

Supporting Information

**N-Heterocyclic Carbene-Catalyzed Enantioselective Dearomatizing
Annulation of Benzoxazoles with Enals**

Yunpeng Chu, Fang Hu, Peng Feng, and Xin-Ping Hui*

*State Key Laboratory of Applied Organic Chemistry, College of Chemistry and Chemical Engineering,
Lanzhou University, Lanzhou 730000, P. R. China*

*Corresponding authors: Prof. Xin-Ping Hui

E-mail: huixp@lzu.edu.cn

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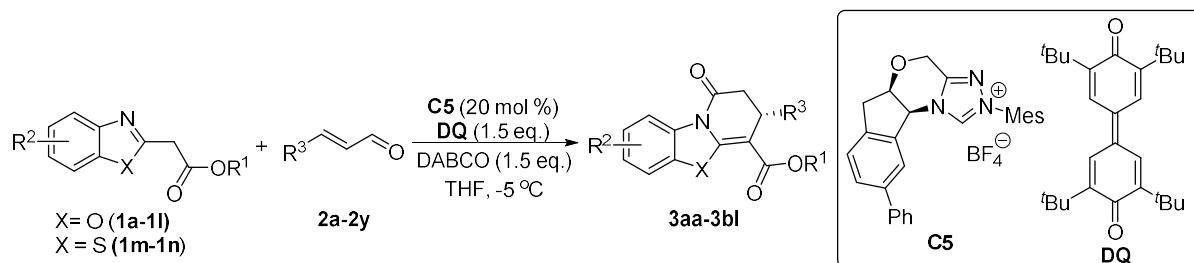
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1. General information

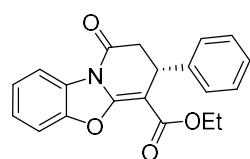
¹H NMR and ¹³C NMR spectra were recorded on a Bruker AVANCE III 400 spectrometer using tetramethylsilane as internal reference, and chemical shifts (δ) and coupling constants (J) were expressed in ppm and Hz, respectively. Optical rotation was measured by the Perkin Elmer 341 polarimeter. The HRMS analysis was obtained on a Bruker Apex II FT-ICR mass spectrometer with ESI ionization method. The *ee* value determination was carried out using HPLC with chiral Chirapak column on Agilent 1260 with a UV-detector. Melting points were taken on an XT-4 melting point apparatus and were uncorrected. Dichloromethane was freshly distilled from phosphorous pentoxide. THF and diethyl ether were freshly distilled from a deep-blue solution of sodium-benzophenone under nitrogen. Acetonitrile was dried by calcium hydride and freshly distilled. Other chemicals were purchased from commercial suppliers and used directly. All syntheses and manipulations were carried out under a dry nitrogen atmosphere. Flash column chromatography was carried out utilizing 200–300 mesh silica gel.

N-Heterocyclic carbene precatalysts **C1–C5**¹, benzoxazole derivatives **1a–1l**², benzoxazole derivatives **1m–1n**² and enals **2b–2y**³ were prepared according to literatures, respectively.

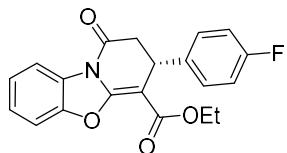
2. General procedure for the NHC-catalyzed asymmetric dearomatization reaction



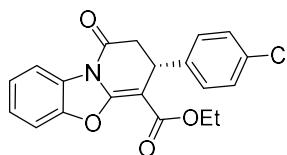
A dried and nitrogen-filled Schlenk tube was charged with benzoxazole derivatives **1** (0.1 mmol), enals **2** (0.15 mmol, 1.5 eq.), NHC precatalyst **C5** (0.02 mmol, 9.9 mg, 0.2 eq.), DABCO (0.15 mmol, 16.8 mg, 1.5 eq.) and DQ (0.15 mmol, 61.2 mg, 1.5 eq.) in dry THF (2 mL). The reaction mixture was stirred at $-5\text{ }^\circ\text{C}$ until the consumption of benzoxazole derivatives **1** as monitored by TLC. The solvent was removed in vacuo and the residue was purified by chromatography on silica gel using hexanes/EtOAc (10:1) as eluent to afford the desired products **3**.



ethyl (*R*)-1-oxo-3-phenyl-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3aa). 23.8 mg, yield 71%, white solid, mp 153.9–155.1 °C, $[\alpha]_D^{24} -207$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.97 – 7.95 (m, 1H), 7.32 – 7.20 (m, 8H), 4.38 (d, *J* = 6.8 Hz, 1H), 4.23 (q, *J* = 7.2 Hz, 2H), 3.17 (dd, *J* = 16.8, 8.0 Hz, 1H), 2.97 (dd, *J* = 16.8, 1.6 Hz, 1H), 1.27 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.7, 165.0, 155.5, 147.3, 141.9, 128.9, 127.2, 126.8, 126.4, 125.4, 124.6, 114.7, 110.2, 84.6, 60.2, 39.3, 36.3, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₀H₁₈NO₄ [M+H]: 336.1230, Found: 336.1236. HPLC (Chiraldak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: t_{minor} = 20.307 min, t_{major} = 30.793 min, 93% ee).

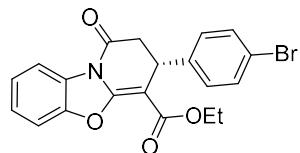


ethyl (*R*)-3-(4-fluorophenyl)-1-oxo-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3ab). 21.2 mg, yield 60%, white solid, mp 185.5–186.3 °C, $[\alpha]_D^{24} -148$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.98 – 7.96 (m, 1H), 7.34 – 7.31 (m, 1H), 7.25 – 7.20 (m, 4H), 6.99 – 6.93 (m, 2H), 4.37 (dd, *J* = 8.0, 1.6 Hz, 1H), 4.27 – 4.21 (m, 2H), 3.17 (dd, *J* = 16.8, 8.0 Hz, 1H), 2.94 (dd, *J* = 16.8, 2.0 Hz, 1H), 1.28 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.5, 165.0, 155.5, 147.3, 137.62, 137.59, 128.1, 128.0, 126.8, 125.6, 124.7, 115.8, 115.6, 114.8, 110.3, 84.5, 60.3, 39.4, 35.7, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₀H₁₇FNO₄ [M+H]: 354.1136, Found: 354.1140. HPLC (Chiraldak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: t_{minor} = 20.408 min, t_{major} = 28.376 min, 94% ee).

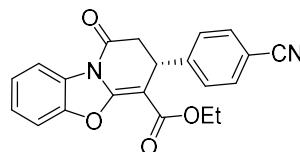


ethyl (*R*)-3-(4-chlorophenyl)-1-oxo-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3ac). 23.2 mg, yield 63%, white solid, mp 138.4–139.1 °C, $[\alpha]_D^{24} -218$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.97 – 7.95 (m, 1H), 7.33 – 7.31 (m, 1H), 7.26 – 7.21 (m, 4H), 7.20 – 7.17 (m, 2H), 4.36 (dd, *J* = 8.0, 2.0 Hz, 1H), 4.23 (q, *J* = 7.2 Hz, 2H), 3.17 (dd, *J* = 16.8, 8.0 Hz, 1H), 2.93 (dd, *J* = 16.8, 2.0 Hz, 1H), 1.27 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.4, 164.9, 155.6, 147.3, 140.4, 133.1, 129.0, 127.9, 126.7, 125.6, 124.7, 114.8, 110.3, 84.2, 60.3, 39.2, 35.8, 14.4. HRMS (ESI): Exact Mass Calcd

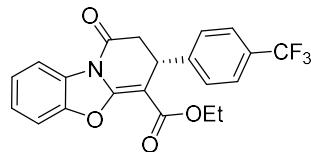
for $C_{20}H_{17}ClNO_4$ [M+H]: 370.0841, Found: 370.0844. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 20.080$ min, $t_{\text{major}} = 29.650$ min, 93% ee).



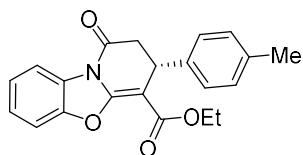
ethyl (R)-3-(4-bromophenyl)-1-oxo-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3ad). 25.2 mg, yield 61%, white solid, mp 122.6–123.4 °C, $[\alpha]_D^{24} -234$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.96 (dd, $J = 7.2, 1.6$ Hz, 1H), 7.39 (d, $J = 8.4$ Hz, 2H), 7.33 – 7.31 (m, 1H), 7.25 – 7.20 (m, 2H), 7.13 (d, $J = 8.0$ Hz, 2H), 4.34 (d, $J = 6.8$ Hz, 1H), 4.23 (q, $J = 7.2$ Hz, 2H), 3.16 (dd, $J = 16.8, 8.0$ Hz, 1H), 2.93 (dd, $J = 16.8, 2.0$ Hz, 1H), 1.27 (t, $J = 7.2$ Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.3, 164.9, 155.6, 147.3, 141.0, 132.0, 128.3, 126.7, 125.6, 124.7, 121.1, 114.8, 110.3, 84.1, 60.4, 39.2, 35.9, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₀H₁₇BrNO₄ [M+H]: 414.0335, Found: 414.0334. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 21.596$ min, $t_{\text{major}} = 31.631$ min, 93% ee).



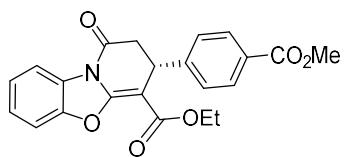
ethyl (R)-3-(4-cyanophenyl)-1-oxo-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3ae). 21.2 mg, yield 59%, pale yellow oil, $[\alpha]_D^{24} -236$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.98 – 7.96 (m, 1H), 7.58 (d, $J = 8.0$ Hz, 2H), 7.38 – 7.32 (m, 3H), 7.29 – 7.21 (m, 2H), 4.43 (d, $J = 6.8$ Hz, 1H), 4.27 – 4.21 (m, 2H), 3.22 (dd, $J = 16.8, 8.4$ Hz, 1H), 2.94 (dd, $J = 16.8, 2.0$ Hz, 1H), 1.27 (t, $J = 7.2$ Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 165.9, 164.7, 155.8, 147.4, 147.2, 132.8, 127.4, 126.6, 125.8, 124.9, 118.6, 114.8, 111.4, 110.4, 83.5, 60.5, 38.8, 36.5, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₁H₁₇N₂O₄ [M+H]: 361.1183, Found: 361.1182. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 70/30, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 21.171$ min, $t_{\text{major}} = 23.415$ min, 89% ee).



ethyl (R)-1-oxo-3-(4-(trifluoromethyl)phenyl)-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3af). 21.4 mg, yield 53%, yellow oil, $[\alpha]_D^{24} -142$ (10 mg/mL in CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 7.98 – 7.96 (m, 1H), 7.54 (d, $J = 8.0$ Hz, 2H), 7.39 – 7.32 (m, 3H), 7.26 – 7.21 (m, 2H), 4.45 (d, $J = 7.2$ Hz, 1H), 4.24 (q, $J = 7.2$ Hz, 2H), 3.21 (dd, $J = 16.8, 8.0$ Hz, 1H), 2.96 (dd, $J = 16.8, 2.0$ Hz, 1H), 1.28 (t, $J = 7.2$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.2, 164.9, 155.7, 147.2, 146.0, 129.8, 129.5, 126.9, 126.7, 126.0, 125.92, 125.89, 125.7, 124.8, 114.8, 110.4, 83.9, 60.4, 39.0, 36.3, 14.4. HRMS (ESI): Exact Mass Calcd for $\text{C}_{21}\text{H}_{17}\text{F}_3\text{NO}_4$ [M+H]: 404.1104, Found: 404.1107. HPLC (Chiraldak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 14.057$ min, $t_{\text{major}} = 17.808$ min, 91% ee).

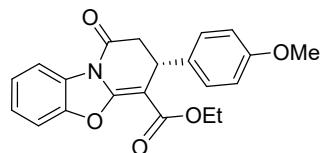


ethyl (R)-1-oxo-3-(p-tolyl)-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3ag). 11.6 mg, yield 33%, yellow oil, $[\alpha]_D^{24} -156$ (5 mg/mL in CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 7.97 – 7.95 (m, 1H), 7.32 – 7.29 (m, 1H), 7.25 – 7.18 (m, 2H), 7.14 (d, $J = 8.0$ Hz, 2H), 7.07 (d, $J = 8.0$ Hz, 2H), 4.35 (d, $J = 6.4$ Hz, 1H), 4.23 (q, $J = 7.2$ Hz, 2H), 3.14 (dd, $J = 16.8, 8.0$ Hz, 1H), 2.95 (dd, $J = 16.8, 2.0$ Hz, 1H), 2.29 (s, 3H), 1.28 (t, $J = 7.2$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.8, 165.1, 155.5, 147.3, 138.8, 136.9, 129.5, 126.9, 126.3, 125.4, 124.6, 114.7, 110.2, 84.8, 60.2, 39.4, 35.9, 21.0, 14.4. HRMS (ESI): Exact Mass Calcd for $\text{C}_{21}\text{H}_{20}\text{NO}_4$ [M+H]: 350.1387, Found: 350.1386. HPLC (Chiraldak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 19.185$ min, $t_{\text{major}} = 37.450$ min, 91% ee).

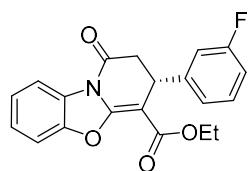


ethyl (R)-3-(4-(methoxycarbonyl)phenyl)-1-oxo-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3ah). 23.5 mg, yield 60%, white solid, mp 102.8–103.5 °C, $[\alpha]_D^{24} -187$ (10 mg/mL in CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 7.98 – 7.94 (m, 3H), 7.34 – 7.32 (m, 3H), 7.26 – 7.21 (m, 2H), 4.43 (d, $J = 6.8$ Hz, 1H), 4.22 (q, $J = 6.8$ Hz, 2H), 3.88 (s, 3H), 3.21 (dd, $J = 16.8, 8.0$ Hz, 1H), 2.96 (dd, $J = 16.8, 1.6$ Hz, 1H), 1.26 (t, $J = 7.2$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.7, 166.2, 164.8, 155.7, 147.2, 147.1, 130.3, 129.3, 126.7, 126.6, 125.6, 124.7, 114.8, 110.3, 83.9, 60.3, 52.1, 39.0, 36.4, 14.4. HRMS (ESI): Exact

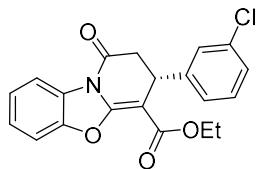
Mass Calcd for C₂₂H₂₀NO₆ [M+H]: 394.1285, Found: 394.1285. HPLC (Chiraldak IG column, *n*-hexane/*i*-PrOH = 70/30, flow rate = 1.0 mL/min, retention time: t_{minor} = 12.304 min, t_{major} = 25.529 min, 94% ee).



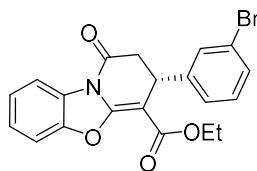
ethyl (R)-3-(4-methoxyphenyl)-1-oxo-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3ai). 12.1 mg, yield 33%, pale yellow solid, mp 116.7–117.4 °C, [α]_D²⁴ –111 (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.98 – 7.95 (m, 1H), 7.32 – 7.30 (m, 1H), 7.25 – 7.15 (m, 4H), 6.82 – 6.78 (m, 2H), 4.34 (dd, *J* = 8.0, 1.6 Hz, 1H), 4.24 (q, *J* = 7.2 Hz, 2H), 3.76 (s, 3H), 3.14 (dd, *J* = 16.8, 7.6 Hz, 1H), 2.95 (dd, *J* = 16.8, 2.0 Hz, 1H), 1.28 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.9, 165.1, 158.7, 155.4, 147.3, 133.9, 127.5, 126.9, 125.4, 124.6, 114.7, 114.2, 110.3, 84.9, 60.3, 55.3, 39.5, 35.5, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₁H₂₀NO₅ [M+H]: 366.1336, Found: 366.1342. HPLC (Chiraldak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: t_{minor} = 38.290 min, t_{major} = 53.857 min, 86% ee).



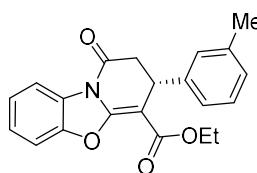
ethyl (R)-3-(3-fluorophenyl)-1-oxo-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3aj). 29.2 mg, yield 83%, white solid, mp 124.5–125.3 °C, [α]_D²⁴ –305 (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.98 – 7.96 (m, 1H), 7.33 – 7.32 (m, 1H), 7.25 – 7.20 (m, 3H), 7.03 (d, *J* = 7.6 Hz, 1H), 6.97 – 6.89 (m, 2H), 4.38 (d, *J* = 8.0 Hz, 1H), 4.24 (q, *J* = 7.2 Hz, 2H), 3.18 (dd, *J* = 16.8, 8.0 Hz, 1H), 2.96 (dd, *J* = 16.8, 1.6 Hz, 1H), 1.28 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.4, 164.9, 164.3, 161.8, 155.7, 147.3, 144.52, 144.45, 130.5, 130.4, 126.7, 125.6, 124.7, 122.1, 122.0, 114.8, 114.4, 114.1, 113.7, 113.5, 110.3, 84.0, 60.4, 39.2, 36.1, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₀H₁₇FNO₄ [M+H]: 354.1136, Found: 354.1139. HPLC (Chiraldak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: t_{minor} = 19.569 min, t_{major} = 25.974 min, 98% ee).



ethyl (R)-3-(3-chlorophenyl)-1-oxo-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3ak). 23.1 mg, yield 63%, white solid, mp 171.3–172.6 °C, $[\alpha]_D^{24} -257$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.98 – 7.96 (m, 1H), 7.34 – 7.32 (m, 1H), 7.27 – 7.19 (m, 5H), 7.16 – 7.11 (m, 1H), 4.36 (dd, *J* = 8.0, 2.0 Hz, 1H), 4.28 – 4.20 (m, 2H), 3.18 (dd, *J* = 16.8, 8.0 Hz, 1H), 2.95 (dd, *J* = 16.8, 2.0 Hz, 1H), 1.28 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.3, 164.8, 155.7, 147.3, 144.0, 134.7, 130.2, 127.6, 126.9, 126.7, 125.6, 124.7, 124.6, 114.8, 110.4, 83.9, 60.4, 39.1, 36.1, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₀H₁₇ClNO₄ [M+H]: 370.0841, Found: 370.0840. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 95/5, flow rate = 1.0 mL/min, retention time: t_{minor} = 31.729 min, t_{major} = 34.777 min, 96% ee).

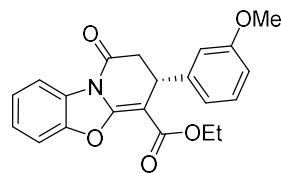


ethyl (R)-3-(3-bromophenyl)-1-oxo-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3al). 24.1 mg, yield 58%, white solid, mp 187.6–188.4 °C, $[\alpha]_D^{24} -180$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.98 – 7.96 (m, 1H), 7.40 (s, 1H), 7.37 – 7.31 (m, 2H), 7.28 – 7.20 (m, 2H), 7.18 – 7.12 (m, 2H), 4.36 (dd, *J* = 8.0, 1.6 Hz, 1H), 4.28 – 4.20 (m, 2H), 3.18 (dd, *J* = 16.8, 8.0 Hz, 1H), 2.95 (dd, *J* = 16.8, 2.0 Hz, 1H), 1.28 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.3, 164.8, 155.7, 147.3, 144.2, 130.53, 130.51, 129.9, 126.7, 125.6, 125.0, 124.8, 123.0, 114.8, 110.4, 83.8, 60.4, 39.2, 36.1, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₀H₁₇BrNO₄ [M+H]: 414.0335, Found: 414.0334. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 95/5, flow rate = 1.0 mL/min, retention time: t_{minor} = 34.850 min, t_{major} = 37.228 min, 92% ee).

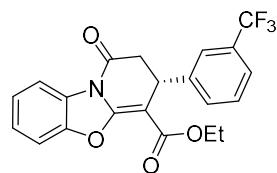


ethyl (R)-1-oxo-3-(m-tolyl)-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3am).

16.8 mg, yield 48%, white solid, mp 139.7–140.5 °C, $[\alpha]_D^{24} -146$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.98 – 7.96 (m, 1H), 7.33 – 7.31 (m, 1H), 7.25 – 7.18 (m, 2H), 7.17 – 7.13 (m, 1H), 7.04 – 7.02 (m, 3H), 4.35 (dd, *J* = 8.0, 2.0 Hz, 1H), 4.23 (q, *J* = 7.2 Hz, 2H), 3.15 (dd, *J* = 16.8, 8.0 Hz, 1H), 2.97 (dd, *J* = 16.4, 2.0 Hz, 1H), 2.29 (s, 3H), 1.28 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.8, 165.1, 155.5, 147.3, 141.8, 138.5, 128.8, 128.1, 127.3, 126.9, 125.4, 124.6, 123.4, 114.7, 110.3, 84.6, 60.2, 39.4, 36.2, 21.5, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₁H₂₀NO₄ [M+H]: 350.1387, Found: 350.1387. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: t_{minor} = 12.016 min, t_{major} = 13.472 min, 94% ee).



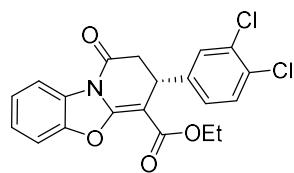
ethyl (R)-3-(3-methoxyphenyl)-1-oxo-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3an). 15.3 mg, yield 42%, colorless oil, $[\alpha]_D^{24} -175$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.97 – 7.94 (m, 1H), 7.32 – 7.30 (m, 1H), 7.25 – 7.17 (m, 3H), 6.84 – 6.80 (m, 2H), 6.77 – 6.74 (m, 1H), 4.36 (dd, *J* = 8.0, 2.0 Hz, 1H), 4.24 (q, *J* = 7.2 Hz, 2H), 3.75 (s, 3H), 3.15 (dd, *J* = 16.8, 8.0 Hz, 1H), 2.97 (dd, *J* = 16.8, 2.0 Hz, 1H), 1.28 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.7, 165.0, 159.9, 155.6, 147.3, 143.5, 129.9, 126.8, 125.4, 124.6, 118.7, 114.7, 112.9, 112.1, 110.3, 84.4, 60.3, 55.2, 39.3, 36.3, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₁H₂₀NO₅ [M+H]: 366.1336, Found: 366.1336. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: t_{minor} = 17.734 min, t_{major} = 23.561 min, 95% ee).



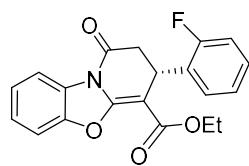
ethyl (R)-1-oxo-3-(3-(trifluoromethyl)phenyl)-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3ao). 34.7 mg, yield 86%, white solid, mp 126.5–127.2 °C, $[\alpha]_D^{24} -201$ (10 mg/mL in CH₂Cl₂).

¹H NMR (400 MHz, CDCl₃) δ 7.98 – 7.96 (m, 1H), 7.53 – 7.49 (m, 2H), 7.43 – 7.37 (m, 2H), 7.35 – 7.32 (m,

1H), 7.28 – 7.20 (m, 2H), 4.45 (dd, J = 8.0, 1.6 Hz, 1H), 4.28 – 4.19 (m, 2H), 3.22 (dd, J = 16.8, 8.0 Hz, 1H), 2.98 (dd, J = 16.8, 2.0 Hz, 1H), 1.27 (t, J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 165.1, 163.7, 154.7, 146.2, 142.0, 130.3, 130.0, 128.5, 125.6, 124.6, 124.3, 123.8, 123.24, 123.20, 122.80, 122.77, 113.8, 109.4, 82.8, 59.4, 38.0, 35.2, 13.3. HRMS (ESI): Exact Mass Calcd for $\text{C}_{21}\text{H}_{17}\text{F}_3\text{NO}_4$ [M+H]: 404.1104, Found: 404.1103. HPLC (Chiralpak OD–H column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 8.784$ min, $t_{\text{major}} = 18.067$ min, 96% ee).

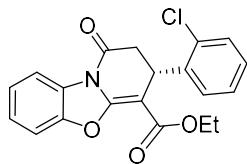


ethyl (R)-3-(3,4-dichlorophenyl)-1-oxo-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3ap). 32.2 mg, yield 80%, white solid, mp 119.7–120.6 °C, $[\alpha]_D^{24} -245$ (10 mg/mL in CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 7.97 – 7.95 (m, 1H), 7.35 – 7.32 (m, 3H), 7.25 – 7.20 (m, 2H), 7.08 (dd, J = 8.4, 2.0 Hz, 1H), 4.35 (dd, J = 8.0, 1.6 Hz, 1H), 4.27 – 4.21 (m, 2H), 3.18 (dd, J = 16.8, 8.0 Hz, 1H), 2.93 (dd, J = 16.8, 2.0 Hz, 1H), 1.29 (t, J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.0, 164.7, 155.7, 147.2, 142.2, 132.9, 131.4, 130.9, 128.8, 126.7, 125.8, 125.7, 124.8, 114.8, 110.4, 83.6, 60.5, 39.0, 35.7, 14.4. HRMS (ESI): Exact Mass Calcd for $\text{C}_{20}\text{H}_{16}\text{Cl}_2\text{NO}_4$ [M+H]: 404.0451, Found: 404.0450. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 20.104$ min, $t_{\text{major}} = 22.161$ min, 94% ee).

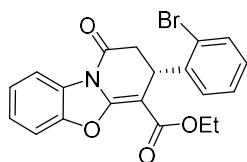


ethyl (S)-3-(2-fluorophenyl)-1-oxo-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3aq). 20.8 mg, yield 59%, yellow solid, mp 113.4–113.9 °C, $[\alpha]_D^{24} -105$ (10 mg/mL in CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 7.98 – 7.95 (m, 1H), 7.35 – 7.33 (m, 1H), 7.26 – 7.13 (m, 4H), 7.07 – 6.99 (m, 2H), 4.67 (dd, J = 8.4, 1.6 Hz, 1H), 4.20 (q, J = 7.2 Hz, 2H), 3.18 (dd, J = 16.8, 8.8 Hz, 1H), 2.96 (dd, J = 16.8, 2.0 Hz, 1H), 1.23 (t, J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.3, 164.7, 156.1, 147.3, 129.0, 128.9, 128.6, 128.4, 127.99, 127.95, 126.8, 125.4, 124.7, 124.32, 124.29, 116.0, 115.8, 114.7, 110.3, 82.5, 60.2, 38.3, 31.0, 14.3. HRMS (ESI): Exact Mass Calcd for $\text{C}_{20}\text{H}_{17}\text{FNO}_4$ [M+H]: 354.1136, Found: 354.1138.

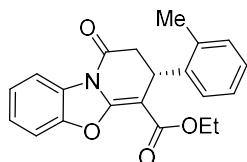
HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 18.115 \text{ min}$, $t_{\text{major}} = 23.237 \text{ min}$, 90% ee).



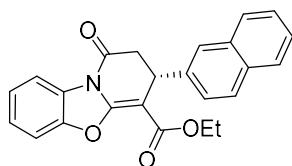
ethyl (S)-3-(2-chlorophenyl)-1-oxo-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3ar). 24.3 mg, yield 66%, pale yellow solid, mp 125.0–125.9 °C, $[\alpha]_D^{25} -78$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.95 (d, *J* = 6.4 Hz, 1H), 7.41 – 7.35 (m, 2H), 7.26 – 7.11 (m, 5H), 4.86 (d, *J* = 7.6 Hz, 1H), 4.19 – 4.14 (m, 2H), 3.17 (dd, *J* = 16.8, 8.4 Hz, 1H), 2.98 (d, *J* = 17.2 Hz, 1H), 1.20 (t, *J* = 6.8 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.1, 164.6, 156.3, 147.3, 138.4, 133.3, 130.3, 128.6, 127.3, 126.9, 126.7, 125.5, 124.7, 114.7, 110.4, 83.2, 60.3, 38.0, 33.6, 14.2. HRMS (ESI): Exact Mass Calcd for C₂₀H₁₇ClNO₄ [M+H]: 370.0841, Found: 370.0844. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 18.760 \text{ min}$, $t_{\text{major}} = 20.915 \text{ min}$, 86% ee).



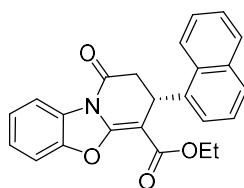
ethyl (S)-3-(2-bromophenyl)-1-oxo-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3as). 31.0 mg, yield 75%, white solid, mp 124.9–125.3 °C, $[\alpha]_D^{25} -121$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.95 (d, *J* = 7.2 Hz, 1H), 7.60 (d, *J* = 7.6 Hz, 1H), 7.36 (d, *J* = 7.2 Hz, 1H), 7.28 – 7.07 (m, 5H), 4.84 (d, *J* = 7.2 Hz, 1H), 4.19 – 4.14 (m, 2H), 3.16 (dd, *J* = 16.8, 8.8 Hz, 1H), 2.97 (d, *J* = 16.4 Hz, 1H), 1.20 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.0, 164.6, 156.3, 147.3, 140.0, 133.7, 128.9, 128.0, 127.0, 126.7, 125.6, 124.7, 123.8, 114.7, 110.4, 83.5, 60.3, 38.1, 36.1, 14.3. HRMS (ESI): Exact Mass Calcd for C₂₀H₁₇BrNO₄ [M+H]: 414.0335, Found: 414.0338. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 20.085 \text{ min}$, $t_{\text{major}} = 22.113 \text{ min}$, 89% ee).



ethyl (*R*)-1-oxo-3-(*o*-tolyl)-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3at). 14.1 mg, yield 40%, white solid, mp 100.9–101.5 °C, $[\alpha]_D^{25} -178$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.97 – 7.95 (m, 1H), 7.34 (d, *J* = 7.2 Hz, 1H), 7.25 – 7.17 (m, 3H), 7.13 – 7.08 (m, 1H), 7.05 – 7.04 (m, 2H), 4.60 (d, *J* = 7.2 Hz, 1H), 4.22 – 4.10 (m, 2H), 3.16 (dd, *J* = 16.4, 8.4 Hz, 1H), 2.76 (dd, *J* = 16.4, 1.2 Hz, 1H), 2.46 (s, 3H), 1.21 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.3, 164.9, 155.9, 147.3, 139.7, 134.8, 131.1, 127.2, 126.8, 126.7, 125.4, 125.0, 124.6, 114.7, 110.3, 84.5, 60.2, 38.7, 32.6, 19.4, 14.3. HRMS (ESI): Exact Mass Calcd for C₂₁H₂₀NO₄ [M+H]: 350.1387, Found: 350.1392. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: t_{minor} = 17.226 min, t_{major} = 24.595 min, 94% ee).

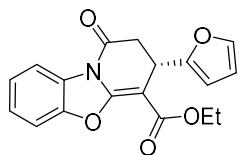


ethyl (*R*)-3-(naphthalen-2-yl)-1-oxo-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3au). 16.2 mg, yield 42%, pale yellow solid, mp 173.1–173.6 °C, $[\alpha]_D^{25} -269$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.97 (d, *J* = 6.0 Hz, 1H), 7.79 – 7.77 (m, 3H), 7.66 (s, 1H), 7.44 – 7.33 (m, 4H), 7.26 – 7.19 (m, 2H), 4.56 (d, *J* = 6.8 Hz, 1H), 4.22 (q, *J* = 5.6 Hz, 2H), 3.24 (dd, *J* = 16.8, 8.0 Hz, 1H), 3.08 (dd, *J* = 16.8, 1.6 Hz, 1H), 1.26 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.7, 165.1, 155.7, 147.4, 139.1, 133.5, 132.7, 128.9, 127.9, 127.6, 126.9, 126.2, 125.8, 125.5, 125.1, 124.69, 124.65, 114.8, 110.3, 84.4, 60.3, 39.3, 36.4, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₄H₂₀NO₄ [M+H]: 386.1387, Found: 386.1387. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: t_{minor} = 32.373 min, t_{major} = 36.768 min, 94% ee).

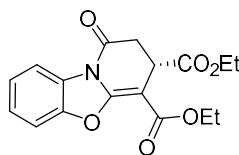


ethyl (*R*)-3-(naphthalen-1-yl)-1-oxo-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3av). 21.5 mg, yield 56%, pale yellow solid, mp 153.6–155.1 °C, $[\alpha]_D^{25} -9$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 8.14 (d, *J* = 8.4 Hz, 1H), 7.92 – 7.88 (m, 2H), 7.77 – 7.70 (m, 1H), 7.62 – 7.58 (m, 1H), 7.54 – 7.50 (m, 1H), 7.38 – 7.36 (m, 1H), 7.33 – 7.27 (m, 2H), 7.25 – 7.19 (m, 2H), 5.25 (d, *J* = 7.2 Hz, 1H),

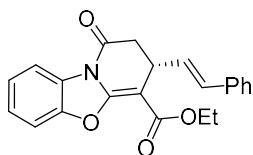
4.21 – 4.08 (m, 2H), 3.30 (dd, J = 16.4, 8.8 Hz, 1H), 3.06 (dd, J = 16.8, 1.6 Hz, 1H), 1.13 (t, J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.3, 164.9, 156.3, 147.4, 136.2, 134.6, 130.5, 129.3, 128.1, 126.8, 126.4, 125.7, 125.49, 125.46, 124.7, 122.7, 122.6, 114.7, 110.3, 83.7, 60.2, 39.0, 32.2, 14.3. HRMS (ESI): Exact Mass Calcd for $\text{C}_{24}\text{H}_{20}\text{NO}_4$ [M+H]: 386.1387, Found: 386.1389. HPLC (Chiralpak AD-H column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: $t_{\text{major}} = 11.888$ min, $t_{\text{minor}} = 15.341$ min, 91% ee).



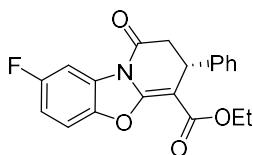
ethyl (S)-3-(furan-2-yl)-1-oxo-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3aw). 11.2 mg, yield 34%, yellow solid, mp 138.7–140.4 °C, $[\alpha]_D^{26} -2$ (5 mg/mL in CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 8.00 – 7.95 (m, 1H), 7.30 – 7.27 (m, 2H), 7.24 – 7.18 (m, 2H), 6.24 – 6.23 (m, 1H), 6.11 (d, J = 3.2 Hz, 1H), 4.45 (d, J = 5.6 Hz, 1H), 4.35 – 4.23 (m, 2H), 3.11 (dd, J = 16.8, 2.0 Hz, 1H), 3.02 (dd, J = 16.8, 7.2 Hz, 1H), 1.34 (t, J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.6, 164.8, 155.7, 154.4, 147.2, 142.1, 126.9, 125.4, 124.6, 114.8, 110.3, 110.2, 105.5, 82.6, 60.3, 36.3, 30.7, 14.5. HRMS (ESI): Exact Mass Calcd for $\text{C}_{18}\text{H}_{16}\text{NO}_5$ [M+H]: 326.1023, Found: 326.1027. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 12.520$ min, $t_{\text{major}} = 18.410$ min, >99% ee).



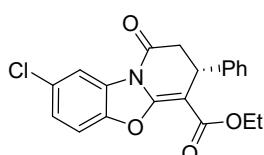
diethyl (S)-1-oxo-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-3,4-dicarboxylate (3ax). 9.9 mg, yield 30%, colorless oil, $[\alpha]_D^{26} -20$ (5 mg/mL in CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 7.99 – 7.95 (m, 1H), 7.32 – 7.27 (m, 1H), 7.25 – 7.19 (m, 2H), 4.38 – 4.24 (m, 2H), 4.19 – 4.11 (m, 2H), 4.02 (dd, J = 7.6, 2.0 Hz, 1H), 3.10 (dd, J = 16.8, 2.0 Hz, 1H), 2.92 (dd, J = 17.2, 7.6 Hz, 1H), 1.35 (t, J = 7.2 Hz, 3H), 1.23 (t, J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 172.2, 165.8, 164.6, 156.2, 147.1, 126.7, 125.5, 124.8, 114.8, 110.3, 80.1, 61.6, 60.4, 37.0, 34.2, 14.5, 14.1. HRMS (ESI): Exact Mass Calcd for $\text{C}_{17}\text{H}_{18}\text{NO}_6$ [M+H]: 332.1129, Found: 332.1132. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 23.353$ min, $t_{\text{major}} = 26.005$ min, 97% ee).



ethyl (*R,E*)-1-oxo-3-styryl-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3ay). 10.1 mg, yield 28%, yellow solid, mp 101.2–102.5 °C, $[\alpha]_D^{26} -7$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.99 – 7.96 (m, 1H), 7.34 – 7.27 (m, 4H), 7.25 – 7.18 (m, 4H), 6.49 (dd, *J* = 16.0, 1.2 Hz, 1H), 6.20 (dd, *J* = 16.0, 6.4 Hz, 1H), 4.38 – 4.26 (m, 2H), 3.97 – 3.93 (m, 1H), 3.02 – 2.90 (m, 2H), 1.36 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 167.0, 164.9, 155.0, 147.3, 136.6, 129.8, 128.5, 128.0, 127.6, 126.9, 126.4, 125.4, 124.6, 114.8, 110.2, 83.6, 60.3, 36.9, 33.6, 14.5. HRMS (ESI): Exact Mass Calcd for C₂₂H₂₀NO₄ [M+H]: 362.1387, Found: 362.1389. HPLC (Chiralpak AD–H column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: t_{major} = 8.918 min, t_{minor} = 10.061 min, 29% ee).

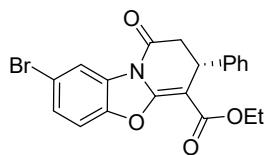


ethyl (*R*)-8-fluoro-1-oxo-3-phenyl-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3az). 15.5 mg, yield 44%, pale yellow solid, mp 105.2–106.0 °C, $[\alpha]_D^{26} -142$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.72 (dd, *J* = 8.0, 2.8 Hz, 1H), 7.30 – 7.20 (m, 6H), 6.92 (dt, *J* = 6.4, 2.8 Hz, 1H), 4.39 (dd, *J* = 8.0, 1.6 Hz, 1H), 4.23 (q, *J* = 7.2 Hz, 2H), 3.17 (dd, *J* = 16.8, 8.0 Hz, 1H), 2.98 (dd, *J* = 16.8, 2.0 Hz, 1H), 1.26 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.6, 164.8, 160.7, 158.3, 156.0, 143.37, 143.35, 141.7, 129.0, 127.6, 127.43, 127.36, 126.4, 111.7, 111.5, 110.6, 110.5, 103.5, 103.2, 85.1, 60.4, 39.2, 36.2, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₀H₁₇FNO₄ [M+H]: 354.1136, Found: 354.1135. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: t_{minor} = 19.719 min, t_{major} = 38.627 min, 93% ee).

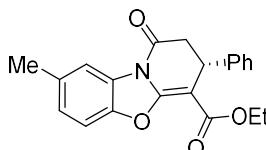


ethyl (*R*)-8-chloro-1-oxo-3-phenyl-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3ba). 20.0 mg, yield 54%, white solid, mp 162.9–171.8 °C, $[\alpha]_D^{26} -203$ (10 mg/mL in CH₂Cl₂). ¹H NMR

(400 MHz, CDCl₃) δ 7.98 (d, *J* = 1.6 Hz, 1H), 7.30 – 7.18 (m, 7H), 4.39 (d, *J* = 7.6 Hz, 1H), 4.23 (q, *J* = 7.2 Hz, 2H), 3.17 (dd, *J* = 16.8, 8.0 Hz, 1H), 2.98 (dd, *J* = 16.8, 1.6 Hz, 1H), 1.26 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.5, 164.7, 155.4, 145.9, 141.5, 130.0, 128.9, 127.7, 127.4, 126.3, 125.3, 115.1, 110.9, 85.2, 60.4, 39.2, 36.2, 14.3. HRMS (ESI): Exact Mass Calcd for C₂₀H₁₇ClNO₄ [M+H]: 370.0841, Found: 370.0840. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: t_{minor} = 21.954 min, t_{major} = 44.002 min, 87% ee).

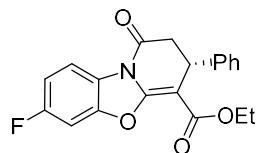


ethyl (R)-8-bromo-1-oxo-3-phenyl-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3bb). 23.5 mg, yield 57%, white solid, mp 182.1–182.9 °C, [α]_D²⁷ −181 (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 8.12 (d, *J* = 1.2 Hz, 1H), 7.35 (dd, *J* = 8.8, 1.6 Hz, 1H), 7.30 – 7.26 (m, 2H), 7.24 – 7.22 (m, 3H), 7.17 (d, *J* = 8.8 Hz, 1H), 4.38 (d, *J* = 7.2 Hz, 1H), 4.22 (q, *J* = 7.2 Hz, 2H), 3.16 (dd, *J* = 16.8, 8.0 Hz, 1H), 2.98 (dd, *J* = 16.8, 1.2 Hz, 1H), 1.26 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.6, 164.8, 155.3, 146.5, 141.6, 129.0, 128.2, 128.1, 127.4, 126.4, 117.9, 117.1, 111.4, 85.3, 60.4, 39.2, 36.2, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₀H₁₇BrNO₄ [M+H]: 414.0335, Found: 414.0334. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: t_{minor} = 14.847 min, t_{major} = 26.552 min, 87% ee).

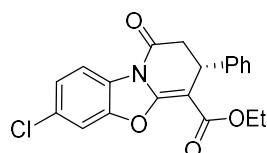


ethyl (R)-8-methyl-1-oxo-3-phenyl-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3bc). 15.4 mg, yield 44%, white solid, mp 133.0–133.9 °C, [α]_D²⁷ −176 (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.80 (s, 1H), 7.29 – 7.18 (m, 6H), 7.02 (d, *J* = 8.0 Hz, 1H), 4.37 (dd, *J* = 8.0, 1.2 Hz, 1H), 4.22 (q, *J* = 7.2 Hz, 2H), 3.16 (dd, *J* = 16.8, 8.0 Hz, 1H), 2.96 (dd, *J* = 16.8, 2.0 Hz, 1H), 2.40 (s, 3H), 1.26 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.8, 165.1, 155.9, 145.4, 142.0, 134.8, 128.9, 127.2, 126.8, 126.5, 125.7, 115.2, 109.7, 84.4, 60.2, 39.4, 36.3, 21.4, 14.4. HRMS (ESI): Exact Mass Calcd for

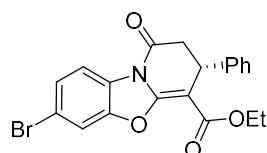
$C_{21}H_{20}NO_4$ [M+H]: 350.1387, Found: 350.1390. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 23.994$ min, $t_{\text{major}} = 57.284$ min, 94% ee).



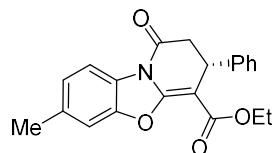
ethyl (R)-7-fluoro-1-oxo-3-phenyl-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3bd). 19.8 mg, yield 56%, white solid, mp 136.7–137.4 °C, $[\alpha]_D^{27} -54$ (10 mg/mL in CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 7.90 (dd, $J = 8.8, 4.8$ Hz, 1H), 7.30 – 7.20 (m, 5H), 7.07 (dd, $J = 7.6, 2.4$ Hz, 1H), 6.92 (dt, $J = 9.2, 2.4$ Hz, 1H), 4.39 (dd, $J = 8.0, 1.6$ Hz, 1H), 4.23 (q, $J = 7.2$ Hz, 2H), 3.16 (dd, $J = 16.8, 8.0$ Hz, 1H), 2.97 (dd, $J = 16.8, 1.6$ Hz, 1H), 1.27 (t, $J = 7.2$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.5, 164.8, 161.5, 159.0, 155.7, 147.9, 147.8, 141.7, 128.9, 127.4, 126.4, 123.4, 123.3, 115.0, 114.9, 111.1, 110.9, 99.7, 99.4, 85.3, 60.4, 39.1, 36.3, 14.4. HRMS (ESI): Exact Mass Calcd for $C_{20}H_{17}FNO_4$ [M+H]: 354.1136, Found: 354.1139. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 11.732$ min, $t_{\text{major}} = 13.815$ min, 95% ee).



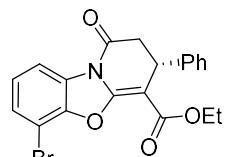
ethyl (R)-7-chloro-1-oxo-3-phenyl-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3be). 23.2 mg, yield 63%, white solid, mp 94.0–94.7 °C, $[\alpha]_D^{27} -96$ (10 mg/mL in CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 7.87 (d, $J = 8.4$ Hz, 1H), 7.31 – 7.27 (m, 3H), 7.24 – 7.17 (m, 4H), 4.39 (dd, $J = 8.0, 1.6$ Hz, 1H), 4.23 (q, $J = 7.2$ Hz, 2H), 3.16 (dd, $J = 16.8, 8.0$ Hz, 1H), 2.97 (dd, $J = 16.8, 2.0$ Hz, 1H), 1.27 (t, $J = 7.2$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.5, 164.8, 155.3, 147.8, 141.6, 130.9, 129.0, 127.4, 126.4, 125.8, 124.7, 115.1, 111.2, 85.3, 60.4, 39.2, 36.3, 14.4. HRMS (ESI): Exact Mass Calcd for $C_{20}H_{17}ClNO_4$ [M+H]: 370.0841, Found: 370.0847. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 13.469$ min, $t_{\text{major}} = 15.732$ min, 91% ee).



ethyl (R)-7-bromo-1-oxo-3-phenyl-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3bf). 22.7 mg, yield 55%, pale yellow solid, mp 101.7–102.3 °C, $[\alpha]_D^{27} -68$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.81 (d, *J* = 8.4 Hz, 1H), 7.45 (d, *J* = 1.6 Hz, 1H), 7.33 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.30 – 7.27 (m, 2H), 7.26 – 7.20 (m, 3H), 4.38 (dd, *J* = 8.0, 2.0 Hz, 1H), 4.22 (q, *J* = 7.2 Hz, 2H), 3.15 (dd, *J* = 16.8, 8.0 Hz, 1H), 2.97 (dd, *J* = 16.8, 2.0 Hz, 1H), 1.26 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.6, 164.8, 155.2, 147.9, 141.6, 129.0, 127.6, 127.4, 126.4, 126.3, 117.9, 115.5, 113.9, 85.3, 60.4, 39.2, 36.3, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₀H₁₇BrNO₄ [M+H]: 414.0335, Found: 414.0341. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: t_{minor} = 15.216 min, t_{major} = 18.075 min, 91% ee).

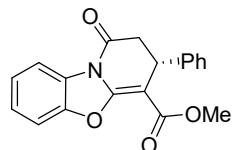


ethyl (R)-7-methyl-1-oxo-3-phenyl-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3bg). 19.3 mg, yield 55%, yellow solid, mp 119.5–120.2 °C, $[\alpha]_D^{27} -36$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.82 (d, *J* = 8.0 Hz, 1H), 7.29 – 7.26 (m, 1H), 7.25 – 7.19 (m, 4H), 7.13 (s, 1H), 7.01 (d, *J* = 7.6 Hz, 1H), 4.37 (dd, *J* = 8.0, 2.0 Hz, 1H), 4.22 (q, *J* = 7.2 Hz, 2H), 3.16 (dd, *J* = 16.8, 8.0 Hz, 1H), 2.96 (dd, *J* = 16.8, 2.0 Hz, 1H), 2.42 (s, 3H), 1.27 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 166.6, 165.1, 155.8, 147.5, 142.0, 136.0, 128.9, 127.2, 126.5, 125.0, 124.5, 114.2, 110.9, 84.4, 60.2, 39.3, 36.3, 21.6, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₁H₂₀NO₄ [M+H]: 350.1387, Found: 350.1386. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: t_{minor} = 16.425 min, t_{major} = 21.803 min, 96% ee).

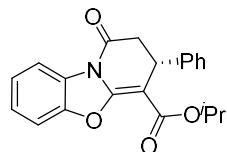


ethyl (R)-6-bromo-1-oxo-3-phenyl-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (3bh). 22.7 mg, yield 55%, yellow oil, $[\alpha]_D^{28} -39$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 7.89 (d, *J* = 8.0 Hz, 1H), 7.36 (d, *J* = 8.0 Hz, 1H), 7.30 – 7.28 (m, 2H), 7.25 – 7.20 (m, 3H), 7.09 (t, *J* = 8.0 Hz, 1H), 4.40 (dd, *J* = 7.6, 1.6 Hz, 1H), 4.26 (q, *J* = 7.2 Hz, 2H), 3.16 (dd, *J* = 16.8, 7.6 Hz, 1H), 2.99 (dd, *J* =

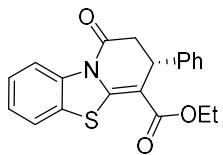
16.8, 2.0 Hz, 1H), 1.34 (t, J = 7.2 Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.8, 164.9, 154.6, 145.4, 141.5, 129.0, 128.6, 127.9, 127.4, 126.4, 125.8, 113.5, 102.4, 85.6, 60.5, 39.2, 36.1, 14.3. HRMS (ESI): Exact Mass Calcd for $\text{C}_{20}\text{H}_{17}\text{BrNO}_4$ [M+H]: 414.0335, Found: 414.0334. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: $t_{\text{major}} = 10.022$ min, $t_{\text{minor}} = 11.737$ min, 84% ee).



methyl (R)-1-oxo-3-phenyl-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3bi). 20.1 mg, yield 63%, white solid, mp 199.3–200.4 °C, $[\alpha]_D^{28} -162$ (10 mg/mL in CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 7.98 – 7.96 (m, 1H), 7.34 – 7.32 (m, 3H), 7.31 – 7.19 (m, 5H), 4.39 (dd, J = 8.0, 1.6 Hz, 1H), 3.78 (s, 3H), 3.17 (dd, J = 16.8, 8.0, 1H), 2.98 (dd, J = 16.8, 1.6 Hz, 1H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.7, 165.5, 155.7, 147.3, 141.7, 129.0, 127.3, 126.9, 126.4, 125.5, 124.7, 114.8, 110.3, 84.2, 51.6, 39.4, 36.3. HRMS (ESI): Exact Mass Calcd for $\text{C}_{19}\text{H}_{16}\text{NO}_4$ [M+H]: 322.1074, Found: 322.1079. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 14.080$ min, $t_{\text{major}} = 18.031$ min, 89% ee).



isopropyl (R)-1-oxo-3-phenyl-2,3-dihydro-1H-benzo[4,5]oxazolo[3,2-a]pyridine-4-carboxylate (3bj). 12.4 mg, yield 37%, white solid, mp 130.7–131.4 °C, $[\alpha]_D^{28} -134$ (10 mg/mL in CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 7.98 – 7.96 (m, 1H), 7.32 – 7.27 (m, 2H), 7.25 – 7.18 (m, 6H), 5.13 – 5.04 (m, 1H), 4.36 (dd, J = 8.0, 2.0 Hz, 1H), 3.18 (dd, J = 16.8, 8.0 Hz, 1H), 2.97 (dd, J = 16.8, 2.0 Hz, 1H), 1.29 (d, J = 6.0 Hz, 3H), 1.15 (d, J = 6.4 Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 166.7, 164.5, 155.4, 147.3, 142.1, 128.8, 127.2, 126.8, 126.5, 125.4, 124.6, 114.7, 110.3, 85.0, 67.6, 39.3, 36.3, 22.1, 21.8. HRMS (ESI): Exact Mass Calcd for $\text{C}_{21}\text{H}_{20}\text{NO}_4$ [M+H]: 350.1387, Found: 350.1390. HPLC (Chiralpak IG column, *n*-hexane/*i*-PrOH = 90/10, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 16.314$ min, $t_{\text{major}} = 21.889$ min, 94% ee).

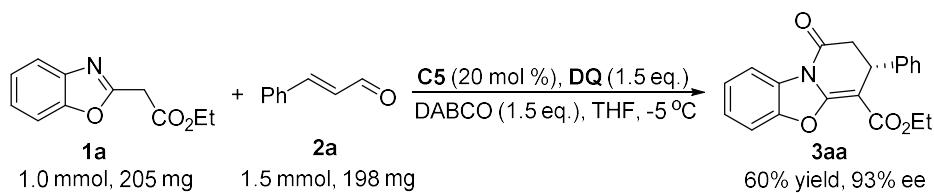


ethyl (R)-1-oxo-3-phenyl-2,3-dihydro-1H-benzo[4,5]thiazolo[3,2-a]pyridine-4-carboxylate (3bk). 27.2 mg, yield 77%, pale yellow solid, mp 117.9–118.9 °C, $[\alpha]_D^{28} -184$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 8.44 (d, *J* = 8.8 Hz, 1H), 7.44 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.31 – 7.28 (m, 1H), 7.26 – 7.19 (m, 6H), 4.33 (dd, *J* = 8.0, 1.6 Hz, 1H), 4.29 – 4.15 (m, 2H), 3.24 (dd, *J* = 16.4, 8.0 Hz, 1H), 3.02 (dd, *J* = 16.4, 2.0 Hz, 1H), 1.24 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 168.3, 166.6, 152.3, 141.5, 136.8, 128.9, 127.3, 127.0, 126.6, 126.5, 125.5, 121.4, 117.4, 100.4, 60.7, 40.2, 36.8, 14.4. HRMS (ESI): Exact Mass Calcd for C₂₀H₁₈NO₃S [M+H]: 352.1002, Found: 352.1004. HPLC (Chiraldak IG column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: t_{minor} = 11.595 min, t_{major} = 31.216 min, 82% ee).



ethyl (R)-8-chloro-1-oxo-3-phenyl-2,3-dihydro-1H-benzo[4,5]thiazolo[3,2-a]pyridine-4-carboxylate (3bl). 32.4 mg, yield 84%, pale yellow solid, mp 156.6–157.4 °C, $[\alpha]_D^{28} -257$ (10 mg/mL in CH₂Cl₂). ¹H NMR (400 MHz, CDCl₃) δ 8.40 (d, *J* = 1.6 Hz, 1H), 7.27 (d, *J* = 8.4 Hz, 1H), 7.22 – 7.10 (m, 6H), 4.26 (d, *J* = 6.8 Hz, 1H), 4.22 – 4.07 (m, 2H), 3.17 (dd, *J* = 16.4, 8.0 Hz, 1H), 2.96 (dd, *J* = 16.4, 1.6 Hz, 1H), 1.16 (t, *J* = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 168.1, 166.5, 152.1, 141.2, 137.6, 132.5, 129.0, 127.4, 126.5, 125.61, 125.58, 122.0, 117.8, 101.0, 60.9, 40.0, 36.7, 14.3. HRMS (ESI): Exact Mass Calcd for C₂₀H₁₇ClNO₃S [M+H]: 386.0612, Found: 386.0610. HPLC (Chiraldak IG column, *n*-hexane/*i*-PrOH = 80/20, flow rate = 1.0 mL/min, retention time: t_{minor} = 11.463 min, t_{major} = 35.195 min, 85% ee).

