Supporting Information

Diastereoselective Construction of Structurally Diverse 2,3-Dihydro-quinolin-4-one scaffolds via Redox Neutral Cascade [1,7]-Hydride Transfer/Cyclization

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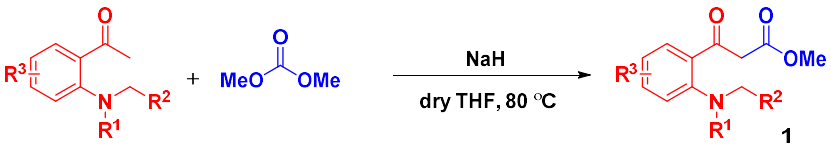
1. General Information

Unless otherwise noted, all reagents and solvents were purchased from the commercial sources and used as received. Thin layer chromatography (TLC) was used to monitor the reaction on Merck 60 F254 precoated silica gel plate (0.2 mm thickness). TLC spots were visualized by UV-light irradiation on Spectroline Model ENF-24061/F 254 nm. The products were purified by flash column chromatography (200-300 mesh silica gel) eluted with the gradient of petroleum ether and ethyl acetate. Proton nuclear magnetic resonance spectra (^{1}H NMR) were recorded on a Bruker 500 MHz NMR spectrometer ($CDCl_3$ or DMSO-d_6 solvent). The chemical shifts were reported in parts per million (ppm), downfield from $SiMe_4$ (δ 0.0) and relative to the signal of chloroform-d (δ 7.26, singlet) or dimethyl sulfoxide-d6 (δ 2.54, singlet). Multiplicities were afforded as: s (singlet); d (doublet); t (triplet); q (quartet); dd (doublets of doublet) or m (multiplets). The number of protons for a given resonance is indicated by nH. Coupling constants were reported as a *J* value in Hz. Carbon nuclear magnetic resonance spectra (^{13}C NMR) was referenced to the appropriate residual solvent peak. High resolution mass spectral analysis (HRMS) was performed on Waters XEVO G2 Q-TOF. All substituted saturated and unsaturated aldehydes were purchased from adamas-beta.

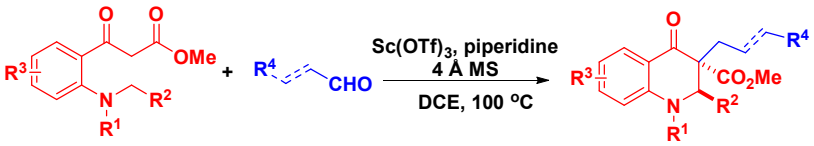
2. General Procedure

2.1. Procedure for the Synthesis of 1



A reaction tube was charged with 2-(dialkylamino)acetophenone (0.1 mmol), dimethyl carbonate (0.3 mmol), sodium hydride (30 mol%) and dry THF (1.0 mL). The mixture was stirred at 80 °C under an argon atmosphere for 8 h. Upon completion of the reaction as indicated by TLC analysis, the reaction was cooled to room temperature and quenched with saturated aqueous NH_4Cl solution. The mixture was then extracted thrice with ethyl acetate, and the combined organic phase was washed water and brine, dried over anhydrous Na_2SO_4, which was concentrated in vacuo afterwards. The residue was subjected to flash column chromatography for purification to afford product **1**.

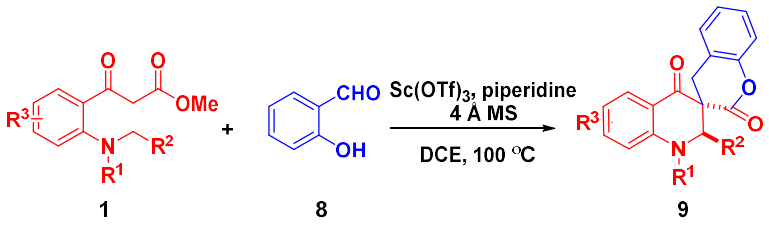
2.2. General Procedure for the Synthesis of 3/5/7



A reaction tube was charged with 2-(dialkylamino)methyl 3-oxo-3-phenylpropanoates **1** (0.1 mmol), aldehydes **2/4/6** (0.15 mmol), $Sc(OTf)_3$ (20 mol%), piperidine (5 mol%), 4 Å MS (70 mg) and DCE (1.0 mL). The mixture was stirred at 100 °C under an air atmosphere. Upon completion of the reaction as indicated by TLC analysis, the reaction was cooled to room

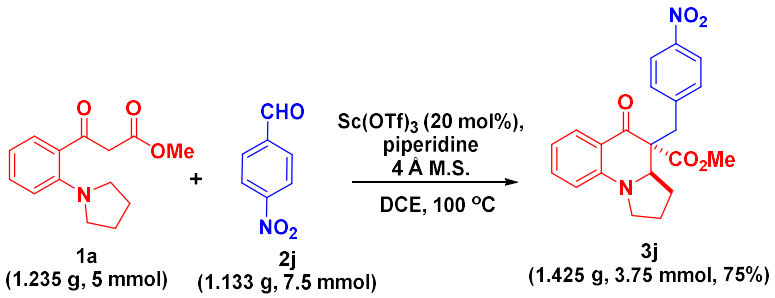
temperature and quenched by adding saturated aqueous $NaHCO_3$. The crude products were extracted with EtOAc thrice and the combined organic extracts were washed with brine, dried over anhydrous Na_2SO_4, and concentrated in vacuo. The residue was purified by flash column chromatography to afford product **3/5/7**.

2.3. General Procedure for the Synthesis of 9



A reaction tube was charged with 2-(dialkylamino)Methyl 3-oxo-3-phenylpropanoates **1** (0.1 mmol), 2-hydroxybenzaldehyde **8** (0.15 mmol), $Sc(OTf)_3$ (20 mol%), piperidine (5 mol%), 4 Å MS (70 mg) and DCE (1.0 mL). The mixture was stirred at 100 °C under an air atmosphere for 12 h. Upon completion of the reaction as indicated by TLC analysis, the reaction was cooled to room temperature and quenched by adding saturated aqueous $NaHCO_3$. The crude products were extracted with EtOAc thrice and the combined organic extracts were washed with brine, dried over anhydrous Na_2SO_4, and concentrated in vacuo. The residue was purified by flash column chromatography to afford product **9**.

