4.95 (d, J = 9.1 Hz, 1H, H-4), 3.95 (d, J = 10.1 Hz, 1H, H-6), 3.80 (s, 3H, 4''-OCH₃), 2.62 – 2.52 (m, 1H, H-5), 2.30 – 2.19 (m, 1H), 1.95 (ddd, J = 15.3, 10.1, 5.6 Hz, 1H), 1.63 – 1.48 (m, 2H), 1.28 – 1.16 (m, 3H), 1.15 – 1.05 (m, 3H), 0.83 (t, J = 7.2 Hz, 3H), 0.79 (d, J = 6.6 Hz, 3H, 5-CH₃); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 158.5, 155.3, 140.1, 139.7, 133.7, 133.4, 130.2, 128.9, 128.5, 127.9, 125.5, 121.9, 119.8, 116.3, 113.9, 65.3, 55.3, 48.5, 44.8, 31.4, 29.1, 29.0, 27.6, 22.5, 16.9, 14.1; HRMS (ESI-Q-TOF) m/z calc. for $C_{30}H_{35}N_2O$ $[M+H]^+$: 439.2746, found 439.2749.

***Cis*-2-(hexyl)-8-methoxy-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5f).** White solid (49.8 mg, 0.10 mmol, 43%); R_f [hexane-AcOEt 2:1] = 0.33; mp 187 - 189 °C; IR (ATR) $\nu_{\max}$ = 2961. 2920. 1603. 1507. 1141. 1248. 1027. 824 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.34 (dd, J = 5.1, 2.1 Hz, 3H, H-Ar), 7.23 (dd, J = 7.3, 2.4 Hz, 2H, H-Ar), 7.11 (d, J = 8.8 Hz, 2H, H-Ar), 7.07 (d, J = 3.0 Hz, 1H, H-Ar), 6.84 (d, J = 8.8 Hz, 2H, H-Ar), 6.23 (bs, 1H, H-Ar), 4.91 (d, J = 9.1 Hz, 1H, H-4), 3.89 (d, J = 10.0 Hz, 1H, H-6), 3.80 (s, 3H OCH₃), 3.77 (s, 3H, OCH₃), 2.58 – 2.52 (m, 1H, H-5), 2.24 – 2.15 (m, 1H), 1.92 (m, 1H), 1.59 – 1.47 (m, 2H), 1.27 – 1.15 (m, 3H), 1.13 – 1.03 (m, 3H), 0.83 (t, J = 7.2 Hz, 3H), 0.77 (d, J = 6.6 Hz, 3H, 5-CH₃); NMR ^{13}C (100 MHz, CDCl_3) δ ppm: 158.5, 156.5, 155.3, 139.7, 133.1, 130.1, 128.9, 128.6, 128.5, 126.0, 114.0, 109.9, 99.0, 65.2, 55.9, 55.3, 48.6, 44.9, 31.4, 29.0, 29.0,

27.7, 22.5, 16.8, 14.1; HRMS (ESI-Q-TOF) m/z calc. for $C_{31}H_{37}N_2O_2$ $[M+H]^+$: 469.2855, found 469.2850.

Cis-2,6-bis(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5g). White solid (65.2 mg, 0.14 mmol, 53%); R_f [hexane-AcOEt 1:1] = 0.47; mp 209 - 211 °C; IR (ATR) $\nu_{\max}$ = 2944. 1606. 1504. 1245. 1025. 842. 748. 692 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.71 (d, J = 8.1 Hz, 1H, H-9), 7.41 (d, J = 8.9 Hz, 2H, H-Ar), 7.21 (dd, J = 8;1, 7;4 Hz 1H, H-Ar), 7.02 (d, J = 8.8 Hz, 2H, H-Ar), 6.97 – 6.94 (m, 3H, H-Ar), 6.80 (dd, J = 7.2. 2.3 Hz, 2H, H-Ar), 6.75 (d, J = 8.9 Hz, 2H, H-Ar), 6.72 (d, J = 7.4 Hz, 1H, H-Ar), 6.69 (d, J = 8.9 Hz, 2H, H-Ar), 5.32 (d, J = 7.5 Hz, 1H, H-4), 4.06 (d, J = 8.1 Hz, 1H, H-6), 3.77 (s, 3H, OCH_3), 3.74 (s, 3H, OCH_3), 2.70 – 2.64 (m, 1H, H-5), 0.91 (d, J = 6.8 Hz, 3H, 5- CH_3); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 160.1, 158.3, 152.9, 141.0, 140.0, 134.1, 133.5, 130.4, 130.0, 128.2, 127.6, 127.5, 125.3, 123.6, 122.5, 120.8, 117.1, 113.8, 113.4, 65.4, 55.4, 47.7, 45.1, 18.2; HRMS (ESI-Q-TOF) m/z calc. for $C_{31}H_{28}N_2O_2$ $[M+H]^+$: 461.2229, found 461.2224.

Cis-8-methoxy-2,6-bis(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5h). White solid (66.7 mg, 0.13 mmol, 55%); R_f [hexane-AcOEt 1:2] = 0.47; mp 198 - 200 °C; IR (ATR) $\nu_{\max}$ = 2952. 1603. 1512. 1245. 1028. 727 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.39 (d, J = 8.4 Hz, 2H, H-Ar), 7.19 (d, J = 2.3 Hz, 1H, H-Ar), 7.00 (d, J = 8.7 Hz, 2H, H-Ar), 6.97 – 6.92 (m, 3H, H-Ar), 6.82 – 6.78 (m, 2H, H-Ar), 6.73 (d, J = 8.7 Hz, 2H, H-Ar), 6.67 (d, J = 8.9 Hz, 2H, H-Ar), 6.40 (bs, 1H, H-Ar), 5.30 (d, J = 7.3 Hz, 1H, H-4), 4.01 (d, J = 8.2 Hz, 1H, H-6), 3.82 (s, 3H, OCH_3), 3.76 (s, 3H, OCH_3), 3.73 (s, 3H, OCH_3), 2.66 (m, 1H, H-5), 0.90 (d, J = 6.7 Hz, 3H, 5- CH_3); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 160.0, 158.2, 156.9, 152.8,

141.3, 139.8, 133.1, 130.2, 129.9, 128.8, 127.5, 127.4, 125.8, 123.5, 113.8, 113.3, 111.1, 99.3, 65.3, 55.9, 55.3, 55.2, 47.6, 45.1, 18.1; HRMS (ESI-Q-TOF) m/z calc. for $C_{32}H_{31}N_2O_3$ $[M+H]^+$: 491.2334, found 491.2305.

Cis-2-(4-chlorophenyl)-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4H-

imidazo[4,5,1-*ij*]quinoline (5i). White solid (75.8 mg, 0.16 mmol, 61%); R_f [hexane-AcOEt 2:1] = 0.53; mp 211 – 213 °C; IR (ATR) $\nu_{\max}$ = 2942. 1605. 1509. 1248. 1028. 829. 750. 702 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.72 (d, J = 8.2 Hz, 1H, H-9), 7.36 (d, J = 8.6 Hz, 2H, H-Ar), 7.22 (t, J = 7.8 Hz, 1H, H-Ar), 7.11 (d, J = 8.6 Hz, 2H, H-Ar), 7.07 (d, J = 8.8 Hz, 2H, H-Ar), 7.01 – 6.98 (m, 3H, H-Ar), 6.85 – 6.80 (m, 4H, H-Ar), 6.71 (d, J = 7.4 Hz, 1H, H-Ar), 5.26 (d, J = 8.3 Hz, 1H, H-4), 4.04 (d, J = 9.2 Hz, 1H, H-6), 3.79 (s, 3H, 4''-OCH₃), 2.58 (m, 1H, H-5), 0.86 (d, J = 6.6 Hz, 3H, 5-CH₃); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 158.4, 151.6, 140.7, 139.5, 135.0, 134.2, 133.0, 130.2, 130.0, 129.6, 128.2, 128.0, 127.8, 126.0, 122.8, 121.0, 117.2, 113.9, 65.9, 55.3, 47.9, 45.1, 17.5; HRMS (ESI-Q-TOF) m/z calc. for $C_{31}H_{26}\text{ClN}_2\text{O}$ $[M+H]^+$: 465.1733, found 465.1727.

Cis-2-(chlorophenyl)-8-methoxy-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4H-

imidazo[4,5,1-*ij*]quinoline (5j). Solid light brown (75.8 mg, 0.15 mmol, 62%); R_f [hexane-AcOEt 2:1] = 0.41; mp 224 - 226 °C; IR (ATR) $\nu_{\max}$ = 2931. 1606. 1508. 1245. 1035. 828. 698. 664 cm^{-1} ; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.36 (d, J = 8.6 Hz, 2H, H-Ar), 7.17 (s, 1H, H-Ar), 7.11 (d, J = 8.4 Hz, 2H, H-Ar), 7.05 (d, J = 8.7 Hz, 2H, H-Ar), 7.00 - 6.99 (m, 3H, H-Ar), 6.84 (bs, 2H, H-Ar), 6.79 (d, J = 7.8 Hz, 2H, H-Ar), 6.39 (bs, 1H, H-Ar), 5.26 (d, J = 7.9 Hz, 1H, H-4), 4.02 (d, J = 9.0 Hz, 1H, H-6), 3.82 (s, 3H, OCH₃), 3.78 (s, 3H, OCH₃), 2.62 (m, 1H, H-5), 0.87 (d, J = 6.6 Hz, 3H, 5-CH₃); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 158.4, 157.1, 151.5, 139.5, 134.8, 132.7,

130.1, 129.9, 129.0, 128.2, 128.0, 127.7, 126.5, 113.9, 111.6, 99.4, 65.8, 55.9, 55.3, 47.9, 45.2, 17.6; HRMS (APCI-Q-TOF) m/z calc. for $C_{31}H_{28}ClN_2O_2$ $[M+H]^+$: 495.1839, found 495.1838.

Cis-2,4,6-tris(4-methoxyphenyl)-5-methyl-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline (5k).

Pale yellow solid (78.8 mg, 0.16 mmol, 65%); R_f [hexane-AcOEt 1:1] = 0.38; mp 207 – 209 °C; NMR 1H (400 MHz, $CDCl_3$) δ (ppm): 7.70 (d, J = 8.1 Hz, 1H, H-Ar), 7.41 (d, J = 8.9 Hz, 2H, H-Ar), 7.23 – 7.18 (m, 1H, H-Ar), 6.99 (d, J = 8.8 Hz, 2H, H-Ar), 6.74 (d, J = 8.8 Hz, 2H, H-Ar), 6.72 – 6.66 (m, 5H, H-Ar), 6.47 (d, J = 8.8 Hz, 2H, H-Ar), 5.28 (d, J = 7.4 Hz, 1H, H-4), 4.04 (d, J = 7.9 Hz, 1H, H-6), 3.76 (s, 3H, OCH_3), 3.74 (s, 3H, OCH_3), 3.63 (s, 3H, OCH_3), 2.68 – 2.60 (m, 1H, H-5), 0.89 (d, J = 6.6 Hz, 3H, 5- CH_3); NMR ^{13}C (100 MHz, $CDCl_3$) δ (ppm): 160.1, 158.7, 158.2, 152.8, 140.8, 133.9, 133.6, 131.9, 130.3, 129.9, 128.6, 125.2, 123.5, 122.5, 120.8, 116.9, 113.7, 113.5, 113.4, 64.8, 55.2, 47.5, 45.0, 18.1; HRMS (ESI-Q-TOF) m/z calc. for $C_{32}H_{31}N_2O_3$ $[M+H]^+$: 491.2334, found 491.2344.

Cis-8-methoxy-2,4,6-tris(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4H-

imidazo[4,5,1-ij]quinoline (5l). Solid light brown (99.4 mg, 0.19 mmol, 70%); R_f [hexane-AcOEt 2:1] = 0.52; mp 162 - 164 °C; NMR 1H (400 MHz, $CDCl_3$) δ (ppm): 7.41 (d, J = 8.8 Hz, 2H, H-Ar), 7.19 (d, J = 2.3 Hz, 1H, H-Ar), 6.98 (d, J = 8.7 Hz, 2H, H-Ar), 6.73 (d, J = 8.7 Hz, 2H, H-Ar), 6.69 (dd, J = 8.8, 2.3 Hz, 4H, H-Ar), 6.48 (d, J = 8.8 Hz, 2H, H-Ar), 6.40 (bs, 1H, H-Ar), 5.27 (d, J = 7.3 Hz, 1H, H-4), 4.01 (d, J = 8.2 Hz, 1H, H-6), 3.82 (s, 3H, OCH_3), 3.76 (s, 3H, OCH_3), 3.74 (s, 3H, OCH_3), 3.64 (s, 3H, OCH_3), 2.64 (m, 1H, H-5), 0.89 (d, J = 6.7 Hz, 3H, 5- CH_3); NMR ^{13}C (100 MHz, $CDCl_3$) δ (ppm): 160.1, 158.7, 158.2, 157.0, 152.5, 133.2, 131.7, 130.3, 129.8,

128.6, 125.9, 113.8, 113.6, 113.4, 111.3, 99.1, 64.8, 56.0, 55.3, 55.2, 47.5, 45.1, 18.2; HRMS (APCI-Q-TOF) m/z calc. for $C_{33}H_{33}N_2O_4$ $[M+H]^+$: 521.2440, found 521.2446.

Cis-2-(benzo[*d*][1,3]dioxol-5-yl)-4,6-bis(4-methoxyphenyl)-5-methyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5m). Light yellow solid (73.5 mg, 0.18 mmol, 59%); R_f [hexane-AcOEt 1:1] = 0.43; mp 150 - 152 °C; NMR 1H (400 MHz, $CDCl_3$) δ (ppm): 7.71 (d, J = 8.1 Hz, 1H, H-Ar), 7.25 – 7.20 (m, 1H, H-Ar), 7.05 (d, J = 8.8 Hz, 2H, H-Ar), 6.97 (dd, J = 8.1, 1.8 Hz, 1H, H-Ar), 6.92 (d, J = 1.6 Hz, 1H, H-Ar), 6.80 (d, J = 8.8 Hz, 2H, H-Ar), 6.74 (t, J = 8.6 Hz, 3H, H-Ar), 6.63 (d, J = 8.0 Hz, 1H, H-Ar), 6.55 (d, J = 8.9 Hz, 2H, H-Ar), 5.91 (s, 2H, OCH_2O), 5.25 (d, J = 7.9 Hz, 1H, H-4), 4.05 (d, J = 8.9 Hz, 1H, H-6), 3.80 (s, 3H, OCH_3), 3.68 (s, 3H, OCH_3), 2.61 (m, 1H, H-5), 0.89 (d, J = 6.8 Hz, 3H, 5- CH_3); NMR ^{13}C (100 MHz, $CDCl_3$) δ (ppm): 158.8, 158.3, 152.4, 148.1, 147.0, 140.5, 133.9, 133.4, 131.7, 129.9, 128.8, 126.0, 125.6, 124.9, 123.5, 122.6, 120.8, 117.0, 113.8, 113.6, 109.5, 107.8, 101.2, 65.1, 55.3, 47.8, 45.1, 17.7; HRMS (ESI-Q-TOF) m/z calc. for $C_{32}H_{29}N_2O_4$ $[M+H]^+$: 505.2127, found 505.2136.

Cis-2-(benzo[*d*][1,3]dioxol-5-yl)-8-methoxy-4,6-bis(4-methoxyphenyl)-5-methyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5n). Solid light brown (98.4mg, 0.18 mmol, 65%); R_f [hexane-AcOEt 2:1] = 0.52; mp 163 - 165 °C; NMR 1H (400 MHz, $CDCl_3$) δ (ppm): 7.17 (d, J = 2.3 Hz, 1H, H-Ar), 7.01 (d, J = 8.7 Hz, 2H, H-Ar), 6.95 (dd, J = 8.1, 1.8 Hz, 1H, H-Ar), 6.90 (d, J = 1.7 Hz, 1H, H-Ar), 6.76 (d, J = 8.7 Hz, 2H, H-Ar), 6.73 (d, J = 8.7 Hz, 2H, H-Ar), 6.61 (d, J = 8.1 Hz, 1H, H-Ar), 6.52 (d, J = 8.9 Hz, 2H, H-Ar), 6.37 (bs, 1H, H-Ar), 5.89 (s, 2H, OCH_2O), 5.21 (d, J = 7.8 Hz, 1H, H-4), 3.99 (d, J = 8.7 Hz, 1H, H-6), 3.81 (s, 3H, OCH_3), 3.77 (s, 3H, OCH_3), 3.66 (s, 3H, OCH_3), 2.63 – 2.54 (m, 1H, H-5), 0.86 (d, J = 6.7 Hz, 3H, 5- CH_3); NMR ^{13}C (100

MHz, CDCl₃) δ (ppm): δ 158.8, 158.3, 157.0, 152.2, 148.1, 147.0, 133.0, 131.6, 129.9, 128.7, 128.7, 126.2, 124.7, 123.4, 113.9, 113.5, 111.3, 109.4, 107.8, 101.2, 99.1, 65.1, 56.0, 55.3, 47.8, 45.1, 17.8; HRMS (ESI-Q-TOF) m/z calc. for C₃₃H₃₁N₂O₅ [M+H]⁺: 535.2233, found 535.2226.

Cis-4-(benzo[d][1,3]dioxol-5-yl)-2,6-bis(4-methoxyphenyl)-5-methyl-5,6-dihydro-4H-

imidazo[4,5,1-*ij*]quinoline (5o). White solid (86.8 mg, 0.16 mmol, 68%), R_f [hexane-AcOEt 1:1] = 0.41. mp 246 - 248 °C. NMR ¹H (400 MHz, CDCl₃) δ (ppm): 7.71 (d, J = 8.1 Hz, 1H, H-Ar), 7.47 (d, J = 8.9 Hz, 2H, H-Ar), 7.25 – 7.20 (m, 1H, H-Ar), 6.98 (d, J = 8.7 Hz, 2H, H-Ar), 6.80 – 6.70 (m, 6H, H-Ar), 6.40 (d, J = 8.1 Hz, 1H, H-Ar), 6.26 (dd, J = 8.2, 1.9 Hz, 1H, H-Ar), 6.18 (d, J = 1.9 Hz, 1H, H-Ar), 5.76 (s, 2H, OCH₂O), 5.27 (d, J = 6.9 Hz, 1H, H-4), 4.07 (d, J = 7.6 Hz, 1H, H-6), 3.78 (s, 3H, OCH₃), 3.77 (s, 3H, OCH₃), 2.71 (m, 1H, H-5), 0.93 (d, J = 6.7 Hz, 3H, 5-CH₃), NMR ¹³C (100 MHz, CDCl₃) δ (ppm): 160.2, 158.1, 152.7, 147.4, 146.6, 140.9, 133.7, 130.4, 129.7, 126.0, 124.7, 123.4, 122.6, 121.1, 117.1, 113.6, 113.5, 107.7, 100.9, 64.8, 55.3, 55.2, 47.3, 44.8. 18.5. HRMS (ESI-Q-TOF) m/z calc. for C₃₂H₂₉N₂O₄ [M+H]⁺: 505.2127, found 505.2137.

Cis-4-(benzo[d][1,3]dioxol-5-yl)-8-methoxy-2,6-bis(4-methoxyphenyl)-5-methyl-5,6-

dihydro-4H-imidazo[4,5,1-*ij*]quinoline (5p). Solid light brown (92.6 mg, 0.17 mmol, 78%); R_f [hexane-AcOEt 2:1] = 0.45; mp 194 - 196 °C; NMR ¹H (400 MHz, CDCl₃) δ (ppm): 7.45 (d, J = 8.9 Hz, 2H, H-Ar), 7.20 (d, J = 2.7 Hz, 1H, H-Ar), 6.96 (d, J = 8.7 Hz, 2H, H-Ar), 6.73 (dd, J = 11.7, 8.8 Hz, 4H, H-Ar), 6.45 (s, 1H, H-Ar), 6.39 (d, J = 8.0 Hz, 1H, H-Ar), 6.26 (d, J = 8.1 Hz, 1H, H-Ar), 6.18 (bs, 1H, H-Ar), 5.75 (s, 2H, OCH₂O), 5.23 (d, J = 6.8 Hz, 1H, H-4), 4.00 (d, J = 7.3 Hz, 1H, H-6), 3.83 (s, 3H, OCH₃), 3.77 (s, 3H, OCH₃), 3.76 (s, 3H, OCH₃), 2.69 (m, 1H, H-5), 0.91 (d, J = 6.7 Hz, 3H, 5-CH₃); NMR ¹³C (100 MHz, CDCl₃) δ (ppm): 160.1, 158.1, 157.0,

152.7, 147.4, 146.6, 141.4, 133.6, 133.3, 130.3, 129.7, 128.5, 125.2, 123.4, 121.1, 113.7, 113.4, 111.4, 107.7, 107.6, 100.9, 99.5, 64.7, 55.9, 55.3, 47.3, 44.9, 18.5; HRMS (ESI-Q-TOF) m/z calc. for $C_{33}H_{31}N_2O_5$ $[M+H]^+$: 535.2233, found 535.2234.

Cis-2,4-bis(benzo[d][1,3]dioxol-5-yl)-6-(4-methoxyphenyl)-5-methyl-5,6-dihydro-4H-imidazo[4,5,1-*ij*]quinoline (5q). Light yellow solid (65 mg, 0.11 mmol, 50 %); R_f [hexane-AcOEt 1:1] = 0.46; mp 208 - 210 °C; NMR 1H (400 MHz, $CDCl_3$) δ (ppm): 7.68 (d, J = 8.2 Hz, 1H, H-Ar), 7.21 (s, 1H, H-Ar), 7.06 – 6.95 (m, 5H, H-Ar), 6.77 (d, J = 8.7 Hz, 2H, H-Ar), 6.67 (d, J = 8.1 Hz, 1H, H-Ar), 6.44 (d, J = 8.0 Hz, 1H, H-Ar), 6.30 (dd, J = 8.0, 1.9 Hz, 1H, H-Ar), 6.21 (d, J = 1.9 Hz, 1H, H-Ar), 5.93 (d, J = 2.2 Hz, 2H, OCH_2O), 5.79 (d, J = 1.2 Hz, 2H, OCH_2O), 5.23 (d, J = 7.4 Hz, 1H, H-4), 4.06 (d, J = 8.3 Hz, 1H, H-6), 3.78 (s, 3H, OCH_3), 2.66 (m, 1H, H-5), 0.91 (d, J = 6.7 Hz, 3H, 5- CH_3); NMR ^{13}C (100 MHz, $CDCl_3$) δ (ppm): 158.2, 152.3, 148.2, 147.4, 147.0, 146.7, 133.7, 133.5, 133.4, 129.8, 125.0, 124.8, 123.4, 122.6, 121.4, 121.1, 117.0, 113.7, 109.4, 107.8, 107.6, 107.5, 101.2, 101.0, 65.0, 55.2, 47.4, 44.8, 18.1; HRMS (ESI-Q-TOF) m/z calc. for $C_{32}H_{27}N_2O_5$ $[M+H]^+$: 519.1920, found 519.1931.

Cis-2,4-bis(benzo[d][1,3]dioxol-5-yl)-6-(4-methoxyphenyl)-8-methoxy-5-methyl-5,6-dihydro-4H-imidazo[4,5,1-*ij*]quinoline (5r). Solid light brown (69.4 mg, 0.15 mmol, 57%); R_f [hexane-AcOEt 2:1] = 0.52; mp 199 - 201 °C; NMR 1H (400 MHz, $CDCl_3$) δ (ppm): 7.17 (d, J = 1.3 Hz, 1H, H-Ar), 7.01 – 6.96 (m, 4H, H-Ar), 6.75 (d, J = 8.8 Hz, 2H, H-Ar), 6.66 (d, J = 8.1 Hz, 1H, H-Ar), 6.45 – 6.41 (m, 2H, H-Ar), 6.30 (dd, J = 8.1, 1.9 Hz, 1H, H-Ar), 6.21 (d, J = 1.8 Hz, 1H, H-Ar), 5.94 – 5.91 (d, J = 1.4 Hz, 1H, OCH_2O), 5.78 (d, J = 1.4 Hz, 1H, OCH_2O), 5.20 (d, J = 7.2 Hz, 1H, H-4), 4.01 (d, J = 8.0 Hz, 1H, H-6), 3.82 (s, 3H, OCH_3), 3.77 (s, 3H, OCH_3), 2.68 –

2.61 (m, 1H, H-5), 0.90 (d, J = 6.8 Hz, 3H, 5-CH₃); NMR ¹³C (100 MHz, CDCl₃) δ (ppm): 158.2, 156.9, 152.3, 148.1, 147.4, 147.0, 146.6, 141.2, 133.5, 133.1, 129.7, 128.5, 125.5, 124.9, 123.3, 121.4, 113.7, 111.4, 109.3, 107.8, 107.6, 107.5, 101.2, 101.0, 99.4, 64.9, 55.9, 55.2, 47.4, 44.8, 18.1; HRMS (ESI-Q-TOF) m/z calc. for C₃₃H₂₉N₂O₆ [M+H]⁺: 549.2025, found 549.2029.

Cis-4-(2-chlorophenyl)-6-(4-methoxyphenyl)-5-methyl-2-(thiophen-2-yl)-5,6-dihydro-4H-imidazo[4,5,1-*ij*]quinoline (5s). Light yellow solid (62.3 mg, 0.14 mmol, 60 %); R_f [hexane-AcOEt 2:1] = 0.55; mp 228 - 230 °C; NMR ¹H (400 MHz, CDCl₃) δ (ppm): 7.79 (d, J =8.0 Hz, 1H, H-Ar), 7.37 – 7.33 (m, 1H, H-Ar), 7.31 (dd, J =5.1, 1.1 Hz, 1H, H-Ar), 7.17 (dd, J =8.0, 1.3 Hz, 1H, H-Ar), 7.06 (d, J =7.3 Hz, 1H, H-Ar), 7.00 (dd, J =3.8, 1.2 Hz, 1H, H-Ar), 6.91 (dd, J =5.1, 3.7 Hz, 1H, H-Ar), 6.89 – 6.85 (m, 1H, H-Ar), 6.81 (d, J =9.0 Hz, 2H, H-Ar), 6.59 (td, J =7.6, 1.3 Hz, 1H, H-Ar), 6.51 (d, J =8.8 Hz, 2H, H-Ar), 6.09 (dd, J =7.9, 1.7 Hz, 1H, H-Ar), 5.86 (d, J =3.4 Hz, 1H, H-4), 4.20 (d, J =4.0 Hz, 1H, H-6), 3.66 (s, 3H, OCH₃), 3.32 (m, 1H, H-5), 1.15 (d, J =7.0 Hz, 3H, 5-CH₃); NMR ¹³C (100 MHz, CDCl₃) δ (ppm): 157.8, 146.9, 141.5, 136.8, 133.8, 133.1, 132.4, 131.7, 129.2, 128.9, 128.4, 128.3, 127.8, 127.2, 126.7, 123.2, 123.1, 122.4, 117.6, 113.4, 60.6, 55.3, 45.4, 41.0, 20.5; HRMS (APCI-Q-TOF) m/z calc. for C₂₈H₂₄ClN₂OS [M+H]⁺: 471,1298, found 471,1301.

Cis-8-methoxy-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4H-imidazo[4,5,1-*ij*]quinolin-2-yl)-*N,N*-dimethylaniline (5t). Yellowish white solid (66 mg, 0.14 mmol, 57%); R_f [hexane-AcOEt 2:1] = 0.42; mp 210 - 212 °C; IR (ATR) $\nu_{\max}$ = 2927. 1609. 1508. 1235. 1133. 1035. 811 cm⁻¹; NMR ¹H (400 MHz, CDCl₃) δ (ppm): 7.43 (d, J = 8.9 Hz, 2H, H-Ar), 7.22 (d, J = 2.3 Hz, 1H, H-Ar), 6.95 – 6.87 (m, 5H, H-Ar), 6.74 (dd, J = 7.5, 2.2 Hz, 2H, H-Ar), 6.62 (d, J =

8.7 Hz, 2H, H-Ar), 6.50 (d, J = 8.9 Hz, 2H, H-Ar), 6.46 (bs, 1H, H-Ar), 5.40 (d, J = 5.9 Hz, 1H, H-4), 4.03 (d, J = 6.5 Hz, 1H, H-6), 3.84 (s, 3H, OCH₃), 3.72 (s, 3H, OCH₃), 2.90 (s, 6H, N-(CH₃)₂), 2.83 (m, 1H, H-5), 0.99 (d, J = 6.8 Hz, 3H, 5-CH₃); NMR ¹³C (100 MHz, CDCl₃) δ (ppm) 157.9, 156.8, 153.7, 150.7, 141.6, 140.0, 133.6, 129.7, 129.7, 128.5, 128.0, 127.1, 127.1, 114.0, 124.6, 118.1, 113.5, 111.4, 110.9, 99.4, 64.6, 55.9, 55.3, 47.0, 44.8, 40.2, 19.2; HRMS (APCI-Q-TOF) m/z calc. for C₃₃H₃₄N₃O₂ [M+H]⁺: 504.2651, found 504.2660.

***Cis*-8-methoxy-2-(3-methoxyphenyl)-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5u).** Solid light brown (80.3 mg, 0.16 mmol, 66%); R_f [hexane-AcOEt 1:1] = 0.41; mp 144 - 146 °C; NMR ¹H (400 MHz, CDCl₃): 7.22 (d, J = 3.0 Hz, 1H, H-Ar), 7.06 – 7.05 (m, 1H, H-Ar), 7.01 (d, J = 8.6 Hz, 2H, H-Ar), 6.95 (m, 5H, H-Ar), 6.84 – 6.77 (m, 3H, H-Ar), 6.74 (d, J = 8.7 Hz, 3H, H-Ar), 6.44 (bs, 1H, H-Ar), 5.31 (d, J = 7.4 Hz, 1H, H-4), 4.04 (d, J = 8.3 Hz, 1H, H-6), 3.83 (s, 3H, OCH₃), 3.76 (s, 3H, OCH₃), 3.65 (s, 3H, OCH₃), 2.72 – 2.64 (m, 1H, H-5), 0.90 (d, J = 6.7 Hz, 3H, 5-CH₃); NMR ¹³C (100 MHz, CDCl₃) δ (ppm): 158.9, 158.3, 157.1, 152.5, 141.0, 139.7, 132.9, 132.0, 129.8, 129.0, 128.7, 128.1, 127.5, 127.4, 126.0, 121.5, 115.5, 113.8, 113.7, 111.7, 99.4, 65.4, 56.0, 55.3, 55.2, 47.6, 45.0, 18.1; HRMS (APCI-Q-TOF) m/z calc. for C₃₂H₃₁N₂O₃ [M+H]⁺: 491.2334, found 491.2354.

***Cis*-8-methoxy-6-(4-methoxyphenyl)-5-methyl-4-phenyl-2-(3-etoxy-4-hydroxyphenyl)-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5v).** Yellowish white solid (65.8mg, 0.12 mmol, 51%); R_f [hexane-AcOEt 2:1] = 0.42; mp 182 - 184 °C; IR (ATR) $\nu_{\max}$ = 2991. 1604. 1501. 1430. 1252. 1135. 1035. 823 cm⁻¹; NMR ¹H (400 MHz, CDCl₃) δ (ppm): 7.26 (s, 1H, H-Ar), 7.21 (d, J = 2.2 Hz, 1H, H-Ar), 6.98 (m, 2H, H-Ar), 6.97 – 6.93 (m, 5H, H-Ar), 6.79 – 6.75 (m, 2H, H-Ar), 6.72

(d, $J = 8.8$ Hz, 1H, H-Ar), 6.68 (d, $J = 8.8$ Hz, 2H, H-Ar), 6.47 (bs, 1H, H-Ar), 5.32 (d, $J = 6.6$ Hz, 1H, H-4), 4.04 (d, $J = 7.2$ Hz, 1H, H-6), 3.87 (q, $J = 7.0$ Hz, 2H, CH₂), 3.84 (s, 3H, OCH₃), 3.74 (s, 3H, OCH₃), 2.77 (m, 1H, H-5), 1.31 (t, $J = 7.0$ Hz, 3H, CH₃), 0.94 (d, $J = 6.8$ Hz, 3H, 5-CH₃); NMR ¹³C (100 MHz, CDCl₃) δ (ppm): 158.1, 157.0, 152.9, 146.7, 145.3, 140.0, 133.2, 129.7, 128.5, 128.0, 127.2, 125.2, 122.5, 113.9, 113.7, 112.2, 111.5, 99.5, 64.9, 64.3, 56.0, 55.3, 47.2, 44.8, 18.8, 14.7; HRMS (APCI-Q-TOF) m/z calc. for C₃₃H₃₃N₂O₄ [M+H]⁺: 521.2440, found 521.2440.

Cis-2-(hexyl)-6-(4-methoxyphenyl)-2-(chlorophenyl)-4-phenyl-5-methyl-5,6-dihydro-4H-imidazo[4,5,1-*ij*]quinoline (5w). yellowish white solid (60.3 mg, 0.14 mmol, 52%); R_f[hexane-AcOEt 2:1] = 0.52; mp 145- 146 °C; NMR ¹H (400 MHz, CDCl₃) δ (ppm): 7.63 (d, $J = 8.1$ Hz 1H, H-Ar), 7.41 (dd, $J = 8.1, 1.3$ Hz, 1H, H-Ar), 7.19 (d, $J = 7.6$ Hz, 2H, H-Ar), 7.11 – 7.08 (m, 1H, H-Ar), 7.06 (d, $J = 8.7$ Hz, 2H, H-Ar), 6.81 (d, $J = 1.7$ Hz, 1H, H-Ar), 6.78 (d, $J = 8.8$ Hz, 2H, H-Ar), 6.72 (dt, $J = 7.4, 1.0$ Hz 1H, H-Ar), 5.67 (d, $J = 7.5$ Hz, 1H, H-4), 4.07 (d, $J = 8.5$ Hz, 1H, H-6), 3.79 (s, 3H, OCH₃), 2.85 – 2.76 (m, 1H, H-5), 2.32 – 2.22 (m, 1H), 2.16 – 2.07 (m, 1H), 1.70 – 1.55 (m, 2H), 1.28 – 1.23 (m, 2H), 1.20 – 1.14 (m, 4H), 0.95 (d, $J = 6.7$ Hz, 3H, 5-CH₃), 0.84 (t, $J = 7.2$ Hz, 3H, CH₃); NMR ¹³C (100 MHz, CDCl₃) δ (ppm) 158.4, 154.9, 140.4, 137.5, 133.7, 133.4, 129.8, 129.6, 129.2, 128.4, 127.5, 124.3, 122.1, 120.5, 116.6, 113.8, 59.6, 55.3, 47.6, 44.1, 31.3, 29.1, 28.5, 27.3, 22.5, 17.1, 14.0; HRMS (APCI-Q-TOF) m/z calc. for C₃₀H₃₄ClN₂O [M+H]⁺: 473.2359, found 473.2349

Cis-4-(2-chlorophenyl)-6-(4-methoxyphenyl)-2-(2-methylphenyl)-5-methyl-5,6-dihydro-4H-imidazo[4,5,1-*ij*]quinoline (5x). yellowish white solid (60.3 mg, 0.12 mmol, 51%); R_f[hexane-

AcOEt 2:1] = 0.52; mp 180- 182 °C; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.70 (d, $J=8.1$ Hz, 1H, H-Ar), 7.22 (d, $J=7.3$ Hz, 1H, H-Ar), 7.18 (d, $J=8.9$ Hz, 2H, H-Ar), 7.06 (ddd, $J=6.9, 4.8, 1.8$ Hz, 2H, H-Ar), 7.00 – 6.95 (m, 3H), 6.92 – 6.87 (m, 5H), 6.68 (dt, $J=7.4, 1.1$ Hz, 1H), 5.75 (d, $J=9.3$ Hz, 1H, H-4), 4.11 (d, $J=10.3$ Hz, 1H, H6), 3.82 (s, 3H, OCH_3), 2.59 (m, 1H, H-5), 2.20 (s, 3H, CH_3), 0.82 (d, $J=6.6$ Hz, 3H, 5- CH_3); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 158.6, 151.8, 140.5, 137.9, 136.9, 134.3, 133.6, 132.9, 130.6, 130.2, 129.8, 129.5, 129.0, 128.9, 128.7, 127.6, 126.9, 126.0, 125.0, 122.5, 122.3, 120.4, 117.2, 114.1, 60.3, 55.3, 48.5, 45.4, 20.0, 15.8; HRMS (APCI-Q-TOF) m/z calc. for $\text{C}_{31}\text{H}_{28}\text{ClN}_2\text{O}$ $[\text{M}+\text{H}]^+$: 479.1890, found 479.1888.

Cis-4-(2-chlorophenyl)-6-(4-methoxyphenyl)-2-(4-methylphenyl)-5-methyl-5,6-dihydro-4H-imidazo[4,5,1-*ij*]quinoline (5y). yellowish white solid (63.4 mg, 0.15 mmol, 54%); R_f [hexane-AcOEt 2:1] = 0.6; mp 222 - 224 °C; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.75 (d, $J=8.1$ Hz, 1H, H-Ar), 7.39 (d, $J=8.3$ Hz, 2H, H-Ar), 7.24 (d, $J=8.1$ Hz, 1H, H-Ar), 7.08 – 7.01 (m, 5H, H-Ar), 6.87 (td, $J=7.7, 1.7$ Hz, 1H, H-Ar), 6.80 – 6.73 (m, 4H, H-Ar), 6.50 (dd, $J=7.8, 1.7$ Hz, 1H, H-Ar), 5.89 (d, $J=7.1$ Hz, 1H, H-4), 4.14 (d, $J=7.9$ Hz, 1H, H-6), 3.76 (s, 3H, OCH_3), 2.80 (m, 1H, H-5), 2.27 (s, 3H, CH_3), 0.95 (d, $J=6.7$ Hz, 3H, 5- CH_3); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 158.3, 152.5, 140.8, 139.2, 137.8, 133.9, 133.4, 133.1, 130.0, 129.7, 129.0, 128.7, 128.6, 128.4, 128.2, 127.8, 127.0, 124.9, 122.7, 121.3, 117.2, 113.8, 60.9, 55.3, 47.3, 44.2, 21.3, 17.5; HRMS (APCI-Q-TOF) m/z calc. for $\text{C}_{31}\text{H}_{28}\text{ClN}_2\text{O}$ $[\text{M}+\text{H}]^+$: 479.1890, found 479.1878.

Cis-6-(4-methoxyphenyl)-5-methyl-2-(2-methylphenyl)-4-(4-methylphenyl)-5,6-dihydro-4H-imidazo[4,5,1-*ij*]quinoline (5z). Light yellow solid (64.8 mg, 0.14 mmol, 55%); R_f [hexane-AcOEt 2:1] = 0.52; mp 168 - 170 °C; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.66 (dt, $J=8.1, 1.0$

Hz, 1H, H-Ar), 7.20 – 7.15 (m, 3H, H-Ar), 7.07 – 7.03 (m, 1H, H-Ar), 6.99 – 6.96 (m, 1H, H-Ar), 6.94 – 6.88 (m, 4H, H-Ar), 6.74 (s, 4H, H-Ar), 6.64 (dt, $J=7.4, 1.0$ Hz, 1H, H-Ar), 4.98 (d, $J=9.4$ Hz, 1H, H-4), 4.04 (d, $J=10.4$ Hz, 1H, H-6), 3.82 (s, 3H, OCH₃), 2.60 – 2.52 (m, 1H, H-5), 2.15 (s, 3H, CH₃), 2.13 (s, 3H, CH₃), 0.75 (d, $J=6.6$ Hz, 3H, 5-CH₃); NMR ¹³C (100 MHz, CDCl₃) δ (ppm): 158.6, 152.4, 140.4, 137.2, 136.9, 136.3, 133.6, 133.2, 131.3, 130.2, 130.0, 129.5, 128.6, 128.6, 127.5, 124.7, 122.2, 120.1, 117.0, 114.0, 65.5, 55.3, 48.7, 44.6, 20.9, 20.0, 16.7; HRMS (APCI-Q-TOF) m/z calc. for C₃₂H₃₁N₂O [M+H]⁺: 459.2436, found 459.2425.

Cis-6-(4-hydroxy-3-methoxyphenyl)-4-(4-chlorophenyl)-2-(thiophen-2-yl)-5-methyl-5,6-dihydro-4H imidazo[4,5,1-*ij*]quinoline (5aa). White solid (12.4 mg, 0.03 mmol, 11%); R_f [hexane-AcOEt 2:1] = 0.35; mp > 250 °C; NMR ¹H (400 MHz, CDCl₃) δ (ppm): 7.76 (d, $J=8.1$ Hz, 1H, H-Ar), 7.34 (dd, $J=5.1$ Hz, 1.2. 1H, H-Ar), 7.33 – 7.28 (m, 2H, H-Ar), 7.03 (dd, $J=3.7, 1.1$ Hz, 1H, H-Ar), 6.97 (d, $J=7.3$ Hz, 1H, H-Ar), 6.94 – 6.90 (m, 3H, H-Ar), 6.63 (t, $J=8.4$ Hz, 3H, H-Ar), 6.42 (dd, $J=8.3, 2.7$ Hz, 1H, H-Ar), 6.23 (d, $J=2.2$ Hz, 1H, OH), 5.51 (d, $J=4.4$ Hz, 1H, H-4), 4.13 (d, $J=4.5$ Hz, 1H, H-6), 3.64 (s, 3H, OCH₃), 3.02 (m, 1H, H-5), 1.10 (d, $J=7.0$ Hz, 3H, 5-CH₃); NMR ¹³C (100 MHz, CDCl₃) δ (ppm): 147.2, 145.9, 144.1, 141.4, 138.0, 133.7, 133.0, 132.9, 132.2, 128.4, 128.2, 127.8, 127.6, 123.3, 122.9, 122.6, 121.5, 117.6, 113.8, 110.6, 63.2, 55.7, 46.5, 44.3, 20.3; HRMS (APCI-Q-TOF) m/z calc. for C₂₈H₂₄ClN₂OS [M+H]⁺: 487.1247, found 487.1259.

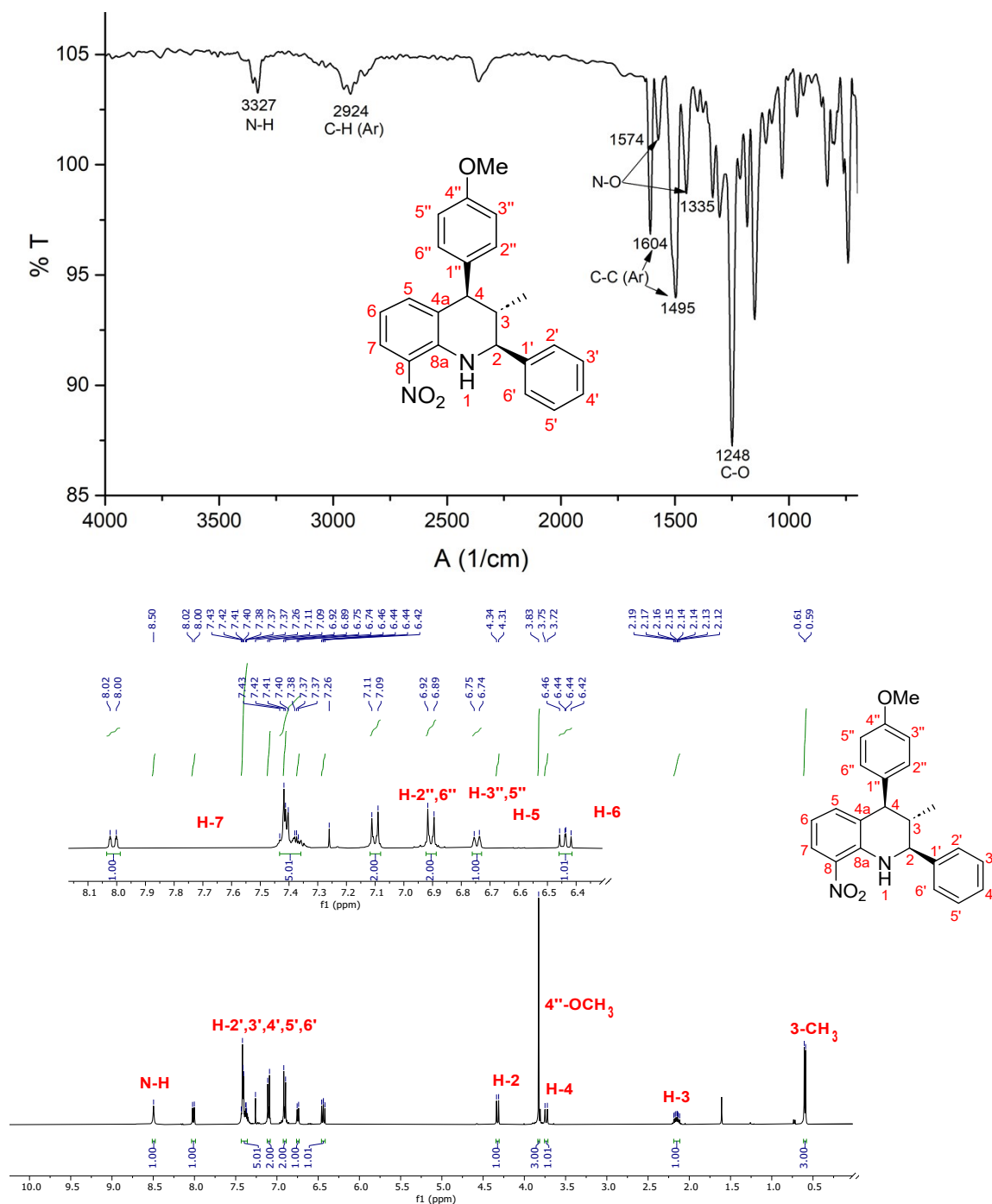
Cis-6-(4-hydroxy-3-methoxyphenyl)-2-(4-methoxyphenyl)-4-phenyl-5-methyl-5,6-dihydro-4H imidazo[4,5,1-*ij*]quinoline (5ab). Light yellow solid (29 mg, 0.06 mmol, 23%); R_f [hexane-

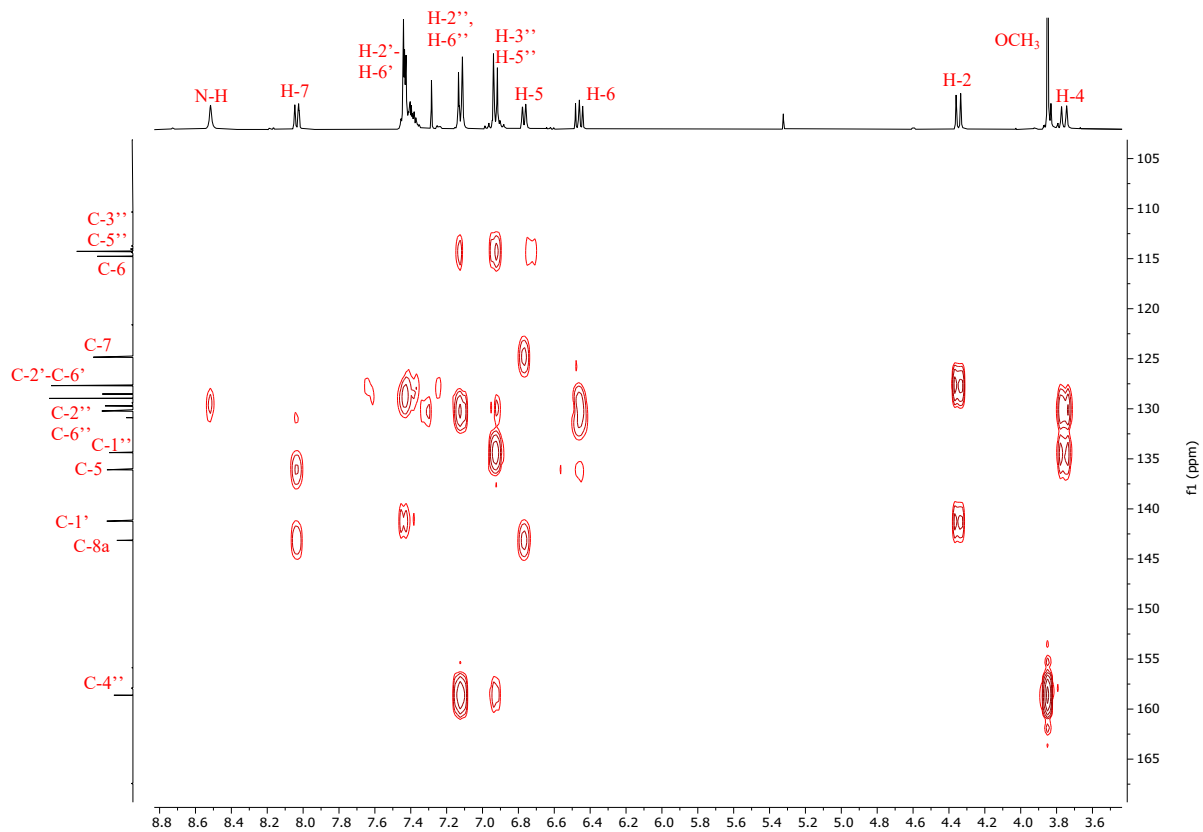
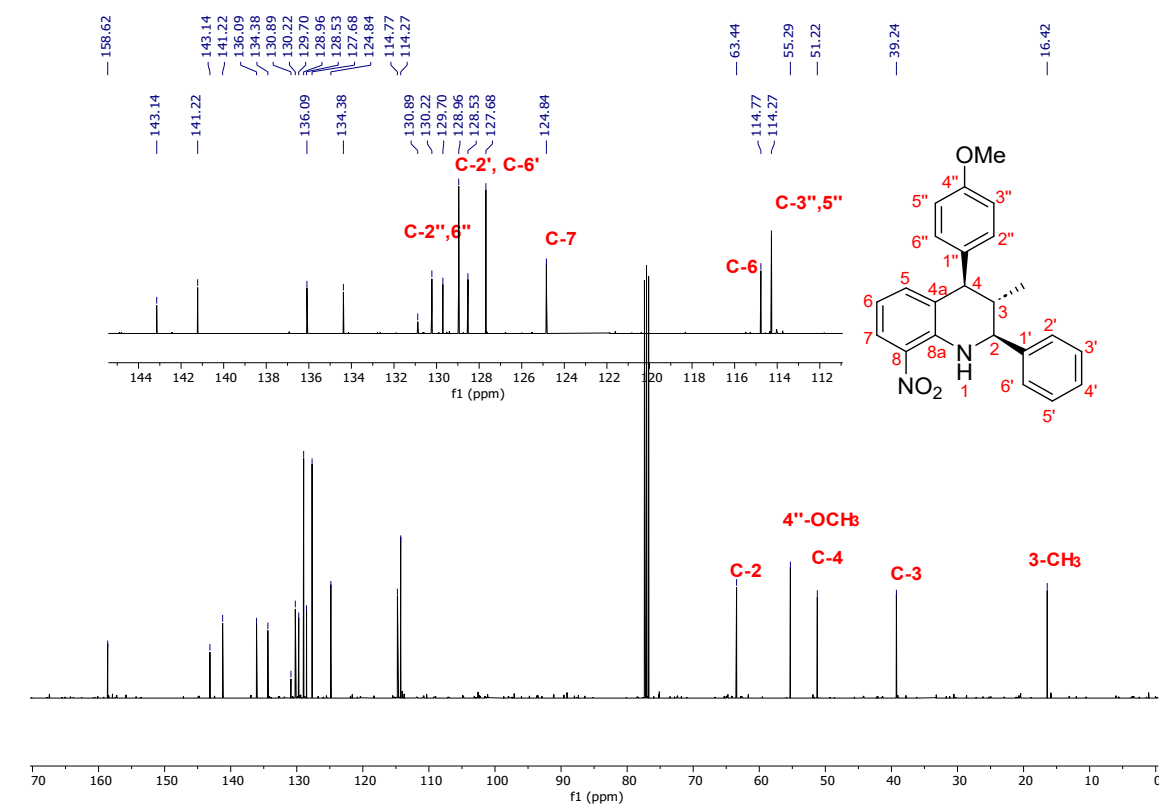
AcOEt 1:1] = 0.37; mp > 250 °C; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.70 (d, $J=8.2$ Hz, 1H, H-Ar), 7.42 (d, $J=8.9$ Hz, 2H, H-Ar), 7.24 – 7.20 (m, 1H, H-Ar), 6.98 – 6.95 (m, 3H, H-Ar), 6.83 – 6.74 (m, 4H, H-Ar), 6.70 (d, $J=9.0$ Hz, 2H, H-Ar), 6.66 (dd, $J=8.2, 2.0$ Hz, 1H, H-Ar), 6.51 (d, $J=2.1$ Hz, 1H, H-Ar), 5.57 (d, $J=4.7$ Hz, 1H, OH), 5.34 (d, $J=7.4$ Hz, 1H, H-4), 4.06 (d, $J=8.1$ Hz, 1H, H-6), 3.75 (s, 3H, OCH_3), 3.74 (s, 3H, OCH_3), 2.69 (m 1H, H-5), 0.93 (d, $J=6.6$ Hz, 3H, 5- CH_3); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 160.7, 160.1, 152.8, 146.3, 144.2, 139.9, 133.9, 133.3, 130.3, 128.1, 127.5, 127.4, 125.0, 123.4, 122.5, 122.2, 120.9, 120.8, 117.1, 114.1, 113.4, 110.8, 65.3, 55.9, 55.3, 48.0, 45.0, 18.2; HRMS (APCI-Q-TOF) m/z calc. for $\text{C}_{31}\text{H}_{29}\text{N}_2\text{O}_3$ $[\text{M}+\text{H}]^+$: 477.2178, found 477.2188.