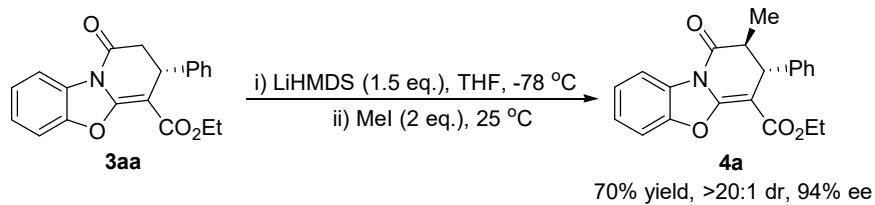
3. Scale-up synthesis of product 3aa



A dried and nitrogen-filled Schlenk tube was charged with ethyl 2-(benzo[d]oxazol-2-yl)acetate (**1a**) (1 mmol, 205 mg), cinnamaldehyde (**2a**) (1.5 mmol, 198 mg), NHC precatalyst **C5** (0.2 mmol, 99 mg), DABCO

(1.5 mmol, 168 mg) and DQ (1.5 mmol, 612 mg) in dry THF (2 mL). The reaction mixture was stirred at -5°C until the consumption of substrate **1a** as monitored by TLC. The solvent was removed in vacuo and the residue was purified by chromatography on silica gel using petroleum ether/EtOAc (10:1) as eluent to afford the product **3aa** (201 mg, 60% yield, 93% ee).

4. Synthetic transformations of product **3aa**



To a stirred solution of **3aa** (33.5 mg, 0.1 mmol) in anhydrous THF (1 mL) at -78°C was added LiHMDS (1 M in THF, 0.15 mL, 0.15 mmol), and the solution was stirred for 2 h. The iodomethane (28.4 mg, 0.2 mmol) was added dropwise. After that, the temperature of the reaction mixture was slowly raised to room temperature and continued to stir for 20 h at room temperature. After completion of the reaction monitored by TLC, H_2O (1 mL) was added. The organic layer was collected, and the aqueous layer was extracted with EtOAc (10 mL \times 3). The combined organic phase was washed with brine, dried over MgSO_4 , filtered, and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel using petroleum ether/ethyl acetate (10:1) as eluent to afford product **4a**.

ethyl (2S,3R)-2-methyl-1-oxo-3-phenyl-2,3-dihydro-1*H*-benzo[4,5]oxazolo[3,2-*a*]pyridine-4-carboxylate (4a). 24.5 mg, 70%. white solid, mp 111.6–112.5 °C, $[\alpha]_D^{28} -232$ (10 mg/mL in CH_2Cl_2). ^1H NMR (400 MHz, CDCl_3) δ 7.99 – 7.96 (m, 1H), 7.34 – 7.32 (m, 1H), 7.28 – 7.18 (m, 7H), 4.28 – 4.16 (m, 2H), 4.03 (d, $J = 1.6$ Hz, 1H), 3.02 – 2.97 (m, 1H), 1.45 (d, $J = 7.6$ Hz, 3H), 1.26 (t, $J = 7.2$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 170.4, 165.5, 154.5, 147.5, 142.1, 128.9, 127.2, 127.0, 126.5, 125.5, 124.6, 114.8, 110.3, 82.6, 60.3, 44.6, 44.3, 18.1, 14.4. HRMS (ESI): Exact Mass Calcd for $\text{C}_{21}\text{H}_{20}\text{NO}_4$ [M+H]: 350.1387, Found: 350.1390. HPLC (Chiralpak OD–H column, *n*-hexane/*i*-PrOH = 95/5, flow rate = 1.0 mL/min, retention time: $t_{\text{minor}} = 9.720$ min, $t_{\text{major}} = 11.993$ min, 94% ee).

5. Single crystal XRD data of compound 3aa

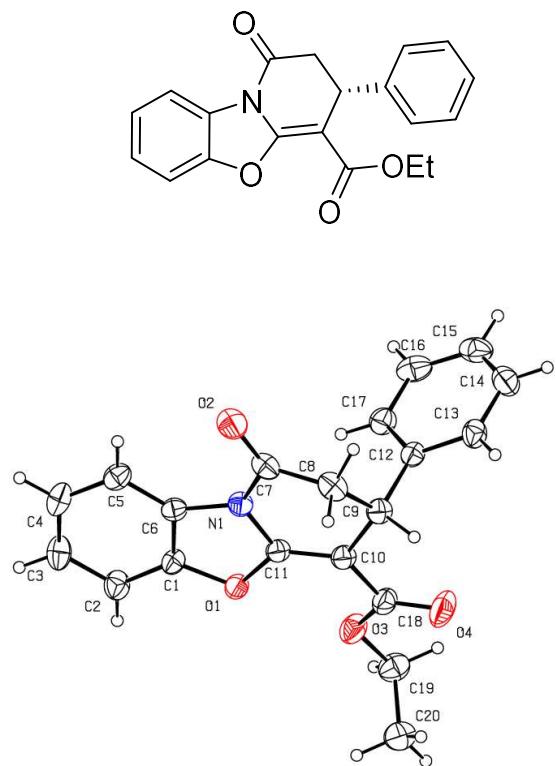


Figure 1. X-ray crystallography of compound 3aa

Crystal data and structure refinement for 3aa

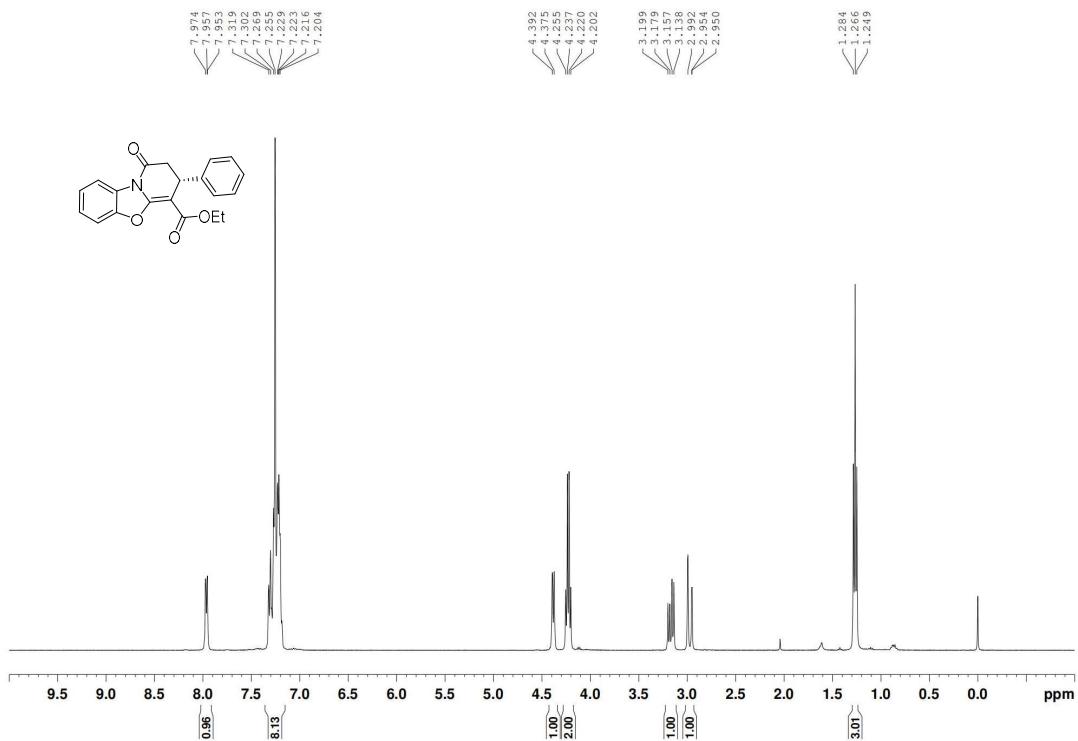
Identification code	3aa
Empirical formula	C ₂₀ H ₁₇ NO ₄
Formula weight	335.35
Temperature/K	292.97(10)
Crystal system	Monoclinic
Space group	P2 ₁
a/Å	10.2921(7)
b/Å	5.9894(4)
c/Å	13.4049(8)
α/°	90
β/°	97.129(6)
γ/°	90
Volume/Å ³	819.94(9)
Z	2
ρ _{calc} g/cm ³	1.358
μ/mm ⁻¹	0.780
F(000)	352.0
Crystal size/mm ³	0.16 × 0.05 × 0.03
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	8.658 to 133.162
Index ranges	-12 ≤ h ≤ 11, -7 ≤ k ≤ 7, -15 ≤ l ≤ 11
Reflections collected	6045
Independent reflections	2896 [R _{int} = 0.0352, R _{sigma} = 0.0350]
Data/restraints/parameters	2896/19/228
Goodness-of-fit on F ²	1.035
Final R indexes [I>=2σ (I)]	R ₁ = 0.0486, wR ₂ = 0.1322
Final R indexes [all data]	R ₁ = 0.0505, wR ₂ = 0.1352
Largest diff. peak/hole / e Å ⁻³	0.49/-0.24
Flack parameter	-0.04(16)

6. References

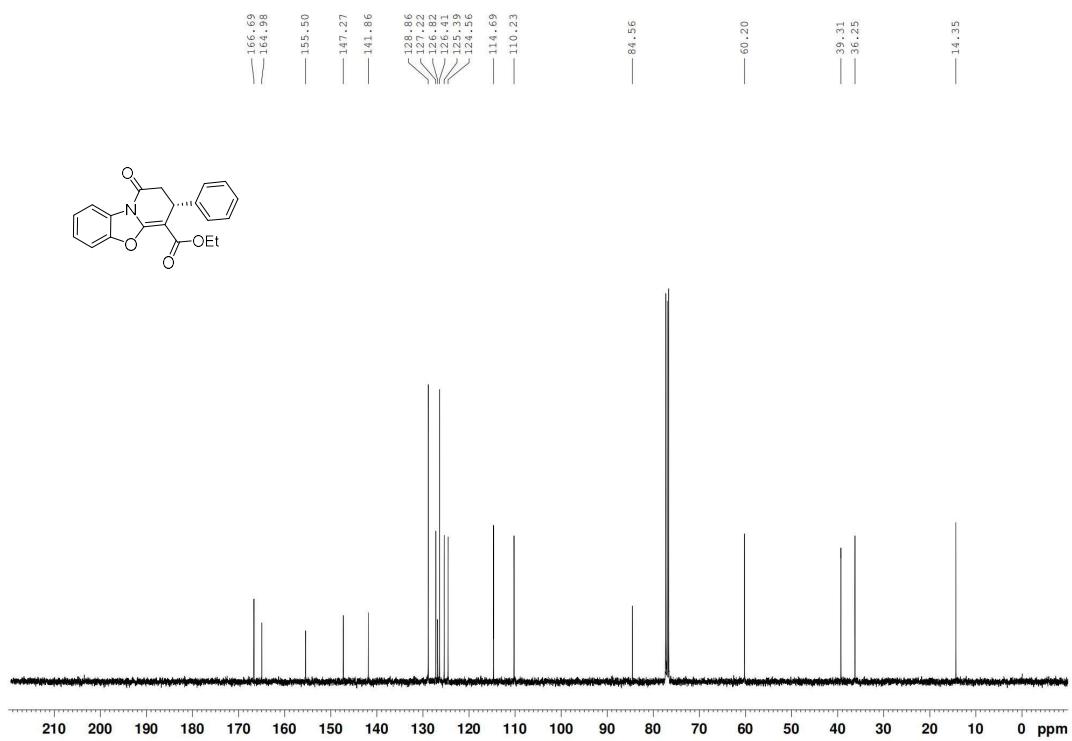
- (1) Zhao, C.; Li, F.; Wang, J. *Angew. Chem., Int. Ed.* **2016**, *55*, 1820–1824.
- (2) (a) Waetzig, S. R.; Tunge, J. A. *J. Am. Chem. Soc.* **2007**, *129*, 4138–4139; (b) Shang, R.; Yang, Z.-W.; Wang, Y.; Zhang, S.-L.; Liu, L. *J. Am. Chem. Soc.* **2010**, *132*, 14391–14391.
- (3) Kulhánek, J.; Bureš, S.; Pytela, O.; Mikysek, T.; Ludvík, J. *Chem. Asian J.* **2011**, *6*, 1604–1612.

7. NMR spectra of the compounds 3aa–3bl and 4a

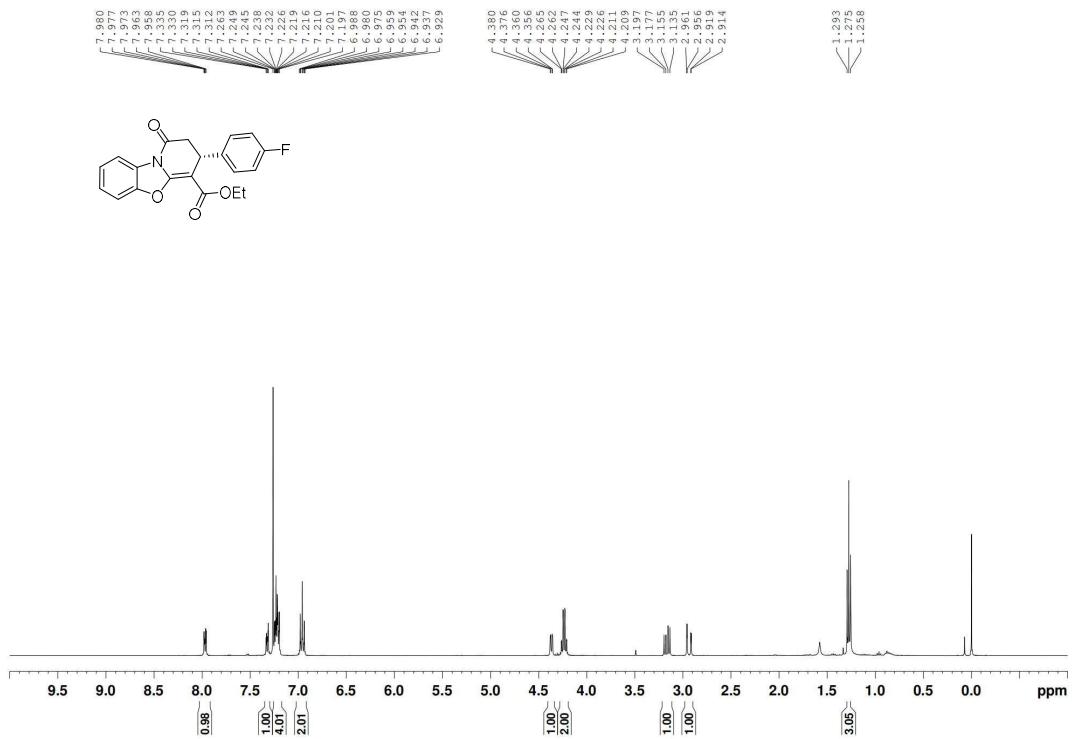
¹H NMR spectrum of compound 3aa (CDCl₃, 400 MHz)



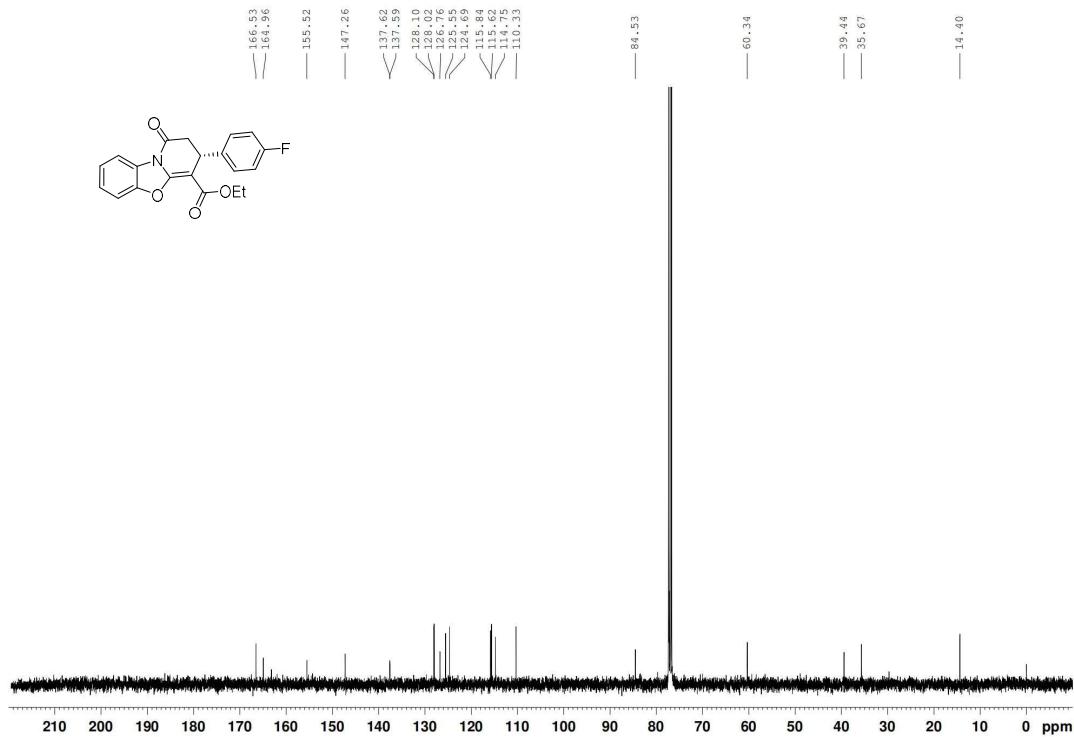
¹³C NMR spectrum of compound 3aa (CDCl₃, 100 MHz)



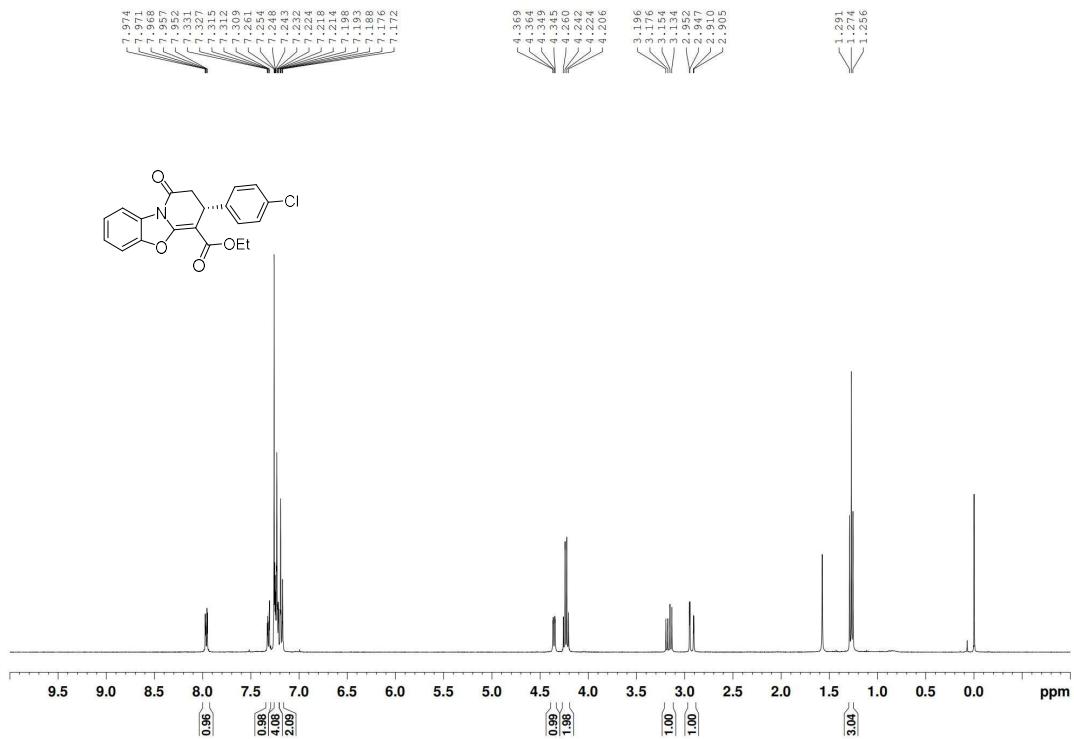
¹H NMR spectrum of compound **3ab** (CDCl₃, 400 MHz)



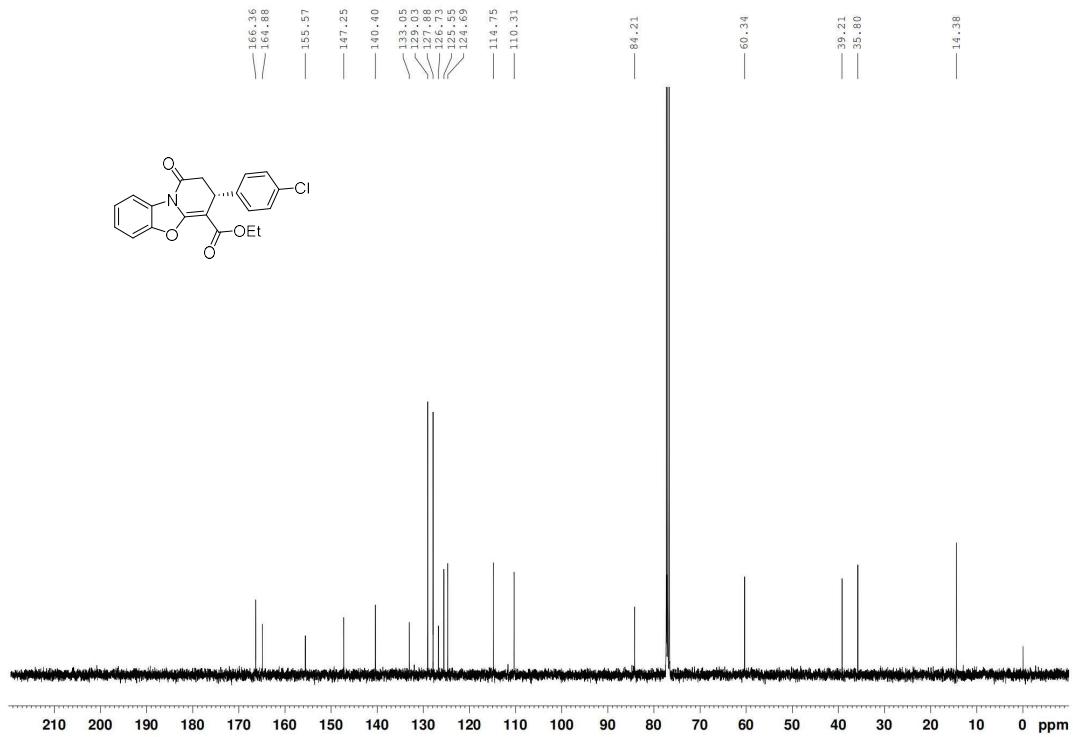
¹³C NMR spectrum of compound **3ab** (CDCl₃, 100 MHz)



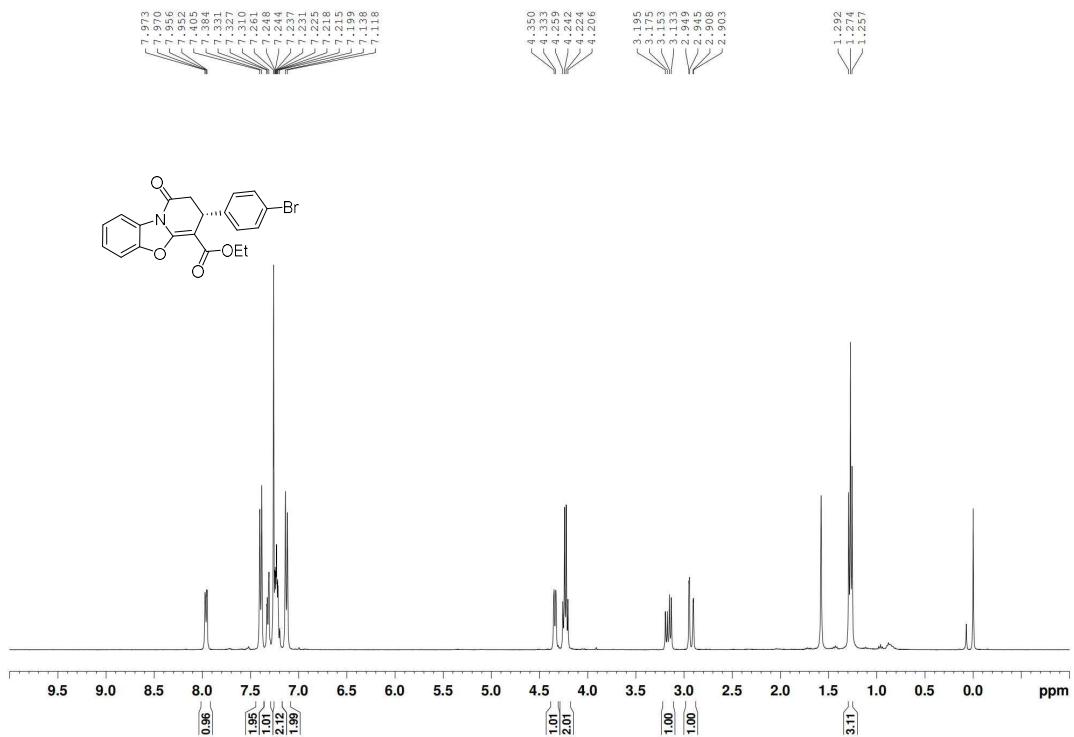
¹H NMR spectrum of compound **3ac** (CDCl₃, 400 MHz)



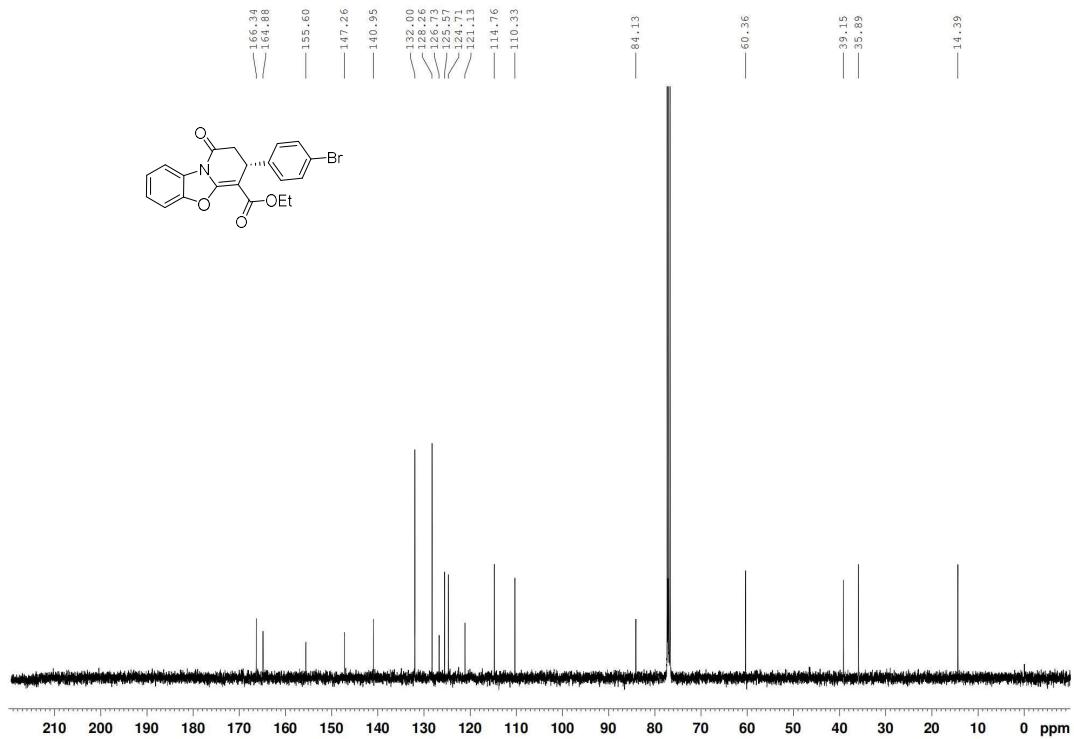
¹³C NMR spectrum of compound **3ac** (CDCl₃, 100 MHz)



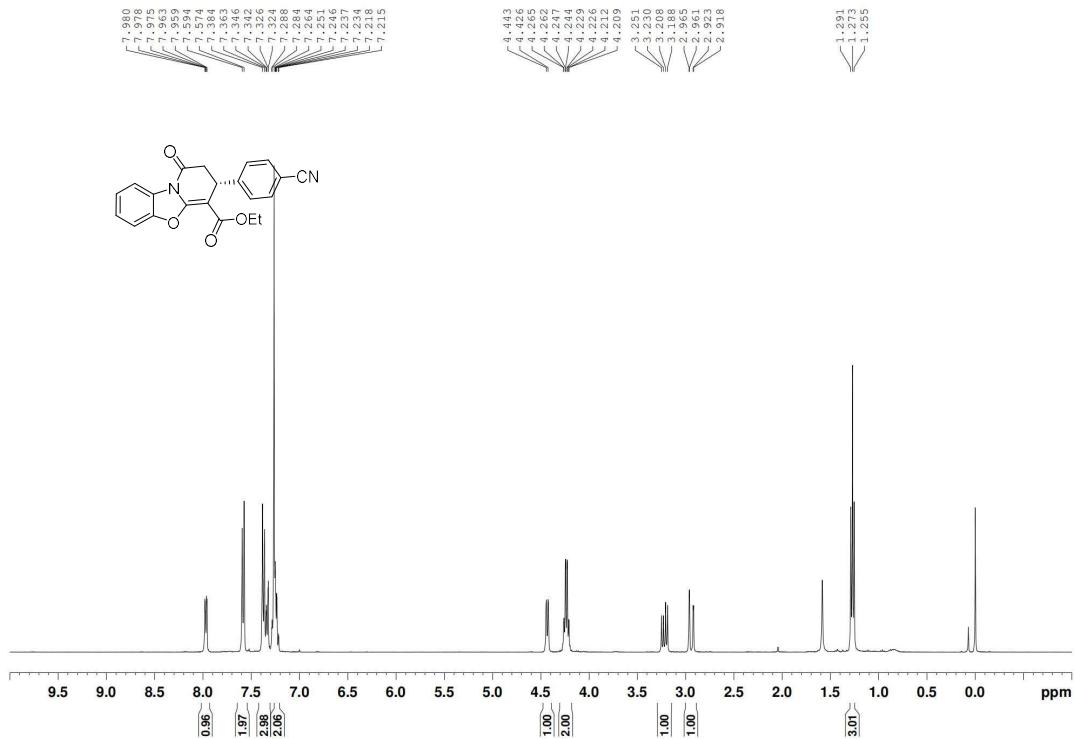
¹H NMR spectrum of compound **3ad** (CDCl_3 , 400 MHz)



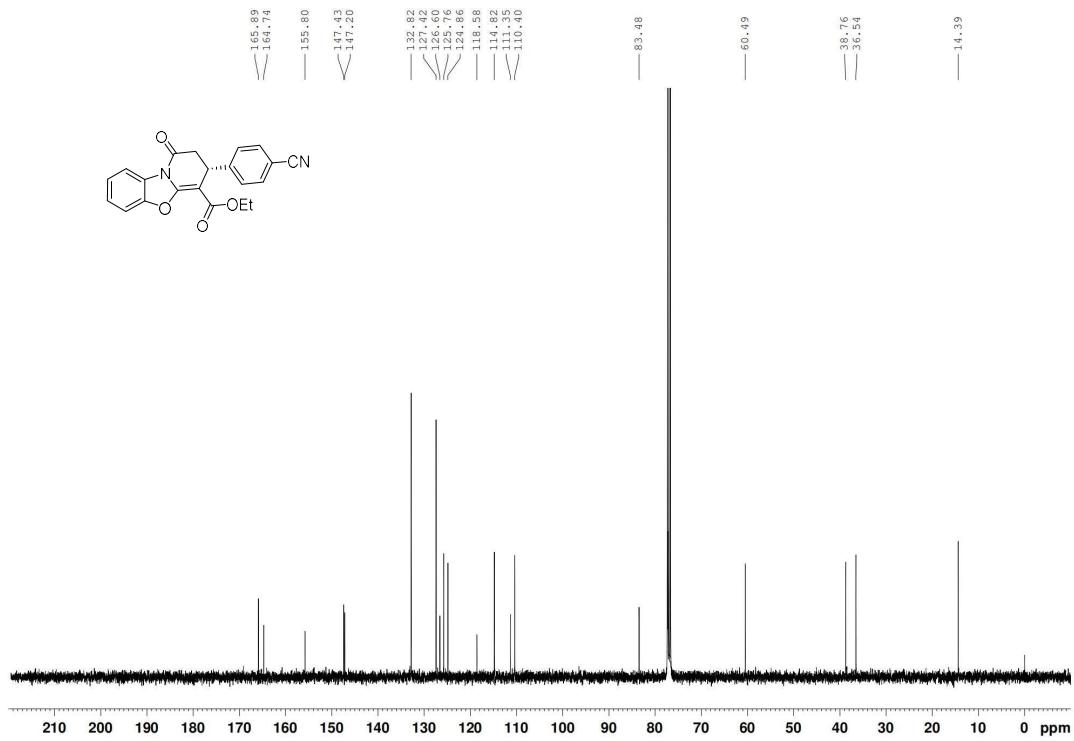
¹³C NMR spectrum of compound **3ad** (CDCl_3 , 100 MHz)



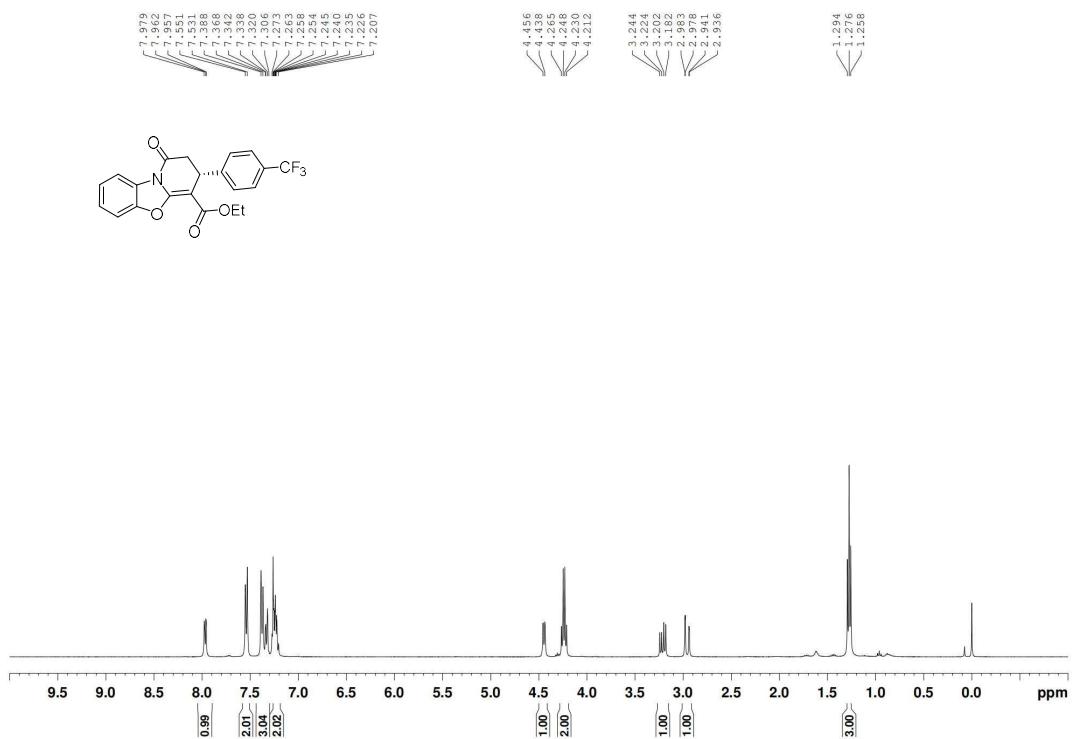
¹H NMR spectrum of compound **3ae** (CDCl_3 , 400 MHz)



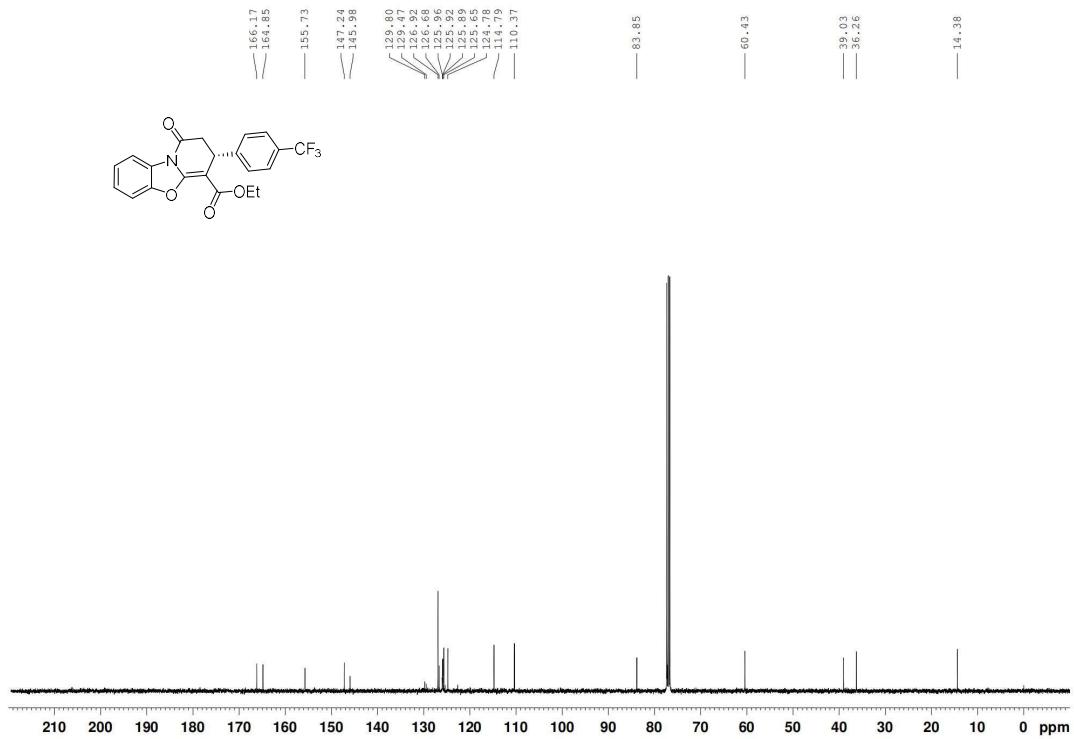
¹³C NMR spectrum of compound **3ae** (CDCl_3 , 100 MHz)



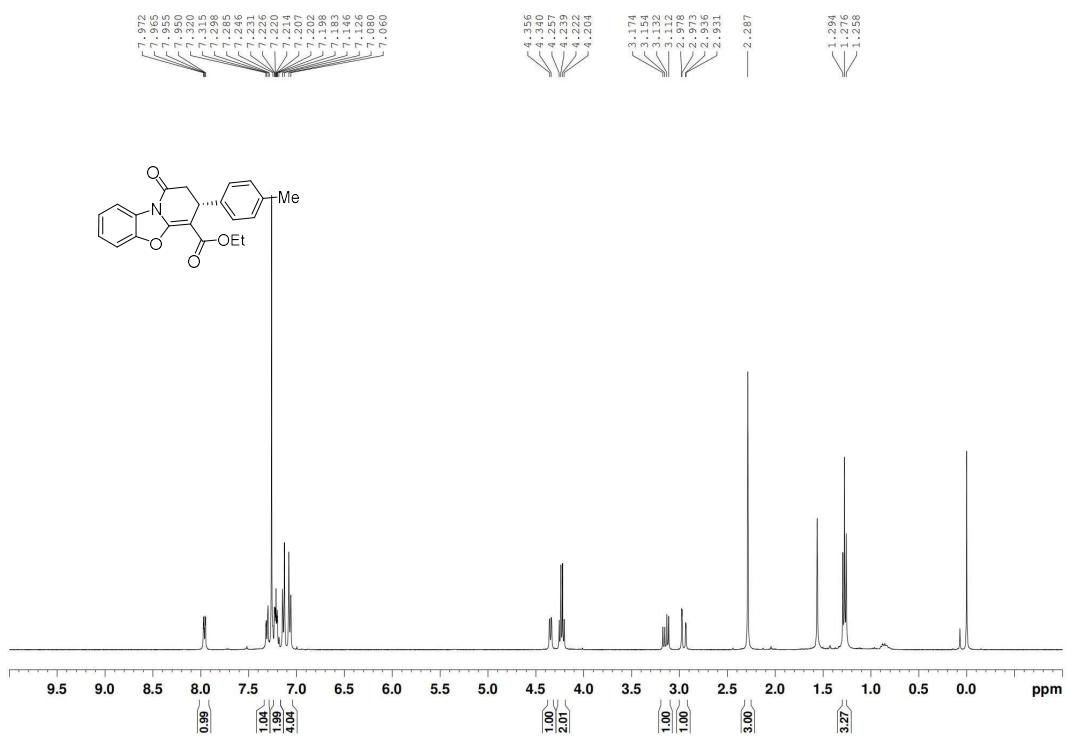
¹H NMR spectrum of compound **3af** (CDCl₃, 400 MHz)



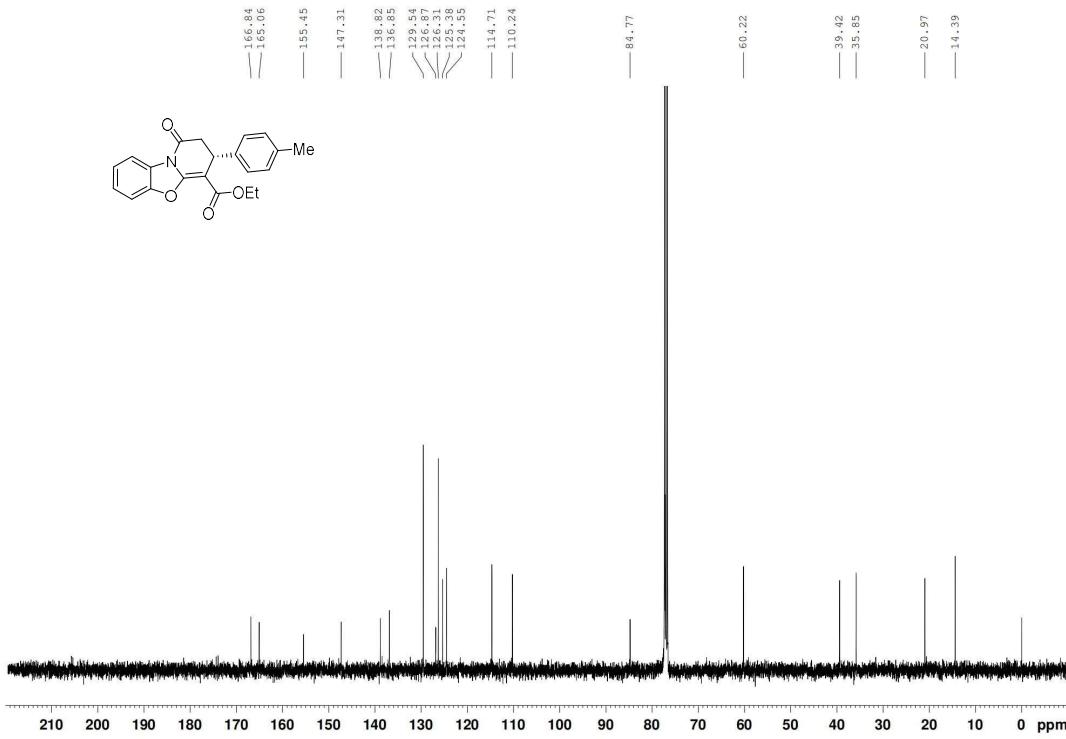
¹³C NMR spectrum of compound **3af** (CDCl₃, 100 MHz)



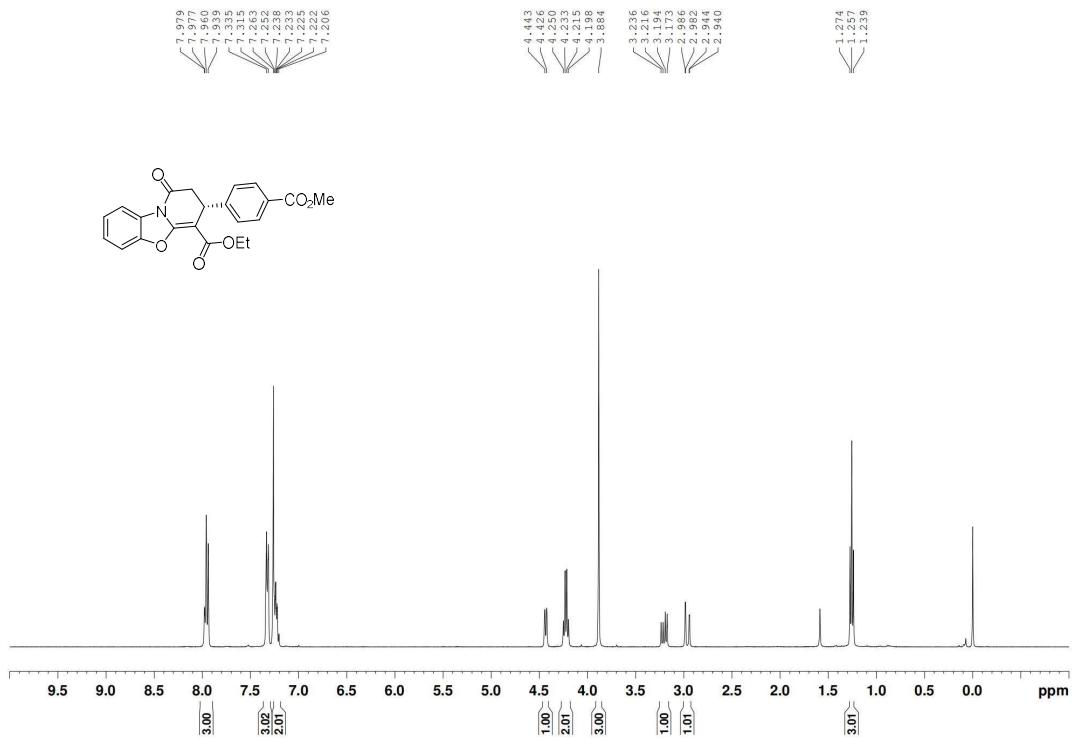
¹H NMR spectrum of compound **3ag** (CDCl₃, 400 MHz)



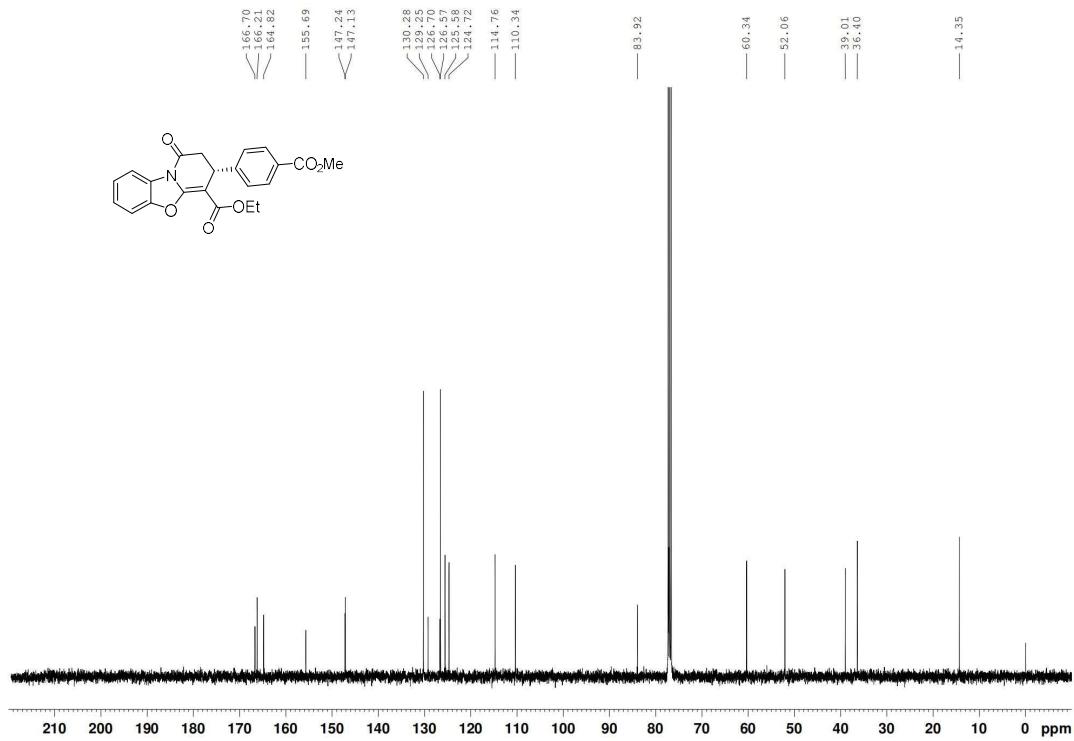
¹³C NMR spectrum of compound **3ag** (CDCl₃, 100 MHz)



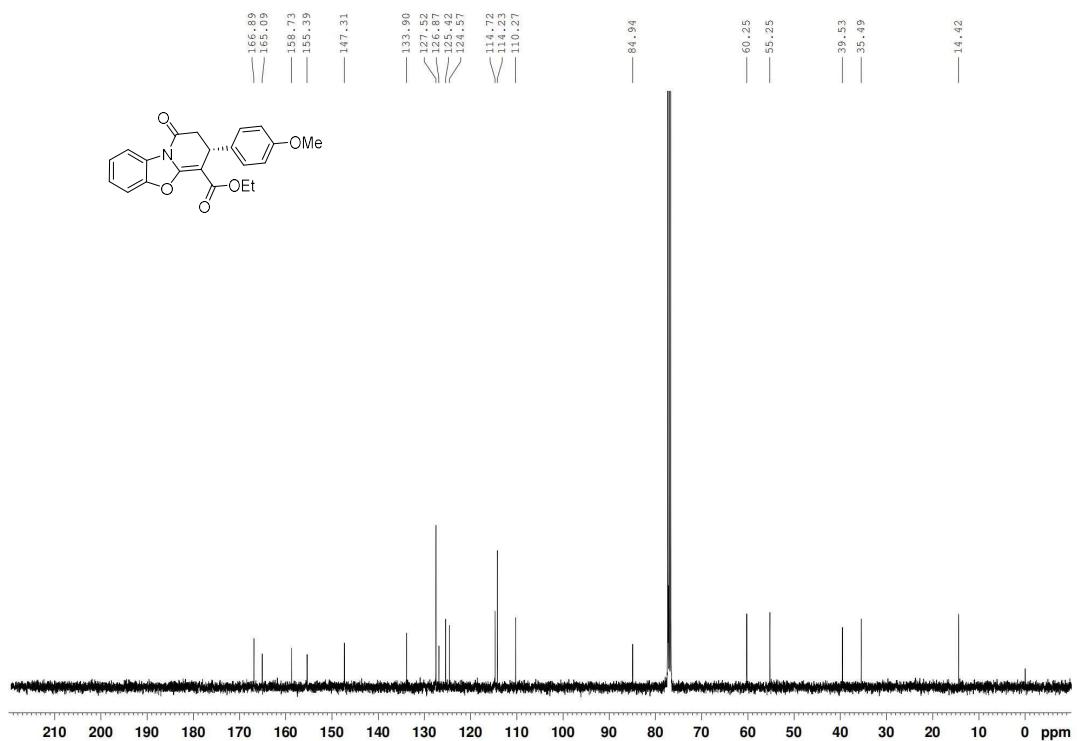
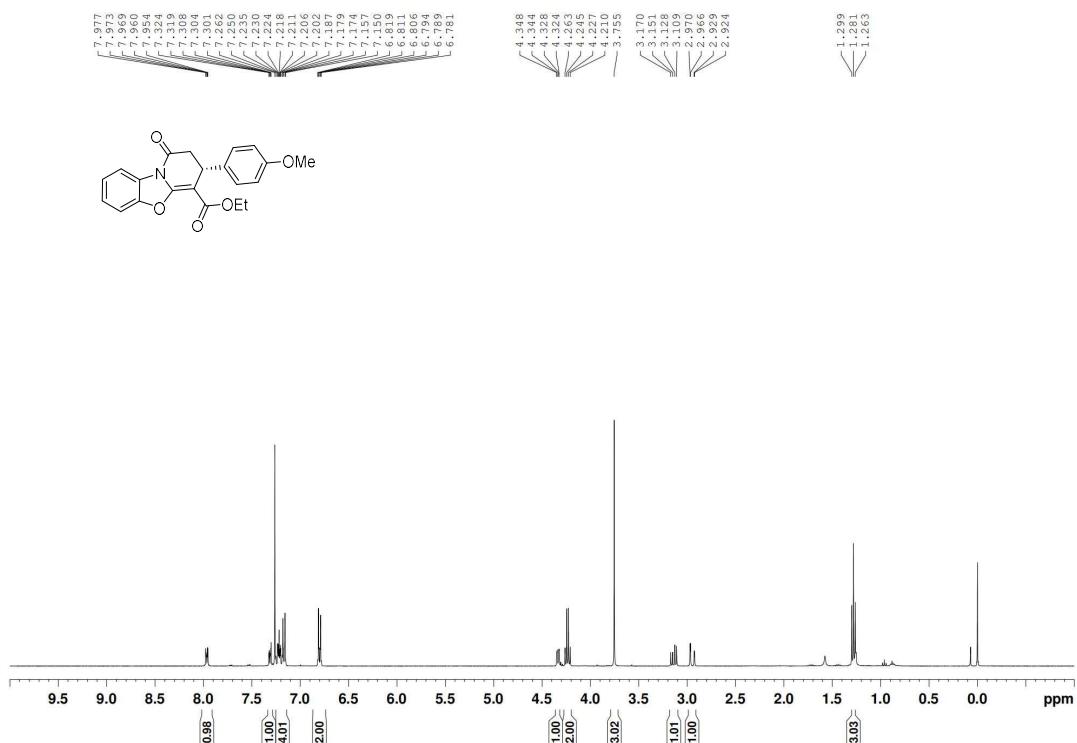
¹H NMR spectrum of compound **3ah** (CDCl₃, 400 MHz)