2.4. Large-scale synthesis.

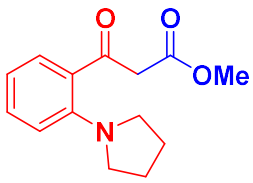


A reaction tube was charged with methyl 3-oxo-3-(2-(pyrrolidin-1-yl)phenyl)propanoate **1a** (1.235 g, 5 mmol), 4-nitrobenzaldehyde **2j** (1.133 g, 7.5 mmol), $Sc(OTf)_3$ (20 mol%), piperidine (5 mol%), 4 Å MS (700 mg) and DCE (15 mL). The mixture was stirred at 100 °C under an air atmosphere. Upon completion of the reaction as indicated by TLC analysis, the reaction was cooled to room temperature and quenched by adding saturated aqueous $NaHCO_3$. The crude products were extracted with EtOAc thrice and the combined organic extracts were washed with brine, dried over anhydrous Na_2SO_4, and concentrated in vacuo. The residue was purified by flash column chromatography to afford product **3j** (1.425 g, 3.75 mmol, 75%).

3. Characterization of All Compounds

Compounds 1:

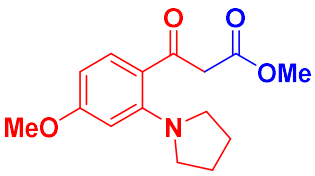
Methyl 3-oxo-3-(2-(pyrrolidin-1-yl)phenyl)propanoate (1a)



Reaction time: 8 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:20) afforded the product (24.2 mg, 98% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.51 (dt, *J* = 7.9, 2.0 Hz, 1H), 7.33 (m, 1H), 6.83 (dd, *J* = 8.4, 2.4 Hz, 1H), 6.77 – 6.70 (m, 1H), 3.99 (q, *J* = 2.3 Hz, 2H), 3.72 (q, *J* = 2.2 Hz, 3H), 3.14 (m, 4H), 1.95 (qt, *J* = 4.0, 2.0 Hz, 4H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 193.9, 193.9, 168.5, 148.1, 132.6, 129.7, 124.8, 115.8, 114.7, 52.3, 51.8, 47.5, 25.8 ppm. **HRMS (ESI):** calcd. for $C_{14}H_{17}NO_3$ $[M+H]^+$: 248.1281; Found: 248.1277.

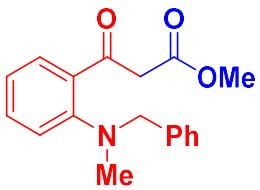
Methyl 3-(4-methoxy-2-(pyrrolidin-1-yl)phenyl)-3-oxopropanoate (1b)



Reaction time: 8 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:20) afforded the product (27.4 mg, 99% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.53 (d, *J* = 8.7 Hz, 1H), 6.36 – 6.22 (m, 2H), 3.95 (s, 2H), 3.82 (s, 3H), 3.72 (s, 3H), 3.21 – 3.10 (m, 4H), 1.99 – 1.91 (m, 4H).**^{13}C NMR** (125 MHz, $CDCl_3$) δ 191.2, 168.8, 163.3, 150.4, 132.30, 118.6, 102.4, 99.1, 55.3, 52.2, 51.9, 47.2, 25.8 ppm. **HRMS (ESI):** calcd. for $C_{15}H_{19}NO_4$ $[M+H]^+$: 278.1387, found: 278.1382.

Methyl 3-(2-(benzyl(Methyl)amino)phenyl)-3-oxopropanoate (1c)

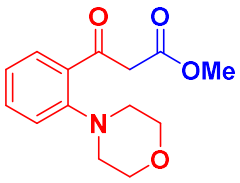


Reaction time: 8 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:20) afforded the product (29.4 mg, 99% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.38 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.24 (t, *J* = 7.7 Hz, 1H), 7.13 (m, 3H), 7.04 (d, *J* = 7.4 Hz, 2H), 6.89 (dd, *J* = 7.9, 4.8 Hz, 2H), 4.08 (s, 2H), 4.06 (s, 2H), 3.56 (s, 3H), 2.54 (s, 3H).**^{13}C NMR** (125 MHz, $CDCl_3$) δ 197.4, 168.3, 151.5, 136.9, 132.6, 132.4, 129.9, 128.6,

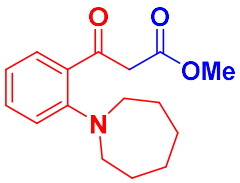
128.4, 127.5, 121.7, 119.7, 114.1, 61.1, 52.1, 47.6, 41.9 ppm. **HRMS (ESI)**: calcd. for $C_{18}H_{19}NO_3$ $[M+H]^+$: 298.1438, found: 298.1432.

Methyl 3-(2-morpholinophenyl)-3-oxopropanoate (1d)



Reaction time: 8 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:20) afforded the product (25.8 mg, 98% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.45 (m, 2H), 7.11 (dd, *J* = 8.1, 6.4 Hz, 2H), 4.21 (s, 2H), 3.90 – 3.83 (m, 4H), 3.71 (s, 3H), 2.98 (t, *J* = 4.6 Hz, 4H).**^{13}C NMR** (125 MHz, $CDCl_3$) δ 198.2, 168.2, 151.2, 133.9, 132.7, 129.8, 123.4, 118.8, 66.8, 53.5, 52.1, 47.6 ppm. **HRMS (ESI)**: calcd. for $C_{14}H_{17}NO_4$ $[M+H]^+$: 264.1230, found: 264.1235.