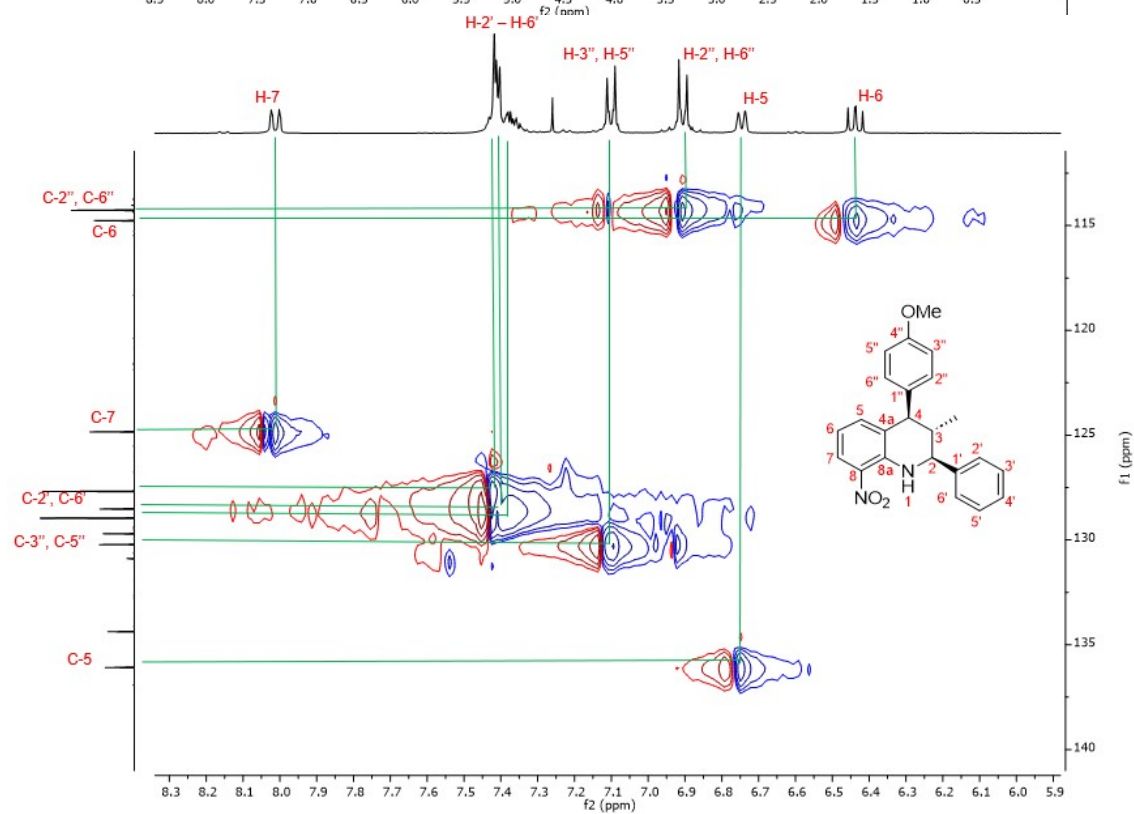
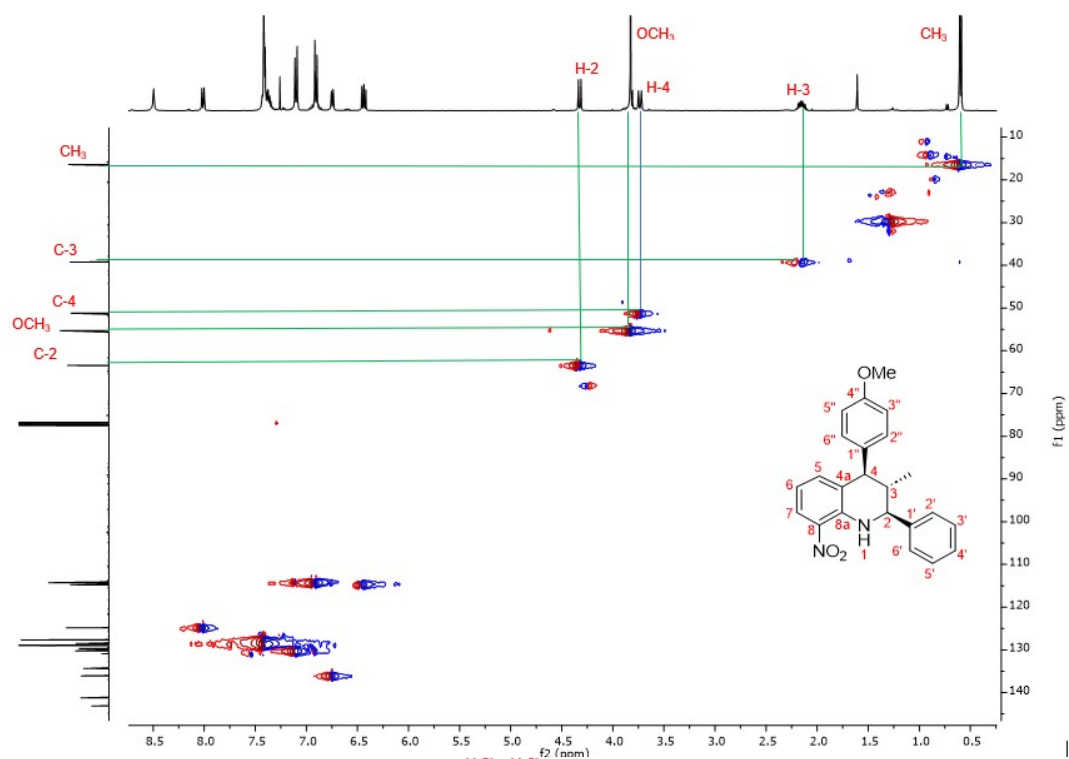
Cis-6-(4-hydroxy-3-methoxyphenyl)-2-(4-methylphenyl)-4-phenyl-5-methyl-8-methoxy-5,6-dihydro-4H imidazo[4,5,1-*ij*]quinoline (5ac). Solid light brown (25.6 mg, 0.06 mmol, 22%); R_f [hexane-AcOEt 1:1] = 0.4; mp > 250 °C; NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.36 (d, $J=8.3$ Hz, 2H, H-Ar), 7.21 (d, $J=2.4$ Hz, 1H, H-Ar), 6.99 – 6.94 (m, 5H, H-Ar), 6.82 – 6.78 (m, 2H, H-Ar), 6.76 (d, $J=8.1$ Hz, 1H, H-Ar), 6.64 (dd, $J=8.2, 2.0$ Hz, 1H, H-Ar), 6.49 (d, $J=2.2$ Hz, 1H, H-Ar), 6.45 (bs, 1H, H-Ar), 5.51 (s, 1H, H-Ar), 5.34 (d, $J=7.3$ Hz, 1H, OH), 4.02 (d, $J=8.3$ Hz, 1H, H-6), 3.84 (s, 3H, OCH_3), 3.74 (s, 3H, OCH_3), 2.69 (m, 1H, H-5), 2.25 (s, 3H, CH_3), 0.93 (d, $J=6.7$ Hz, 3H, 5- CH_3); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 156.9, 153.0, 146.3, 144.2, 139.8, 138.9, 132.9, 128.7, 128.6, 128.0, 127.4, 127.3, 125.6, 122.1, 114.1, 111.3, 110.7, 99.5, 65.2, 56.0, 55.9, 48.0, 45.0, 21.3, 18.3; HRMS (APCI-Q-TOF) m/z calc. for $\text{C}_{32}\text{H}_{31}\text{N}_2\text{O}_3$ $[\text{M}+\text{H}]^+$: 491.2334, found 491.2347.

Copies of IR, ^1H NMR, ^{13}C NMR, APT, HMBC, HSQC and HRMS of 8-nitrotetrahydroquinolines 4a-4p.

Figure 1. IR, ^1H NMR, ^{13}C NMR, HMBC, HSQC and HRMS spectra of *Cis*-4-(4-methoxyphenyl)-3-methyl-8-nitro-2-phenyl-1,2,3,4-tetrahydroquinoline (4a)







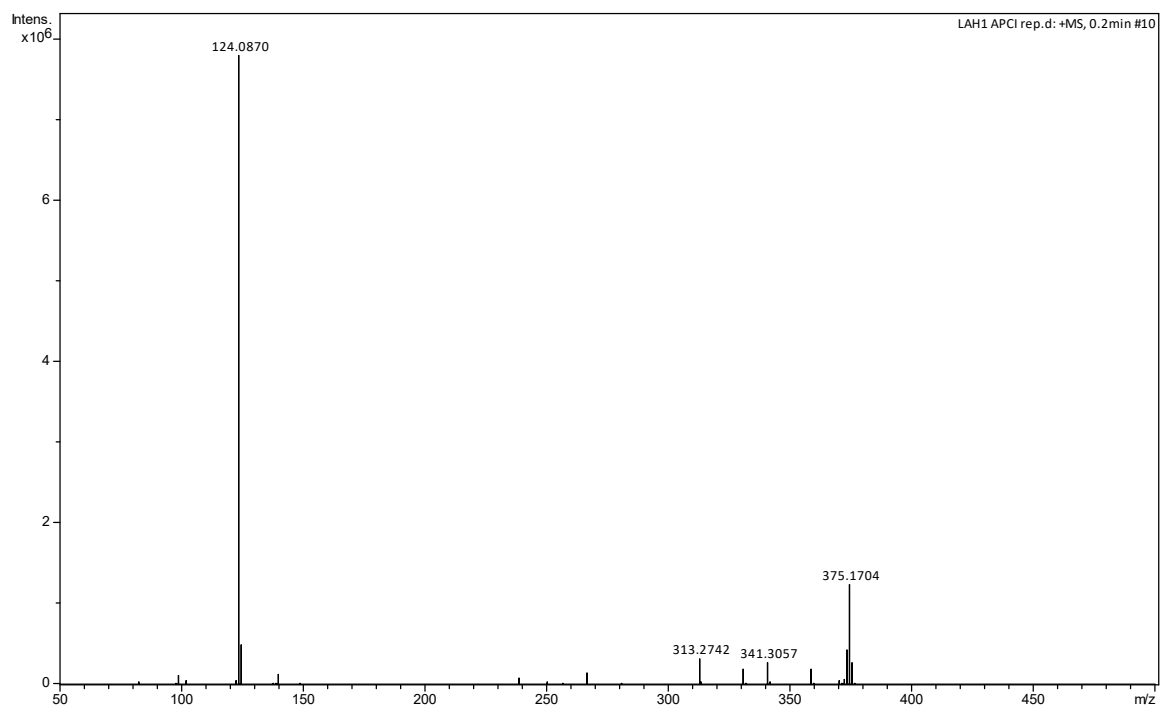
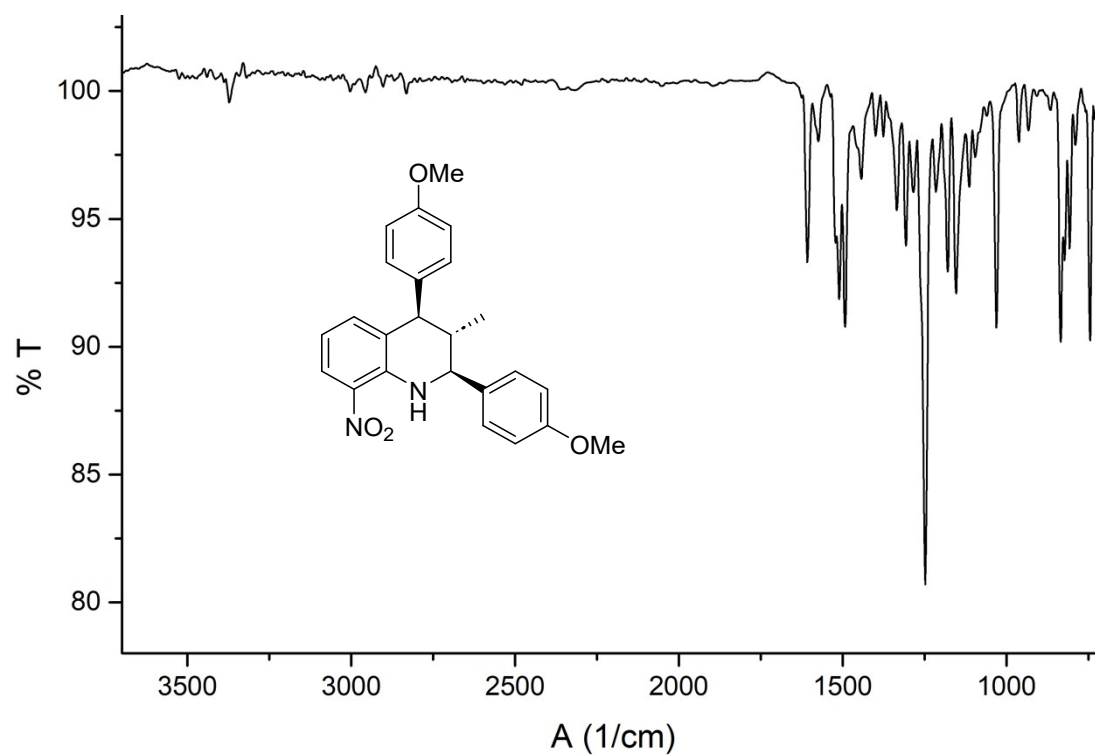
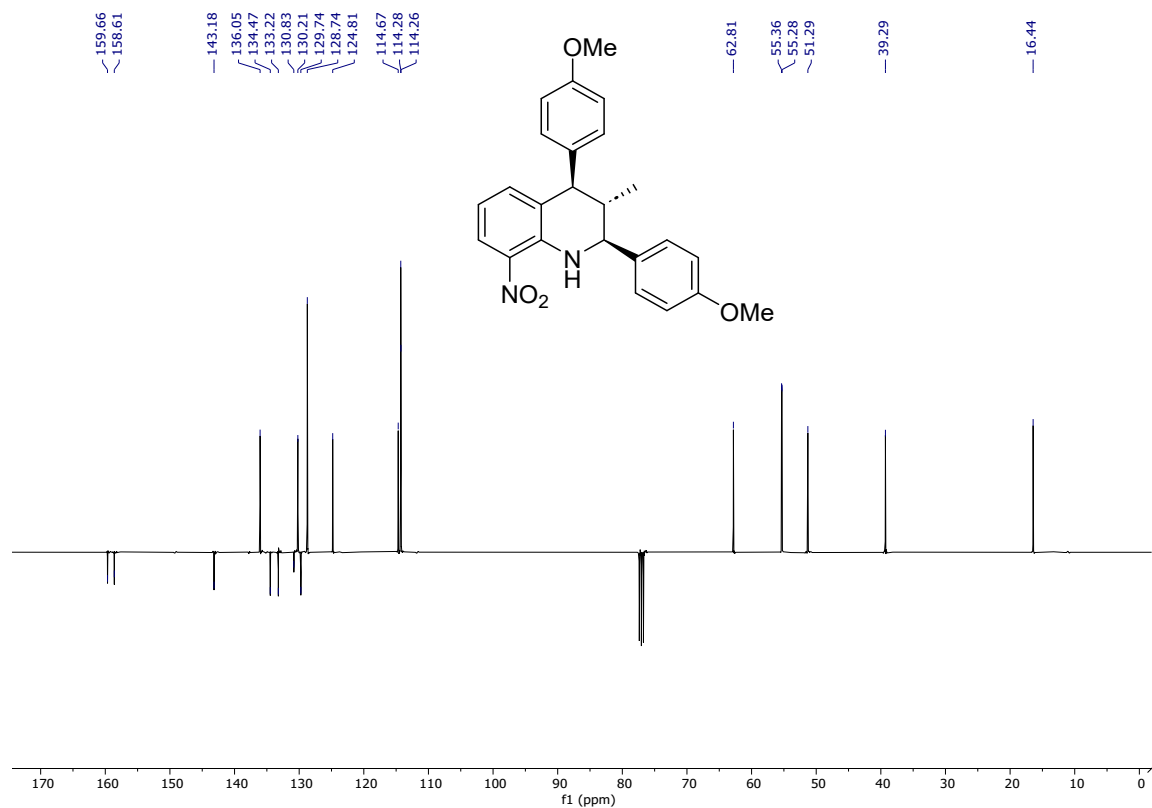
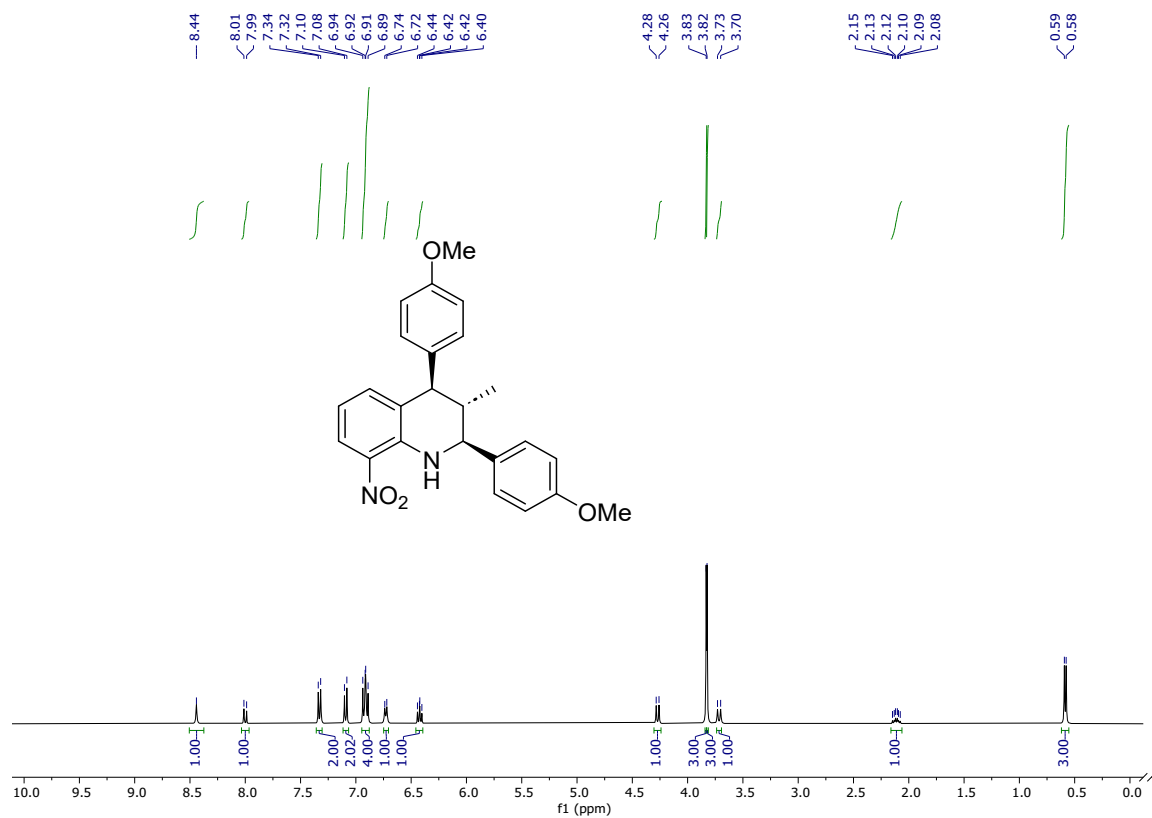


Figure 2. IR, ¹H NMR, APT, and HRMS spectra of *Cis*-2,4-bis(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline (**4b**).





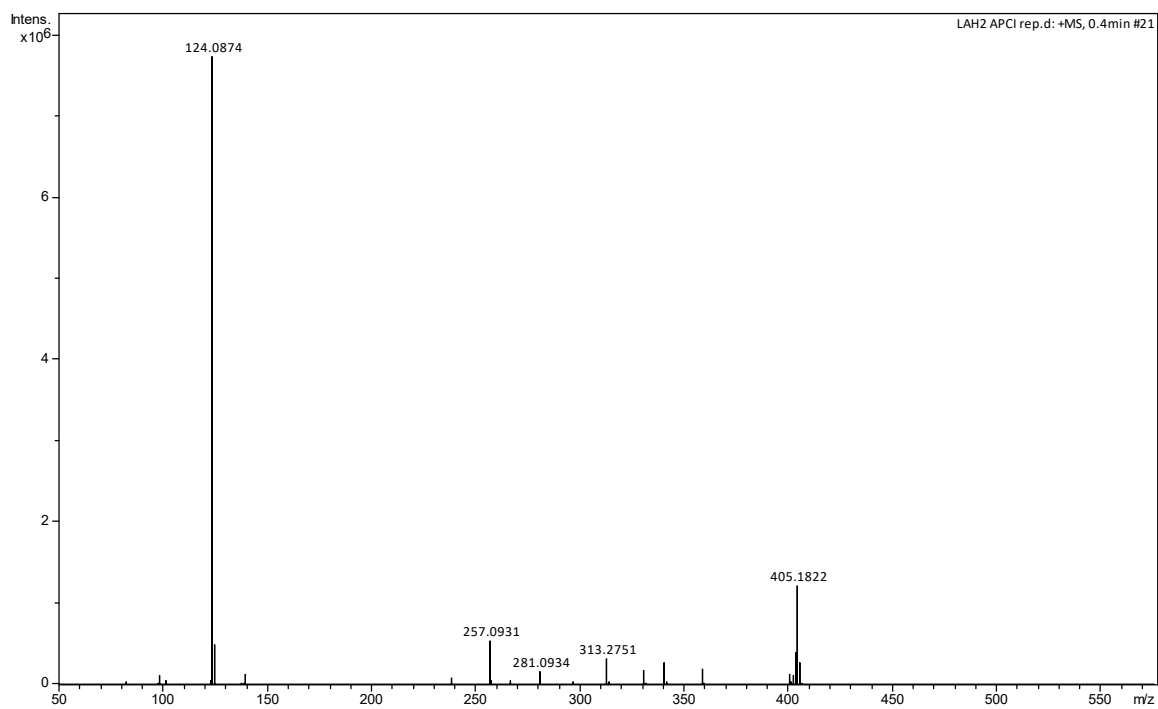
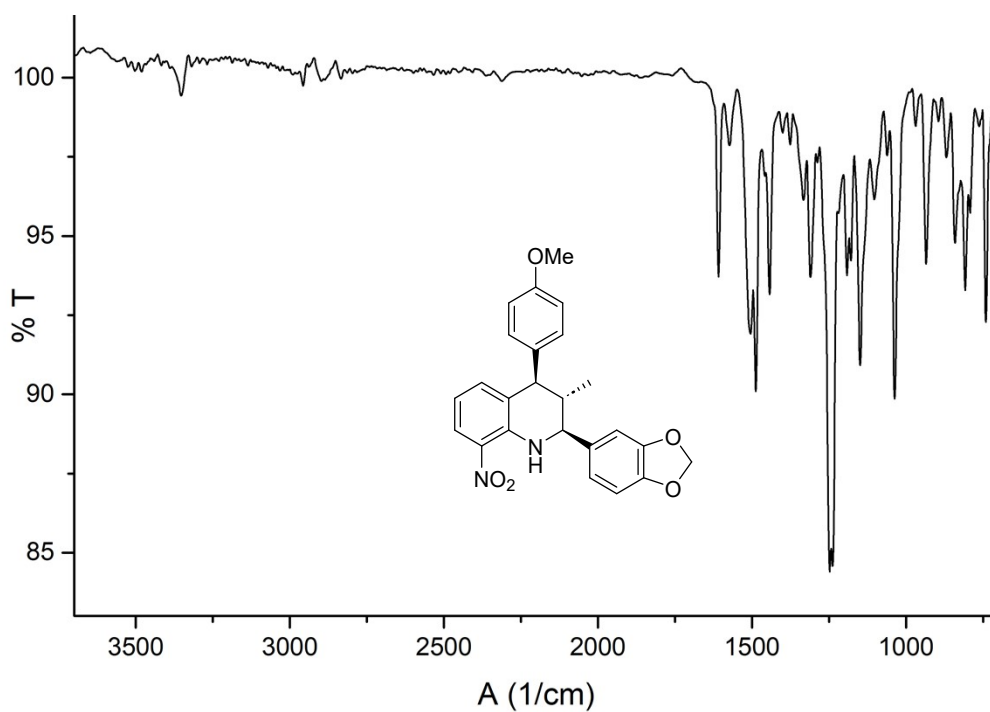
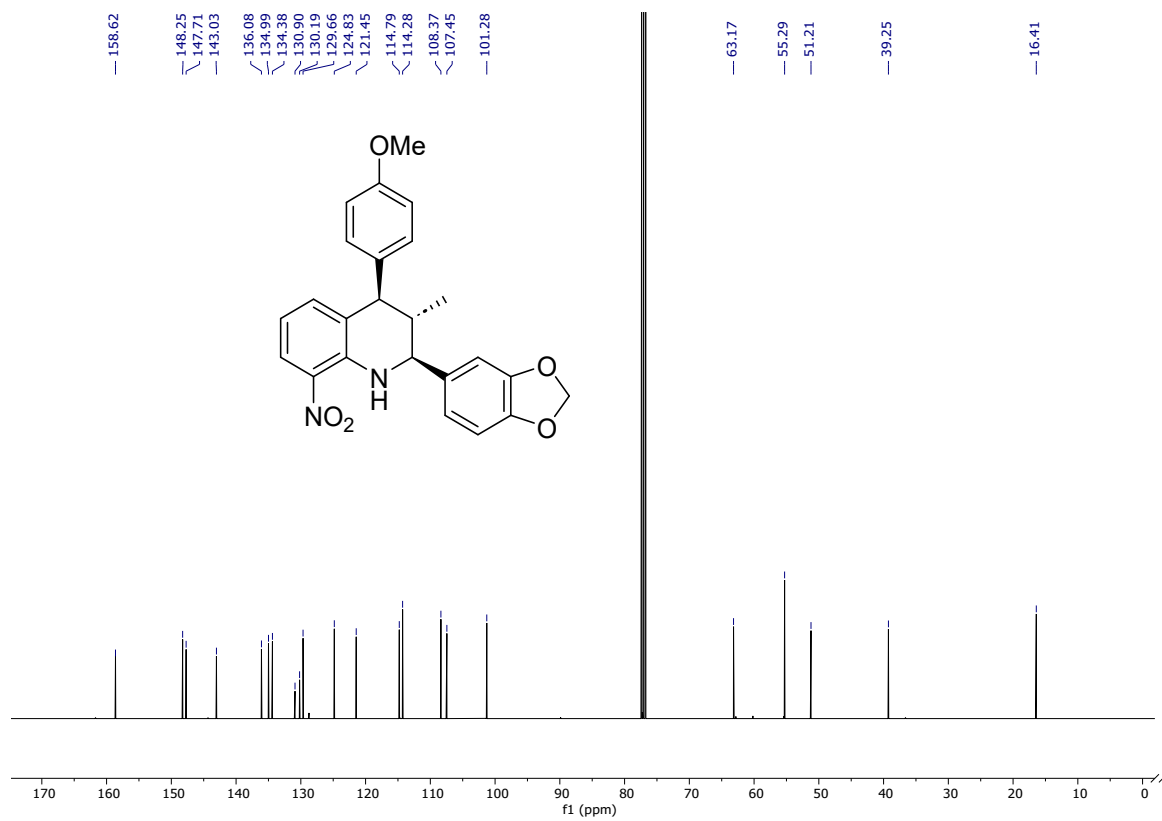
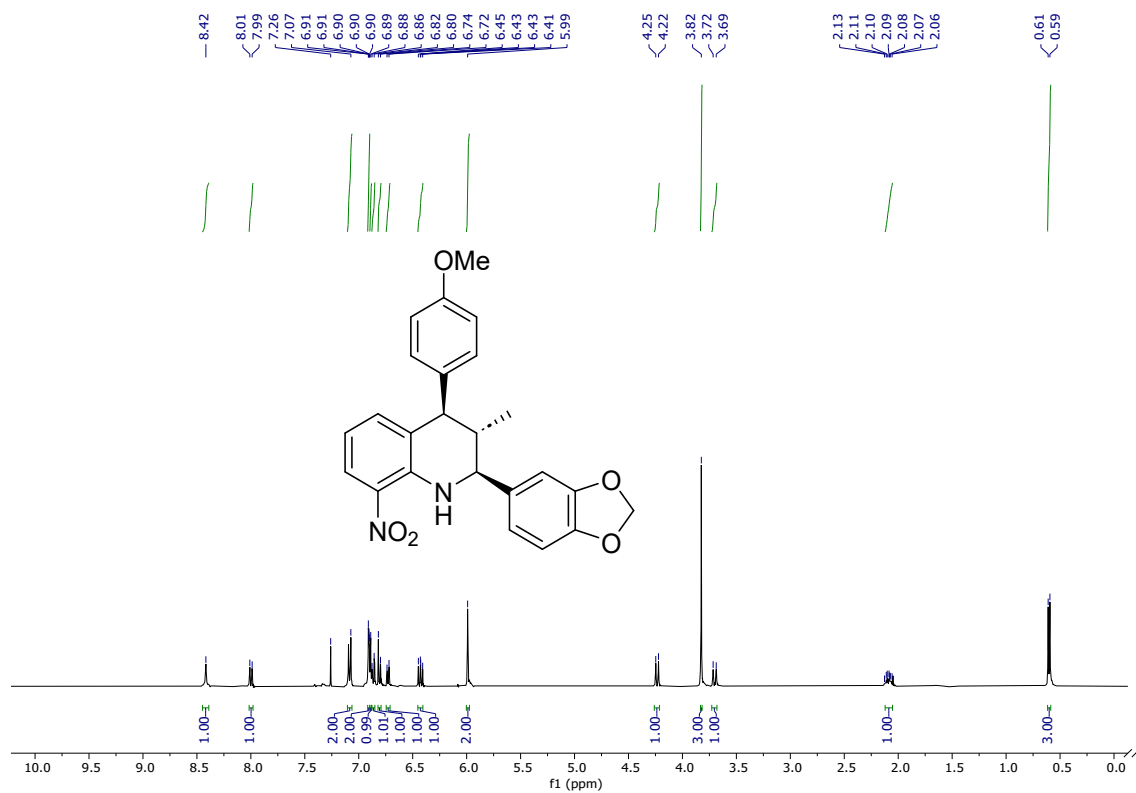


Figure 3. IR, ¹H NMR, ¹³C NMR and HRMS spectra of *Cis*-2-(benzo[d][1,3]dioxol-5-yl)-4-(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline (**4c**).





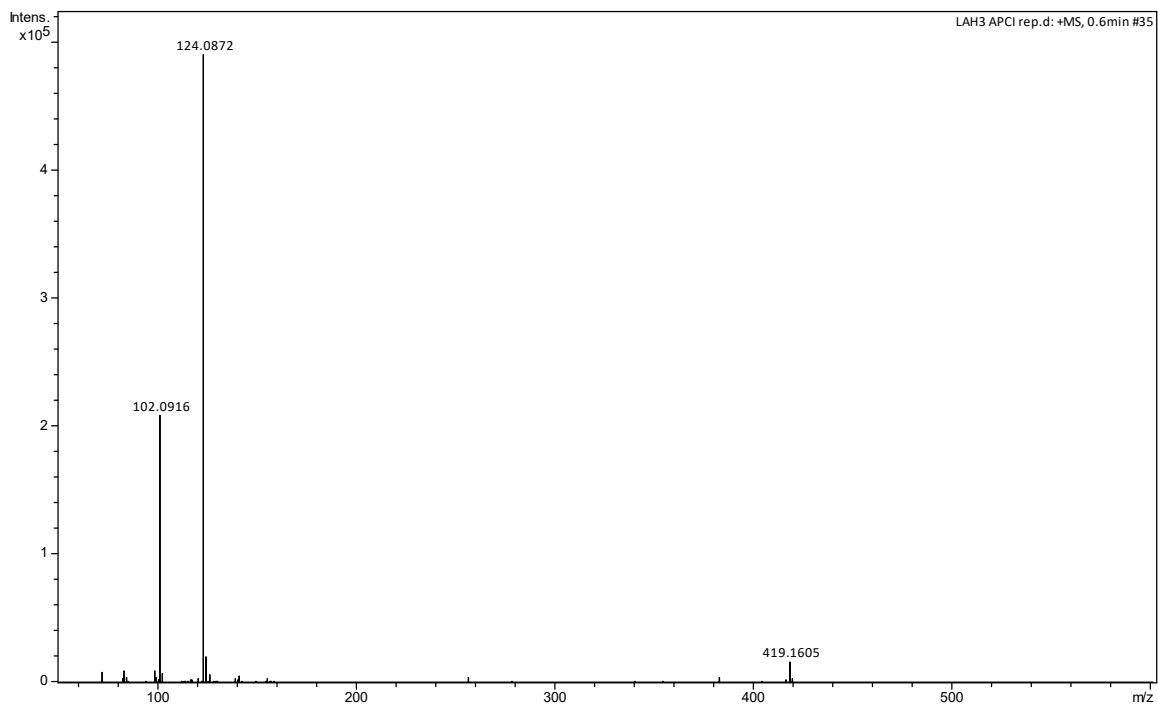
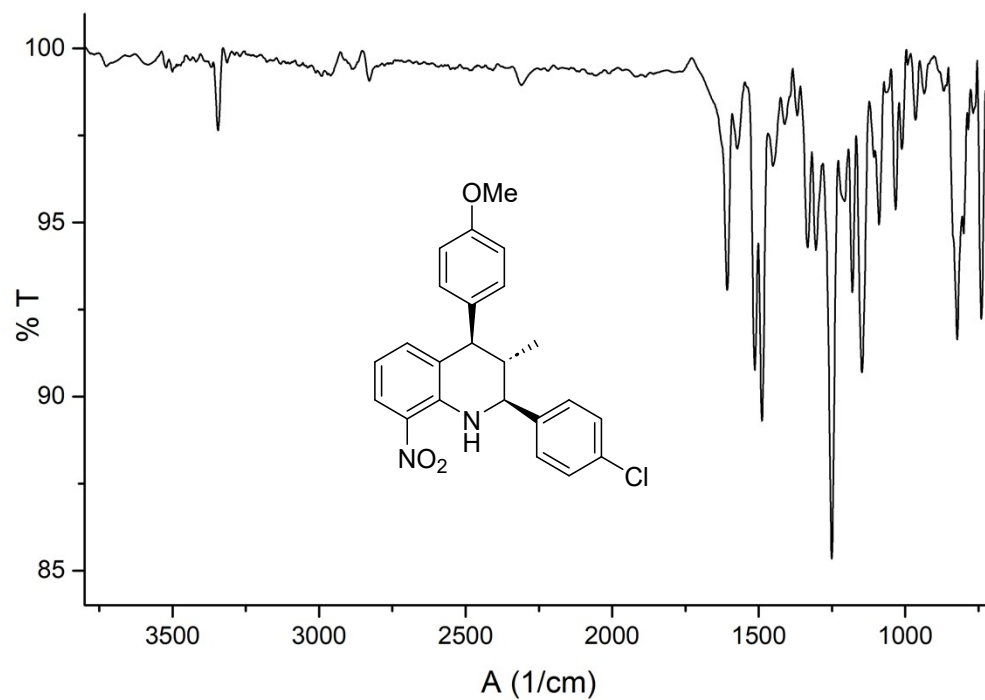
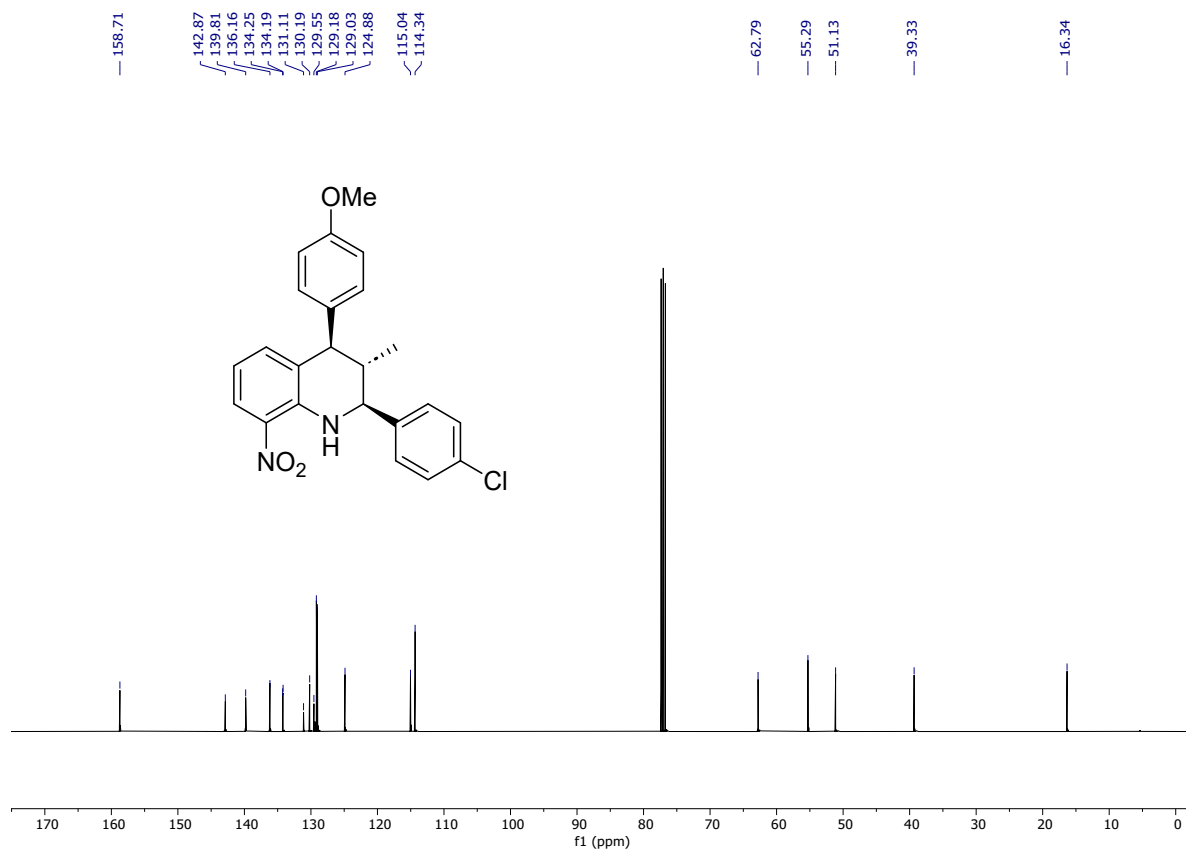
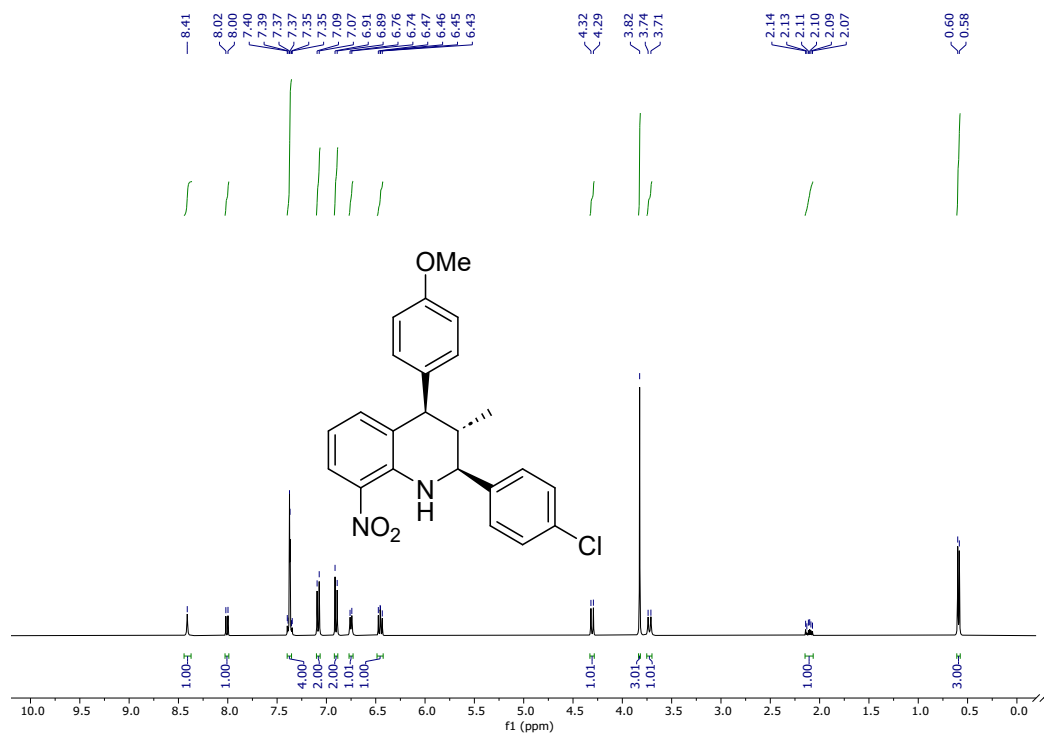


Figure 4. IR, ¹H NMR, ¹³C NMR and HRMS spectra of *Cis*-2-(4-chlorophenyl)-4-(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline (**4d**).





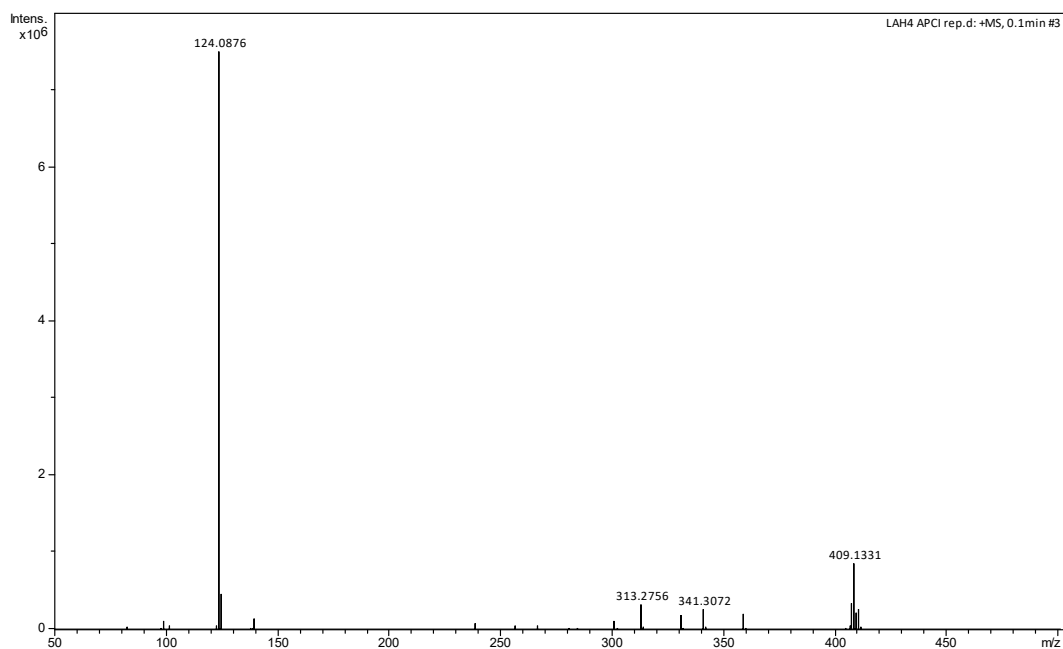
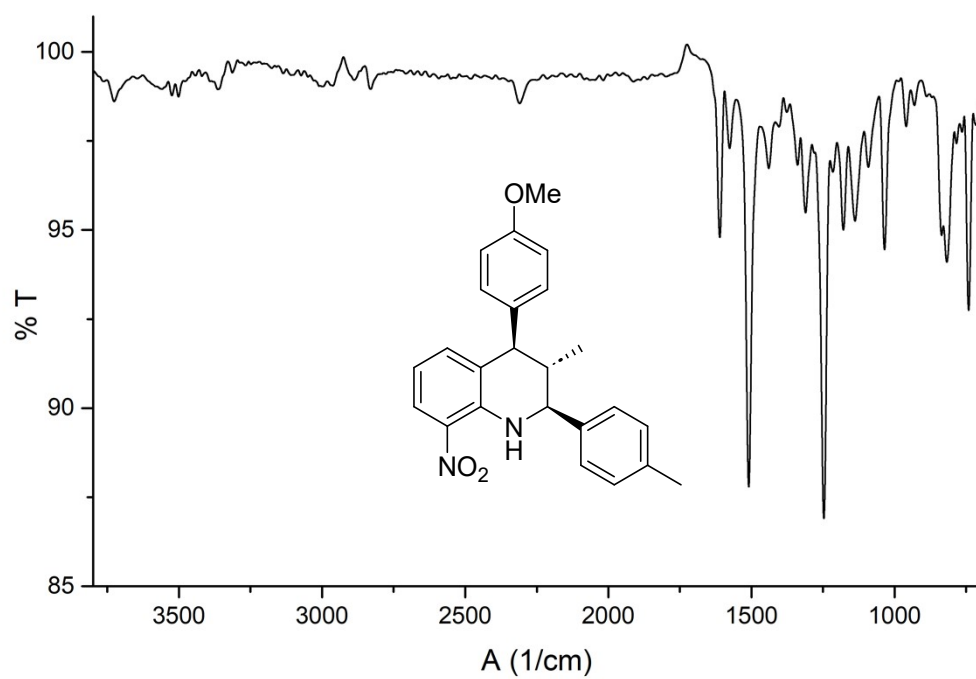
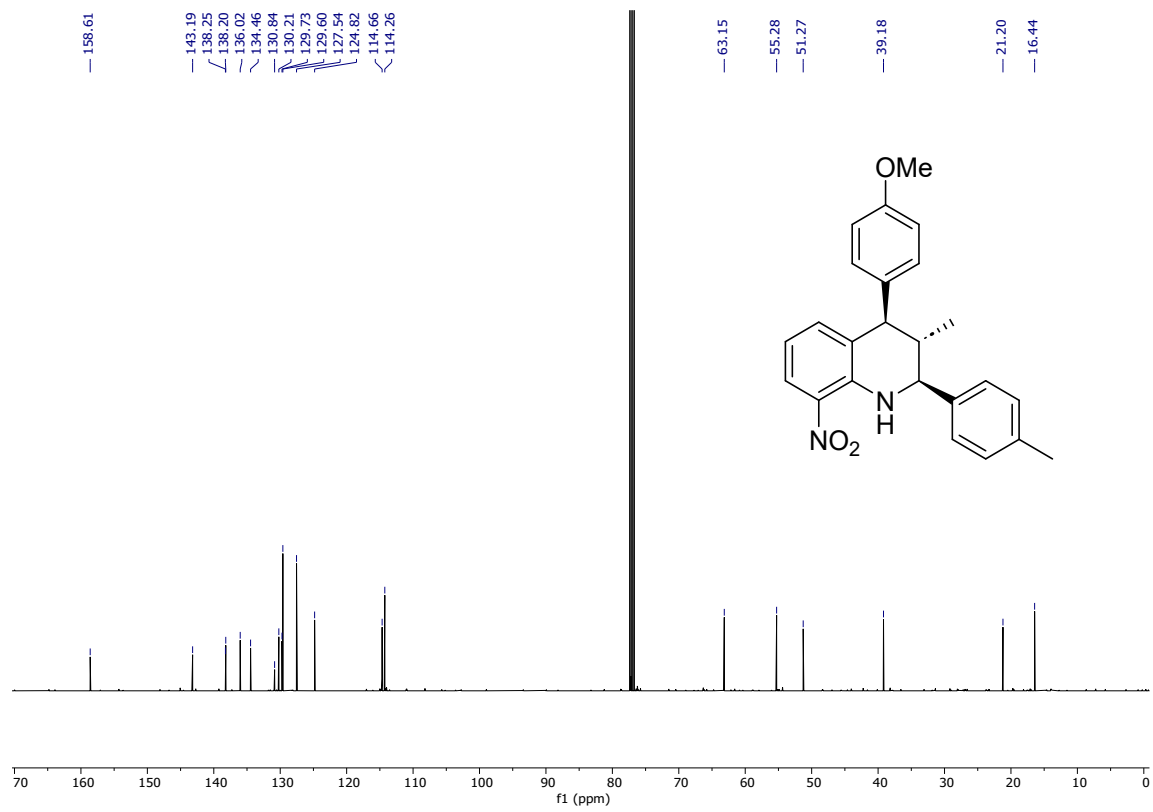
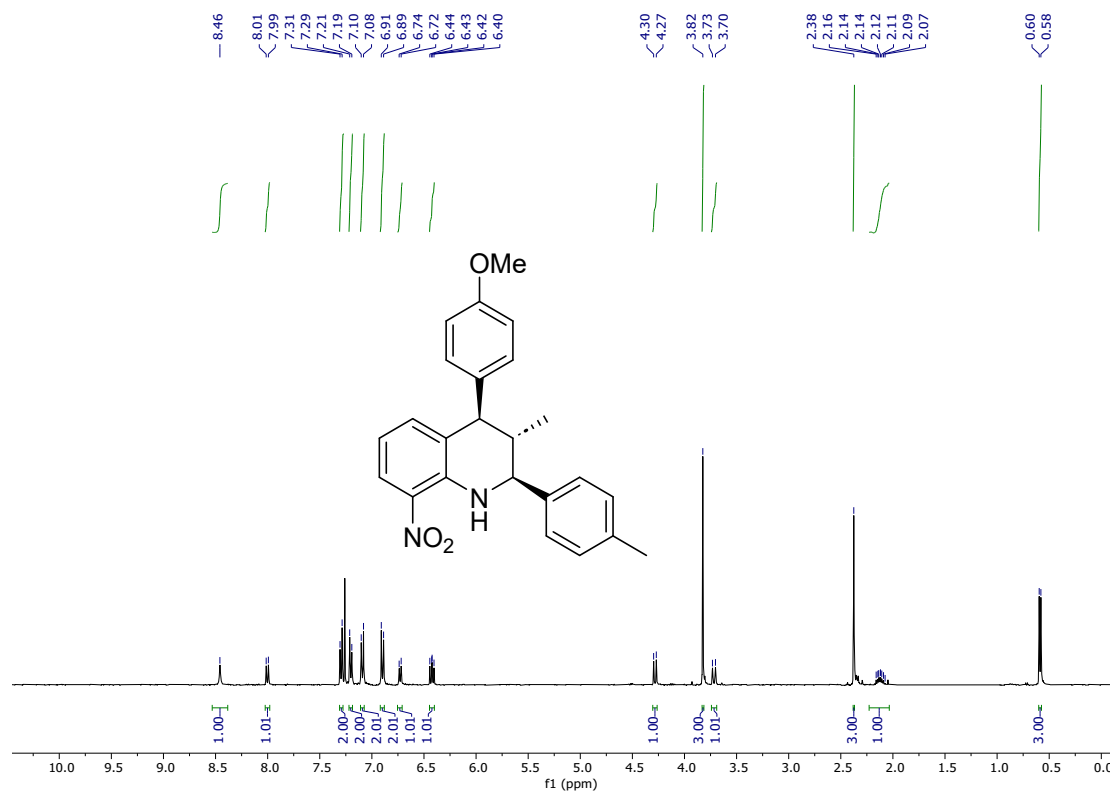


Figure 5. IR, ¹H NMR, APT and HRMS spectra of ***Cis*-2-(4-methylphenyl)-4-(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline (4e)**.





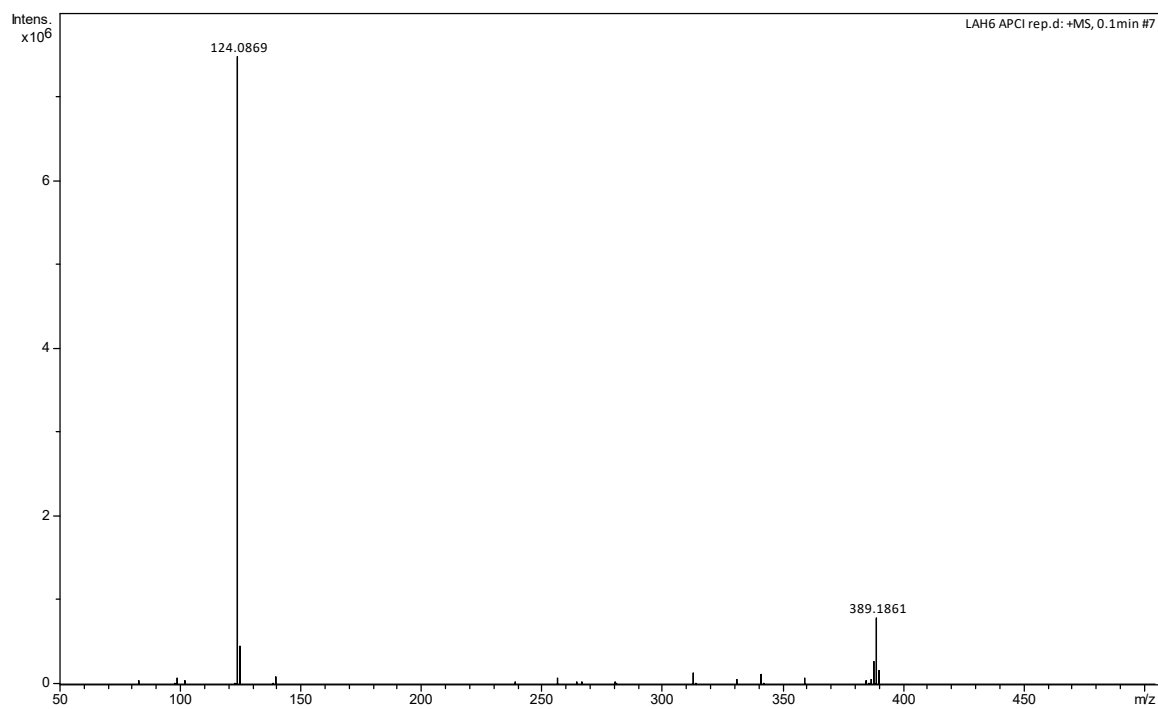
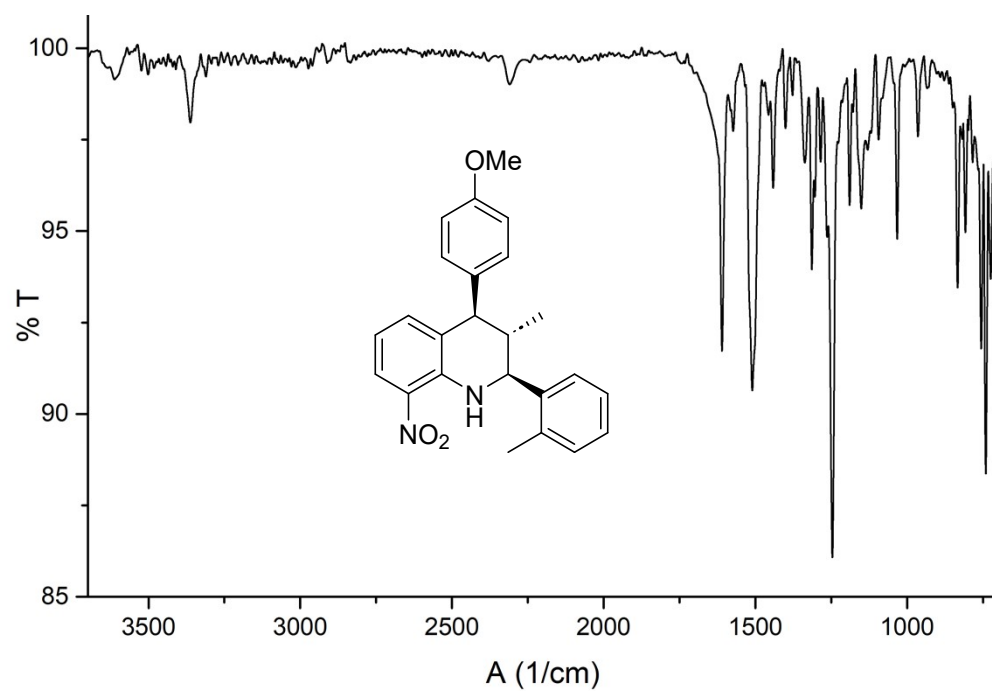
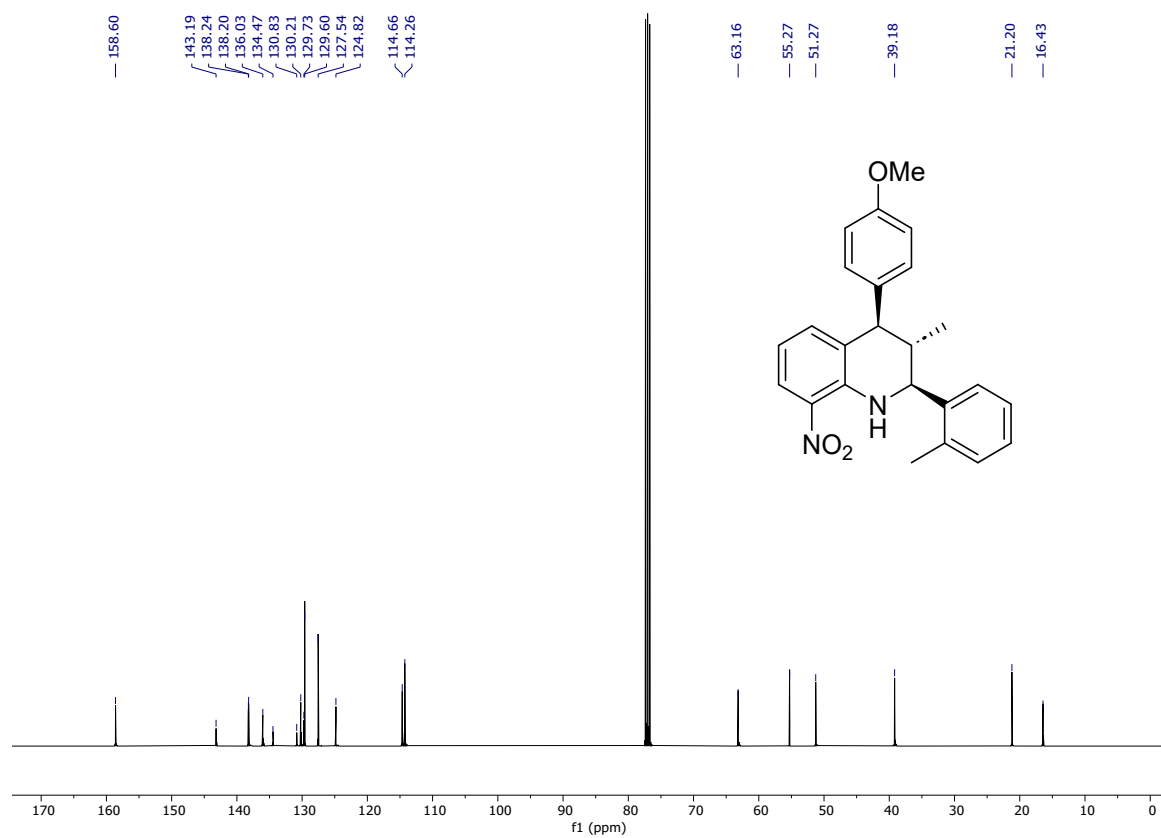
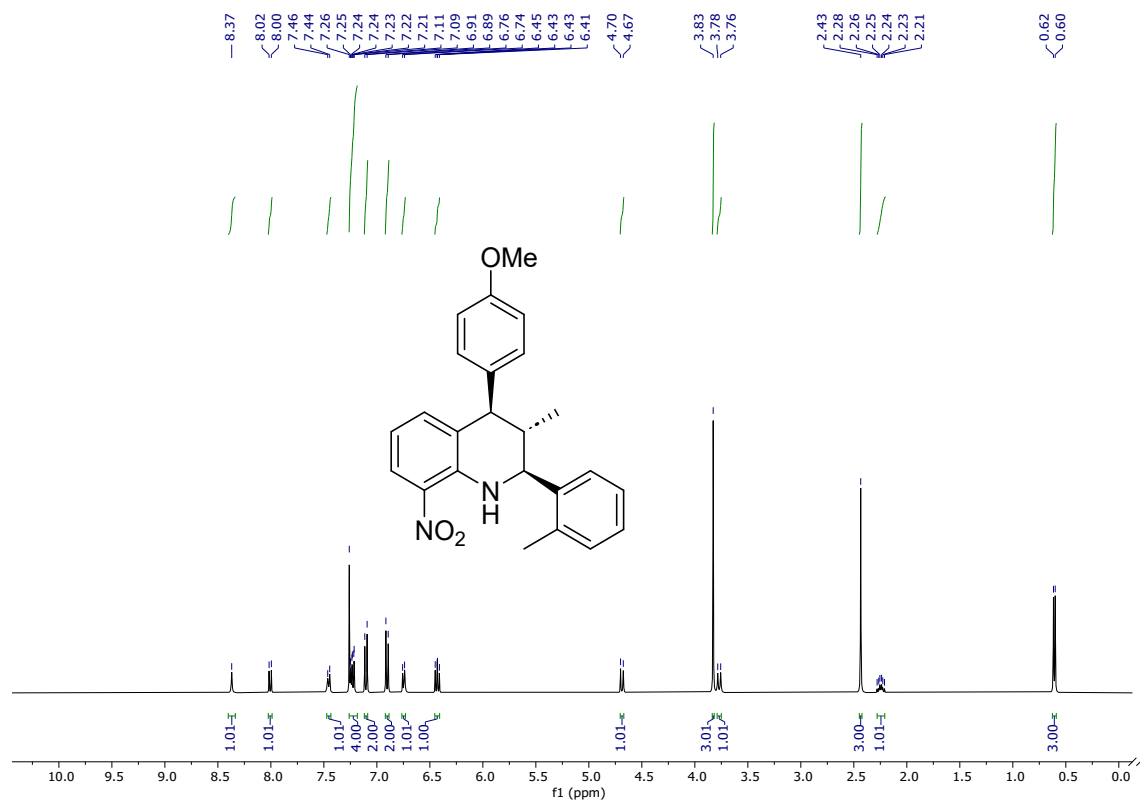


Figure 6. IR, ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-2-(2-methylphenyl)-4-(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline (**4f**).