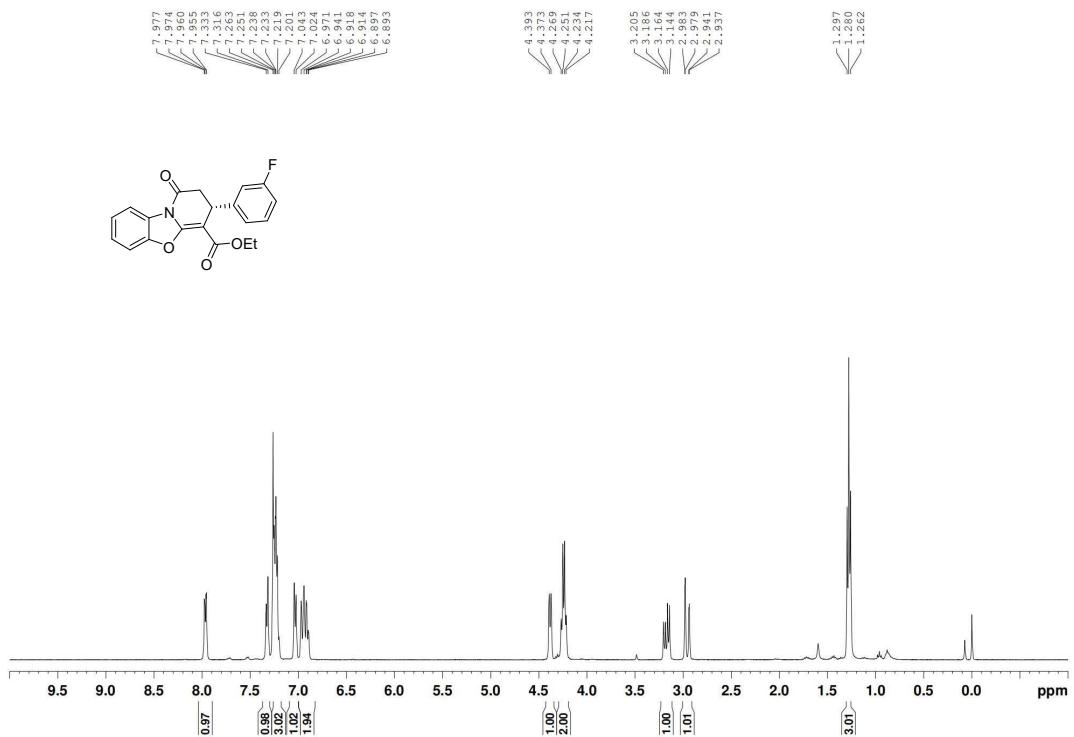
¹³C NMR spectrum of compound **3ah** (CDCl₃, 100 MHz)



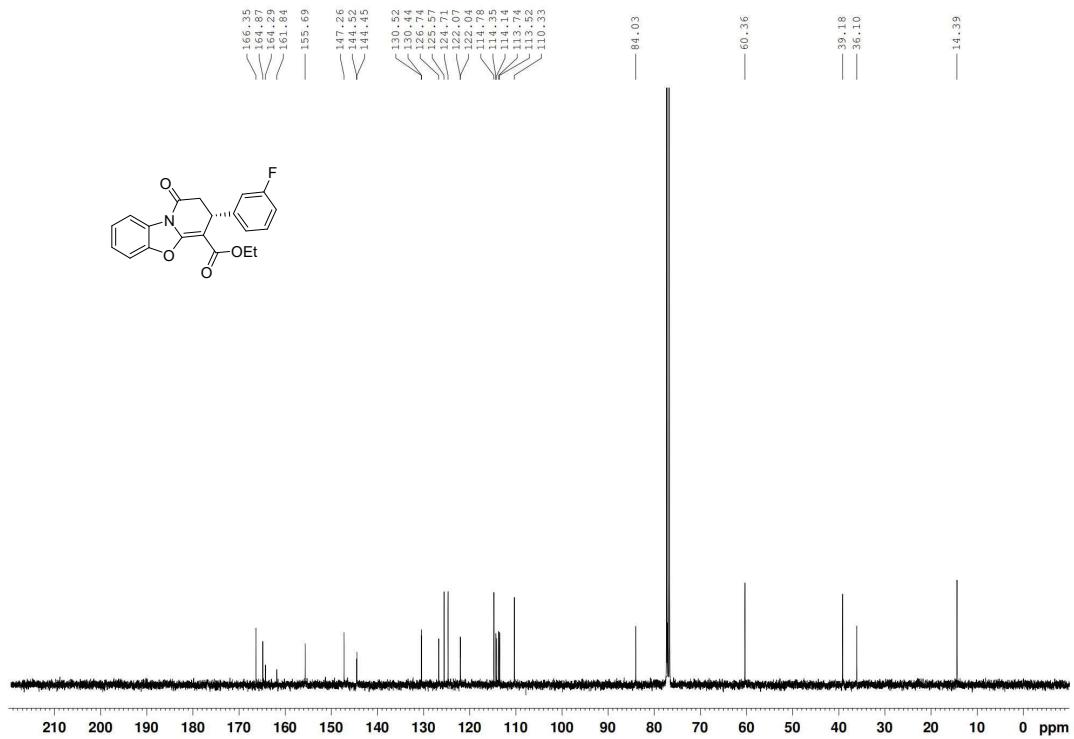
¹H NMR spectrum of compound **3ai** (CDCl₃, 400 MHz)



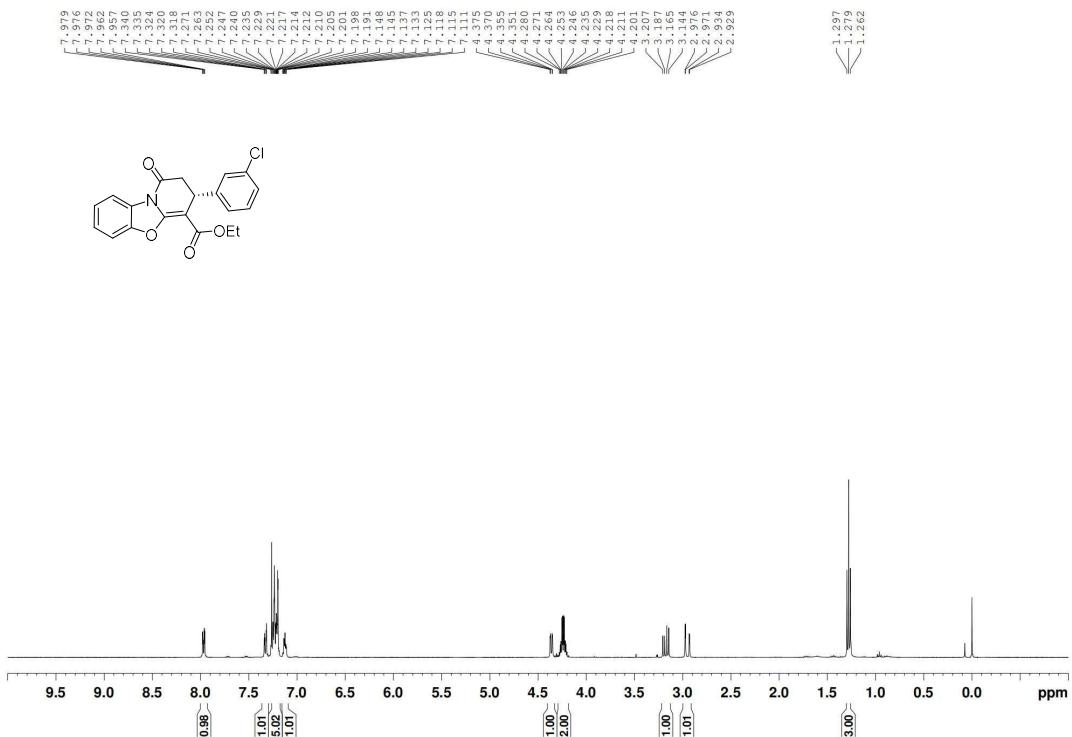
¹H NMR spectrum of compound **3aj** (CDCl₃, 400 MHz)



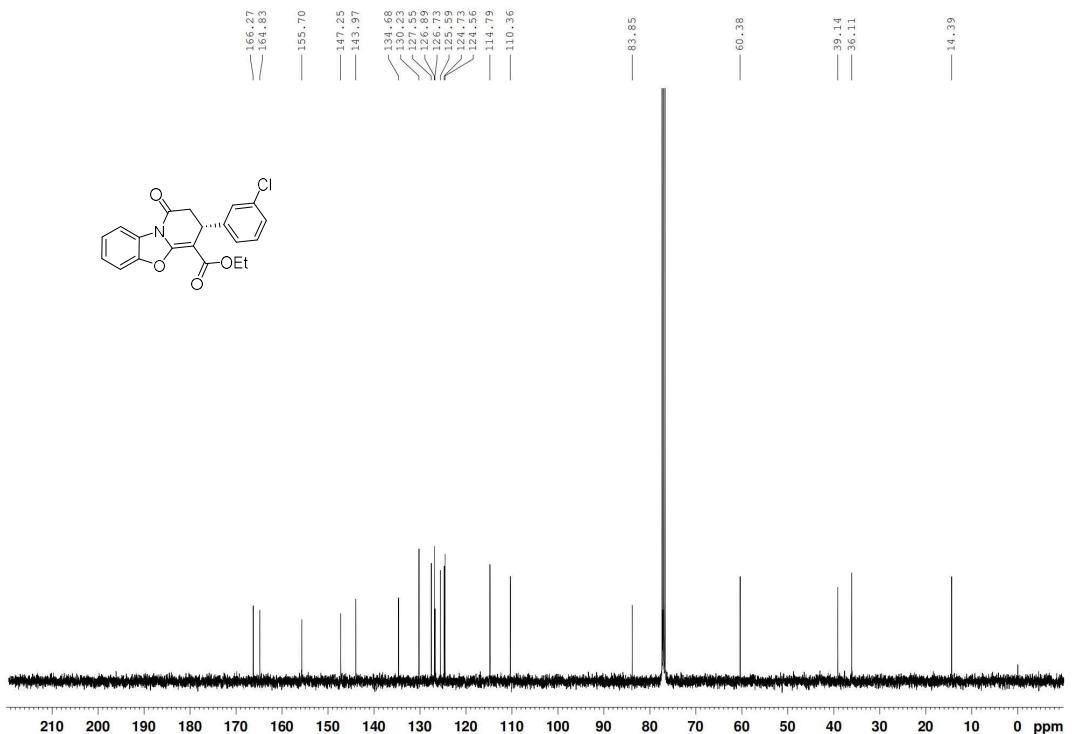
¹³C NMR spectrum of compound **3aj** (CDCl₃, 100 MHz)



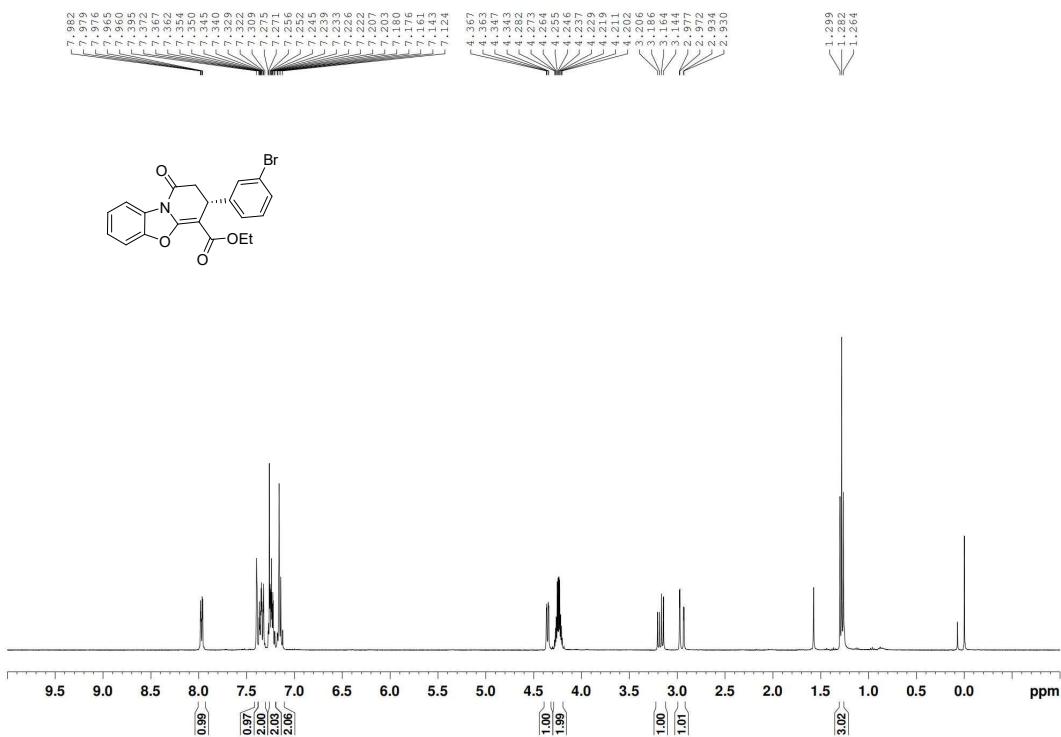
¹H NMR spectrum of compound **3ak** (CDCl_3 , 400 MHz)



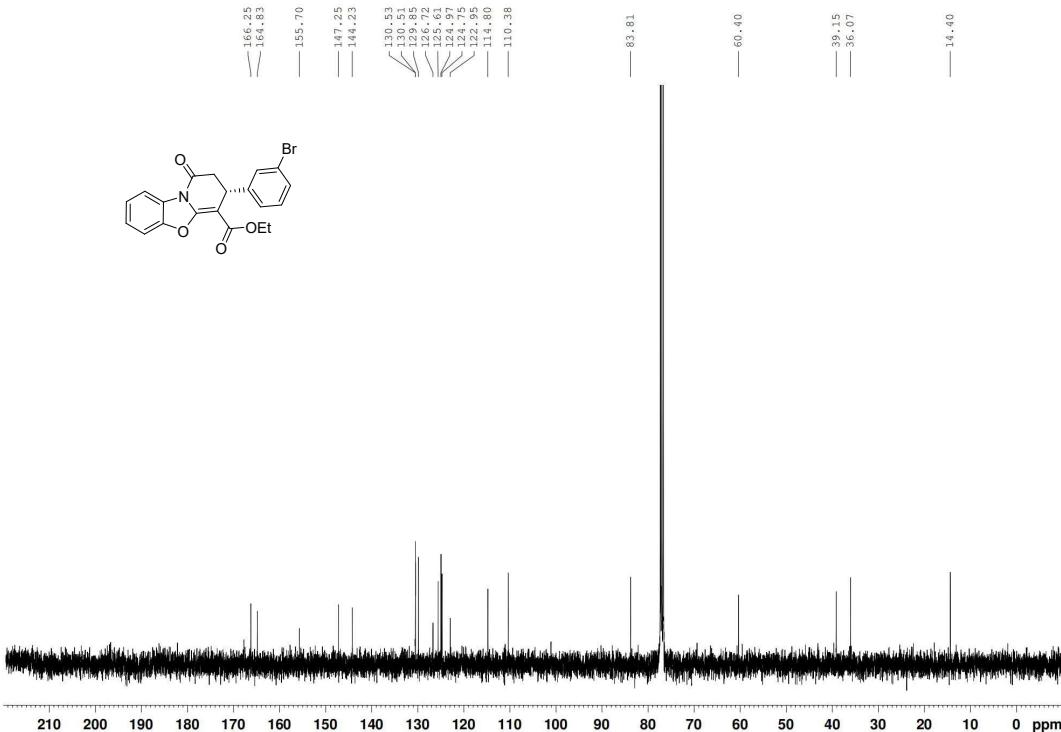
¹³C NMR spectrum of compound **3ak** (CDCl_3 , 100 MHz)



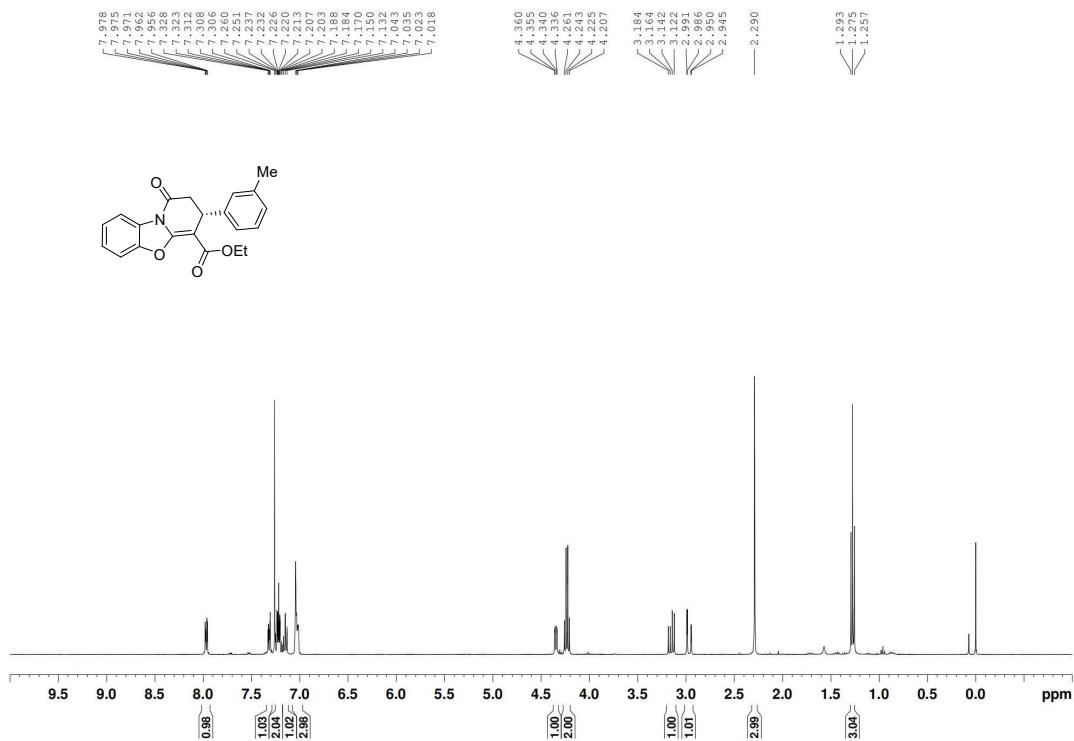
¹H NMR spectrum of compound **3al** (CDCl_3 , 400 MHz)



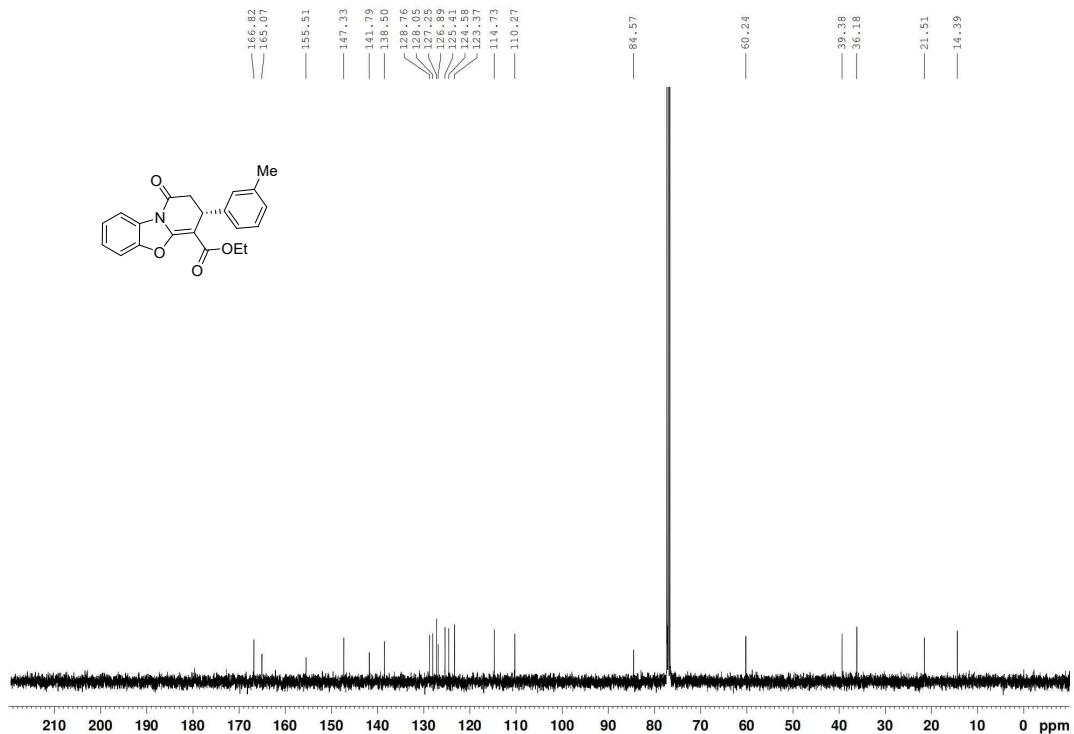
¹³C NMR spectrum of compound **3al** (CDCl_3 , 100 MHz)



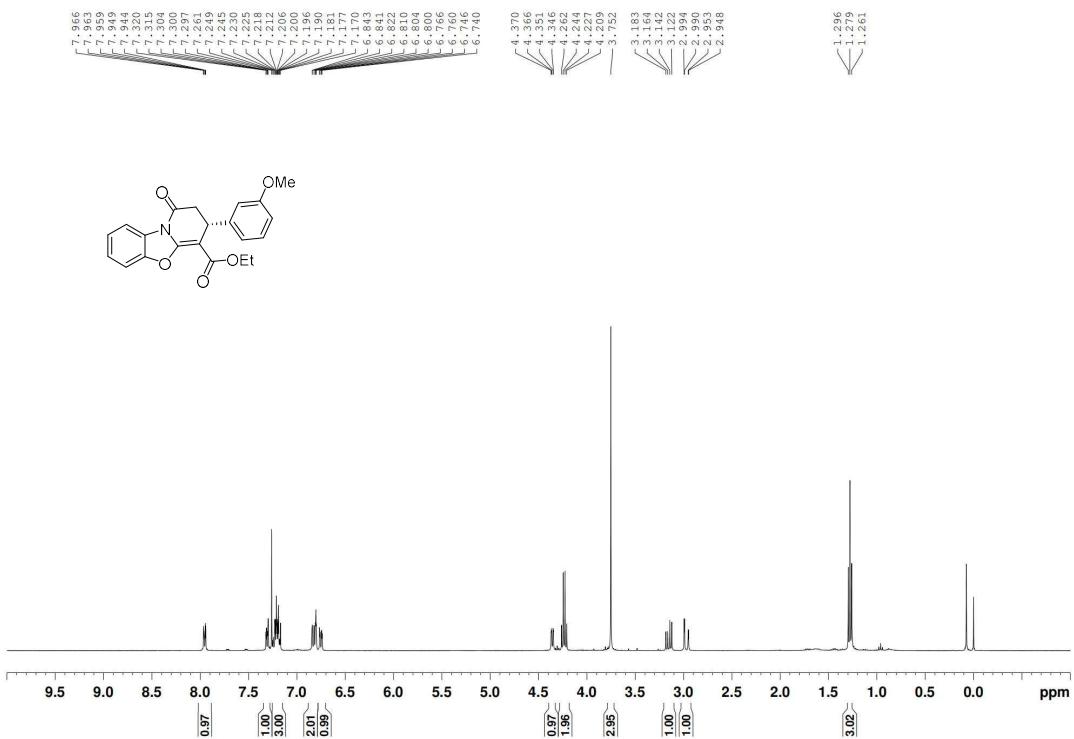
¹H NMR spectrum of compound **3am** (CDCl₃, 400 MHz)



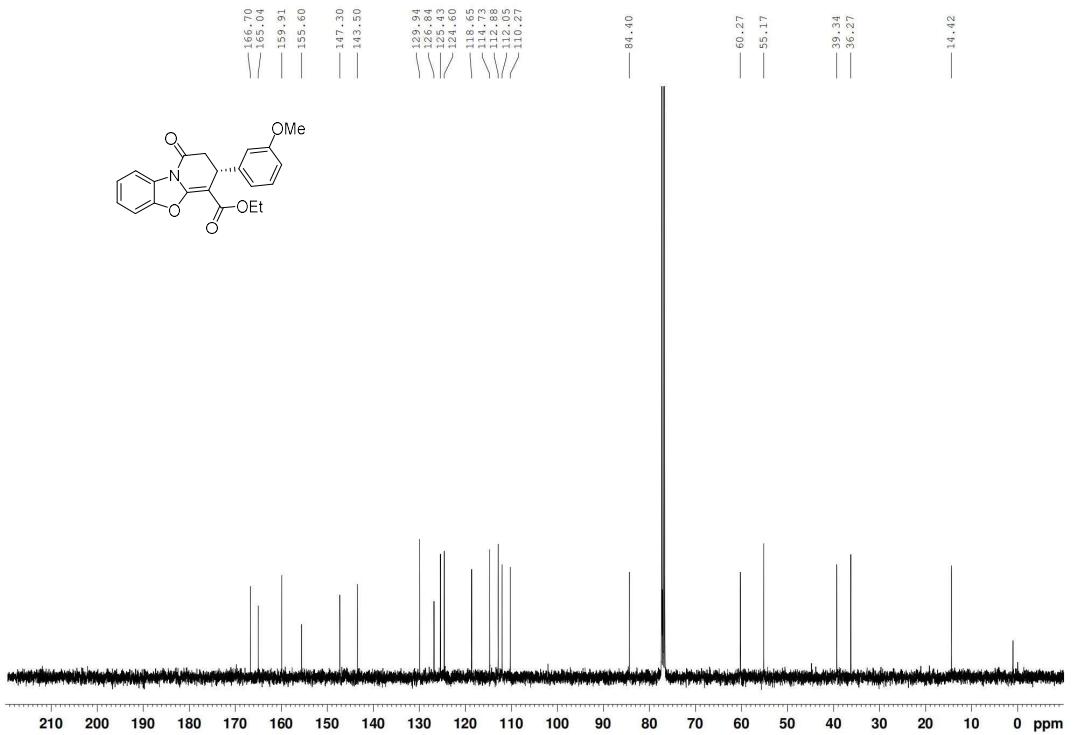
¹³C NMR spectrum of compound **3am** (CDCl₃, 100 MHz)



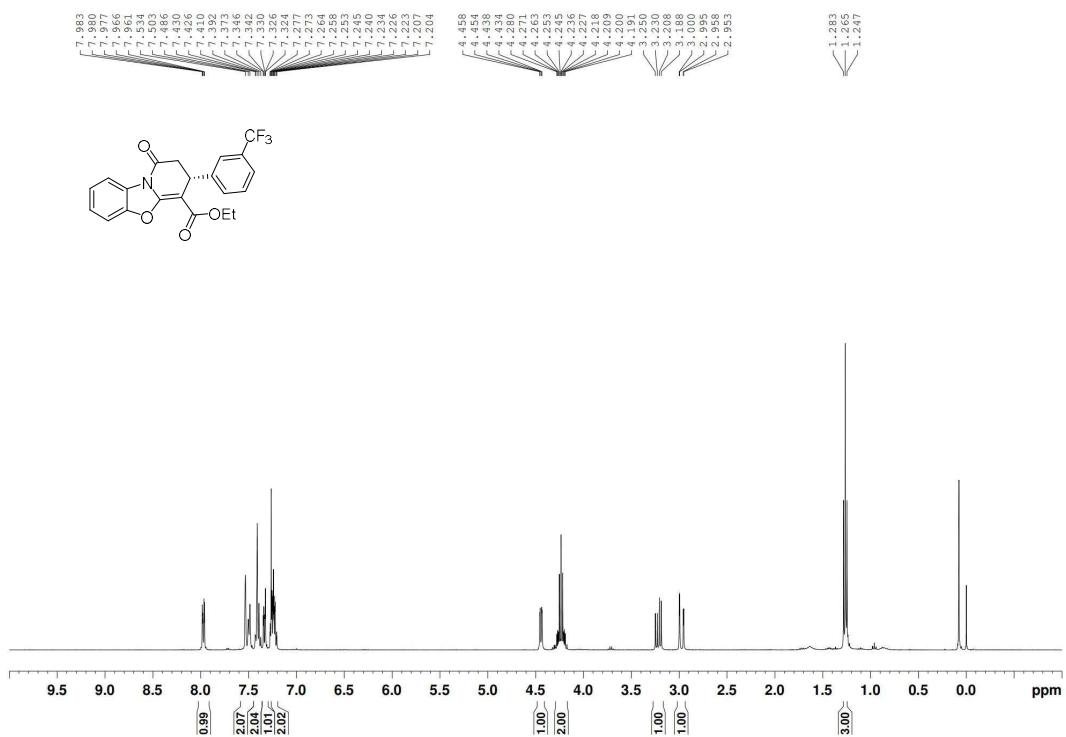
¹H NMR spectrum of compound **3an** (CDCl₃, 400 MHz)



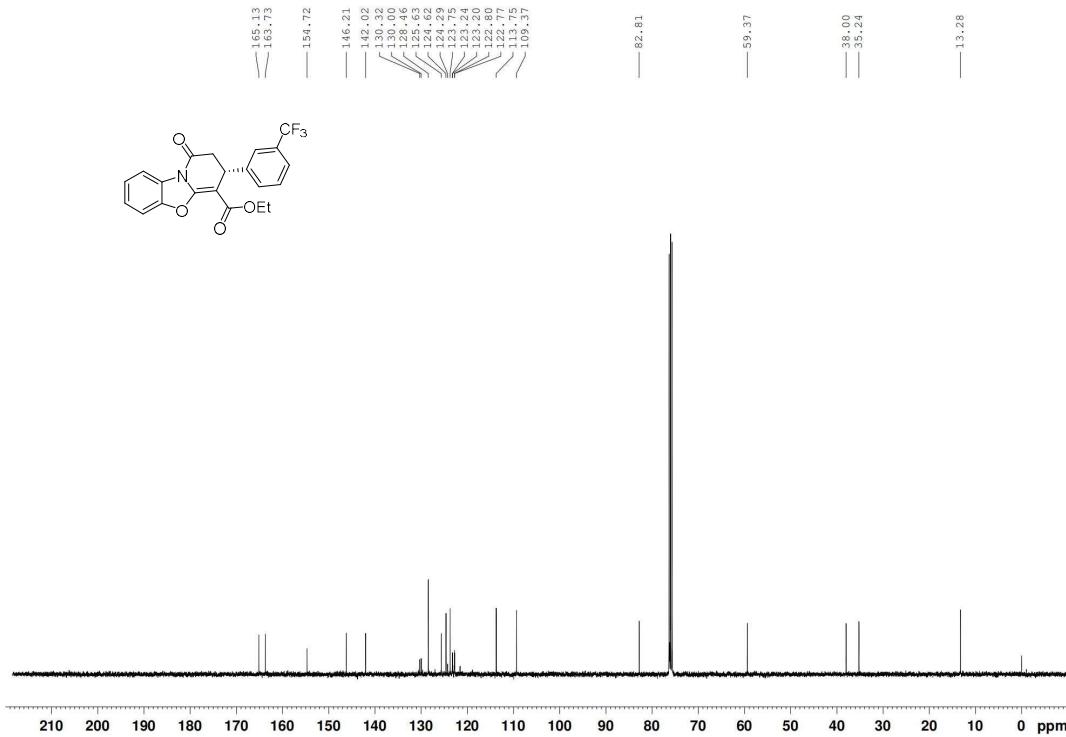
¹³C NMR spectrum of compound **3an** (CDCl₃, 100 MHz)



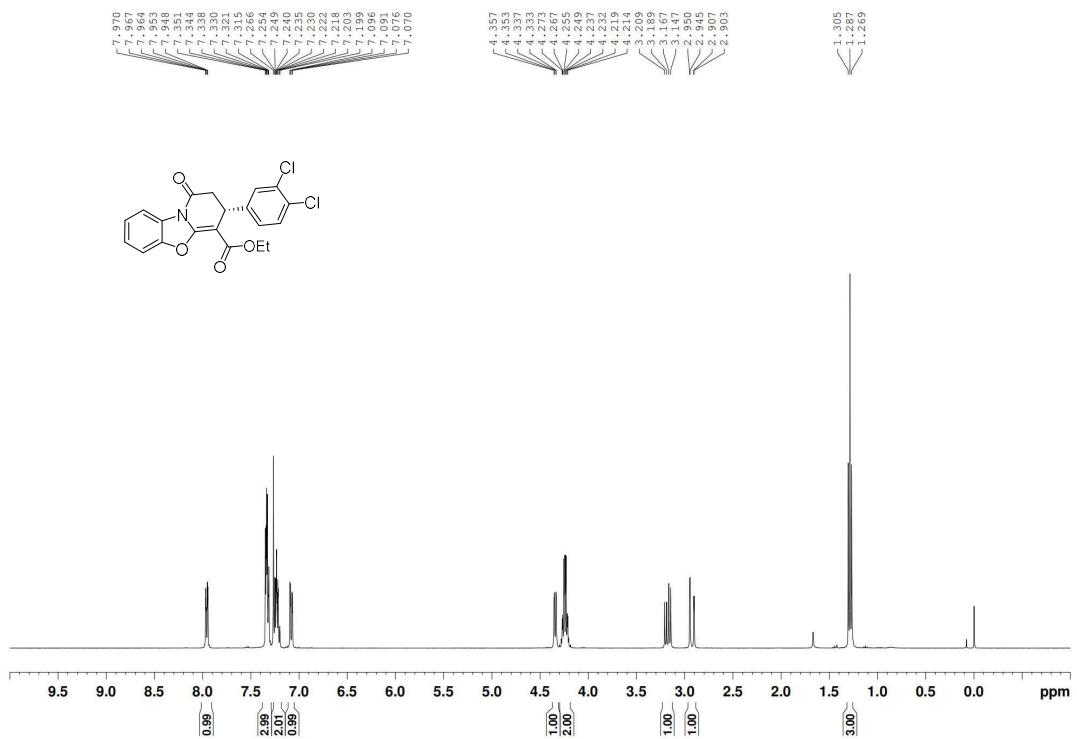
¹H NMR spectrum of compound **3ao** (CDCl₃, 400 MHz)



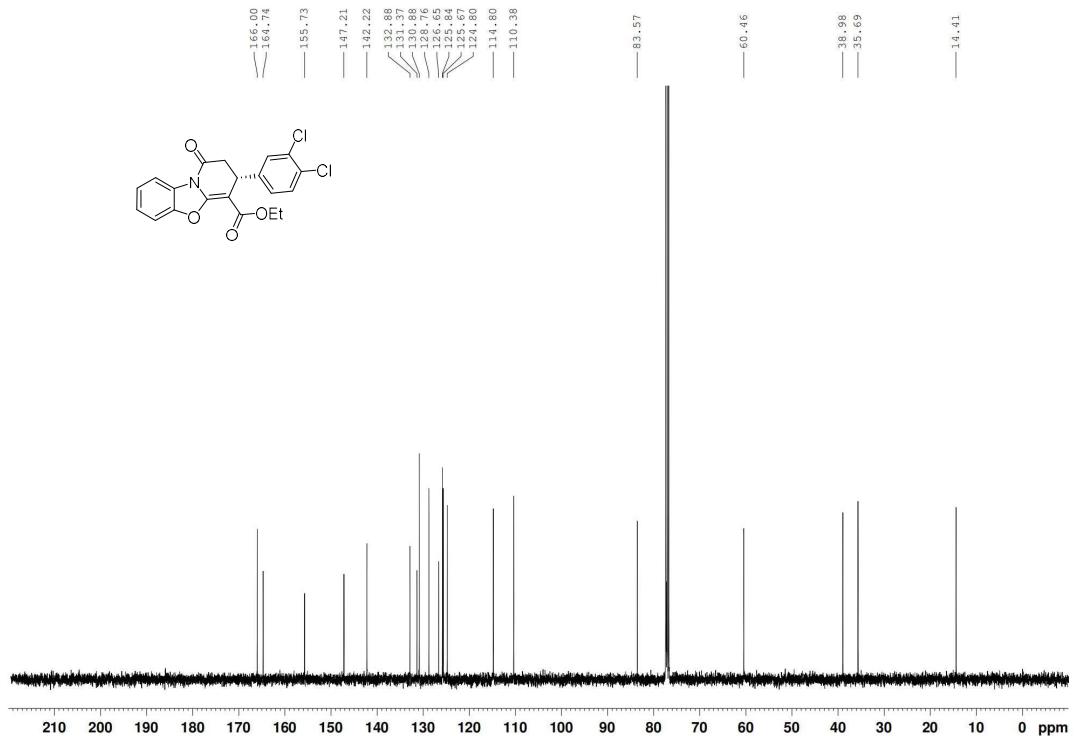
¹³C NMR spectrum of compound **3ao** (CDCl₃, 100 MHz)



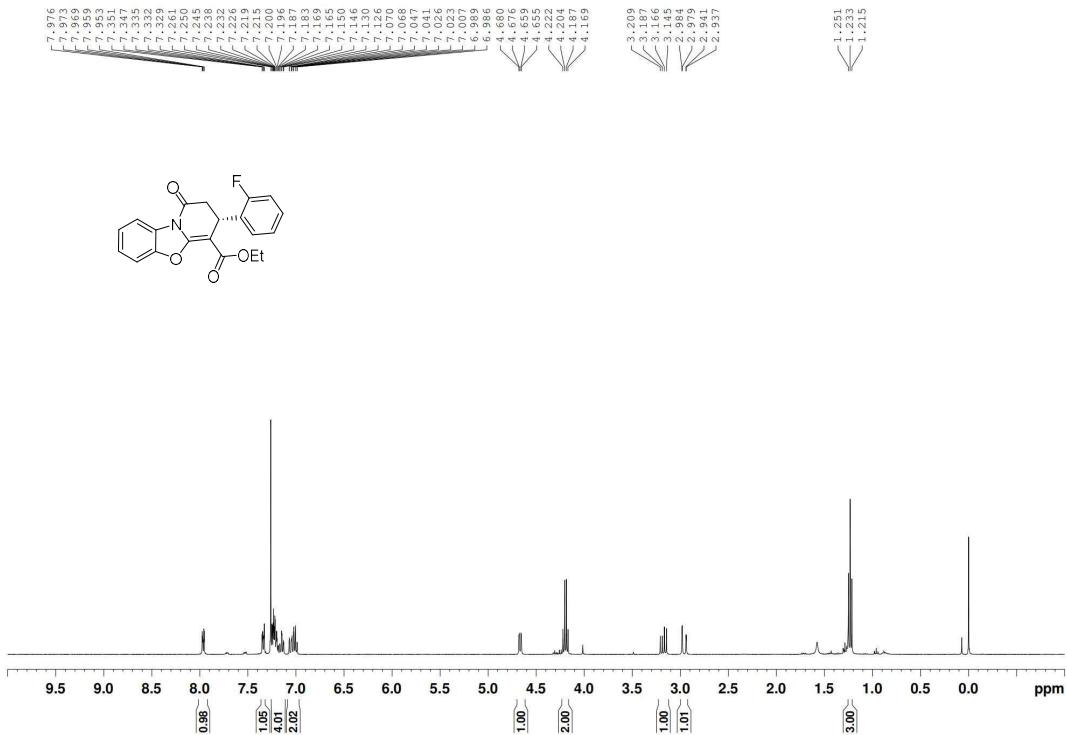
¹H NMR spectrum of compound **3ap** (CDCl₃, 400 MHz)



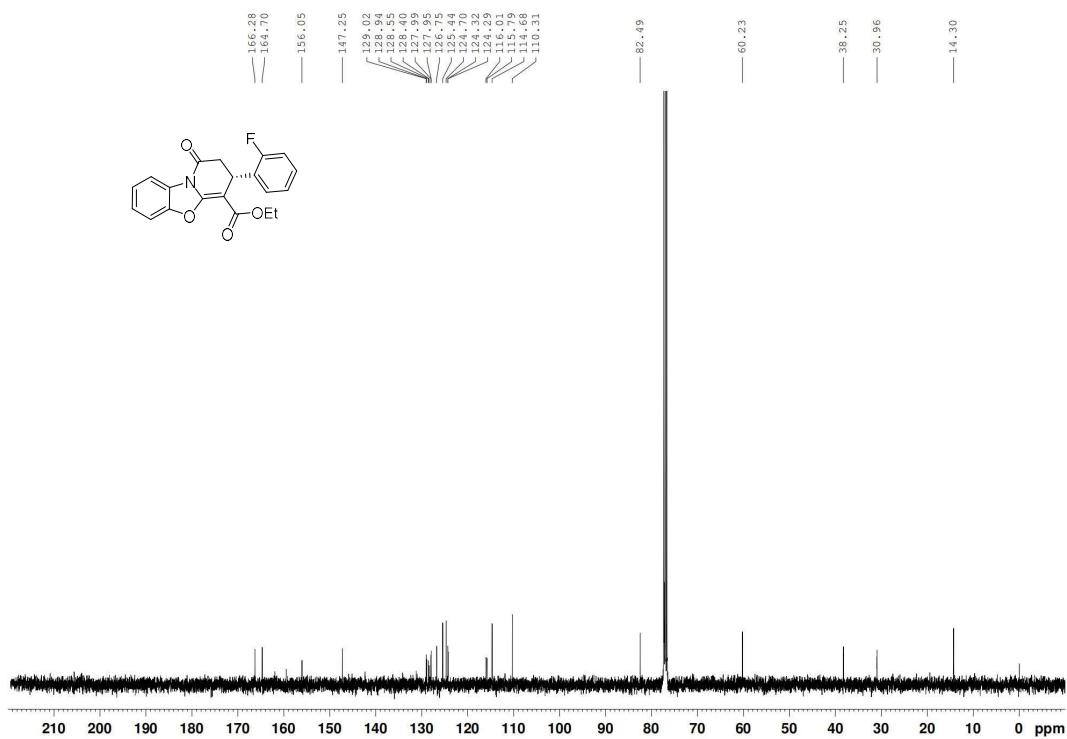
¹³C NMR spectrum of compound **3ap** (CDCl₃, 100 MHz)



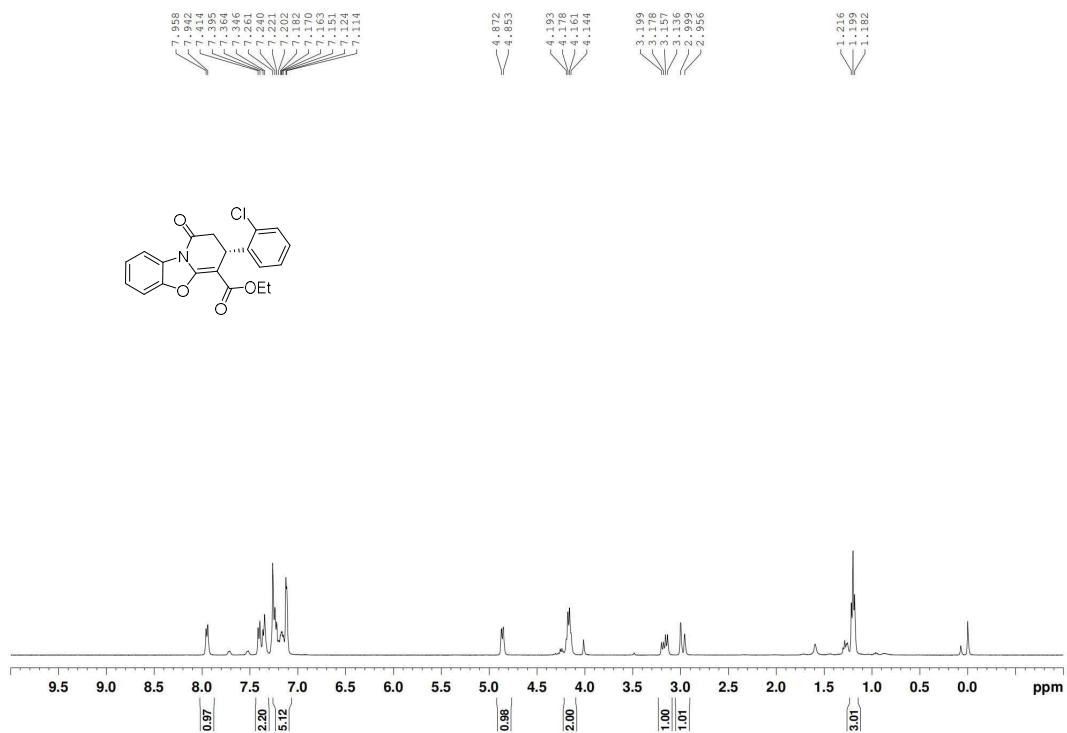
¹H NMR spectrum of compound **3aq** (CDCl₃, 400 MHz)



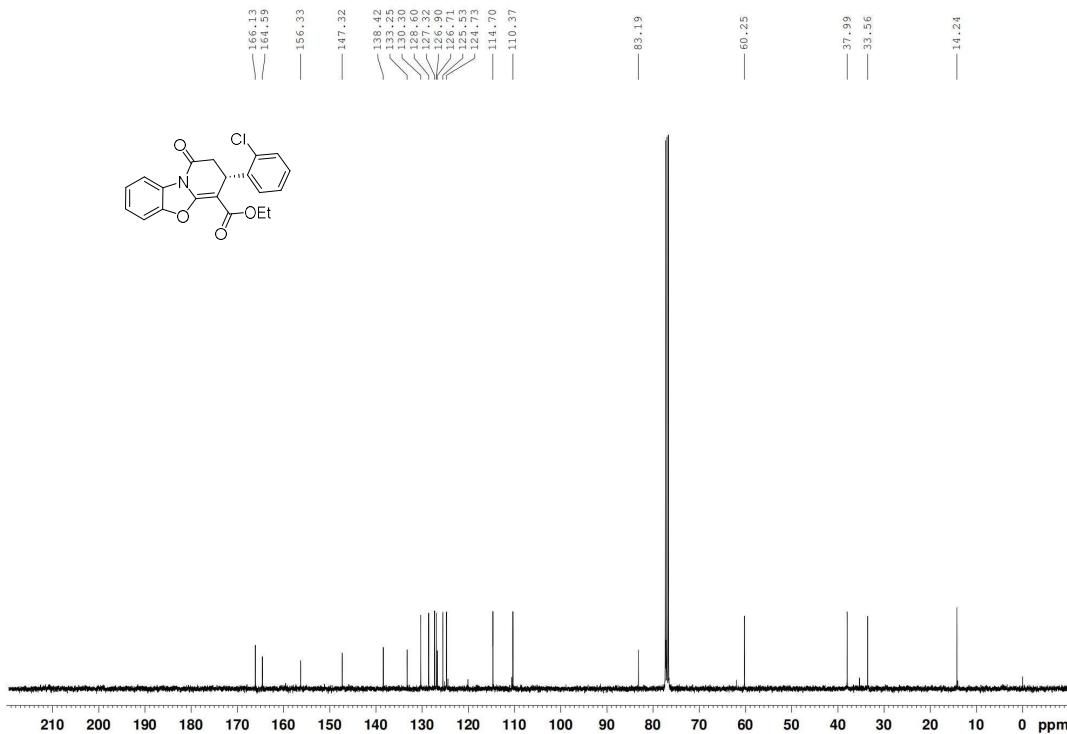
¹³C NMR spectrum of compound **3aq** (CDCl₃, 100 MHz)



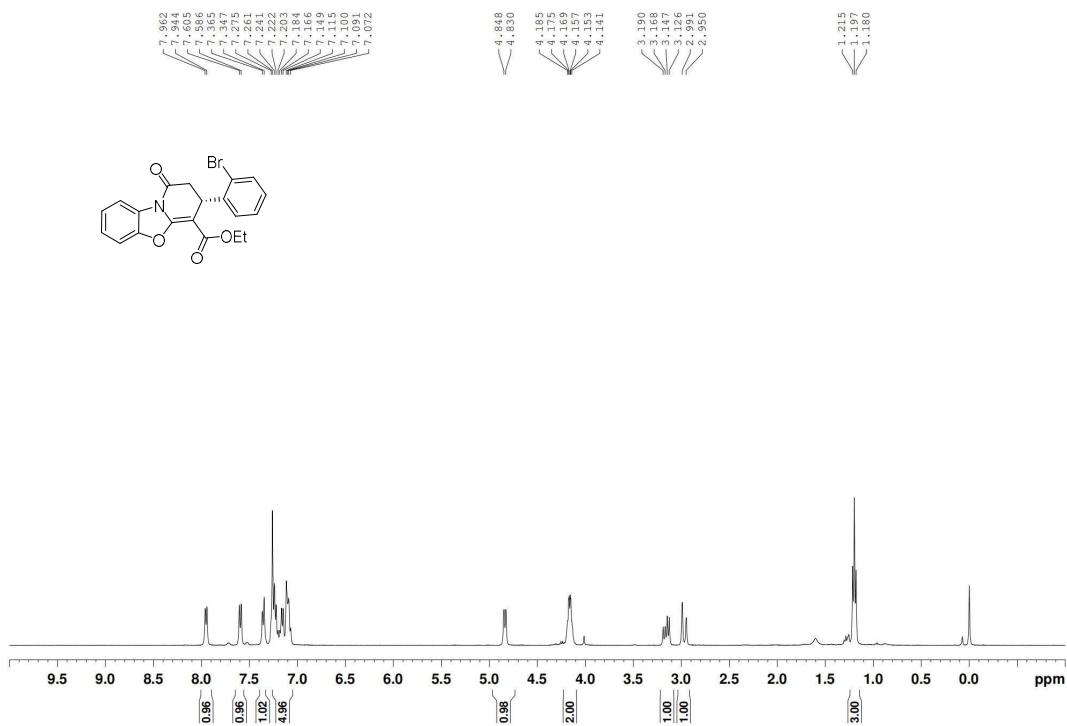
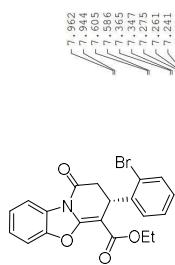
¹H NMR spectrum of compound **3ar** (CDCl₃, 400 MHz)



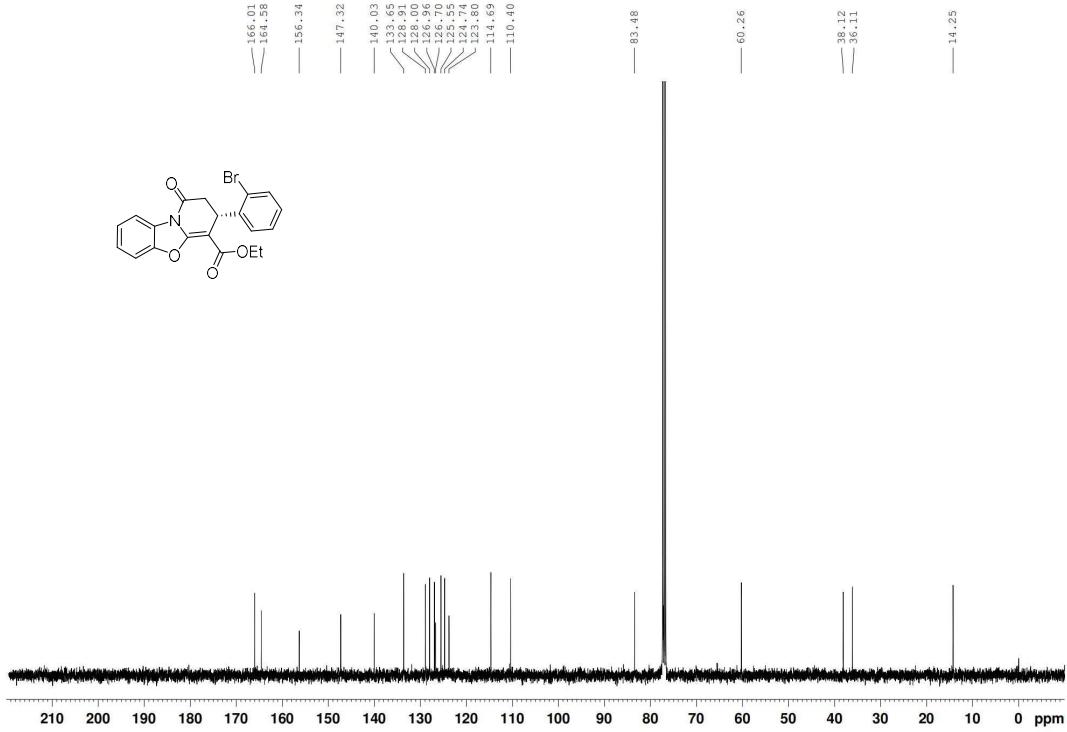
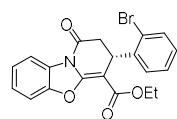
¹³C NMR spectrum of compound **3ar** (CDCl₃, 100 MHz)



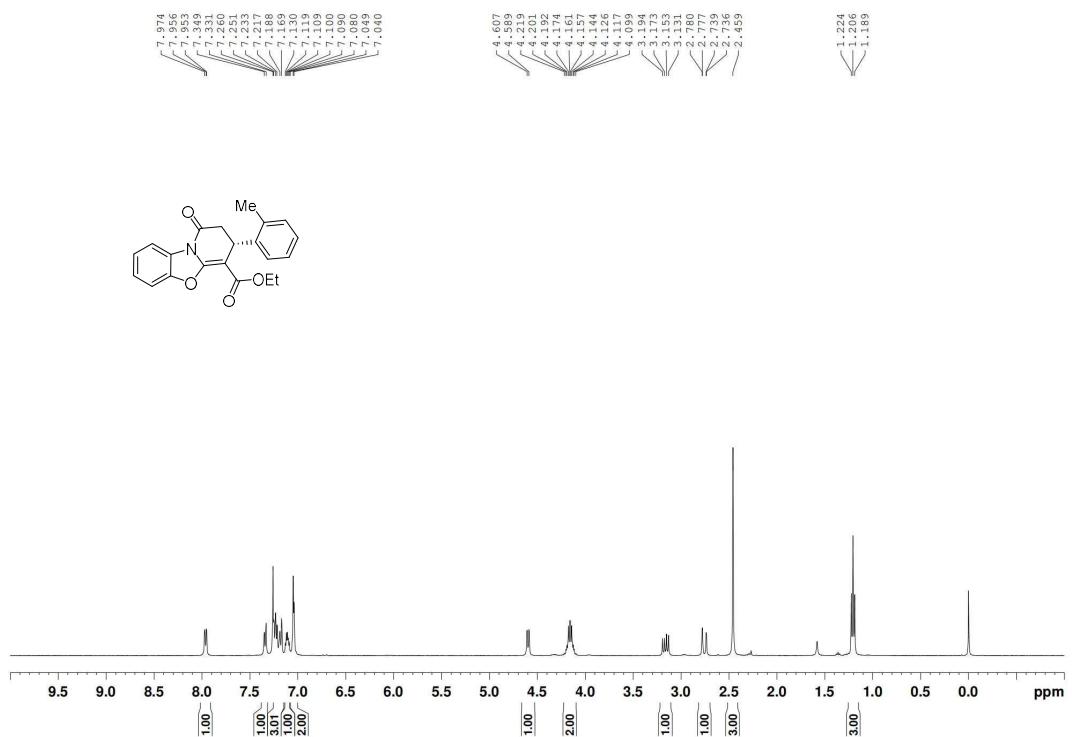
¹H NMR spectrum of compound **3as** (CDCl₃, 400 MHz)