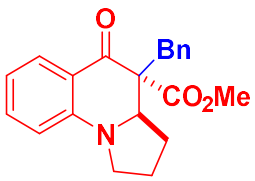
Methyl 3-(2-(azepan-1-yl)phenyl)-3-oxopropanoate (1e)



Reaction time: 8 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:20) afforded the product (27.3 mg, 99% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.41 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.35 (m, 1H), 7.06 (d, *J* = 8.3 Hz, 1H), 6.92 – 6.87 (m, 1H), 4.08 (s, 2H), 3.71 (s, 3H), 3.28 – 3.23 (m, 4H), 1.79 – 1.73 (m, 4H), 1.63 (m, *J* = 2.7 Hz, 4H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 197.2, 168.3, 152.4, 132.1, 129.6, 119.7, 118.9, 55.2, 52.1, 47.5, 28.3, 27.8 ppm. **HRMS (ESI)**: calcd. for $C_{16}H_{21}NO_3$ $[M+H]^+$: 276.1594, found: 276.1598.

Compounds 3:

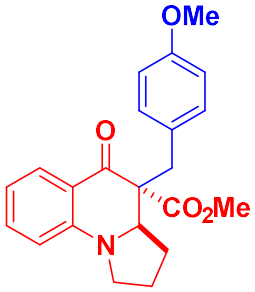
Methyl 4-benzyl-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3a)



Reaction time: 24 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (26.5 mg, 79% yield) as a yellow solid. M.p. 130-131 ℃.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.70 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.39 (m, 1H), 7.07 (dd, *J* = 5.2, 1.9 Hz, 3H), 6.95 (dd, *J* = 6.3, 2.7 Hz, 2H), 6.69 (t, *J* = 7.5 Hz, 1H), 6.58 (d, *J* = 8.4 Hz, 1H), 4.18 (dd,

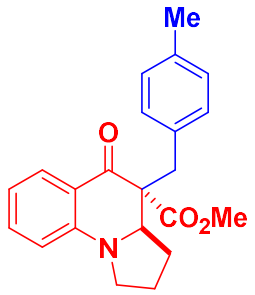
J = 9.8, 5.4 Hz, 1H), 3.82 (s, 3H), 3.58 – 3.39 (m, 2H), 3.34 (d, *J* = 13.7 Hz, 1H), 2.91 (d, *J* = 13.7 Hz, 1H), 2.18 (m, 1H), 2.10 – 1.90 (m, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.8, 171.9, 148.4, 136.3, 135.5, 130.7, 129.2, 127.5, 126.5, 118.3, 116.6, 112.7, 63.4, 61.7, 52.6, 46.8, 31.7, 26.2, 22.9 ppm. **HRMS (ESI):** calcd. for $C_{21}H_{21}NO_3$ $[M+H]^+$: 336.1594, found: 336.1598.

Methyl 4-(4-methoxybenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3b)



Reaction time: 24 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (21.2 mg, 58% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.70 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.39 (m, 1H), 6.91 – 6.82 (m, 2H), 6.69 (t, *J* = 7.5 Hz, 1H), 6.60 (dd, *J* = 8.3, 5.4 Hz, 3H), 4.17 (dd, *J* = 9.7, 5.5 Hz, 1H), 3.81 (s, 3H), 3.71 (s, 3H), 3.57 – 3.44 (m, 2H), 3.27 (d, *J* = 13.8 Hz, 1H), 2.85 (d, *J* = 13.9 Hz, 1H), 2.18 (m, 1H), 2.08 – 1.90 (m, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.9, 171.9, 158.1, 148.3, 135.5, 131.7, 129.2, 128.2, 118.2, 116.6, 112.9, 112.7, 63.4, 61.7, 55.1, 52.5, 46.8, 30.7, 26.2, 22.9 ppm. **HRMS (ESI):** calcd. for $C_{22}H_{23}NO_4$ $[M+H]^+$: 366.1700, found: 366.1708.

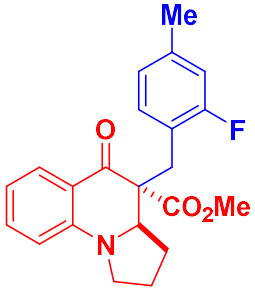
Methyl 4-(4-Methylbenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3c)



Reaction time: 24 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (19.6 mg, 56% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.71 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.39 (m, 1H), 6.92 – 6.79 (m, 4H), 6.70 (m, 1H), 6.60 (d, *J* = 8.4 Hz, 1H), 4.17 (dd, *J* = 9.6, 5.6 Hz, 1H), 3.81 (s, 3H), 3.59 – 3.42 (m, 2H), 3.29 (d, *J* = 13.7 Hz, 1H), 2.86 (d, *J* = 13.7 Hz, 1H), 2.22 (s, 3H), 1.98 (m, 4H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.9, 171.9, 148.3, 135.8, 135.4, 133.1, 130.5, 129.2, 128.2, 118.3, 116.6, 112.7, 63.5, 61.8, 52.5, 46.8, 31.1, 26.2, 22.9, 21.1 ppm. **HRMS (ESI):** calcd. for $C_{22}H_{23}NO_3$ $[M+H]^+$: 350.1751, found: 350.1755.

Methyl 4-(2-fluoro-4-Methylbenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-

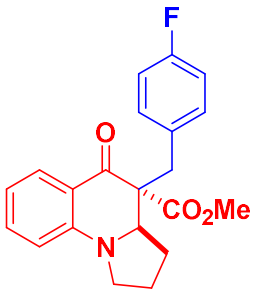
a]quinoline-4-carboxylate (3d)



Reaction time: 20 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (16.6 mg, 45% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.73 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.30 (m, 1H), 7.00 (t, *J* = 8.0 Hz, 1H), 6.68 – 6.55 (m, 3H), 6.46 (d, *J* = 8.4 Hz, 1H), 4.19 (dd, *J* = 9.6, 5.4 Hz, 1H), 3.81 (s, 3H), 3.51 – 3.43 (m, 1H), 3.39 (m, 1H), 3.24 – 3.12 (m, 2H), 2.24 – 2.12 (m, 5H), 2.01 – 1.92 (m, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 191.1, 171.8, 161.9, 148.7, 138.4, 135.3, 132.4, 128.9, 123.8, 119.8, 118.2, 116.4, 114.9, 112.6, 63.5, 61.2, 52.6, 46.7, 26.1, 24.1, 22.8, 20.9 ppm. **HRMS (ESI):** calcd. for $C_{22}H_{22}FNO_3$ $[M+H]^+$: 368.1656, found: 368.1659.