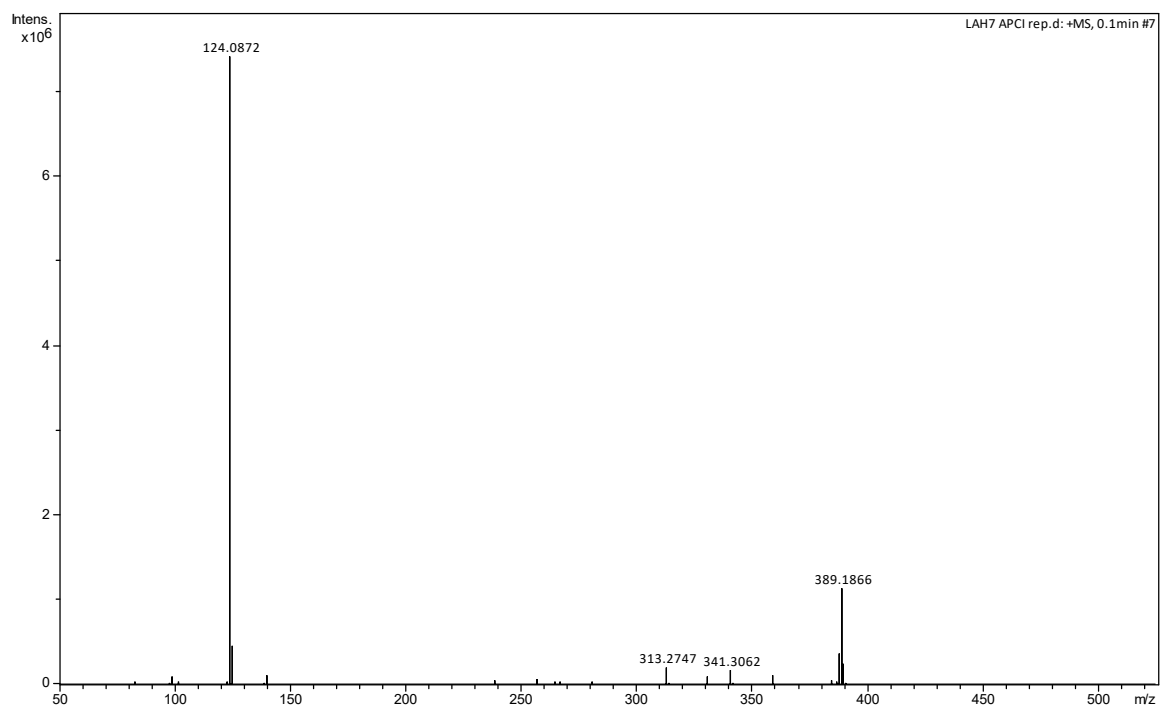
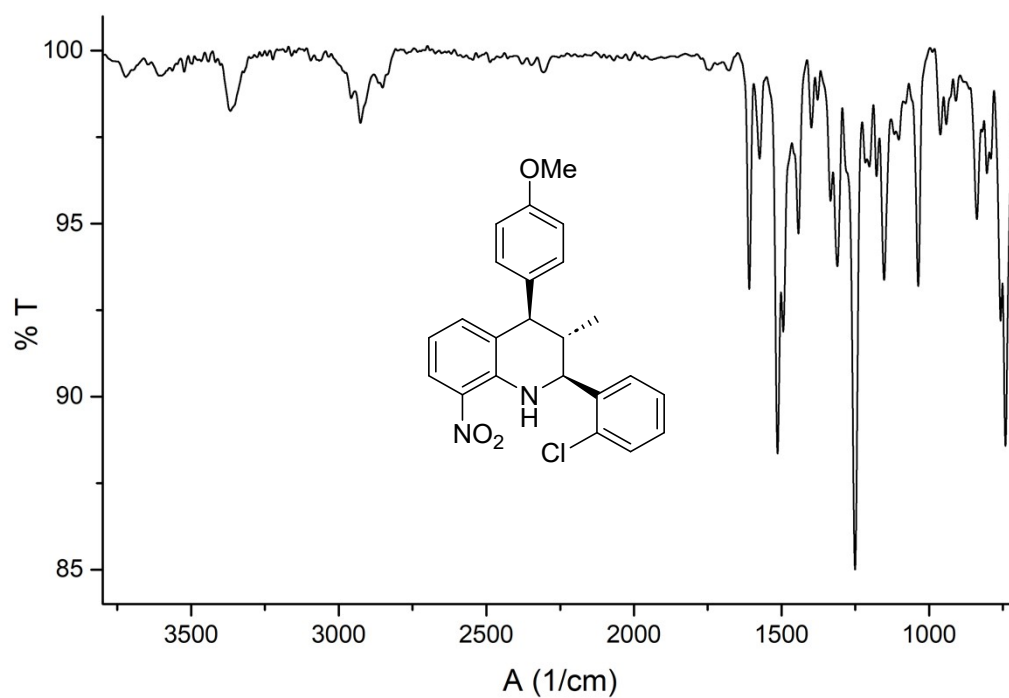
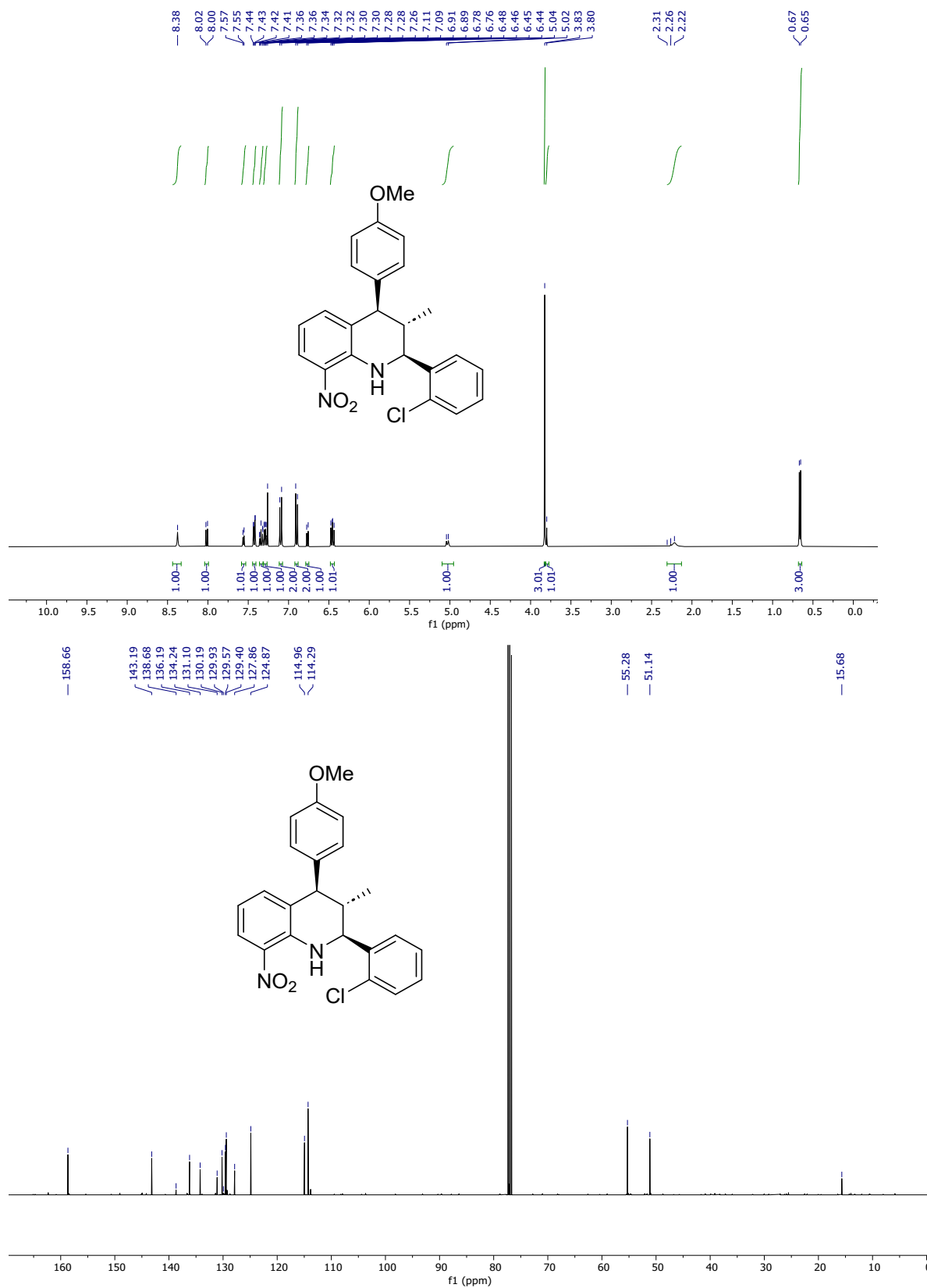


Figure 7. IR spectra, ^1H NMR spectra, ^{13}C spectra and HRMS spectra of *Cis*-2-(2-chlorophenyl)-4-(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline (**4g**).





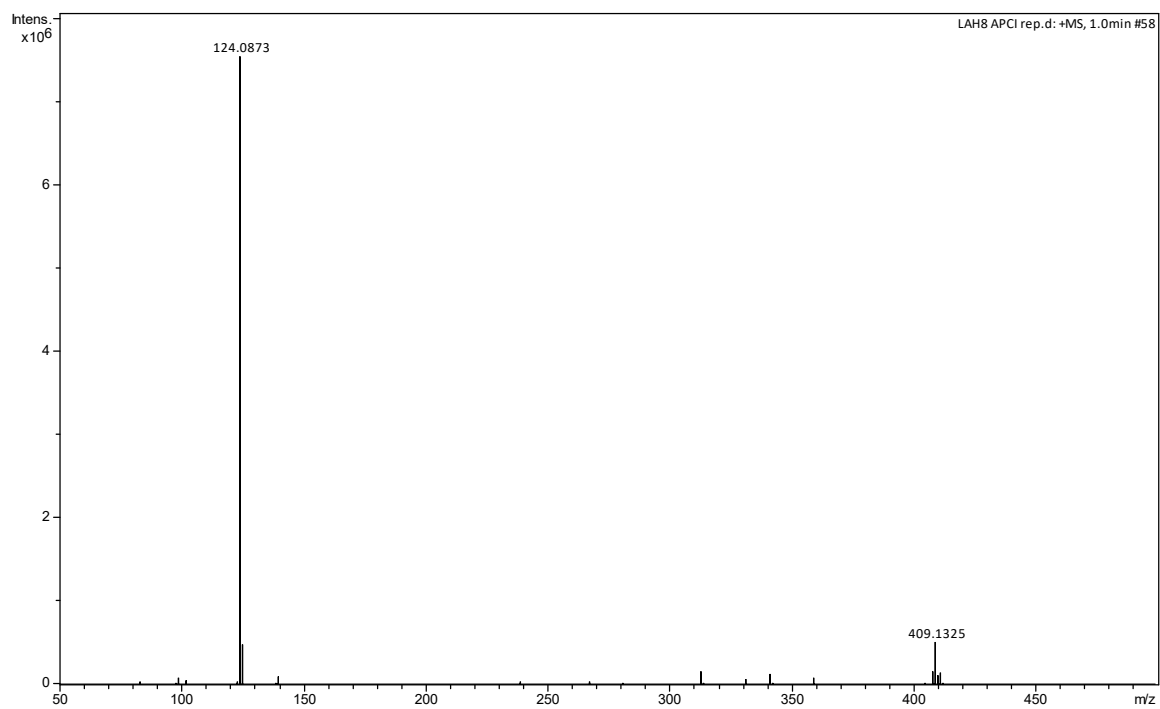
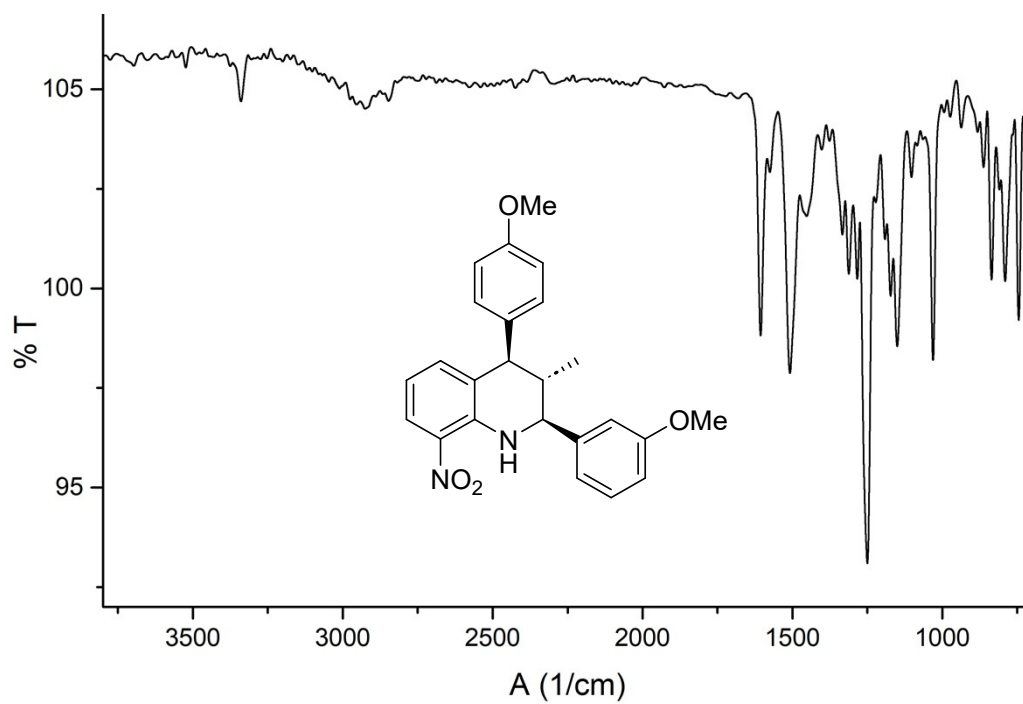
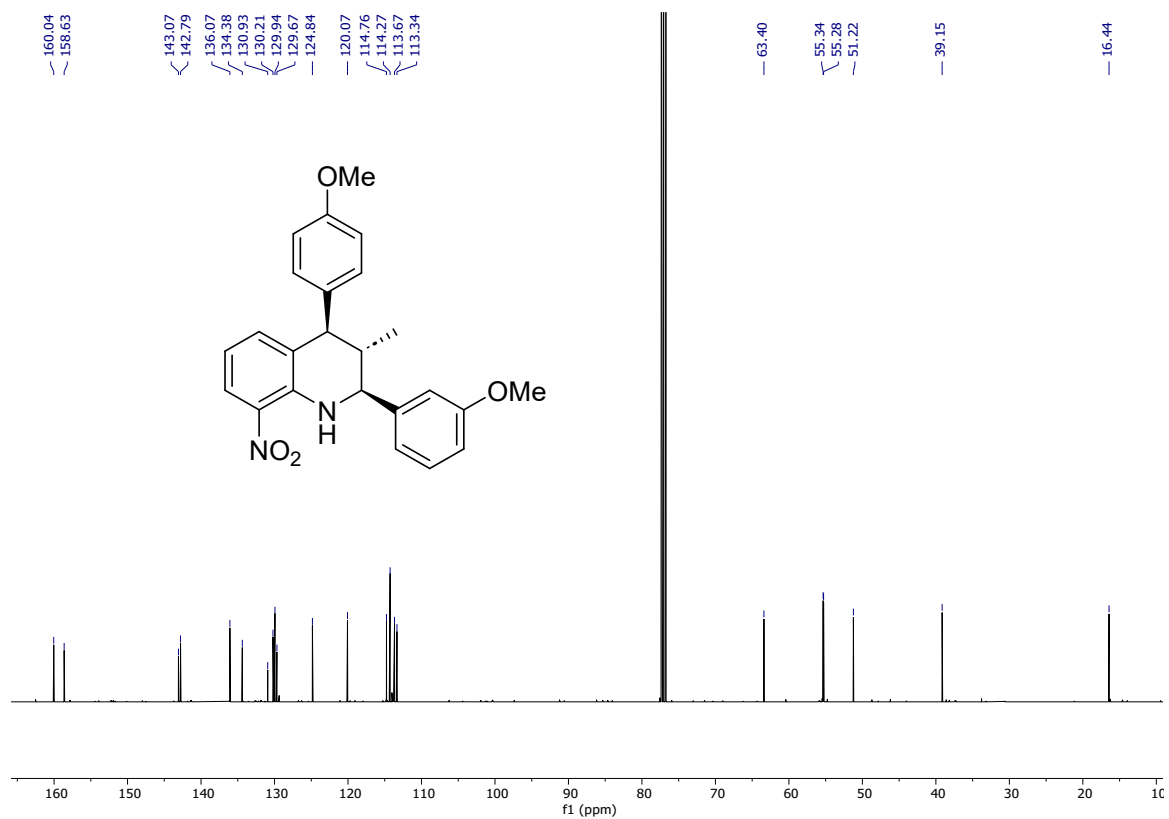
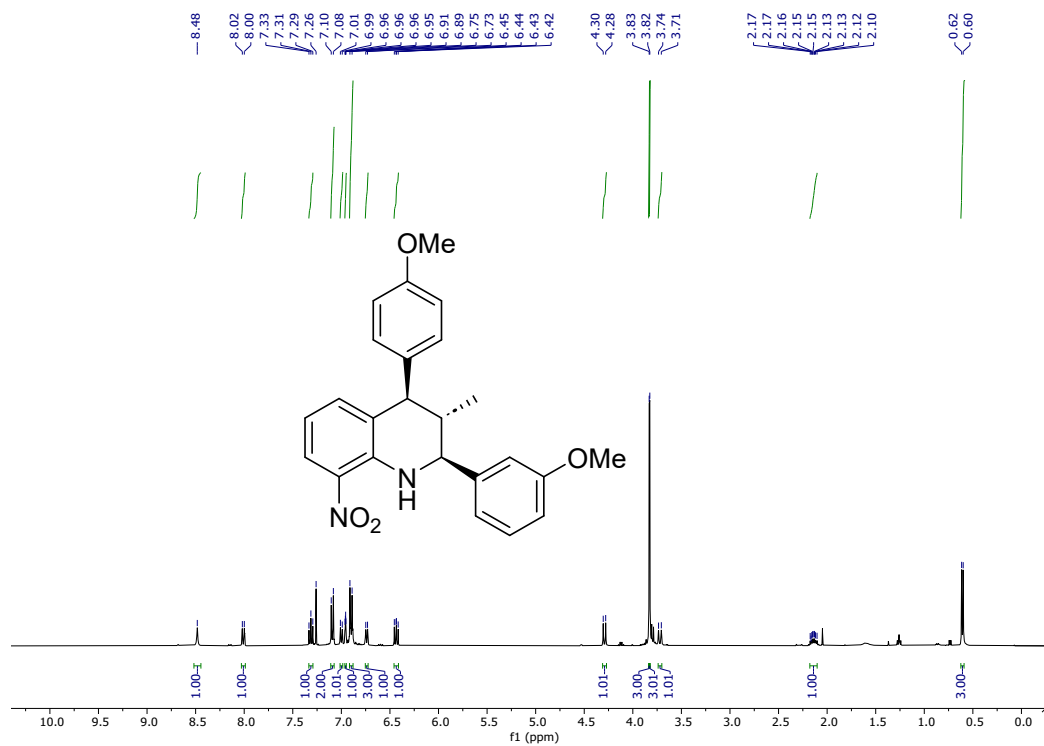


Figure 8. IR, ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-2-(3-methoxyphenyl)-4-(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline (**4h**).





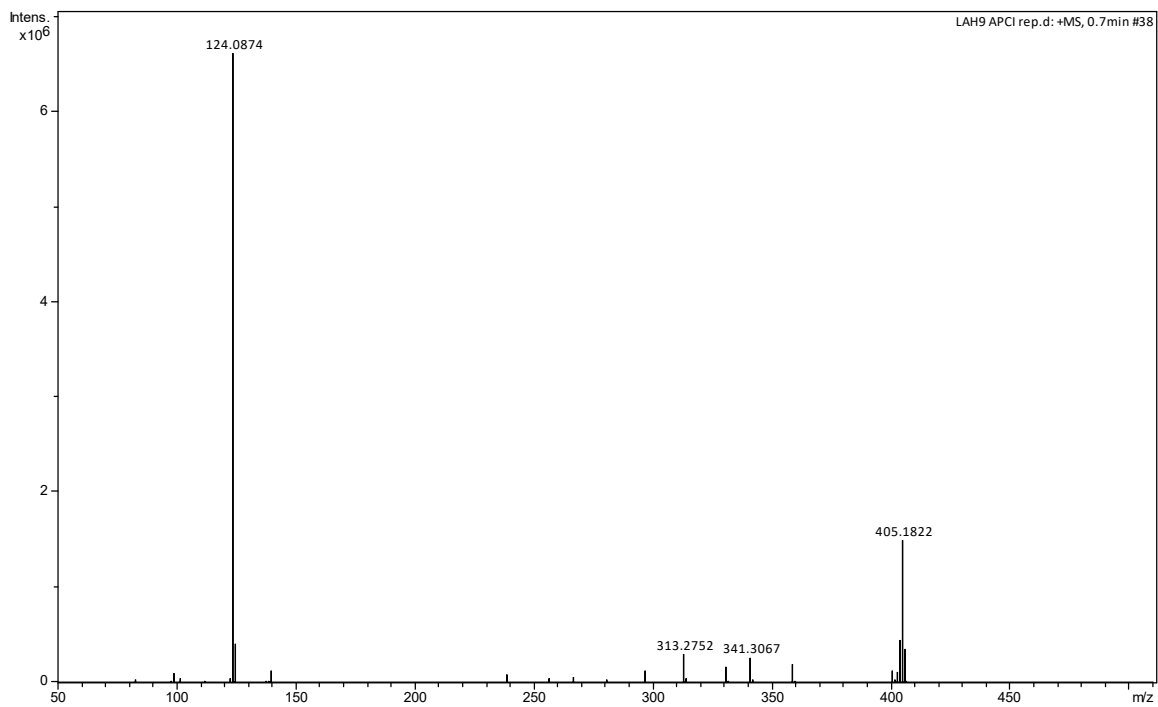
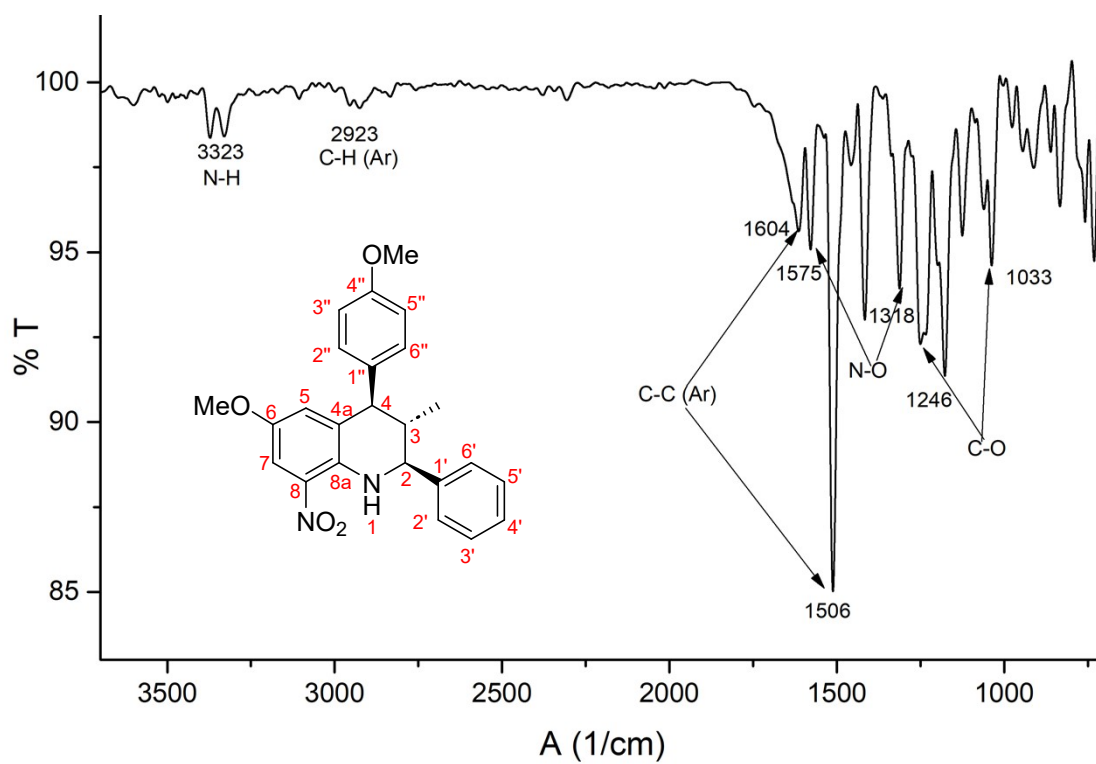
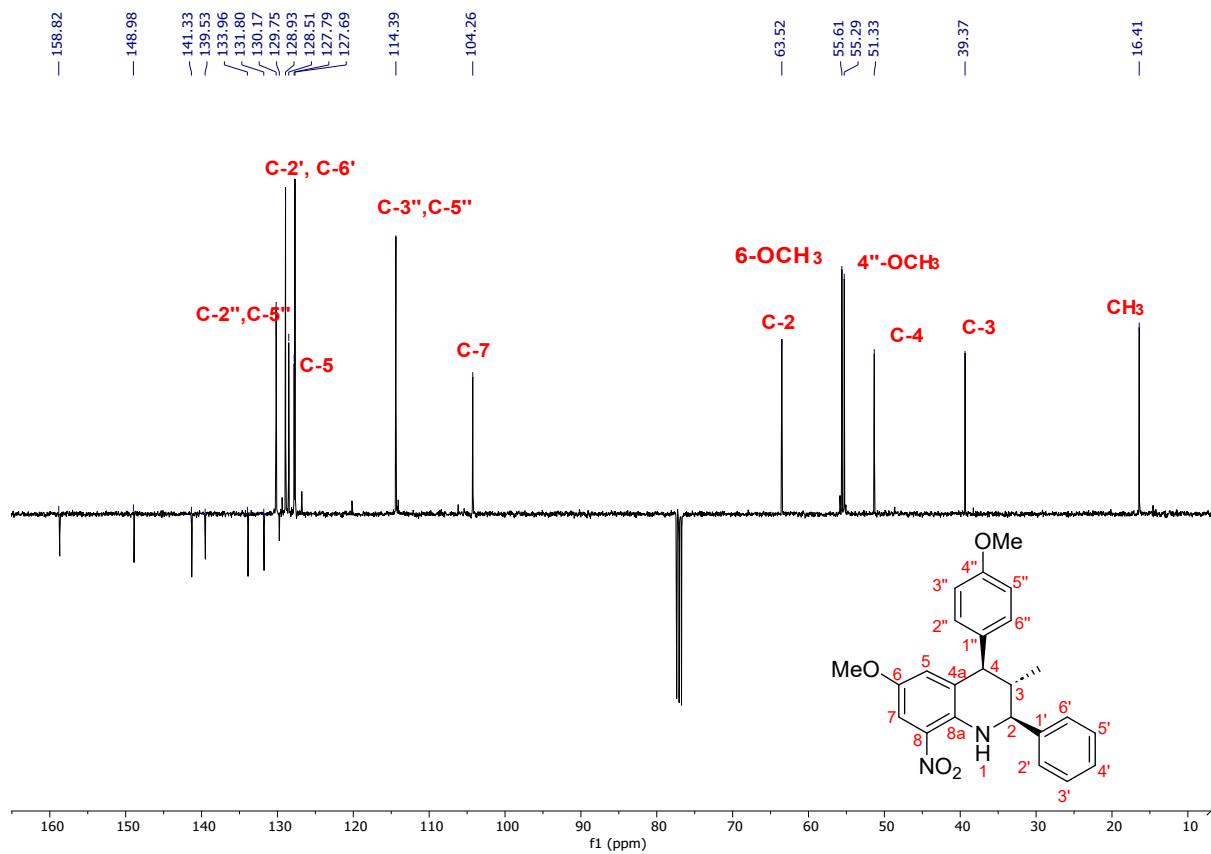
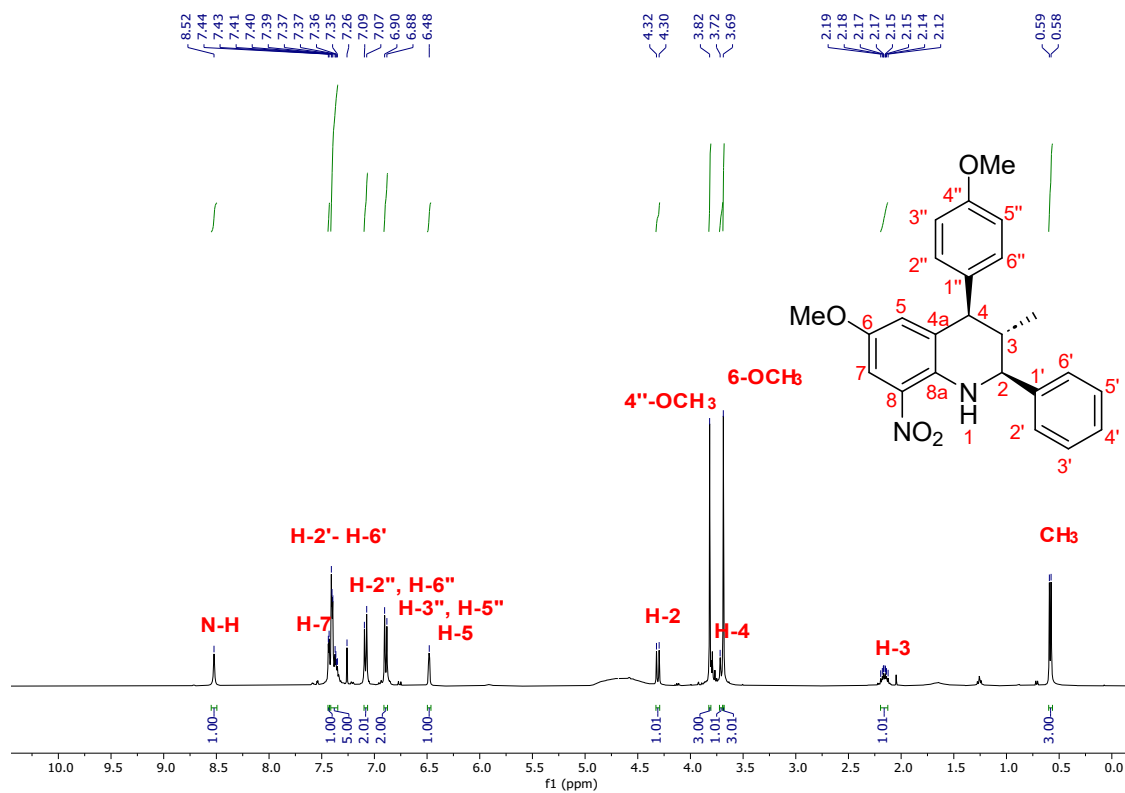


Figure 9. IR, ^1H NMR, APT, HSQC and HRMS spectra of *Cis*-4-(4-methoxyphenyl)-3-methyl-6-methoxy-2-phenyl-8-nitro-1,2,3,4-tetrahydroquinoline (**4i**).





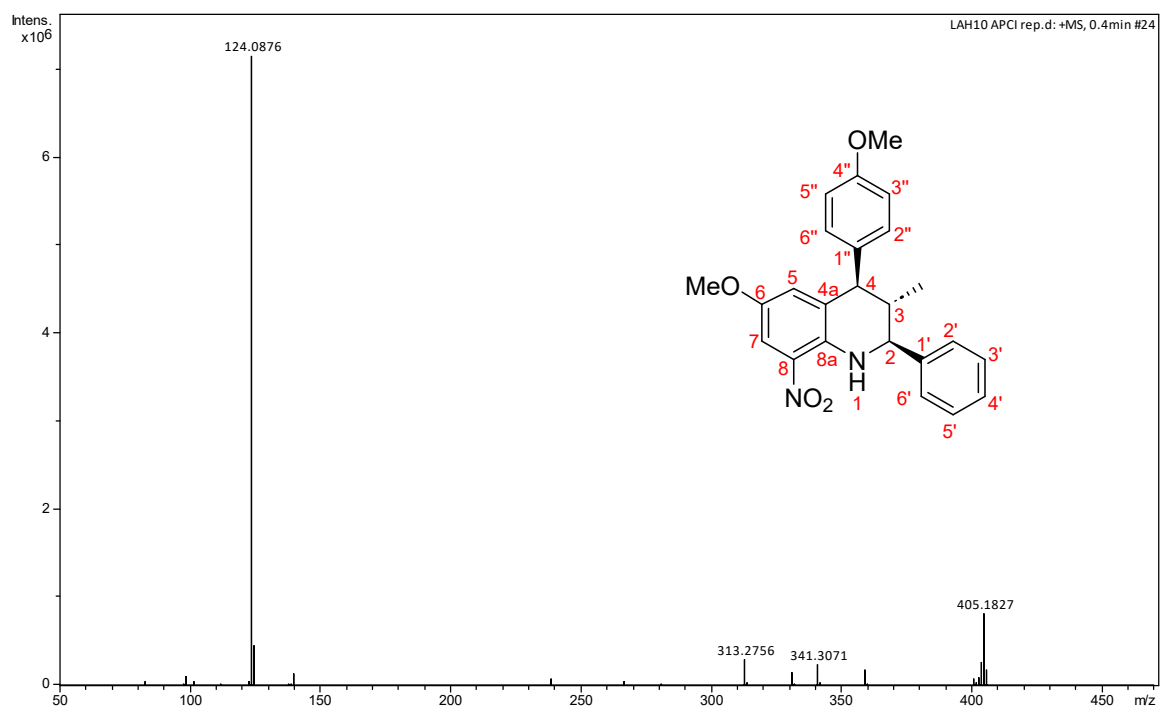
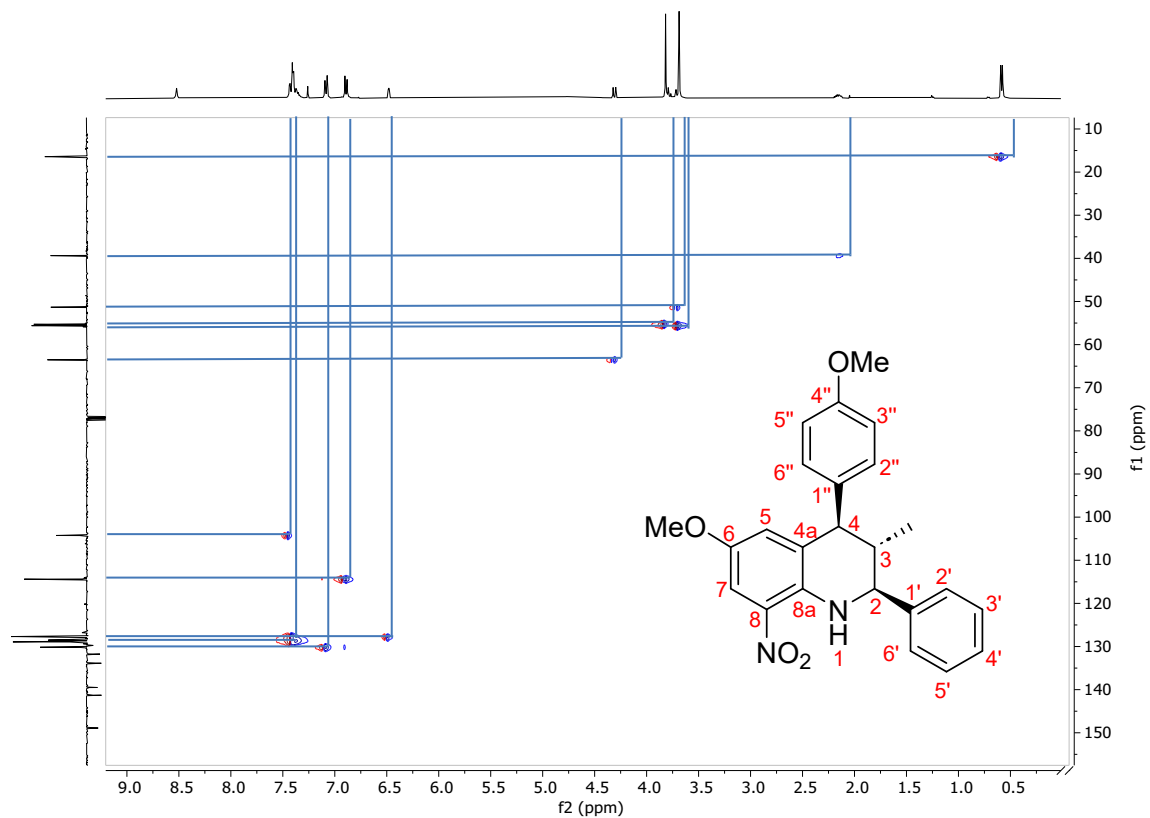
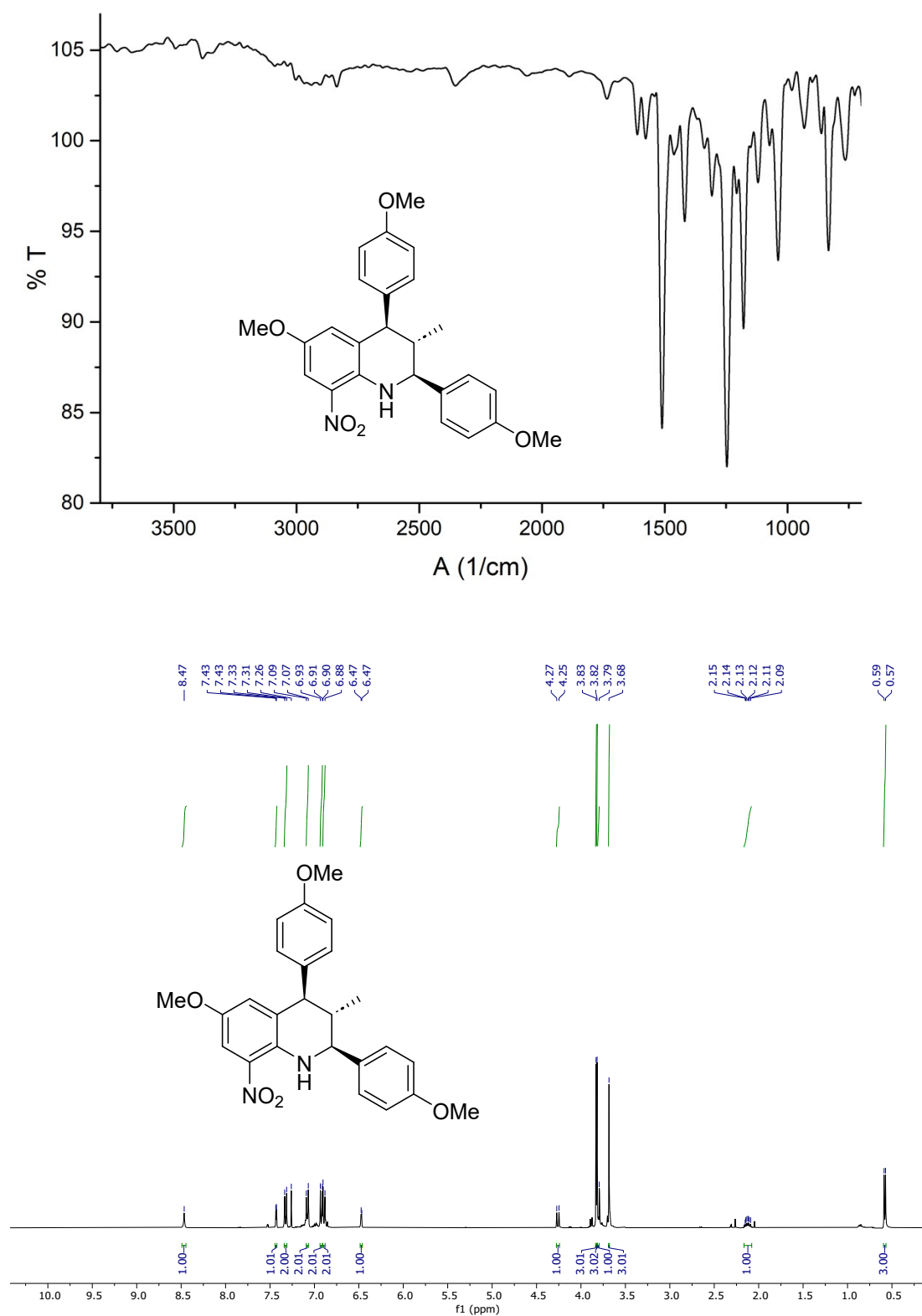


Figure 10. IR, ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-6-methoxy-2,4-bis(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline (**4j**).



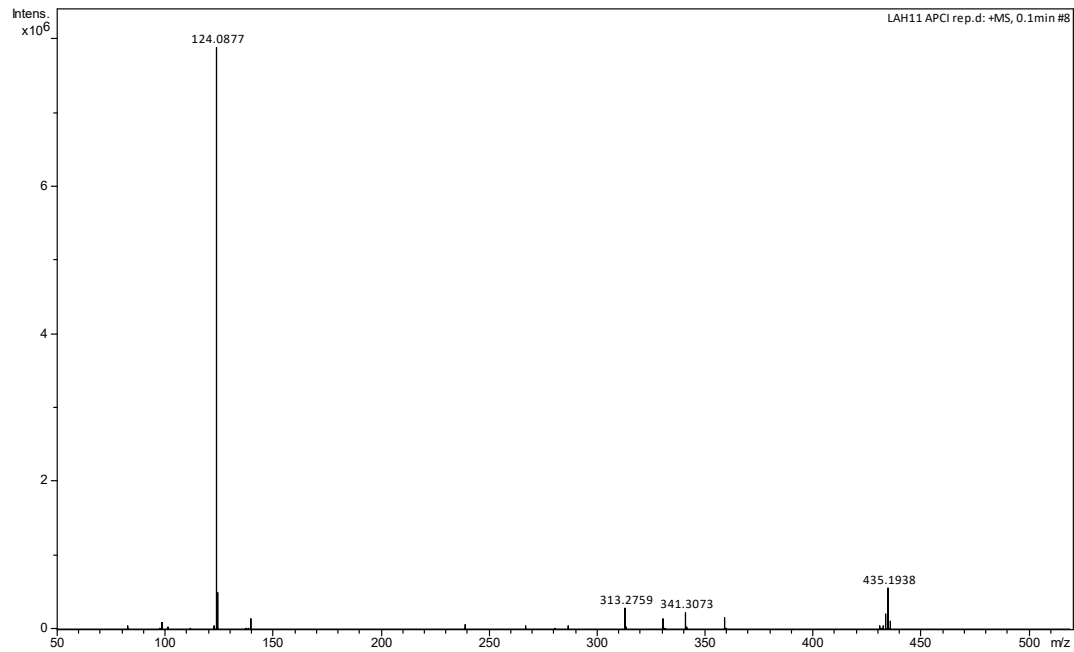
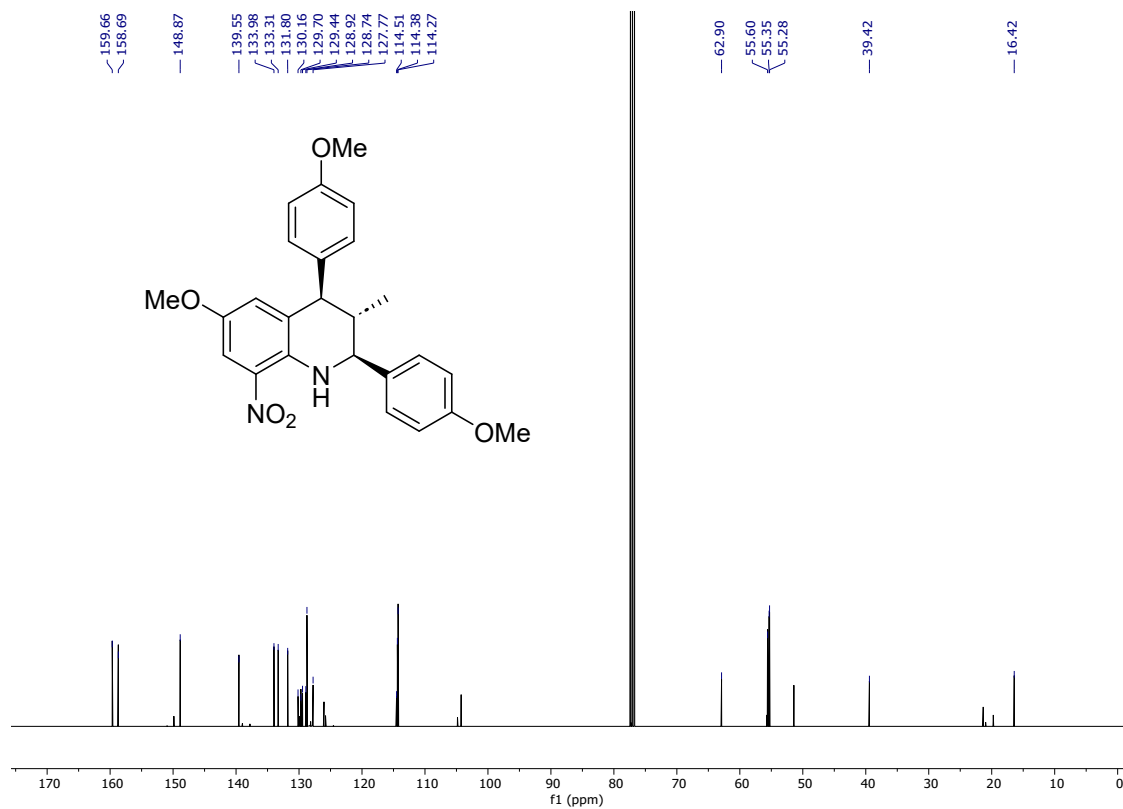
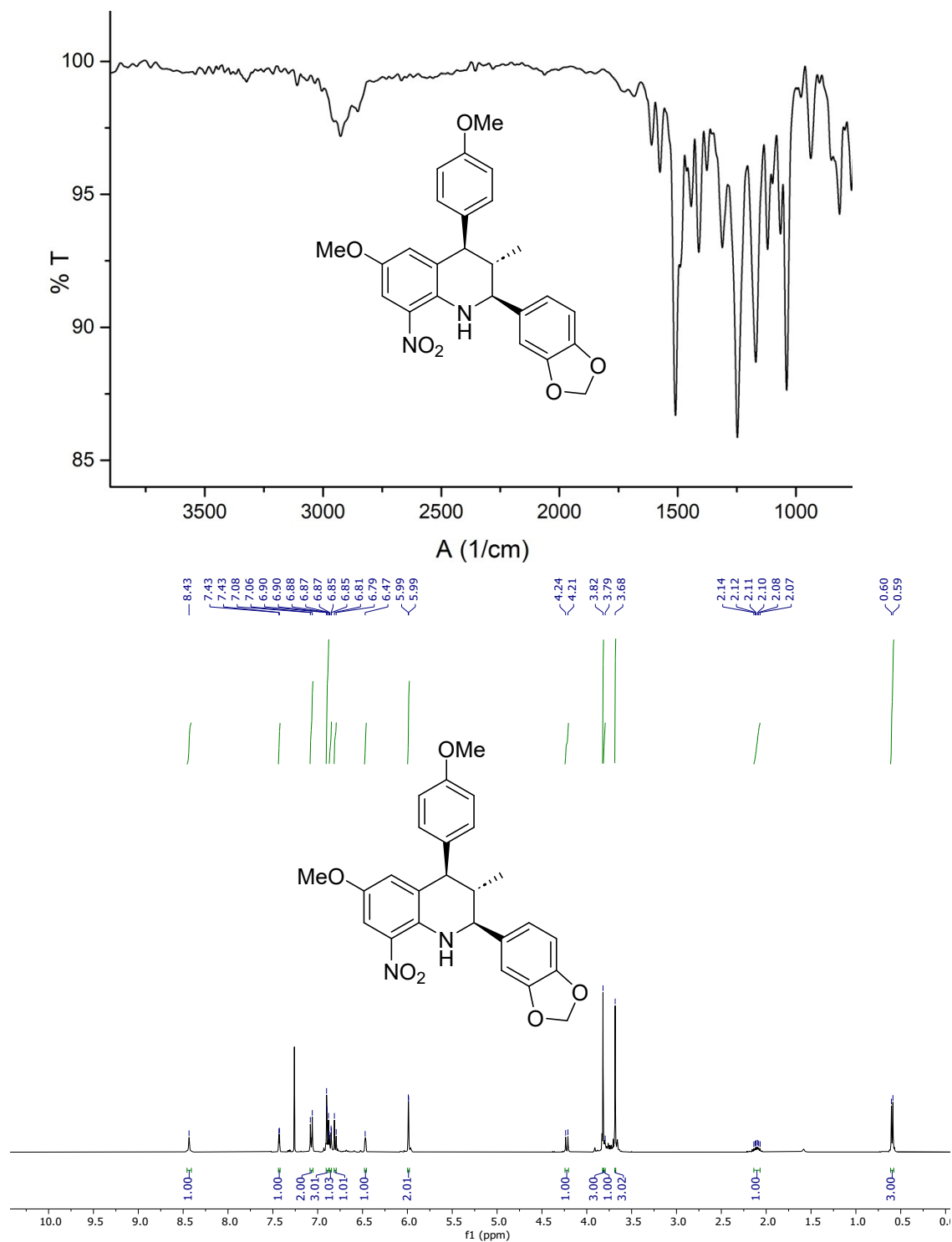


Figure 11. IR, ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-2-(benzo[*d*][1,3]dioxol-5-yl)-6-methoxy-4-(4-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline (**4k**).