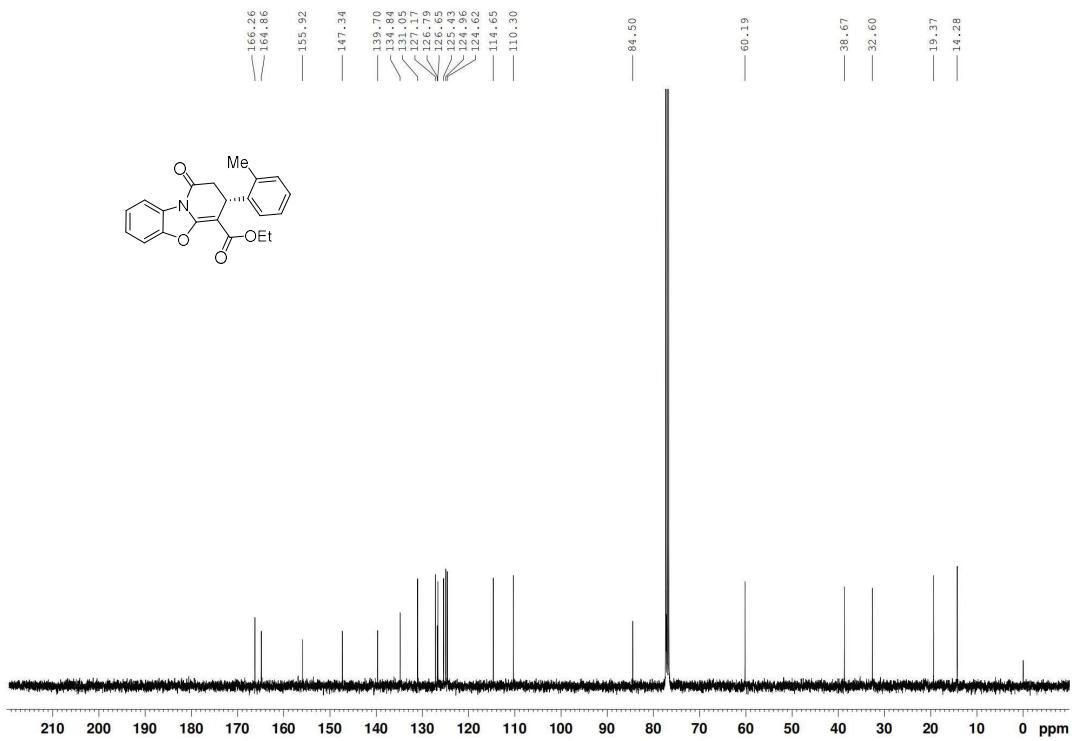
¹³C NMR spectrum of compound **3as** (CDCl_3 , 100 MHz)



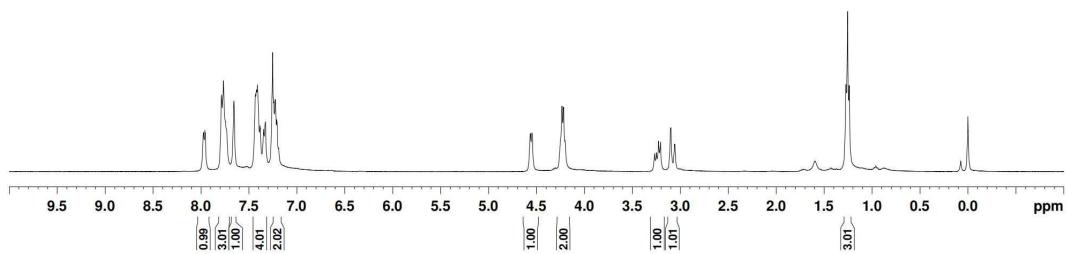
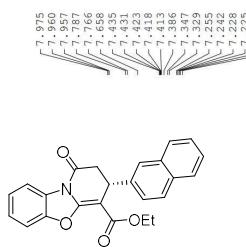
¹H NMR spectrum of compound **3at** (CDCl₃, 400 MHz)



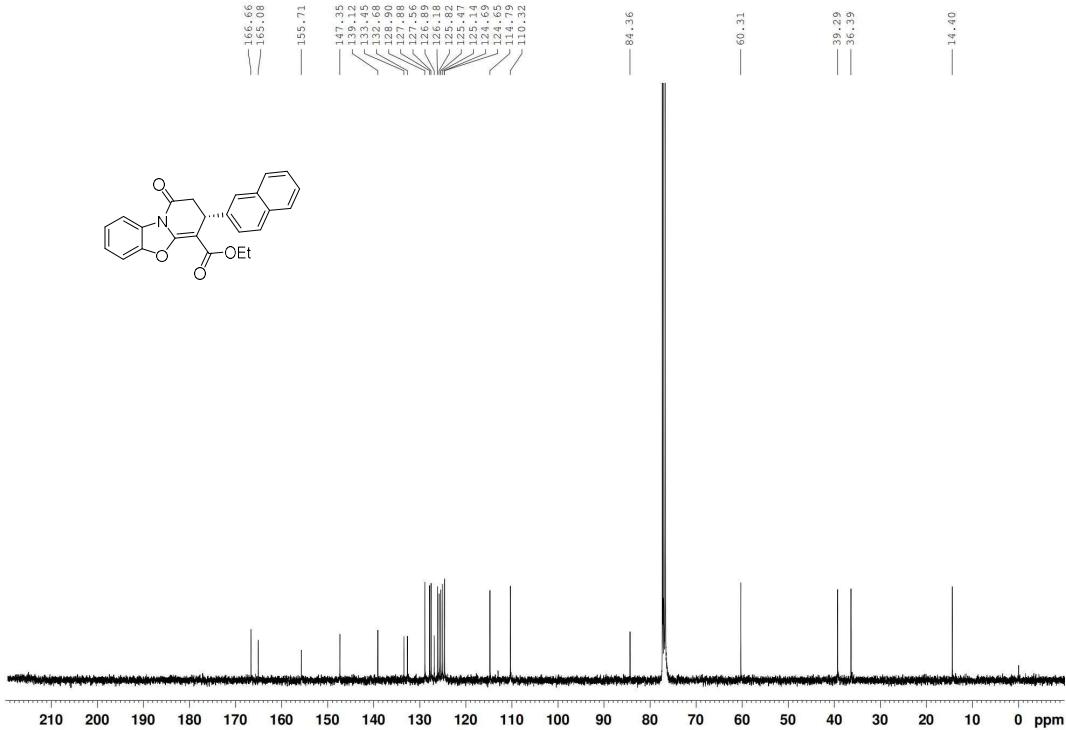
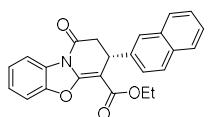
¹³C NMR spectrum of compound **3at** (CDCl₃, 100 MHz)



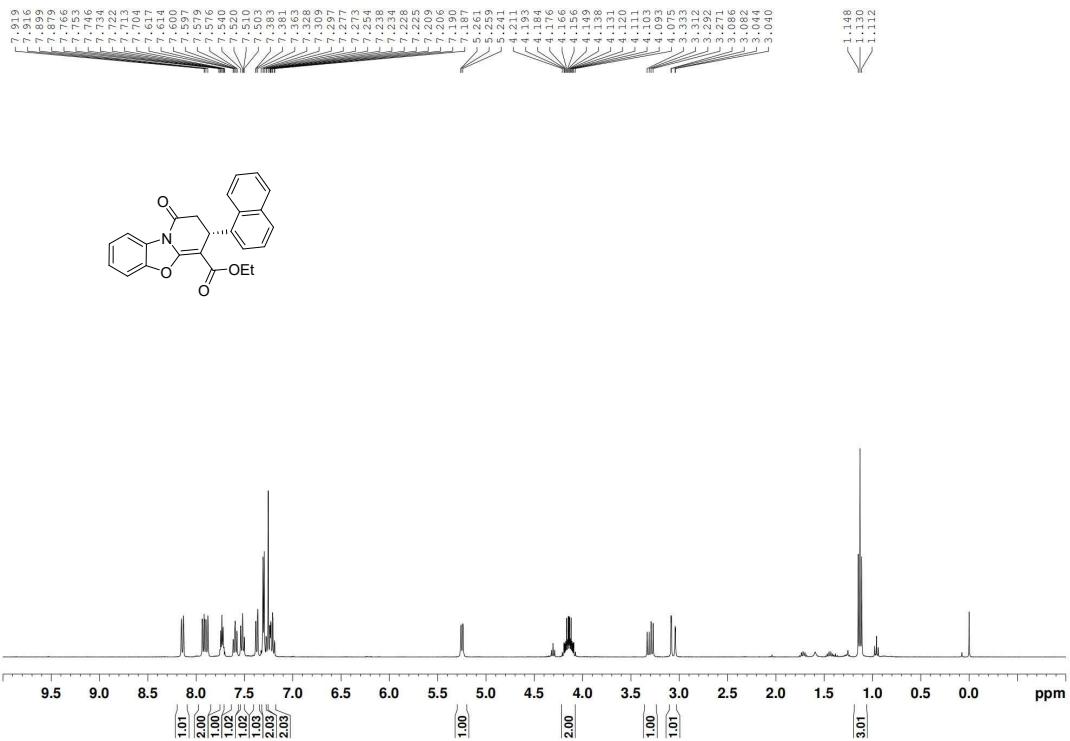
¹H NMR spectrum of compound **3au** (CDCl₃, 400 MHz)



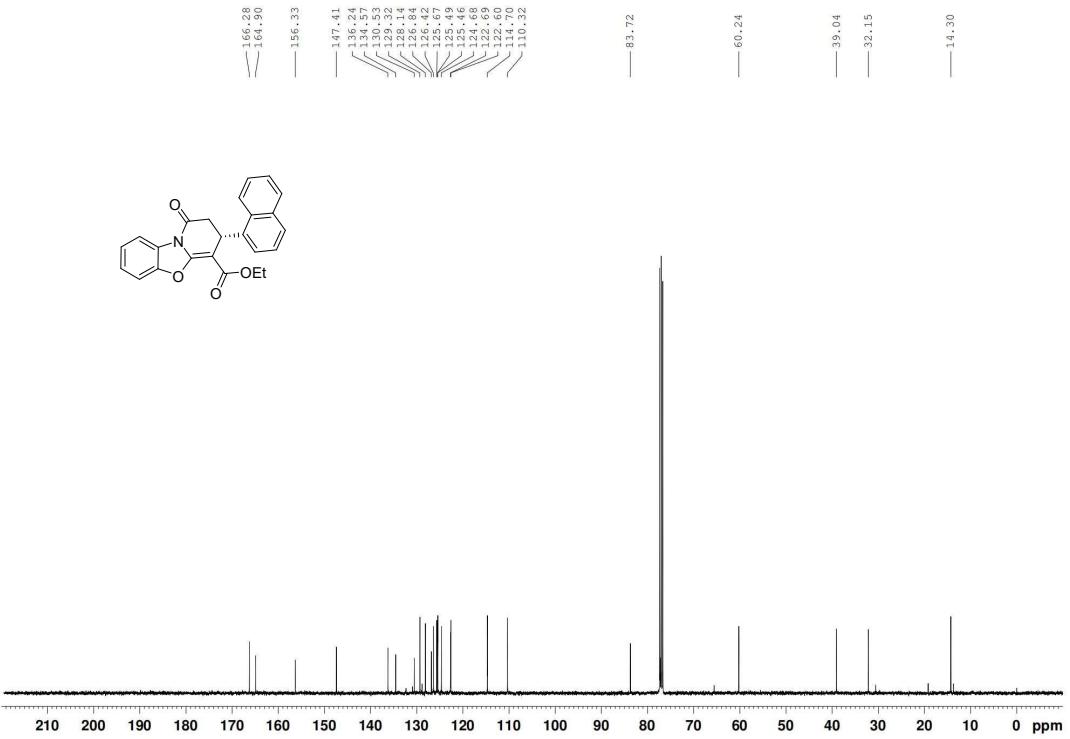
¹³C NMR spectrum of compound **3au** (CDCl₃, 100 MHz)



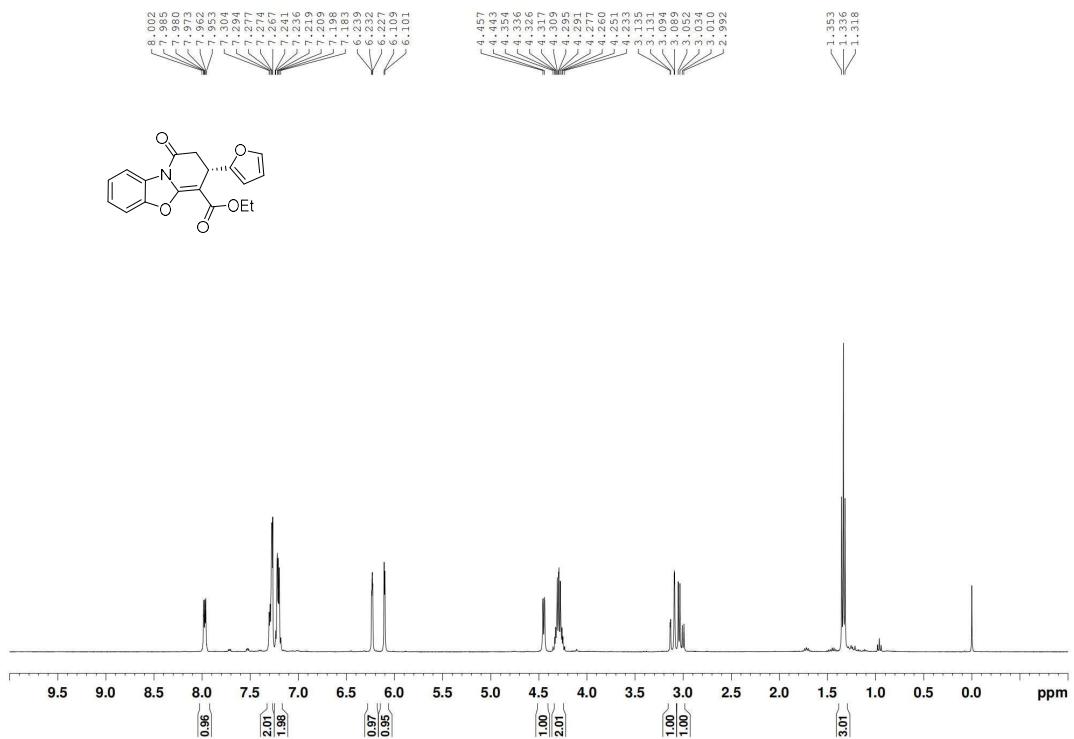
¹H NMR spectrum of compound **3av** (CDCl₃, 400 MHz)



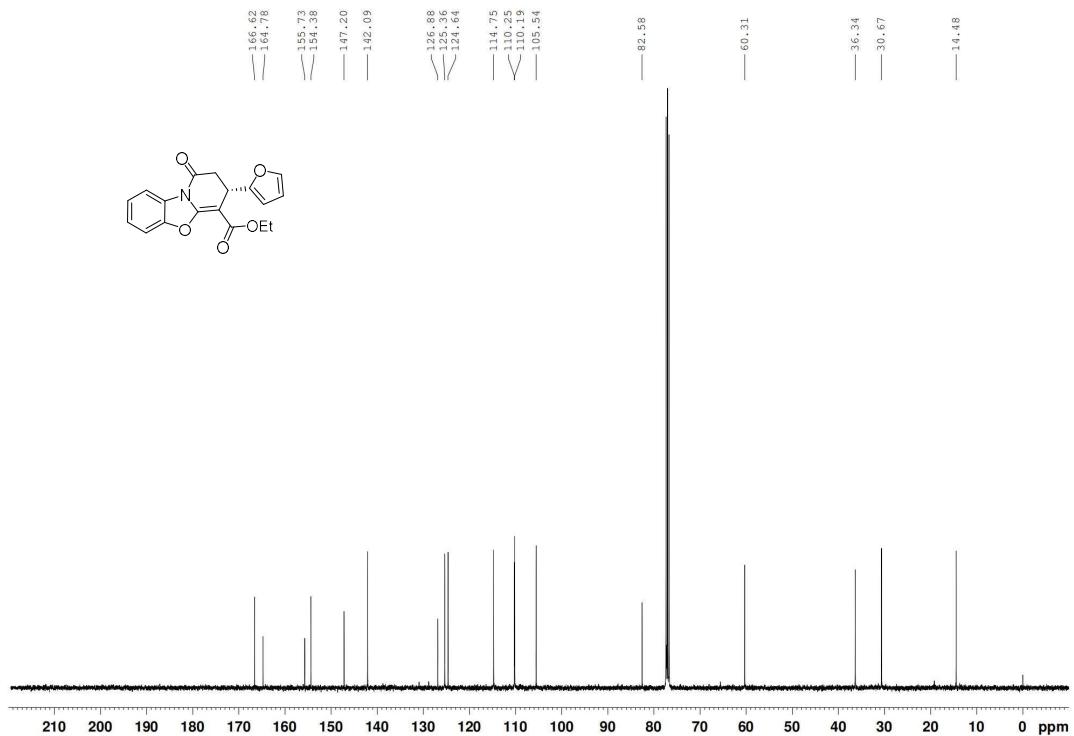
¹³C NMR spectrum of compound 3av (CDCl₃, 100 MHz)



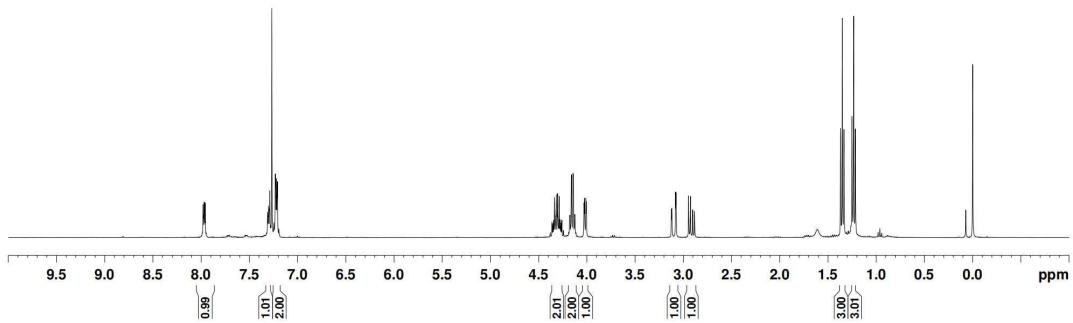
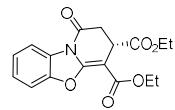
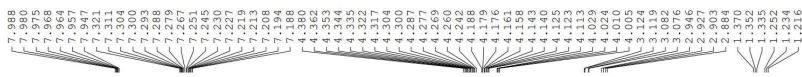
¹H NMR spectrum of compound **3aw** (CDCl₃, 400 MHz)



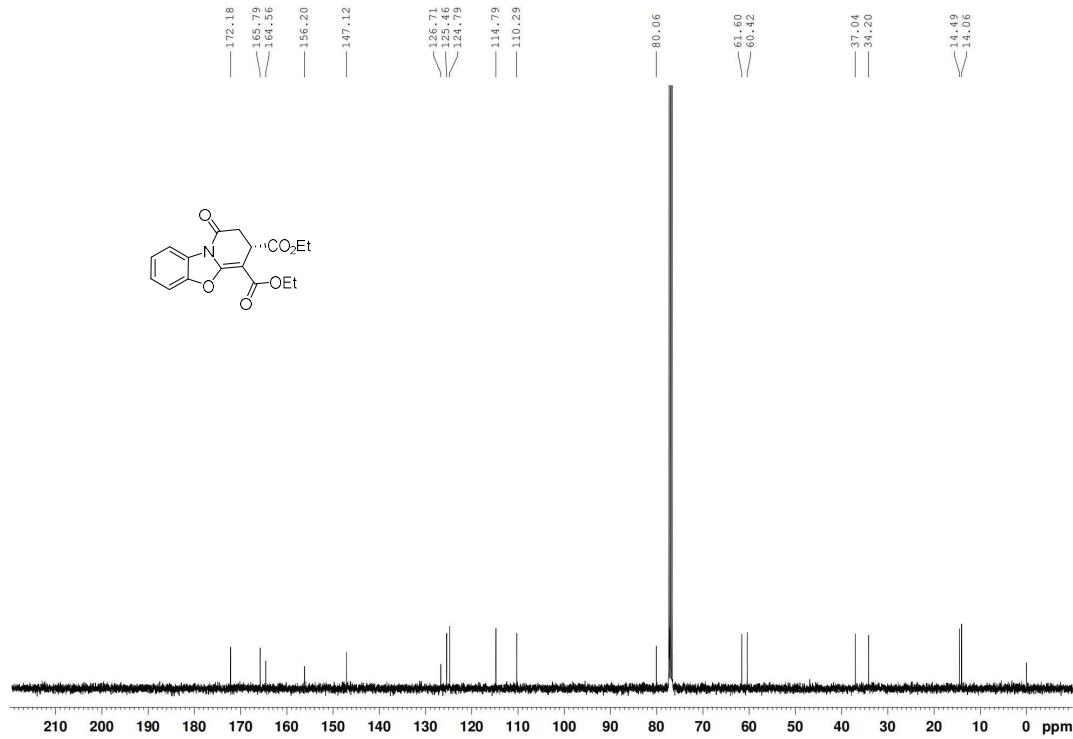
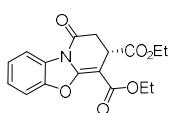
¹³C NMR spectrum of compound **3aw** (CDCl₃, 100 MHz)



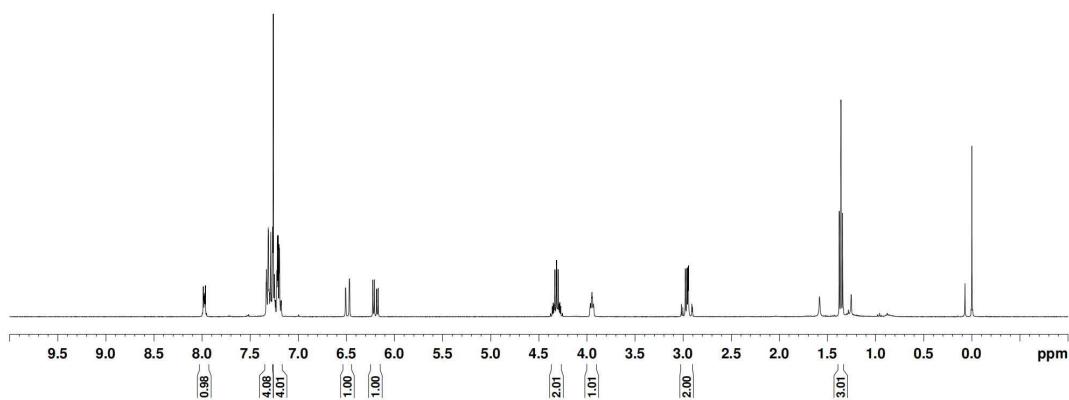
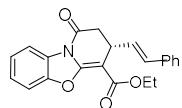
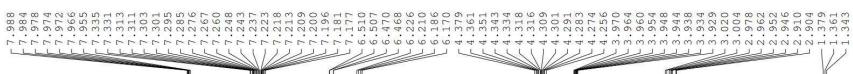
¹H NMR spectrum of compound **3ax** (CDCl₃, 400 MHz)



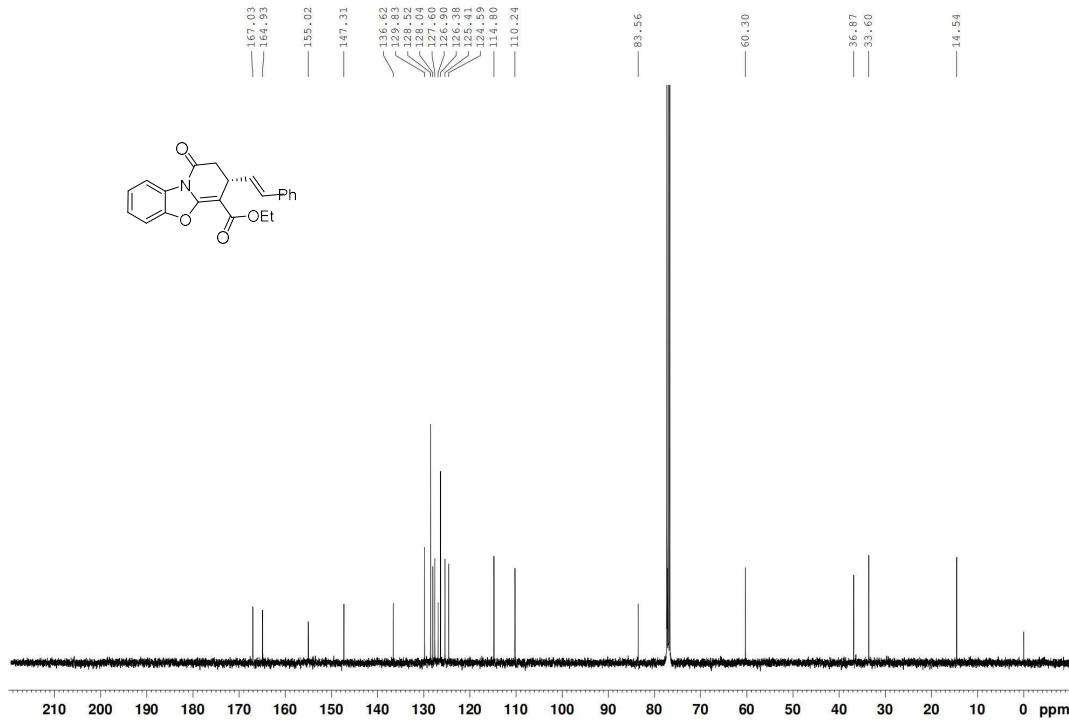
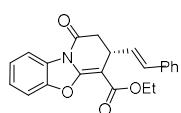
¹³C NMR spectrum of compound **3ax** (CDCl_3 , 100 MHz)



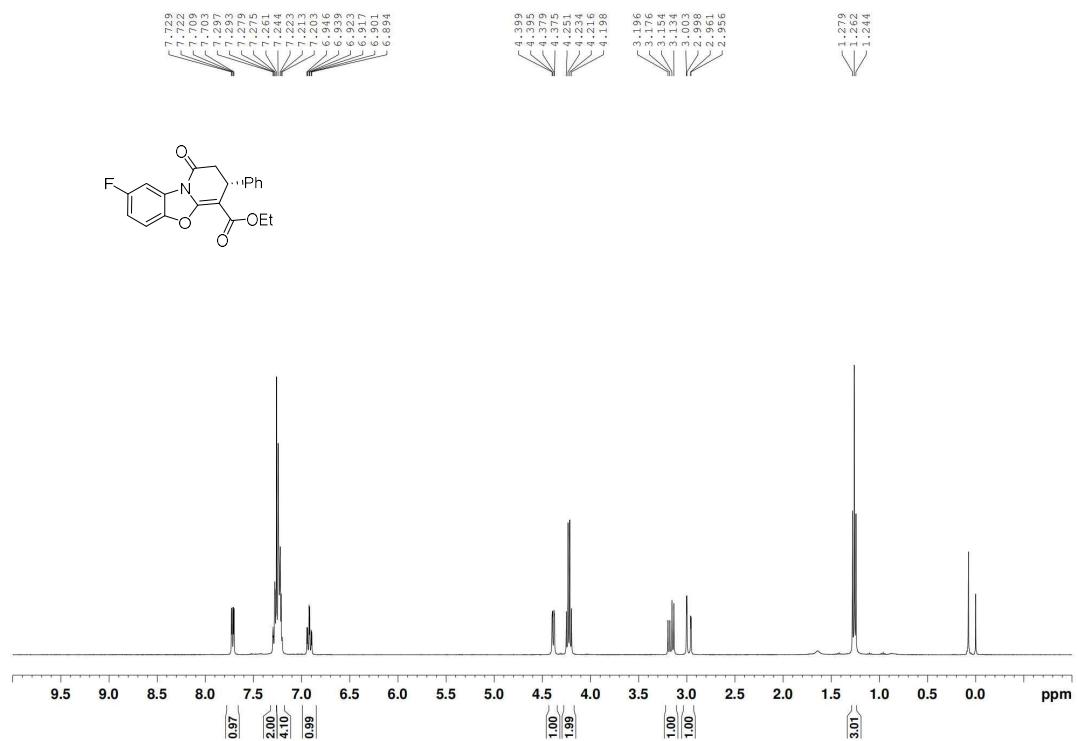
¹H NMR spectrum of compound **3ay** (CDCl₃, 400 MHz)



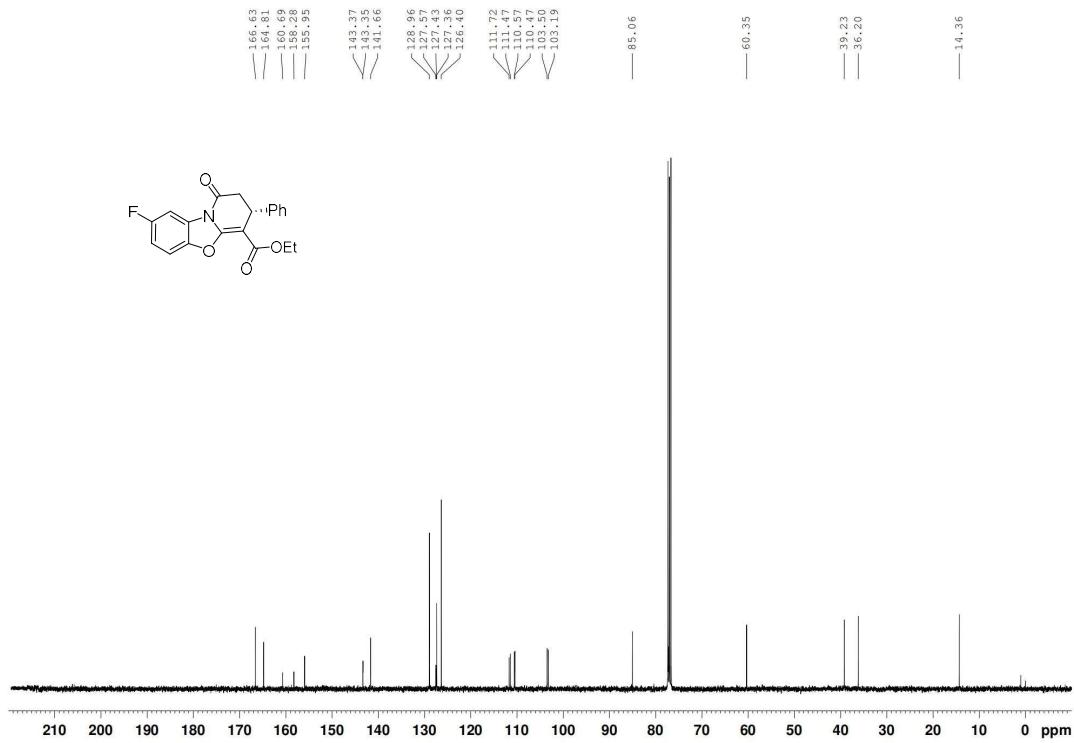
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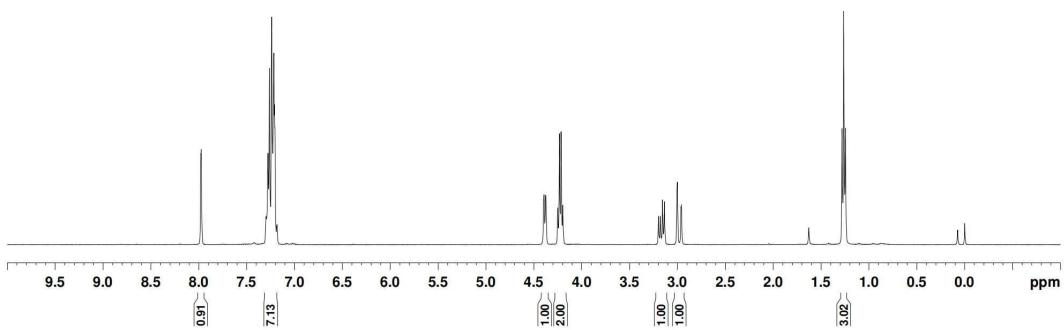
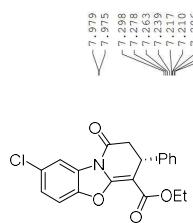
¹H NMR spectrum of compound **3az** (CDCl_3 , 400 MHz)



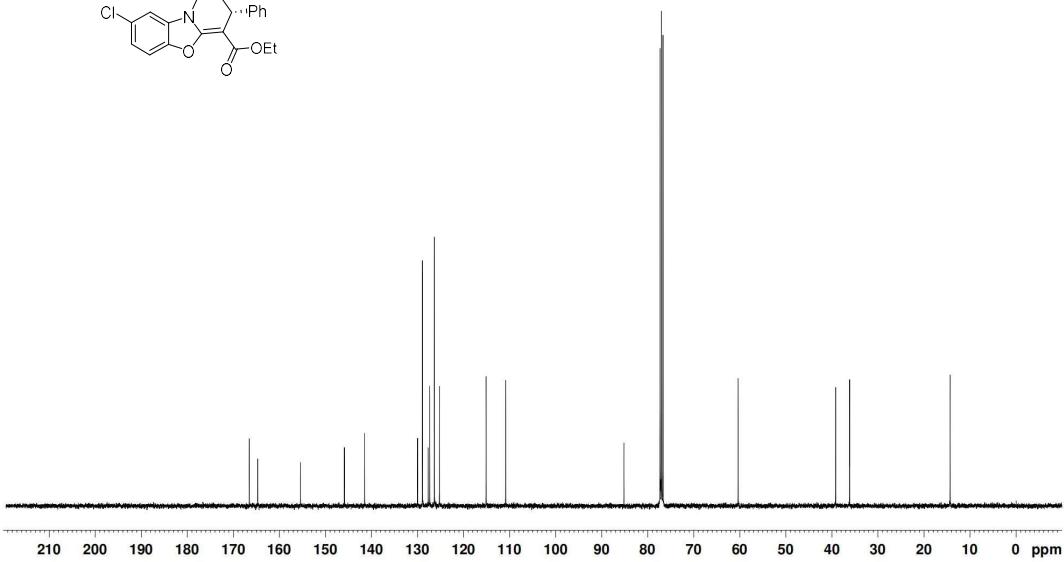
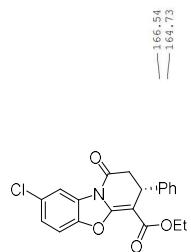
¹³C NMR spectrum of compound **3az** (CDCl₃, 100 MHz)



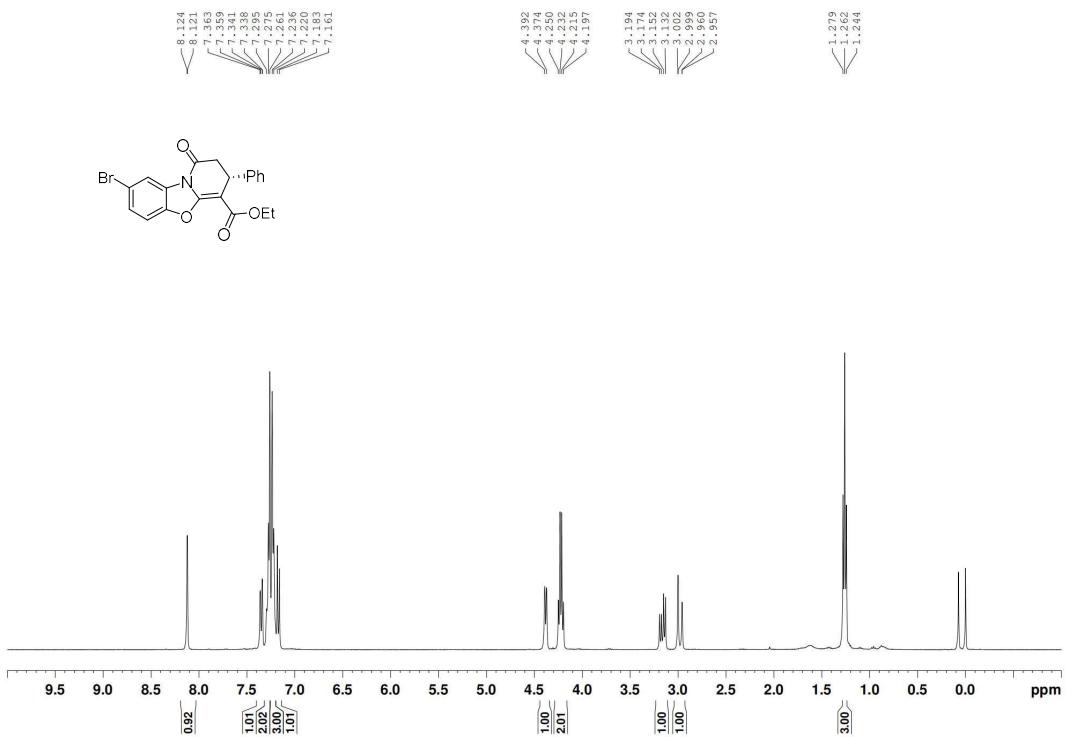
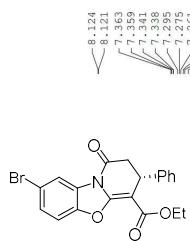
¹H NMR spectrum of compound **3ba** (CDCl₃, 400 MHz)



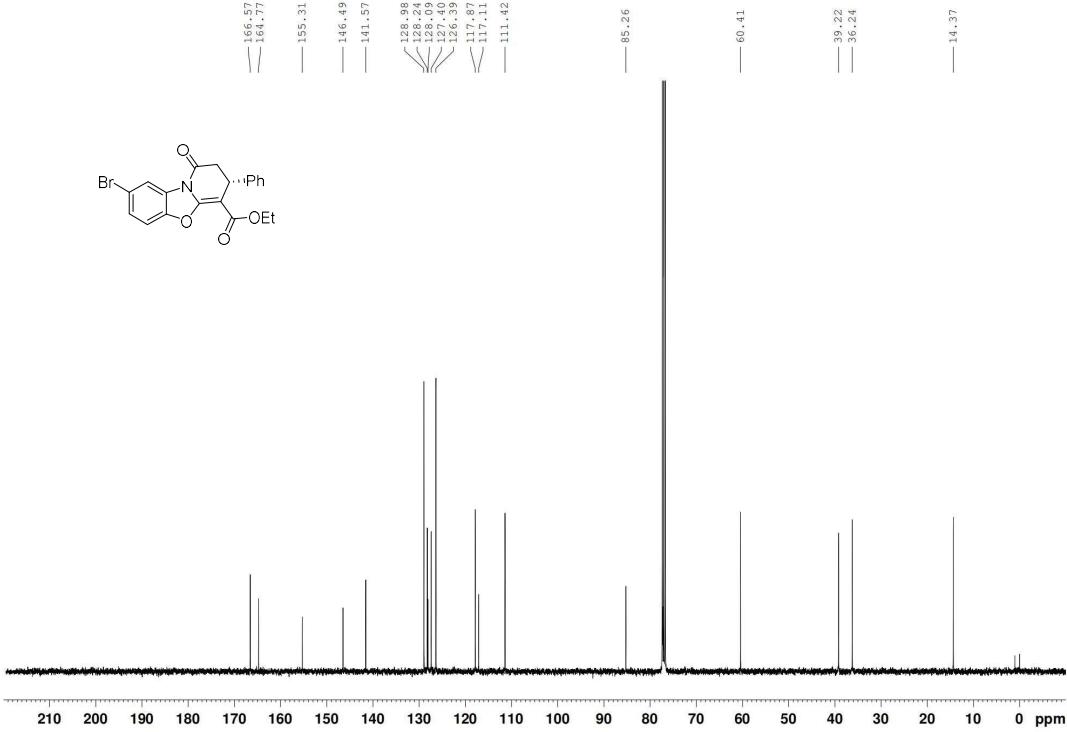
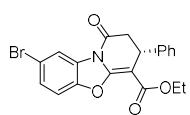
¹³C NMR spectrum of compound **3ba** (CDCl₃, 100 MHz)



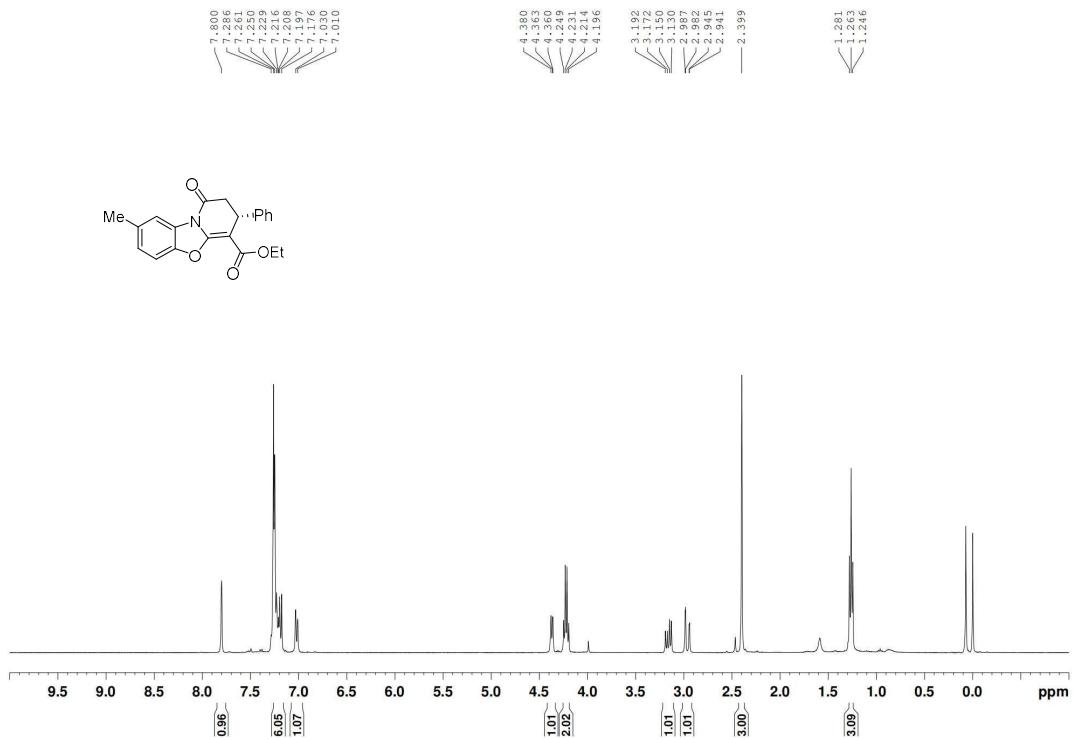
¹H NMR spectrum of compound **3bb** (CDCl₃, 400 MHz)



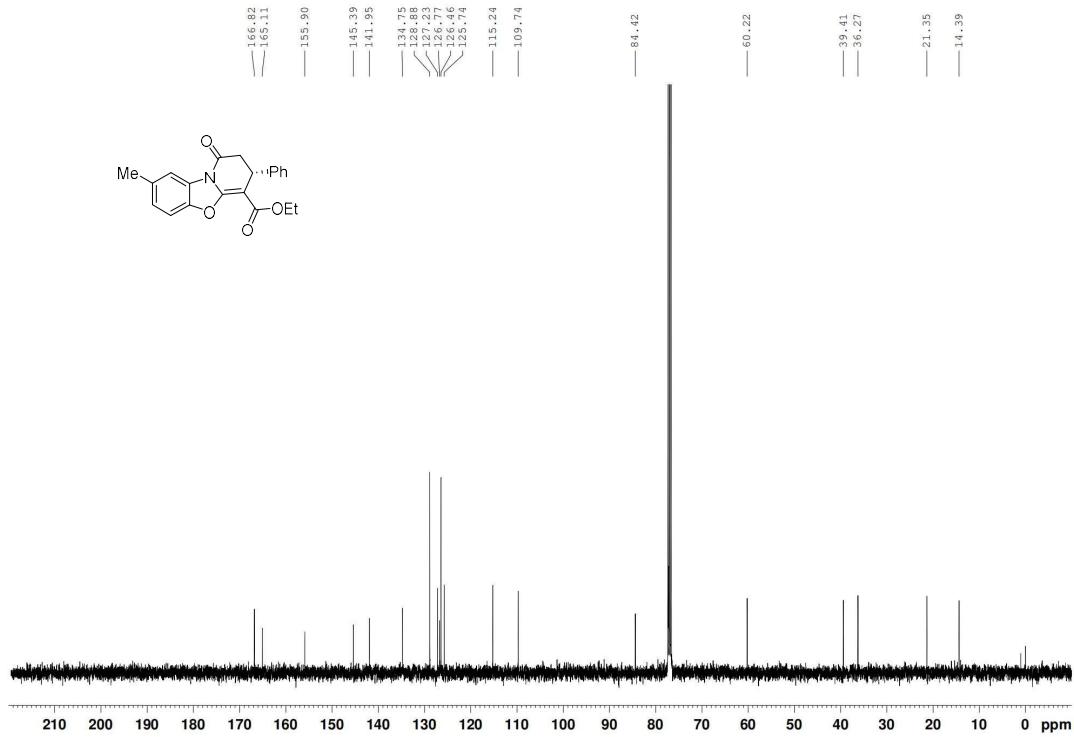
¹³C NMR spectrum of compound **3bb** (CDCl₃, 100 MHz)



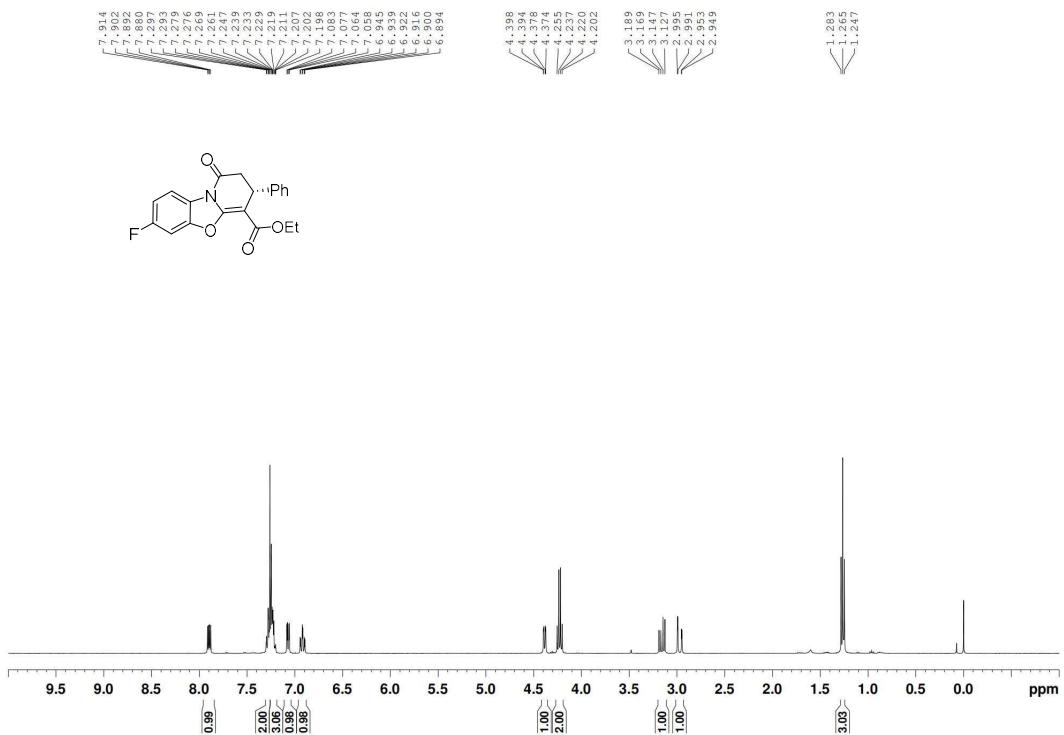
¹H NMR spectrum of compound **3bc** (CDCl₃, 400 MHz)



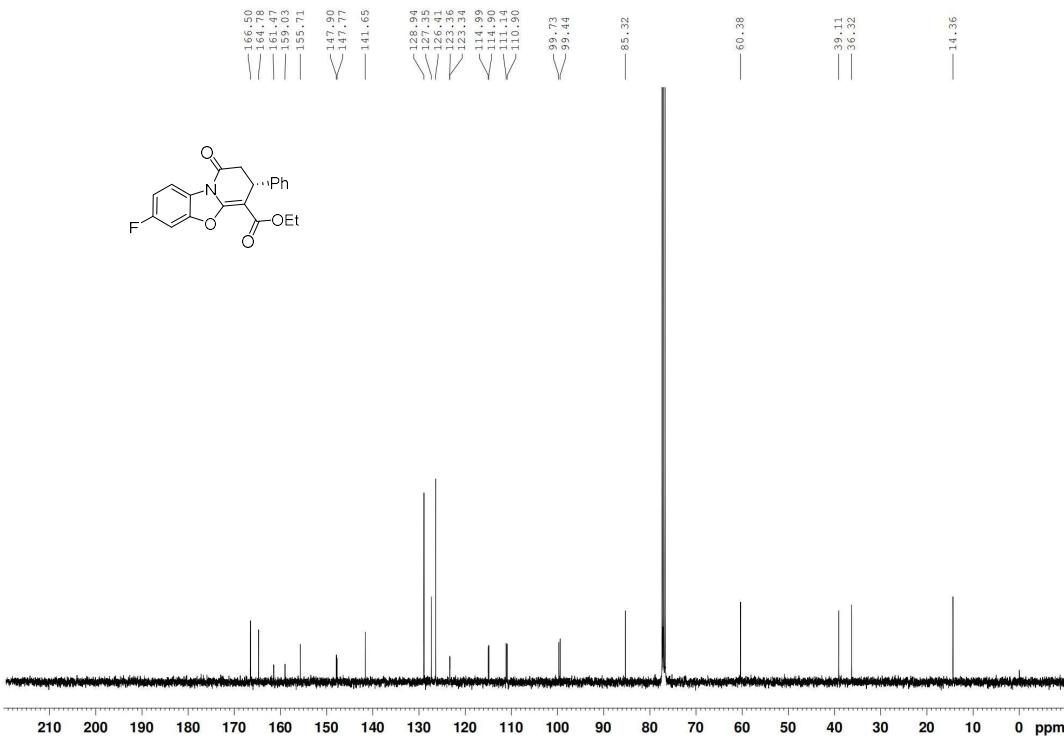
¹³C NMR spectrum of compound **3bc** (CDCl₃, 100 MHz)



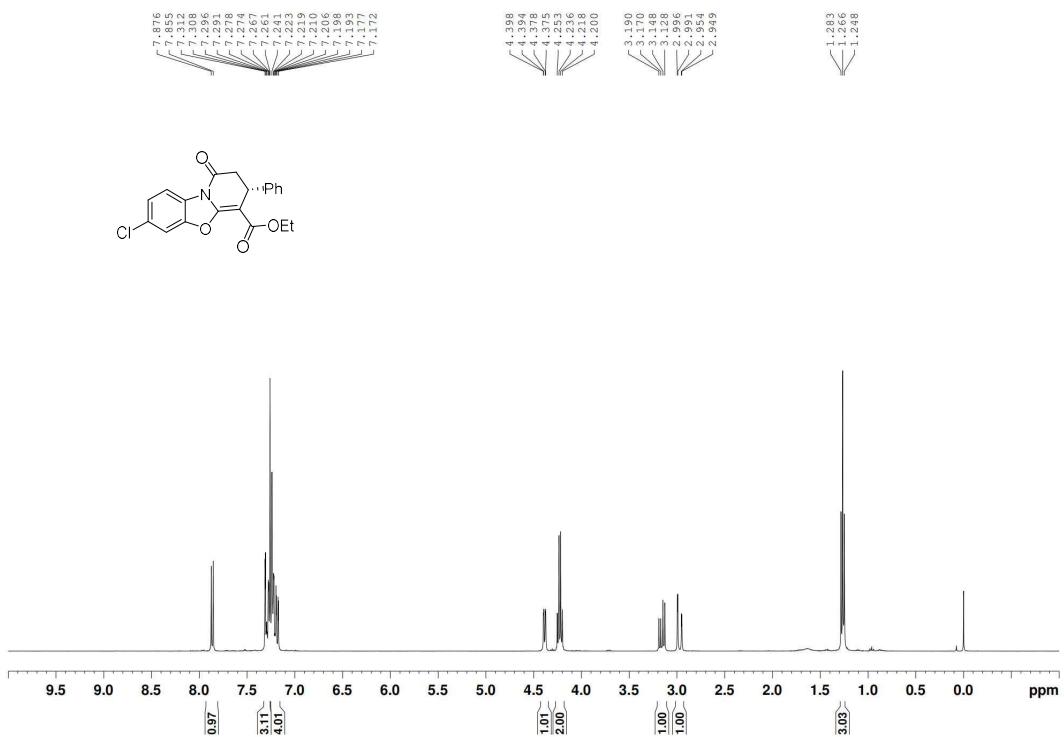
¹H NMR spectrum of compound **3bd** (CDCl₃, 400 MHz)



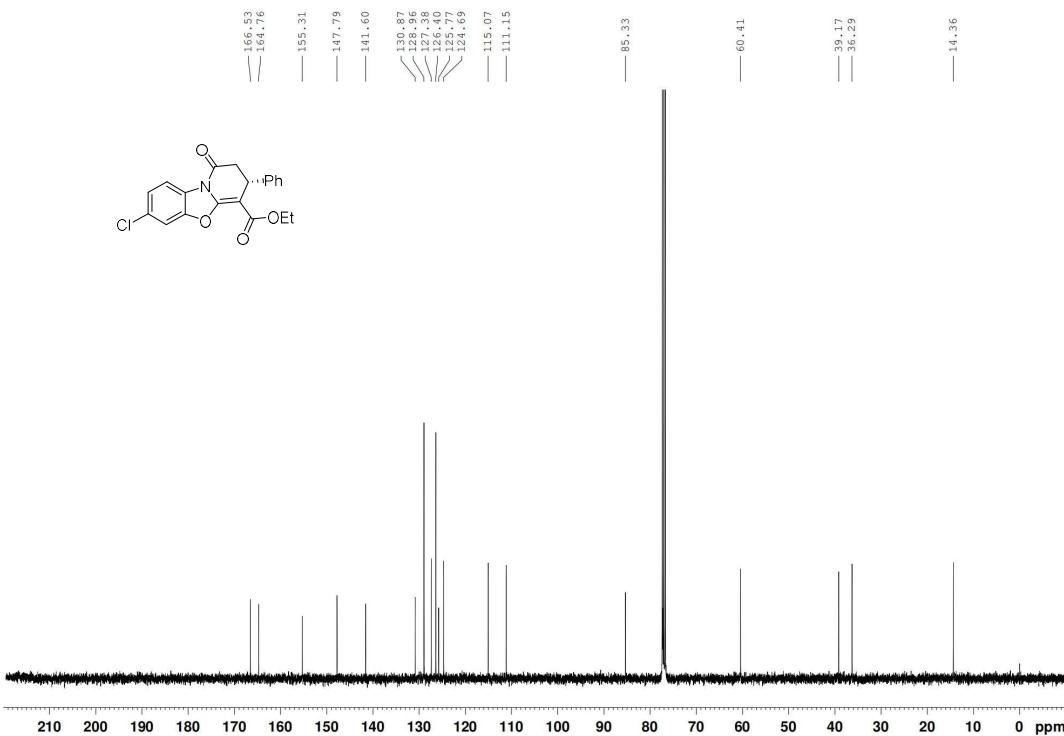
¹³C NMR spectrum of compound **3bd** (CDCl₃, 100 MHz)