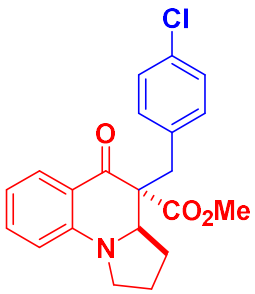
Methyl 4-(4-fluorobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3e)



Reaction time: 18 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (19.8 mg, 56% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.68 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.40 (m, 1H), 6.93 – 6.86 (m, 2H), 6.74 (t, *J* = 8.7 Hz, 2H), 6.70 (m, 1H), 6.60 (dd, *J* = 8.4, 0.9 Hz, 1H), 4.25 – 4.11 (m, 1H), 3.81 (s, 3H), 3.51 (m, 2H), 3.31 (d, *J* = 13.8 Hz, 1H), 2.85 (d, *J* = 13.8 Hz, 1H), 2.25 – 2.14 (m, 1H), 2.11 – 1.88 (m, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.7, 171.9, 162.6, 160.6, 148.3, 135.6, 132.2, 132.1, 129.1, 118.2, 116.7, 114.3, 114.2, 112.7, 63.4, 61.7, 52.6, 46.8, 30.7, 26.2, 22.9 ppm. **HRMS (ESI):** calcd. for $C_{21}H_{20}FNO_3$ $[M+H]^+$: 354.1500, found: 354.1508.

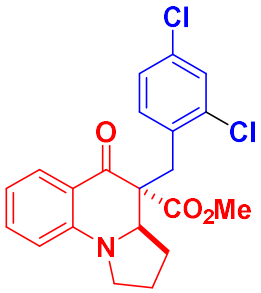
Methyl 4-(4-chlorobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3f)



Reaction time: 16 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (20.3 mg, 55% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.69 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.40 (m, 1H), 7.06 – 7.00 (m, 2H), 6.90 – 6.84 (m, 2H), 6.70 (t, *J* = 7.3 Hz, 1H), 6.60 (d, *J* = 8.3 Hz, 1H), 4.18 (dd, *J* = 9.3, 5.9 Hz, 1H), 3.81 (s, 3H), 3.57 – 3.44 (m, 2H), 3.30 (d, *J* = 13.7 Hz, 1H), 2.84 (d, *J* = 13.8 Hz, 1H), 2.19 (m, 1H), 2.08 – 1.92 (m, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.5, 171.8, 148.3, 135.7, 134.8, 132.3, 132.1, 131.6, 129.2, 128.9, 127.6, 118.2, 116.8, 112.7, 63.4, 61.7, 52.6, 46.8, 30.8, 26.2, 22.9 ppm. **HRMS (ESI):** calcd. for $C_{21}H_{20}ClNO_3$ $[M+H]^+$: 370.1204, found: 370.1209.

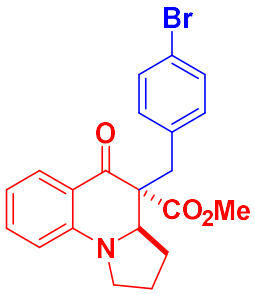
Methyl 4-(2,4-dichlorobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3g)



Reaction time: 16 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (25.1 mg, 62% yield) as a yellow oil.

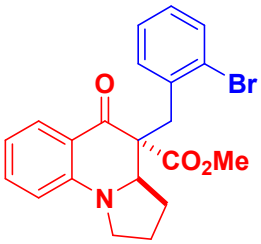
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.63 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.38 – 7.31 (m, 2H), 7.09 (d, *J* = 2.1 Hz, 1H), 6.98 (dd, *J* = 8.5, 2.2 Hz, 1H), 6.65 (m, 1H), 6.53 (d, *J* = 8.4 Hz, 1H), 4.19 (dd, *J* = 9.9, 5.8 Hz, 1H), 3.83 (s, 3H), 3.57 (m, 1H), 3.45 (m, 1H), 3.42 – 3.32 (m, 2H), 2.21 (m, 1H), 2.10 (m, 1H), 2.06 – 1.94 (m, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.5, 172.1, 149.1, 135.6, 135.4, 133.3, 132.8, 132.7, 128.9, 128.5, 126.3, 118.2, 116.8, 112.8, 63.8, 61.6, 52.8, 46.9, 26.9, 26.3, 22.8 ppm. **HRMS (ESI):** calcd. for $C_{21}H_{19}Cl_2NO_3$ $[M+H]^+$: 404.0815, found: 404.0819.

Methyl 4-(4-bromobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3h)



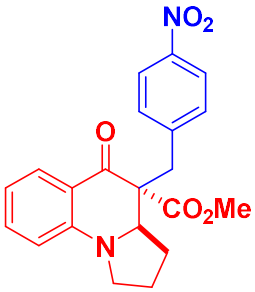
Reaction time: 18 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (22.3 mg, 54% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.69 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.41 (m, 1H), 7.20 – 7.15 (m, 2H), 6.83 – 6.79 (m, 2H), 6.73 – 6.69 (m, 1H), 6.60 (d, *J* = 8.4 Hz, 1H), 4.18 (dd, *J* = 9.4, 5.9 Hz, 1H), 3.81 (s, 3H), 3.51 (m, *J* = 21.0, 8.6, 4.9 Hz, 2H), 3.29 (d, *J* = 13.7 Hz, 1H), 2.82 (d, *J* = 13.7 Hz, 1H), 2.20 (m, 1H), 2.09 – 1.91 (m, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.5, 171.8, 148.3, 135.7, 135.3, 132.4, 130.5, 129.2, 120.6, 118.2, 116.8, 112.7, 63.4, 61.6, 52.6, 46.8, 30.9, 26.2, 22.9 ppm. **HRMS (ESI):** calcd. for $C_{21}H_{20}BrNO_3$ $[M+H]^+$: 414.0699, found: 414.0693.