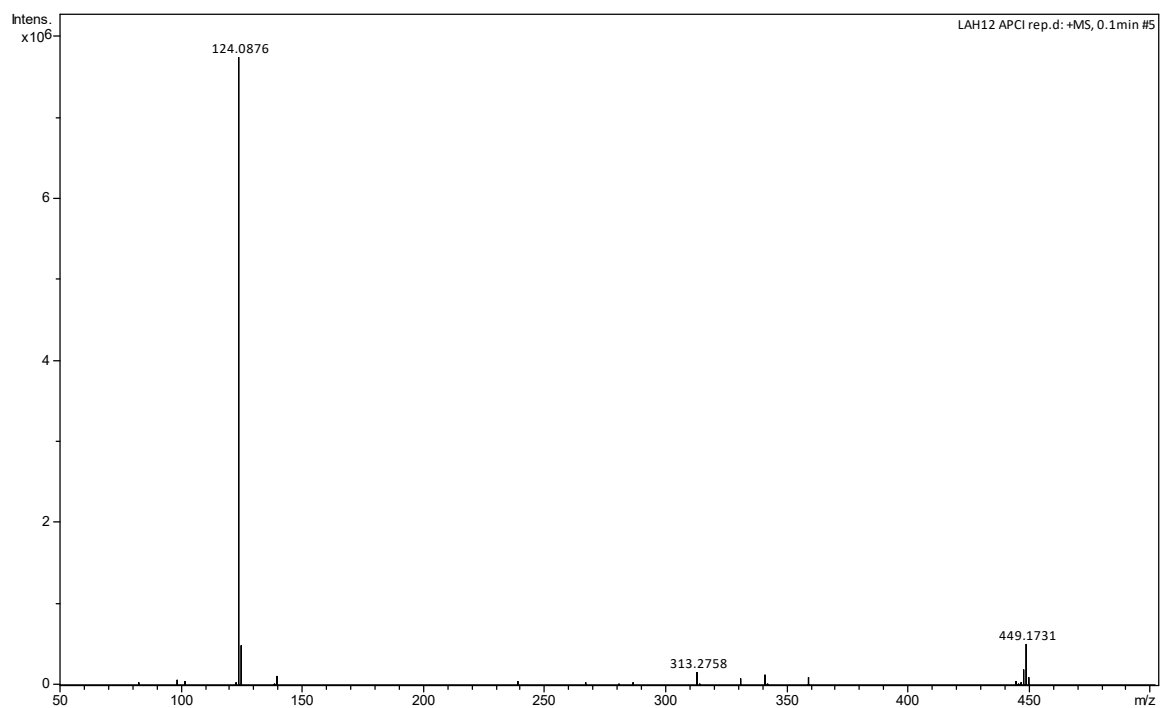
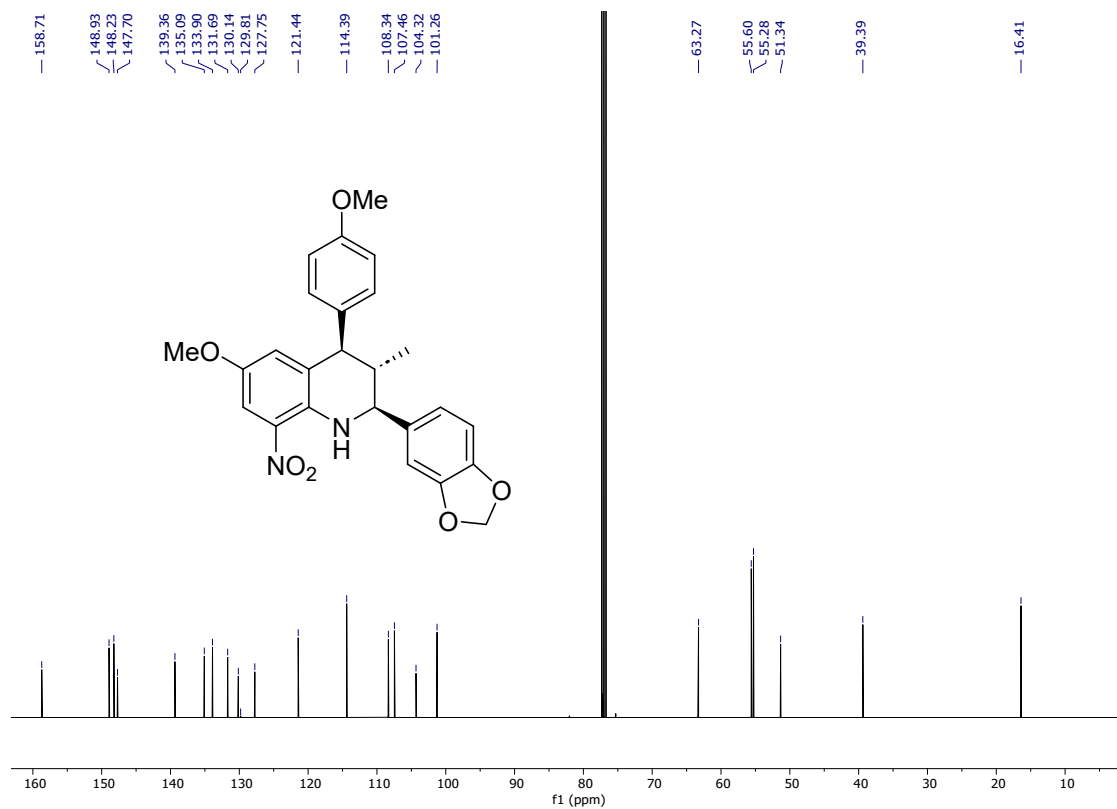
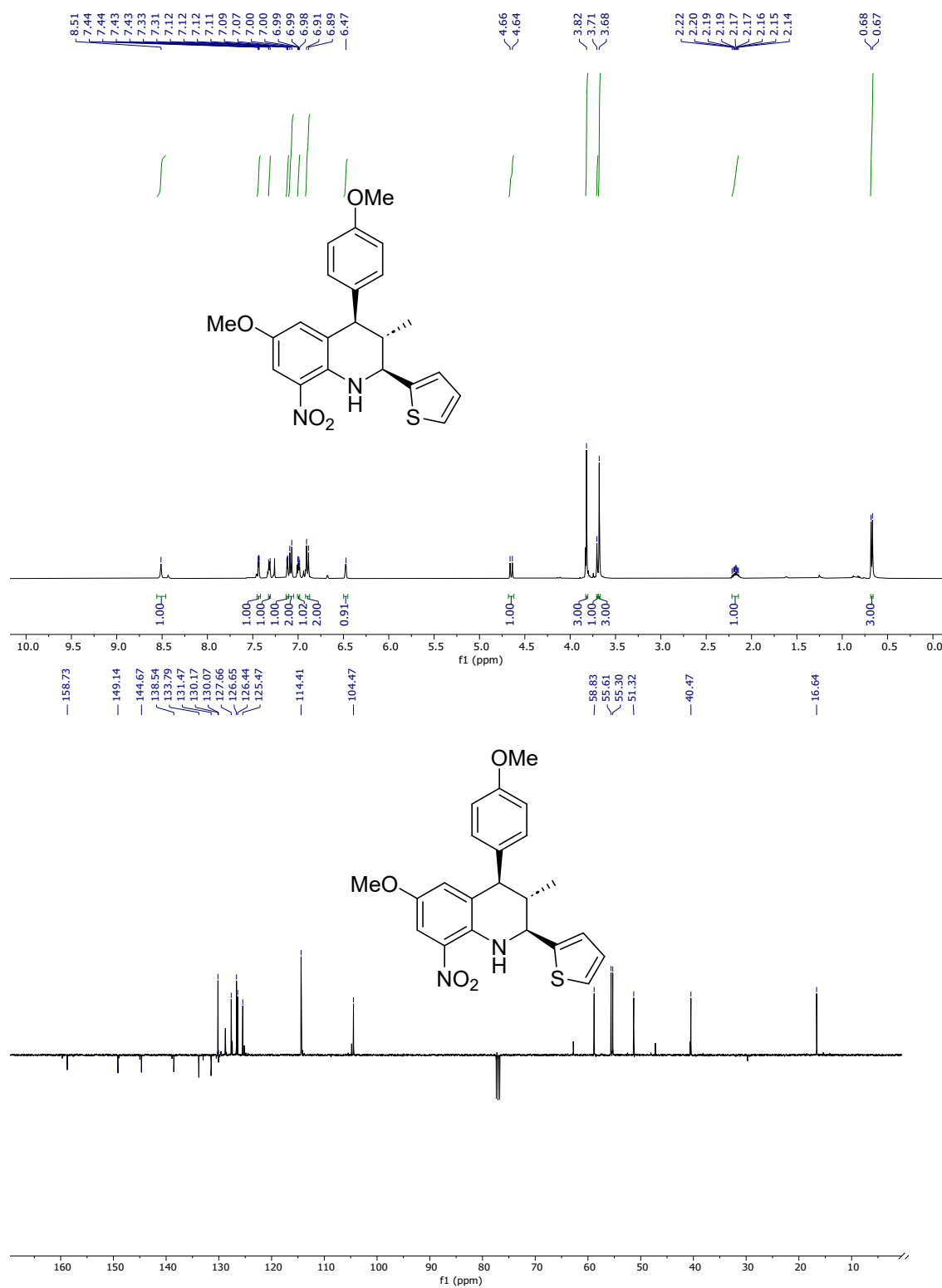


Figure 12. ^1H NMR, APT and HRMS spectra of *Cis*-4-(4-methoxyphenyl)-3-methyl-6-methoxy-8-nitro-2-(thiophen-2-yl)-1,2,3,4-tetrahydroquinoline (4l).



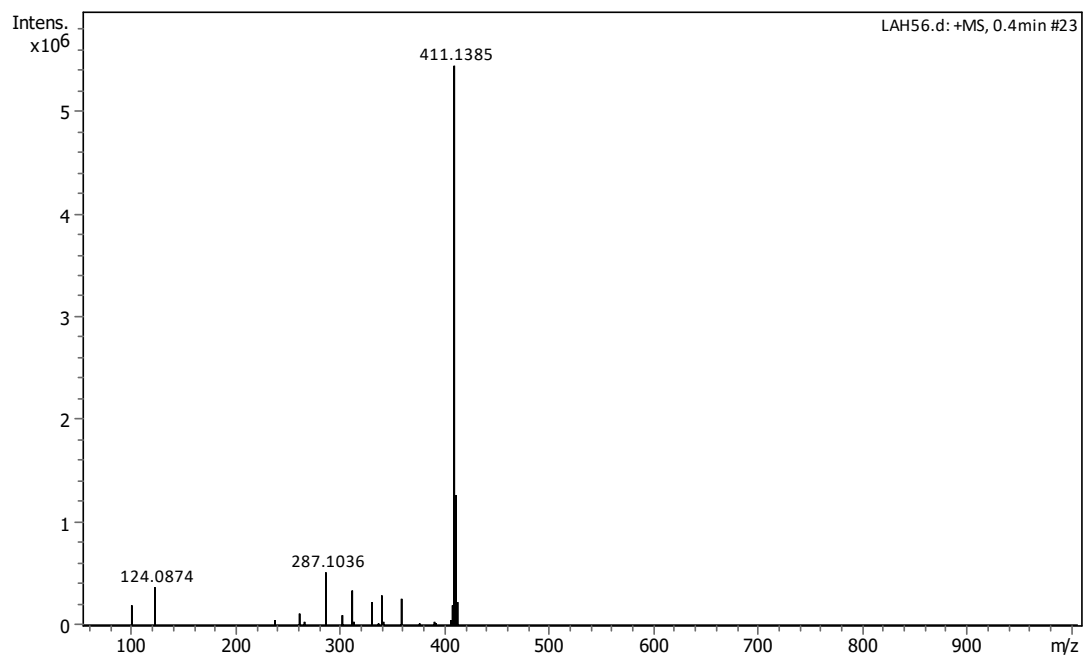
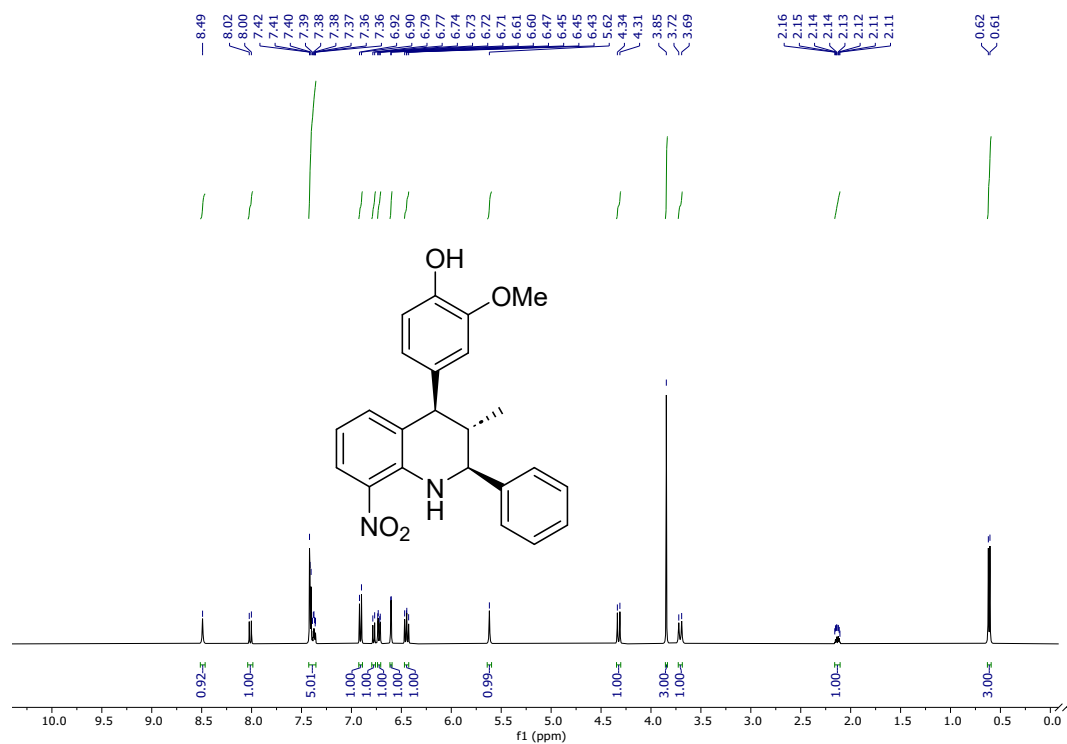


Figure 13. ¹H NMR, APT and HRMS spectra of *Cis*-4-(4-hydroxy-3-methoxyphenyl)-3-methyl-2-phenyl-8-nitro-1,2,3,4-tetrahydroquinoline (4m).



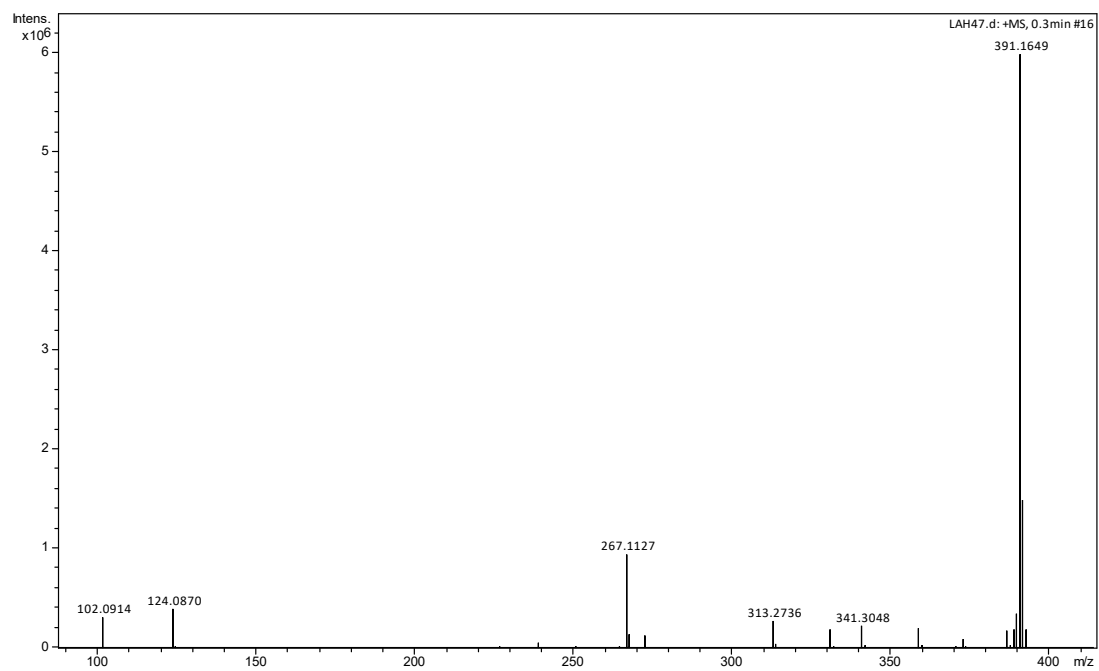
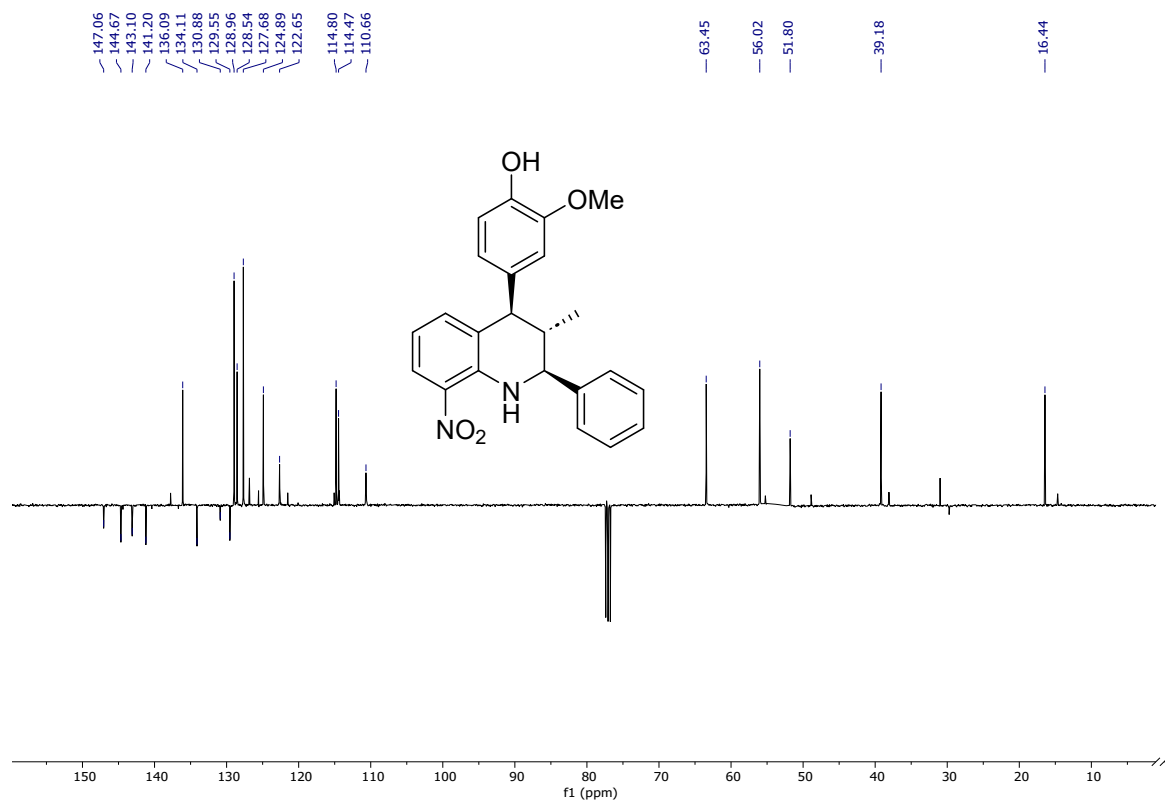


Figure 14. ^1H NMR, APT and HRMS spectra of *Cis*-4-(4-hydroxy-3-methoxyphenyl)-3-methyl-6-methoxy-2-phenyl-8-nitro-1,2,3,4-tetrahydroquinoline (4n).



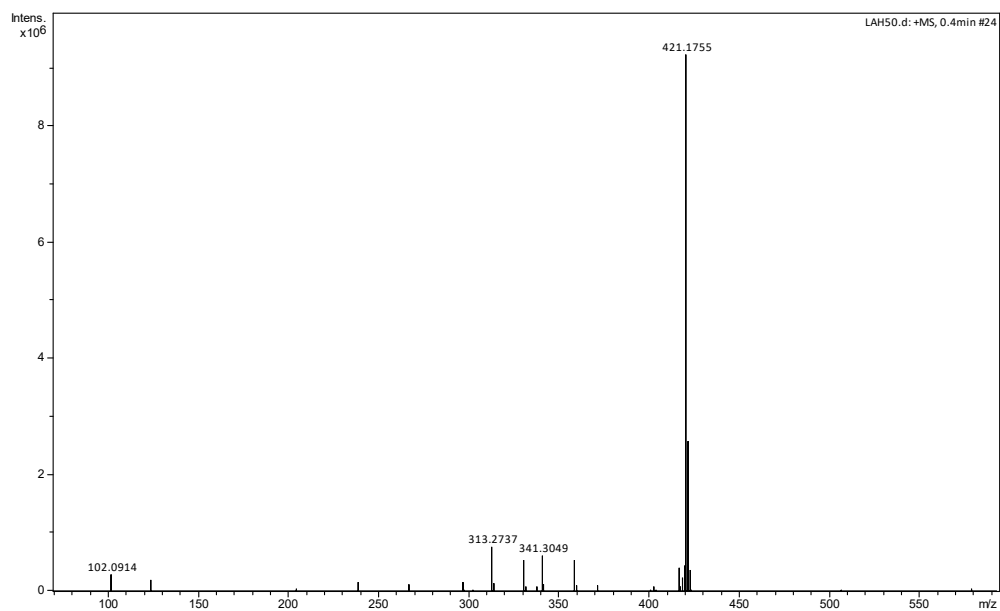
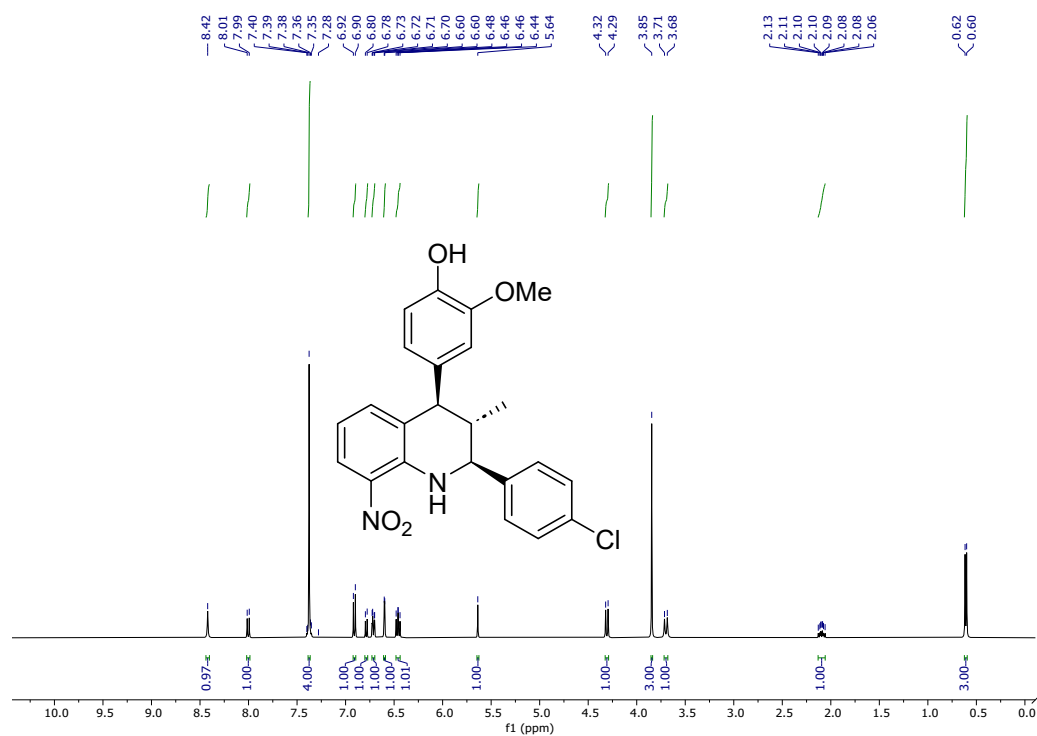


Figure 15. ¹H NMR, APT and HRMS spectra of *Cis*-2-(4-chlorophenyl)-4-(4-hydroxy-3-methoxyphenyl)-3-methyl-8-nitro-1,2,3,4-tetrahydroquinoline (**4o**).



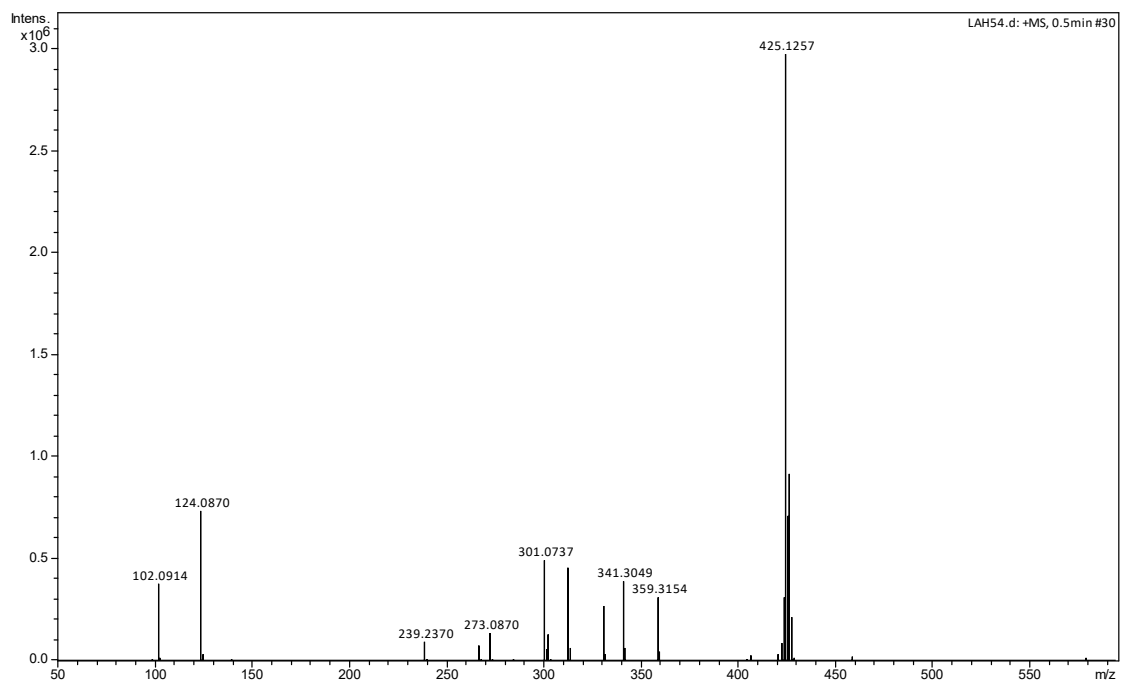
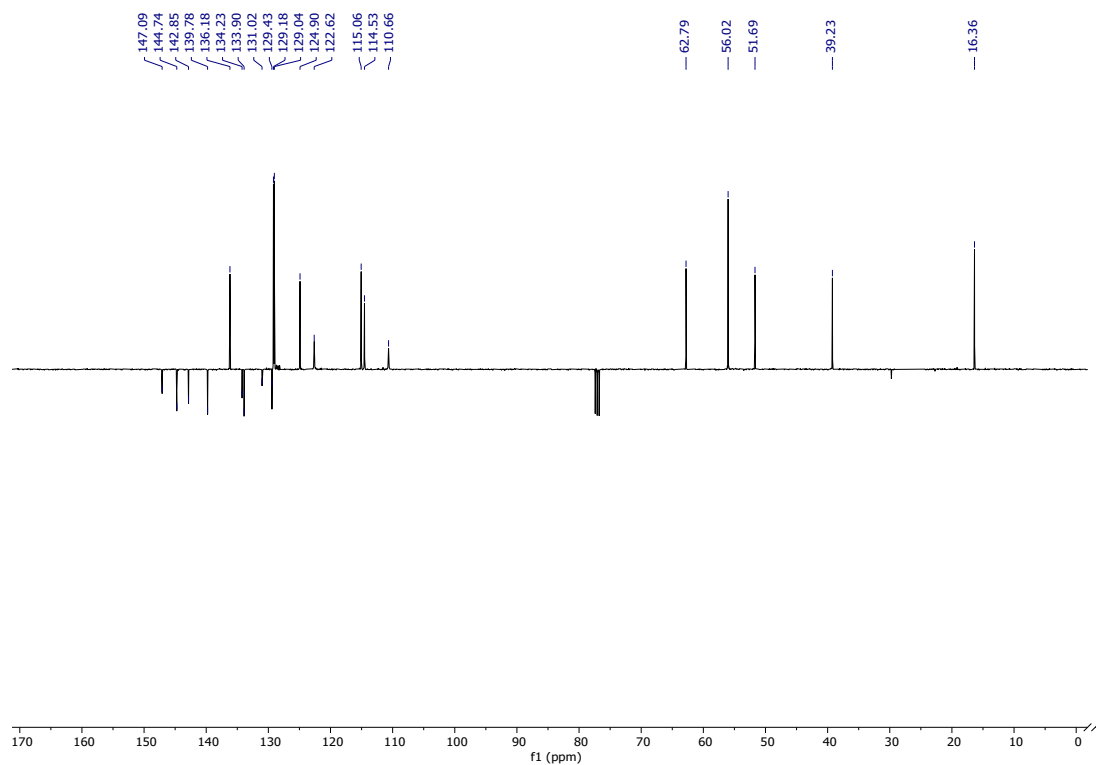
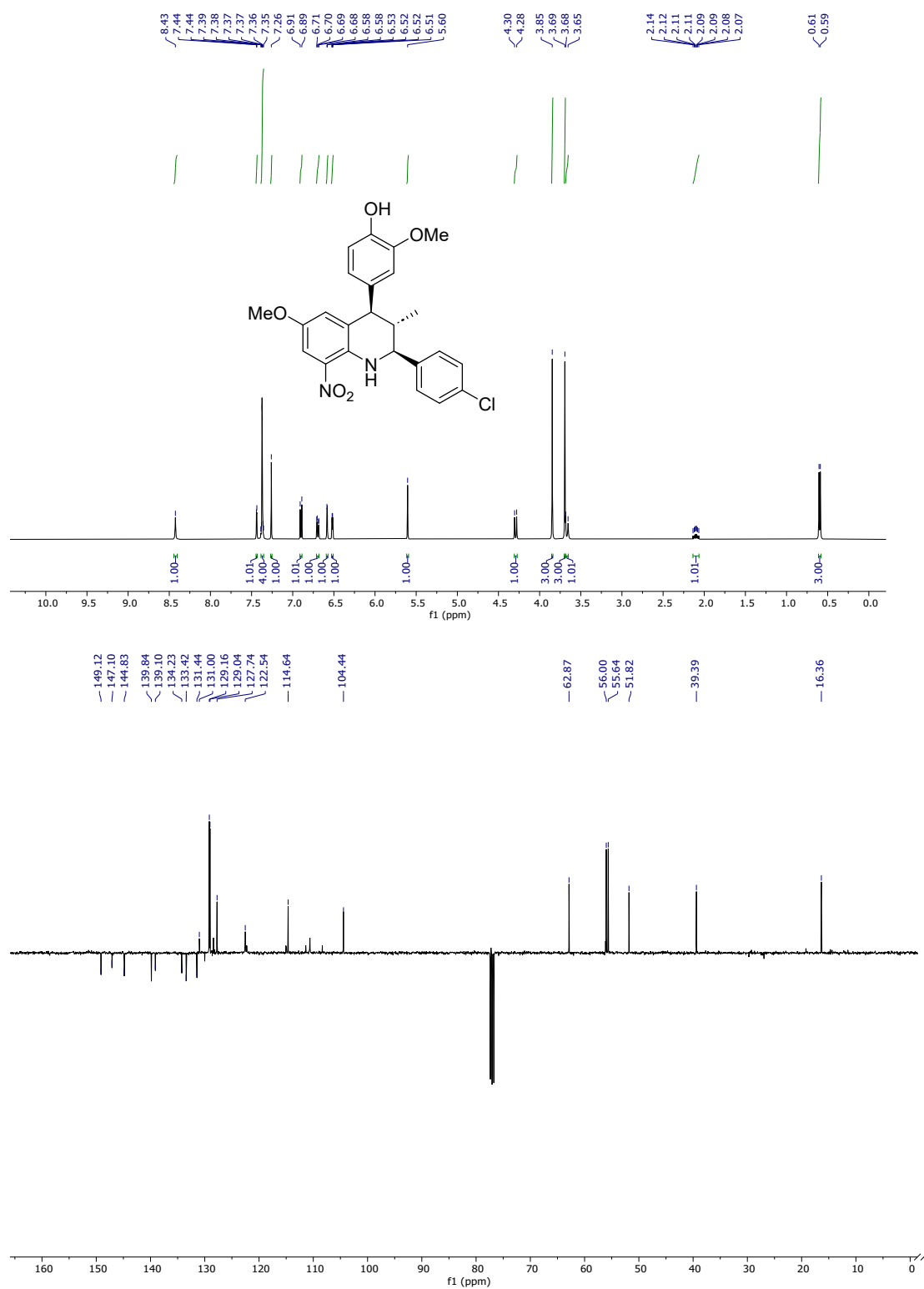
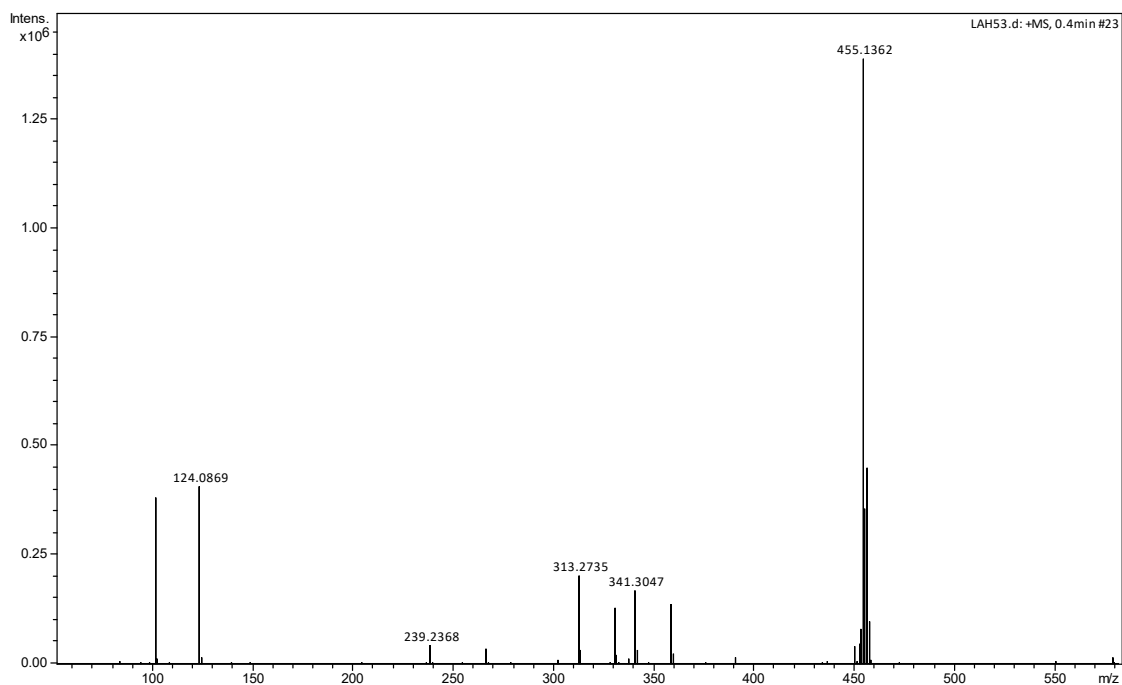


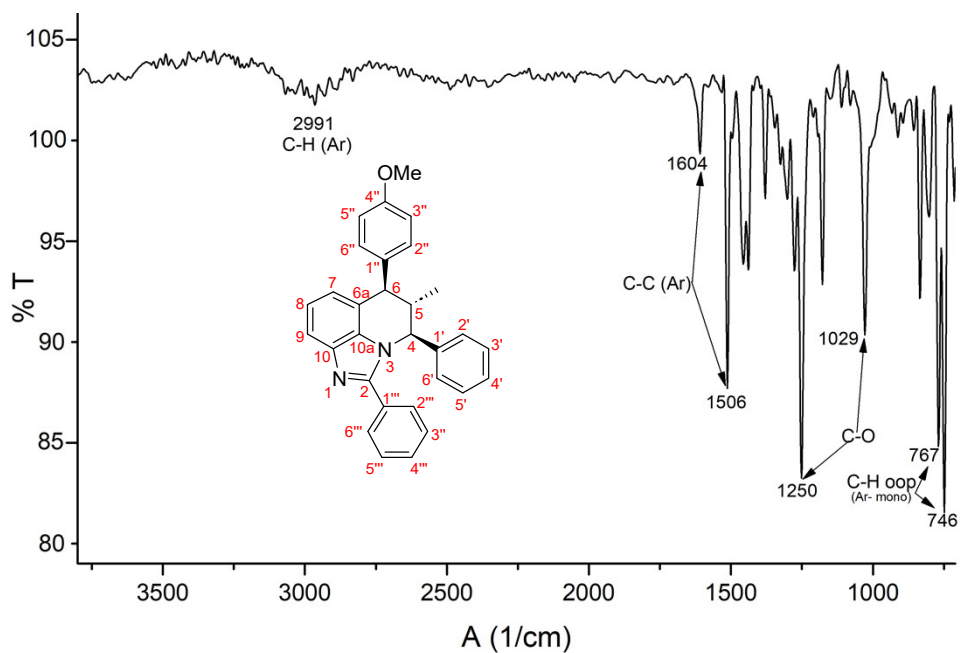
Figure 16. ^1H NMR, APT and HRMS spectra of *Cis*-2-(4-chlorophenyl)-4-(4-hydroxy-3-methoxyphenyl)-3-methyl-6-methoxy-8-nitro-1,2,3,4-tetrahydroquinoline (4p).

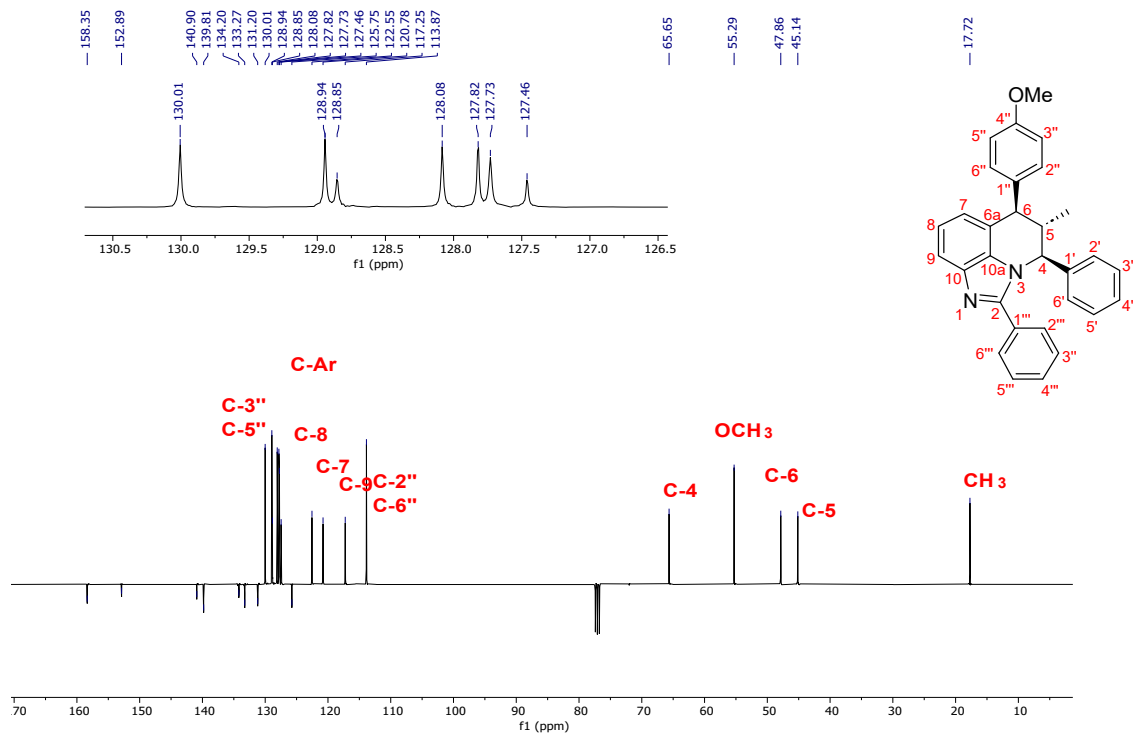
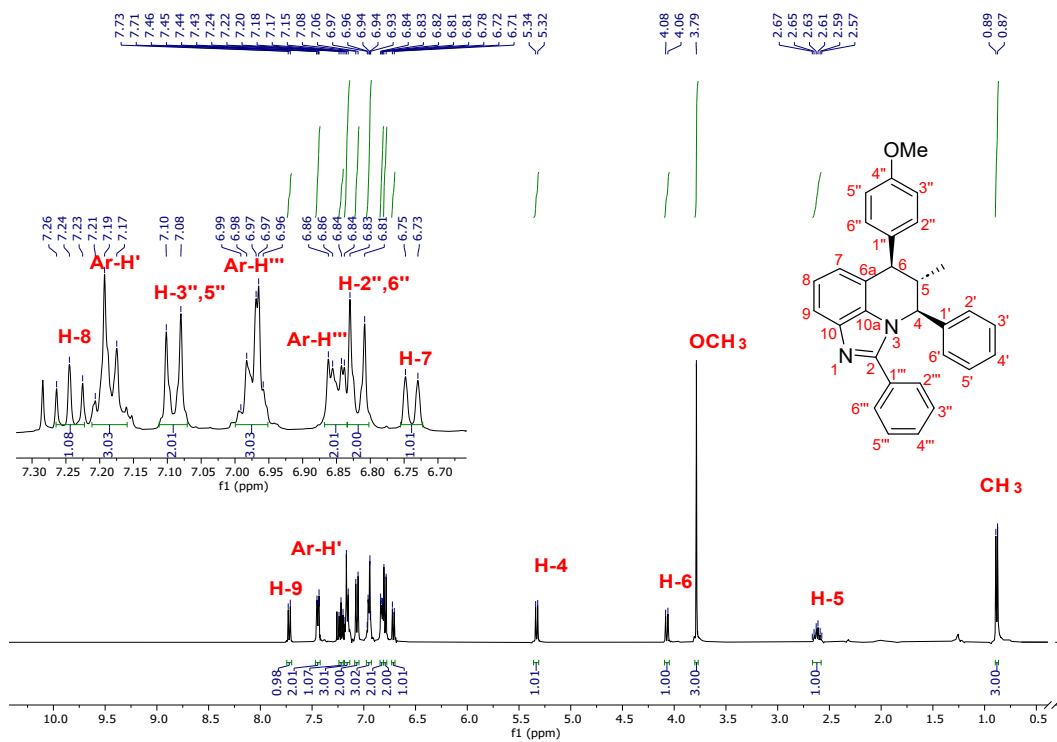


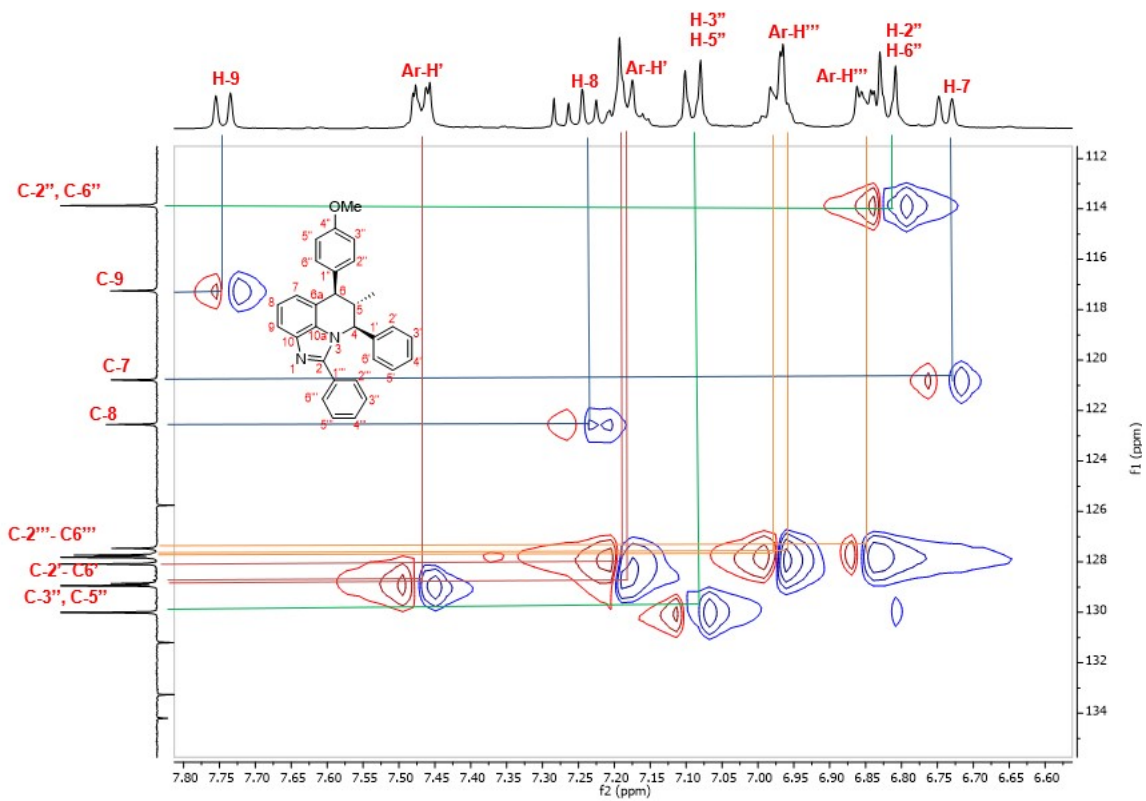
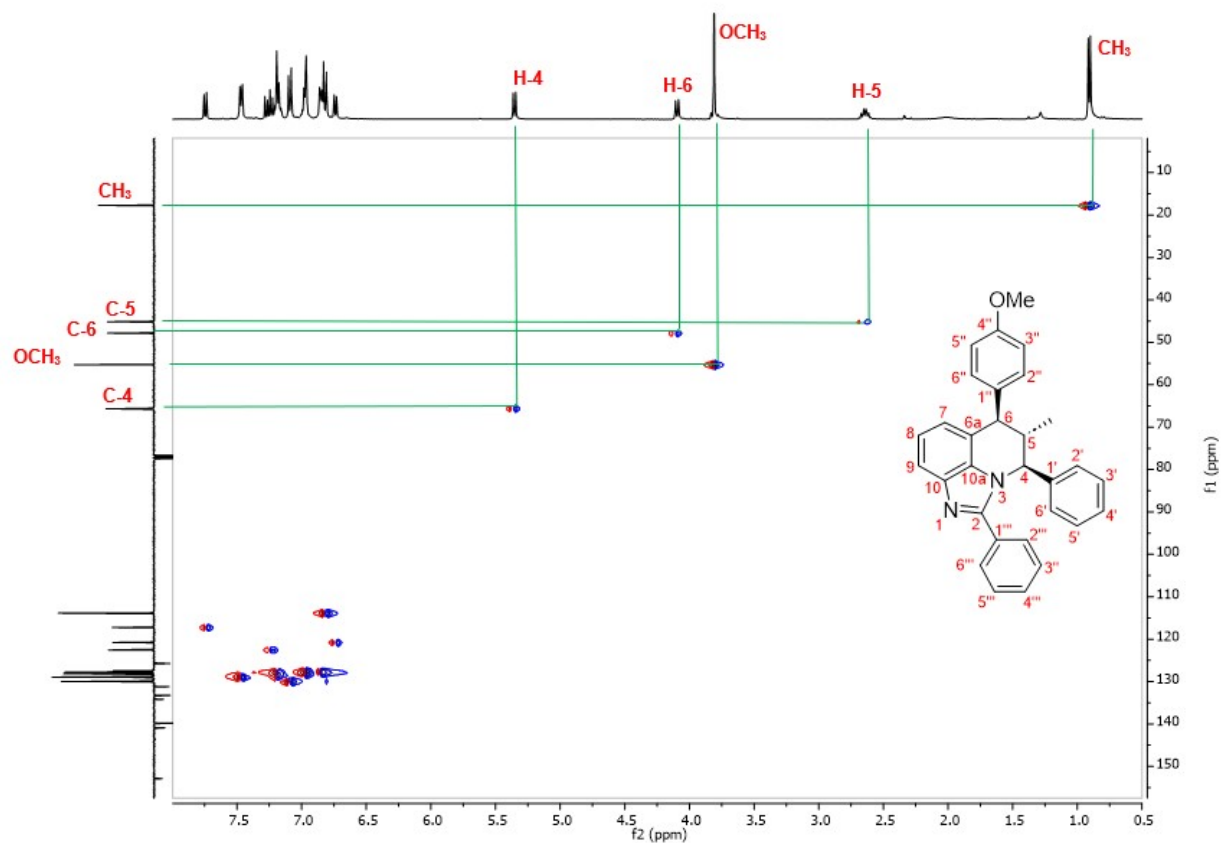


Copies of IR, ^1H NMR, ^{13}C NMR, HSQC and HRMS of imidazo[4,5,1-*ij*]quinolines 5a-5ac

Figure 17. IR, ^1H NMR, APT, HSQC and HRMS spectra of *Cis*-6-(4-methoxyphenyl)-5-methyl-2,4-diphenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5a).







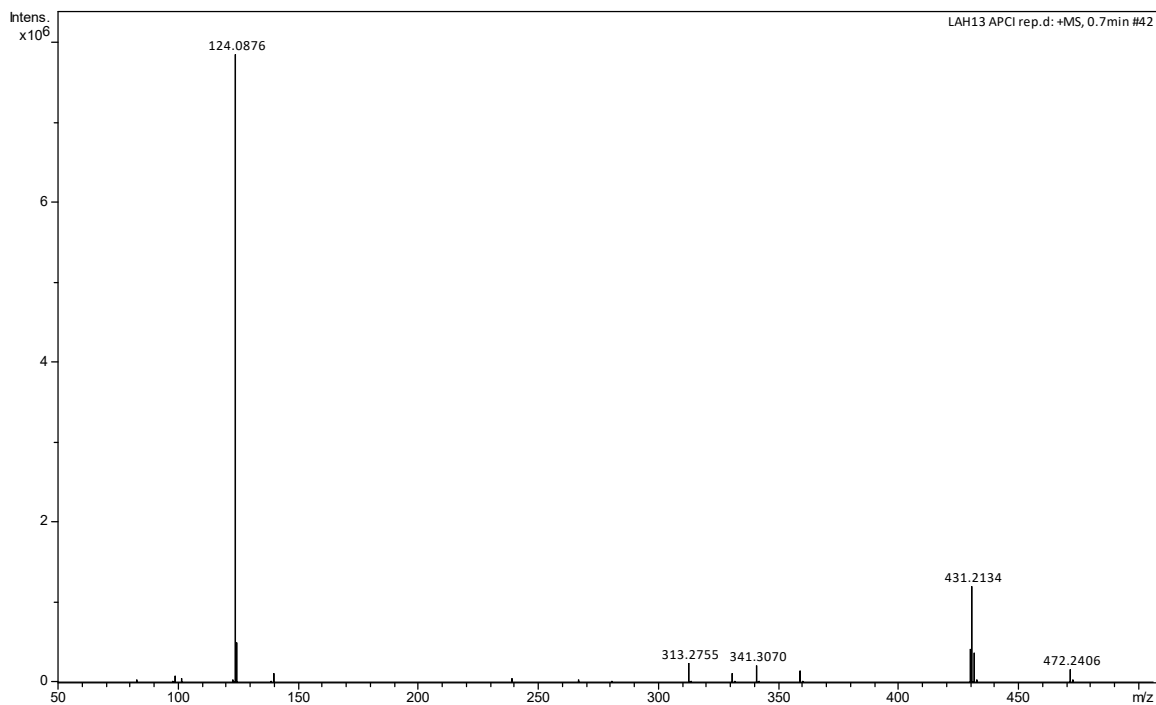
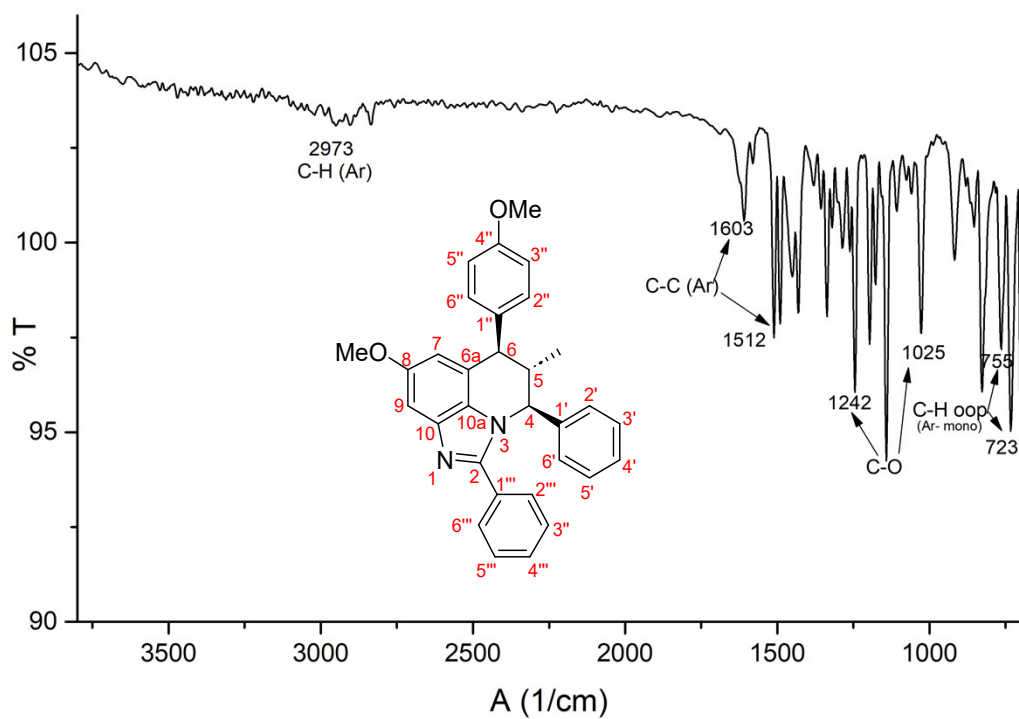
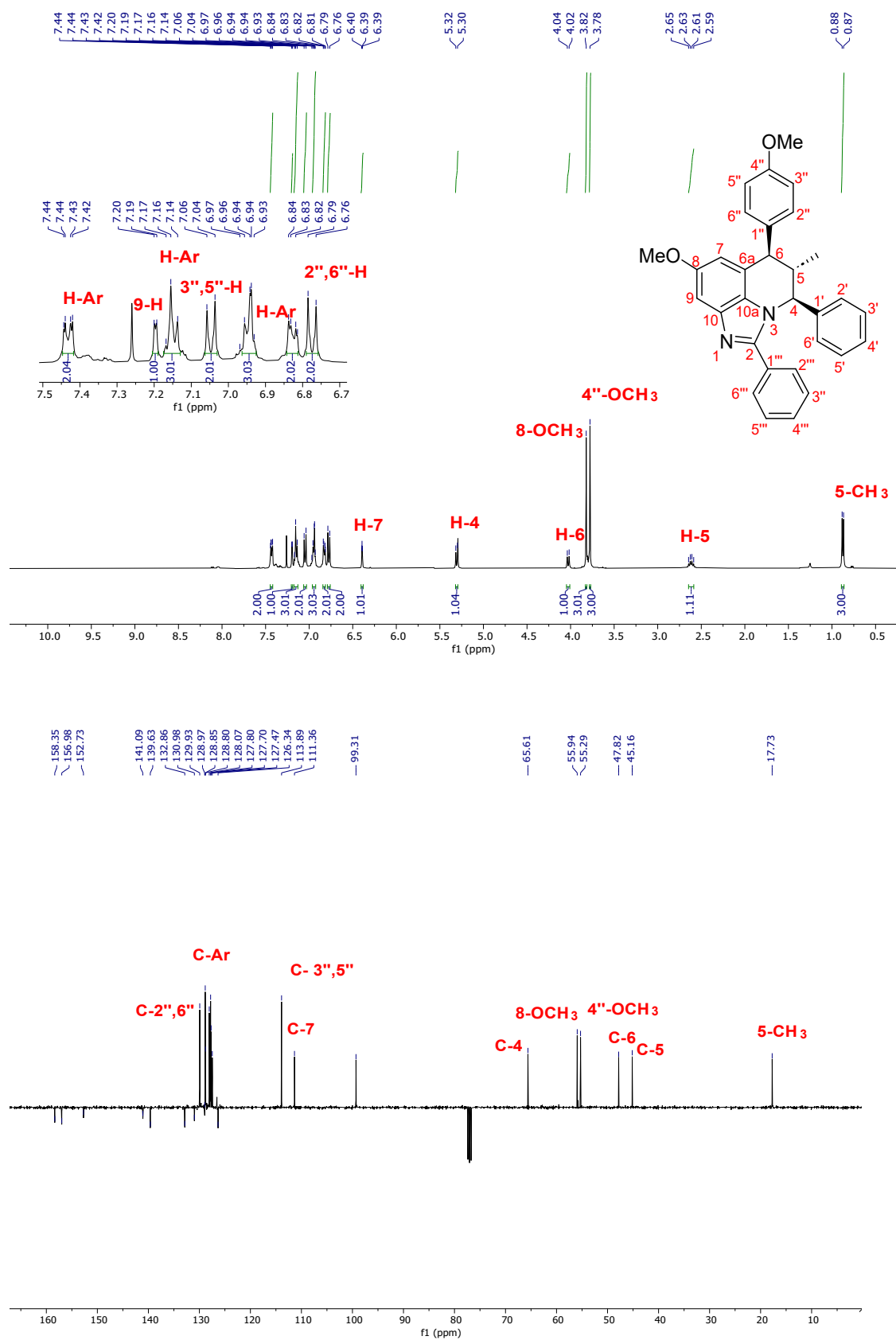


Figure 18. IR, ^1H NMR, APT, HSQC and HRMS spectra of *Cis*-8-methoxy-6-(4-methoxyphenyl)-5-methyl-2,4-diphenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (**5b**).