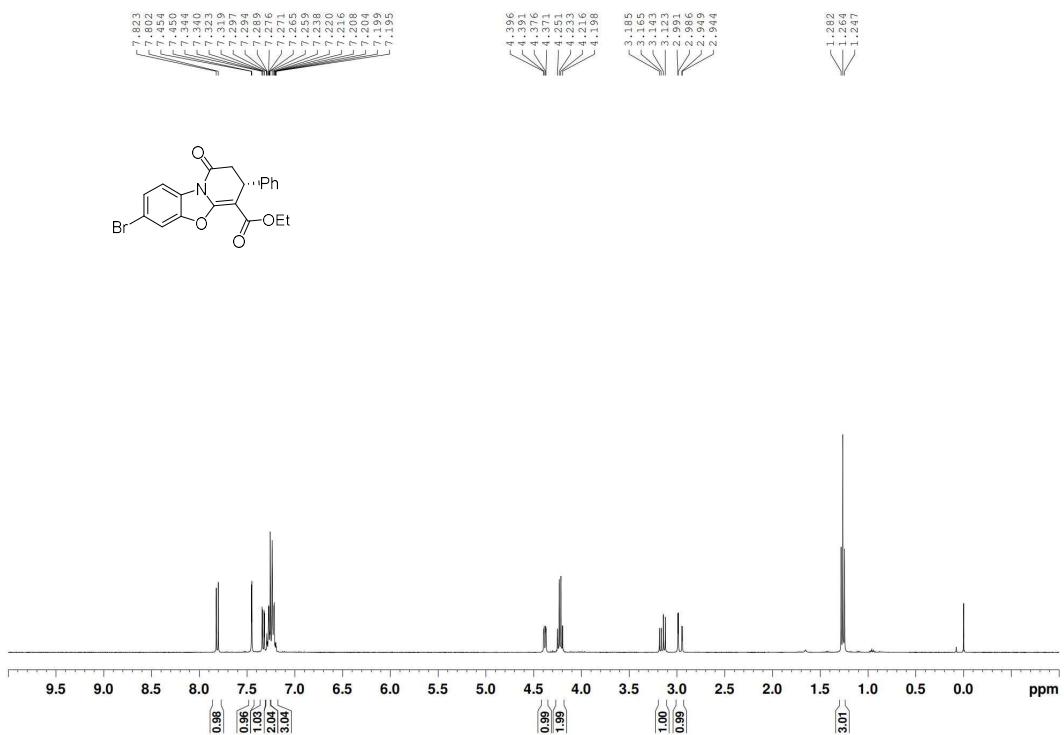
¹H NMR spectrum of compound **3be** (CDCl_3 , 400 MHz)



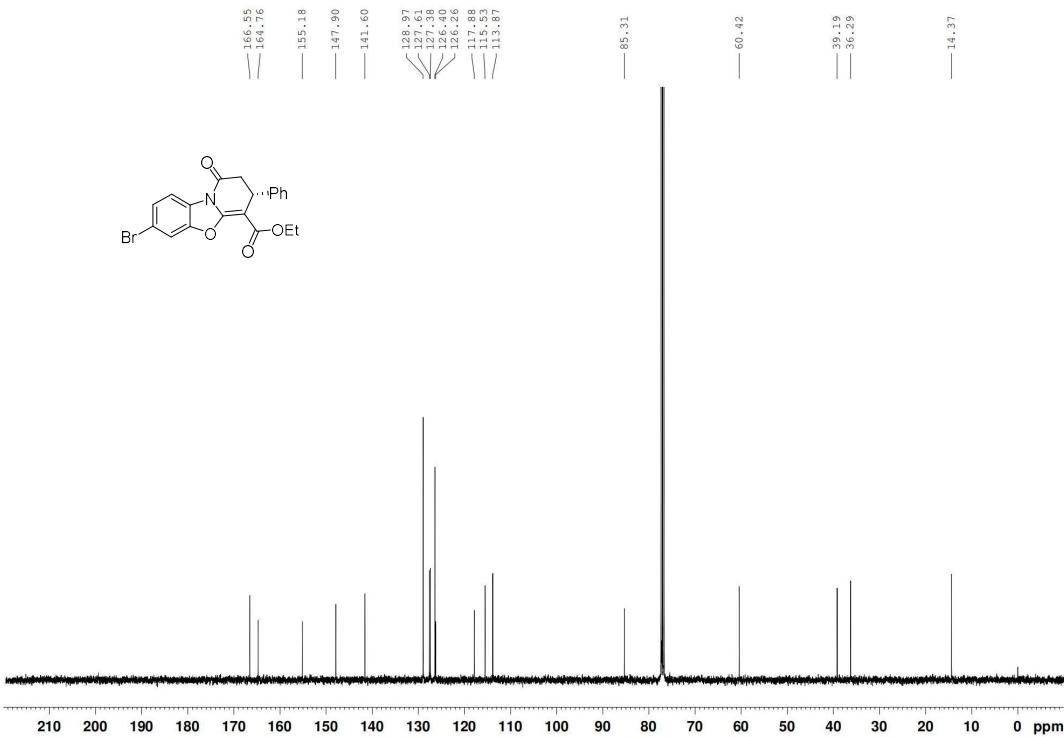
¹³C NMR spectrum of compound **3be** (CDCl_3 , 100 MHz)



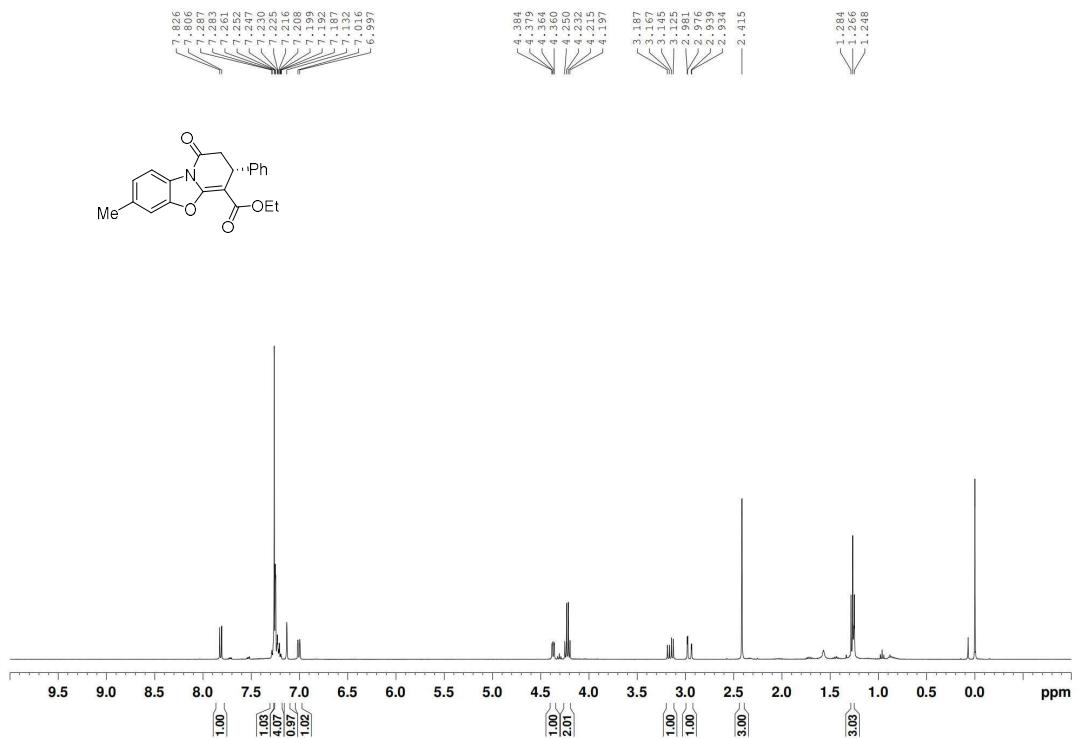
¹H NMR spectrum of compound **3bf** (CDCl₃, 400 MHz)



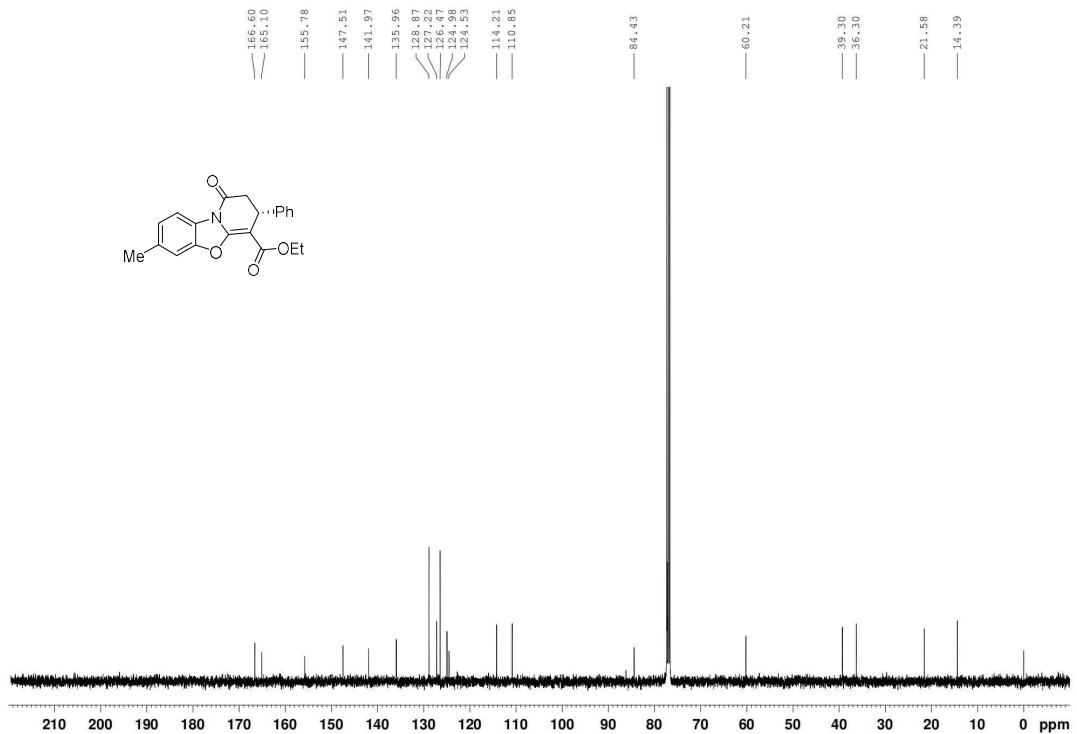
¹³C NMR spectrum of compound **3bf** (CDCl₃, 100 MHz)



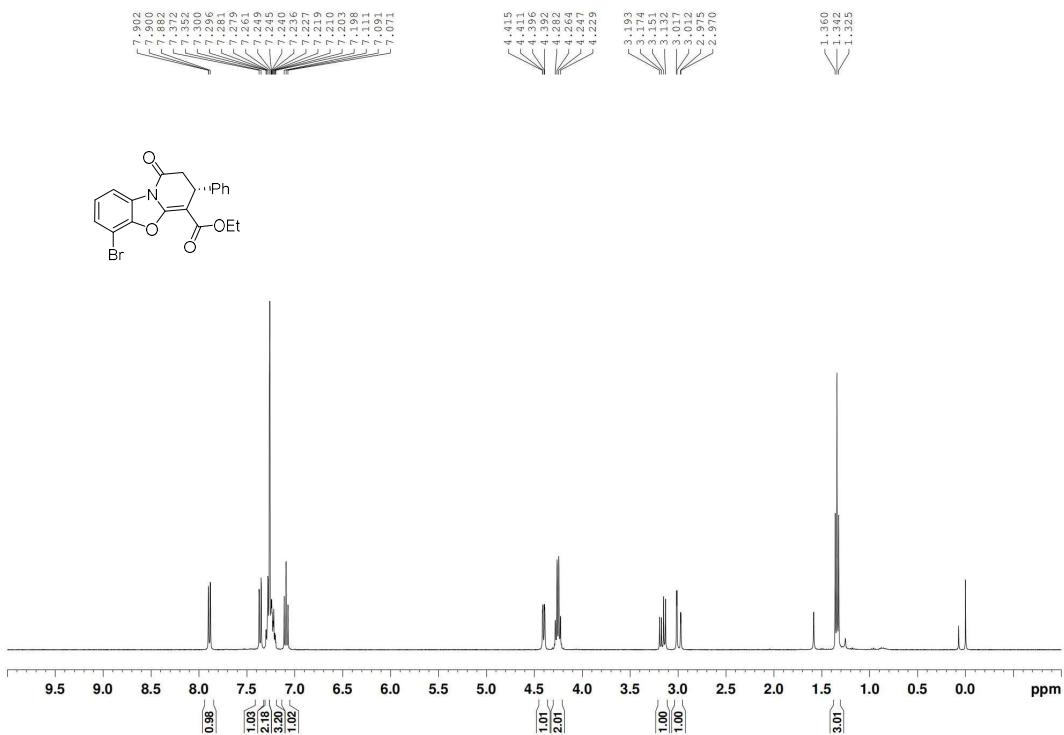
¹H NMR spectrum of compound **3bg** (CDCl₃, 400 MHz)



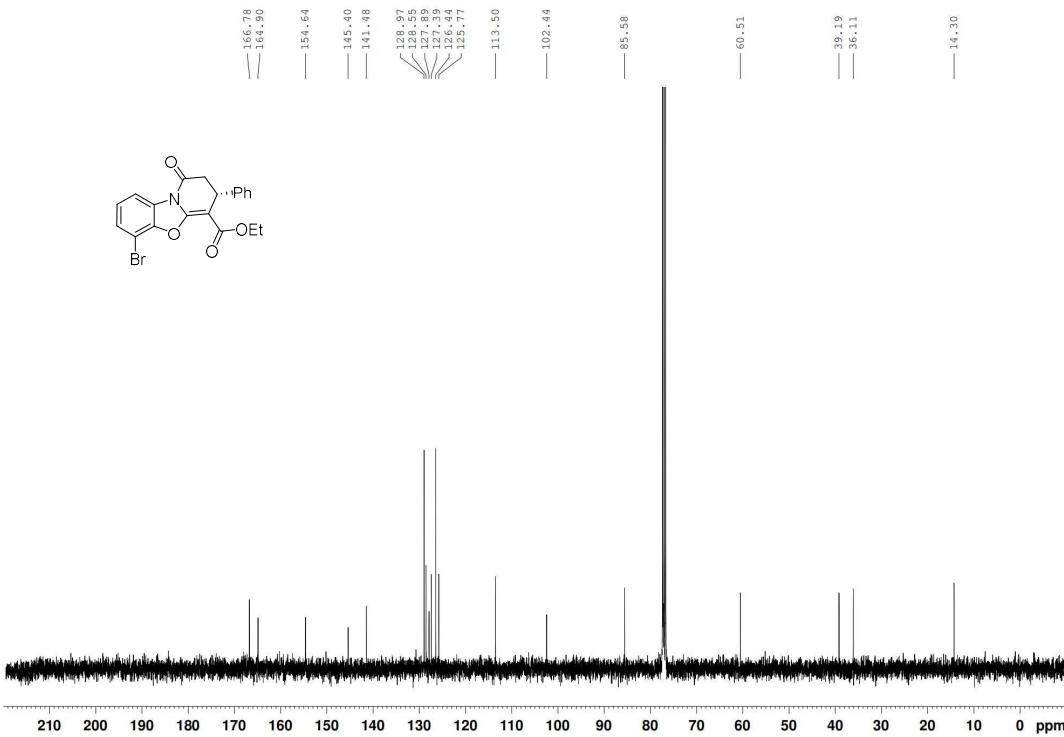
¹³C NMR spectrum of compound **3bg** (CDCl₃, 100 MHz)



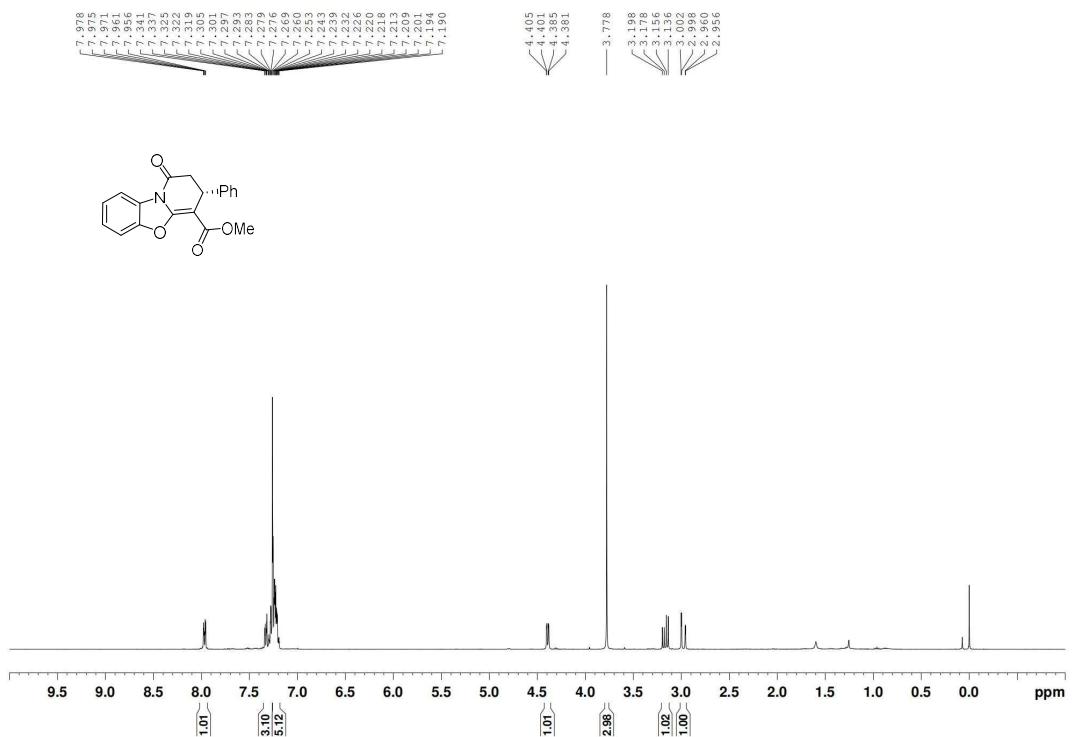
¹H NMR spectrum of compound **3bh** (CDCl₃, 400 MHz)



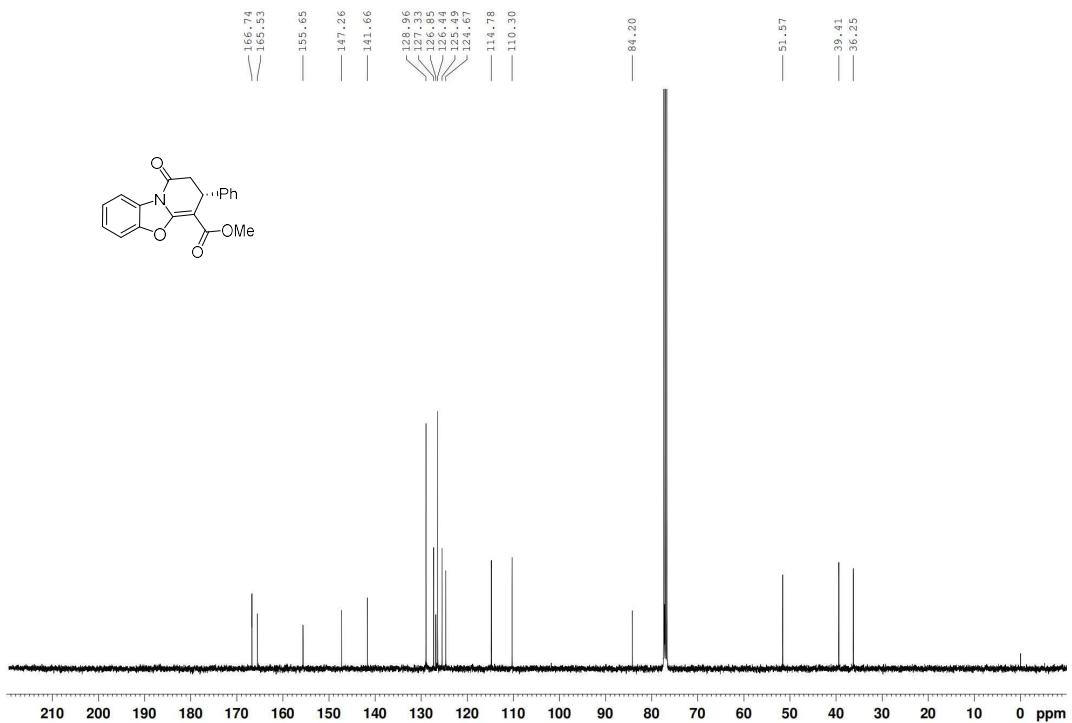
¹³C NMR spectrum of compound **3bh** (CDCl₃, 100 MHz)



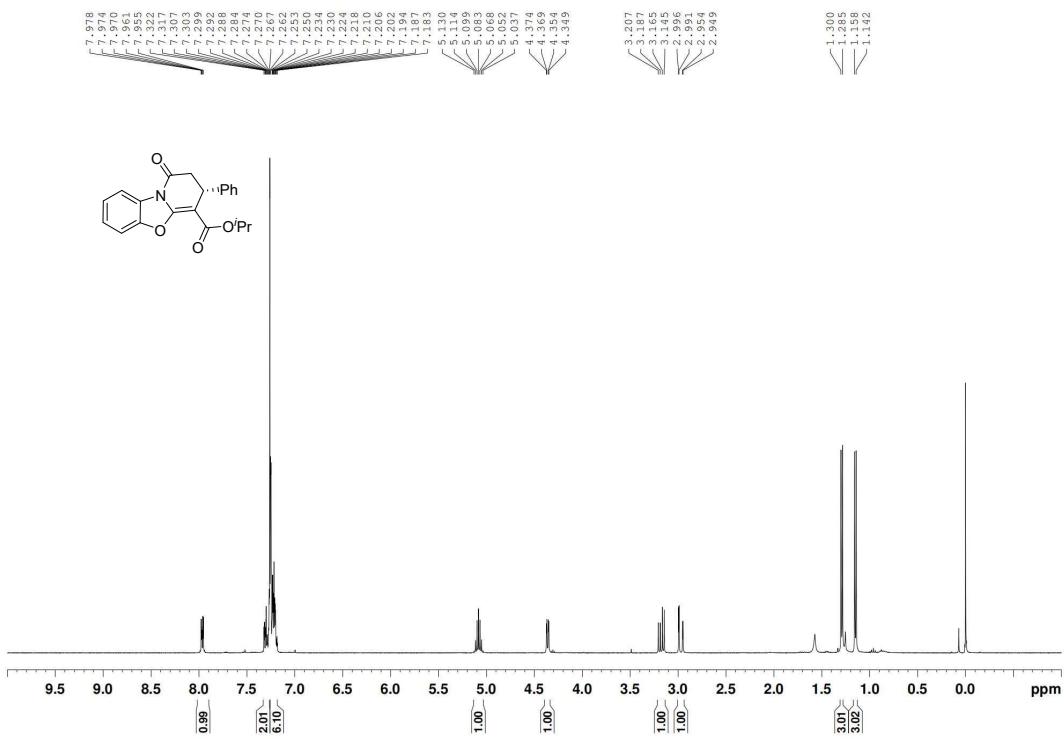
¹H NMR spectrum of compound **3bi** (CDCl₃, 400 MHz)



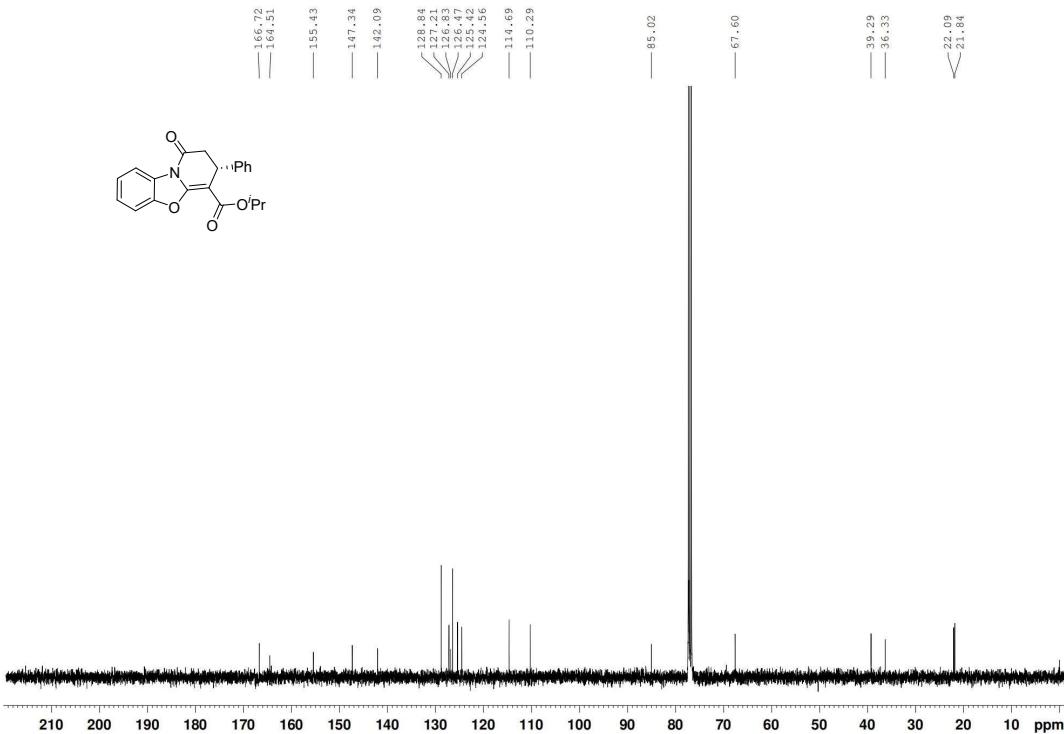
¹³C NMR spectrum of compound **3bi** (CDCl₃, 100 MHz)



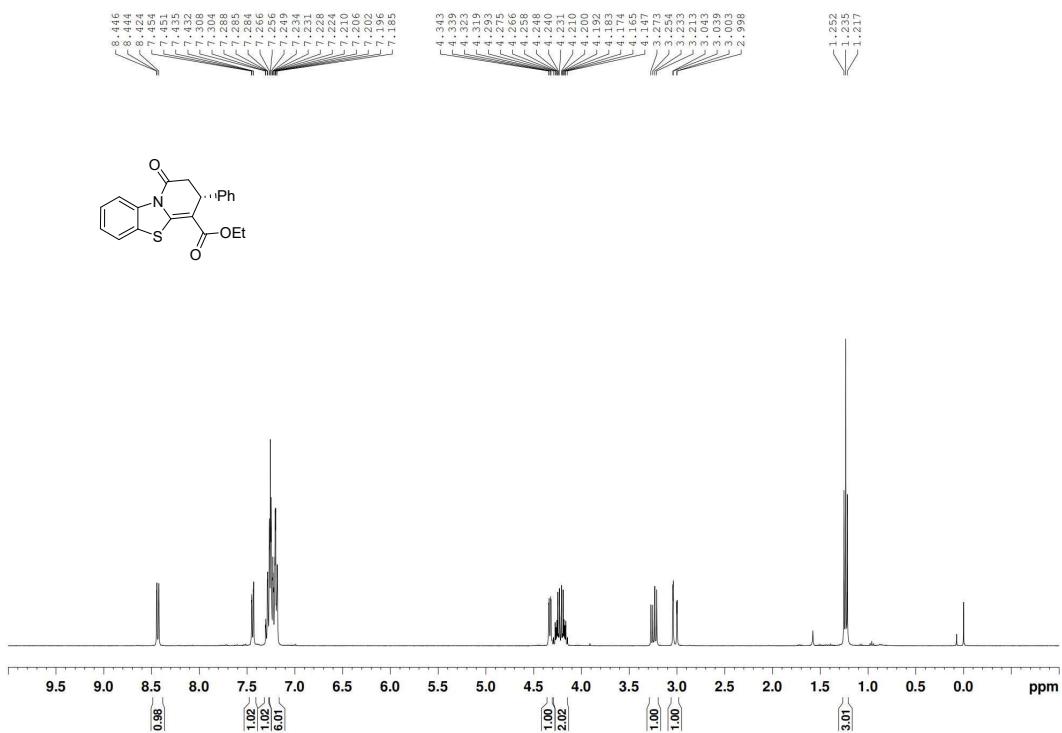
¹H NMR spectrum of compound **3bj** (CDCl₃, 400 MHz)



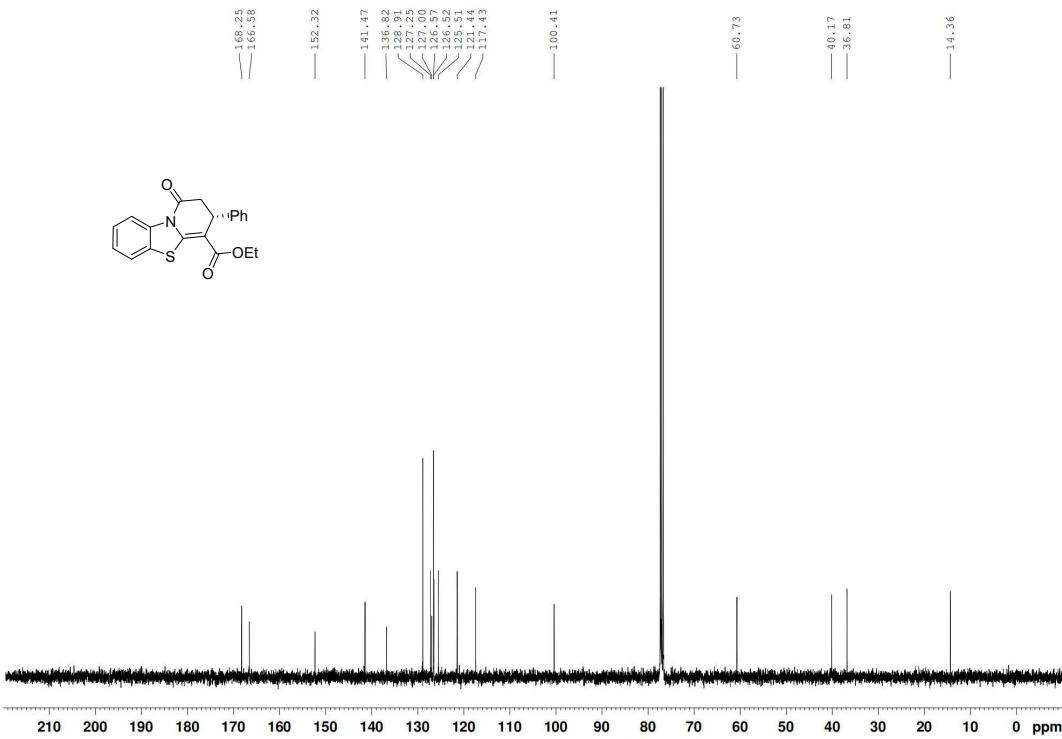
¹³C NMR spectrum of compound **3bj** (CDCl₃, 100 MHz)



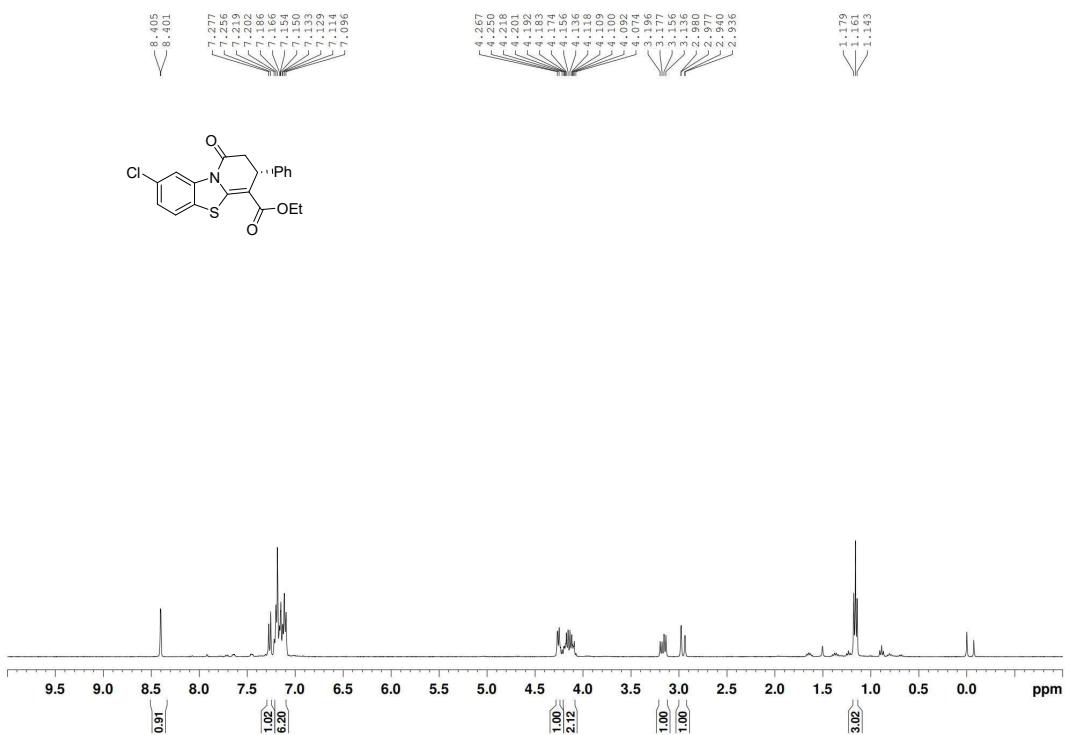
¹H NMR spectrum of compound **3bk** (CDCl₃, 400 MHz)



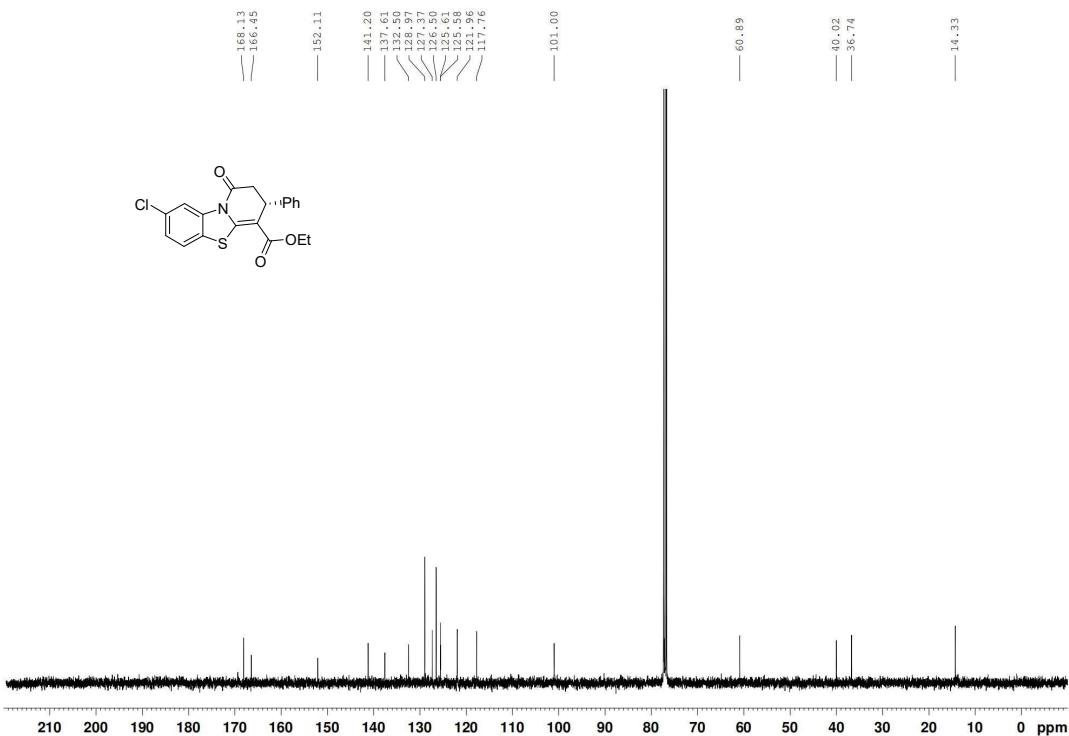
¹³C NMR spectrum of compound **3bk** (CDCl₃, 100 MHz)



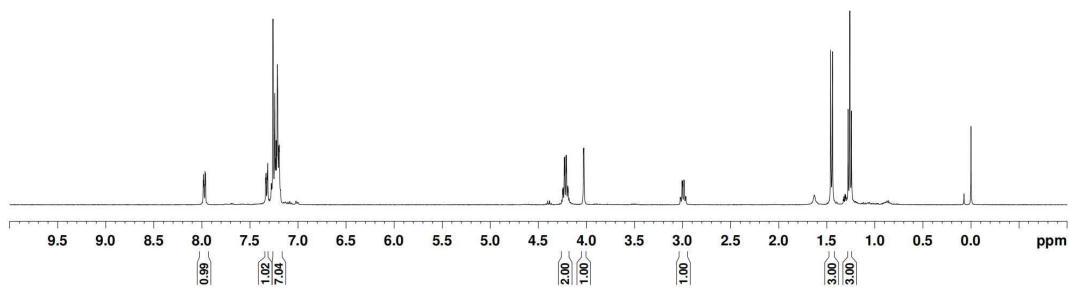
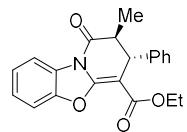
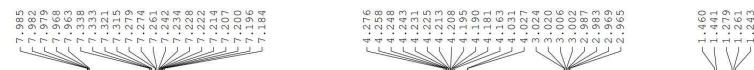
¹H NMR spectrum of compound **3bl** (CDCl₃, 400 MHz)



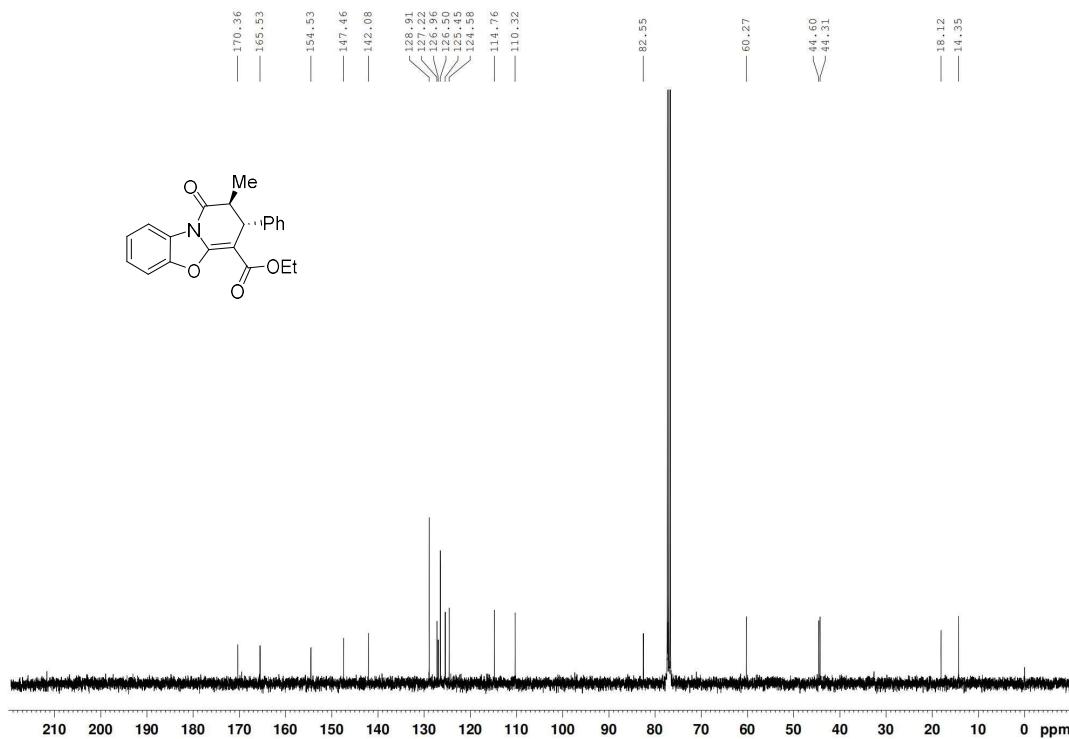
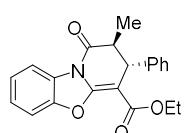
¹³C NMR spectrum of compound **3bl** (CDCl₃, 100 MHz)



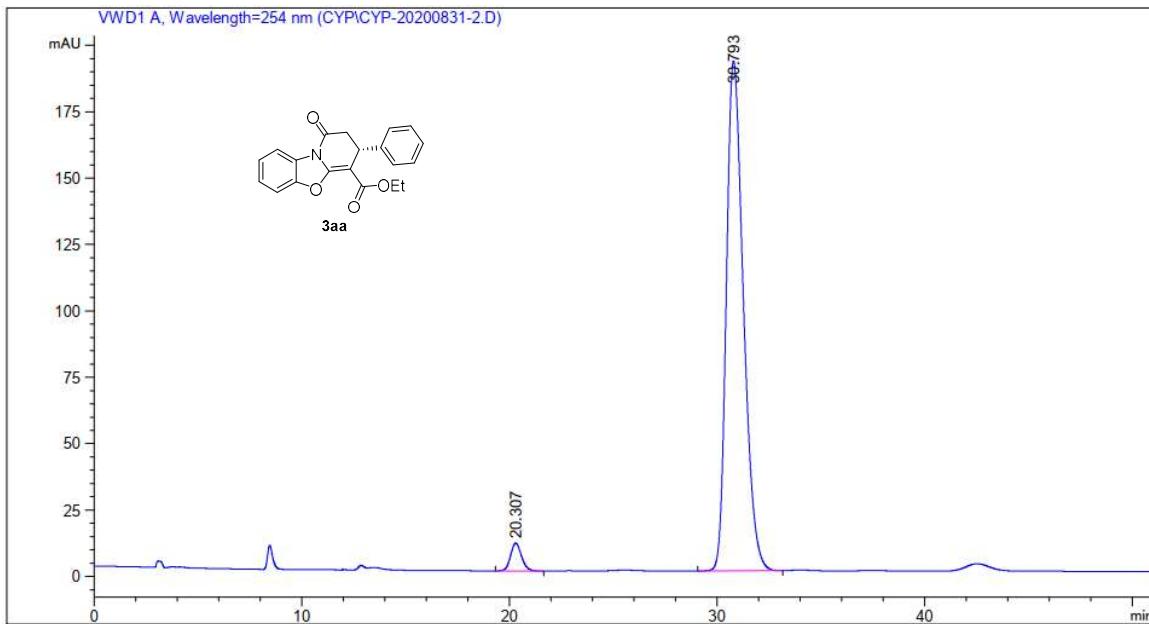
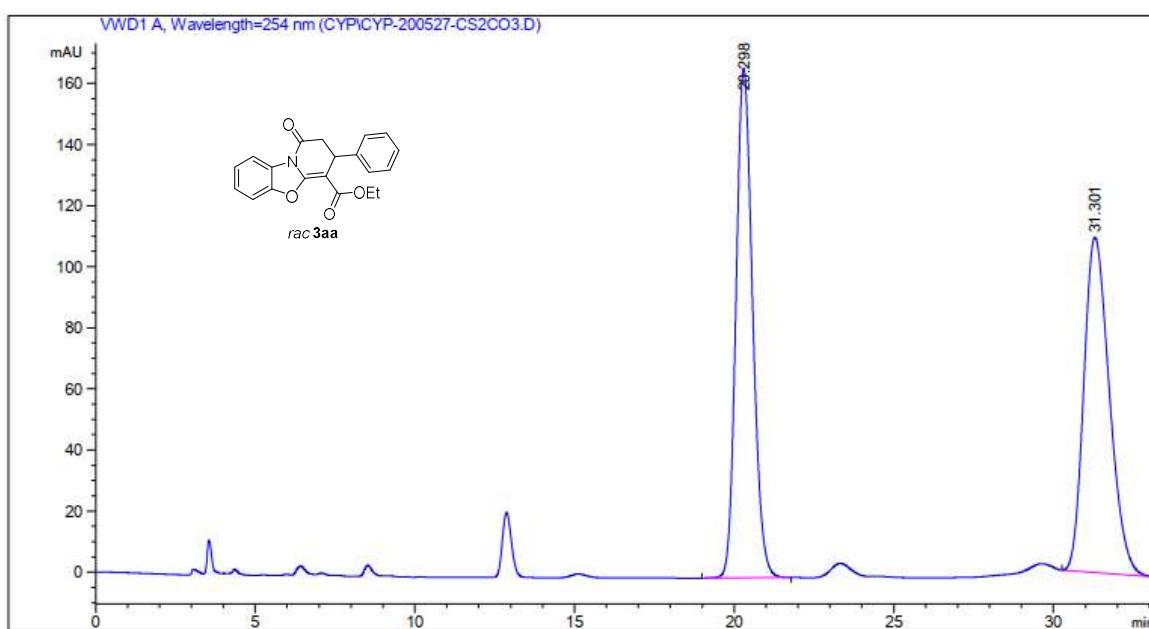
¹H NMR spectrum of compound **4a** (CDCl₃, 400 MHz)

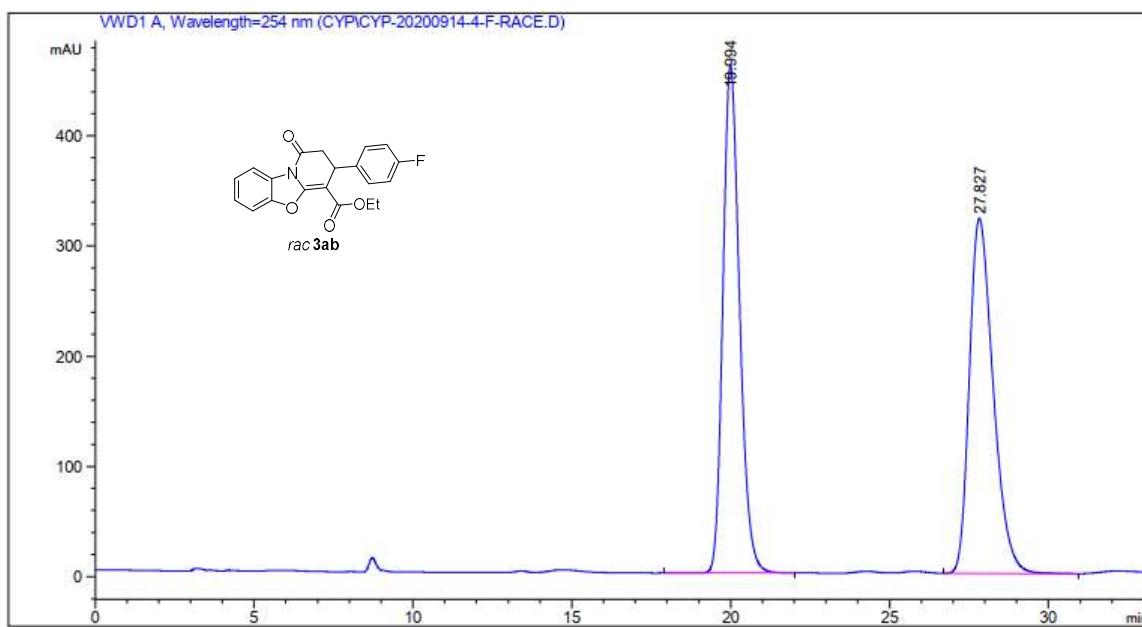


¹³C NMR spectrum of compound **4a** (CDCl₃, 100 MHz)

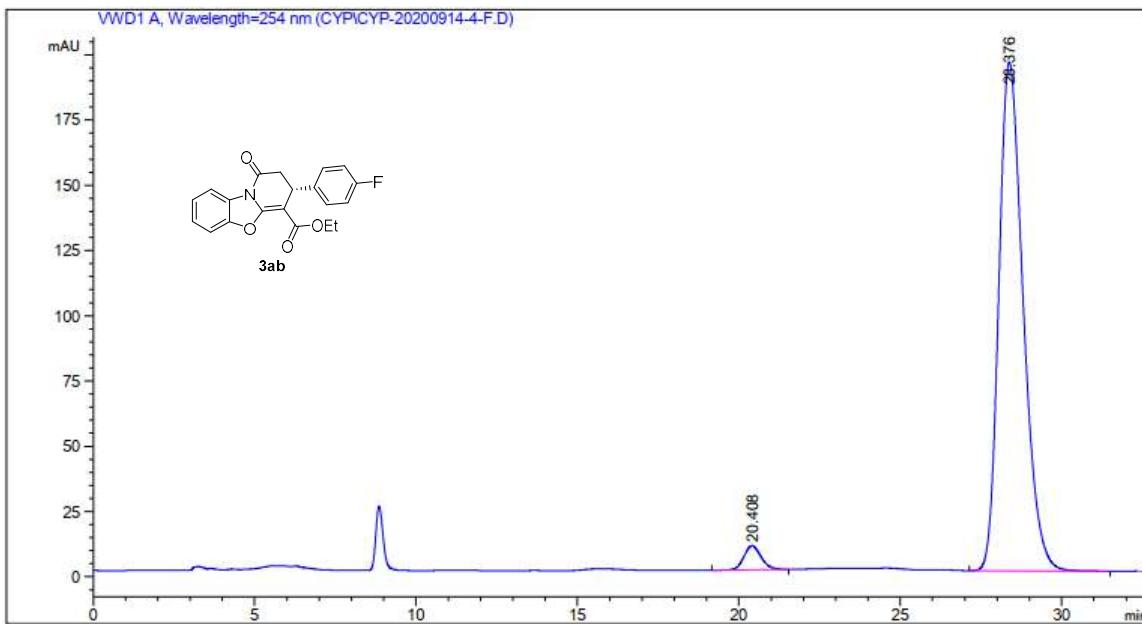


8. HPLC spectra for compounds 3aa–3bl and 4a

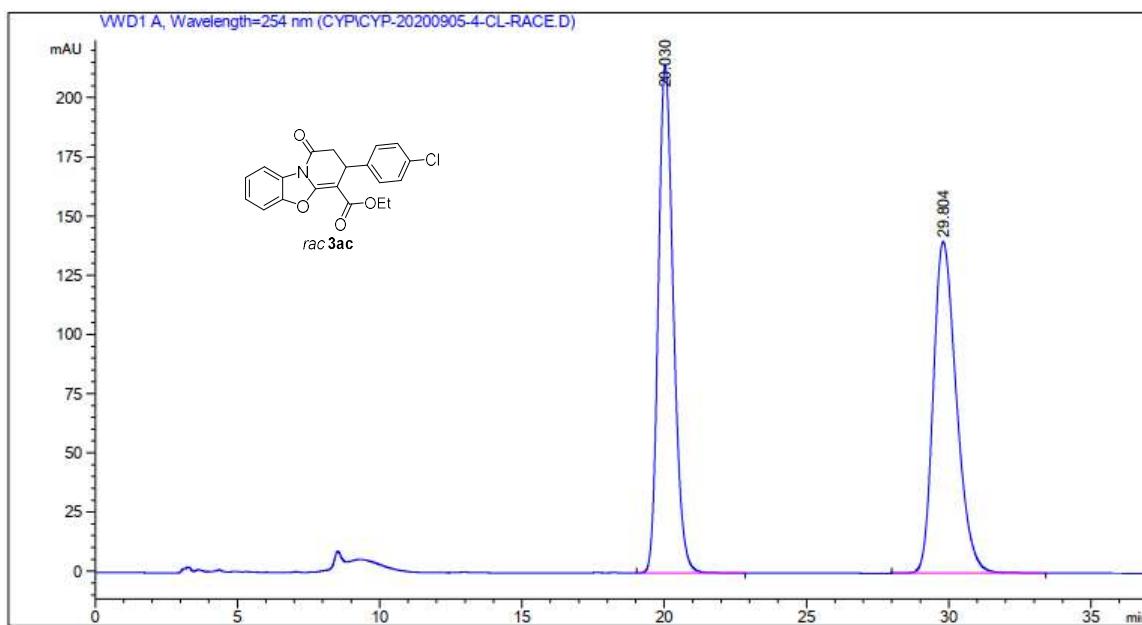




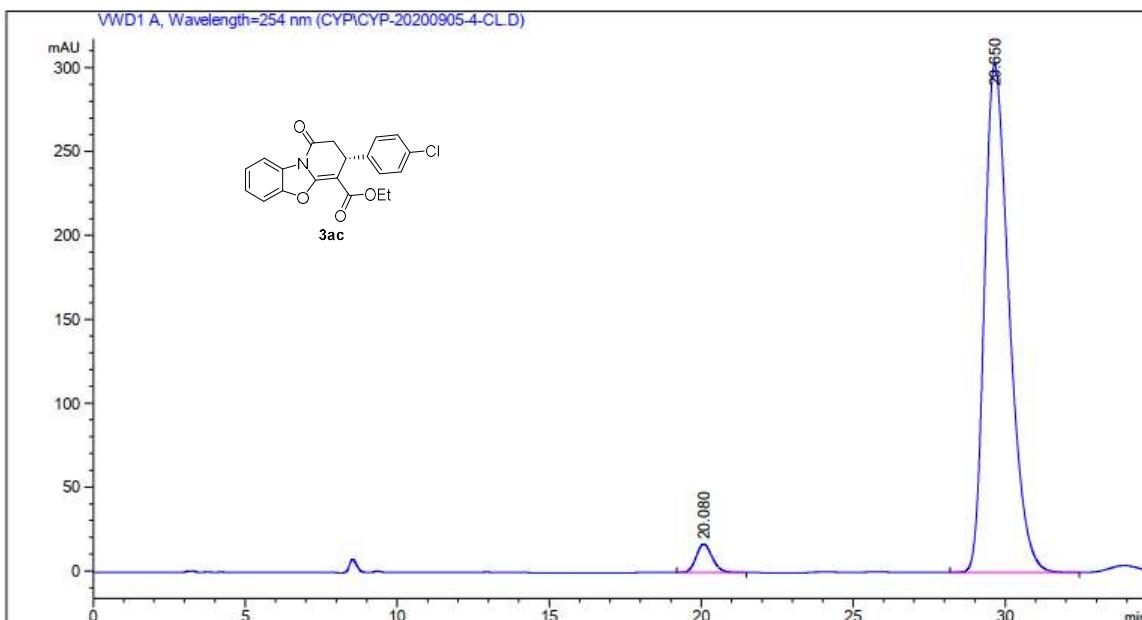
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	19.994	1.67987e4	460.32977	50.0674
2	PDA 254 nm	27.827	1.67535e4	322.24973	49.9326