Methyl 4-(2-bromobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3i)



Reaction time: 16 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (19.4 mg, 47% yield) as a yellow solid. M.p. 142-143 ℃.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.61 (dd, *J* = 8.0, 1.7 Hz, 1H), 7.40 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.32 (m, 1H), 7.28 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.06 (m, 1H), 6.90 (m, 1H), 6.62 (m, 1H), 6.54 (dd, *J* = 8.4, 1.1 Hz, 1H), 4.24 – 4.15 (m, 1H), 3.84 (s, 3H), 3.59 (m, 1H), 3.54 – 3.36 (m, 3H), 2.19 (m, 1H), 2.14 – 2.05 (m, 1H), 2.04 – 1.93 (m, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.6, 172.3, 149.1, 135.9, 135.5, 132.3, 128.9, 127.9, 126.7, 125.8, 118.2, 116.6, 112.9, 63.9, 61.6, 52.8, 46.9, 30.1, 26.4, 22.9 ppm. **HRMS (ESI):** calcd. for $C_{21}H_{20}BrNO_3$ $[M+H]^+$: 414.0699, found: 414.0695.

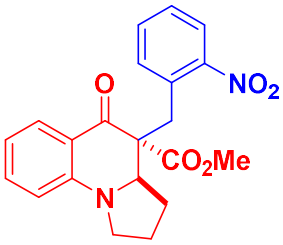
Methyl 4-(4-nitrobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3j)



Reaction time: 18 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (29.6 mg, 78% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.91 (d, *J* = 8.4 Hz, 2H), 7.66 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.42 (m, 1H), 7.09 (d, *J* = 8.4 Hz, 2H), 6.72 (t, *J* = 7.5 Hz, 1H), 6.63 (d, *J* = 8.4 Hz, 1H), 4.20 (dd, *J* = 10.0, 5.2 Hz, 1H), 3.81 (s, 3H), 3.62 – 3.46 (m, 2H), 3.43 (d, *J* = 13.5 Hz, 1H), 2.92 (d, *J* = 13.5 Hz, 1H), 2.22 (dd, *J* = 8.8, 4.5 Hz, 1H), 2.14 – 1.96 (m, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.1, 171.6, 148.4, 146.6, 144.5, 136.1, 131.6, 129.1, 126.9, 123.7, 122.6, 118.1, 117.1, 112.9, 63.4, 61.9, 52.8, 46.9, 31.1, 26.2, 22.9 ppm. **HRMS (ESI):** calcd. for $C_{21}H_{20}N_2O_5$ $[M+H]^+$: 381.1445, found: 381.1449.

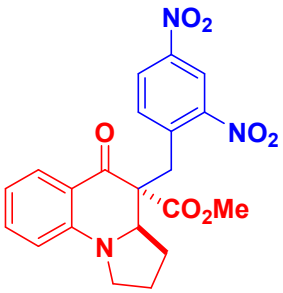
Methyl 4-(2-nitrobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3k)



Reaction time: 18 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (16.7 mg, 44% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.64 (m, 2H), 7.39 (m, 1H), 7.26 (t, 1H), 7.19 (m, 1H), 7.10 (dd, *J* = 7.8, 1.4 Hz, 1H), 6.69 (t, *J* = 7.5 Hz, 1H), 6.61 (d, *J* = 8.4 Hz, 1H), 4.17 (dd, *J* = 9.7, 5.8 Hz, 1H), 3.88 (d, *J* = 14.5 Hz, 1H), 3.76 (s, 3H), 3.64 – 3.55 (m, 1H), 3.50 – 3.37 (m, 2H), 2.28 – 2.17 (m, 1H), 2.12 – 1.93 (m, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.7, 171.4, 151.1, 148.8, 135.9, 133.8, 131.5, 131.2, 128.8, 127.3, 124.3, 117.8, 117.1, 113.3, 64.1, 61.8, 52.9, 47.1, 26.1, 25.6, 22.8 ppm. **HRMS (ESI):** calcd. for $C_{21}H_{20}N_2O_5$ $[M+H]^+$: 381.1445, found: 381.1442.

Methyl 4-(2,4-dinitrobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3l)

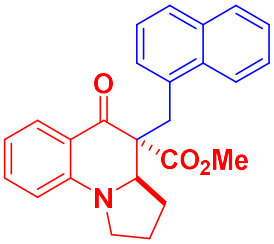


Reaction time: 18 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (28.9 mg, 68% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.51 (d, *J* = 2.4 Hz, 1H), 8.12 (dd, *J* = 8.6, 2.4 Hz, 1H), 7.67 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.44 (m, 1H), 7.36 (d, *J* = 8.6 Hz, 1H), 6.77 – 6.72 (m, 1H), 6.65 (d, *J* = 8.4 Hz, 1H), 4.21 (dd, *J* = 9.4, 6.3 Hz, 1H), 3.96 (d, *J* = 14.3 Hz, 1H), 3.77 (s, 3H), 3.63 (m, 1H), 3.55 – 3.41 (m, 2H), 2.32 – 2.18 (m, 1H), 2.04 (m, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.1, 171.1, 150.9, 148.9, 146.3, 138.9, 136.4, 135.3, 128.9, 125.4, 119.7, 117.6, 117.4, 113.4, 63.9, 62.2, 53.1,

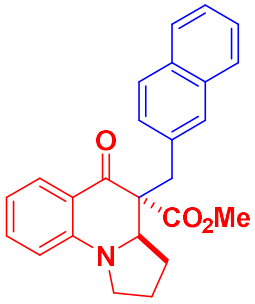
47.1, 26.1, 25.8, 22.8 ppm. **HRMS (ESI):** calcd. for $C_{21}H_{19}N_3O_7$ $[M+H]^+$: 426.1296, found: 426.1292.