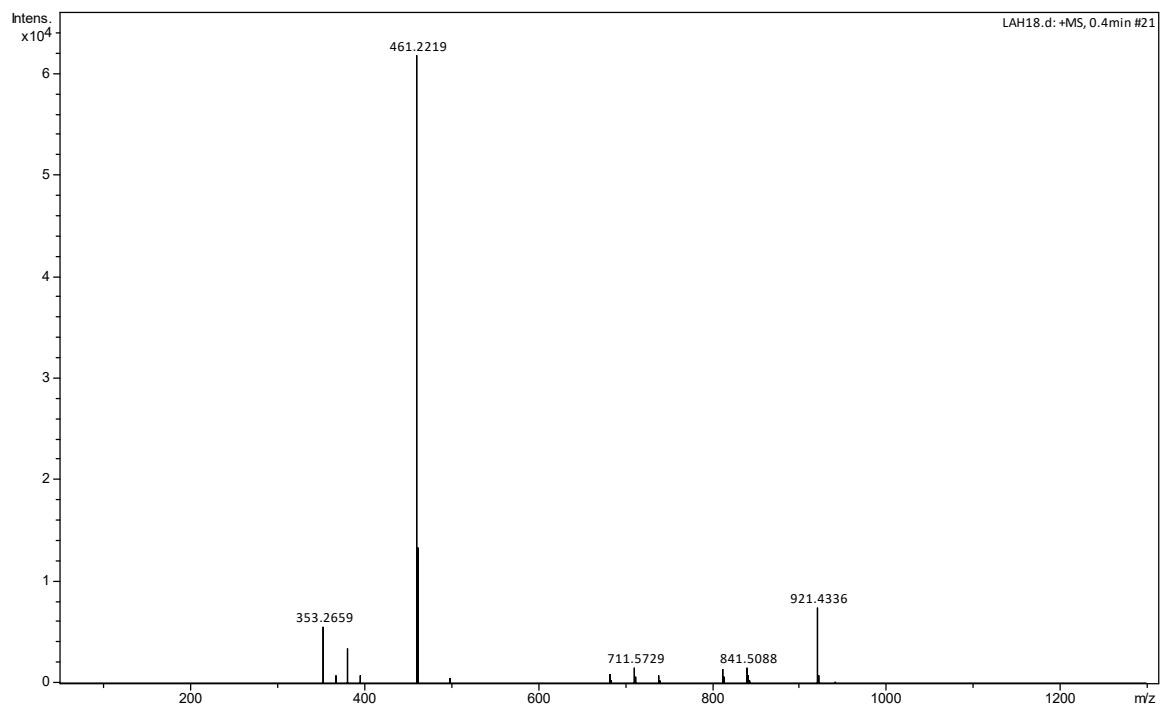
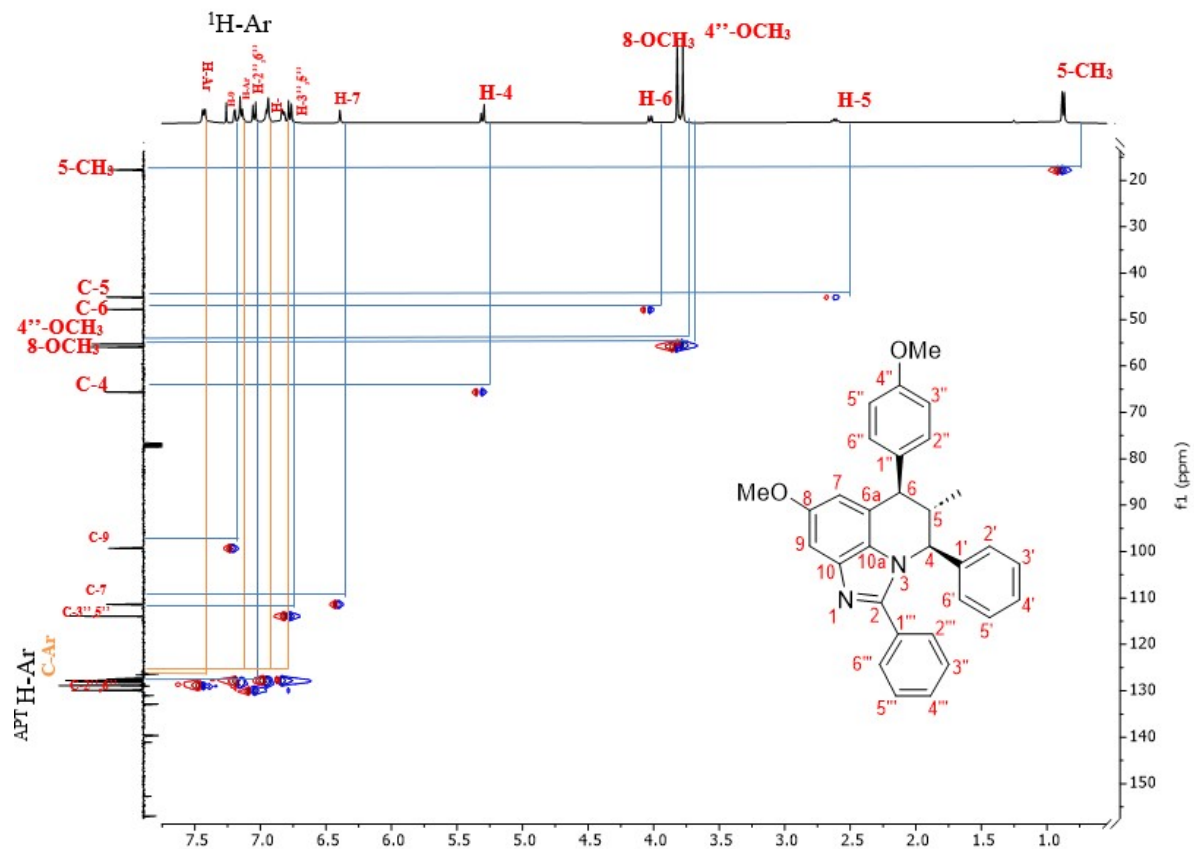
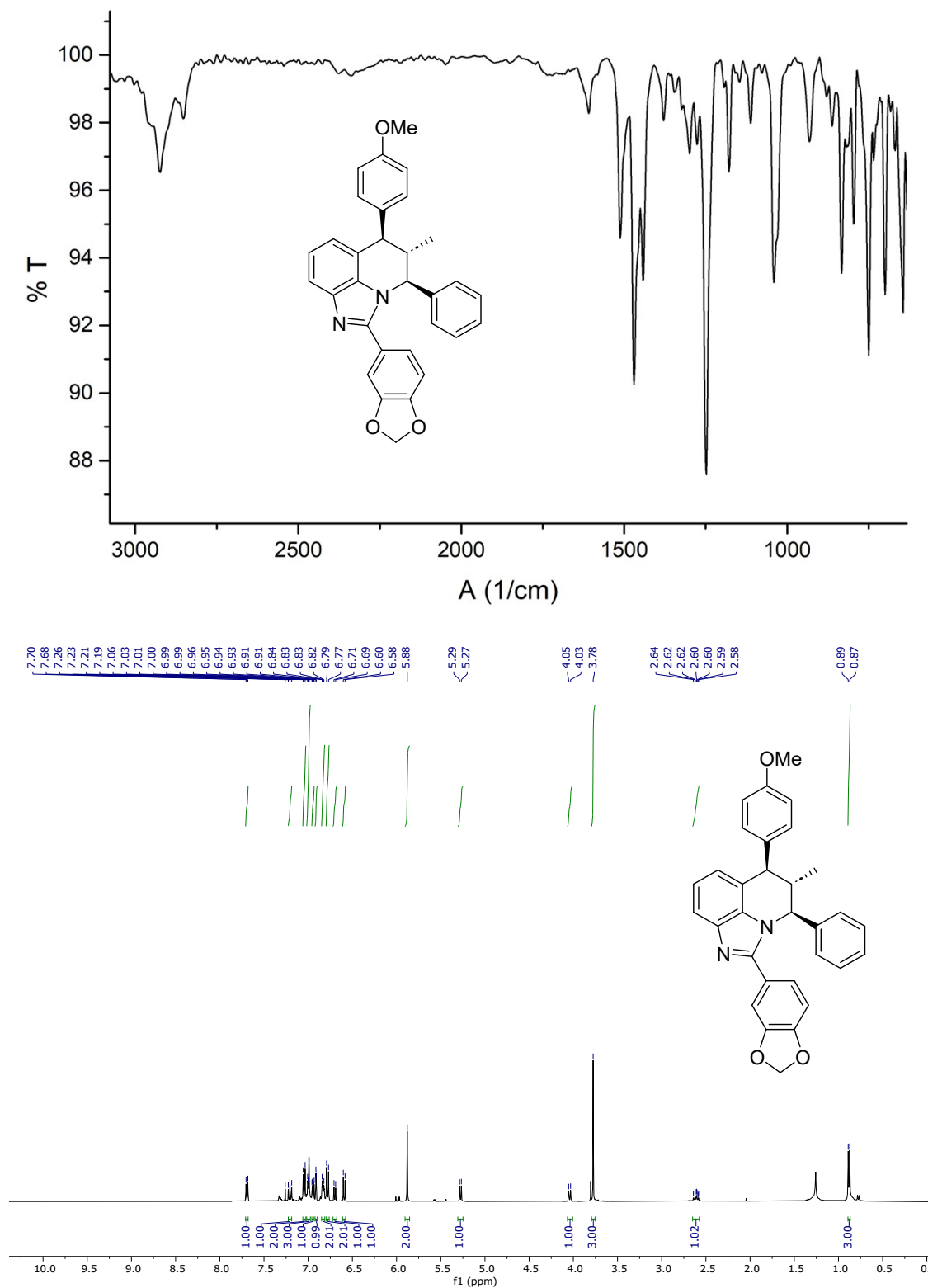


Figure 19. IR, ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-2-(benzo[*d*][1,3]dioxol-5-yl)-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5c).



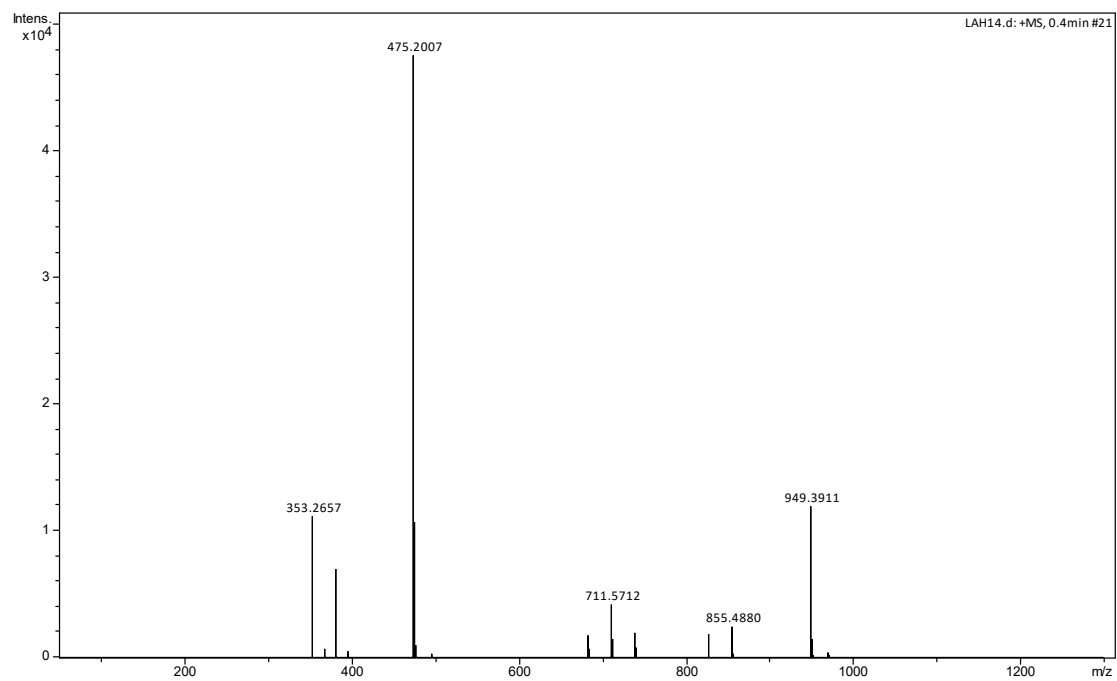
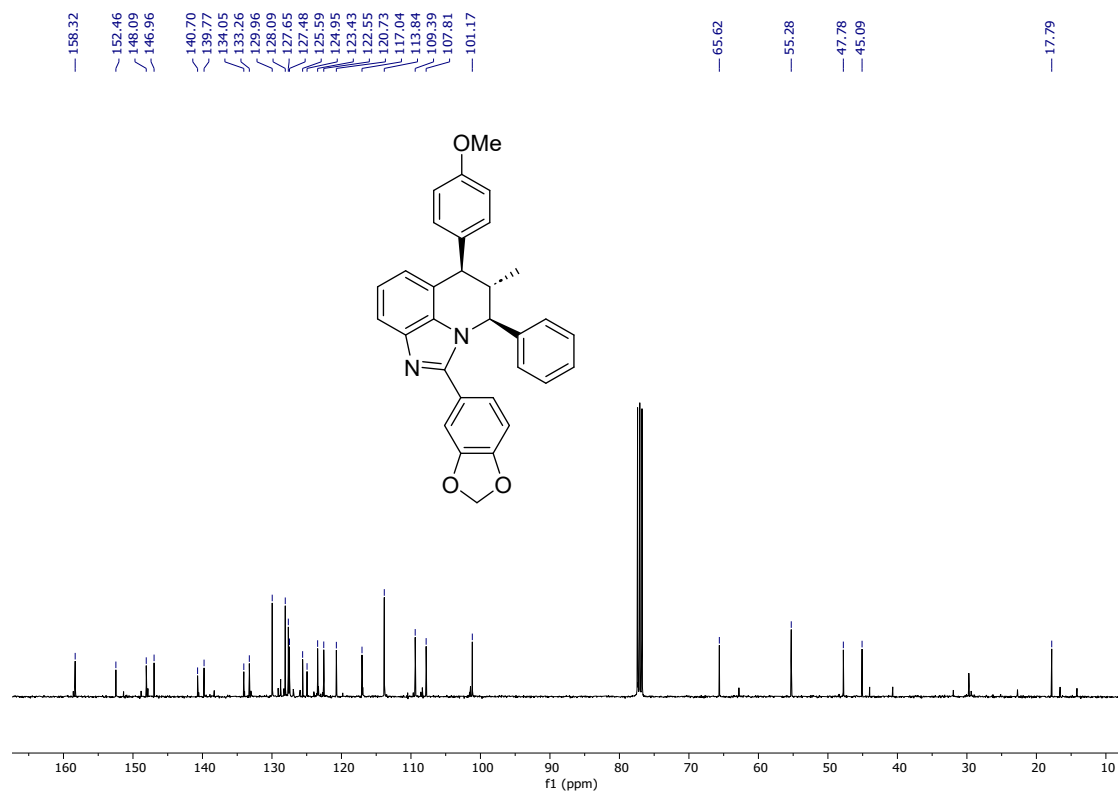
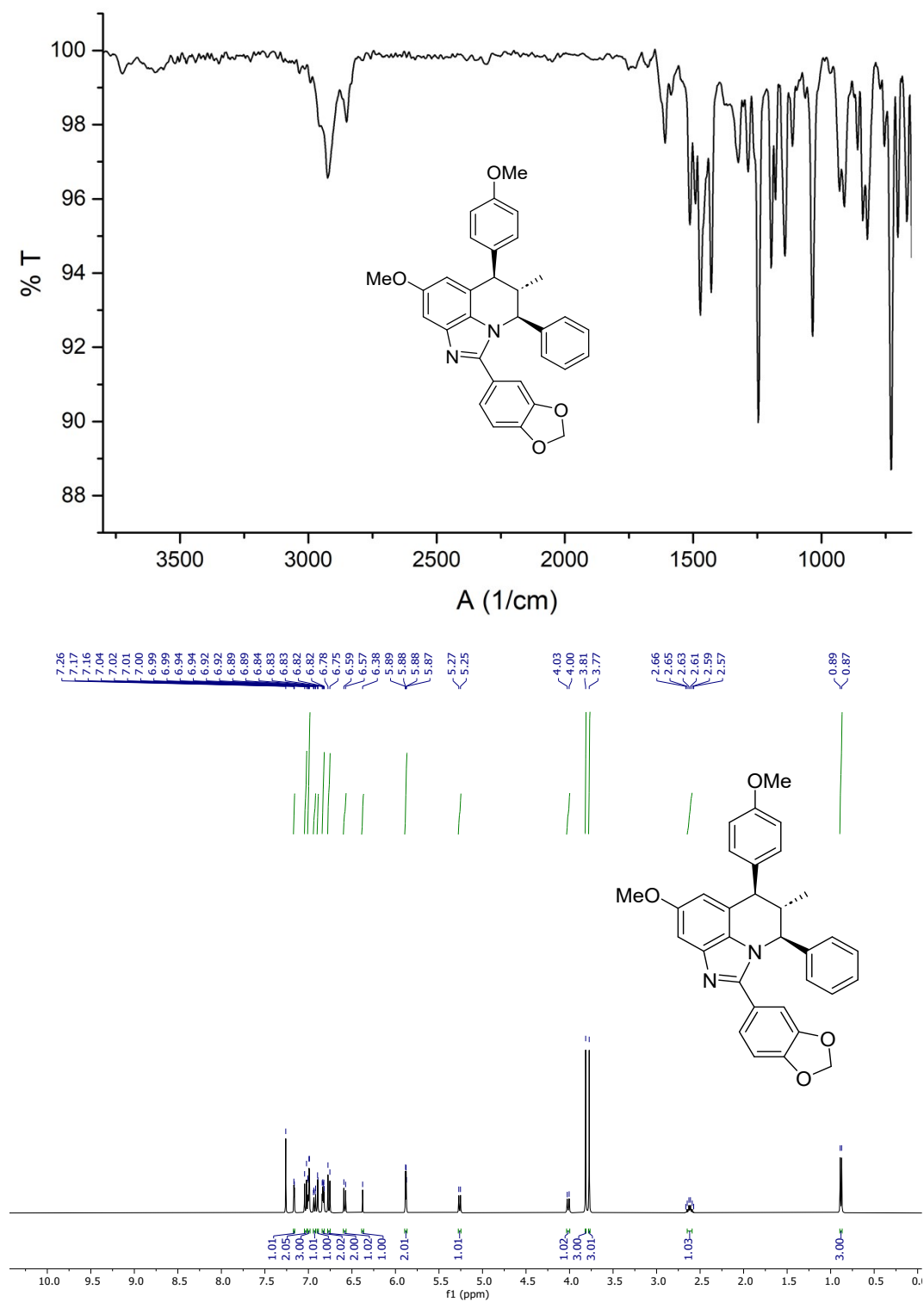


Figure 20. IR, ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-2-(benzo[*d*][1,3]dioxol-5-yl)-8-methoxy-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (**5d**).



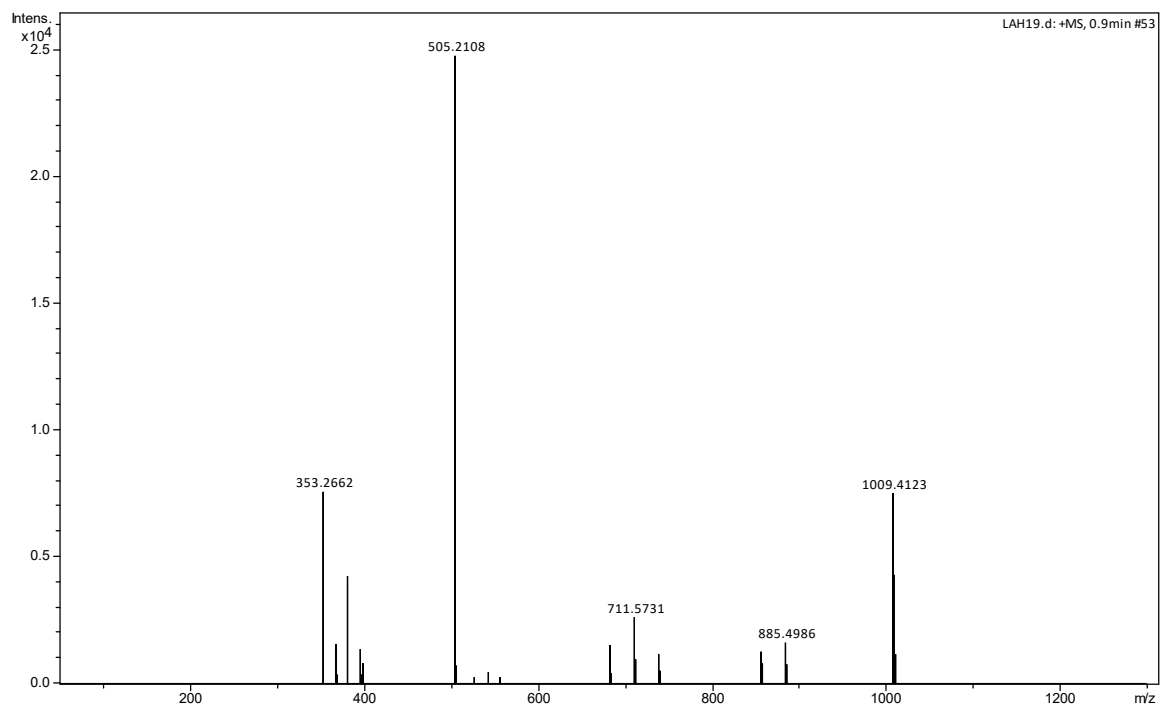
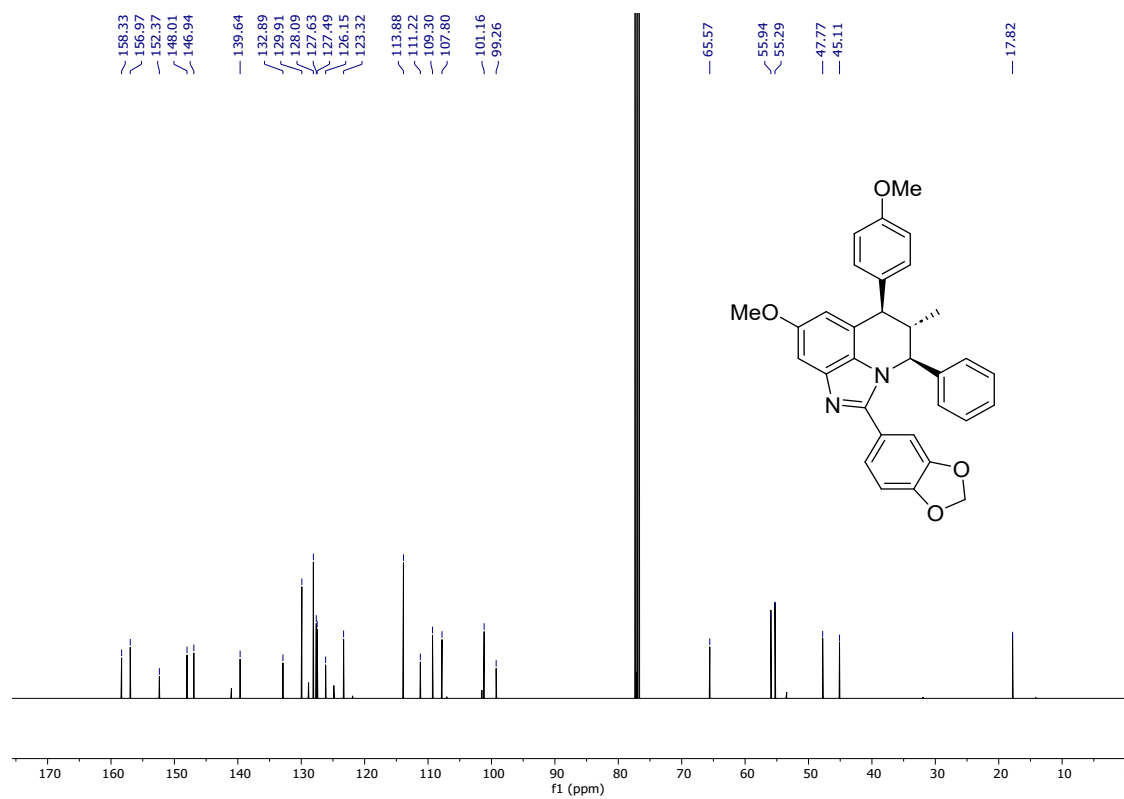
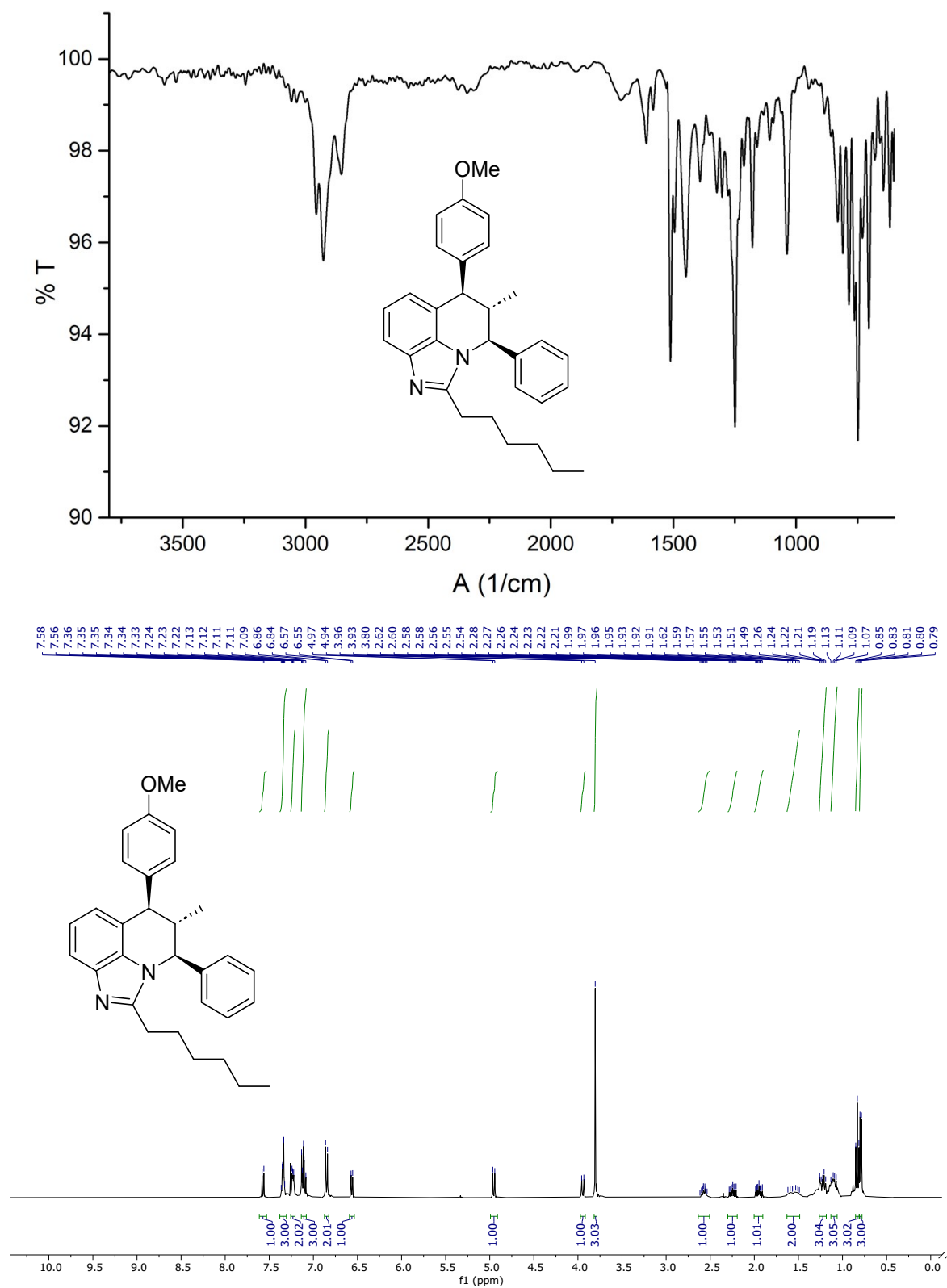


Figure 21. IR, ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis-2-(hexyl)-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline (5c)*.



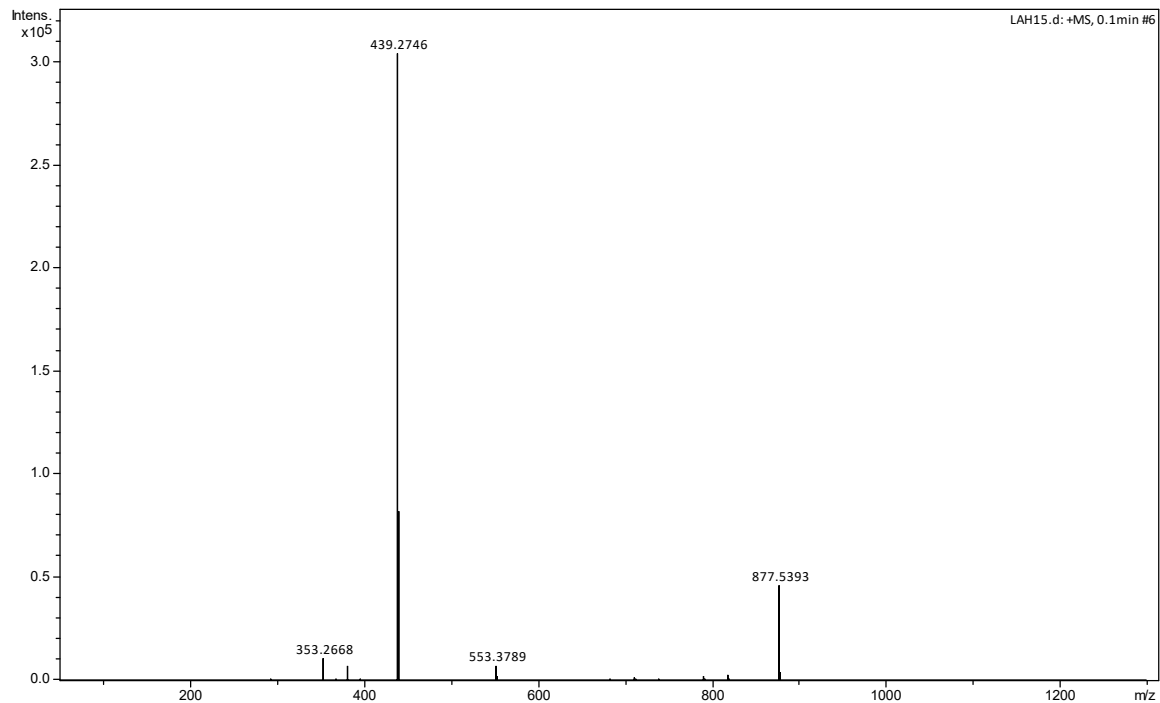
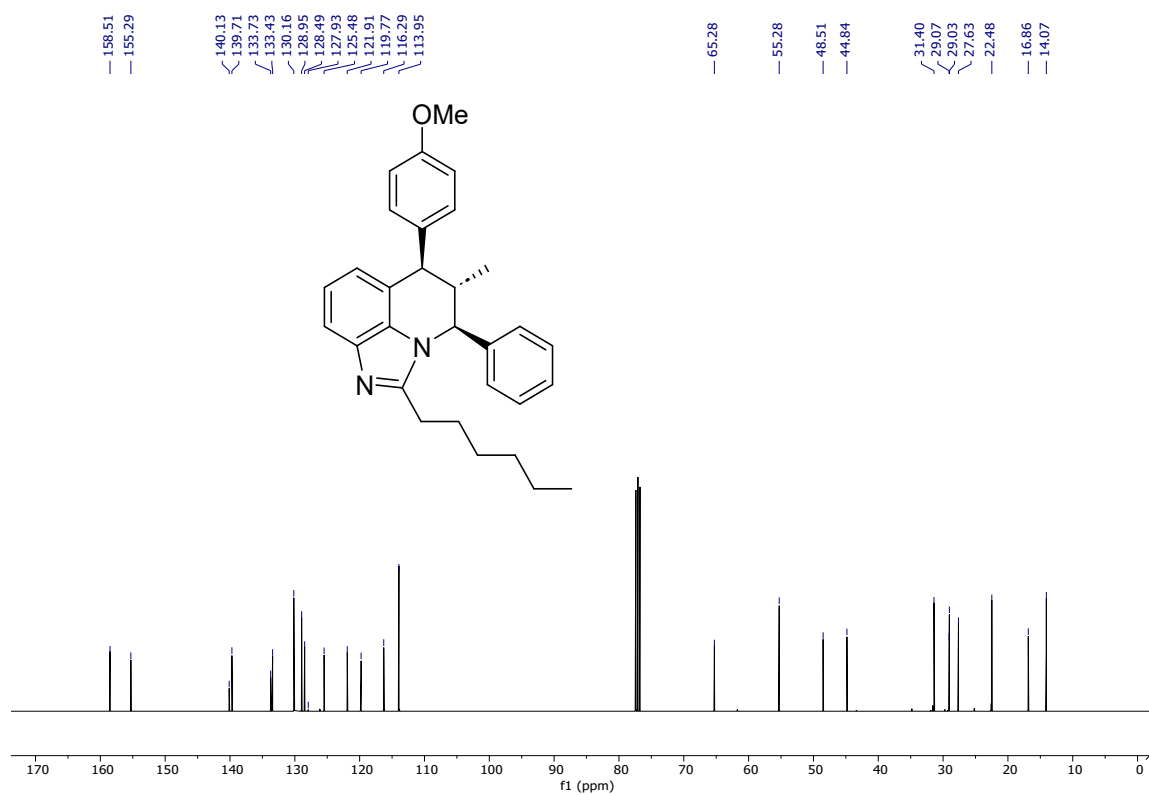
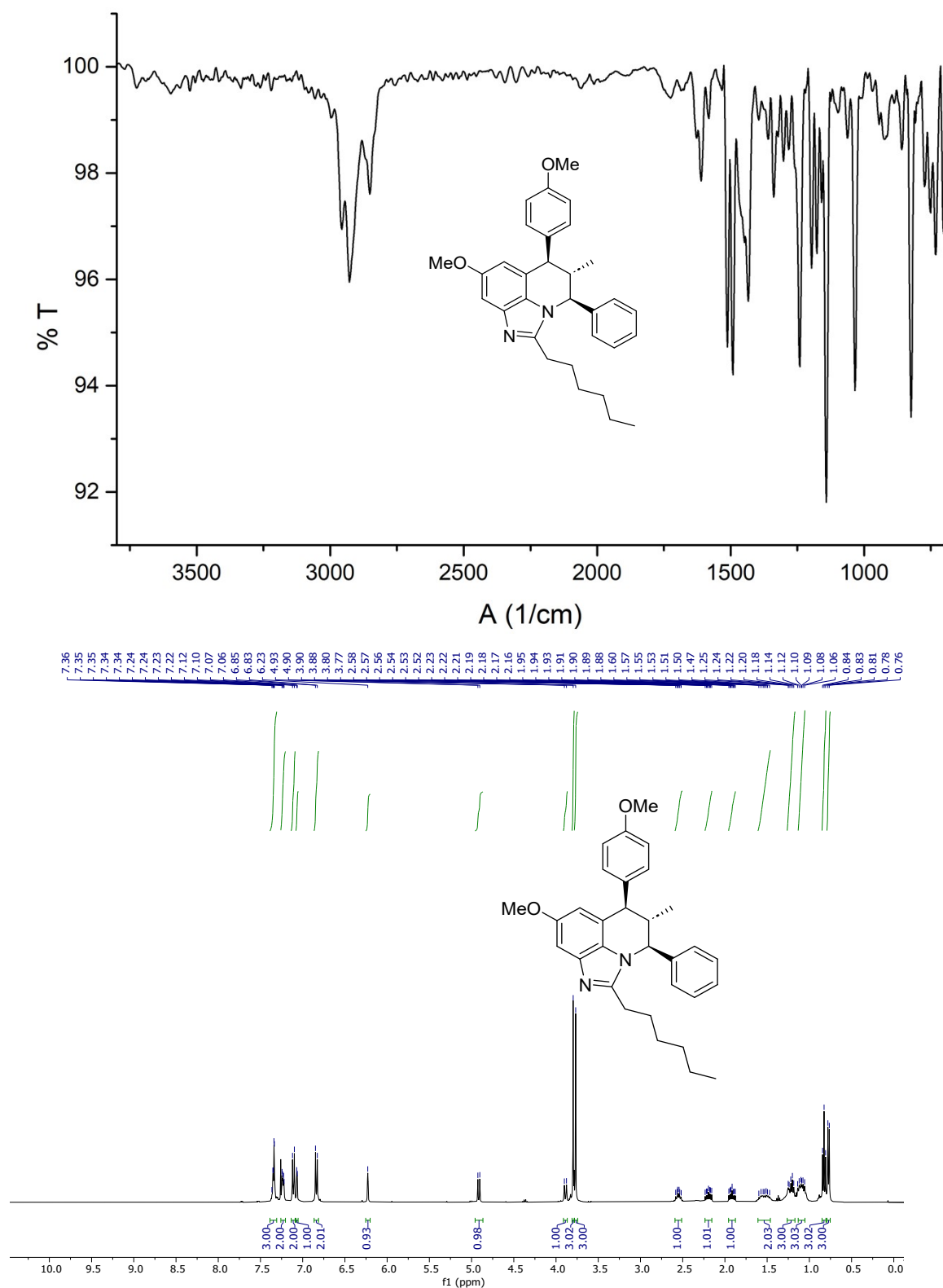


Figure 22. IR, ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-2-(hexyl)-8-methoxy-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5f).



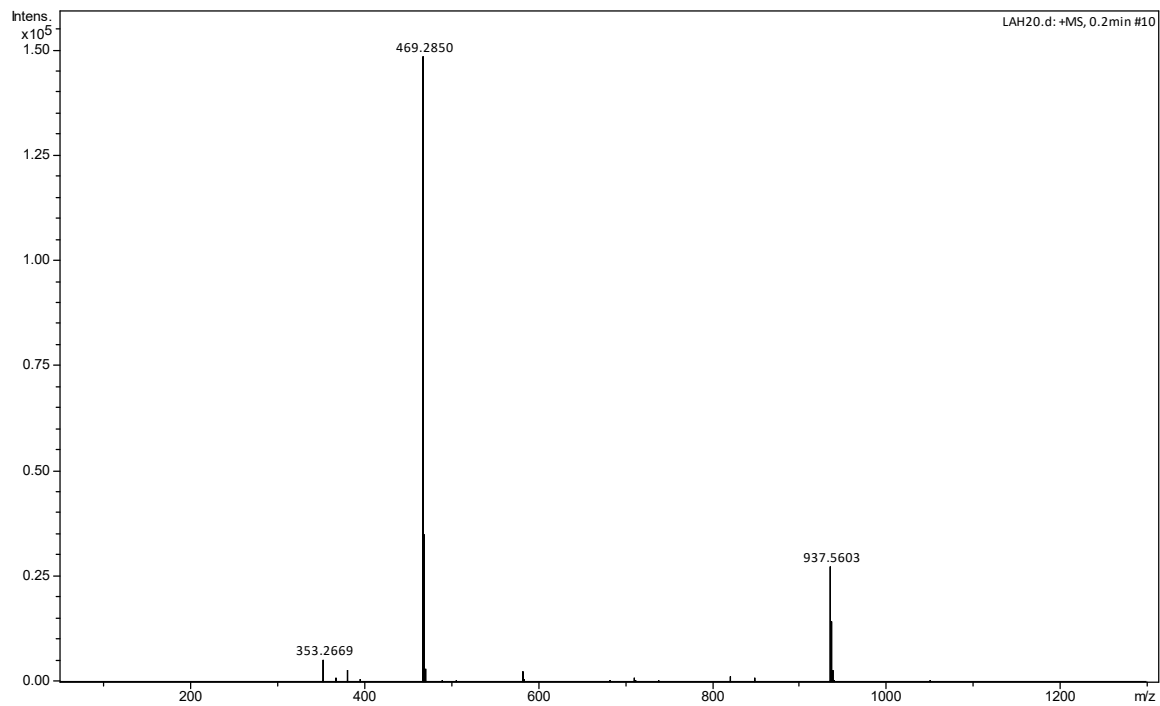
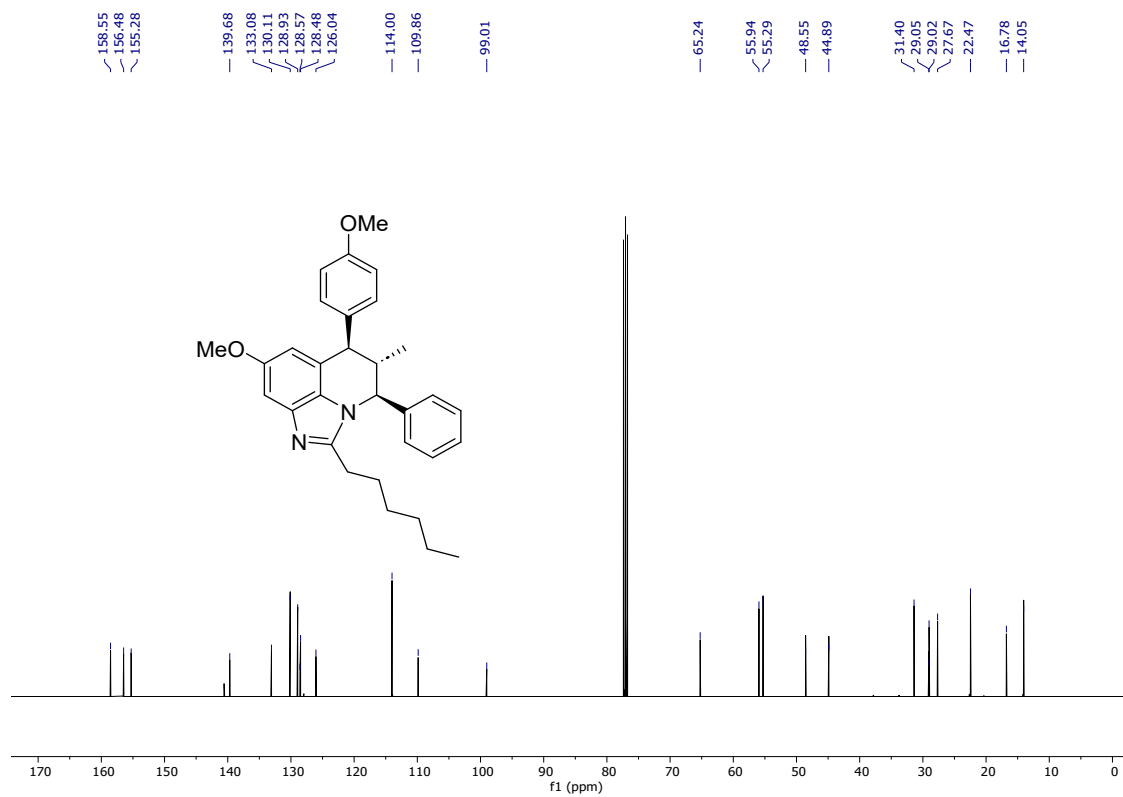
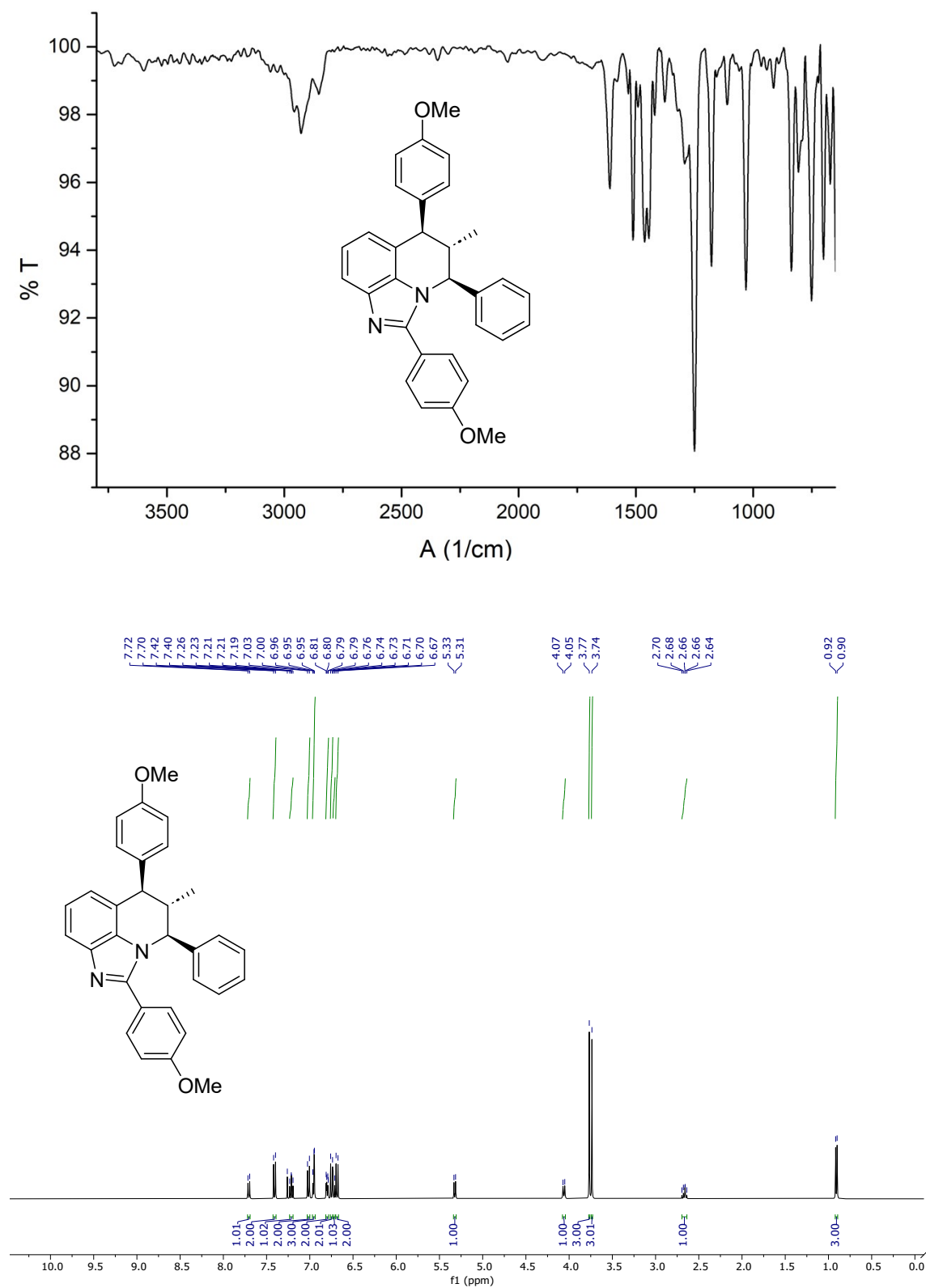


Figure 23. IR, ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-2,6-bis(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (**5g**).



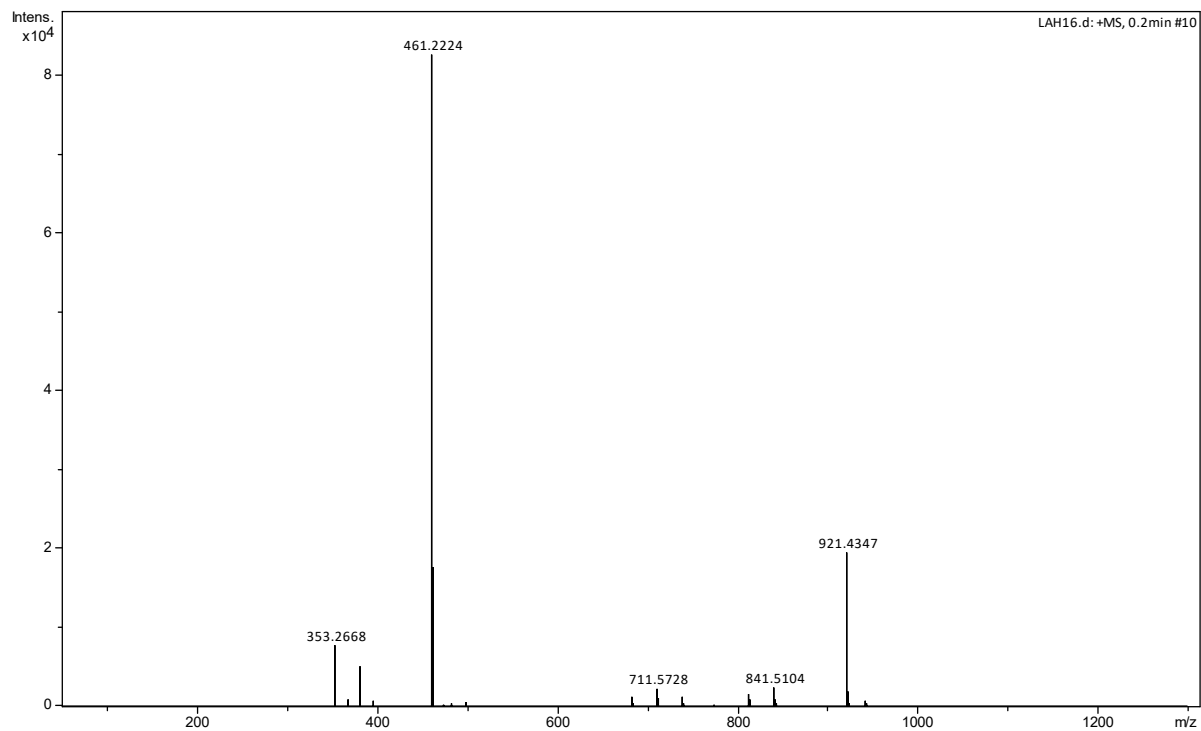
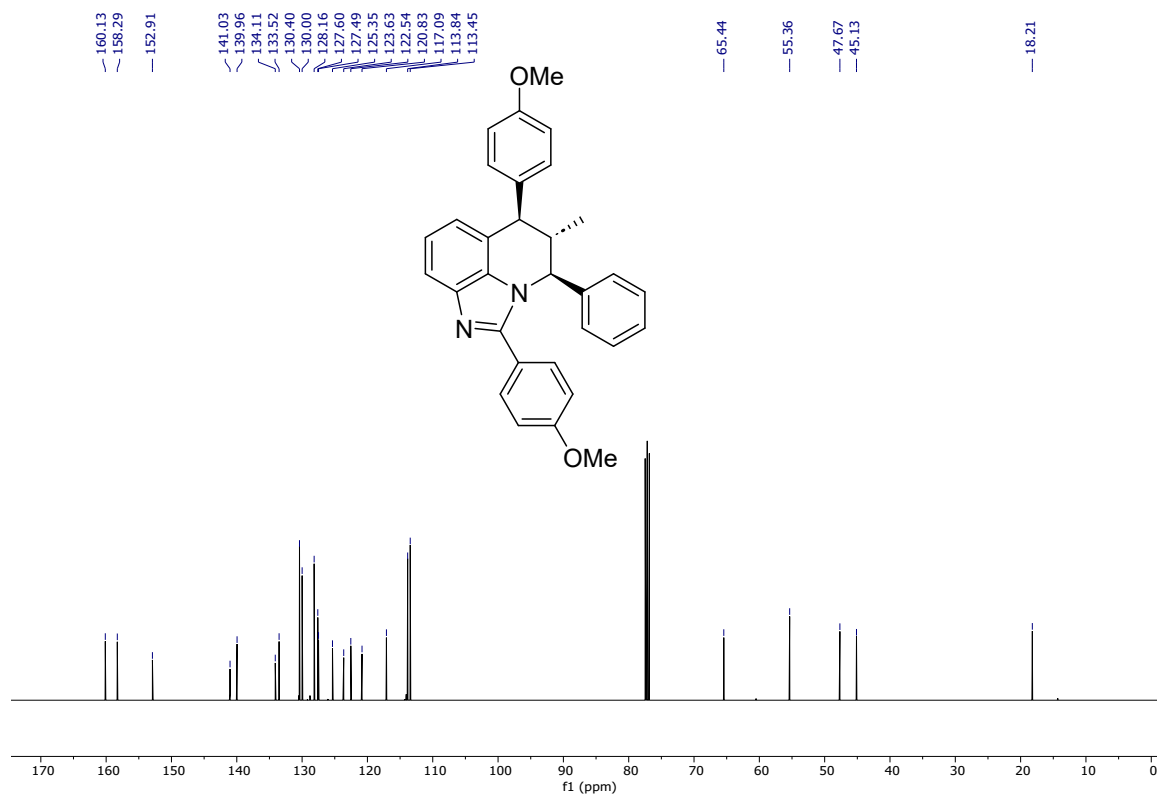
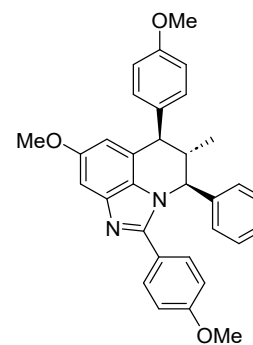
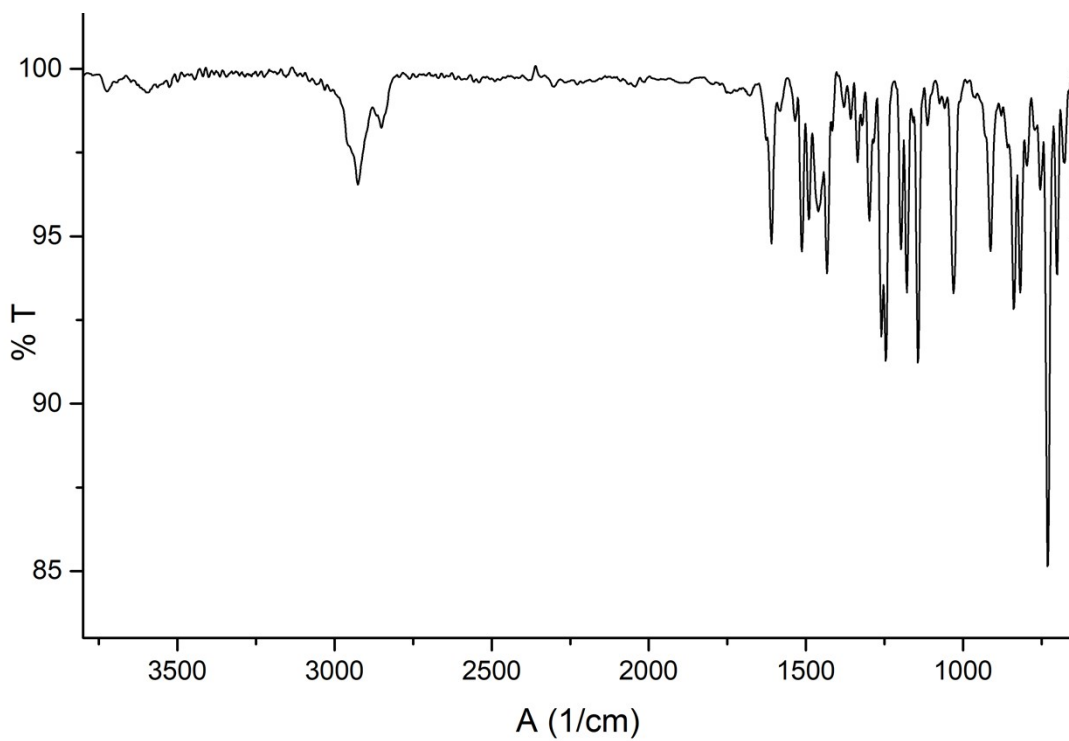


Figure 24. IR, ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-8-methoxy-2,6-bis(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (**5h**).