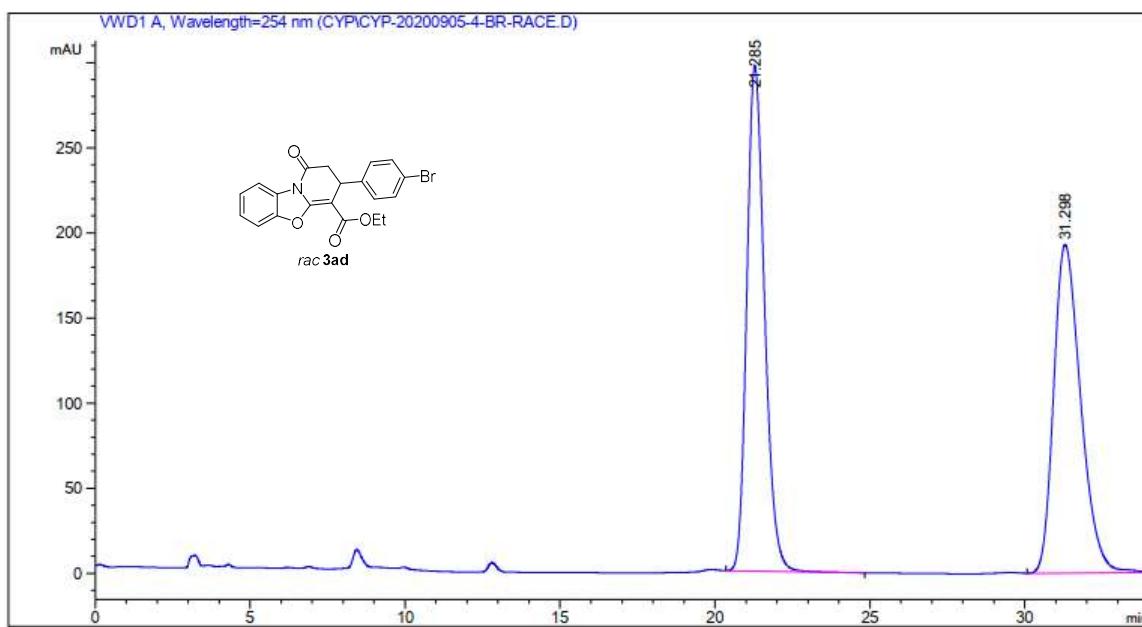
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	20.408	338.99246	9.19481	3.2225
2	PDA 254 nm	28.376	1.01804e4	194.85330	96.7775



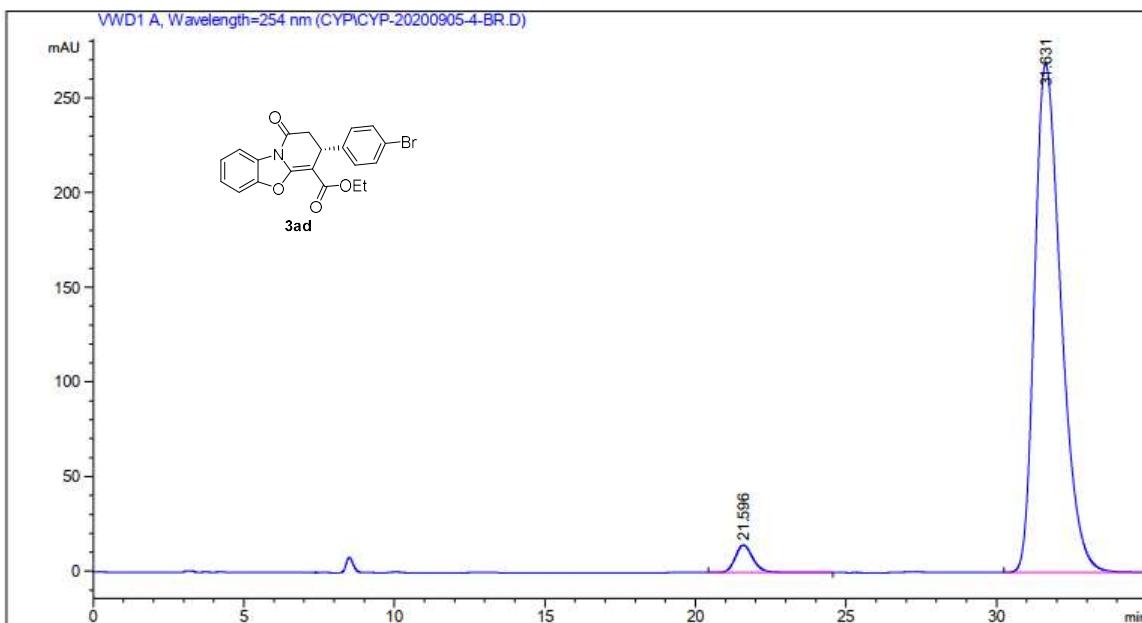
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	20.030	7871.58838	214.30270	49.8838
2	PDA 254 nm	29.804	7908.25098	140.05756	50.1162



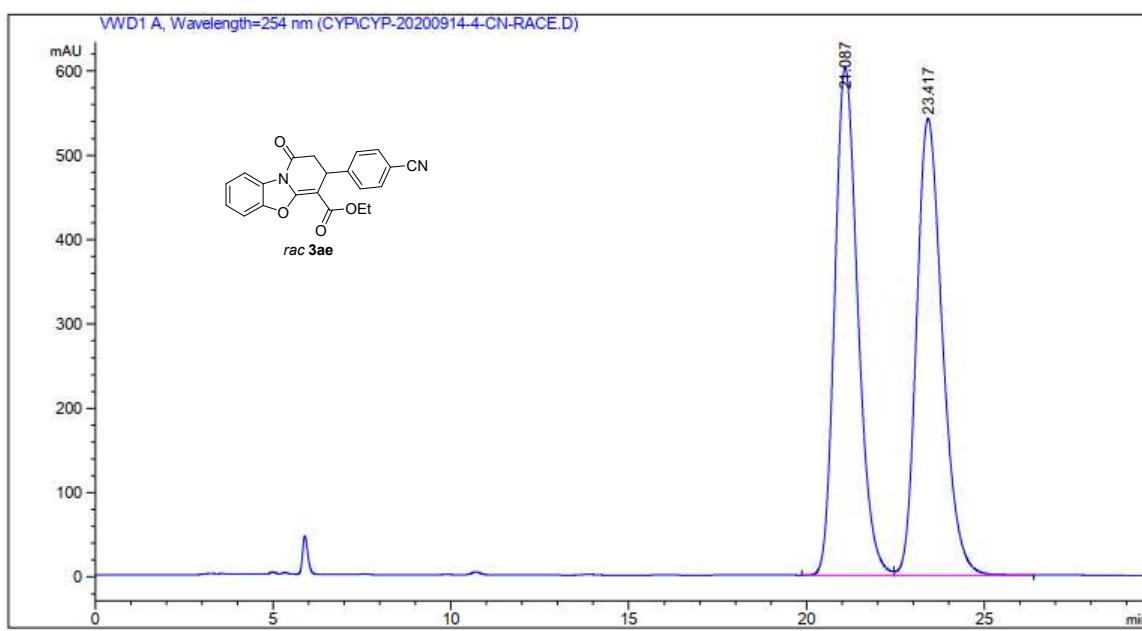
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	20.080	622.45898	16.94693	3.5176
2	PDA 254 nm	29.650	1.70731e4	303.21915	96.4824



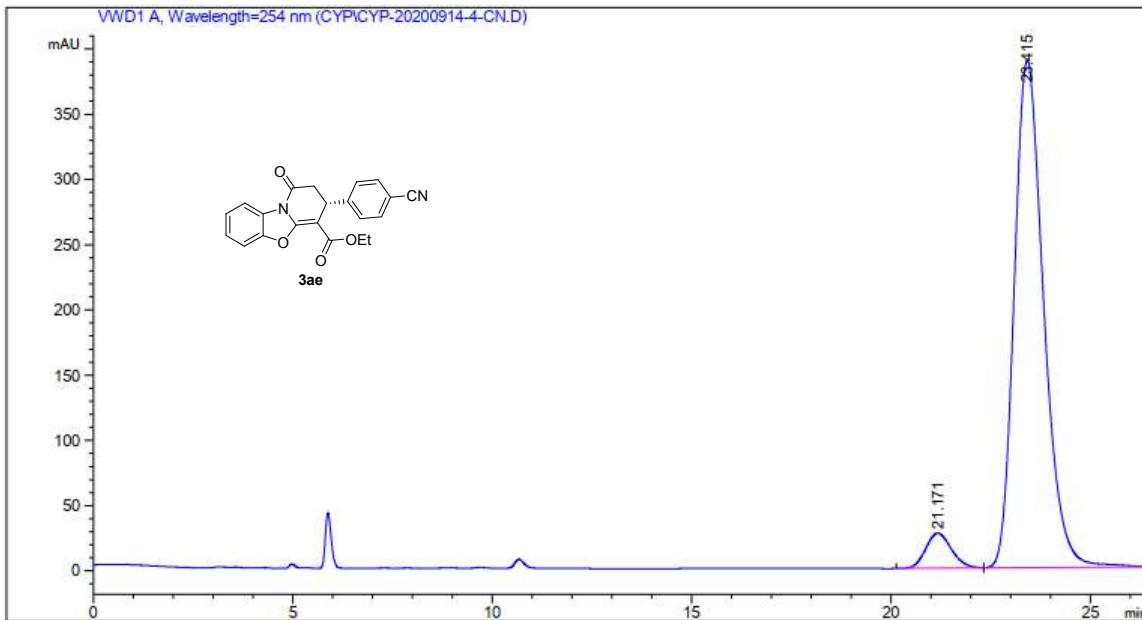
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	21.285	1.17983e4	296.81769	50.2767
2	PDA 254 nm	31.298	1.16684e4	192.89743	49.7233



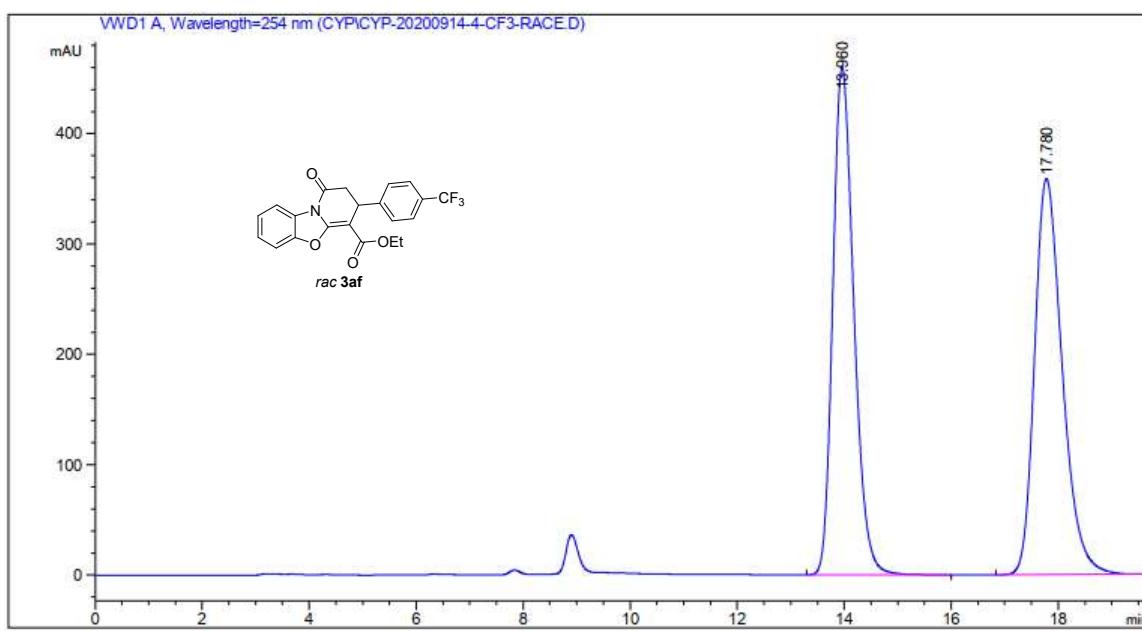
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	21.596	600.28424	14.52697	3.5377
2	PDA 254 nm	31.631	1.63680e4	268.64886	96.4623



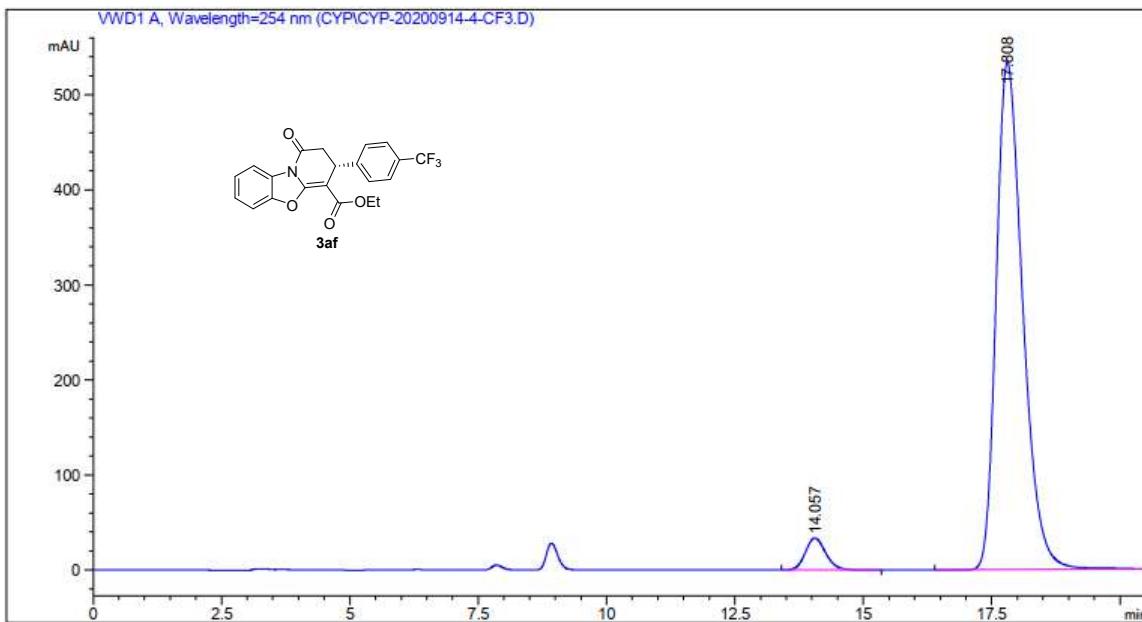
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	21.087	2.71015e4	602.21423	49.8718
2	PDA 254 nm	23.417	2.72408e4	541.13568	50.1282



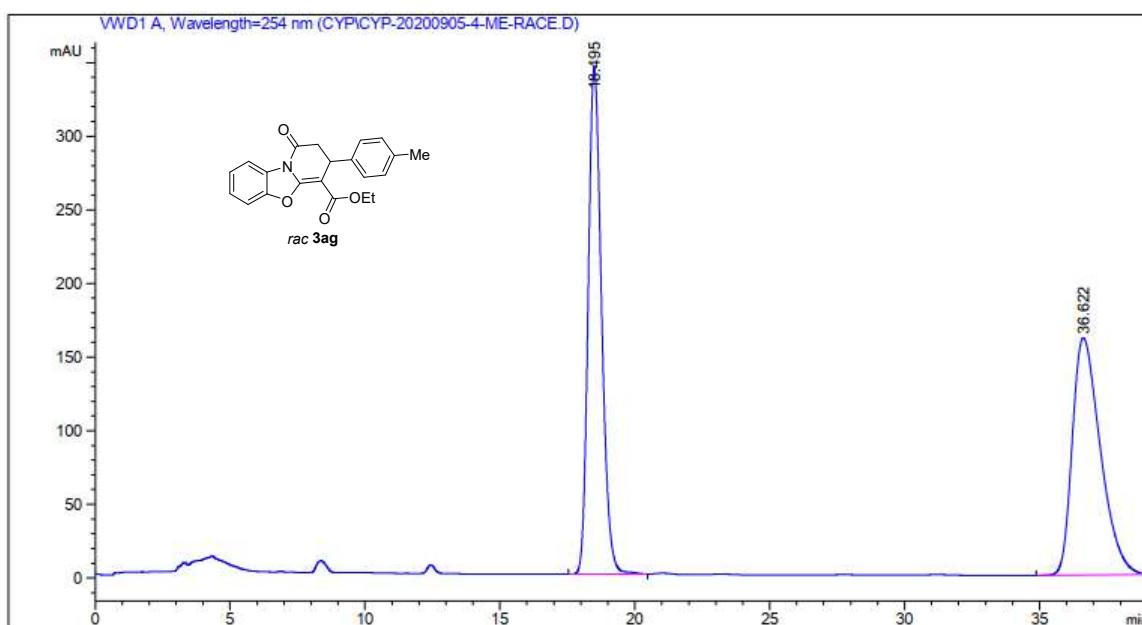
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	21.171	1205.31079	26.91505	5.7167
2	PDA 254 nm	23.415	1.98786e4	388.87106	94.2833



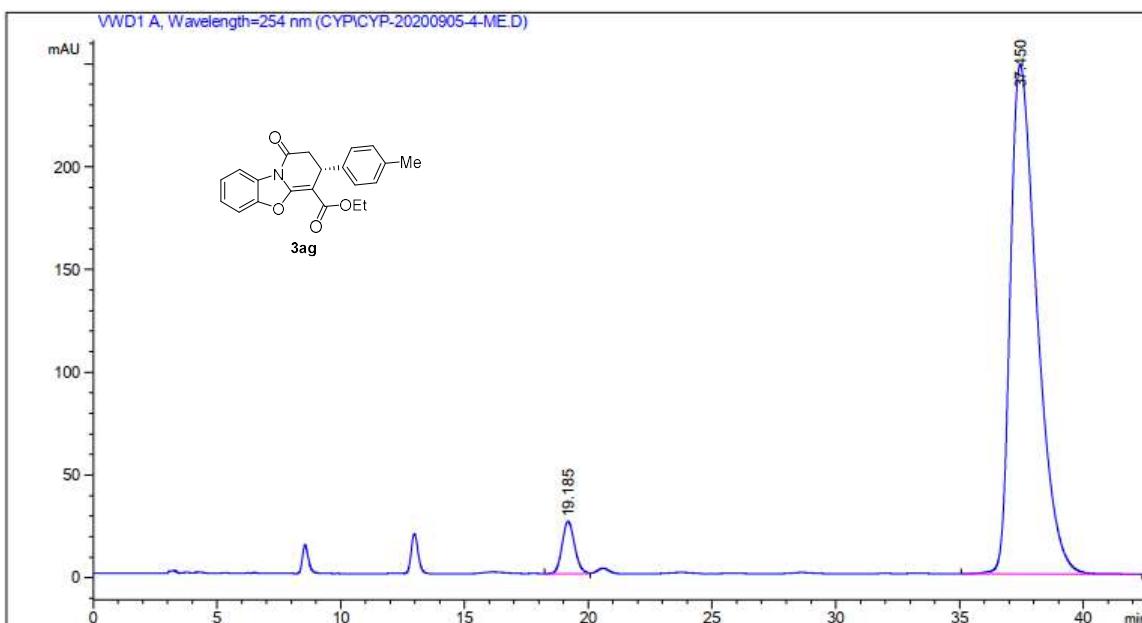
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	13.960	1.25232e4	459.76578	49.3095
2	PDA 254 nm	17.780	1.28739e4	358.77695	50.6905



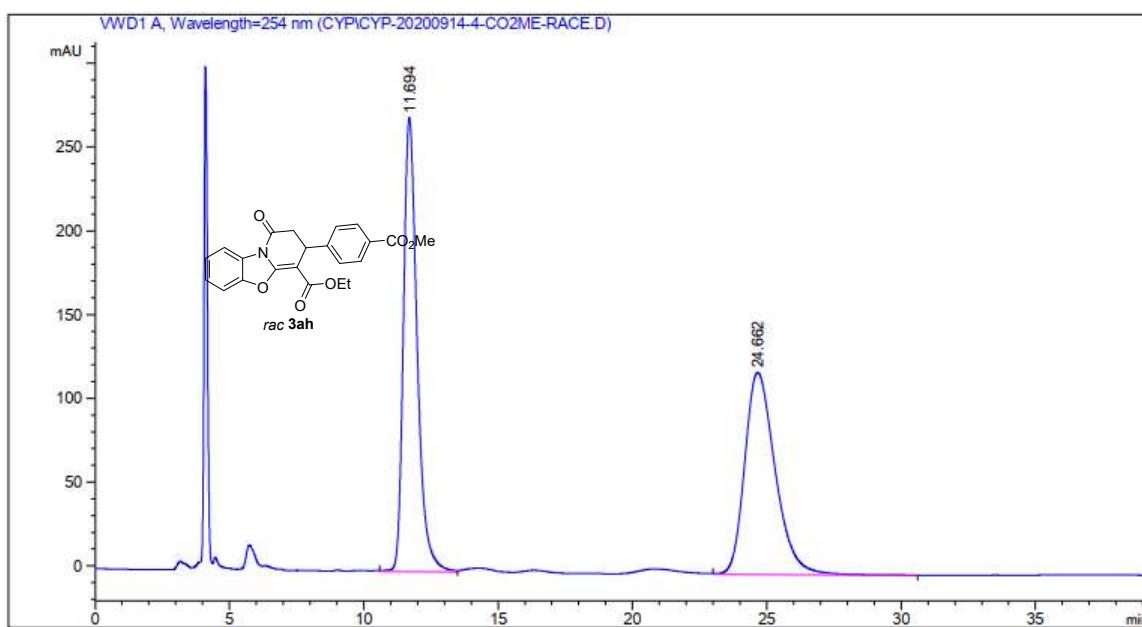
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	14.057	929.37653	33.67273	4.6185
2	PDA 254 nm	17.808	1.91936e4	533.90491	95.3815



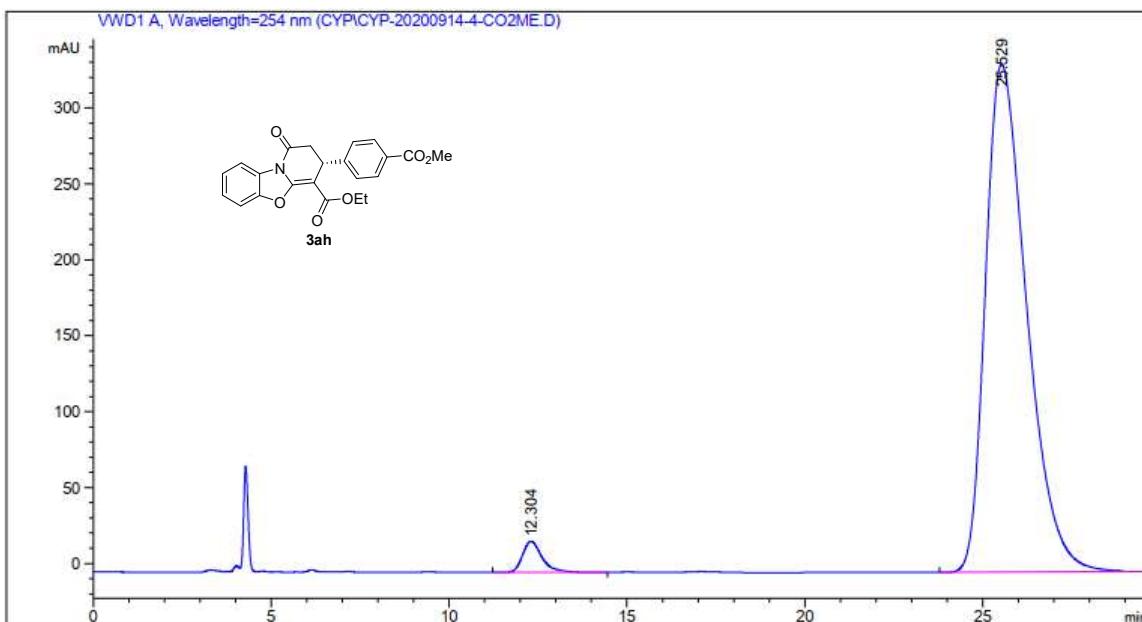
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	18.495	1.18023e4	344.18829	50.3496
2	PDA 254 nm	36.622	1.16384e4	161.12749	49.6504



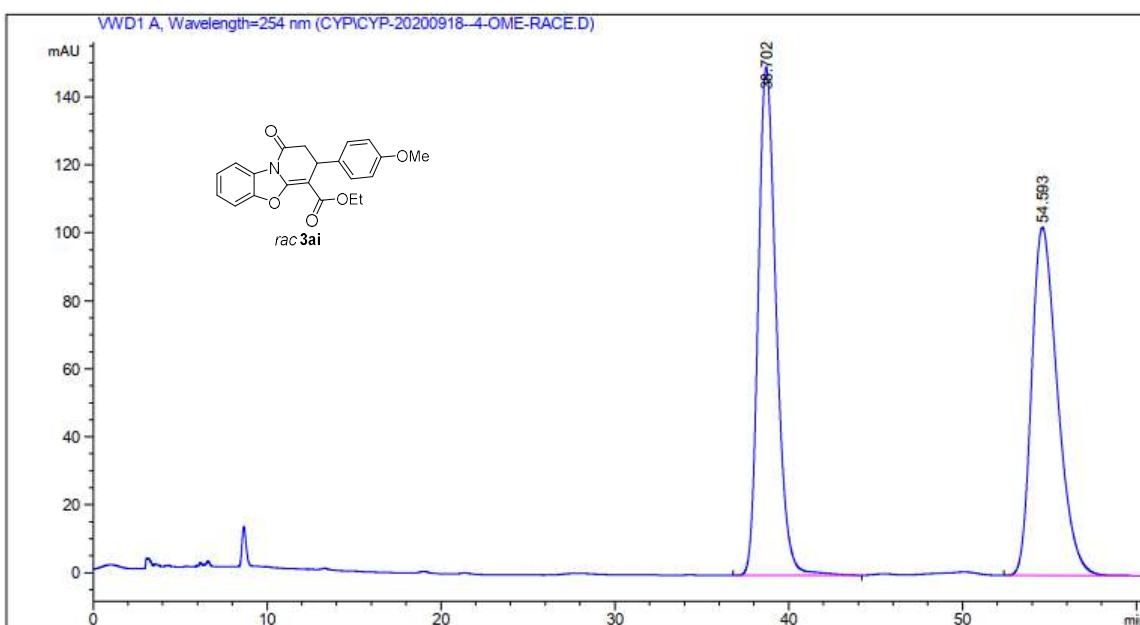
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	19.185	897.43665	25.49978	4.6326
2	PDA 254 nm	37.450	1.84748e4	247.48122	95.3674



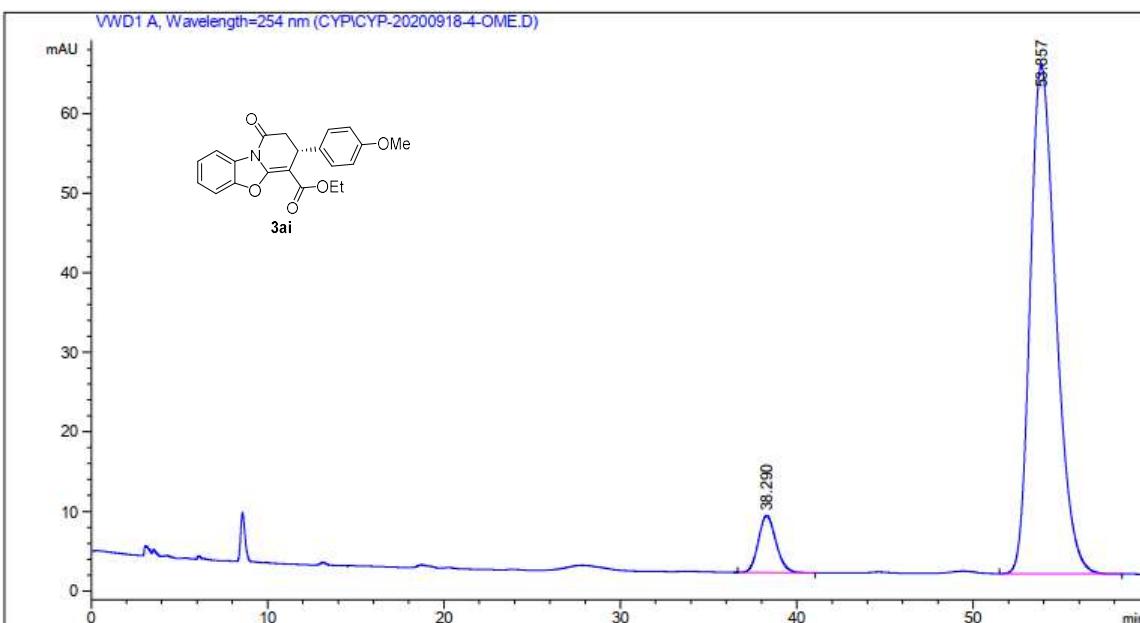
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	11.694	9623.54199	270.94858	50.2898
2	PDA 254 nm	24.662	9512.61621	120.62074	49.7102



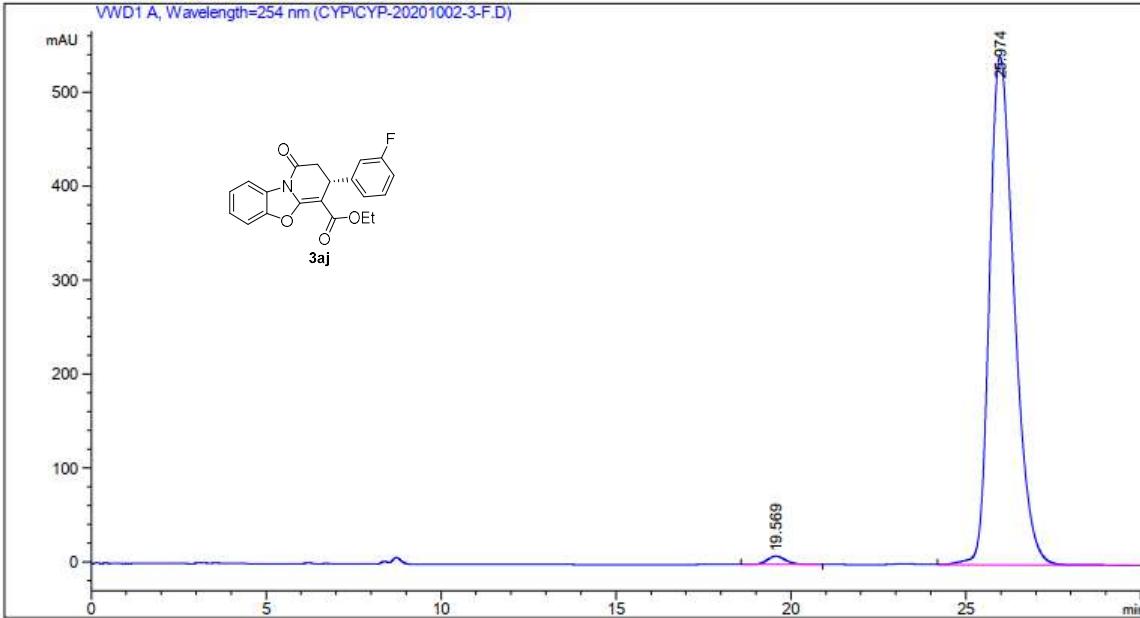
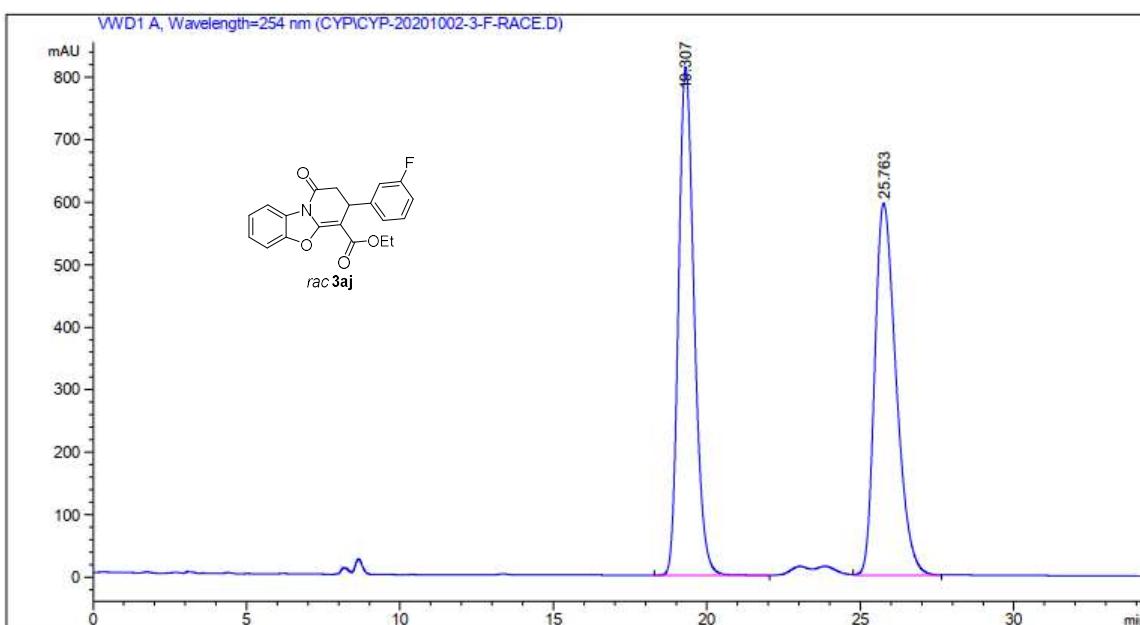
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	12.304	797.24841	20.60139	2.8794
2	PDA 254 nm	25.529	2.68907e4	334.49060	97.1206

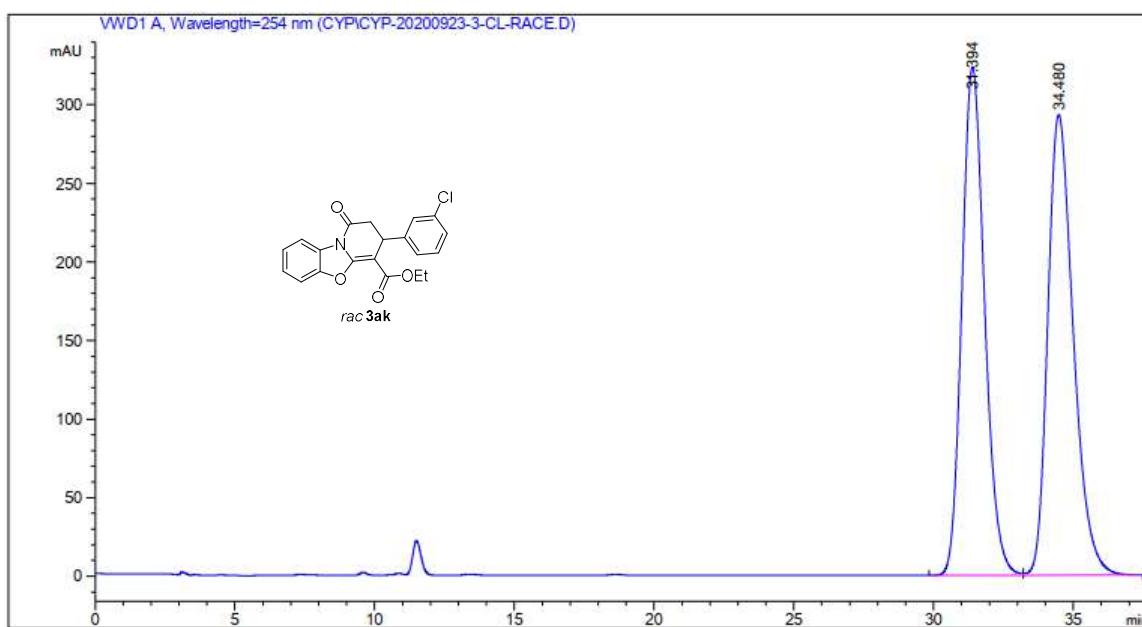


Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	38.702	1.07478e4	149.53275	50.3708
2	PDA 254 nm	54.593	1.05896e4	102.46915	49.6292

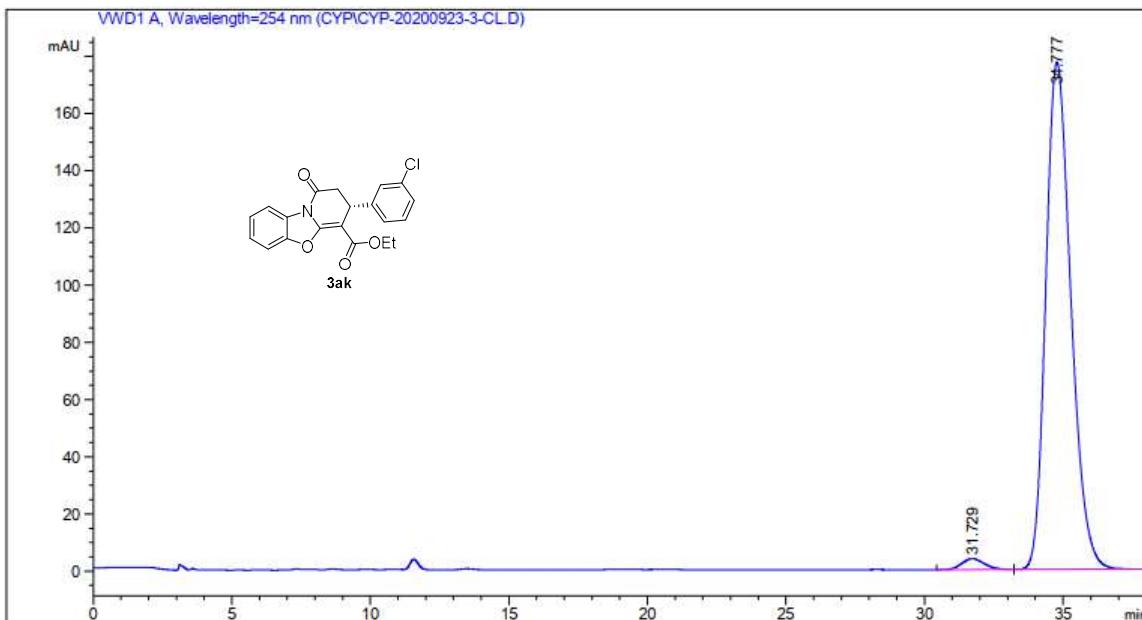


Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	38.290	500.92804	7.18832	7.2054
2	PDA 254 nm	53.857	6451.21436	63.90611	92.7946

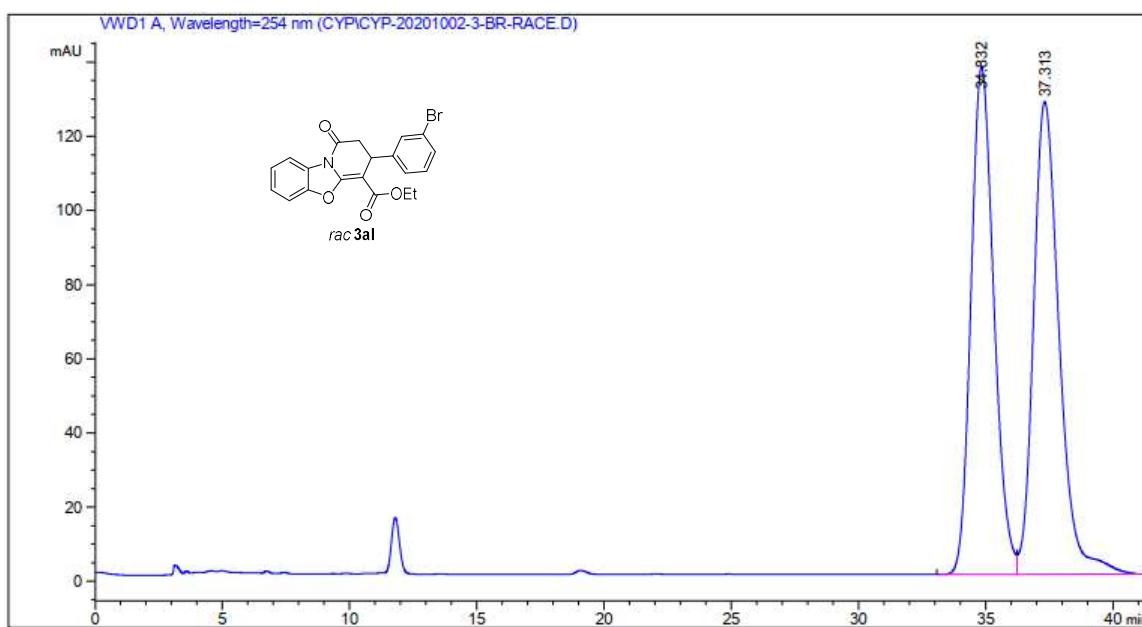




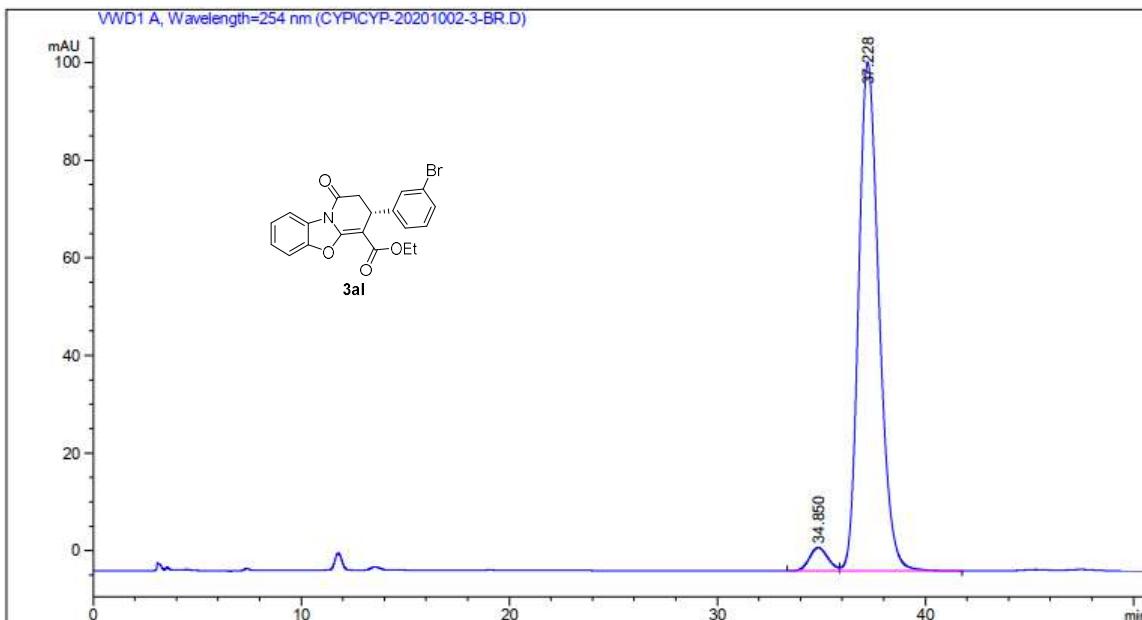
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	31.394	1.82139e4	323.17410	49.4973
2	PDA 254 nm	34.480	1.85839e4	292.98035	50.5027



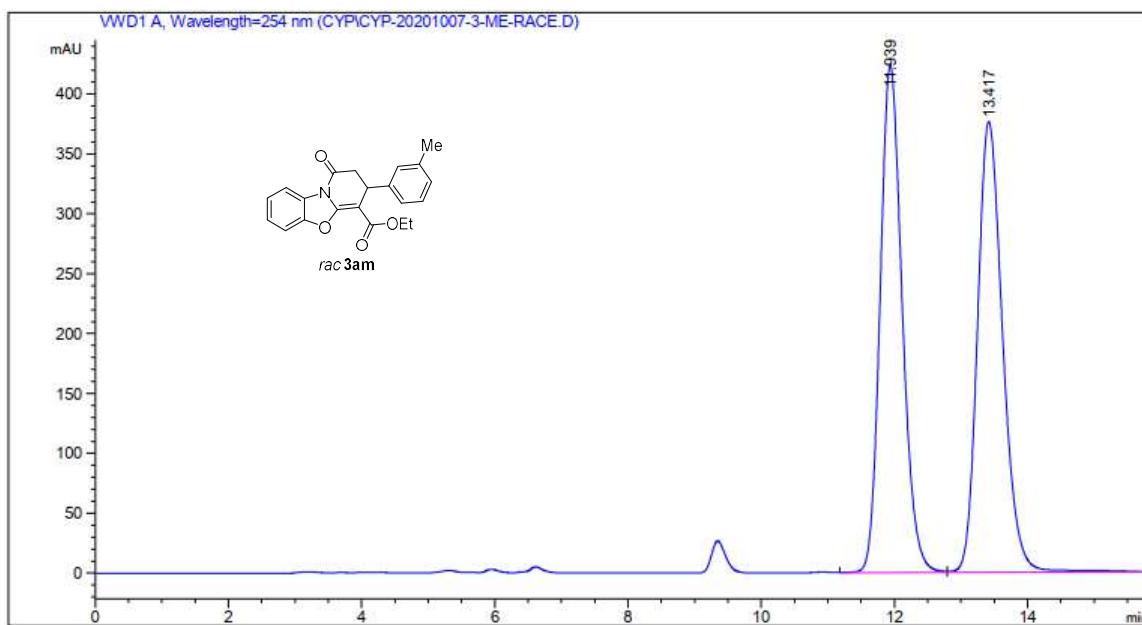
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	31.729	213.81346	3.80280	1.8831
2	PDA 254 nm	34.777	1.11406e4	177.34804	98.1169



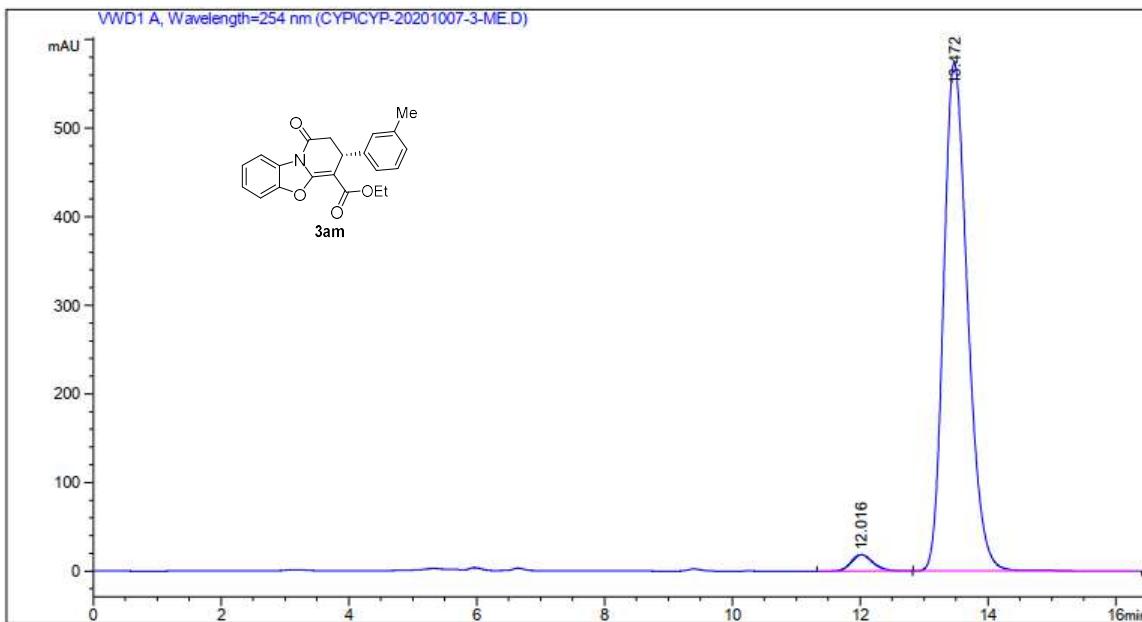
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	34.832	8534.80176	136.75325	48.8557
2	PDA 254 nm	37.313	8934.60840	127.37474	51.1443



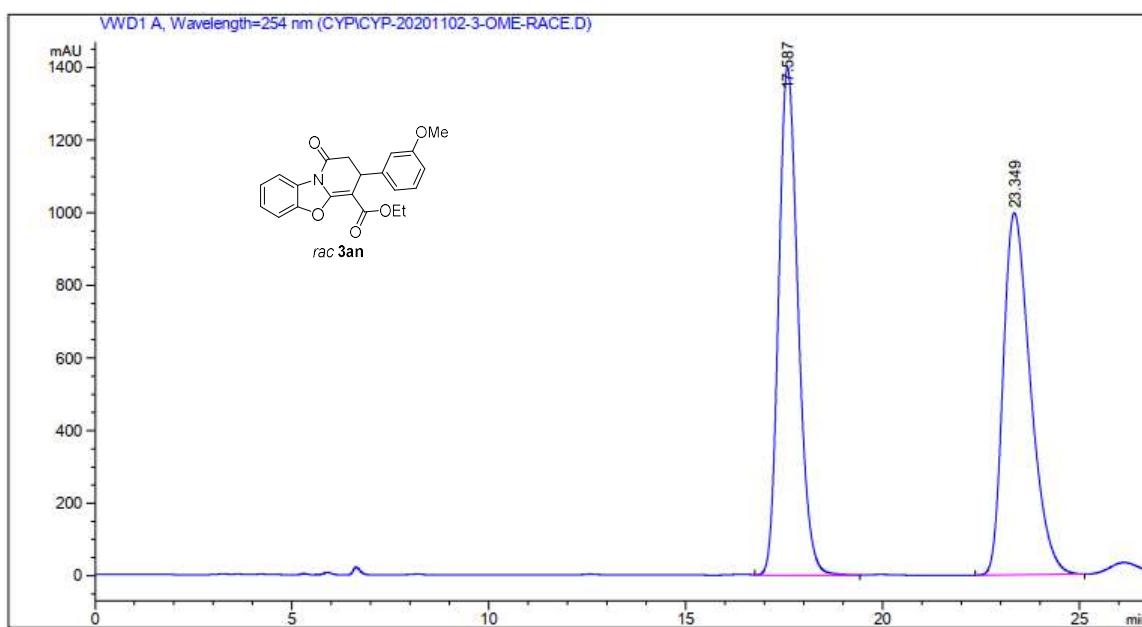
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	34.850	294.54367	4.75303	3.9918
2	PDA 254 nm	37.228	7084.08838	104.19422	96.0082



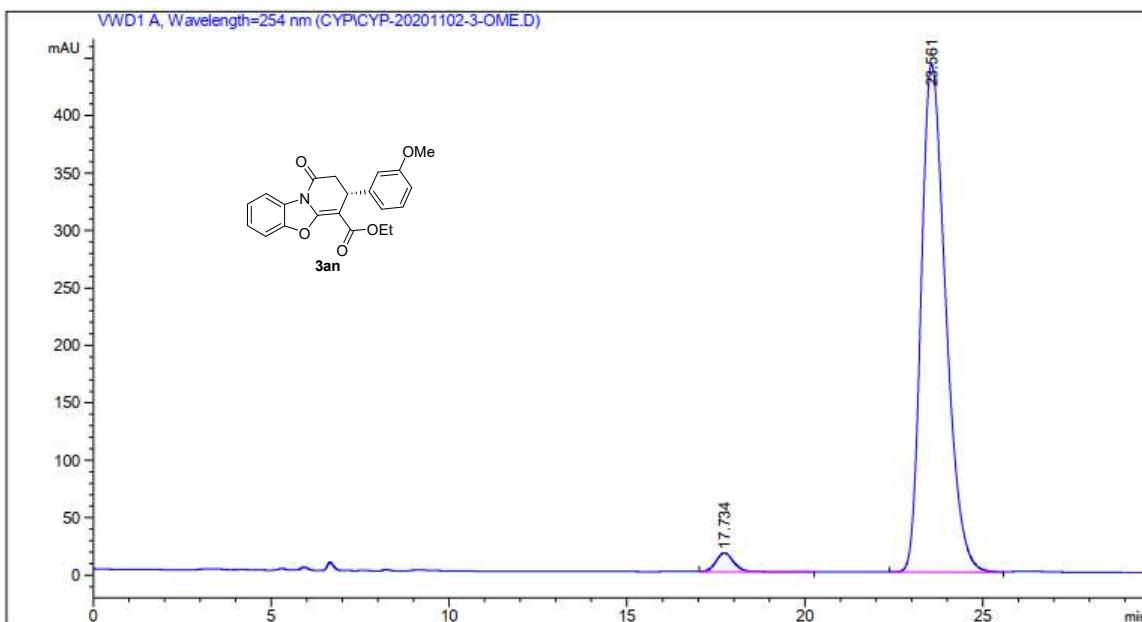
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	11.939	9734.37207	423.67487	49.6049
2	PDA 254 nm	13.417	9889.45313	376.26837	50.3951