Methyl 4-(naphthalen-1-ylMethyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3m)



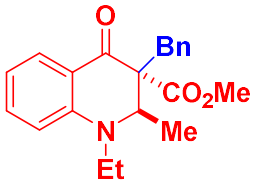
Reaction time: 24 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (22.4 mg, 58% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.70 – 7.63 (m, 2H), 7.58 (d, *J* = 8.2 Hz, 1H), 7.48 (dd, *J* = 7.4, 1.2 Hz, 1H), 7.36 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.32-7.27(m, 2H), 7.23 (m, 1H), 7.11 (m, 1H), 6.53 (d, *J* = 8.3 Hz, 1H), 6.50 (m, 1H), 4.21 (dd, *J* = 9.5, 6.1 Hz, 1H), 3.83 (m, 4H), 3.64 (d, *J* = 14.5 Hz, 1H), 3.48 (m, 1H), 3.39 (m, 1H), 2.18 – 2.04 (m, 2H), 2.04 – 1.88 (m, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.7, 172.2, 148.8, 135.4, 133.5, 132.7, 132.6, 129.1, 129.1, 128.3, 127.3, 124.8, 124.8, 124.7, 123.8, 118.6, 116.7, 112.5, 64.1, 62.1, 52.7, 46.9, 26.8, 26.3, 22.7 ppm. **HRMS (ESI):** calcd. for $C_{25}H_{23}NO_3$ $[M+H]^+$: 386.1751, found: 386.1755.

Methyl 4-(naphthalen-2-ylMethyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3n)



Reaction time: 24 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (20.1 mg, 52% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.73 – 7.68 (m, 1H), 7.66 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.60 – 7.52 (m, 2H), 7.42 – 7.32 (m, 3H), 7.29 (d, *J* = 1.7 Hz, 1H), 7.17 (dd, *J* = 8.5, 1.8 Hz, 1H), 6.69 (m, 1H), 6.59 (dd, *J* = 8.4, 0.9 Hz, 1H), 4.27 – 4.16 (m, 1H), 3.84 (s, 3H), 3.62 – 3.42 (m, 3H), 3.07 (d, *J* = 13.7 Hz, 1H), 2.19 (m, 1H), 2.13 – 1.93 (m, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.8, 171.9, 148.3, 135.5, 133.9, 132.9, 132.2, 129.6, 129.2, 129.1, 127.6, 127.4, 126.8, 125.5, 125.3, 118.4, 116.6, 112.7, 63.4, 61.8, 52.6, 46.8, 31.8, 26.3, 22.9 ppm. **HRMS (ESI):** calcd. for $C_{25}H_{23}NO_3$ $[M+H]^+$: 386.1751, found: 386.1757.

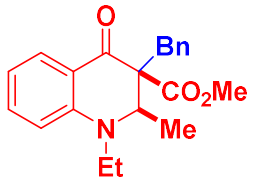
Methyl 3-benzyl-1-ethyl-2-Methyl-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (3o) (major diastereomer)



Reaction time: 24 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (12.2 mg, 36% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.87 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.33 (m, 1H), 7.26 (t, *J* = 4.6 Hz, 3H), 7.25 – 7.19 (m, 2H), 6.67 – 6.61 (m, 1H), 6.57 (d, *J* = 8.5 Hz, 1H), 3.98 (q, *J* = 6.8 Hz, 1H), 3.60 (d, *J* = 14.0 Hz, 1H), 3.47 (m, 1H), 3.34 (s, 3H), 3.17 (m, 1H), 2.72 (d, *J* = 13.9 Hz, 1H), 1.34 – 1.13 (m, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 191.2, 170.4, 147.7, 136.6, 135.7, 130.3, 128.6, 128.1, 126.8, 118.3, 115.8, 112.3, 62.2, 60.6, 52.1, 44.8, 36.6, 12.8, 10.9 ppm. **HRMS (ESI):** calcd. for $C_{21}H_{23}NO_3$ $[M+H]^+$: 338.1751, found: 338.1756.

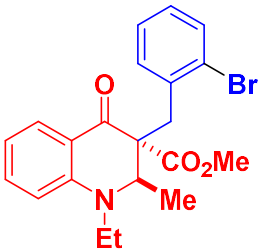
Methyl 3-benzyl-1-ethyl-2-Methyl-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (3o) (minor diastereomer)



Reaction time: 24 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (6.7 mg, 20% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.96 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.46 – 7.39 (m, 1H), 7.21 (d, *J* = 6.9 Hz, 3H), 7.01 (dd, *J* = 7.1, 1.9 Hz, 2H), 6.75 (t, *J* = 7.5 Hz, 1H), 6.71 (d, *J* = 8.5 Hz, 1H), 5.30 (s, 2H), 3.77 (s, 3H), 3.37 (dt, *J* = 15.0, 7.4 Hz, 1H), 3.34 – 3.20 (m, 2H), 0.93 – 0.81 (m, 6H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 192.9, 171.2, 147.7, 138.9, 136.6, 134.8, 133.7, 130.2, 126.8, 120.9, 118.2, 115.8, 112.3, 111.6, 62.2, 55.7, 52.1, 50.5, 44.8, 36.6, 10.9 ppm. **HRMS (ESI):** calcd. for $C_{21}H_{23}NO_3$ $[M+H]^+$: 338.1751, found: 338.1754.