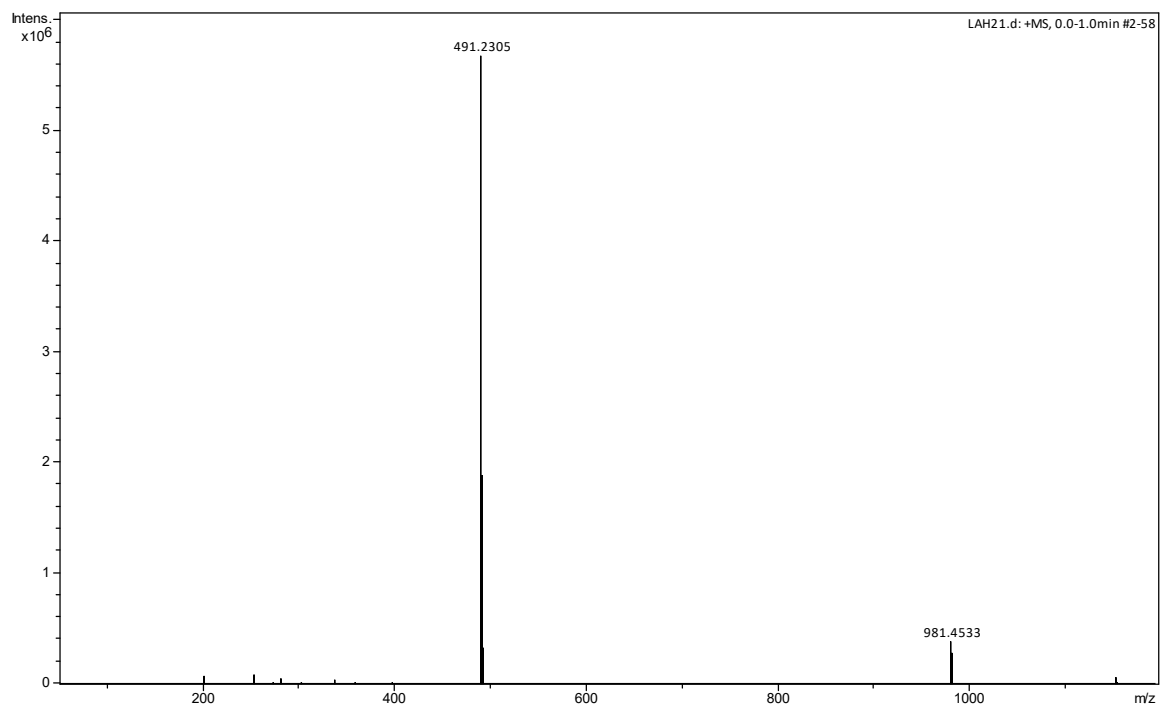
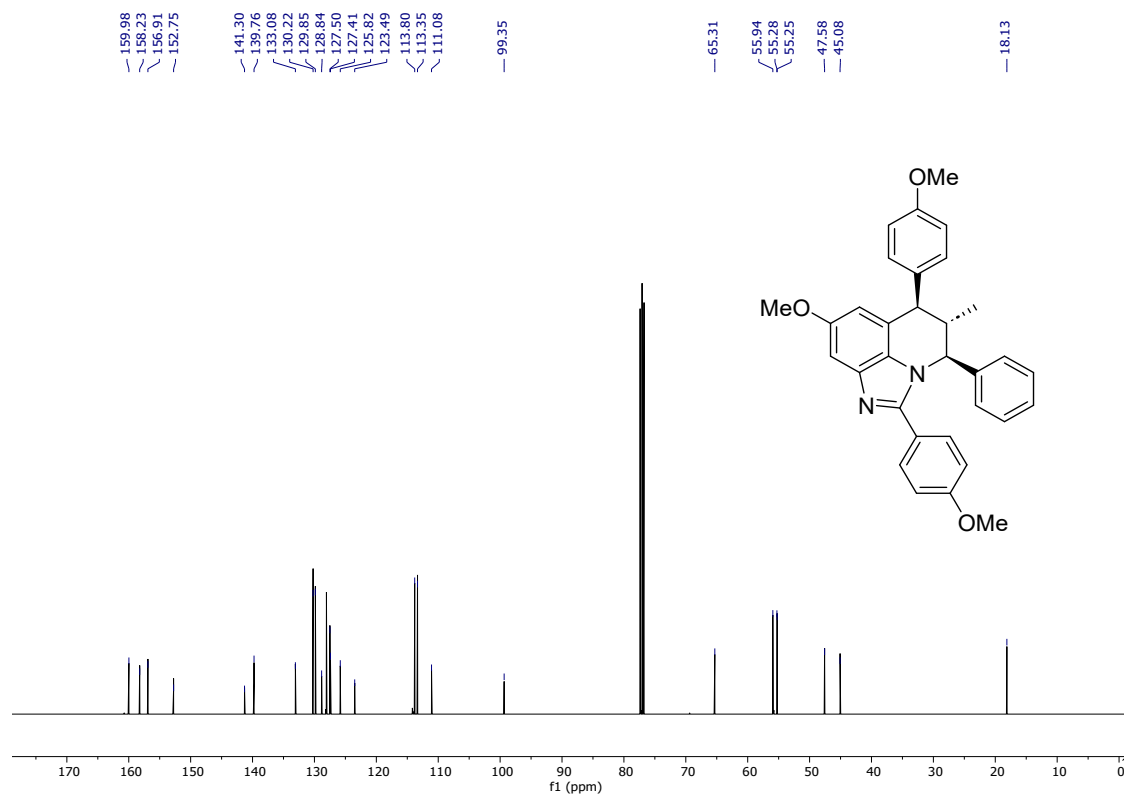
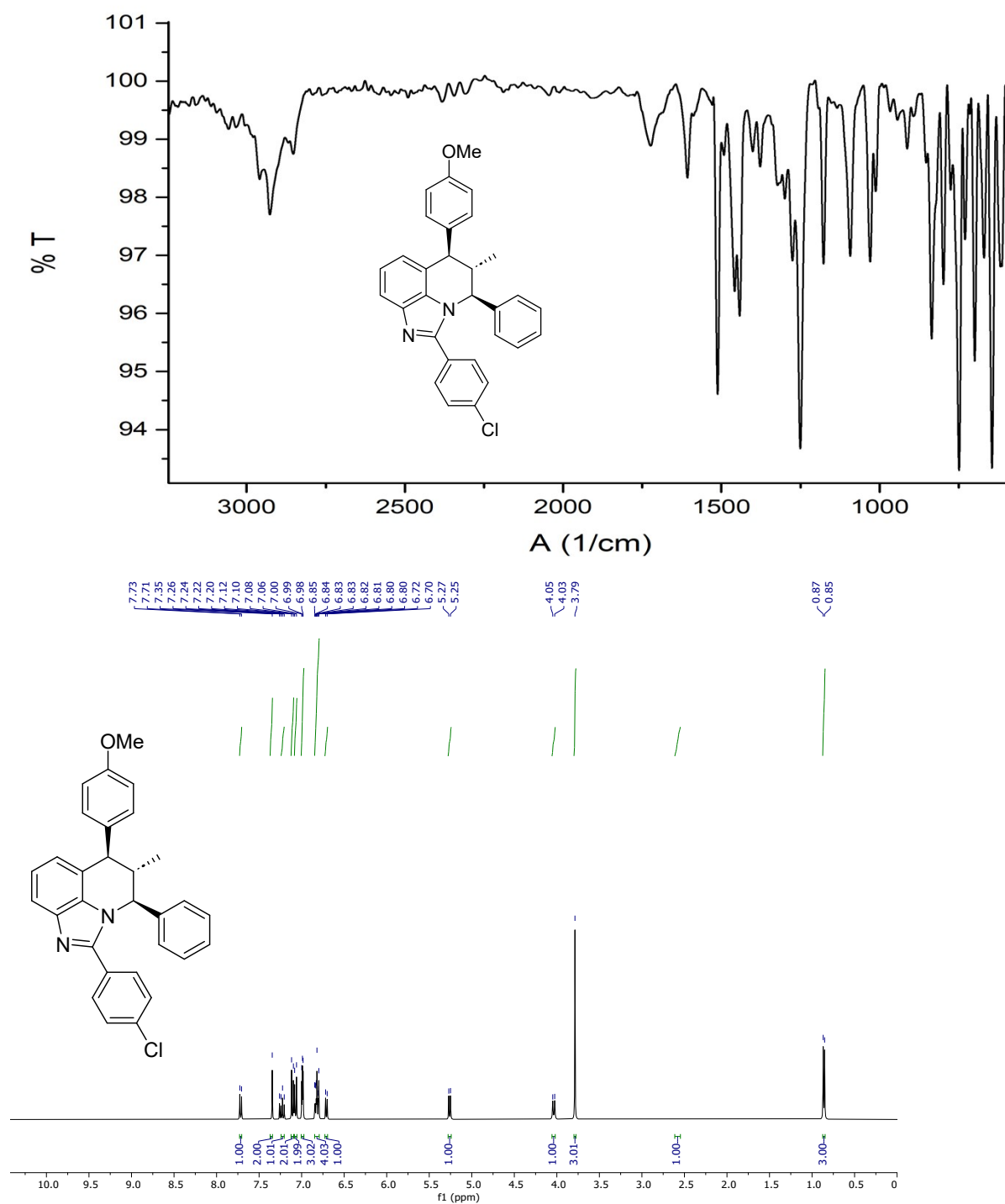


Figure 25. IR, ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis-2-(4-chlorophenyl)-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline (5i)*.



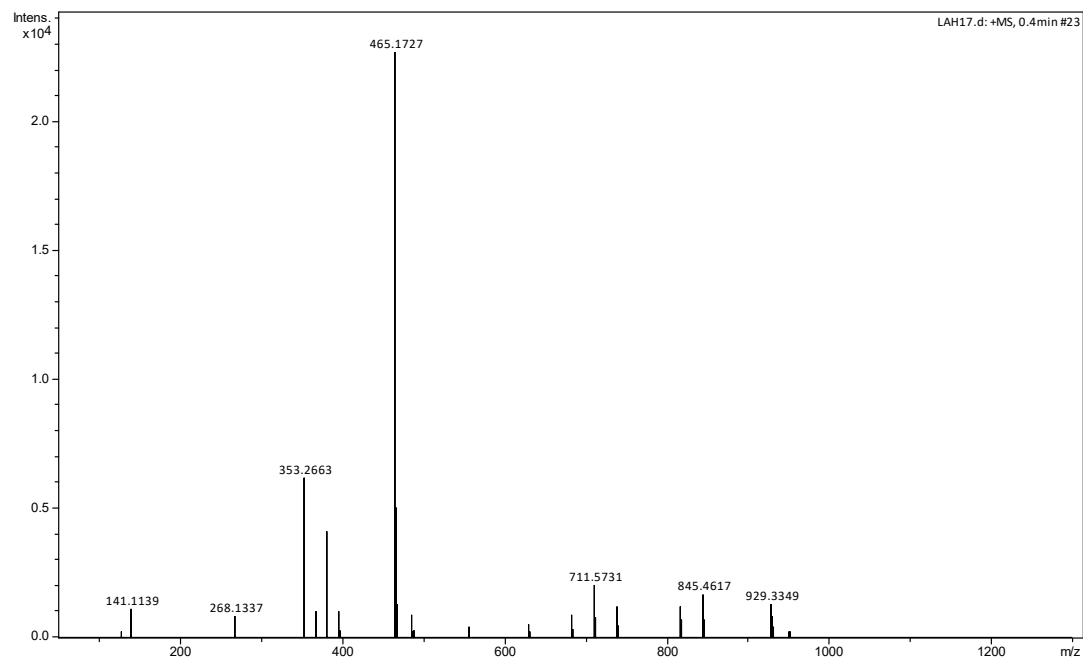
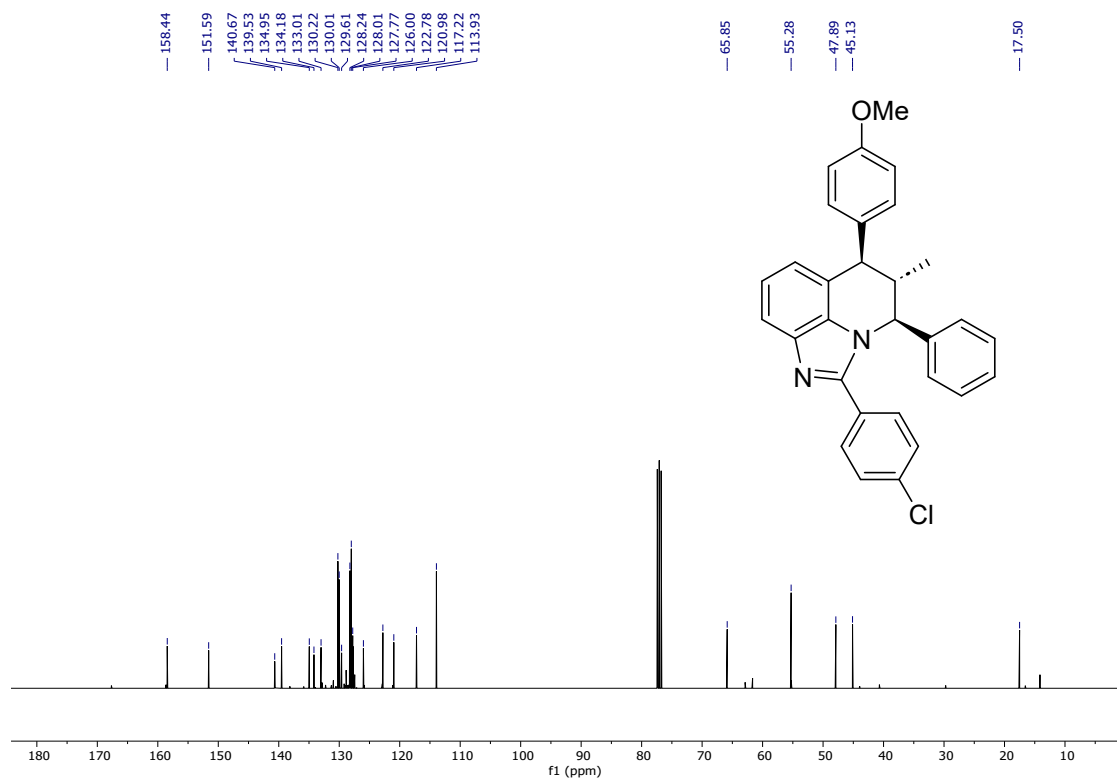
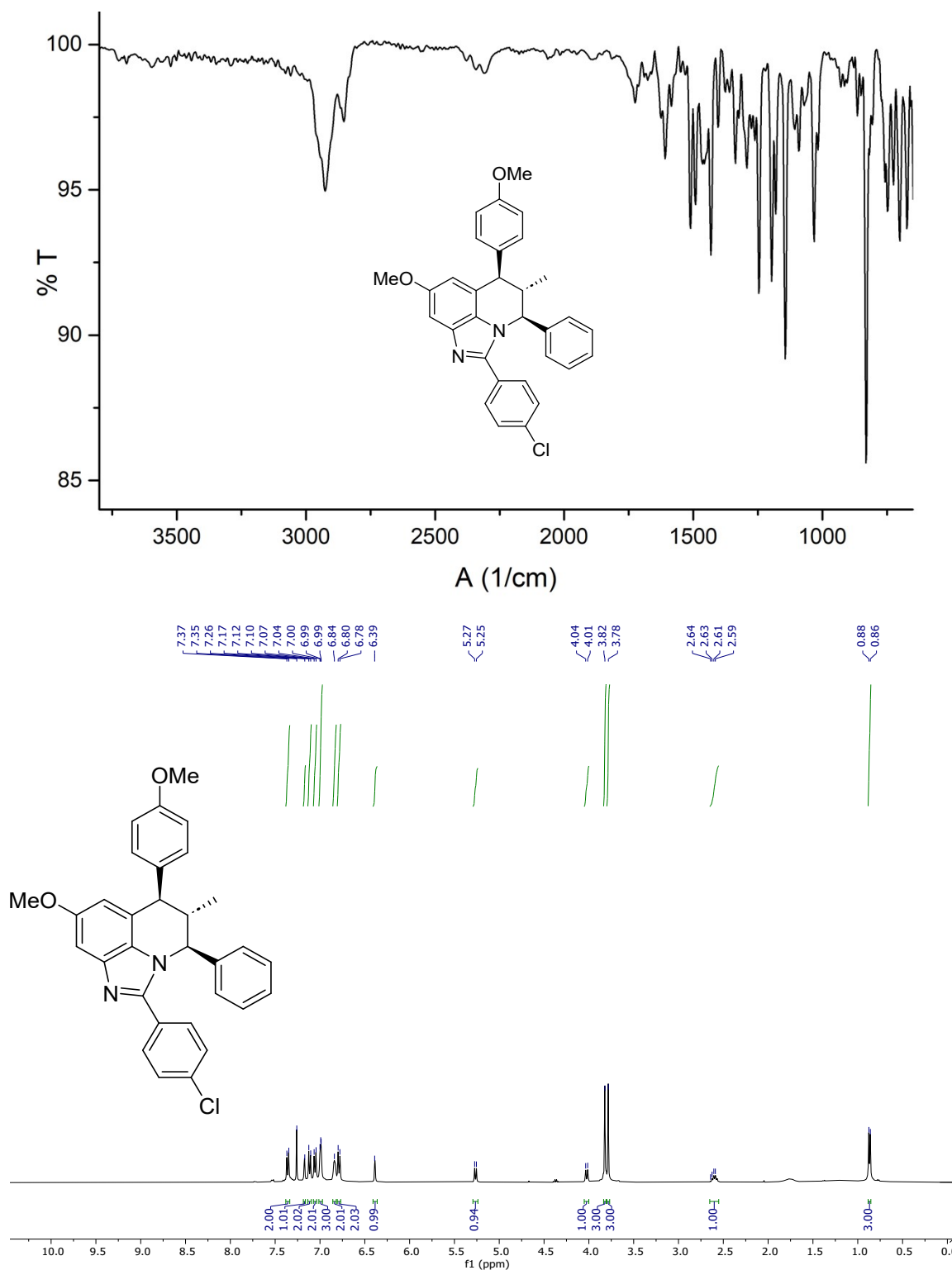


Figure 26. IR, ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-2-(chlorophenyl)-8-methoxy-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (**5j**).



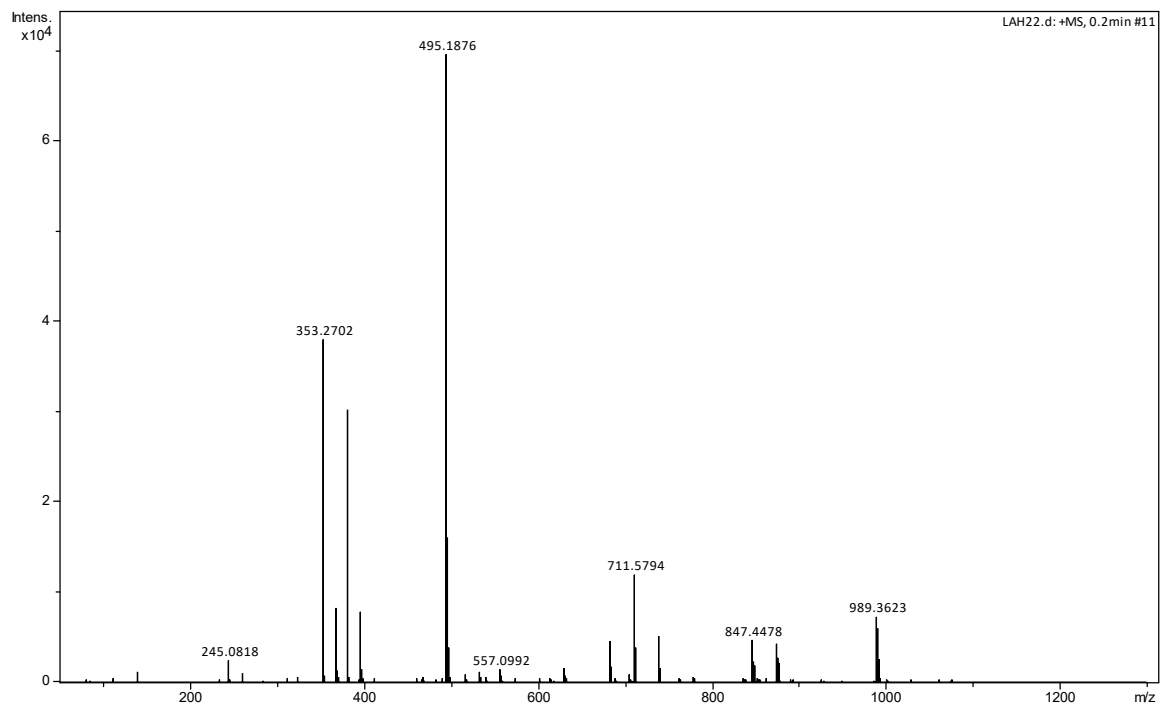
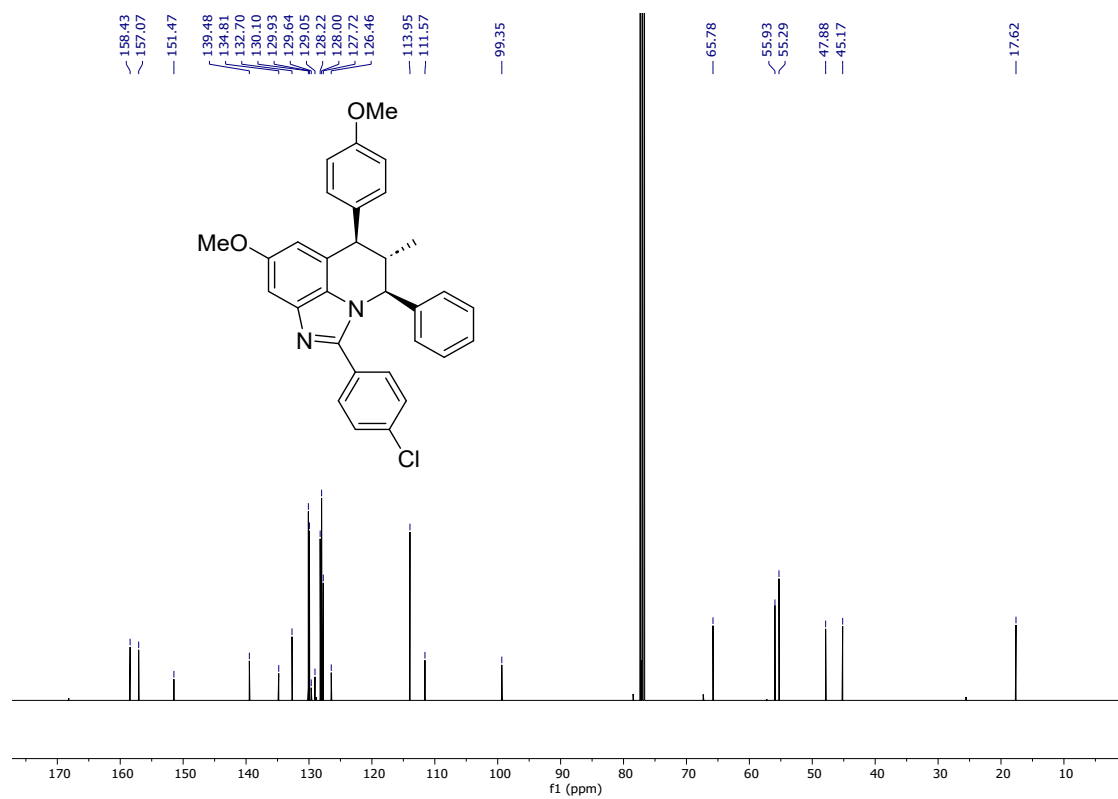
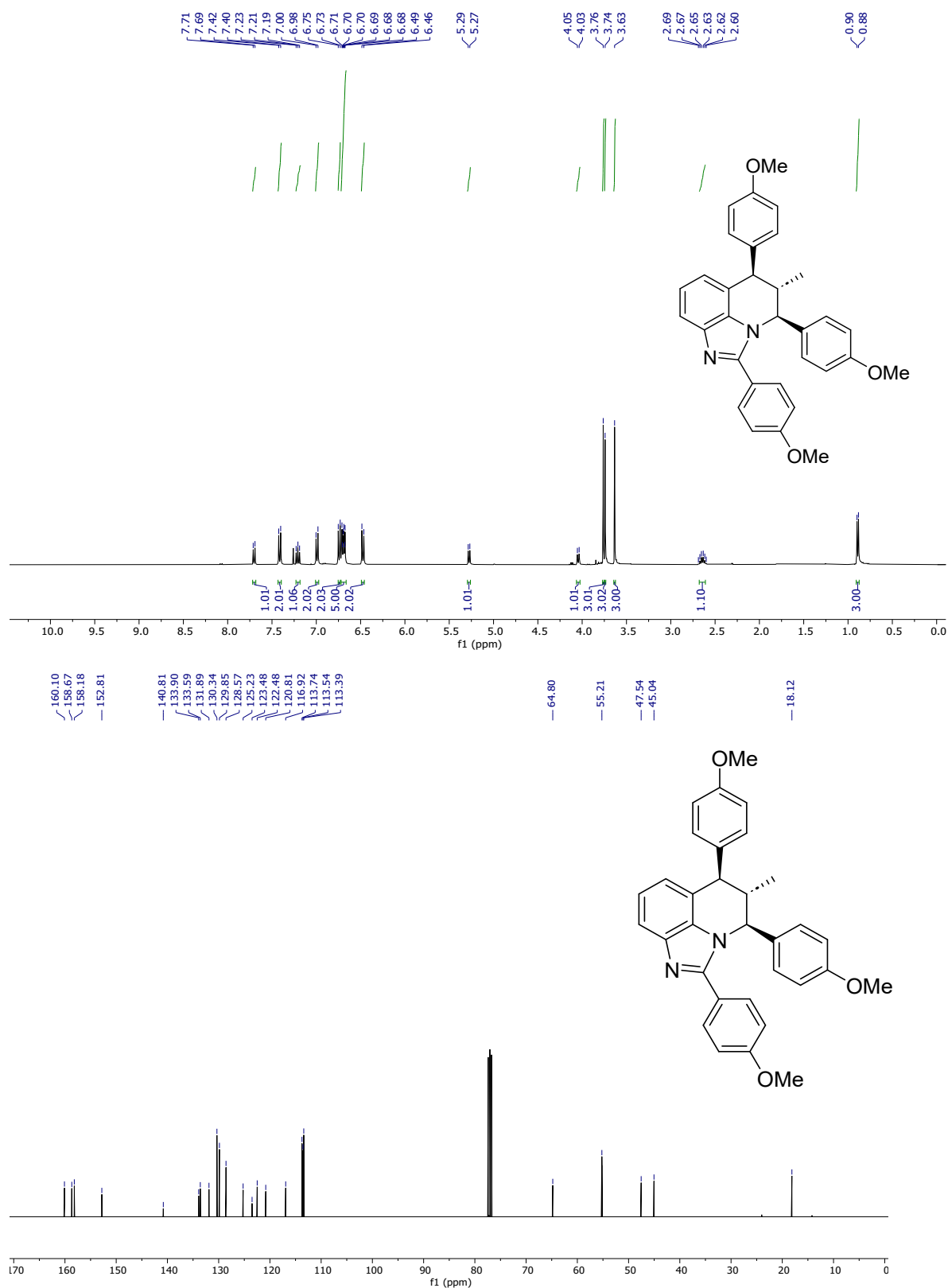


Figure 27. ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-2,4,6-tris(4-methoxyphenyl)-5-methyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (**5k**).



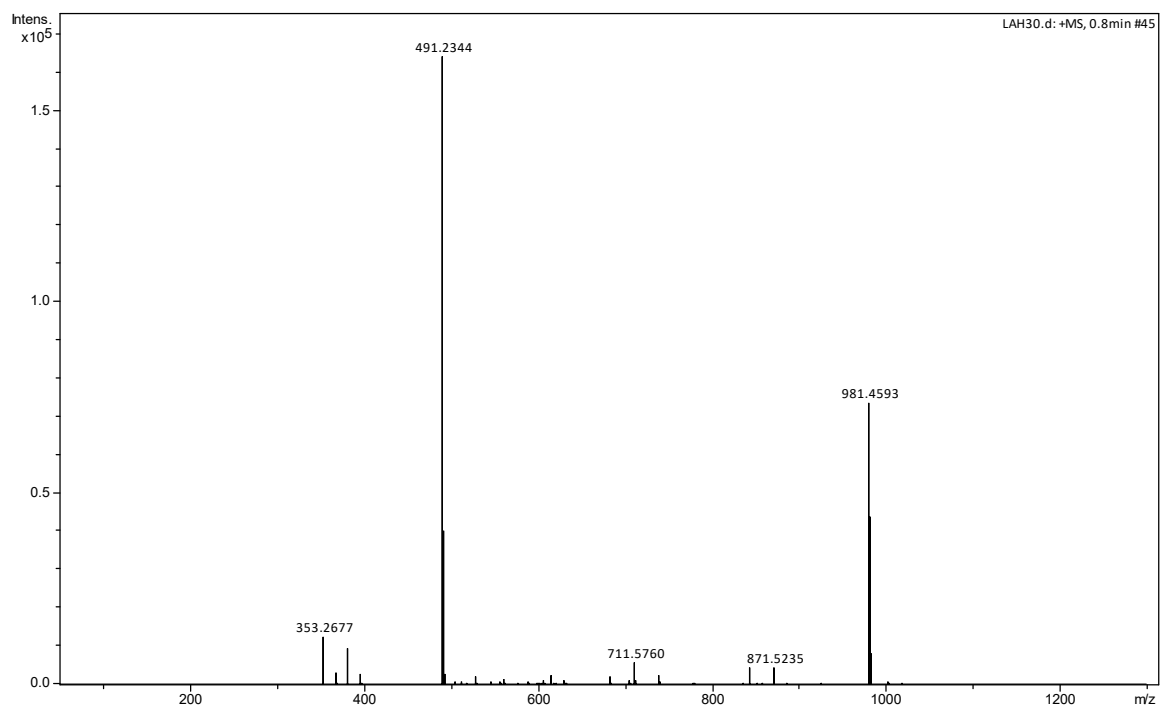
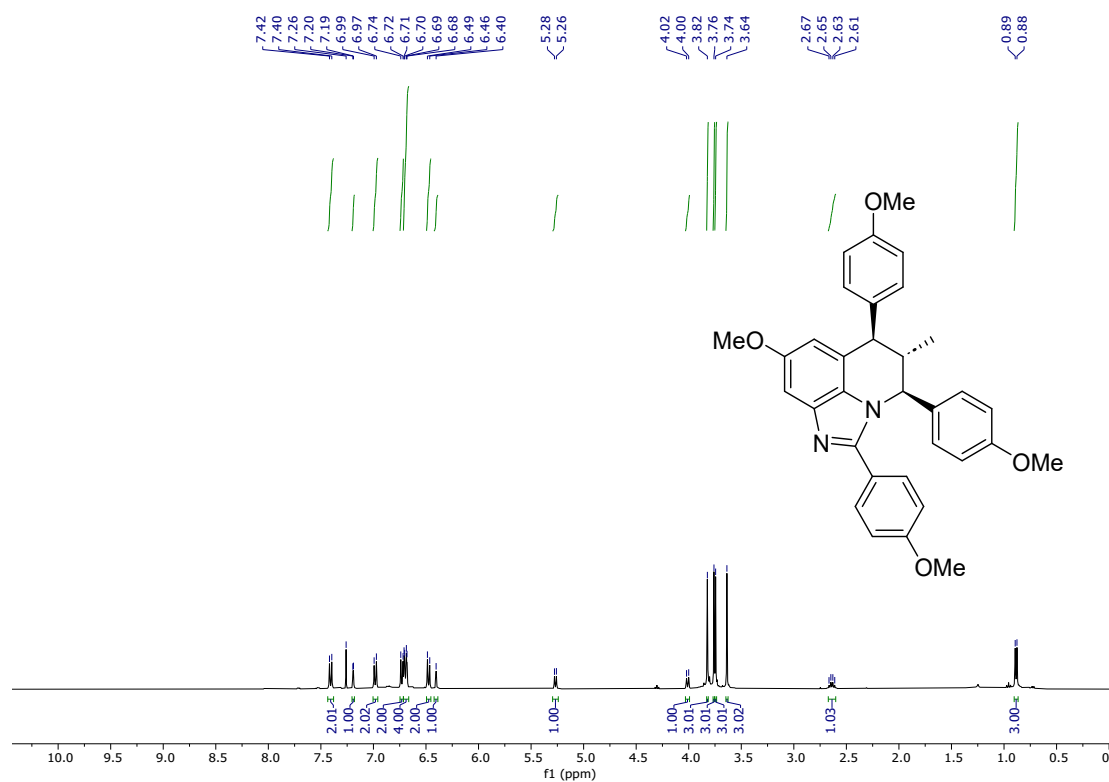


Figure 28. ¹H NMR, ¹³C NMR and HRMS spectra of *Cis*-8-methoxy-2,4,6-tris(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (**5l**).



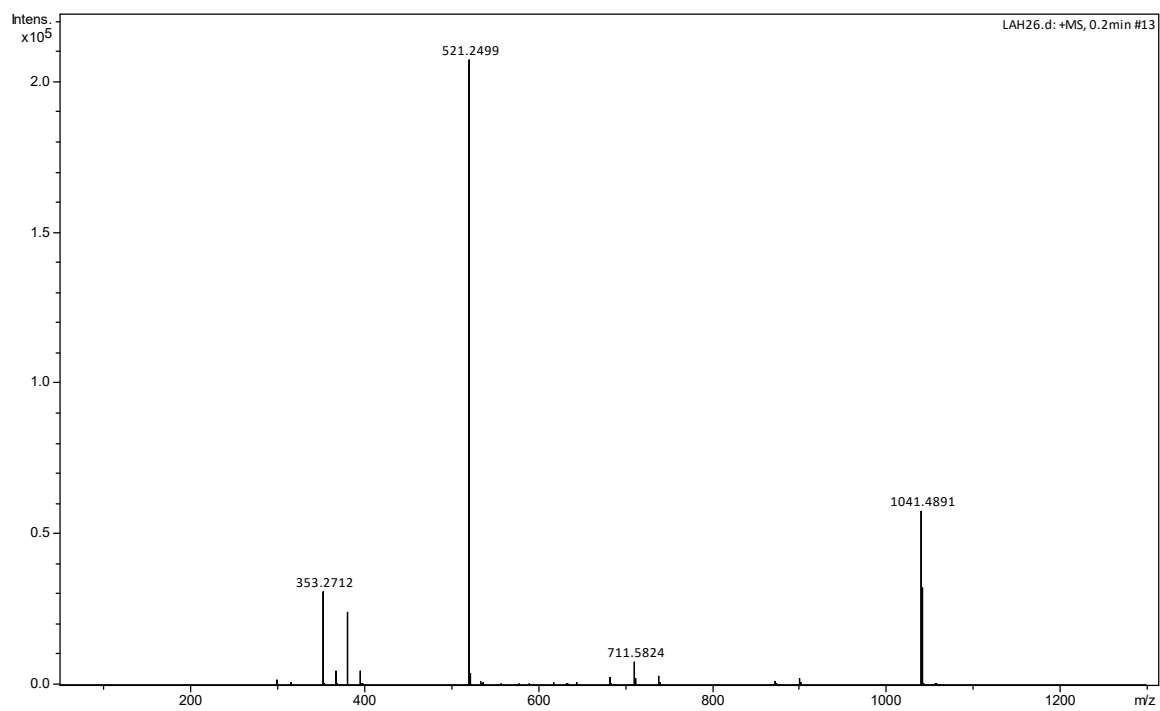
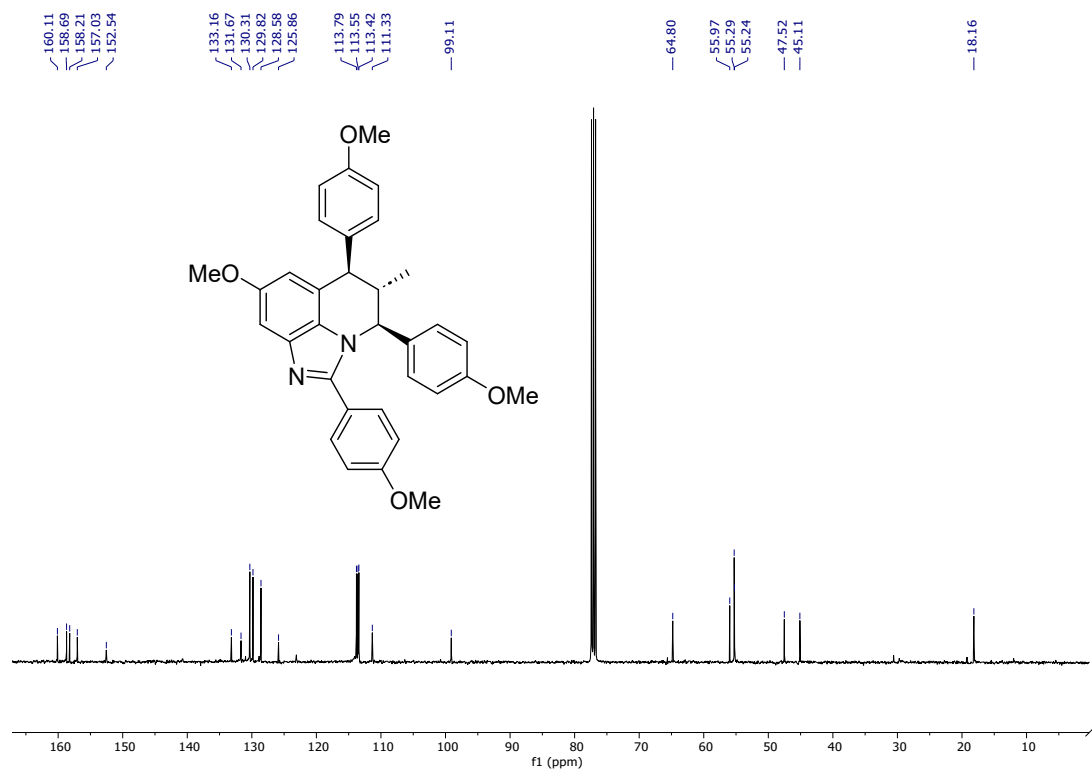
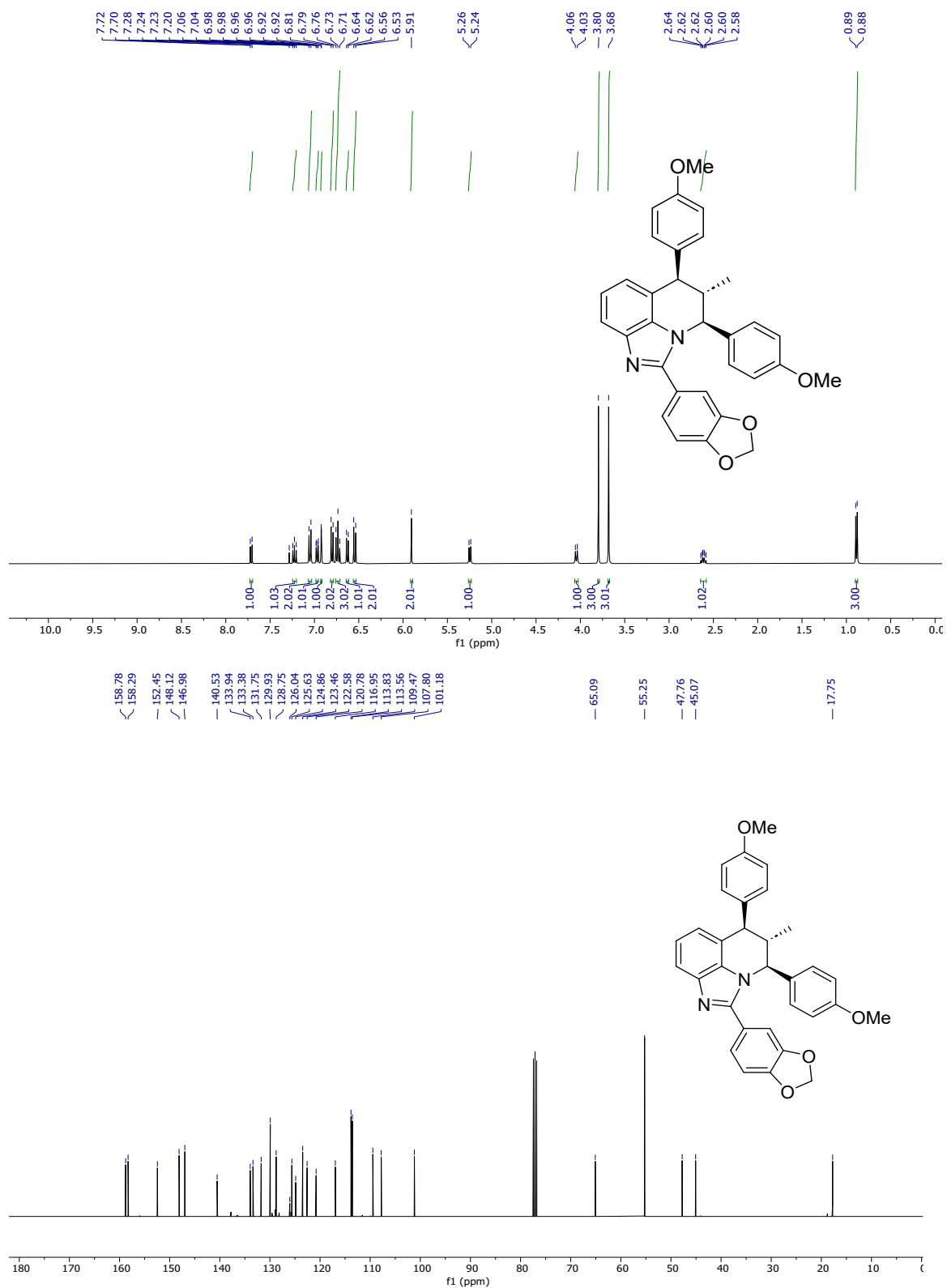


Figure 29. ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-2-(benzo[d][1,3]dioxol-5-yl)-4,6-bis(4-methoxyphenyl)-5-methyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5m).



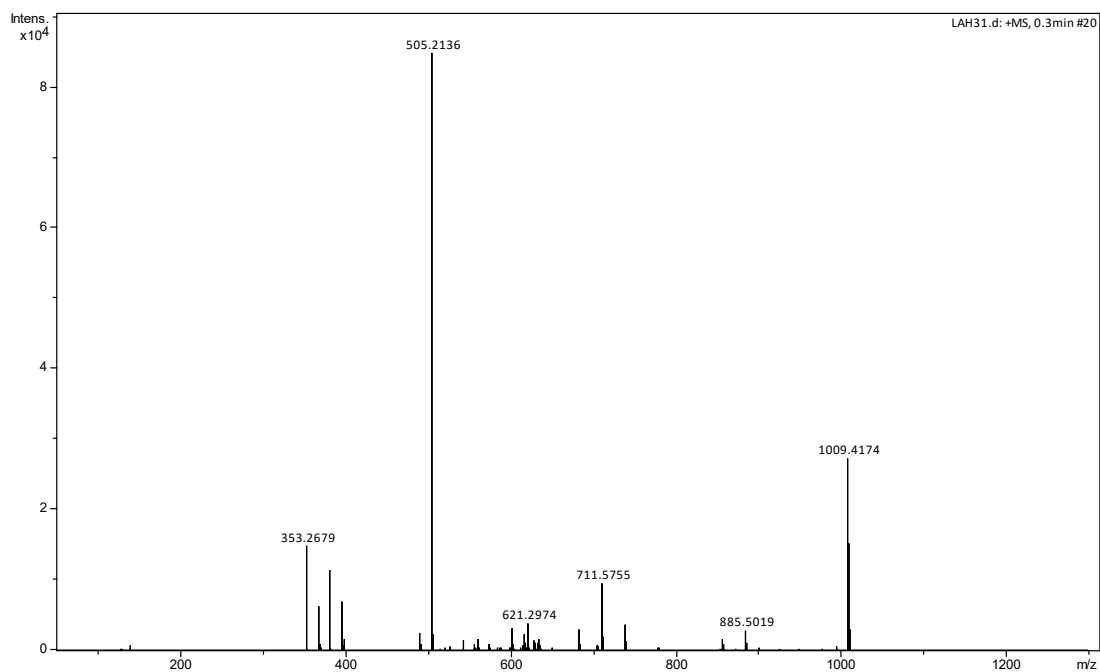
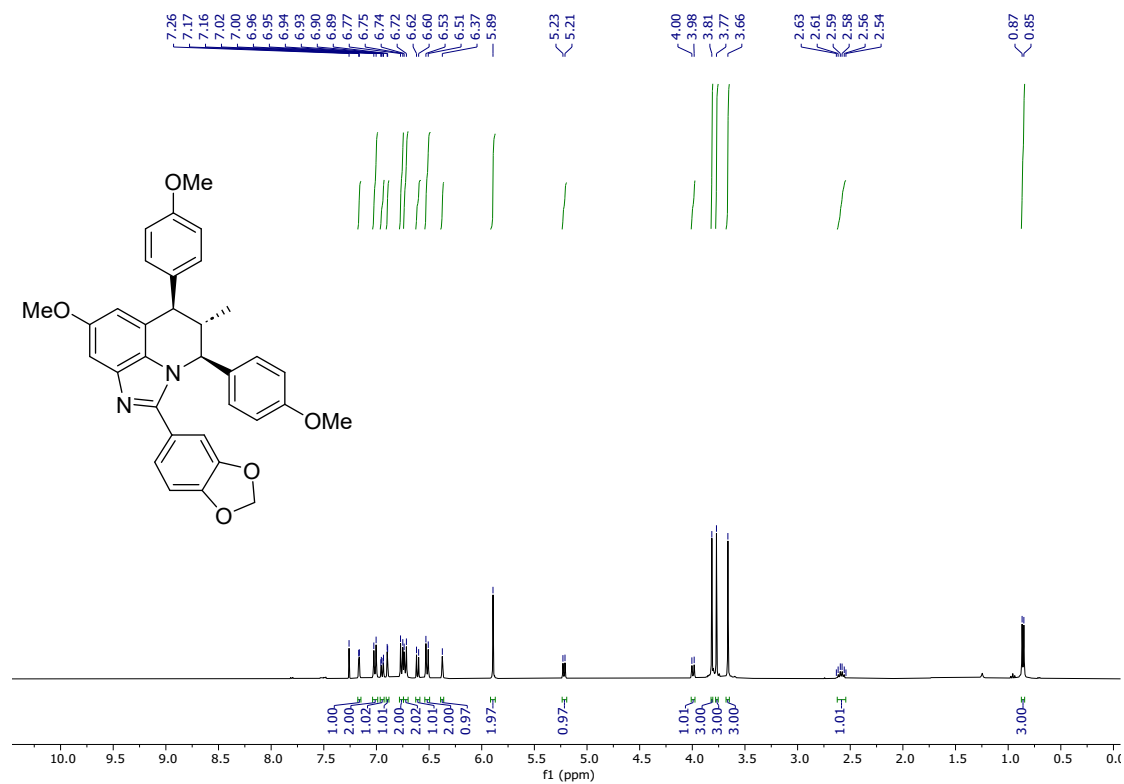


Figure 30. ¹H NMR, ¹³C NMR and HRMS spectra of *Cis*-2-(benzo[*d*][1,3]dioxol-5-yl)-8-methoxy-4,6-bis(4-methoxyphenyl)-5-methyl-5,6-dihydro-4*H*-imidazo[4,5-*ij*]quinoline (5n).



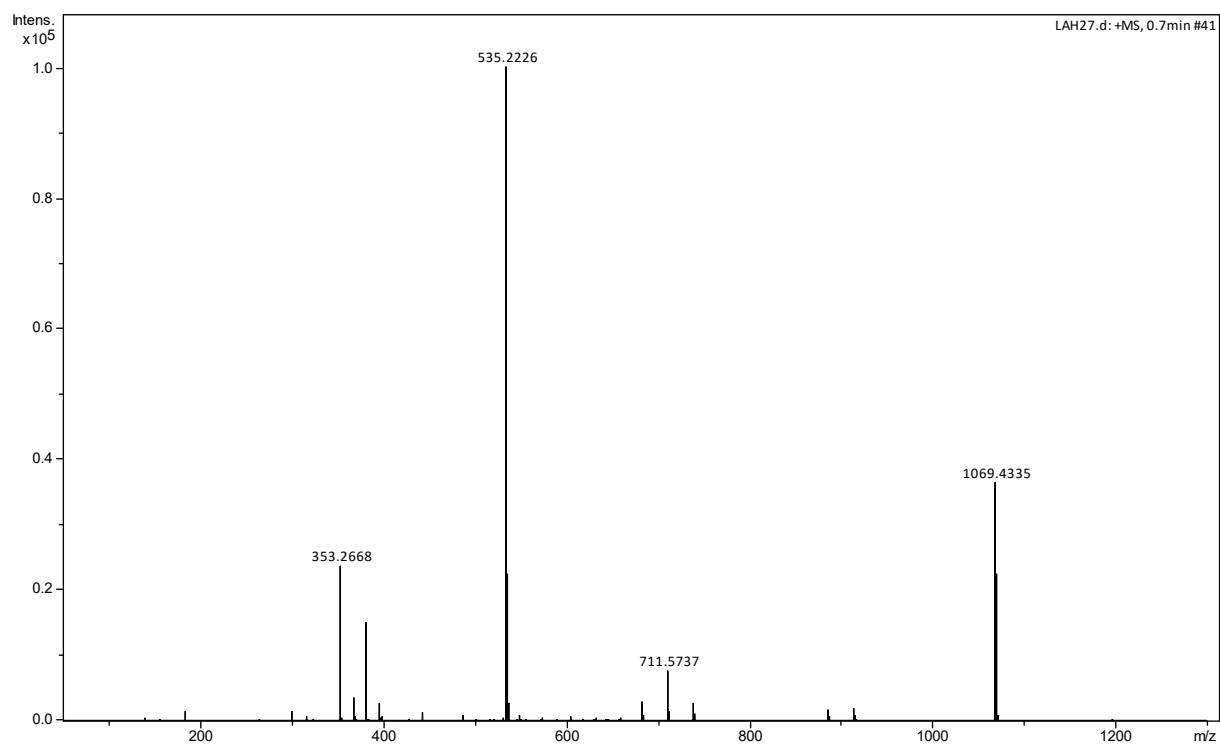
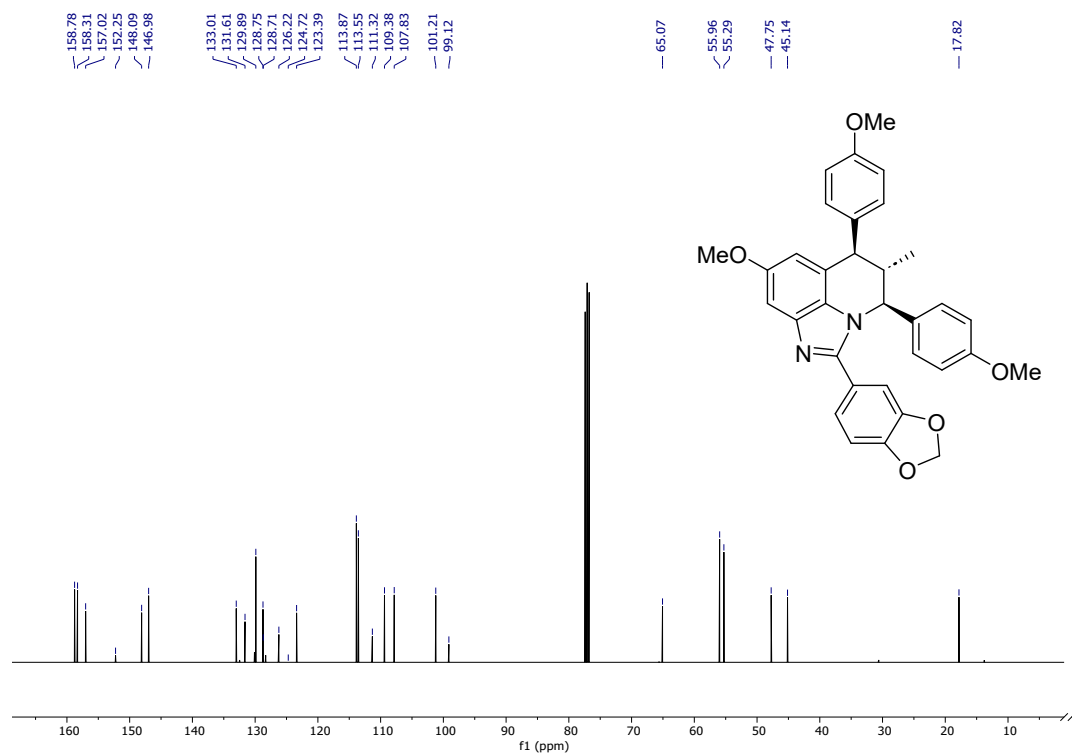
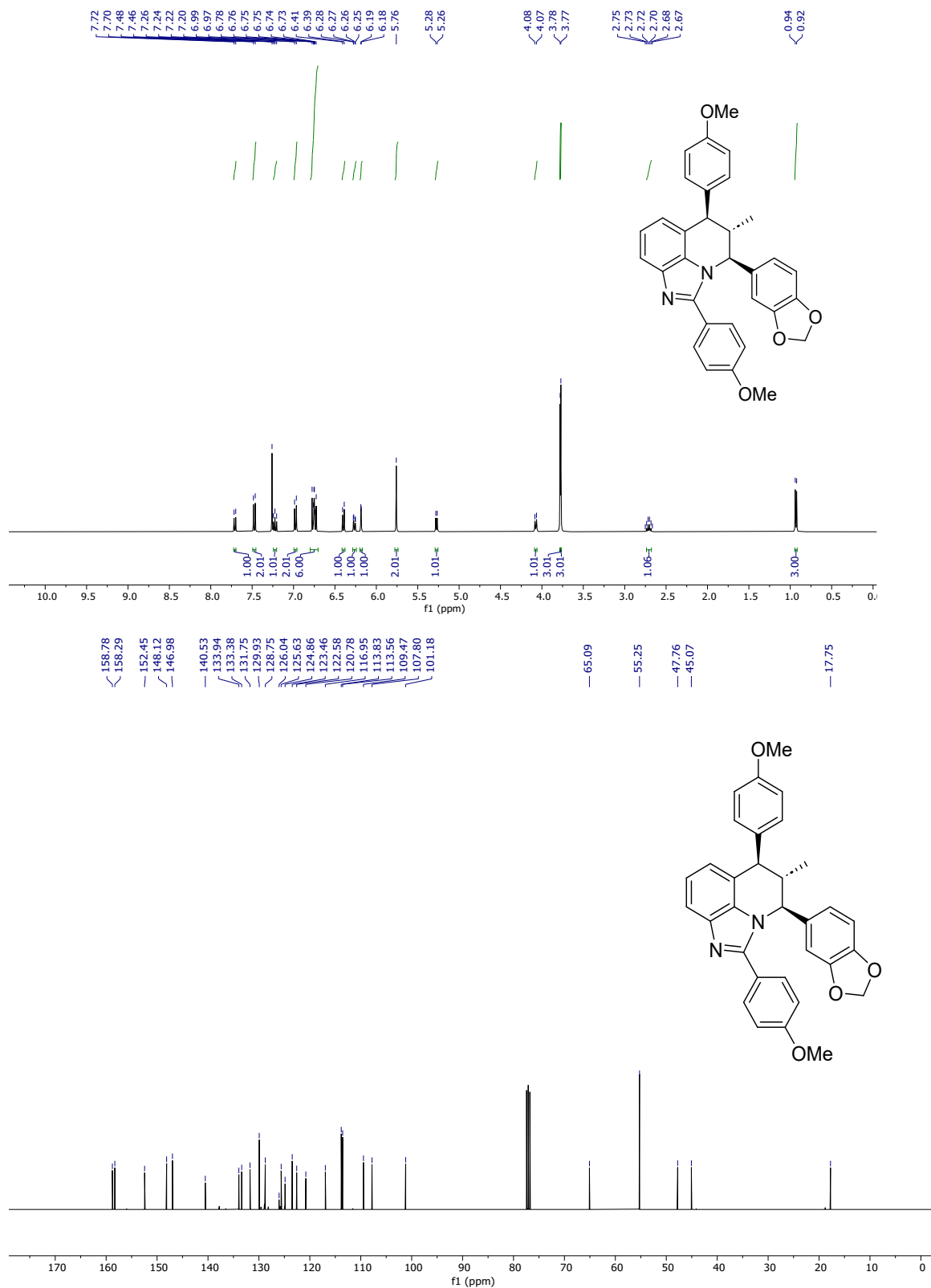


Figure 31. ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-4-(benzo[*d*][1,3]dioxol-5-yl)-2,6-bis(4-methoxyphenyl)-5-methyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5o).