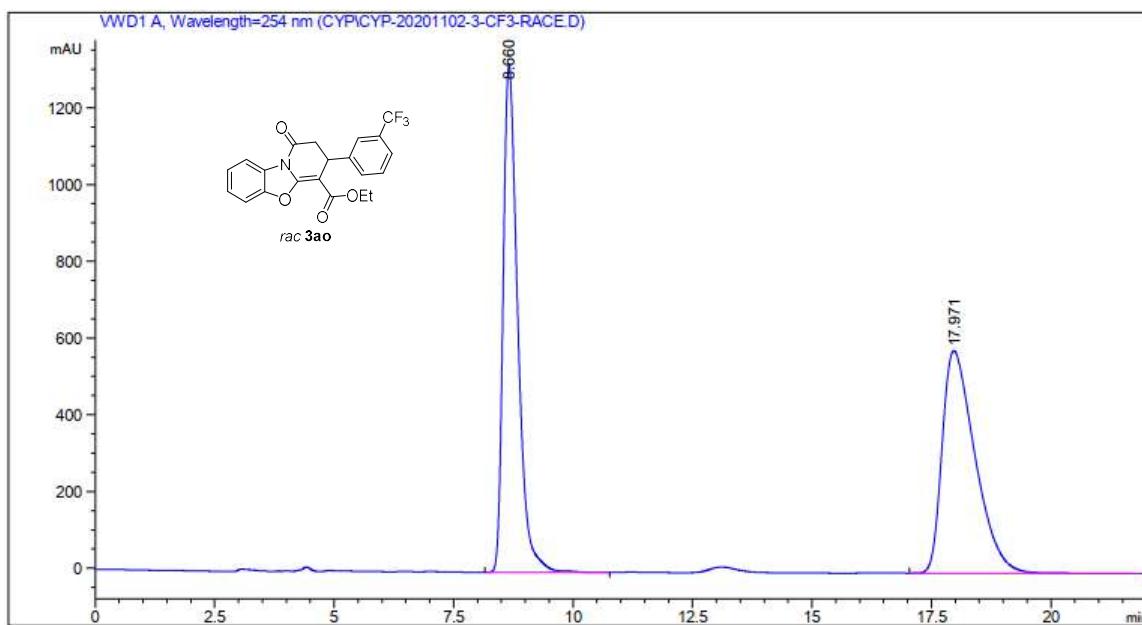
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	12.016	13.472	18.59833	2.8380
2	PDA 254 nm	13.472	1.49559e4	574.23730	97.1620



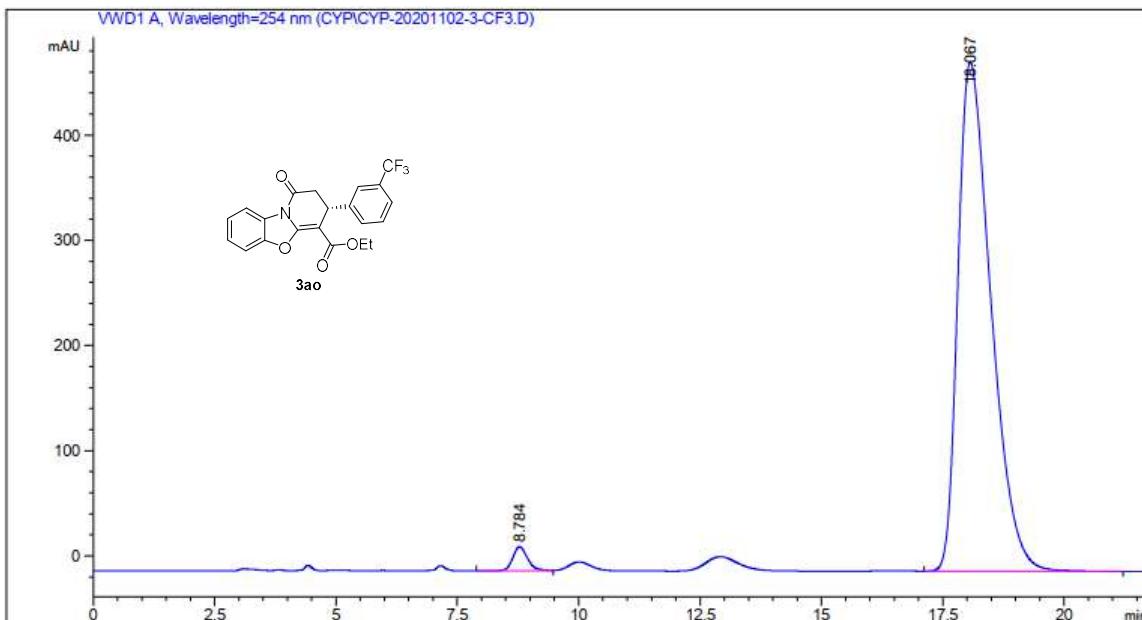
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	17.587	4.80201e4	1399.15771	50.1531
2	PDA 254 nm	23.349	4.77269e4	996.96814	49.8469



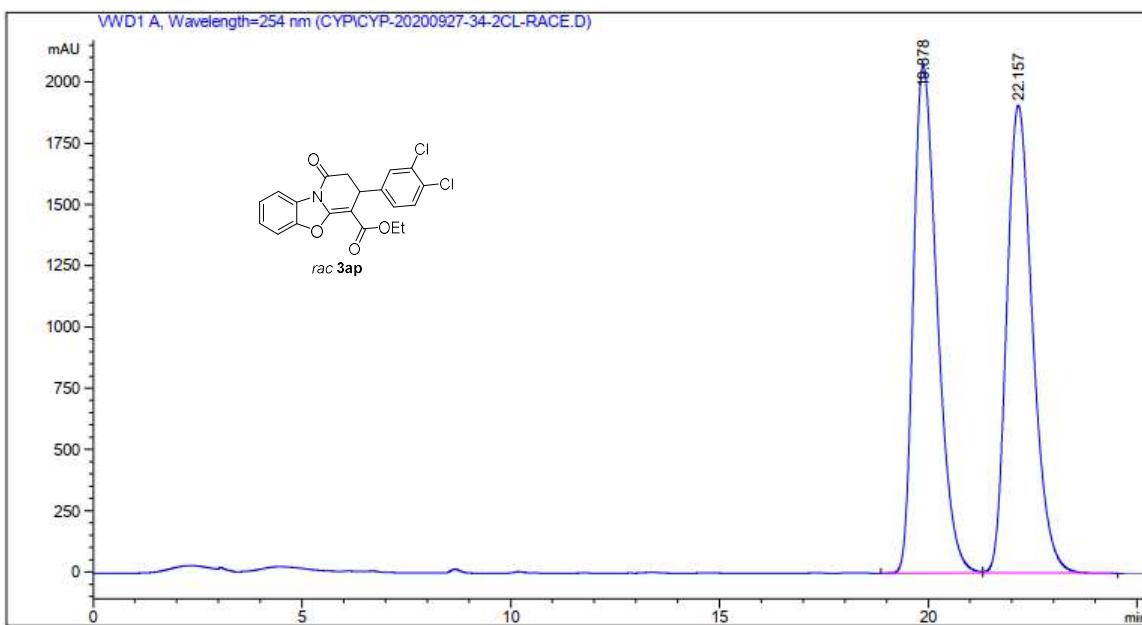
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	17.734	574.62067	16.35362	2.6517
2	PDA 254 nm	23.561	2.10951e4	442.12653	97.3483



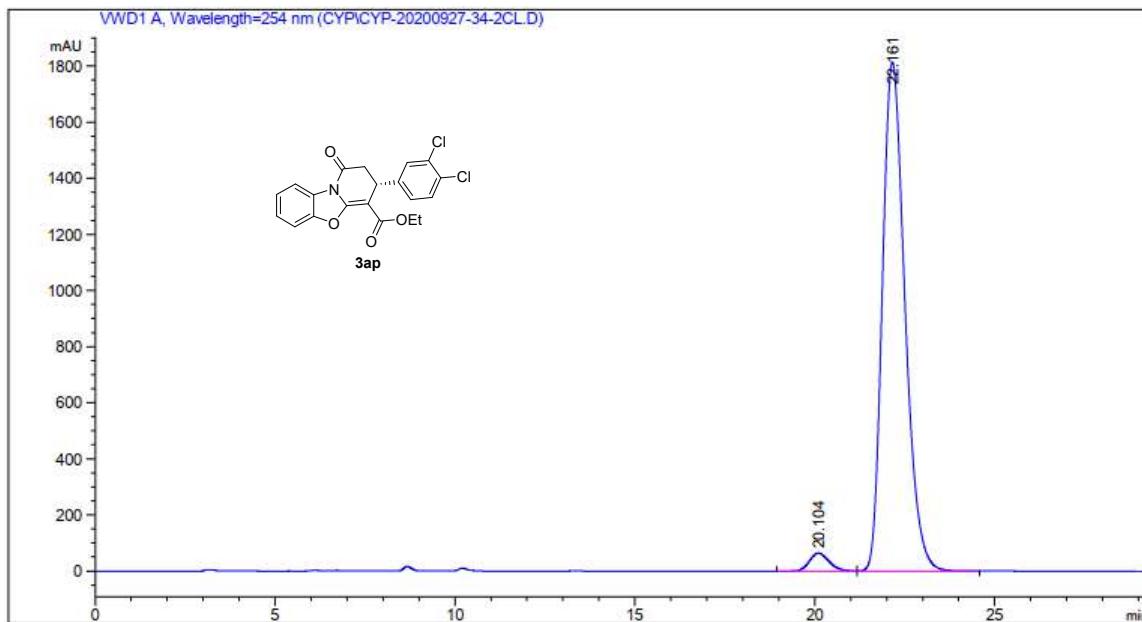
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	8.660	2.81966e4	1321.48926	50.4858
2	PDA 254 nm	17.971	2.76539e4	579.52094	49.5142



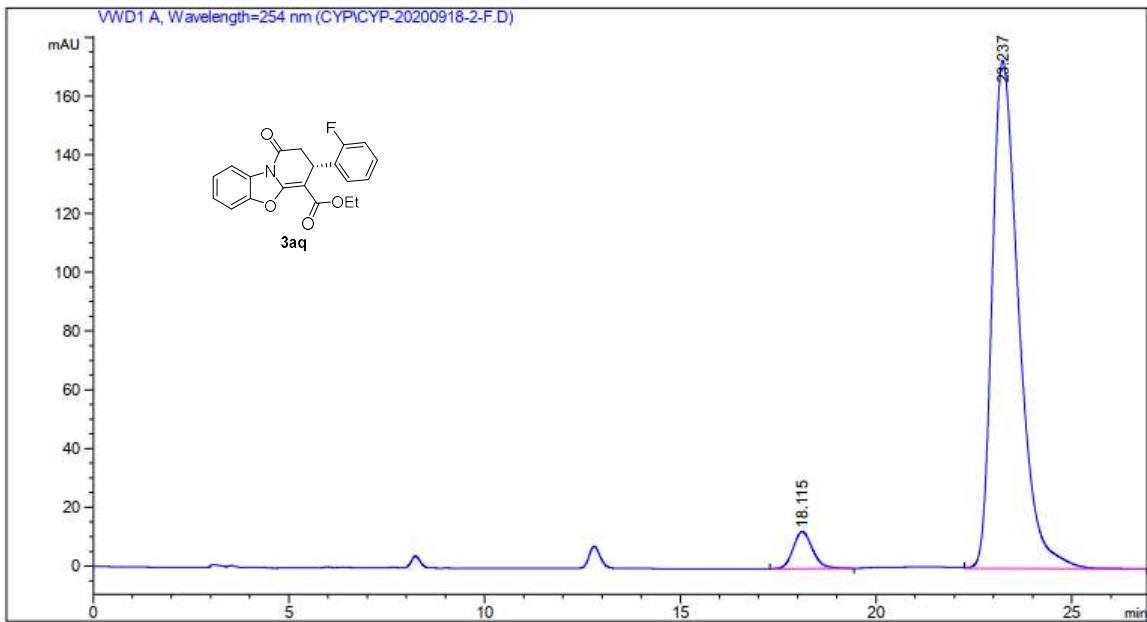
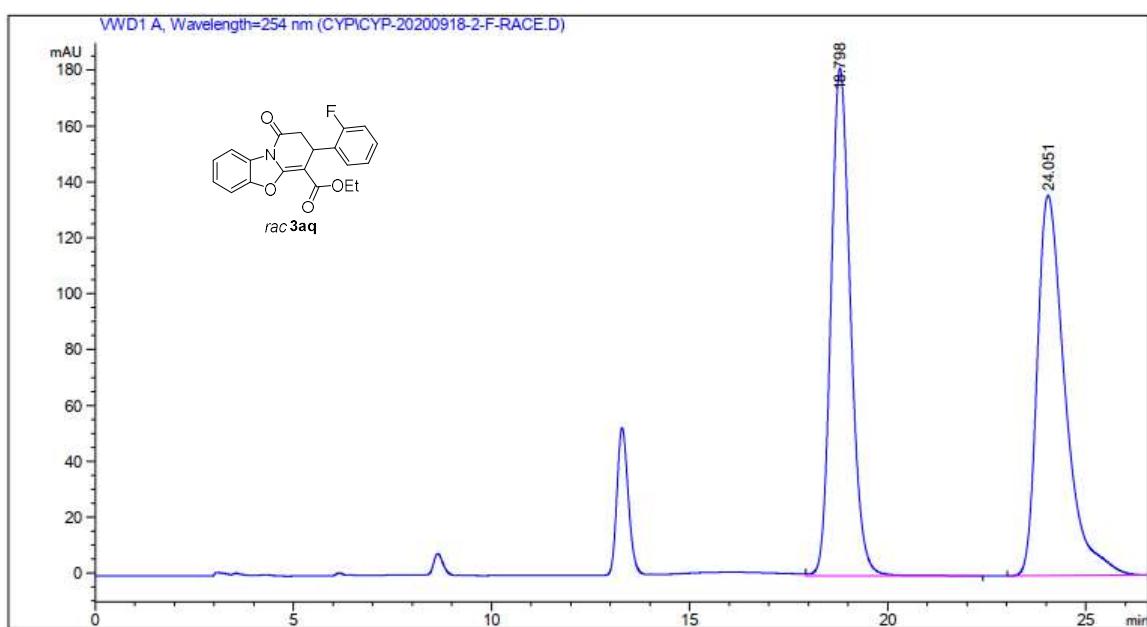
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	8.784	494.93884	22.96216	2.1184
2	PDA 254 nm	18.067	2.28693e4	483.76169	97.8816

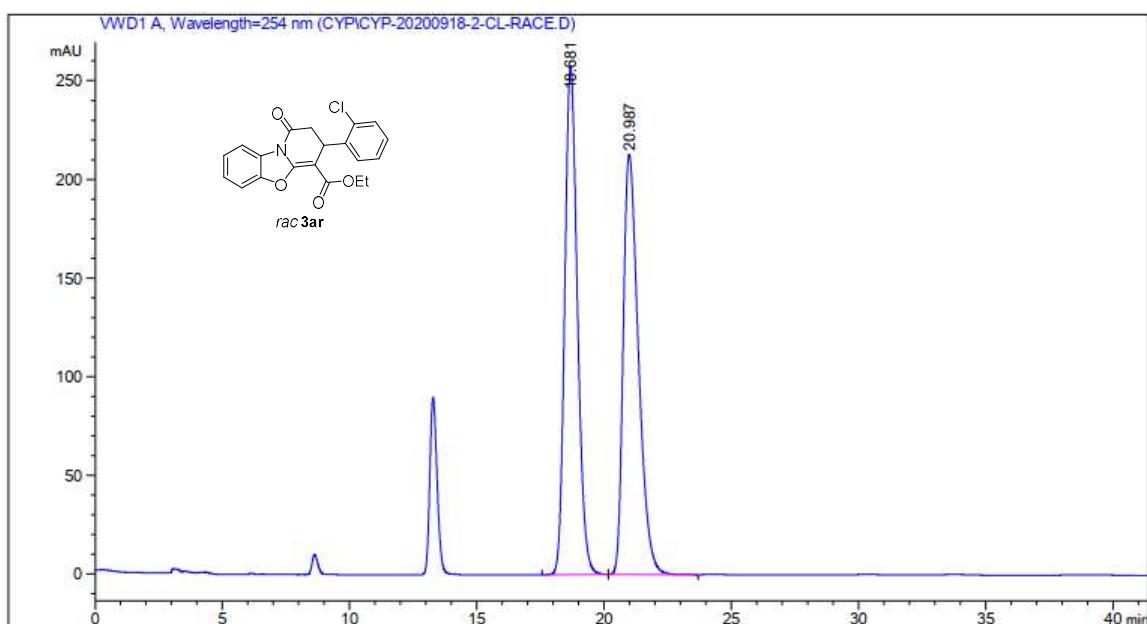


Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	19.878	8.19358e4	2072.93896	49.9404
2	PDA 254 nm	22.157	8.21314e4	1908.70337	50.0596

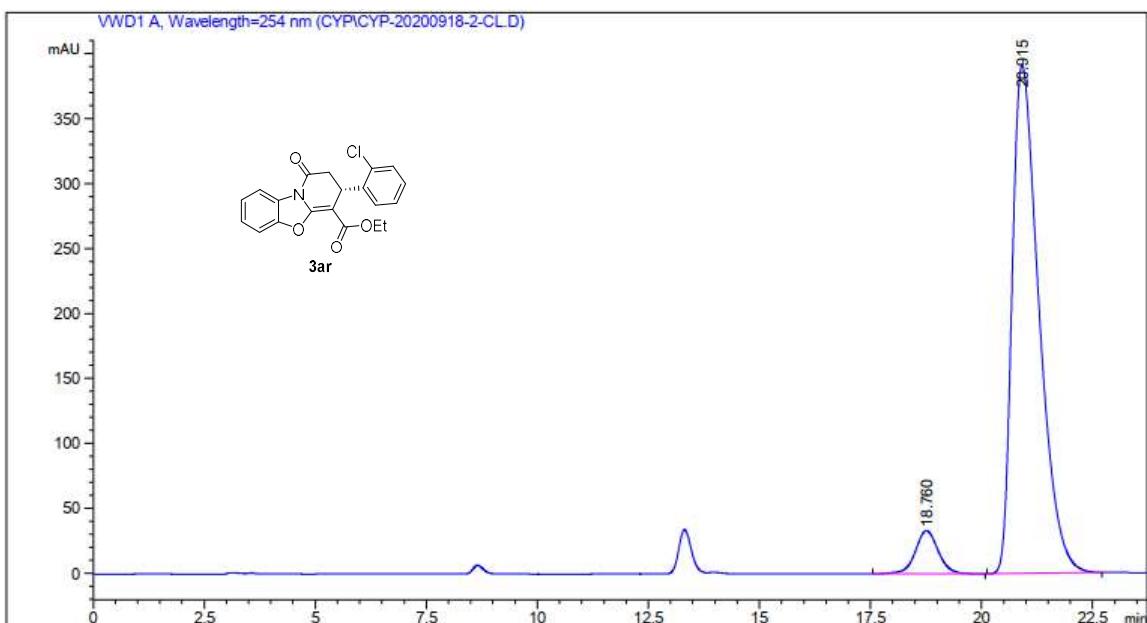


Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	20.104	2454.66309	64.05537	3.0303
2	PDA 254 nm	22.161	7.85492e4	1813.76245	96.9697

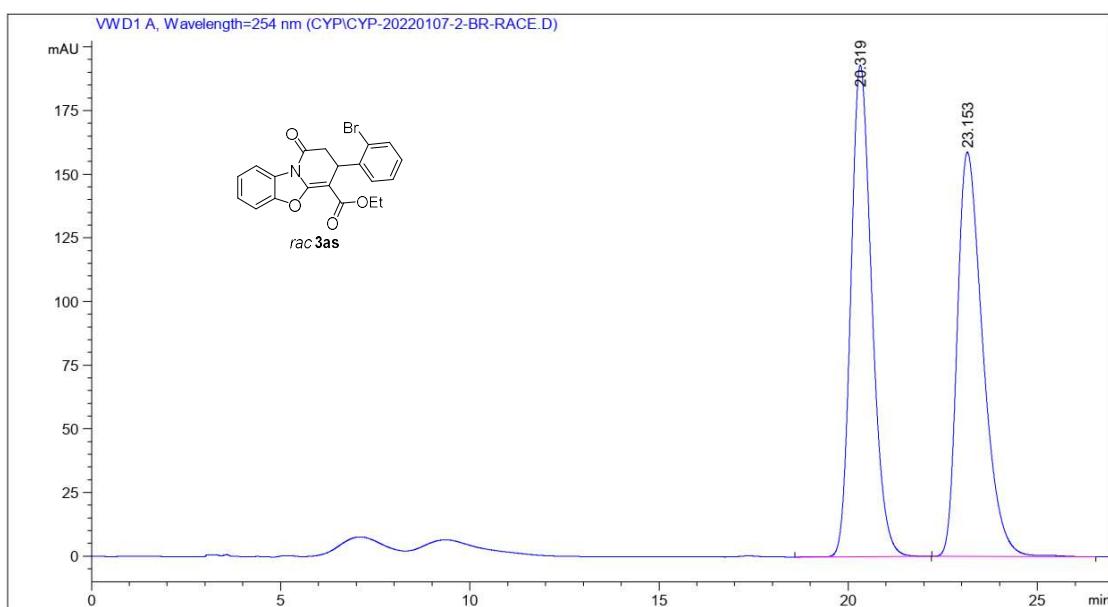




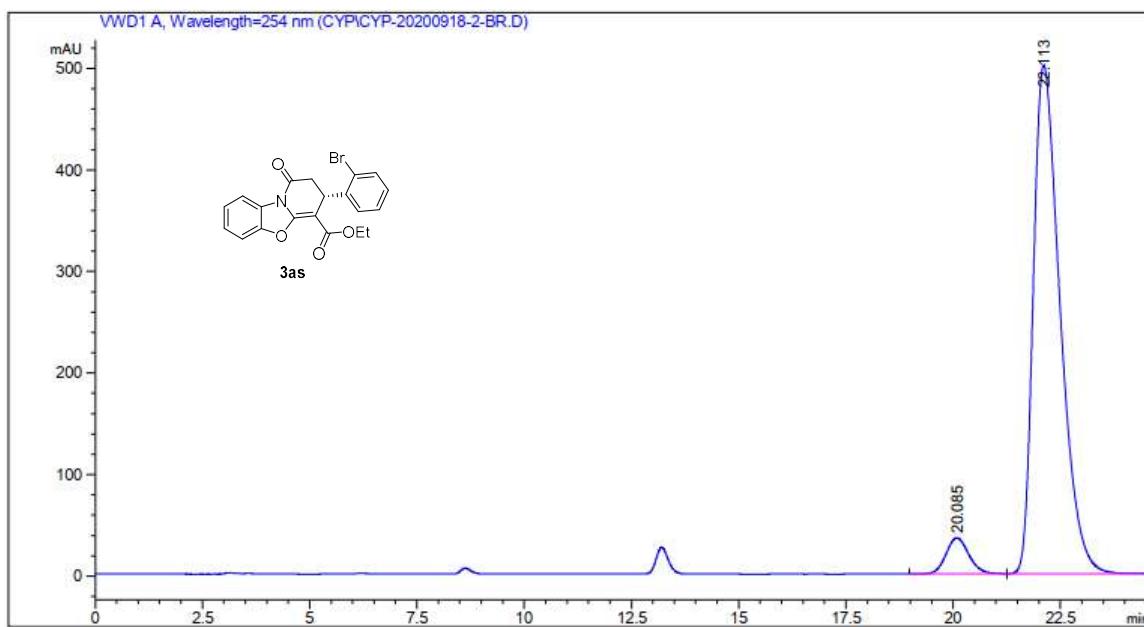
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	18.681	8857.02832	257.15665	50.0824
2	PDA 254 nm	20.987	8827.87598	212.83907	49.9176



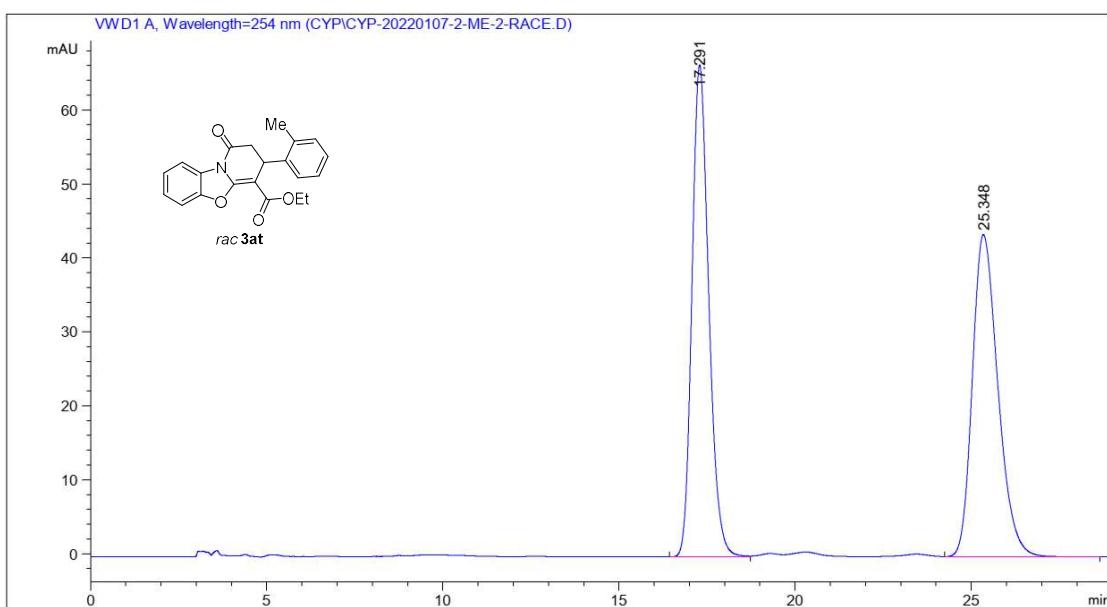
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	18.760	1197.54041	33.24203	6.8114
2	PDA 254 nm	20.915	1.63838e4	391.17218	93.1886



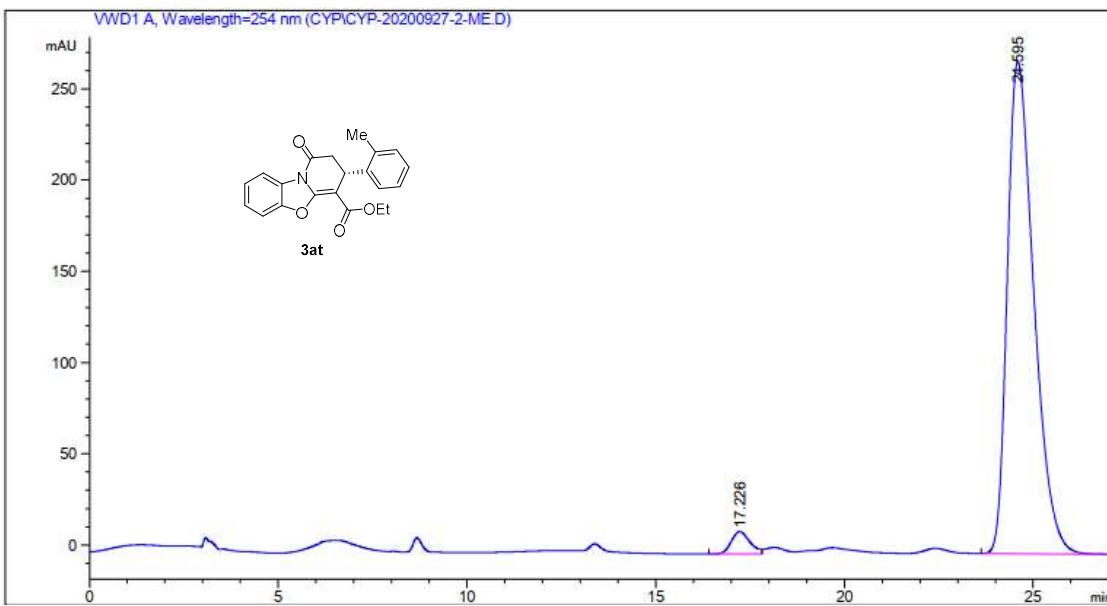
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	20.319	7423.76904	192.92757	49.8925
2	PDA 254 nm	23.153	7455.76660	158.71455	50.1075



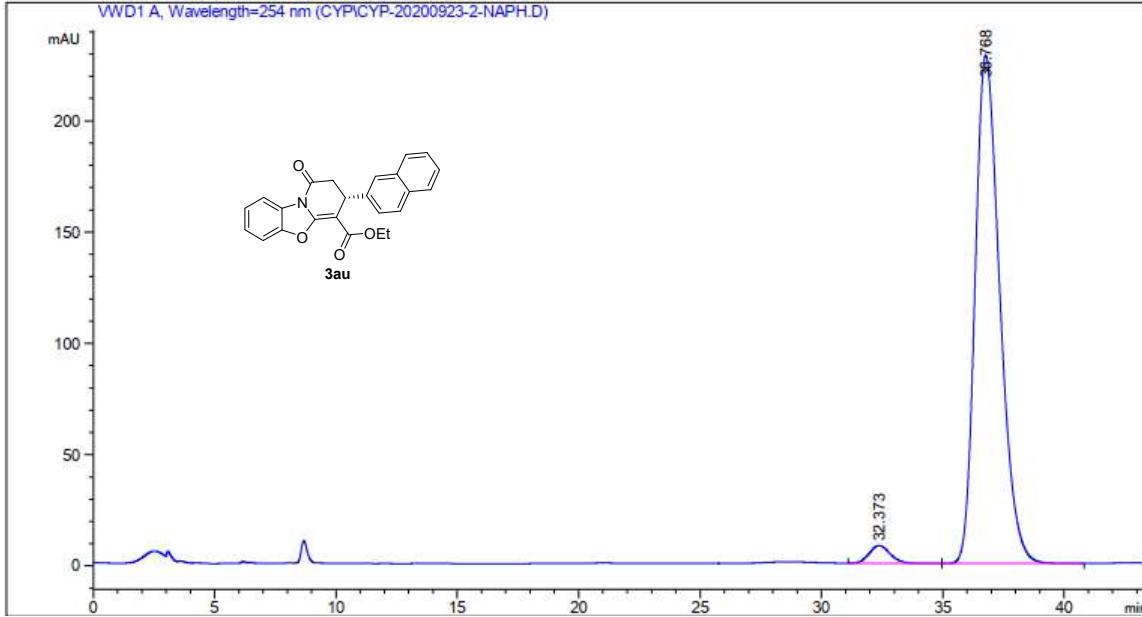
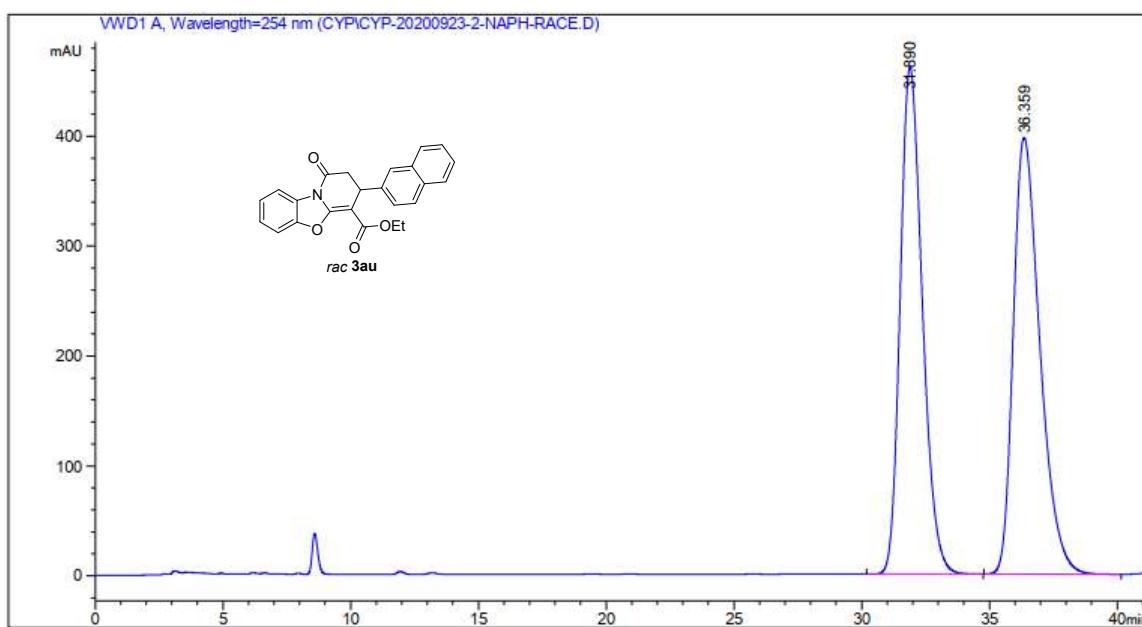
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	20.085	1318.06262	35.47941	5.7350
2	PDA 254 nm	22.113	2.16646e4	500.70096	94.2650

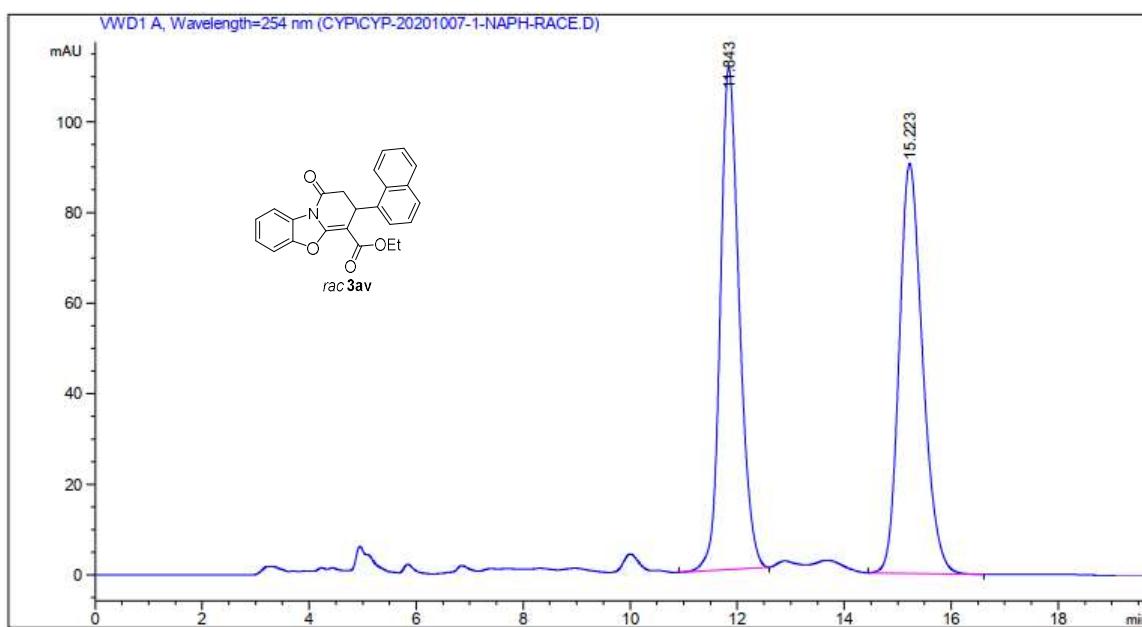


Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	17.291	2197.80396	66.47492	50.0454
2	PDA 254 nm	25.348	2193.81958	43.55183	49.9546

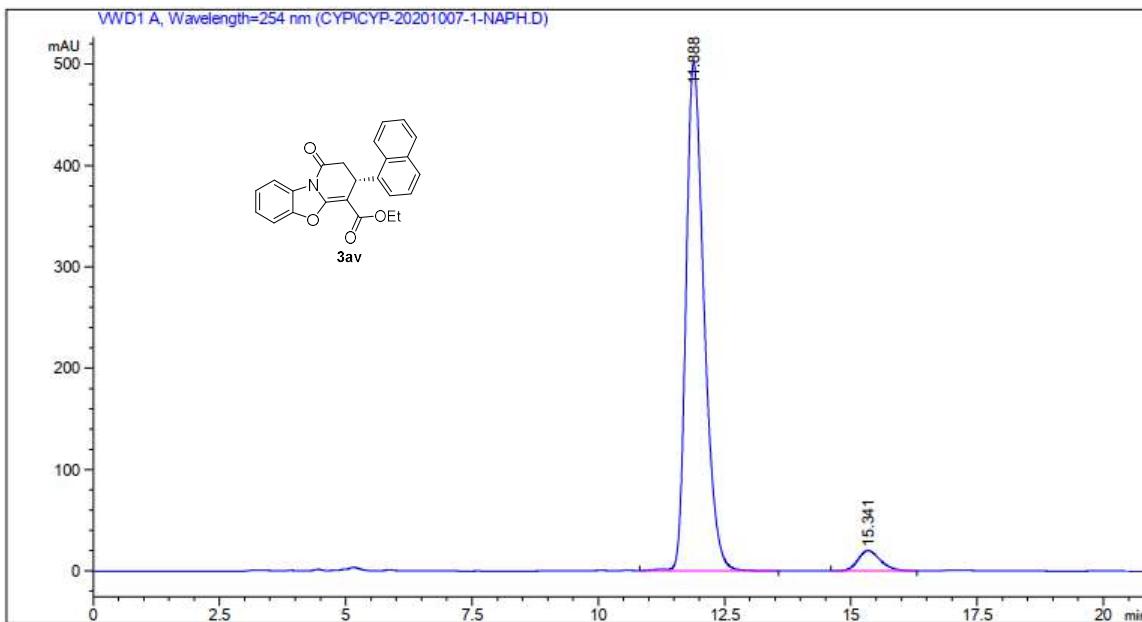


Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	17.226	420.98737	12.21804	3.1024
2	PDA 254 nm	24.595	1.31488e4	269.83951	96.8976

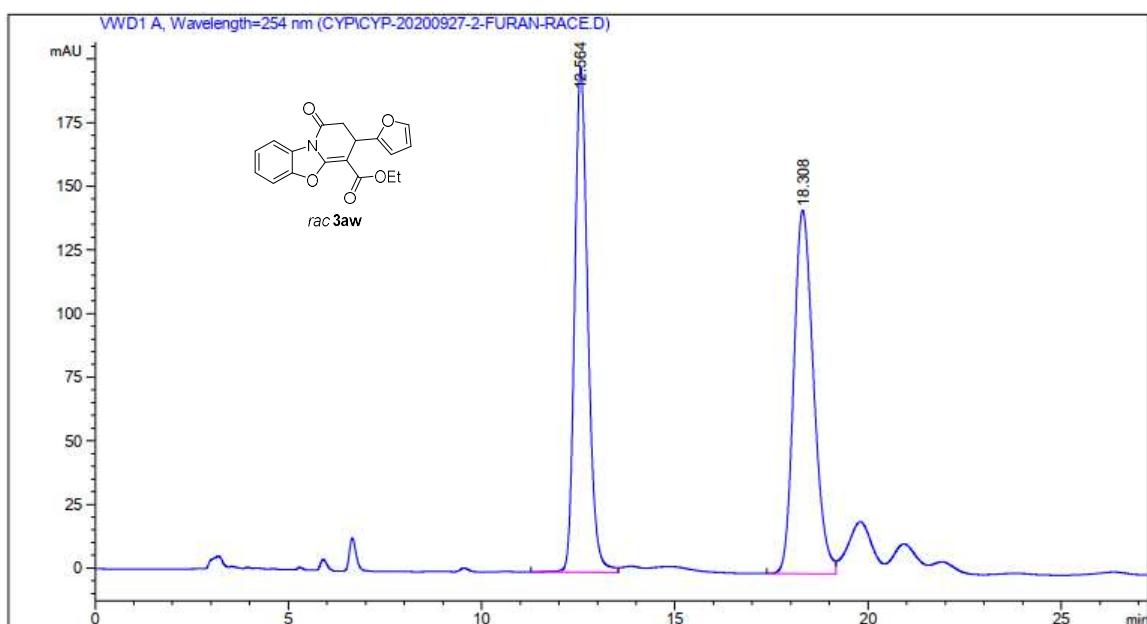




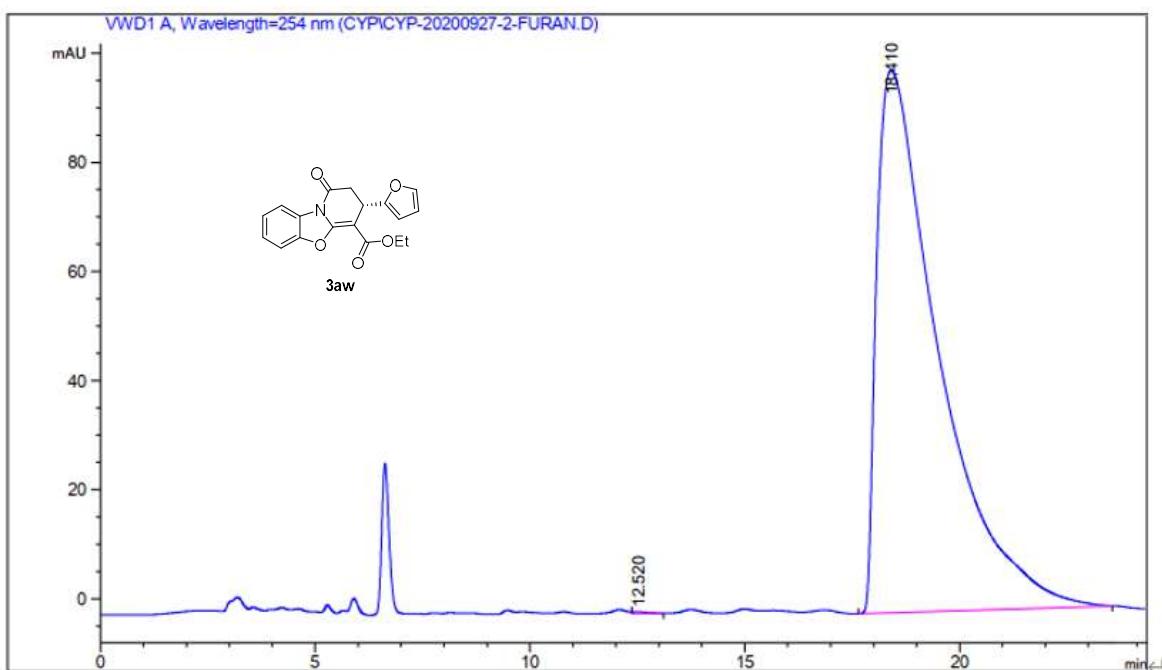
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	11.843	2731.97729	110.86541	49.7350
2	PDA 254 nm	15.223	2761.08813	90.40737	50.2650



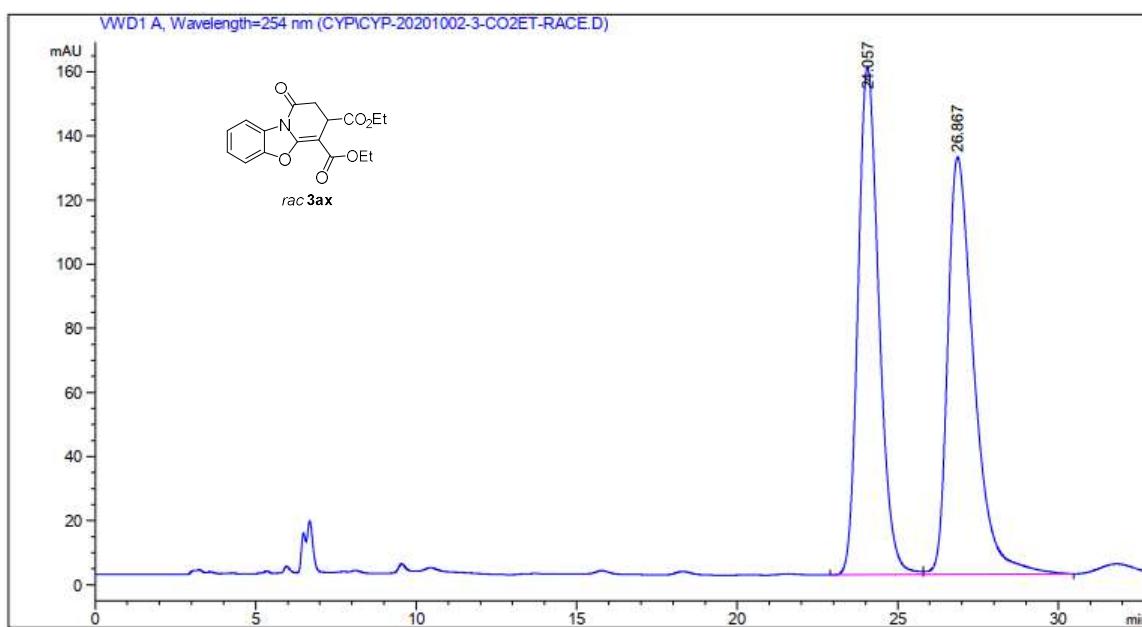
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	11.888	1.24159e4	501.91385	95.3342
2	PDA 254 nm	15.341	607.65381	19.87039	4.6658



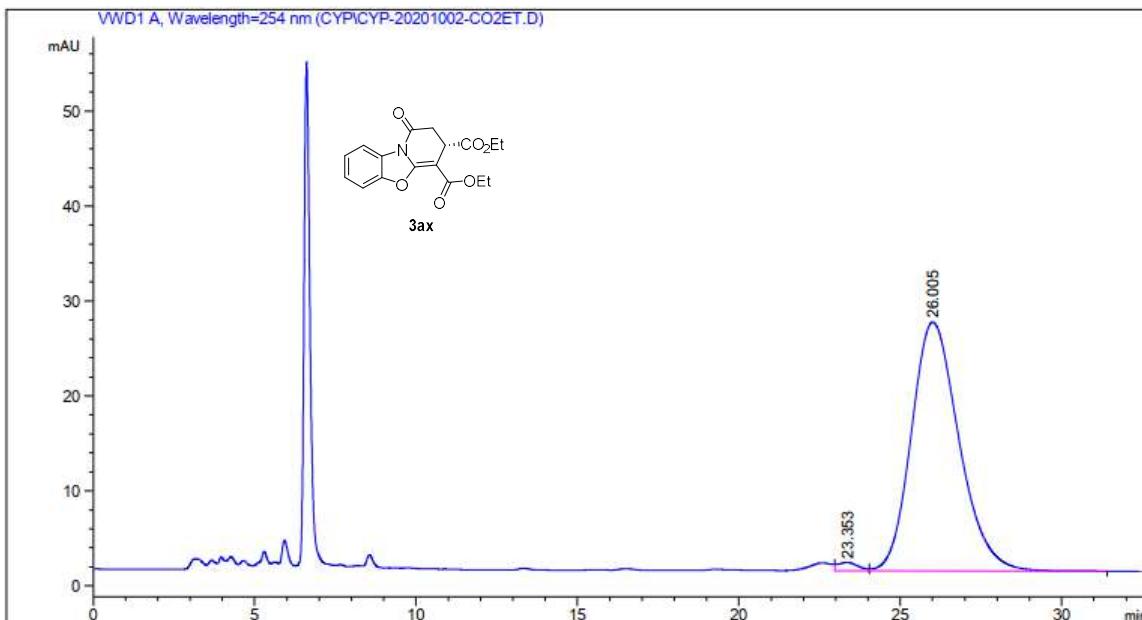
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	12.564	4712.59131	198.38712	48.1794
2	PDA 254 nm	18.308	5068.74365	142.74486	51.8206



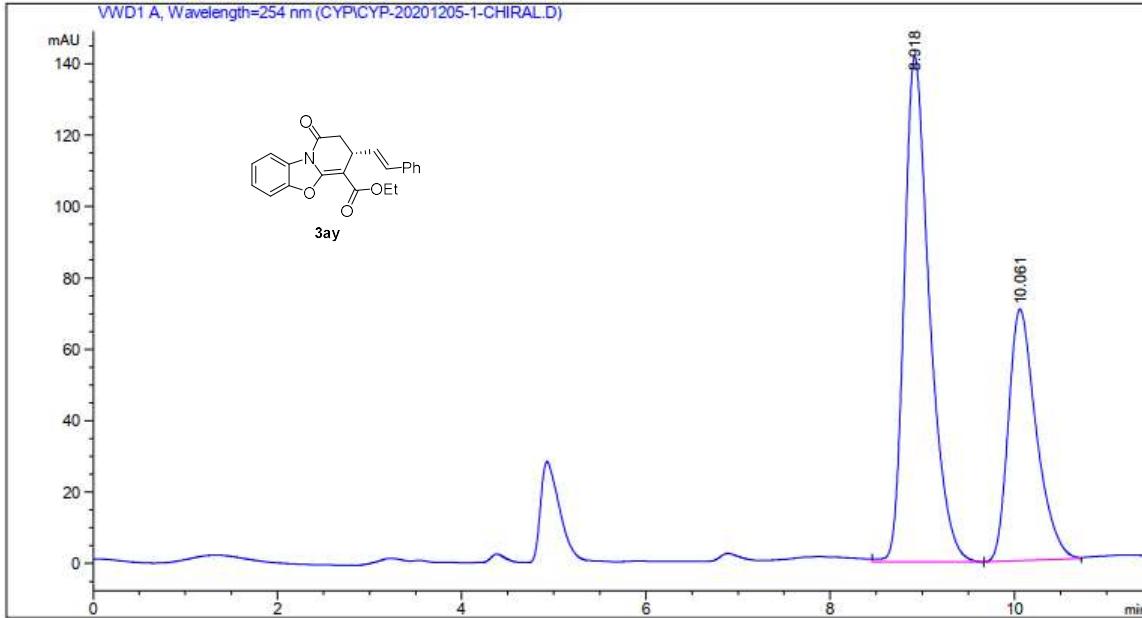
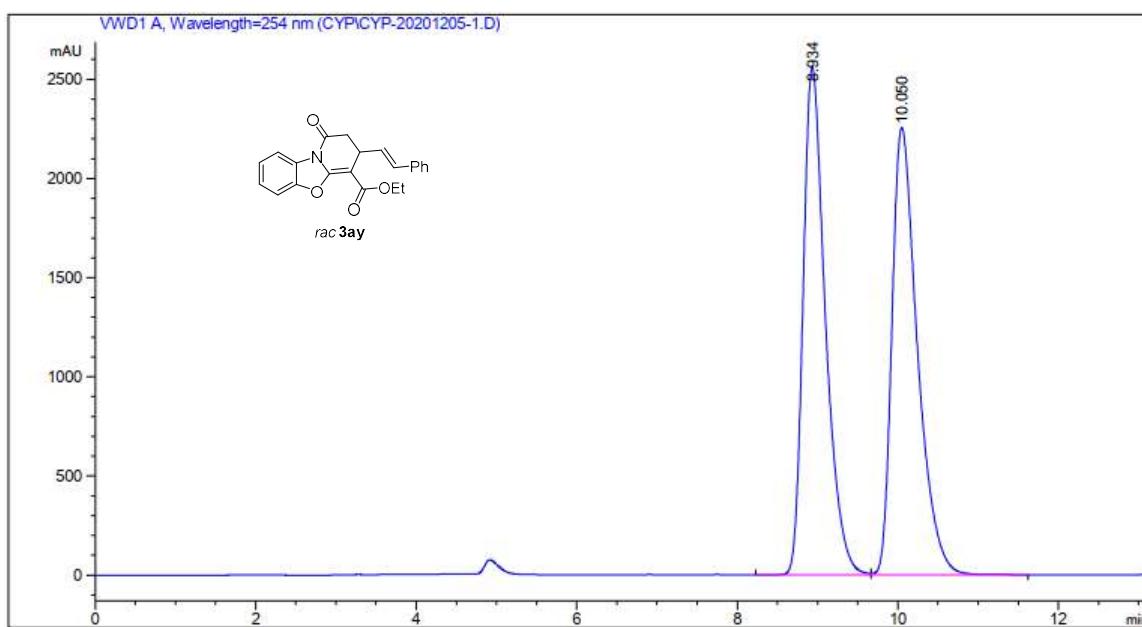
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	12.520	7.34631	3.05625e-1	0.0737
2	PDA 254 nm	18.410	9958.29199	99.44231	99.9263

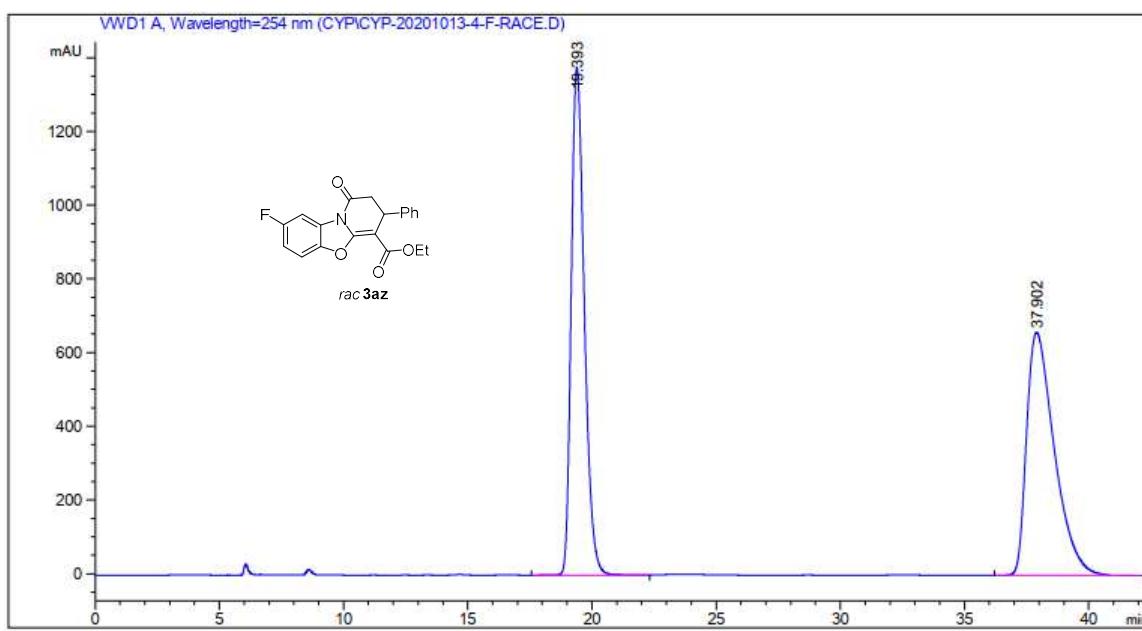


Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	24.057	7163.41211	158.32468	49.1490
2	PDA 254 nm	26.867	7411.48975	130.13586	50.8510