Methyl 3-(2-bromobenzyl)-1-ethyl-2-Methyl-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (3p) (major diastereomer)

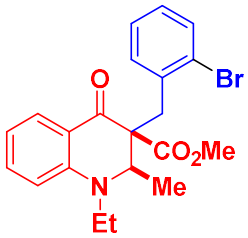


Reaction time: 20 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (16.2 mg, 39% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.93 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.52 (m, 2H), 7.34 (m, 1H), 7.23 (m, 1H), 7.06 (m, 1H), 6.72 – 6.65 (m, 1H), 6.59 (d, *J* = 8.5 Hz, 1H), 4.12 (q, *J* = 6.8 Hz, 1H), 3.81 (d, *J* = 14.5 Hz, 1H), 3.49 (m, 1H), 3.30 (s, 3H), 3.16 (m, 1H), 3.03 (d, *J* = 14.5 Hz, 1H), 1.26 (d, *J* =

6.7 Hz, 3H), 1.20 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 191.1, 170.4, 147.6, 136.8, 135.6, 132.8, 131.9, 128.6, 128.3, 127.2, 126.1, 118.6, 116.1, 112.3, 62.2, 61.5, 52.1, 44.8, 34.5, 12.9, 10.8 ppm. **HRMS (ESI):** calcd. for $C_{21}H_{22}BrNO_3$ $[M+H]^+$: 416.0856, found: 416.0852.

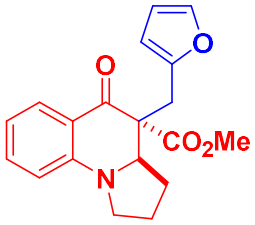
Methyl 3-(2-bromobenzyl)-1-ethyl-2-Methyl-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (3p) (minor diastereomer)



Reaction time: 20 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (8.7 mg, 21% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.91 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.47 – 7.43 (m, 1H), 7.39 (ddd, *J* = 8.7, 7.0, 1.8 Hz, 1H), 7.15 (dd, *J* = 6.8, 1.6 Hz, 2H), 7.01 (ddd, *J* = 8.5, 6.4, 2.5 Hz, 1H), 6.71 (t, *J* = 7.4 Hz, 1H), 6.67 (d, *J* = 8.5 Hz, 1H), 3.77 (s, 3H), 3.65 (d, *J* = 14.9 Hz, 1H), 3.53 (d, *J* = 9.3 Hz, 1H), 3.25 (dq, *J* = 14.5, 7.3 Hz, 1H), 1.56 (s, 2H), 1.28 (t, *J* = 7.1 Hz, 3H), 1.22 (d, *J* = 6.6 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) ^{13}C NMR (126 MHz, $CDCl_3$) δ 192.2, 165.2, 138.3, 136.8, 135.2, 132.7, 131.1, 130.5, 128.6, 126.1, 120.9, 118.6, 112.1, 111.6, 62.1, 55.4, 52.4, 44.8, 34.5, 12.9, 10.8 ppm. **HRMS (ESI):** calcd. for $C_{21}H_{22}BrNO_3$ $[M+H]^+$: 416.0856, found: 416.0859.

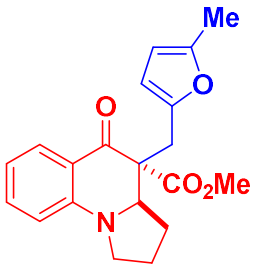
Compounds 5:

Methyl 4-(furan-2-ylMethyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5a)



Reaction time: 10 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (20.2 mg, 62% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80 (dd, *J* = 8.0, 1.7 Hz, 1H), 7.37 (m, 1H), 7.13 (dd, *J* = 1.9, 0.9 Hz, 1H), 6.69 (m, 1H), 6.57 (d, *J* = 8.3 Hz, 1H), 6.14 (dd, *J* = 3.2, 1.9 Hz, 1H), 5.91 (d, *J* = 3.1 Hz, 1H), 4.16 (dd, *J* = 10.1, 5.8 Hz, 1H), 3.83 (s, 3H), 3.53 – 3.45 (m, 1H), 3.42 (m, 1H), 3.22 (d, *J* = 15.1 Hz, 1H), 3.11 (d, *J* = 15.1 Hz, 1H), 2.13 (m, 1H), 2.02 – 1.87 (m, 2H), 1.88 – 1.72 (m, 1H).
^{13}C NMR (125 MHz, $CDCl_3$) δ 191.1, 171.1, 150.6, 148.6, 140.9, 135.5, 129.2, 117.5, 116.5, 112.8, 110.4, 108.6, 62.8, 60.4, 52.6, 46.8, 26.1, 25.5, 22.9 ppm. **HRMS (ESI)**: calcd. for $C_{19}H_{19}NO_4$ $[M+H]^+$: 326.1387, found: 326.1382.

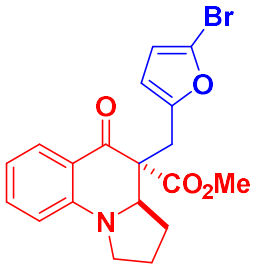
Methyl 4-((5-Methylfuran-2-yl)Methyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5b)



Reaction time: 10 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (20.7 mg, 61% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.81 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.36 (m, *J* = 8.6, 7.0, 1.7 Hz, 1H), 6.68 (m, 1H), 6.55 (d, *J* = 8.4 Hz, 1H), 5.80 (d, *J* = 3.1 Hz, 1H), 5.70 (dd, *J* = 2.9, 1.3 Hz, 1H), 4.18 (dd, *J* = 9.9, 5.9 Hz, 1H), 3.83 (s, 3H), 3.42 (dd, *J* = 8.1, 5.6 Hz, 2H), 3.16 (d, *J* = 15.2 Hz, 1H), 3.08 (d, *J* = 15.2 Hz, 1H), 2.18 – 2.08 (m, 1H), 2.05 (s, 3H), 1.94 (m, 2H), 1.88 – 1.80 (m, 1H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 191.3, 171.3, 150.3, 148.6, 148.5, 135.4, 129.1, 117.6, 116.3, 112.7, 109.1, 106.2, 62.6, 60.3, 52.5, 46.6, 26.1, 25.9, 23.1, 13.3 ppm. **HRMS (ESI)**: calcd. for $C_{20}H_{21}NO_4$ $[M+H]^+$: 340.1543, found: 340.1548.

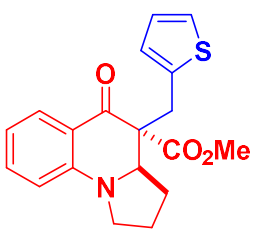
Methyl 4-((5-bromofuran-2-yl)Methyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5c)



Reaction time: 8 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (27.4 mg, 68% yield) as a yellow oil.