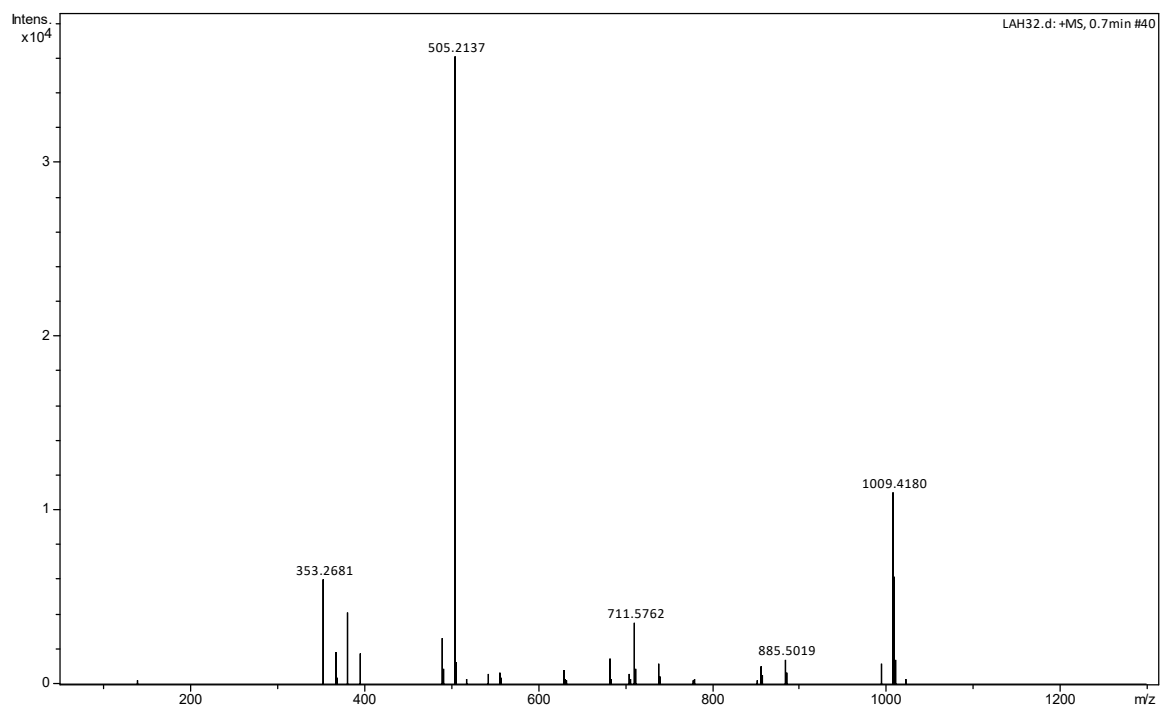
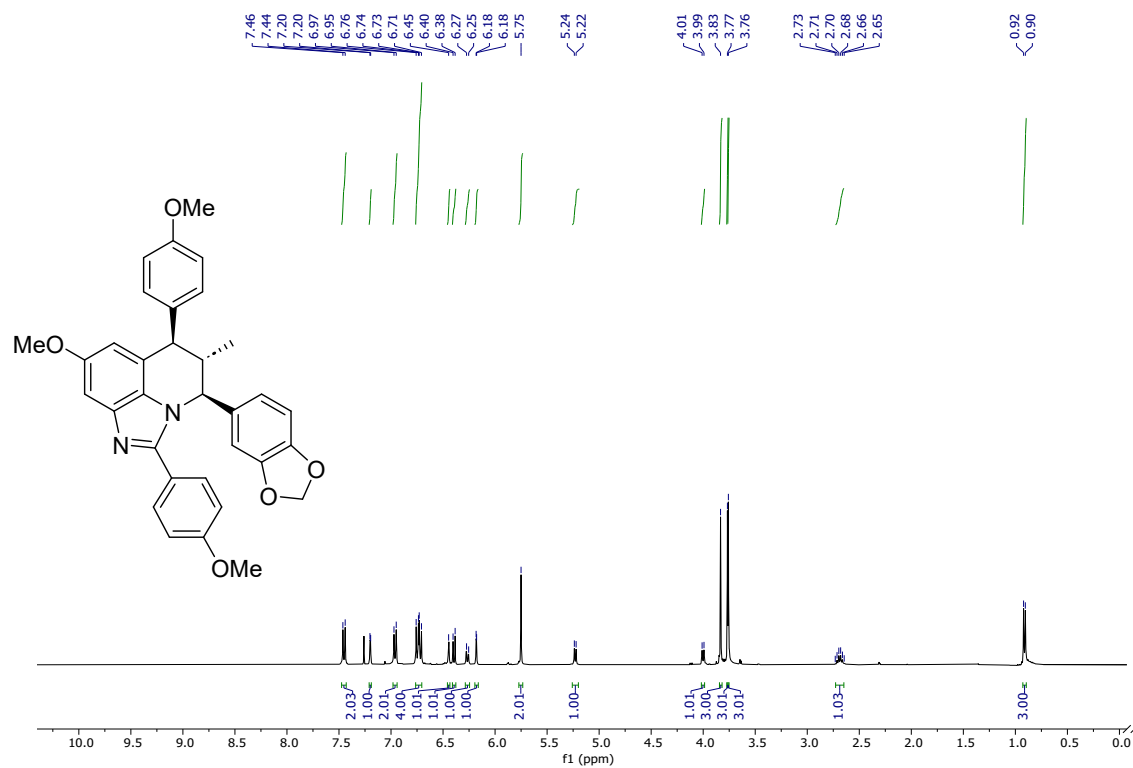


Figure 32. ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-4-(benzo[*d*][1,3]dioxol-5-yl)-8-methoxy-2,6-bis(4-methoxyphenyl)-5-methyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5p).



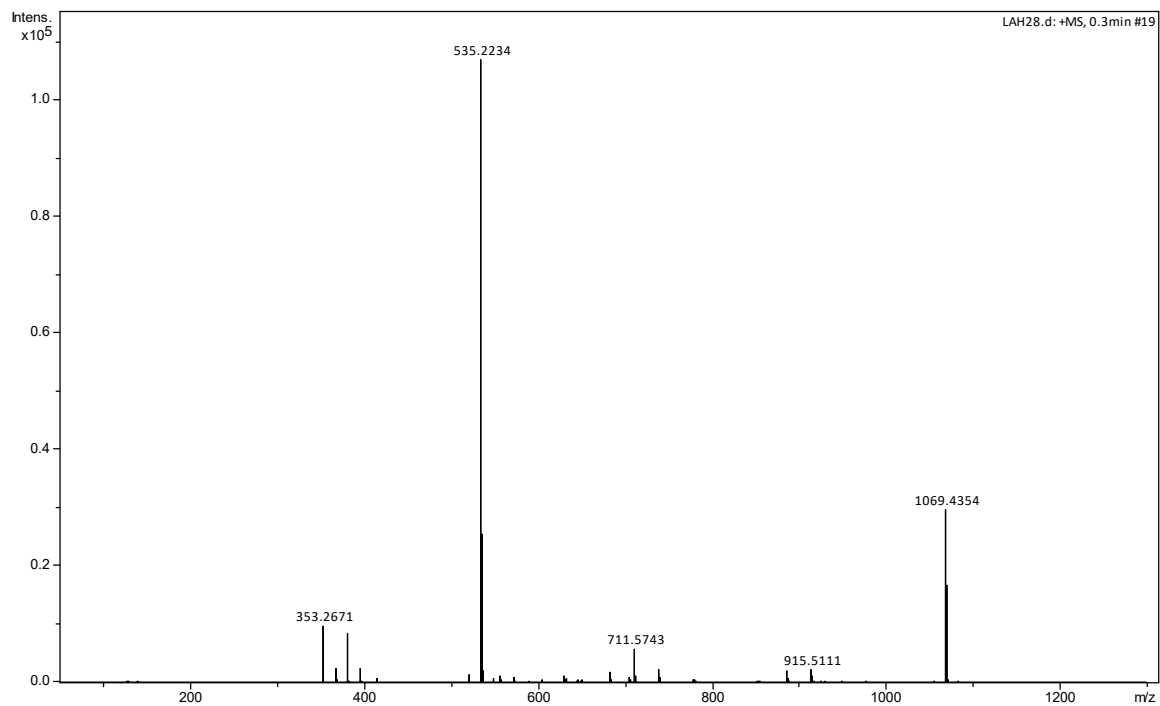
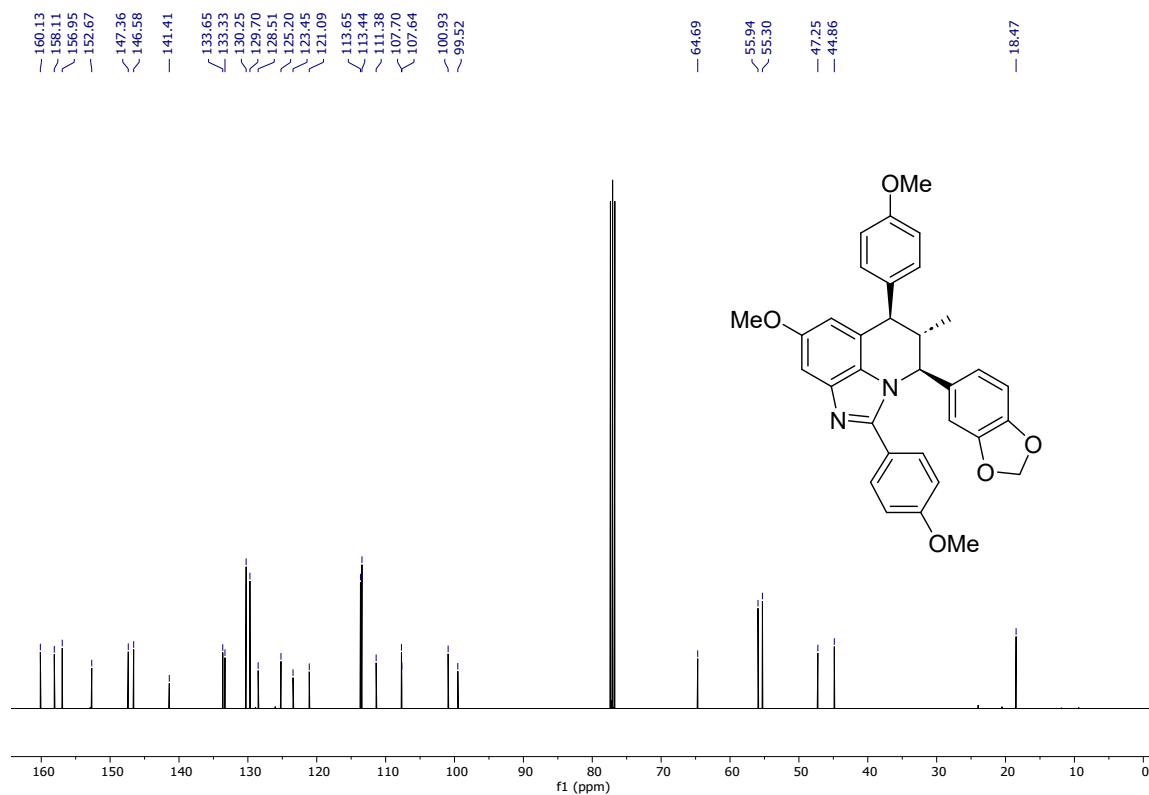
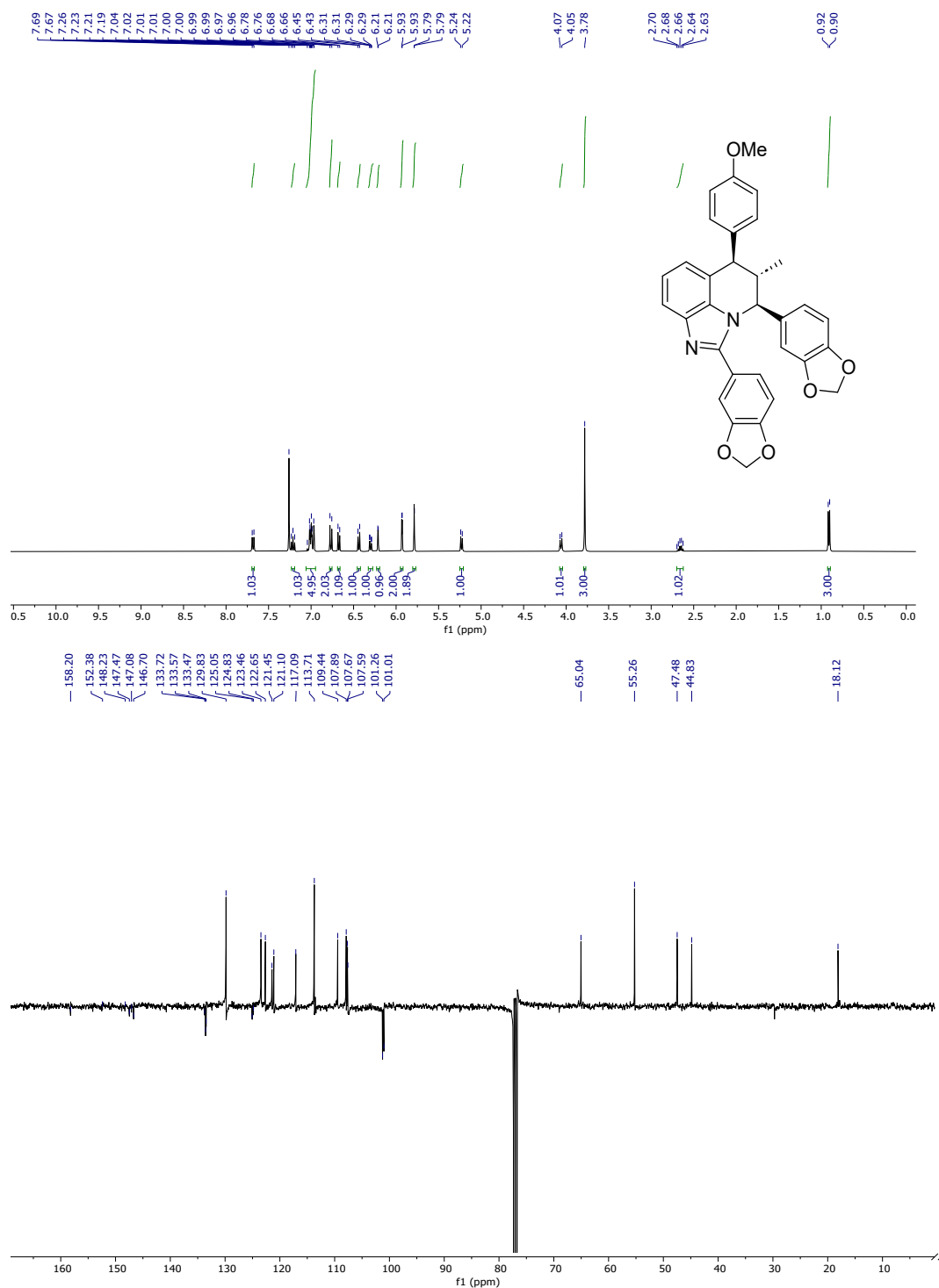


Figure 33. ^1H NMR spectra, APT spectra and HRMS spectra of *Cis*-2,4-bis(benzo[d][1,3]dioxol-5-yl)-6-(4-methoxyphenyl)-5-methyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5q).



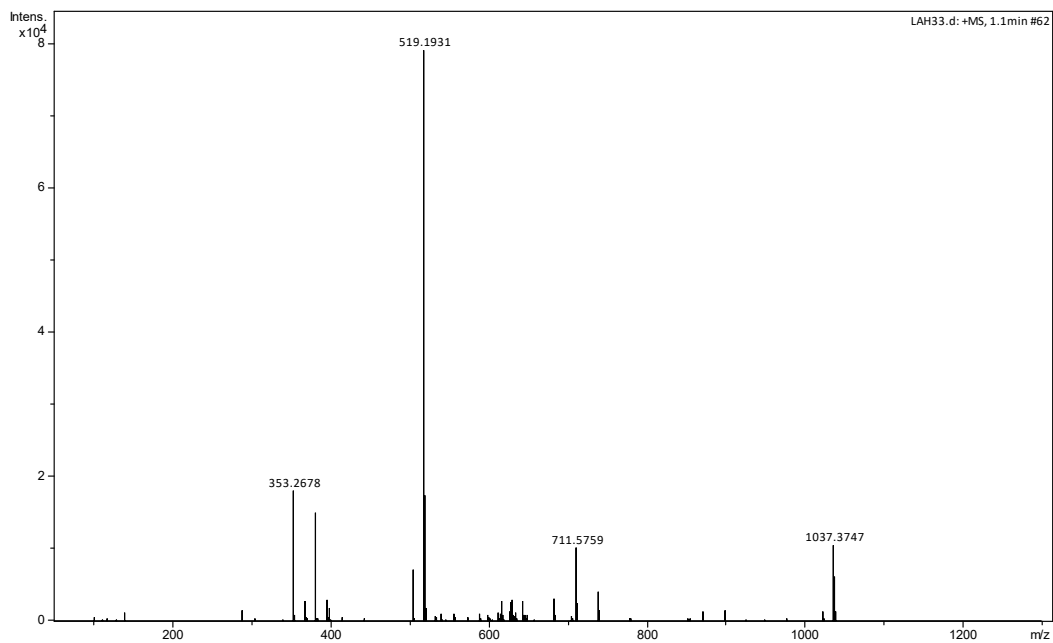
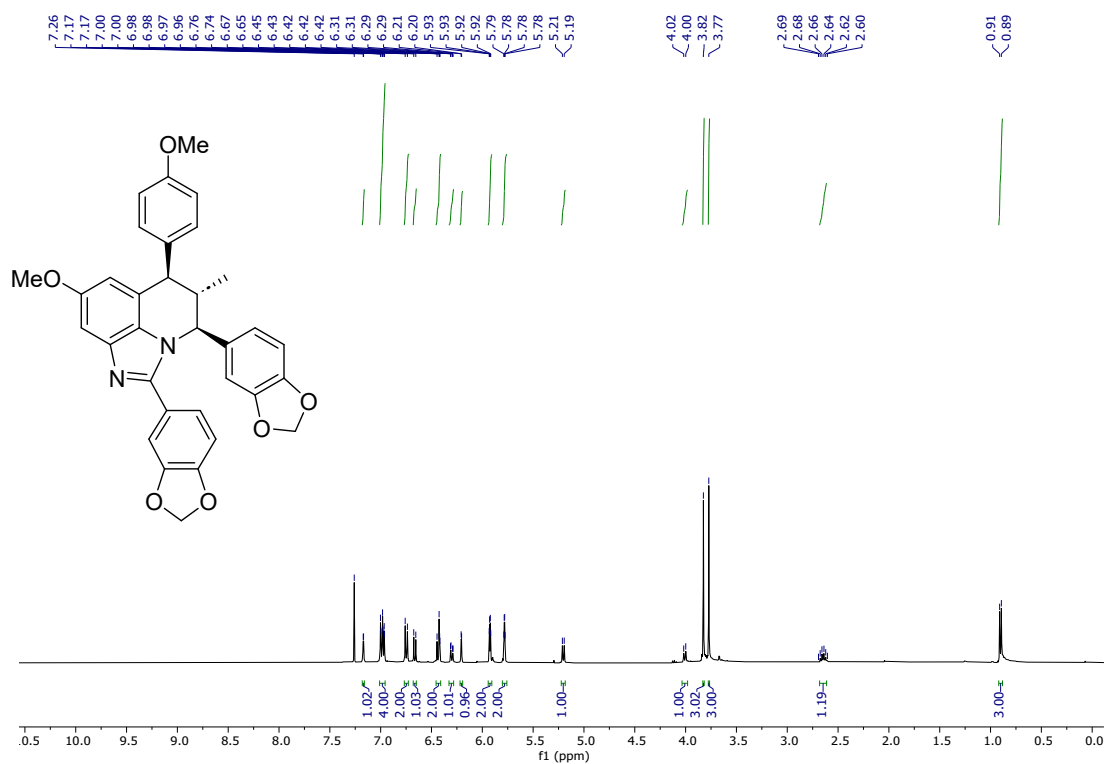


Figure 34. ^1H NMR, APT and HRMS spectra of *Cis*-2,4-bis(benzo[*d*][1,3]dioxol-5-yl)-6-(4-methoxyphenyl)-8-methoxy-5-methyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5r).



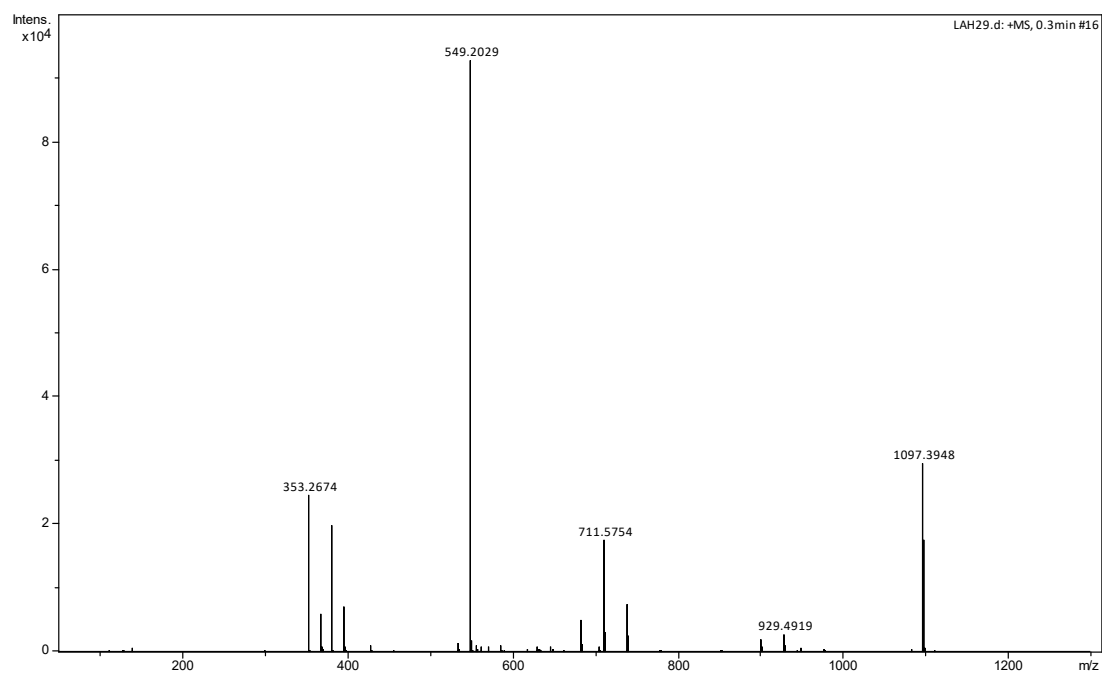
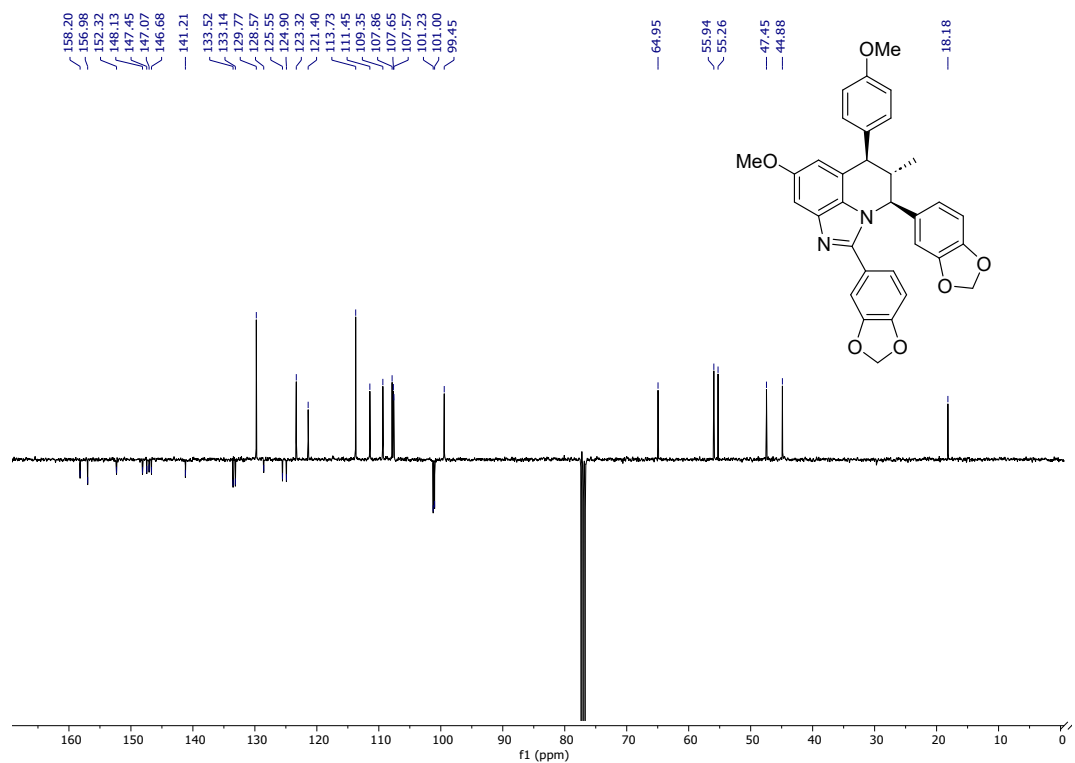
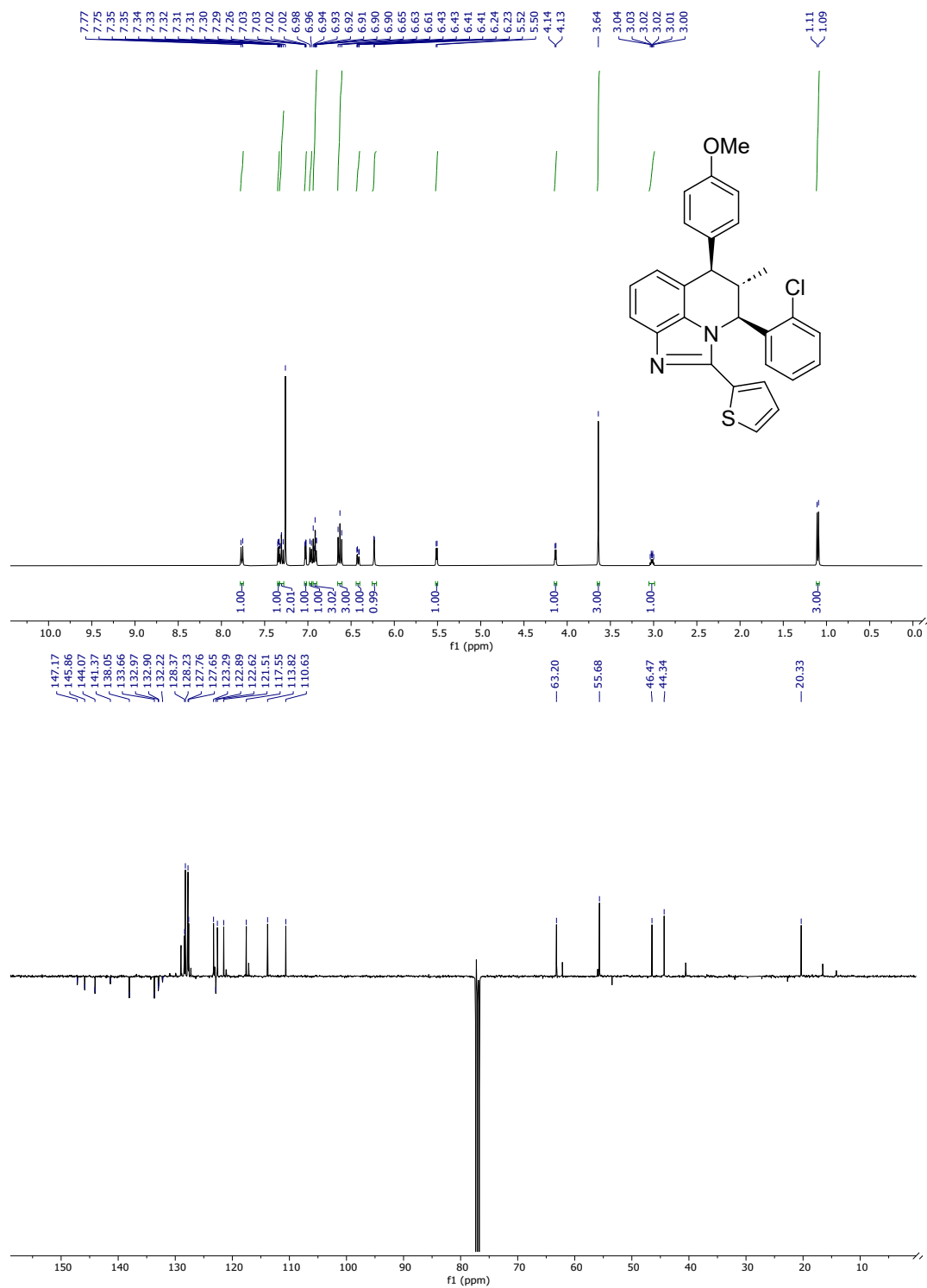


Figure 35. ^1H NMR, APT and HRMS spectra of *Cis*-4-(2-chlorophenyl)-6-(4-methoxyphenyl)-5-methyl-2-(thiophen-2-yl)-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5s).



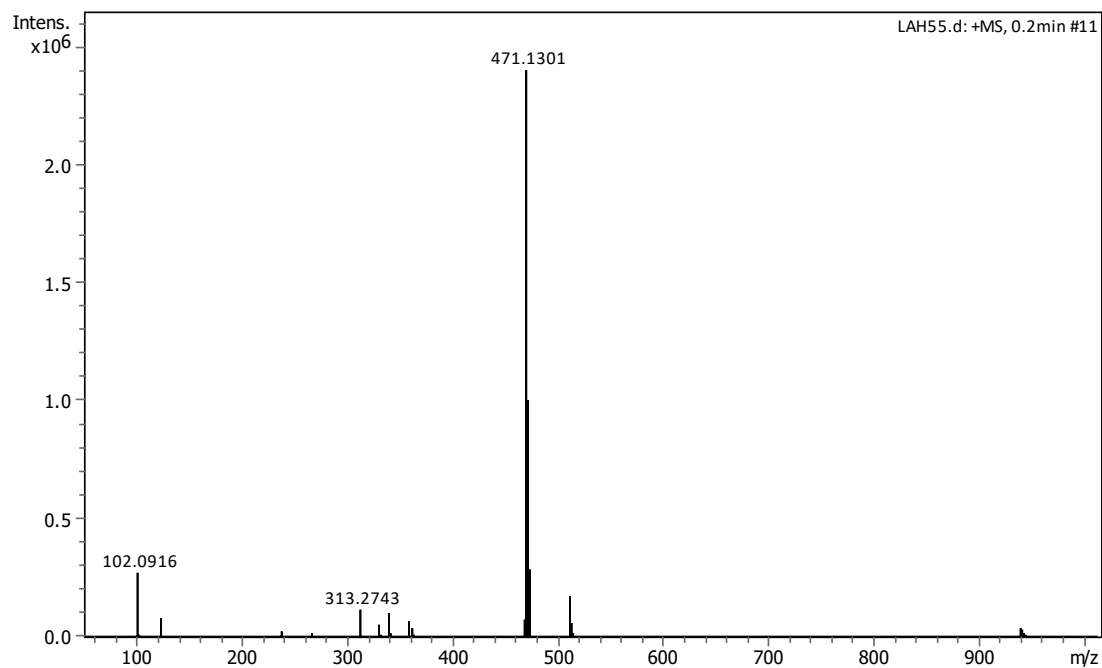
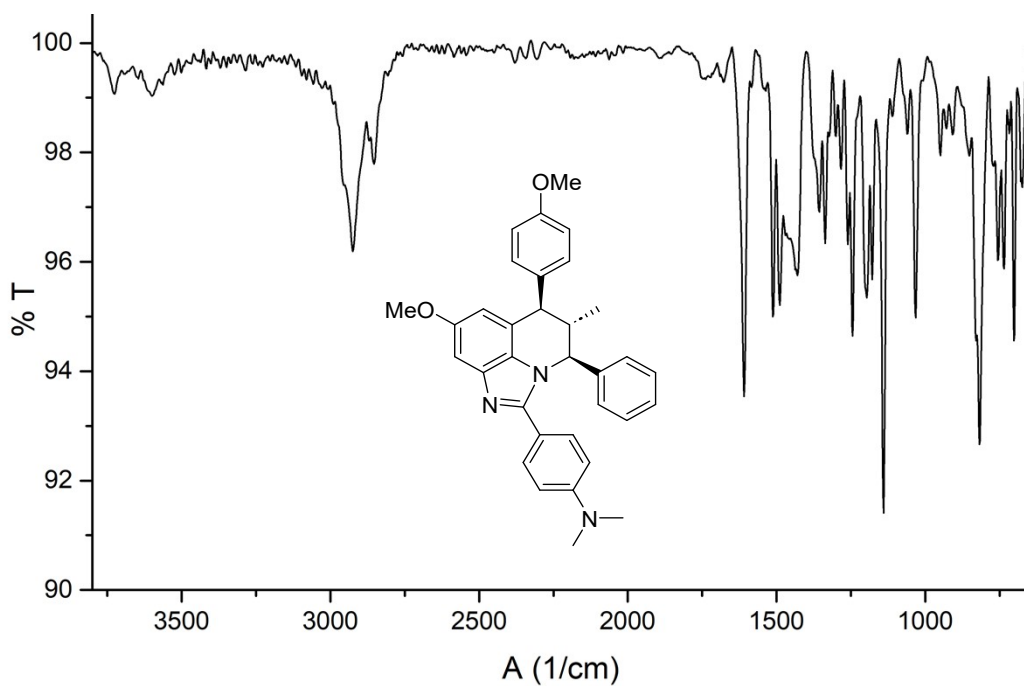
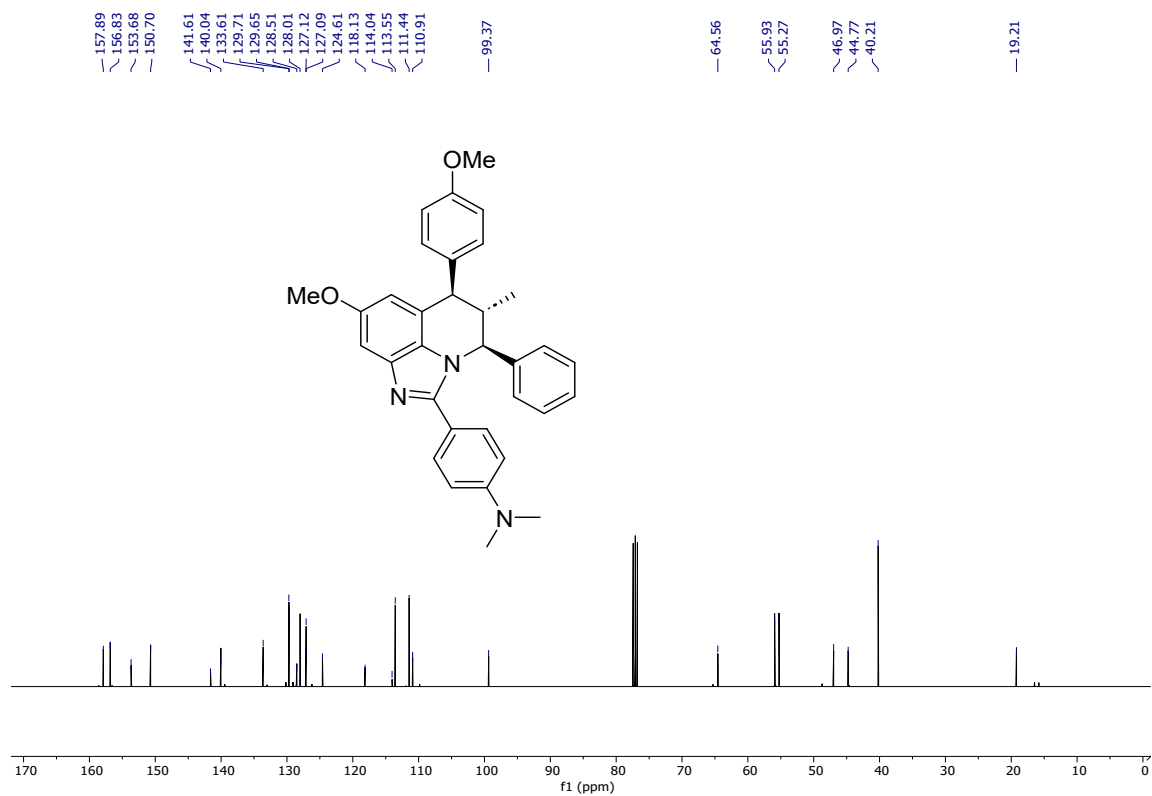
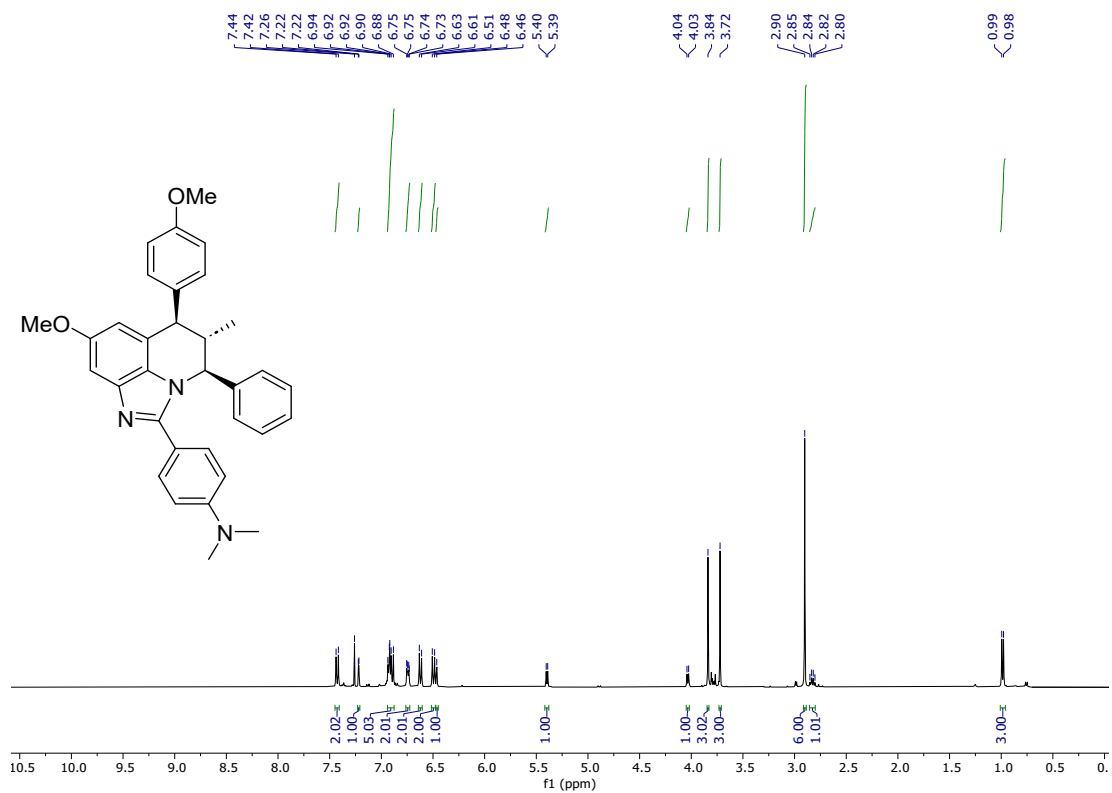


Figure 36. IR, ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-8-methoxy-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinolin-2-yl)-*N,N*-dimethylaniline (**5t**).





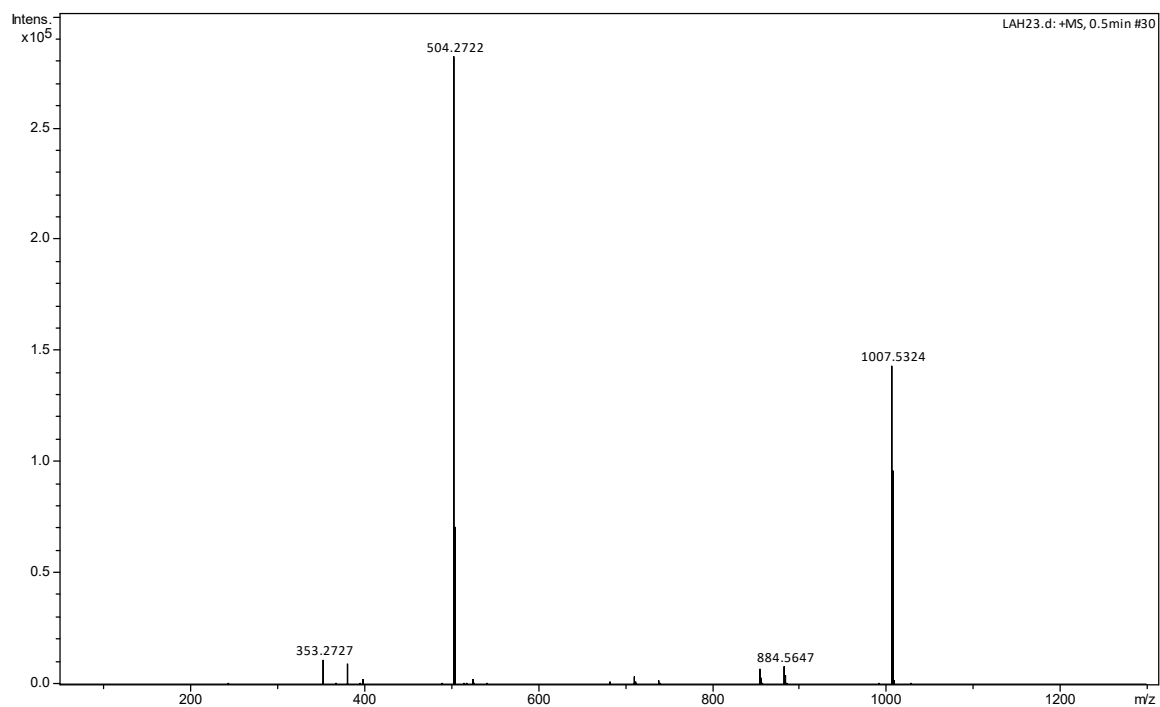
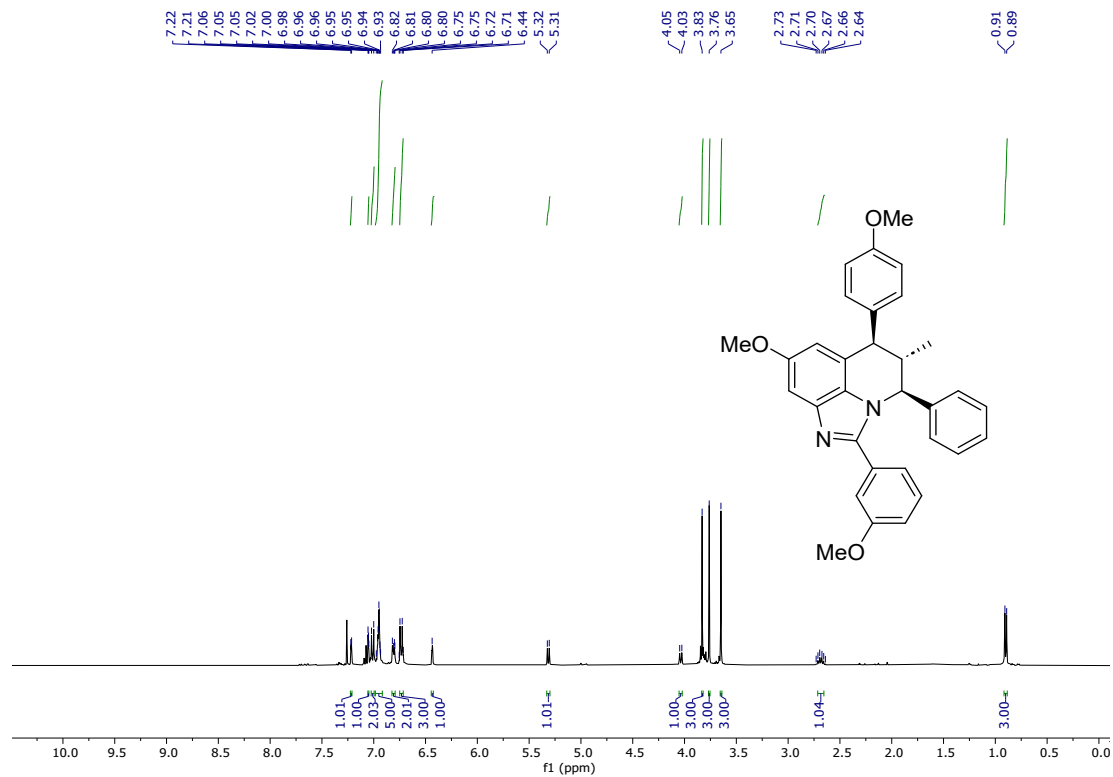


Figure 37. ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-8-methoxy-2-(3-methoxyphenyl)-6-(4-methoxyphenyl)-5-methyl-4-phenyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (**5u**).



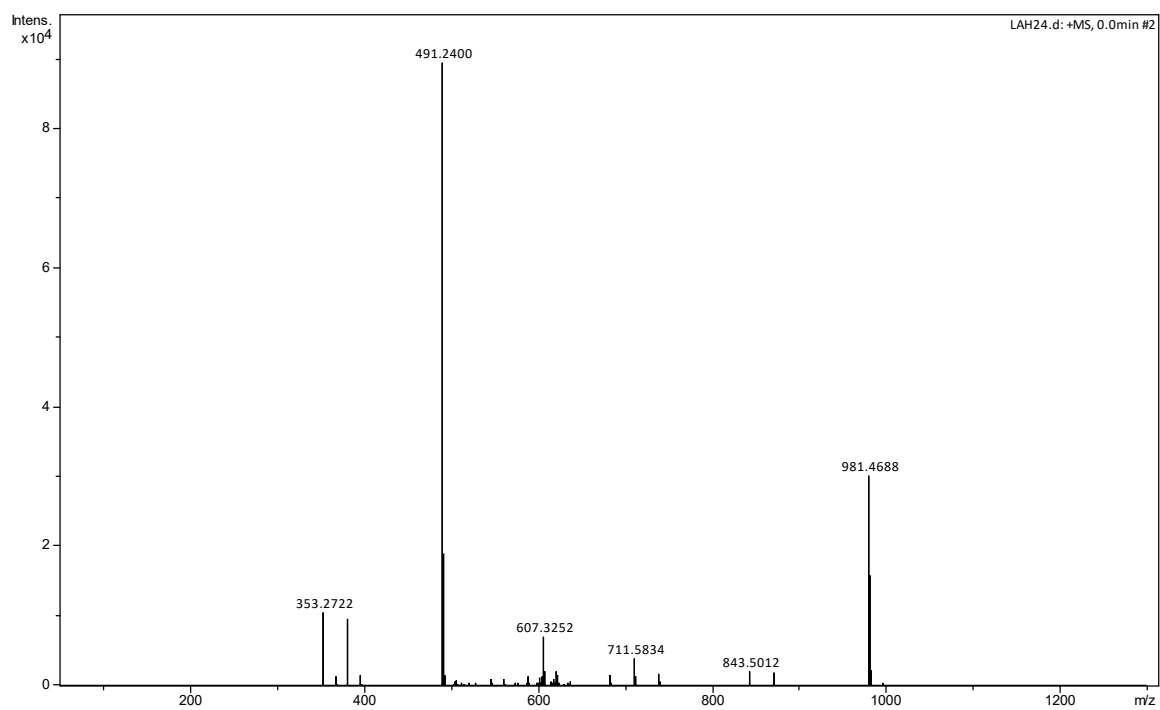
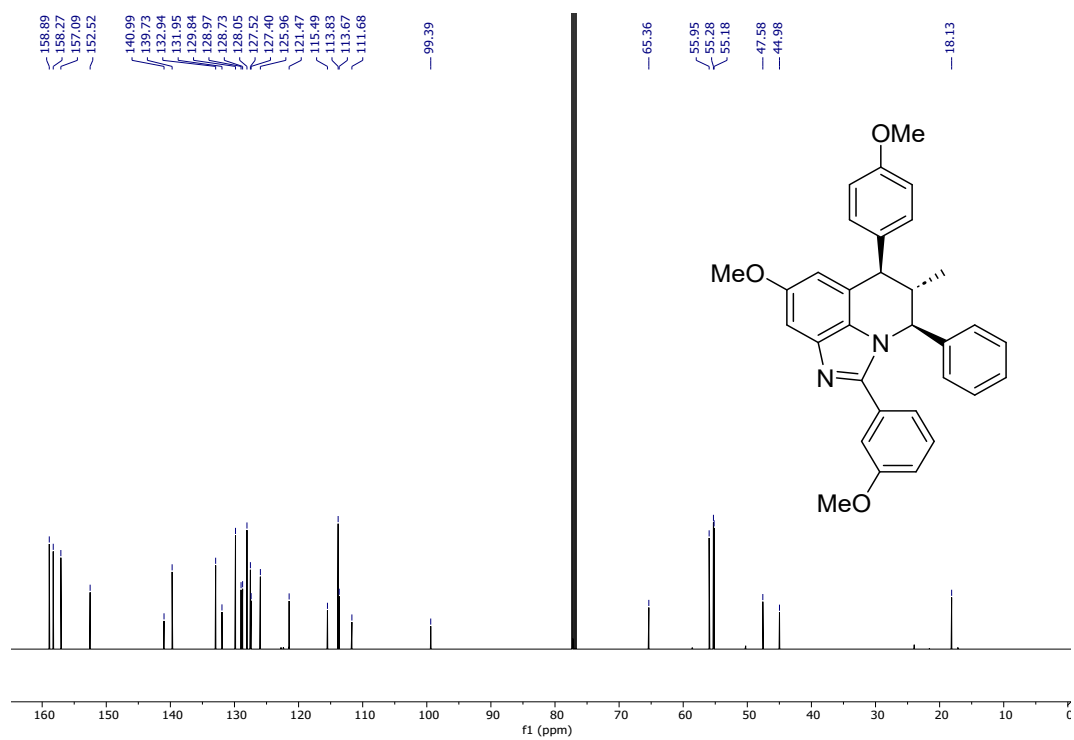
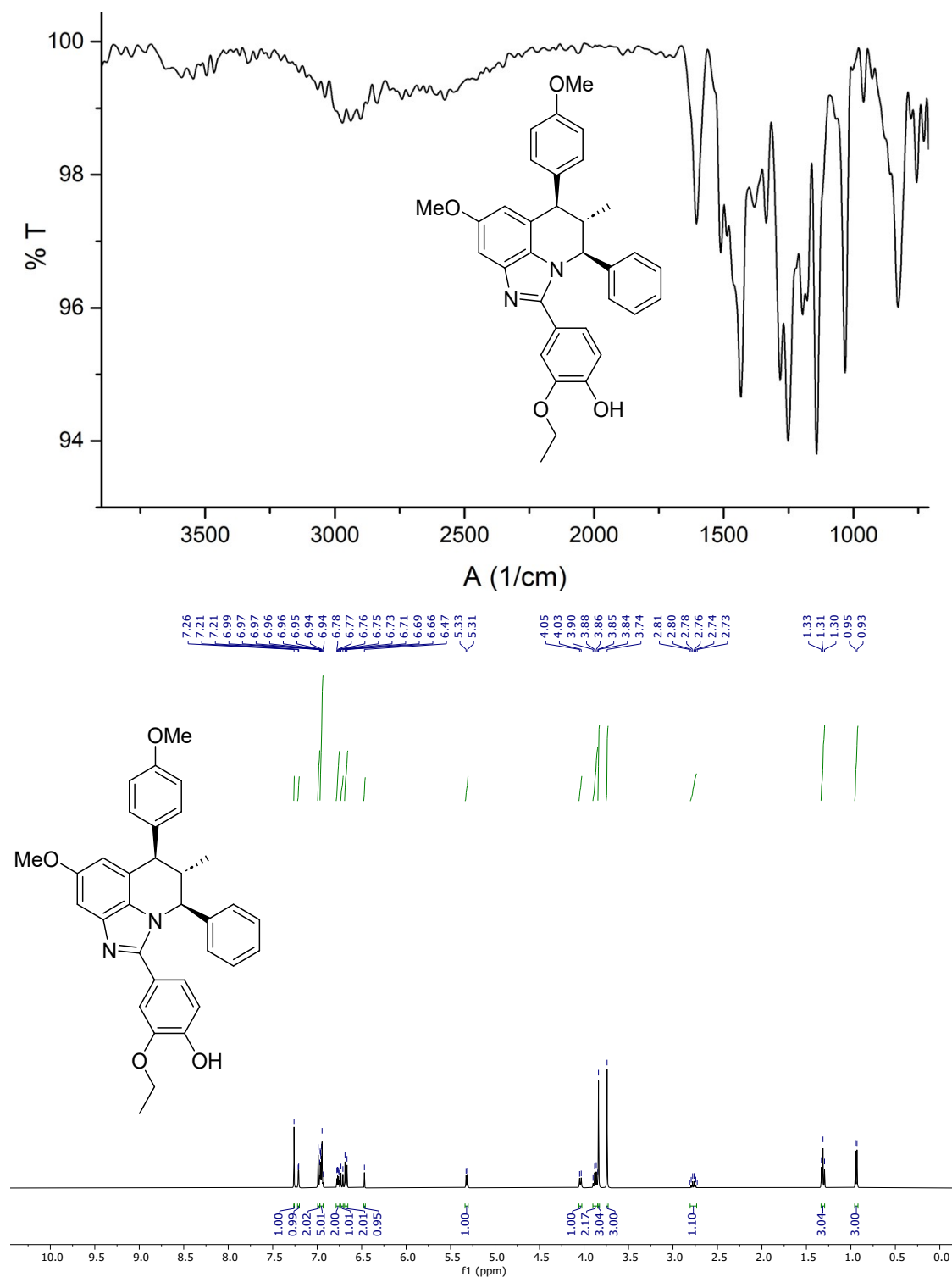


Figure 38. IR, ^1H NMR, ^{13}C NMR and HRMS spectra of *Cis*-8-methoxy-6-(4-methoxyphenyl)-5-methyl-4-phenyl-2-(3-ethoxy-4-hydroxyphenyl)-5,6-dihydro-4*H*-imidazo[4,5-*ij*]quinoline (5v).