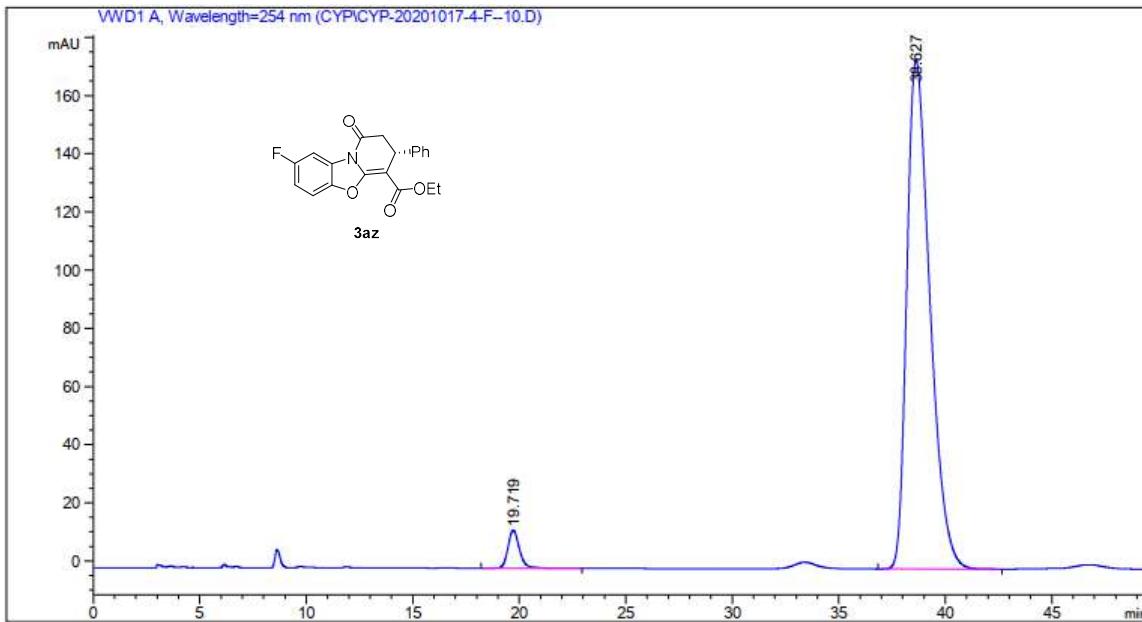


Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	23.353	37.62748	8.55551e-1	1.3821
2	PDA 254 nm	26.005	2684.76904	26.18994	98.6179

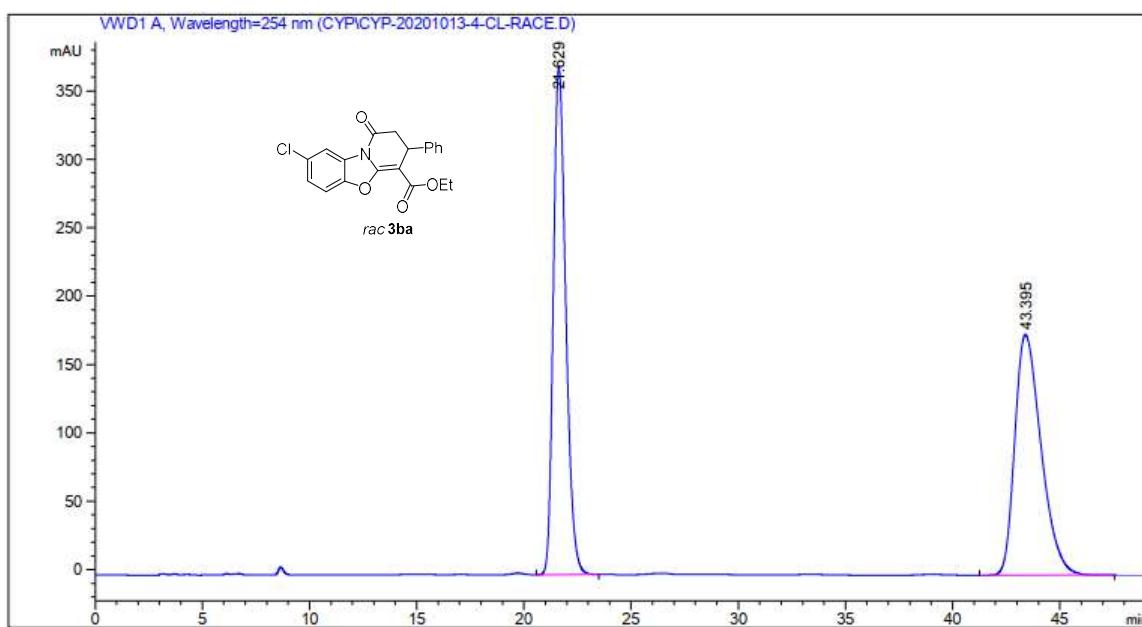




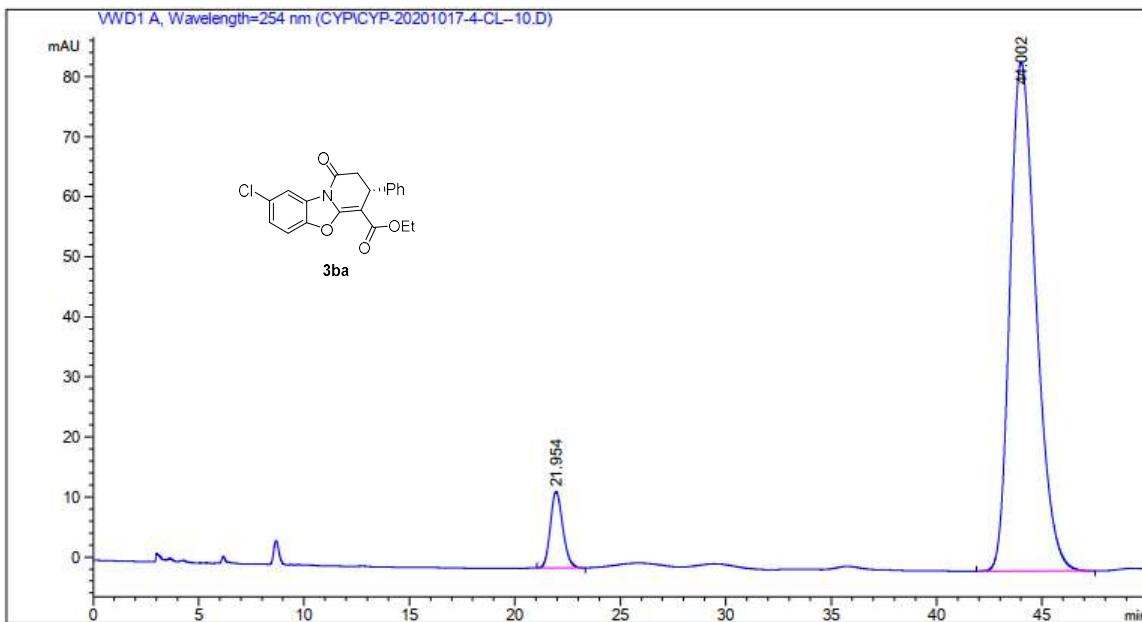
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	19.393	5.03981e4	1377.88489	49.7118
2	PDA 254 nm	37.902	5.09824e4	658.36438	50.2882



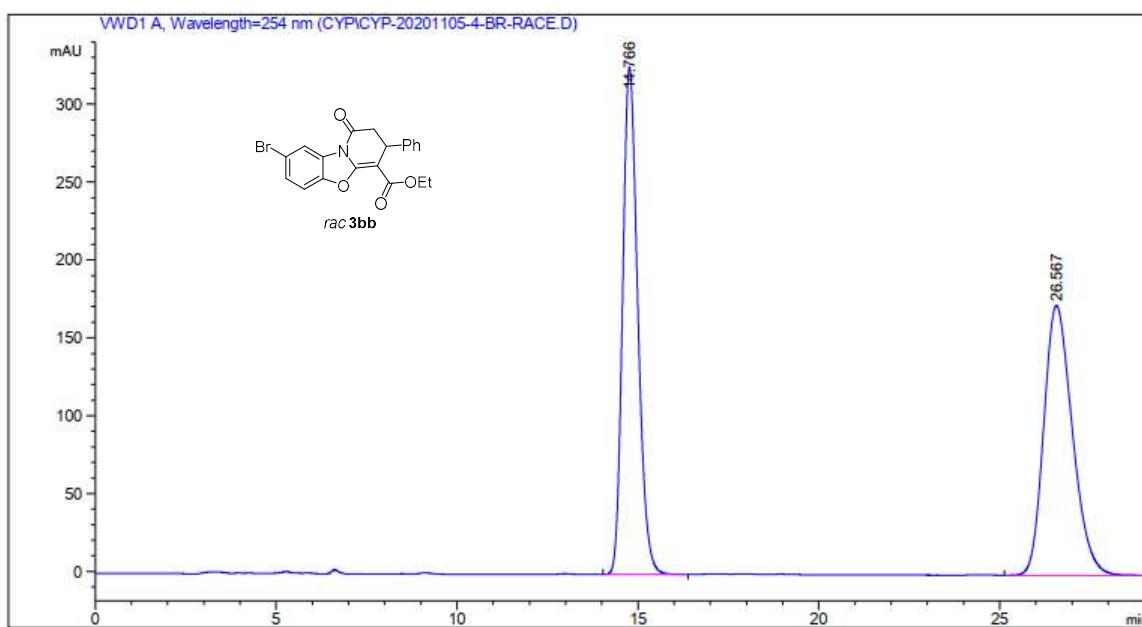
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	19.719	494.99469	13.07365	3.6247
2	PDA 254 nm	38.627	1.31610e4	174.86995	96.3753



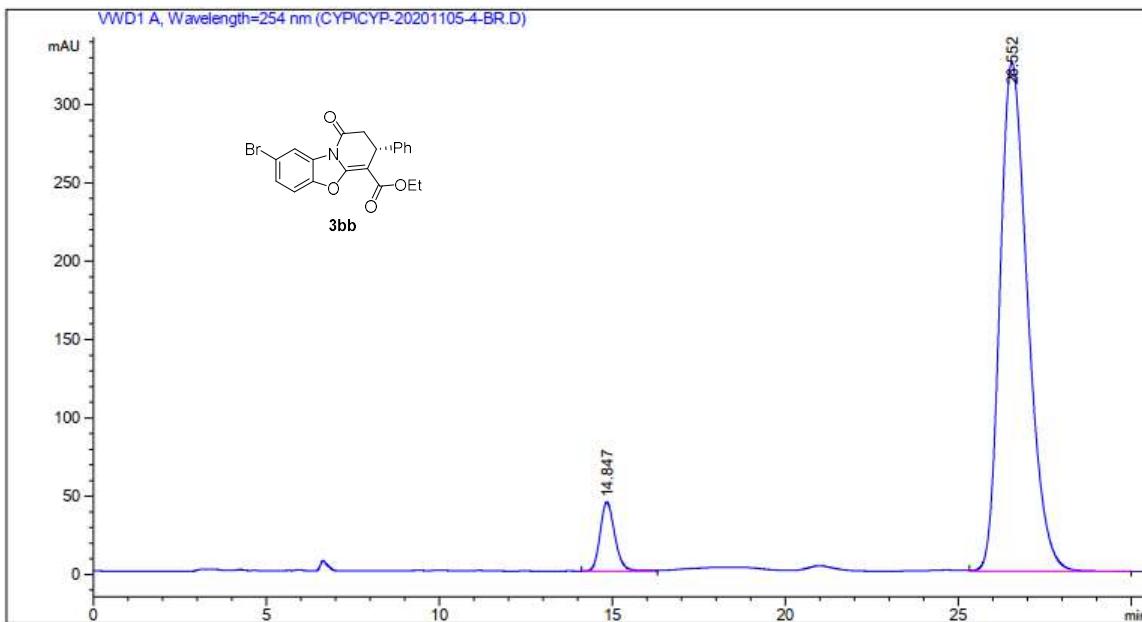
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	21.629	1.49572e4	371.03976	49.9263
2	PDA 254 nm	43.395	1.50013e4	175.90756	50.0737



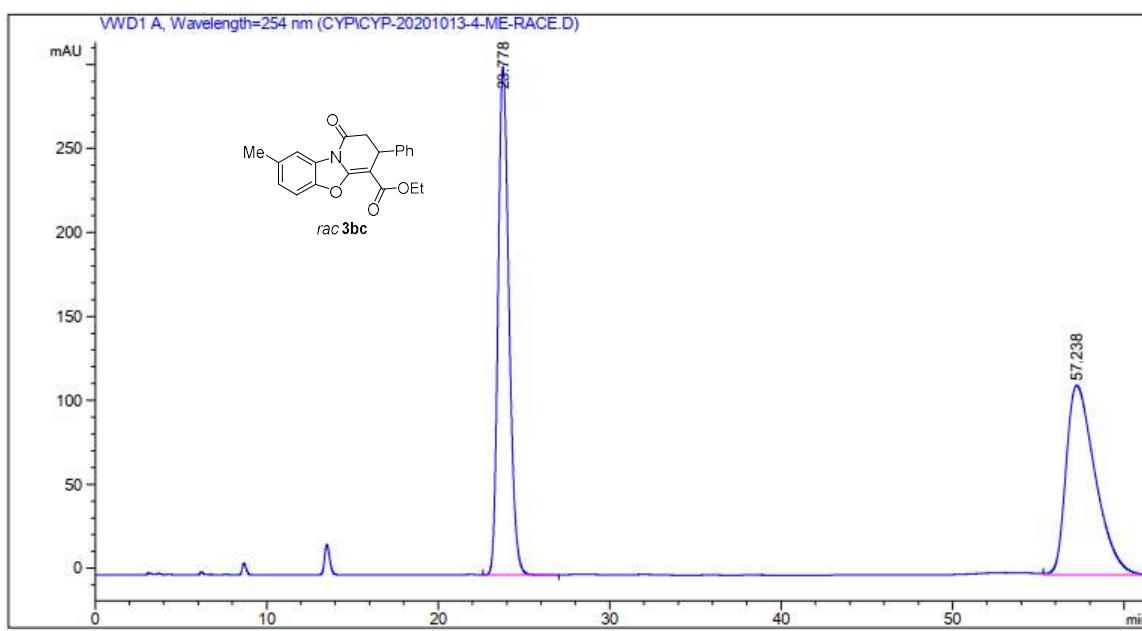
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	21.954	520.87646	12.72081	6.6684
2	PDA 254 nm	44.002	7290.29053	84.69585	93.3316



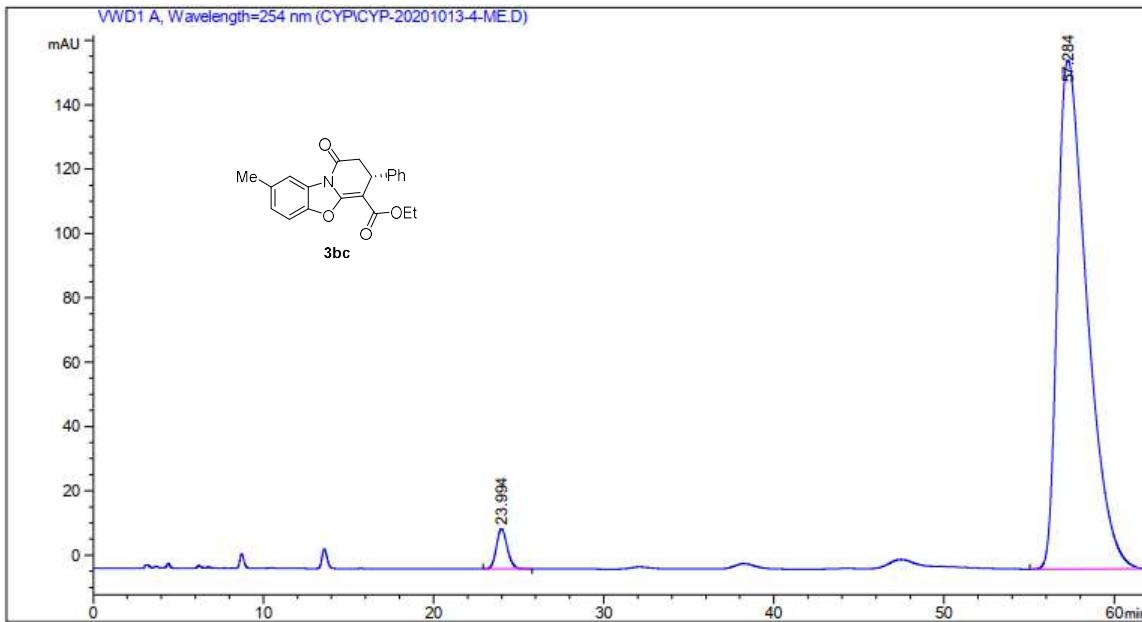
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	14.766	9466.65137	325.75214	49.9494
2	PDA 254 nm	26.567	9485.82324	173.16505	50.0506



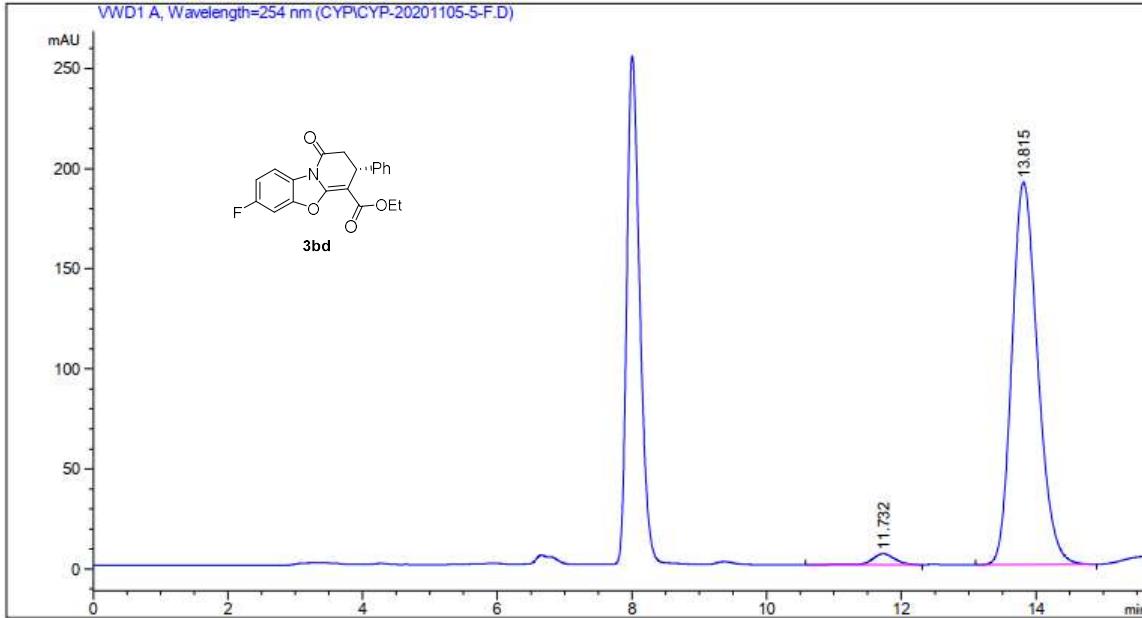
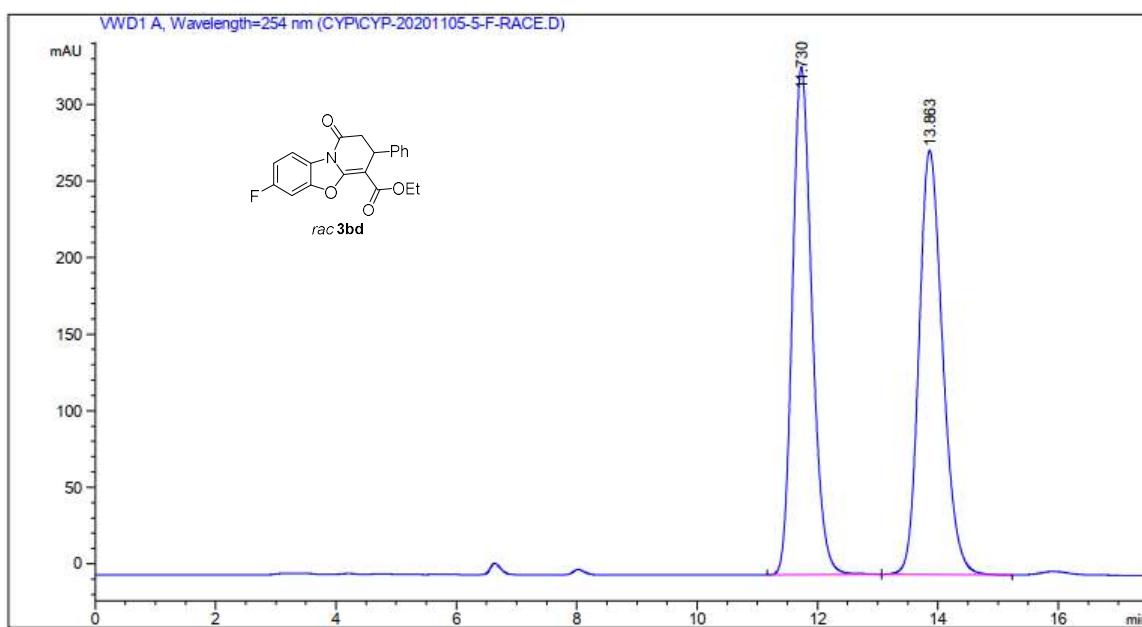
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	14.847	1307.22607	44.11476	6.6516
2	PDA 254 nm	26.552	1.80545e4	324.88226	93.3484

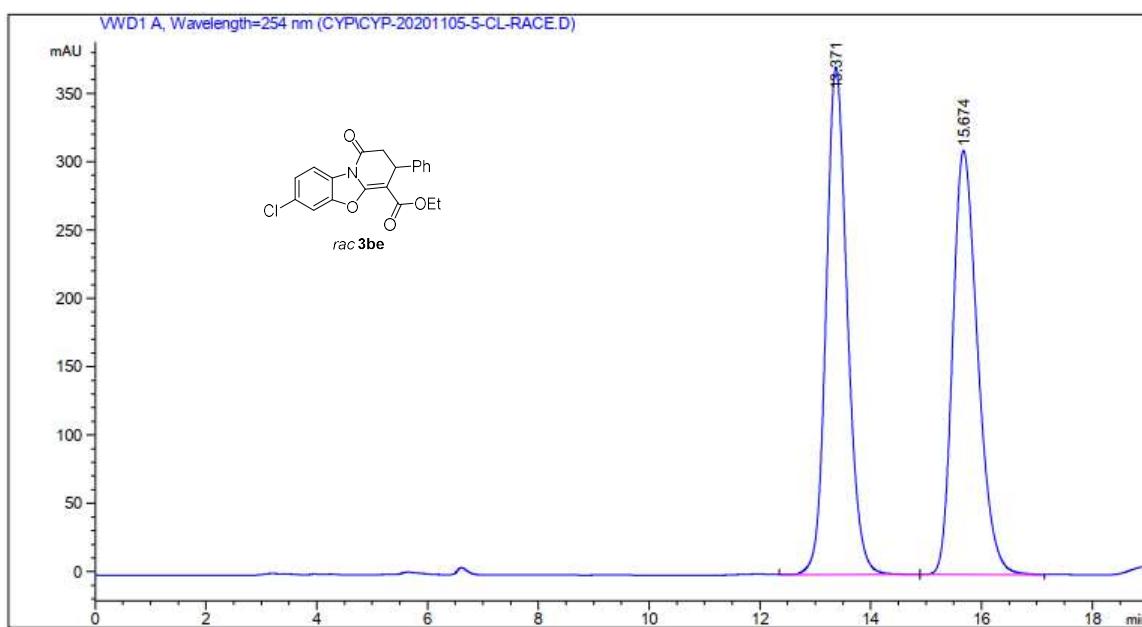


Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	23.778	1.33565e4	302.45215	50.1058
2	PDA 254 nm	57.238	1.33001e4	112.90263	49.8942

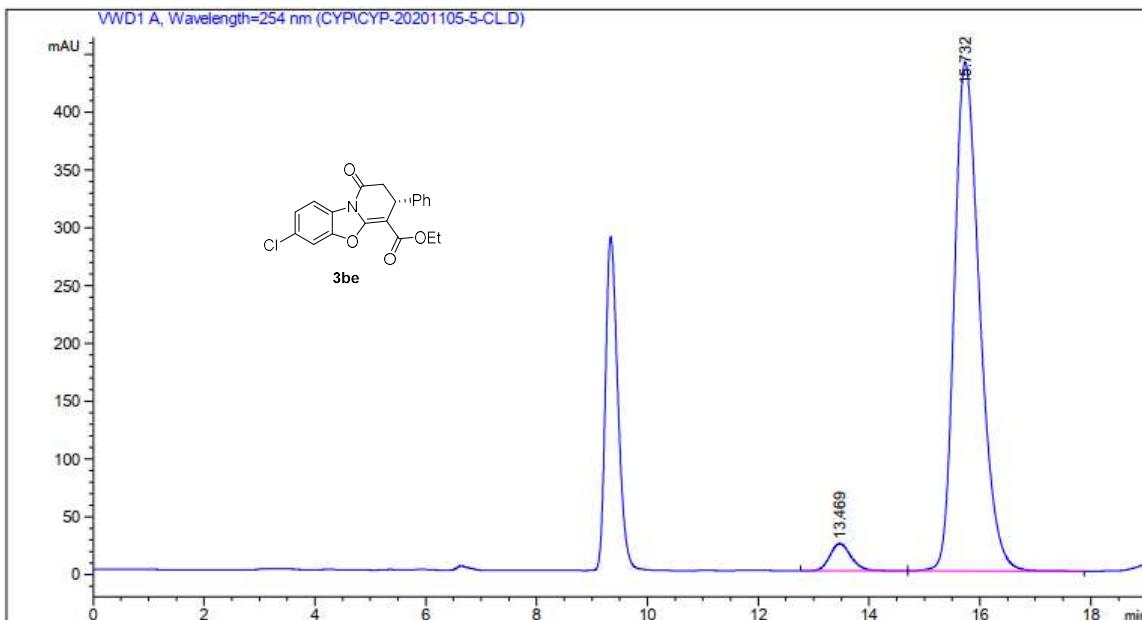


Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	23.994	553.19196	12.49642	2.8283
2	PDA 254 nm	57.284	1.90057e4	158.08862	97.1717

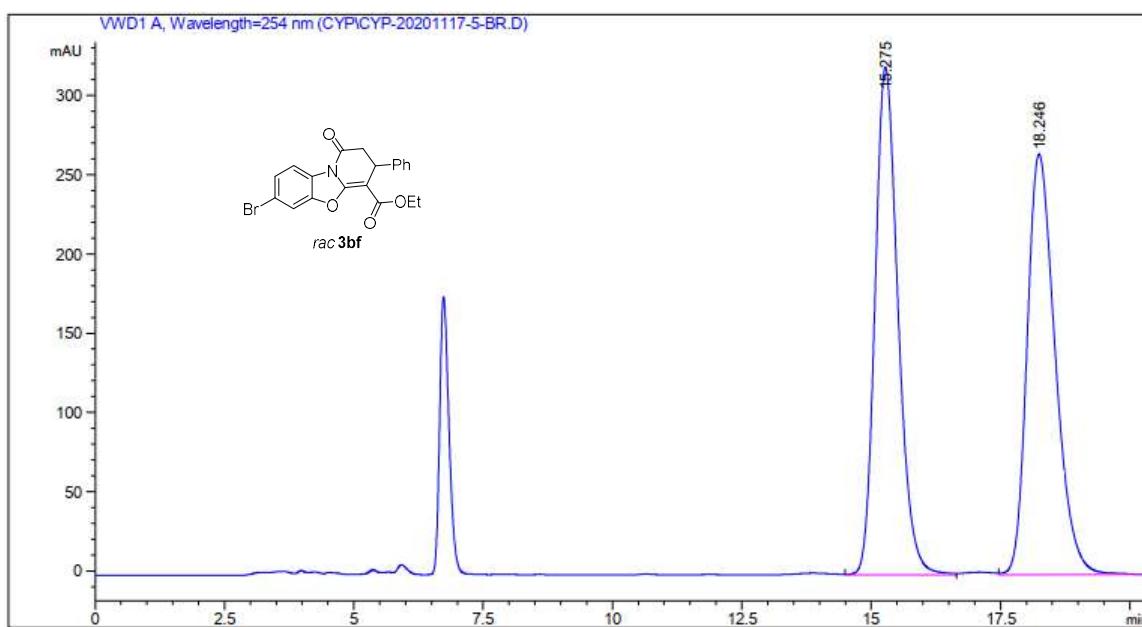




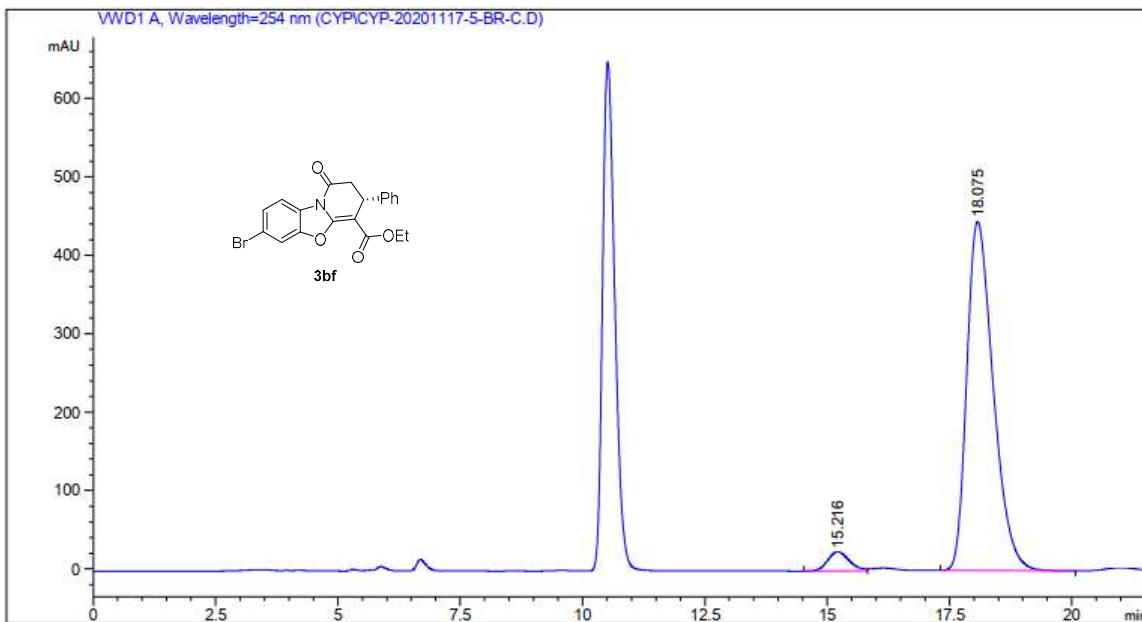
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	13.371	9874.37305	371.41055	50.4194
2	PDA 254 nm	15.674	9710.11523	310.21320	49.5806



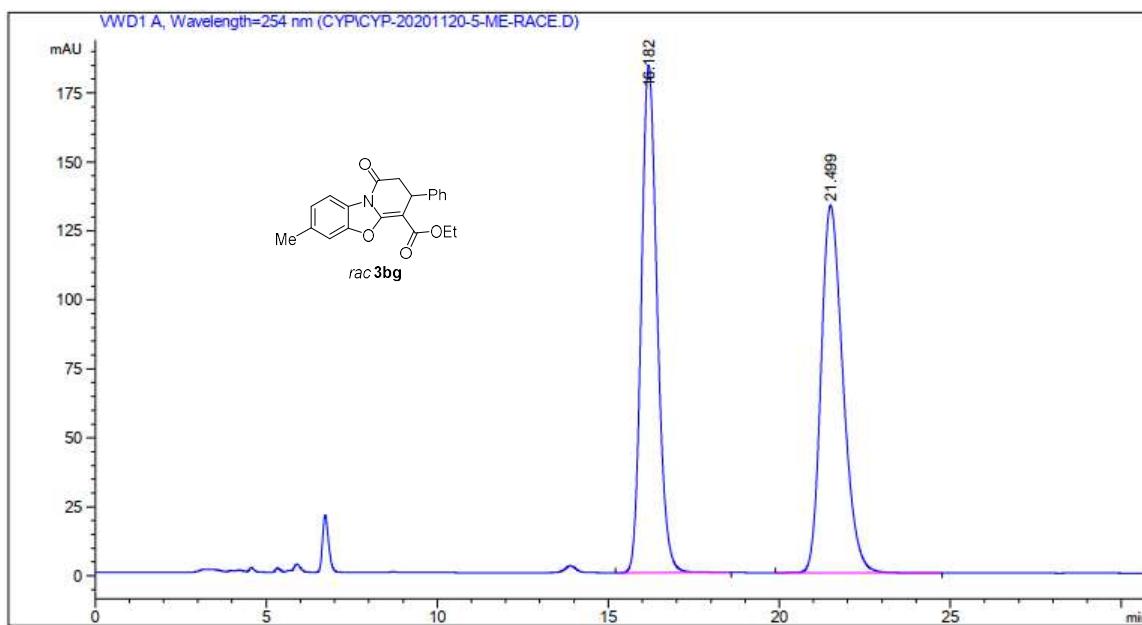
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	13.469	636.46466	23.65788	4.3512
2	PDA 254 nm	15.732	1.39910e4	439.99384	95.6488



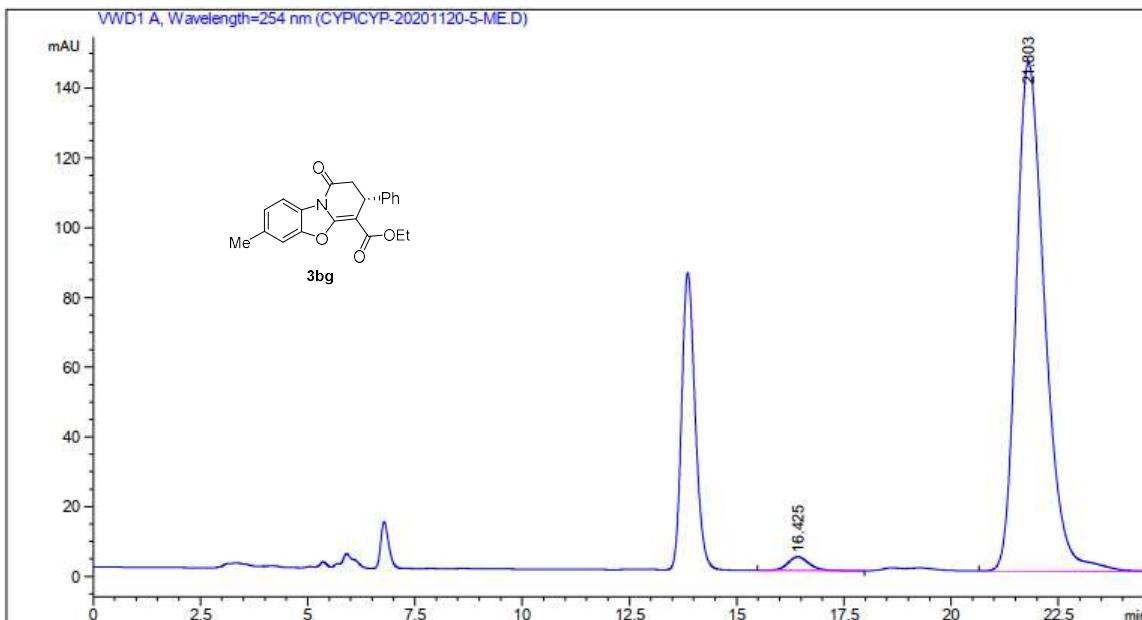
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	15.275	9892.67090	320.06320	49.7338
2	PDA 254 nm	18.246	9998.58496	265.07974	50.2662



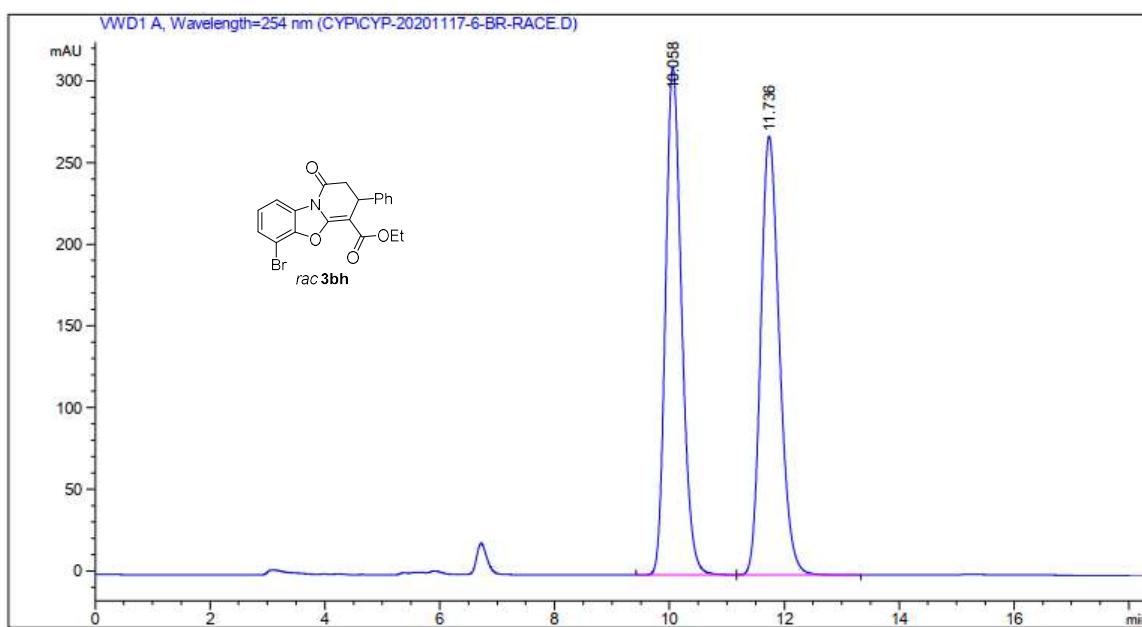
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	15.216	749.17468	24.39825	4.2948
2	PDA 254 nm	18.075	1.66947e4	445.02573	95.7052



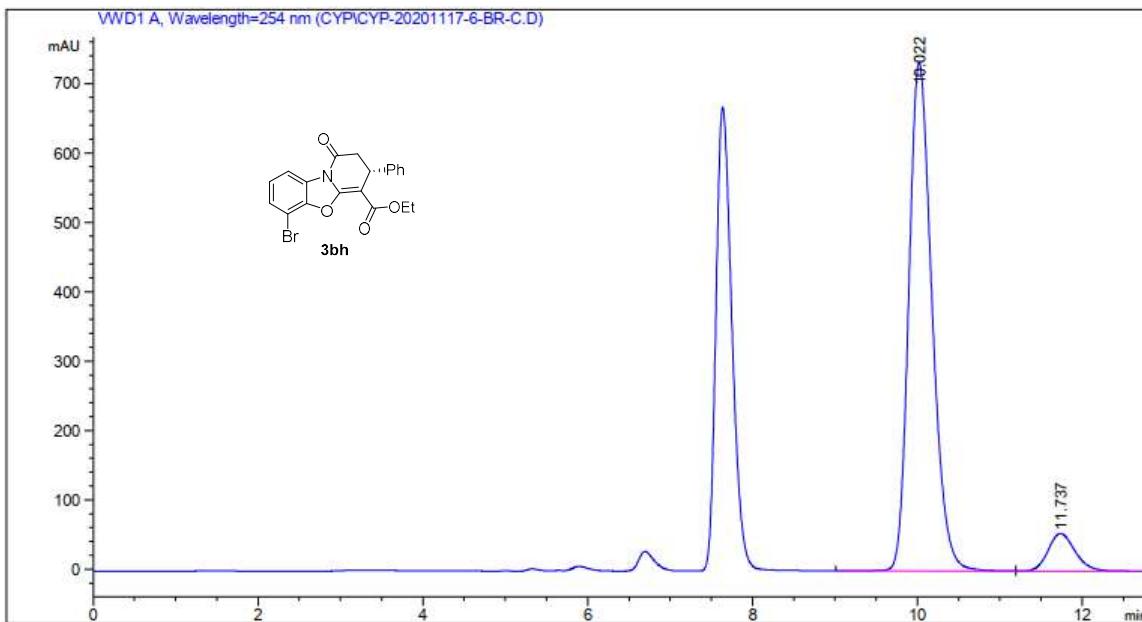
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	16.182	5906.04443	183.97424	49.9405
2	PDA 254 nm	21.499	5920.10596	133.11406	50.0595



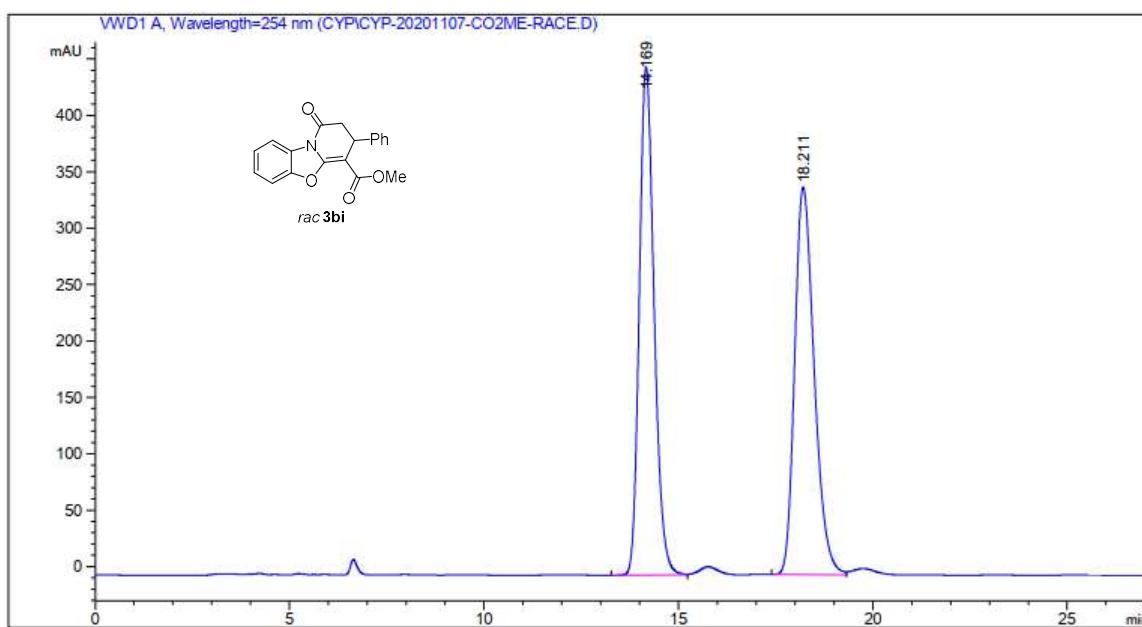
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	16.425	133.52751	3.91110	1.9524
2	PDA 254 nm	21.803	6705.60205	145.89186	98.0476



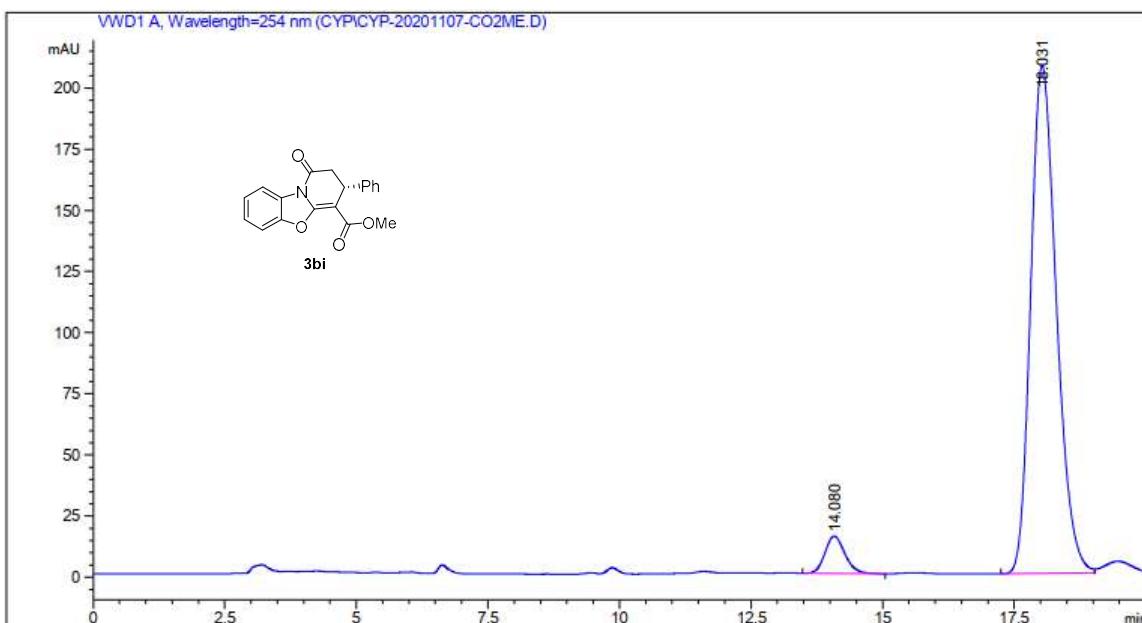
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	10.058	6033.63281	310.73636	49.8984
2	PDA 254 nm	11.736	6058.19434	268.51181	50.1016



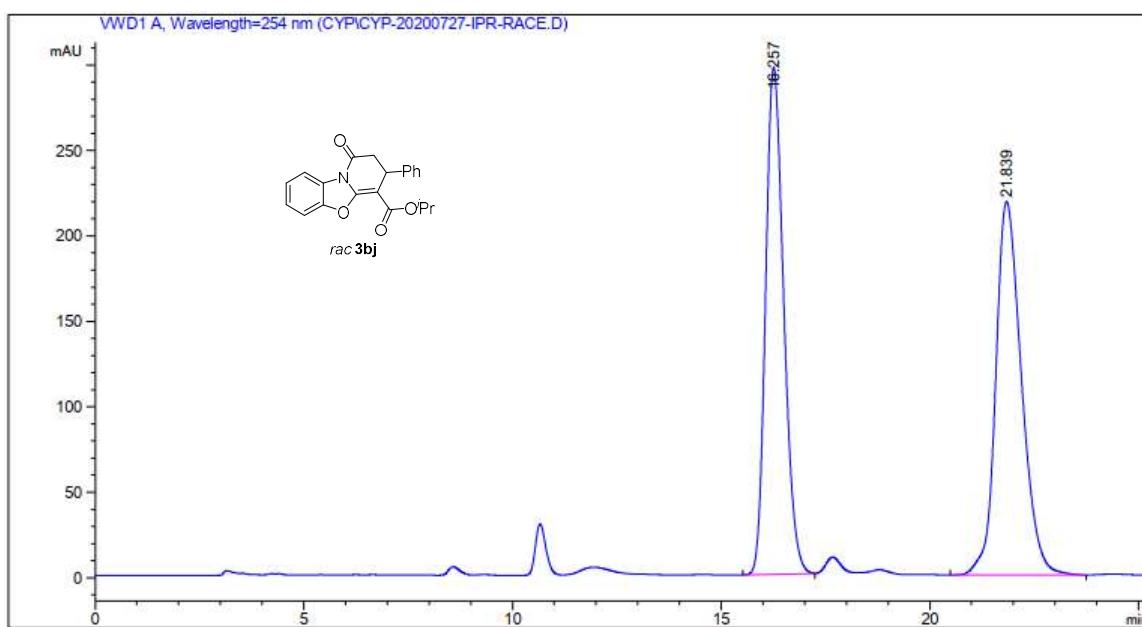
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	10.022	1.42784e4	733.26544	92.0630
2	PDA 254 nm	11.737	1230.98035	54.13692	7.9370



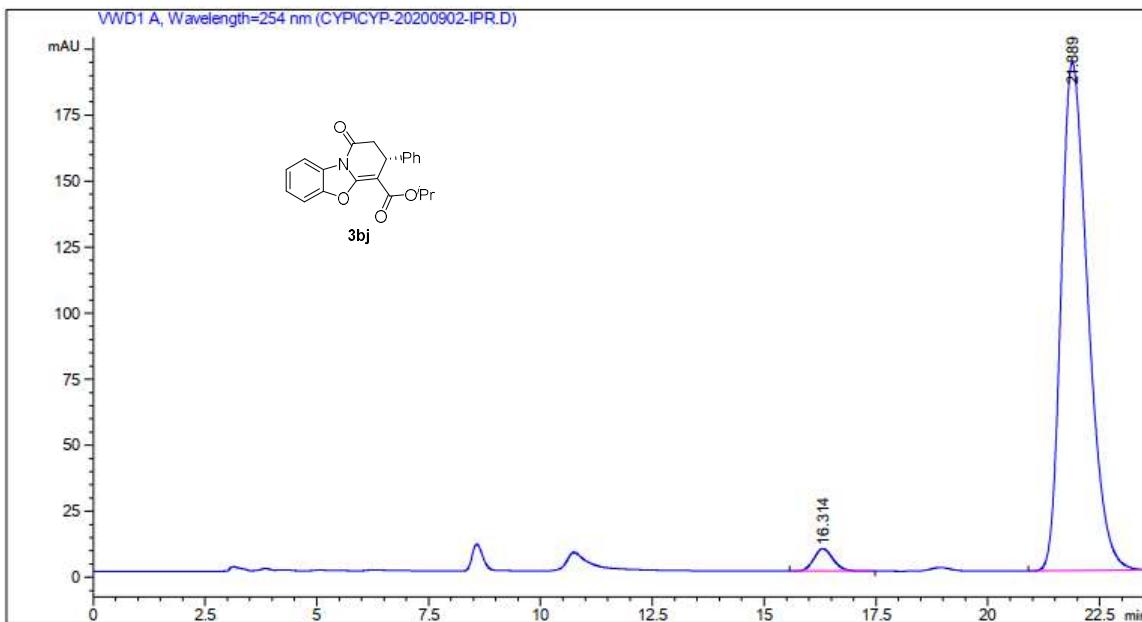
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	14.169	1.18987e4	450.19467	49.9061
2	PDA 254 nm	18.211	1.19434e4	343.10522	50.0939



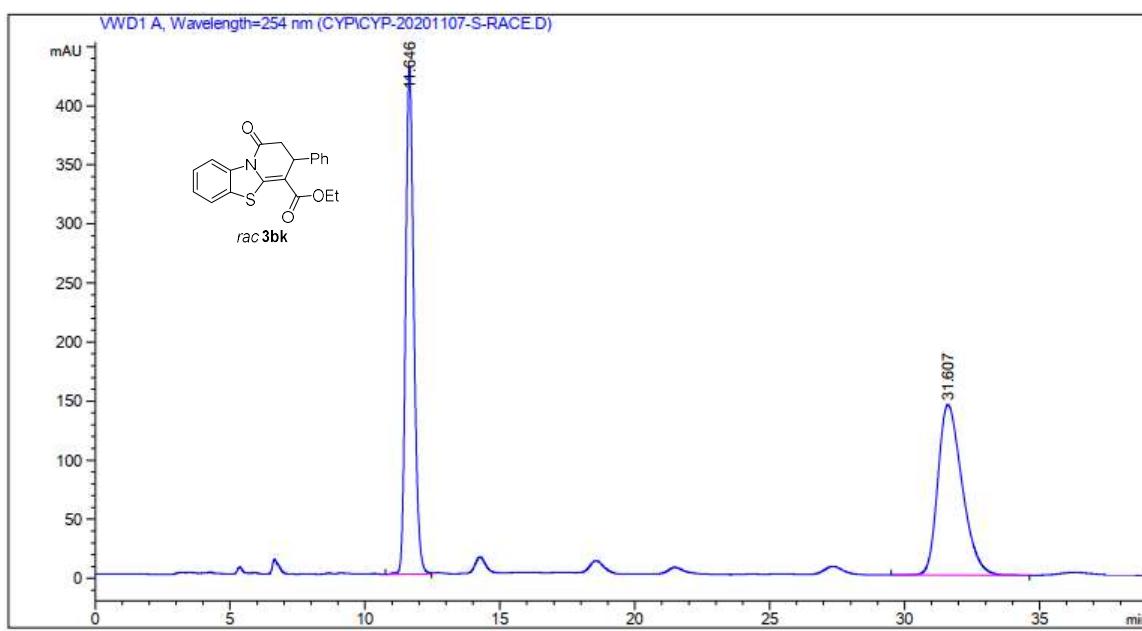
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	14.080	401.15414	15.23404	5.3918
2	PDA 254 nm	18.031	7038.92236	207.74536	94.6082



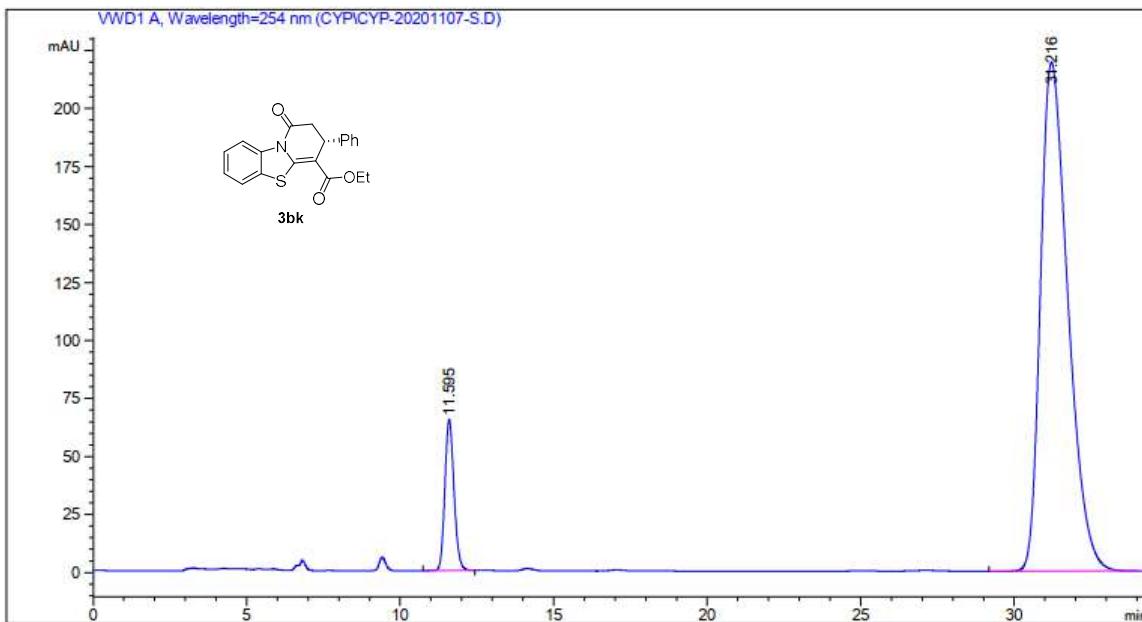
Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	16.257	8925.11328	296.61884	48.8519
2	PDA 254 nm	21.839	9344.63379	218.23744	51.1481



Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	16.314	253.03398	8.46238	3.0605
2	PDA 254 nm	21.889	8014.64209	192.45447	96.9395



Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	11.646	9061.02539	428.93066	50.1588
2	PDA 254 nm	31.607	9003.65332	144.14220	49.8412



Peak	Processed channel	Retention time (min)	Peak area (mAU*s)	Peak height (mAU)	Peak area (%)
1	PDA 254 nm	11.595	1347.18005	65.04278	9.0054
2	PDA 254 nm	31.216	1.36125e4	219.29218	90.9946