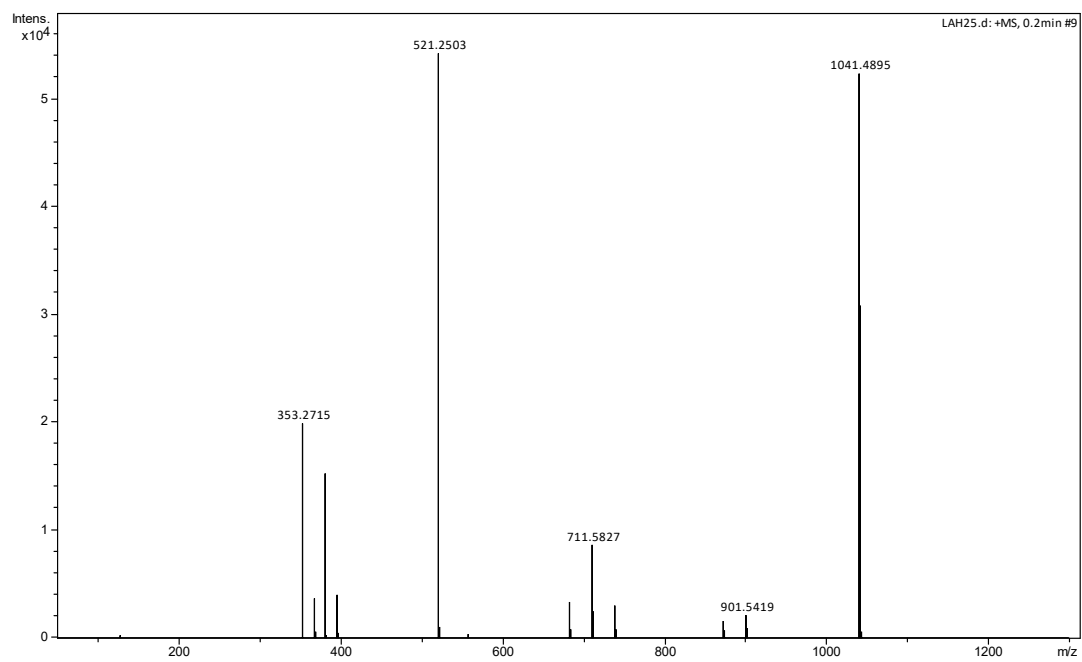
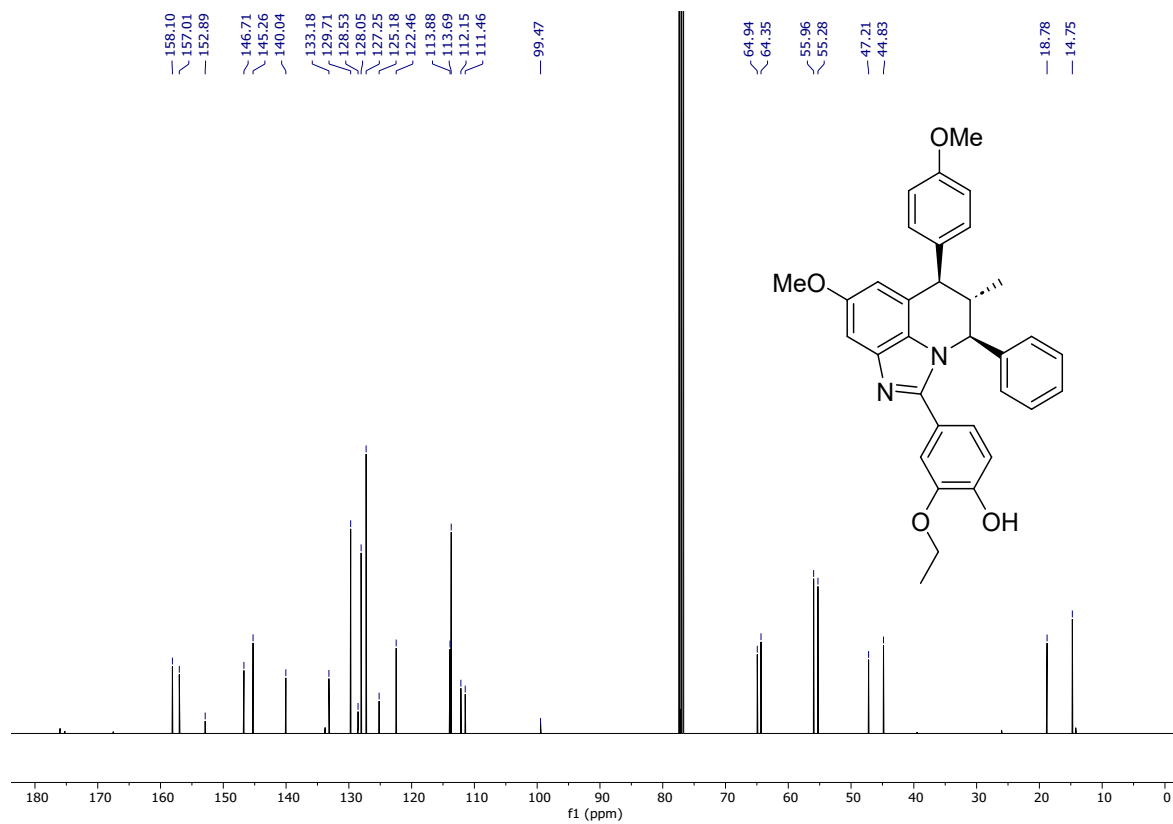
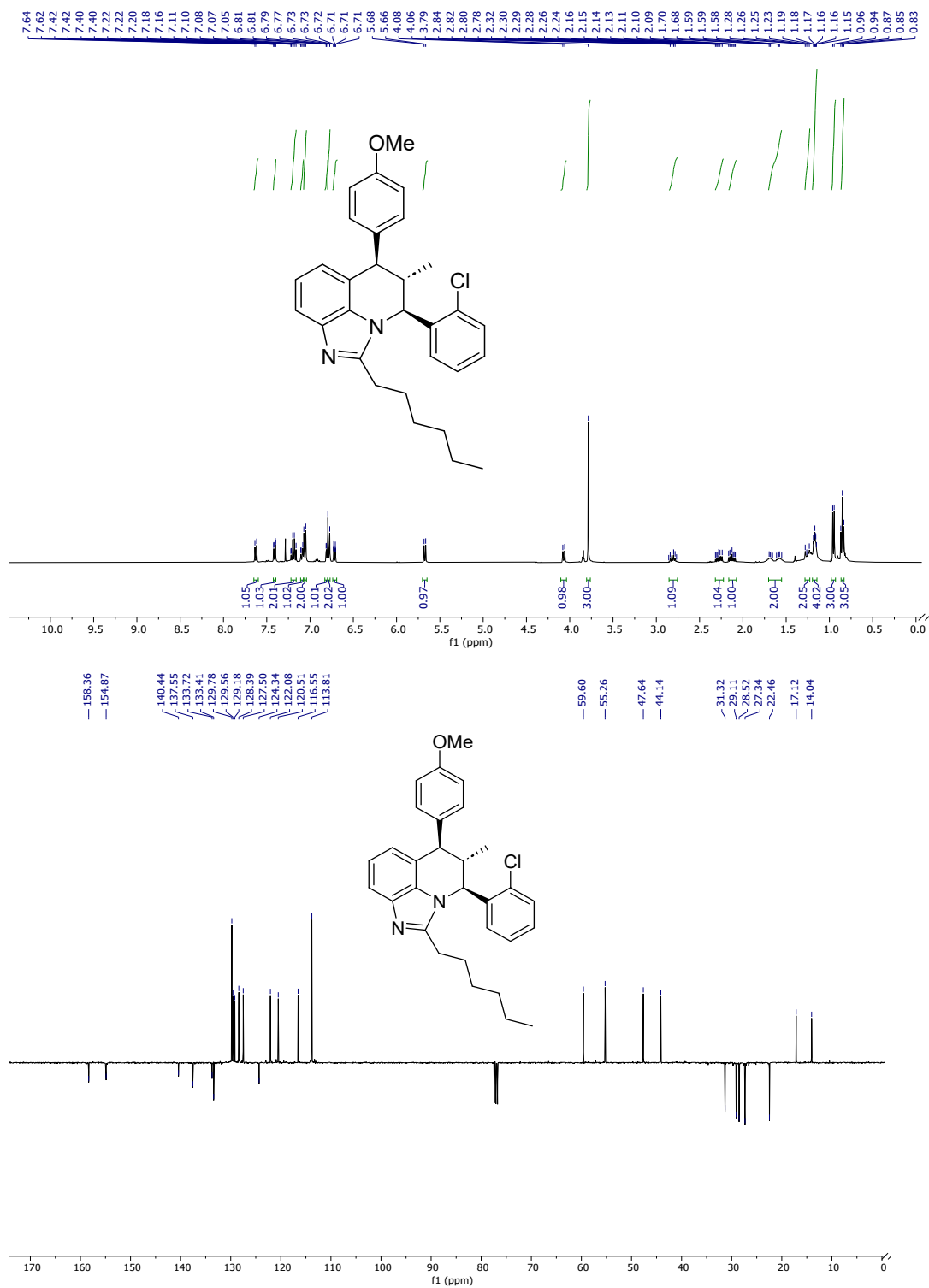


Figure 39. ^1H NMR, APT and HRMS spectra of *Cis*-2-(hexyl)-6-(4-methoxyphenyl)-2-(chlorophenyl)-4-phenyl-5-methyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5w).



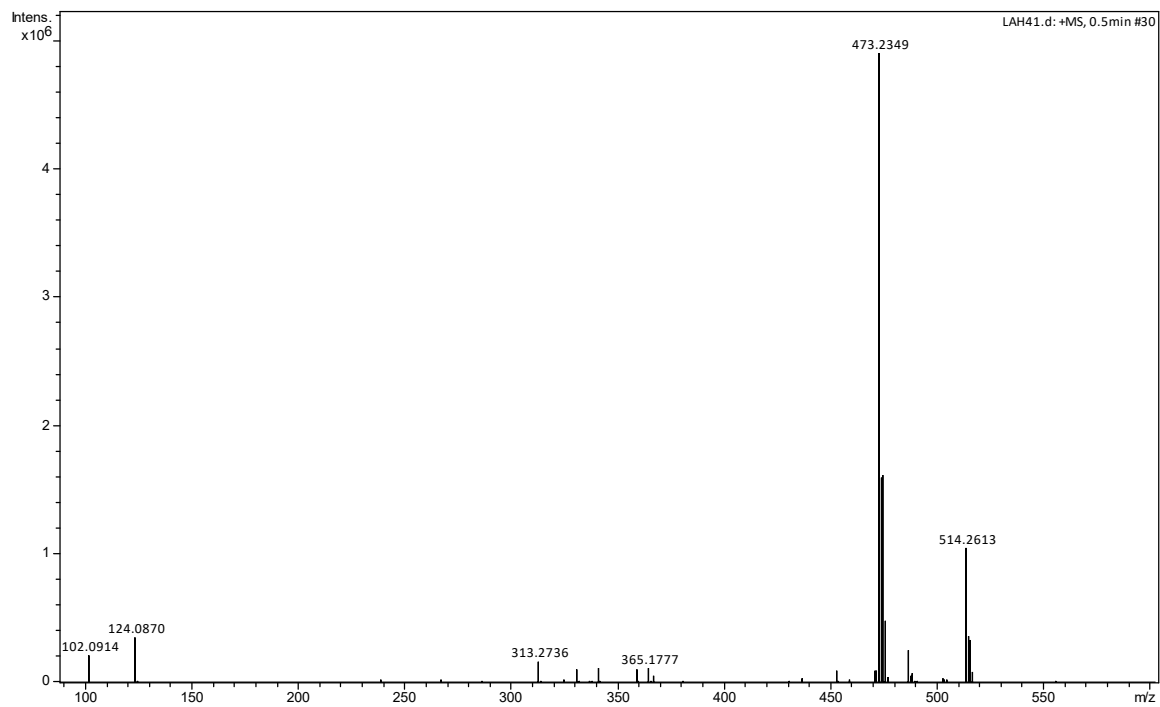
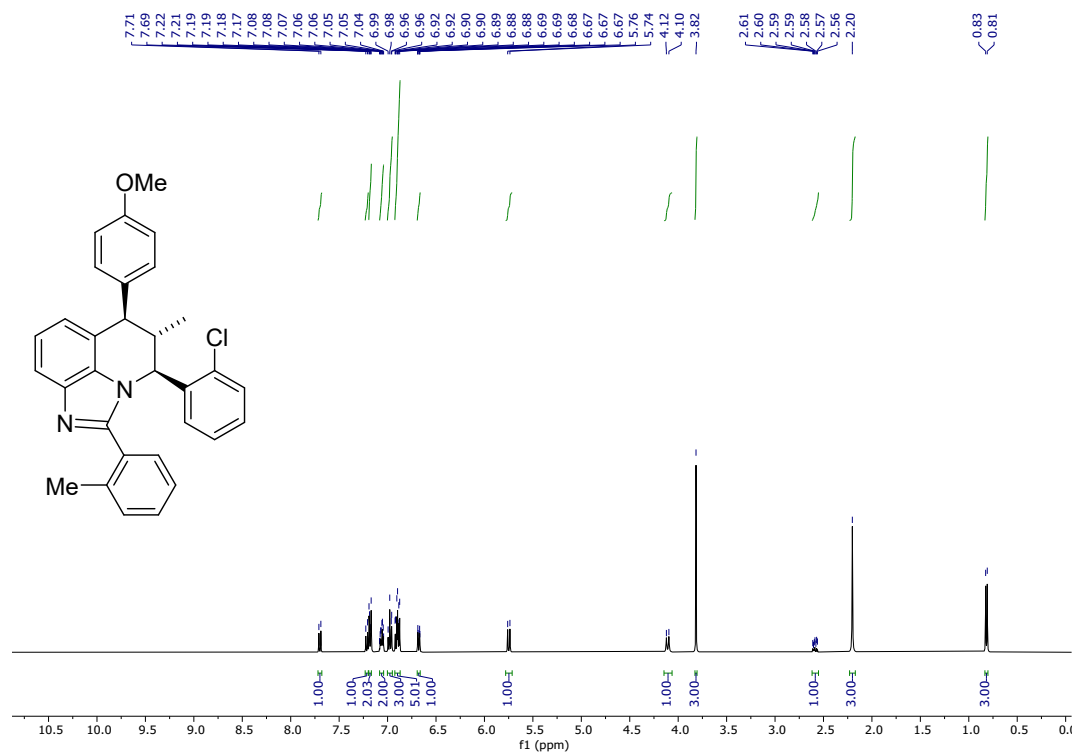


Figure 40. ^1H NMR, APT and HRMS spectra of *Cis*-4-(2-chlorophenyl)-6-(4-methoxyphenyl)-2-(2-methylphenyl)-5-methyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (**5x**).



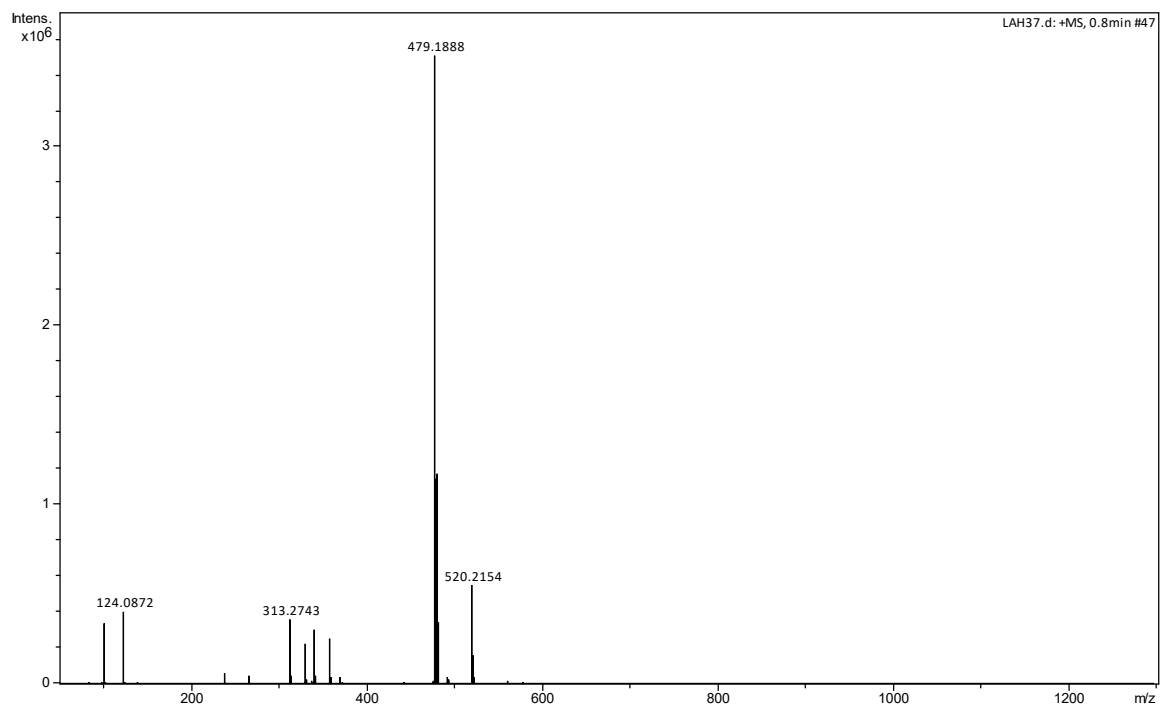
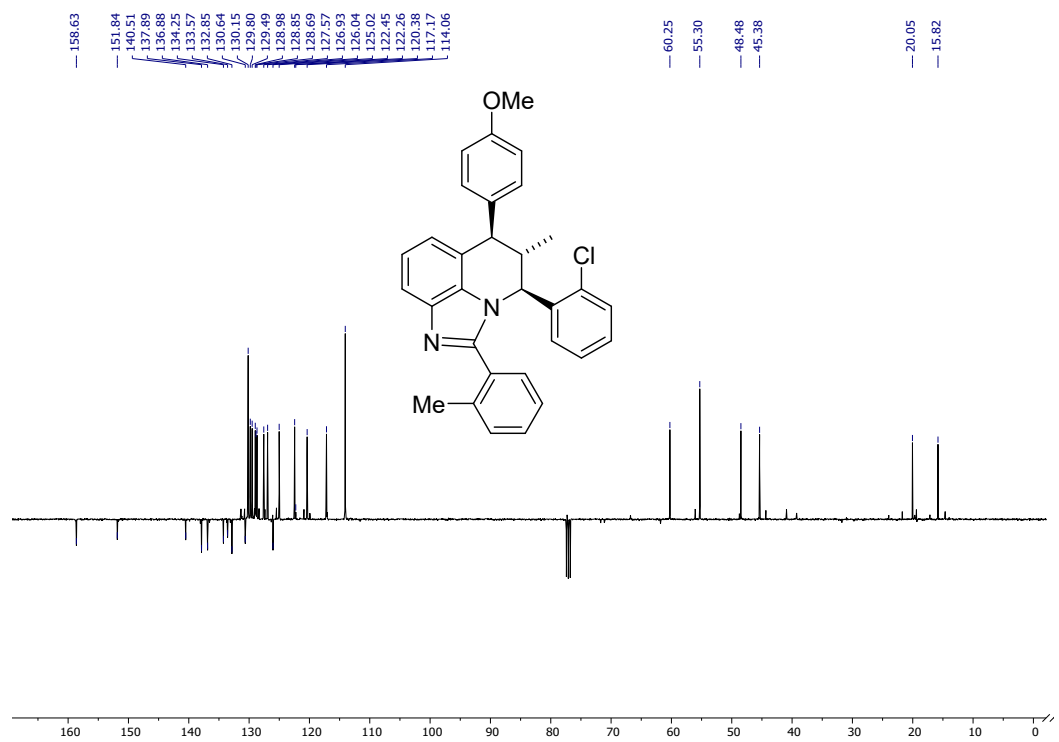
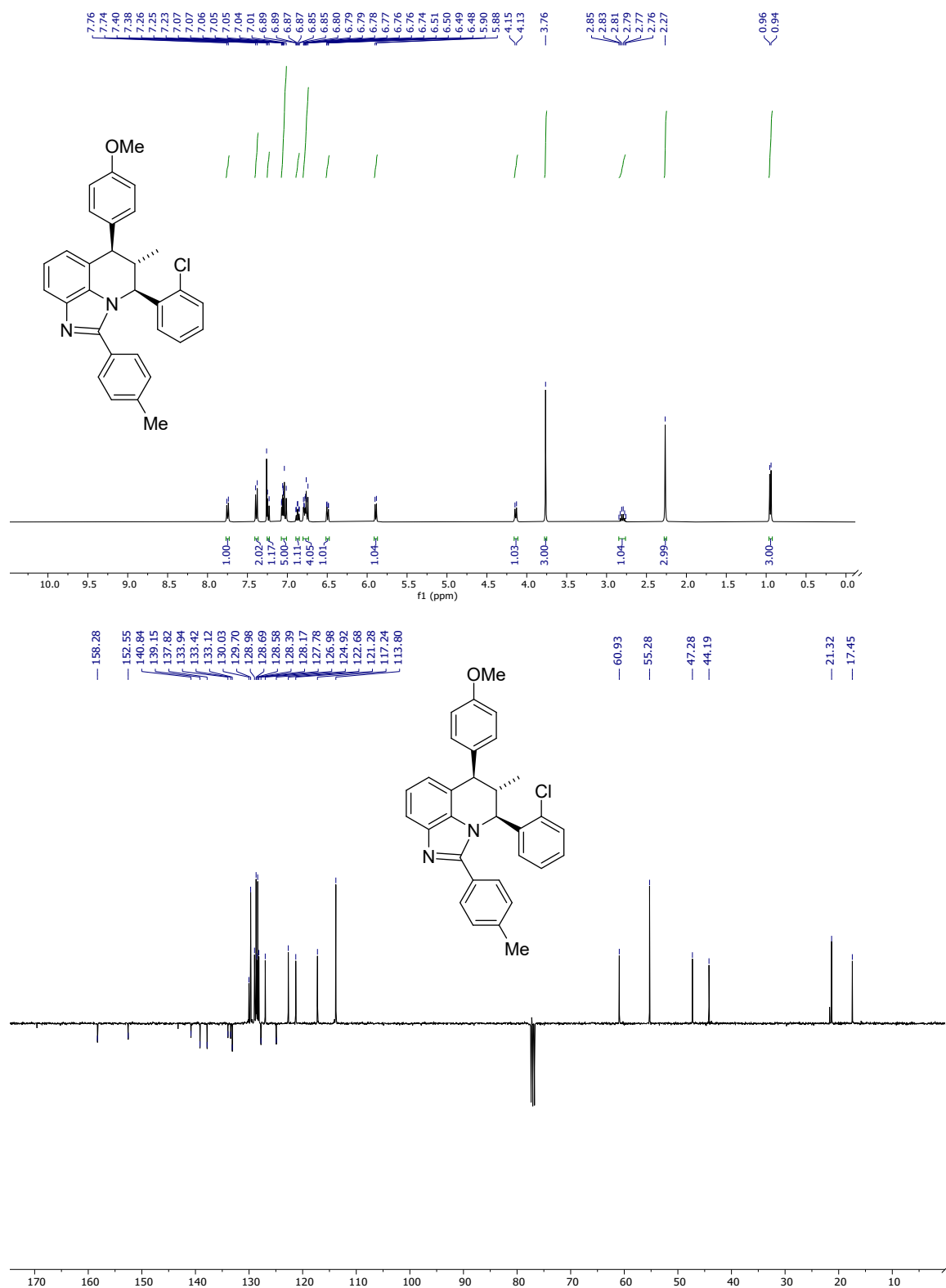


Figure 41. ^1H NMR, APT and HRMS spectra of *Cis*-4-(2-chlorophenyl)-6-(4-methoxyphenyl)-2-(4-methylphenyl)-5-methyl-5,6-dihydro-4*H*-imidazo[4,5,1-*ij*]quinoline (5y).



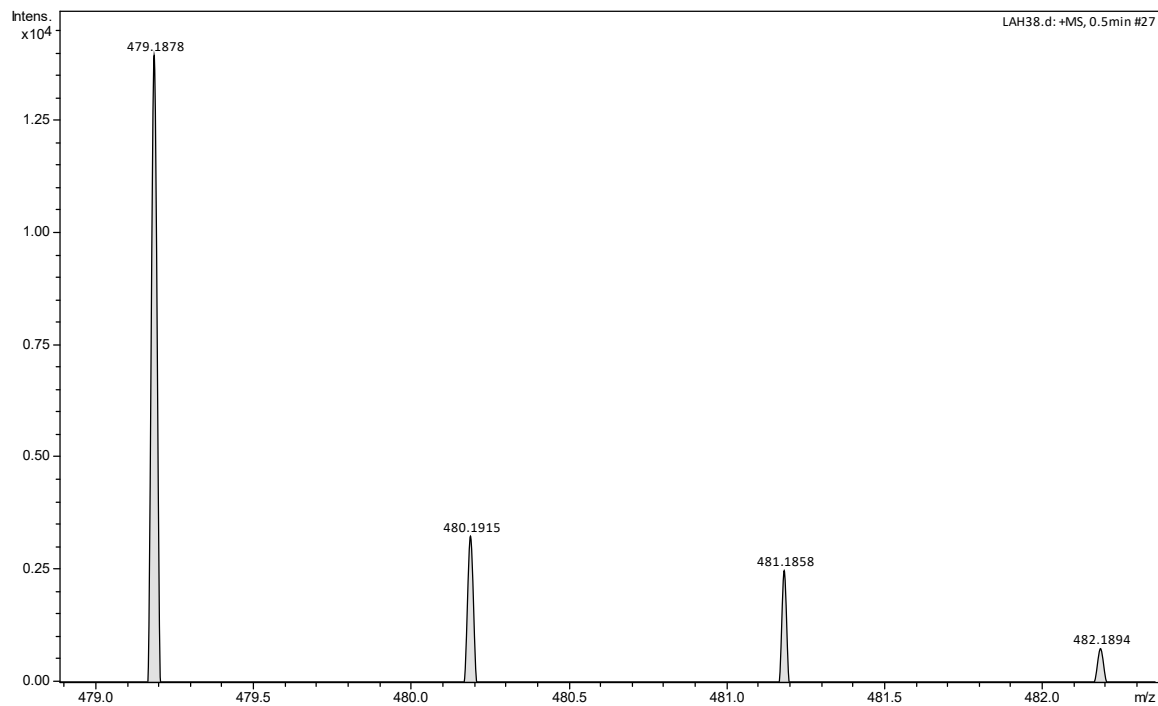
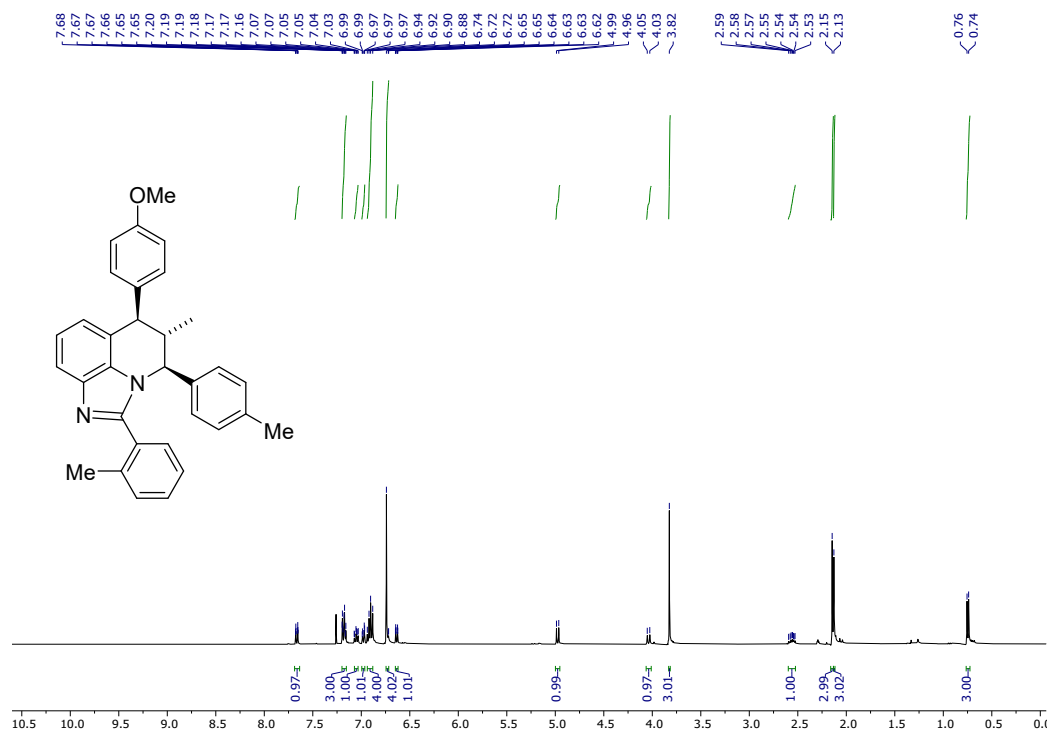
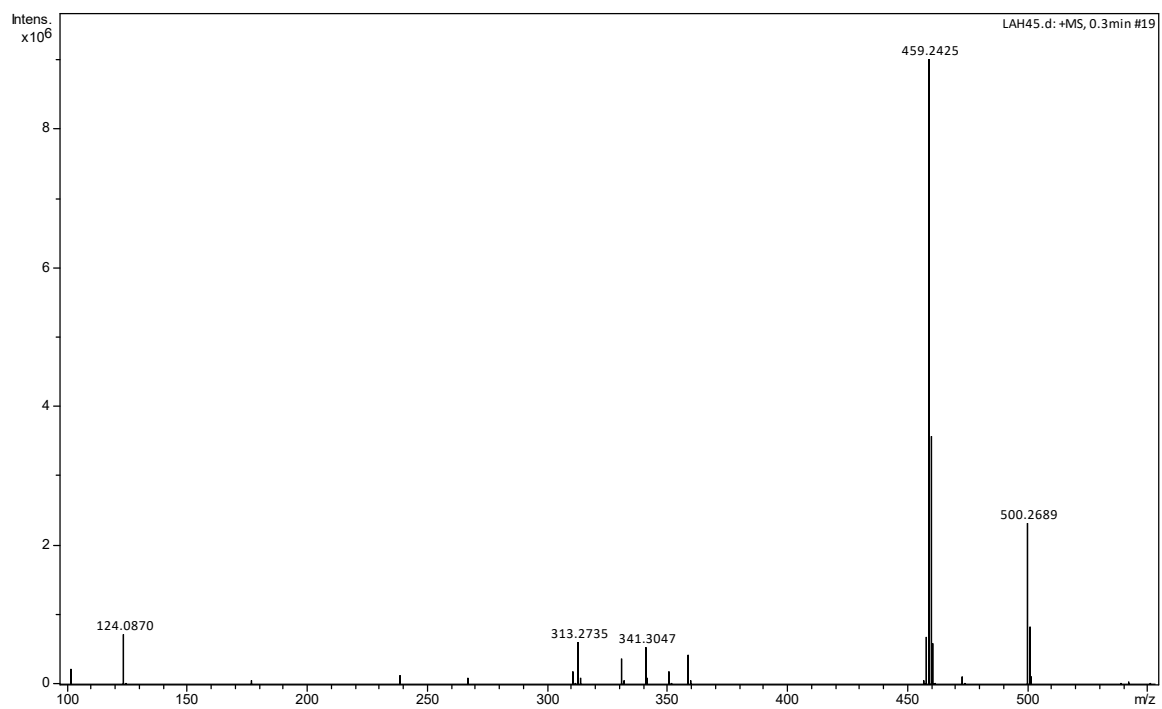
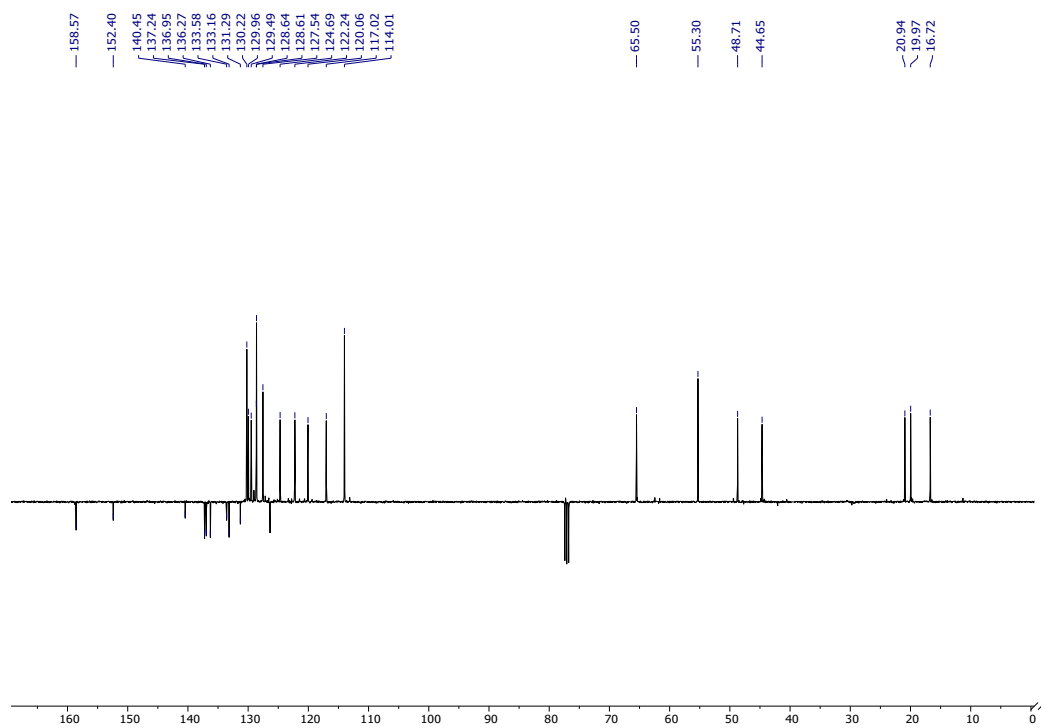
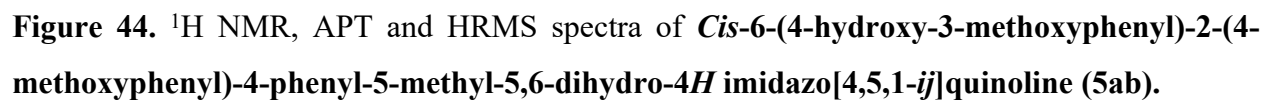


Figure 42. ¹H NMR, APT and HRMS spectra of *Cis*-6-(4-methoxyphenyl)-5-methyl-2-(2-methylphenyl)-4-(4-methylphenyl)-5,6-dihydro-4*H* imidazo[4,5-*ij*]quinoline (**5z**).





[illegible]



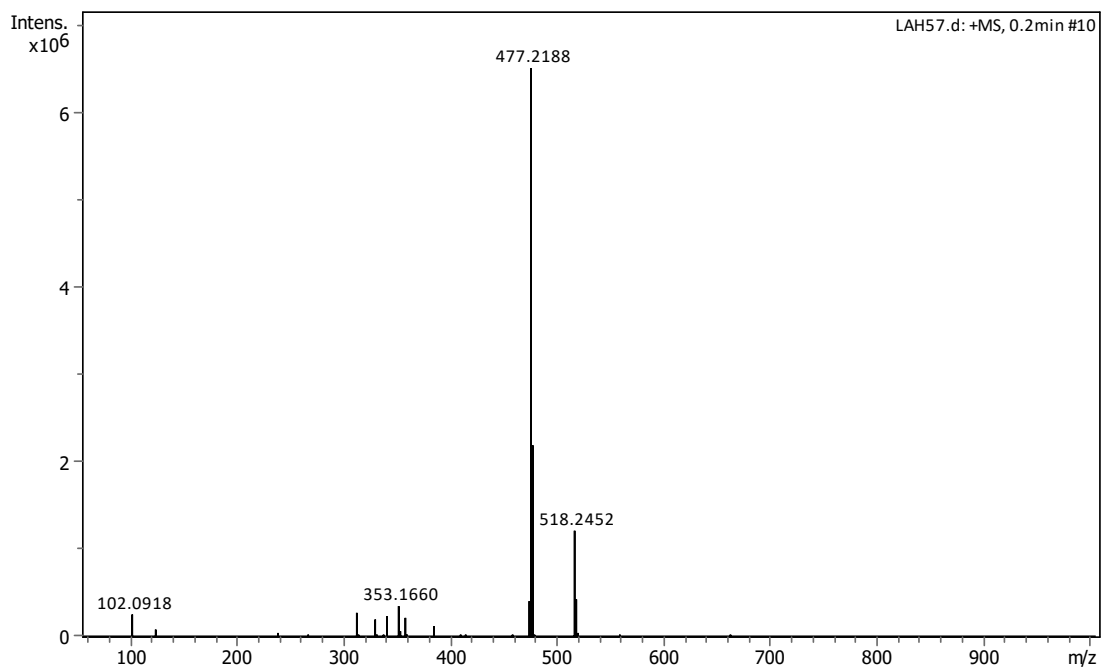
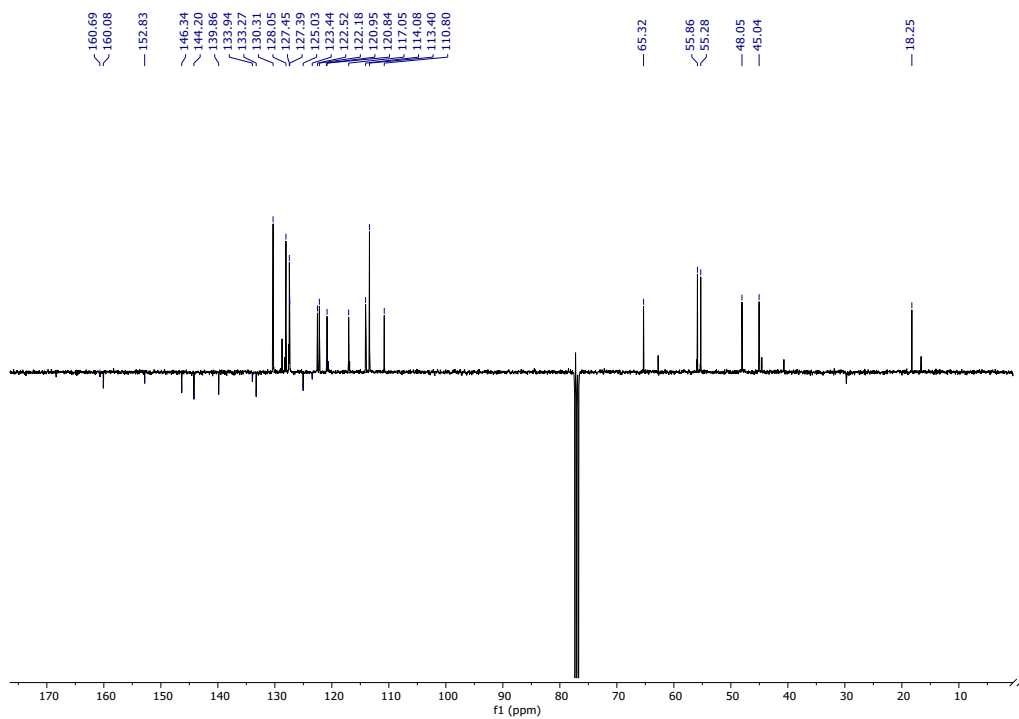
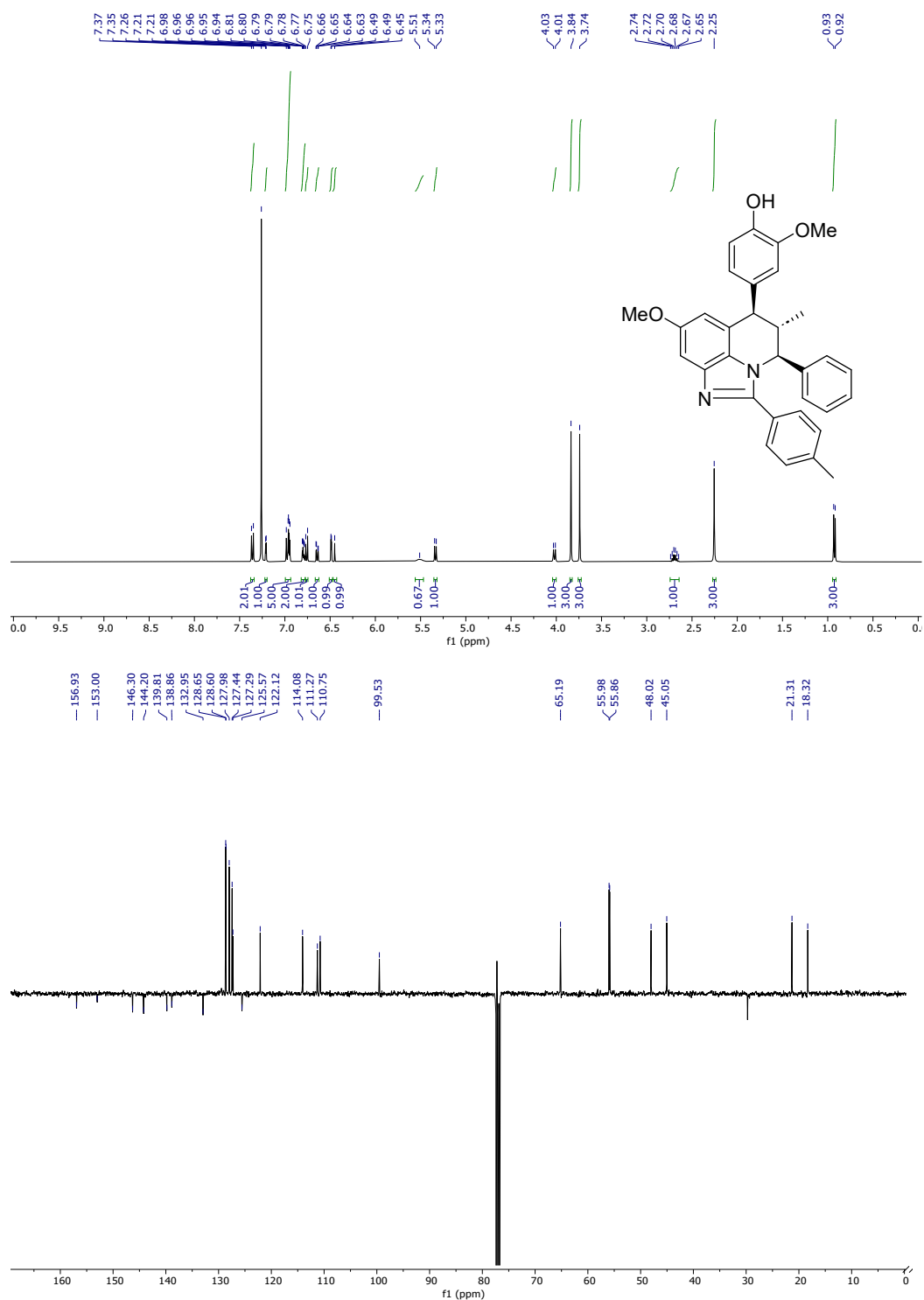
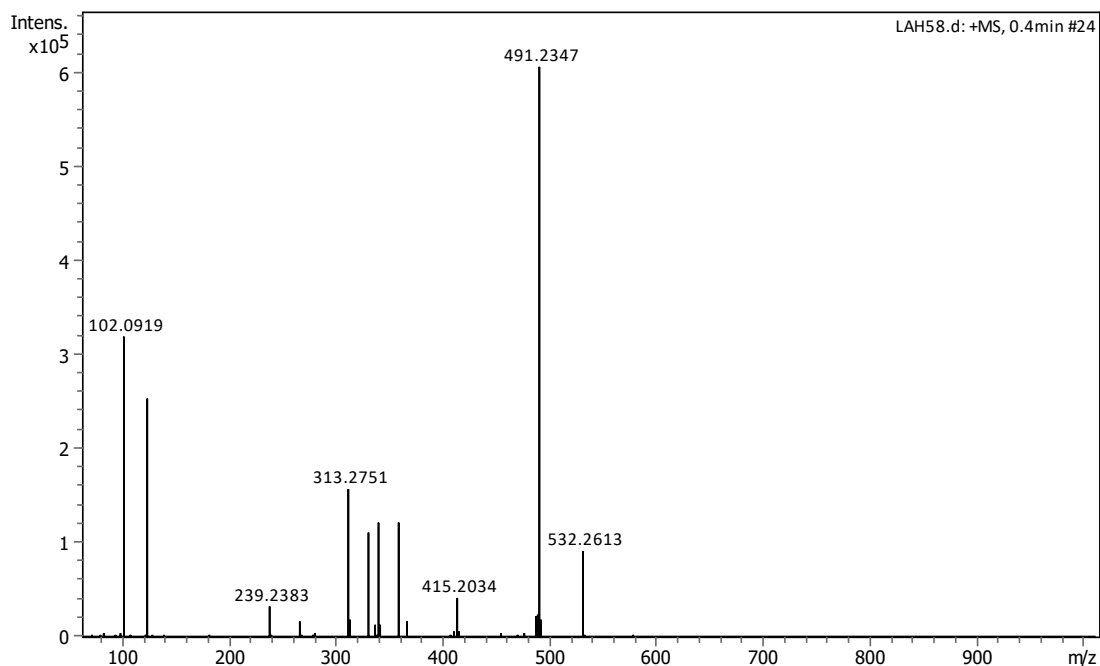


Figure 45. ^1H NMR, APT and HRMS spectra of *Cis*-6-(4-hydroxy-3-methoxyphenyl)-2-(4-methylphenyl)-4-phenyl-5-methyl-8-methoxy-5,6-dihydro-4*H* imidazo[4,5,1-*ij*]quinoline (5ac).

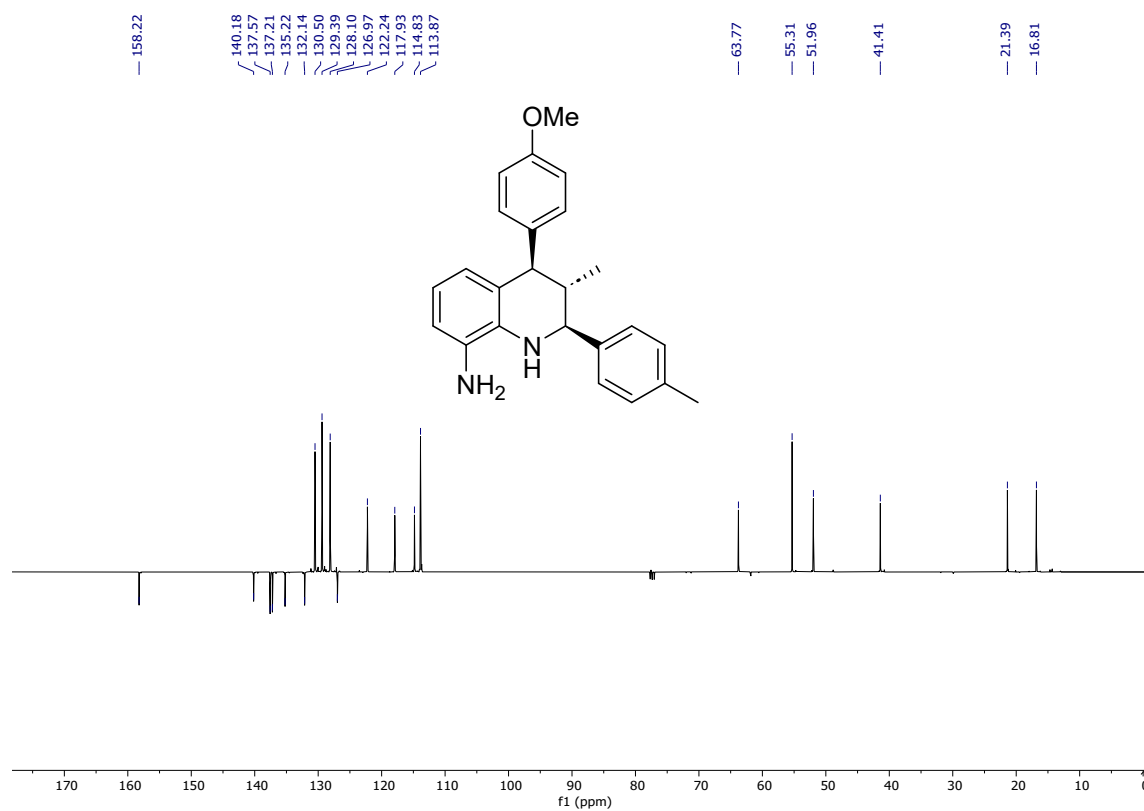
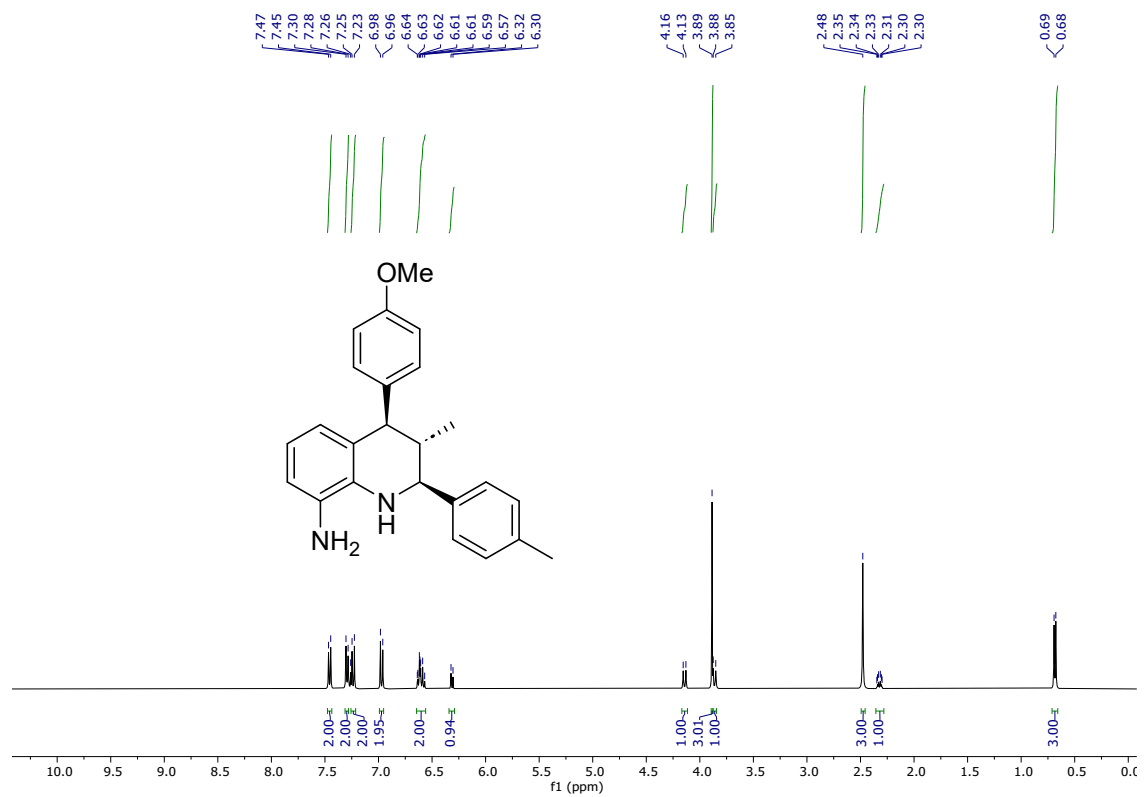




***Cis*-2-(4-methylphenyl)-4-(4-methoxyphenyl)-3-methyl-8-amine-1,2,3,4-tetrahydroquinoline**

(6). Dark green solid. (212.4 mg, 0.59 mmol, 72%); NMR ^1H (400 MHz, CDCl_3) δ (ppm): 7.46 (d, $J=8.1$ Hz, 2H), 7.29 (d, $J=7.9$ Hz, 2H), 7.24 (d, $J=8.8$ Hz, 2H), 6.64 – 6.56 (m, 2H), 6.31 (d, $J=7.4$ Hz, 1H), 4.14 (d, $J=10.1$ Hz, 1H), 3.89 (s, 3H), 3.86 (d, $J=9.5$ Hz, 1H), 2.48 (s, 3H), 2.36 – 2.28 (m, 1H), 0.68 (d, $J=6.6$ Hz, 3H); NMR ^{13}C (100 MHz, CDCl_3) δ (ppm): 158.2, 140.2, 137.6, 137.2, 135.2, 132.1, 130.5, 129.4, 128.1, 127.0, 122.2, 117.9, 114.8, 113.9, 63.8, 55.3, 52.0, 41.4, 21.4, 16.8.

Figure 46. ^1H y APT NMR of ***Cis*-2-(4-methylphenyl)-4-(4-methoxyphenyl)-3-methyl-8-amine-1,2,3,4-tetrahydroquinoline (6).**



Microplate DPPH scavenging assay: 195 μL of DPPH radical solution in methanol (0.1 M) were added to 5 μL of solutions (200 μM) of the selected tetrahydroquinolines. The absorbance was measured at 517 nm at 0, 10 and 30 min. Ascorbic acid (200 μM) and gallic acid (200 μM) were used as positive controls. Each measurement was made at least in triplicate, and the radical scavenging activity (%) was calculated in comparison with ascorbic acid as follow:

Radical scavenging activity (RSA (%))

$$Rsa (\%) = \frac{\text{Absorbance of control} - \text{absorbance of test sample}}{\text{Absorbance of control}} \times 100$$