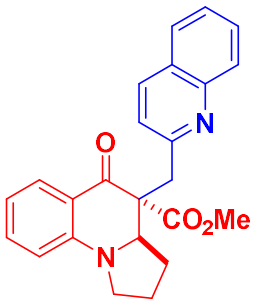
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.36 (m, 1H), 6.69 (m, 1H), 6.55 (dd, *J* = 8.4, 0.9 Hz, 1H), 6.00 (d, *J* = 3.2 Hz, 1H), 5.88 (d, *J* = 3.3 Hz, 1H), 4.25 – 4.14 (m, 1H), 3.82 (s, 3H), 3.53 – 3.45 (m, 1H), 3.42 (m, 1H), 3.19 (d, *J* = 15.3 Hz, 1H), 3.10 (d, *J* = 15.4 Hz, 1H), 2.24 – 2.13 (m, 1H), 2.01 – 1.84 (m, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.9, 171.1, 152.6, 148.6, 135.6, 129.1, 119.3, 117.4, 116.5, 112.8, 111.9, 111.4, 62.5, 60.2, 52.7, 46.6, 26.1, 25.9, 22.9 ppm. **HRMS (ESI)**: calcd. for $C_{19}H_{18}BrNO_4$ $[M+H]^+$: 404.0492, found: 404.0496.

Methyl 5-oxo-4-(thiophen-2-ylMethyl)-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5d)



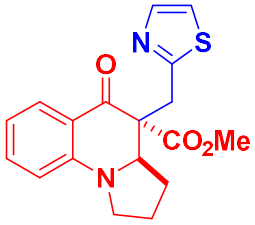
Reaction time: 10 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (21.2 mg, 62% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.96 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.43 (m, 1H), 7.05 (d, *J* = 6.8 Hz, 2H), 6.92 – 6.85 (m, 1H), 6.77 (t, *J* = 7.5 Hz, 1H), 6.65 (d, *J* = 8.4 Hz, 1H), 4.18 (d, *J* = 17.0 Hz, 1H), 3.53 (dd, *J* = 9.6, 6.5 Hz, 1H), 3.50 – 3.33 (m, 2H), 2.73 – 2.55 (m, 1H), 2.34 (s, 3H), 2.10 – 1.95 (m, 1H), 1.77 (m, 1H), 1.61 (m, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 188.9, 164.6, 148.6, 135.9, 129.2, 128.6, 128.5, 120.9, 117.9, 116.9, 115.9, 113.2, 66.4, 52.9, 46.2, 29.9, 29.7, 22.9, 20.8 ppm. **HRMS (ESI)**: calcd. for $C_{19}H_{19}NO_3S$ $[M+H]^+$: 342.1158, found: 342.1152.

Methyl 5-oxo-4-(quinolin-2-ylMethyl)-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5e)



Reaction time: 10 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (17.4 mg, 45% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80 (d, *J* = 8.4 Hz, 1H), 7.74 (m, 2H), 7.65 (dd, *J* = 8.1, 1.4 Hz, 1H), 7.56 (m, 1H), 7.40 (m, 1H), 7.25 – 7.20 (m, 2H), 6.64 (m, 1H), 6.29 (dd, *J* = 8.4, 0.9 Hz, 1H), 4.26 (dd, *J* = 10.1, 6.1 Hz, 1H), 3.82 (s, 3H), 3.59 (d, *J* = 13.8 Hz, 1H), 3.46 (d, *J* = 13.7 Hz, 1H), 3.30 (m, 2H), 2.30 (m, 1H), 2.19 (m, 1H), 2.10 – 1.99 (m, 1H), 2.00 – 1.86 (m, 1H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 191.4, 171.9, 157.8, 148.4, 147.2, 135.4, 134.8, 129.1, 128.9, 128.7, 127.4, 126.7, 125.8, 122.9, 118.5, 116.3, 112.6, 63.1, 61.1, 52.7, 46.5, 36.4, 26.6, 23.1 ppm. **HRMS (ESI)**: calcd. for $C_{24}H_{22}N_2O_3$ $[M+H]^+$: 387.1703, found: 387.1706.

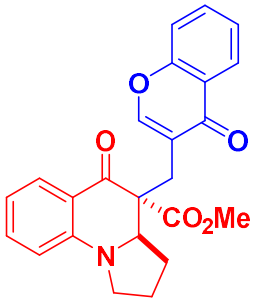
Methyl 5-oxo-4-(thiazol-2-ylMethyl)-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5f)



Reaction time: 8 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:2) afforded the product (26.7 mg, 78% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.94 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.63 (d, *J* = 3.4 Hz, 1H), 7.35 (m, 1H), 7.18 (d, *J* = 3.3 Hz, 1H), 6.74 – 6.65 (m, 1H), 6.56 (d, *J* = 8.3 Hz, 1H), 4.08 (d, *J* = 14.6 Hz, 1H), 3.65 (d, *J* = 14.6 Hz, 1H), 3.59 (s, 3H), 3.54 (dd, *J* = 9.5, 6.7 Hz, 1H), 3.47 (m, 1H), 3.29 (m, 1H), 2.44 – 2.25 (m, 2H), 2.09 (m, 1H), 1.94 – 1.80 (m, 1H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.1, 169.7, 165.1, 149.9, 141.8, 135.6, 129.1, 119.9, 118.7, 116.5, 113.1, 62.7, 59.9, 52.6, 47.1, 33.9,

26.8, 22.9 ppm. **HRMS (ESI)**: calcd. for $C_{18}H_{18}N_2O_3S$ $[M+H]^+$: 343.1111, found: 343.1117.

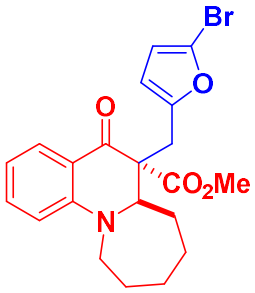
Methyl 5-oxo-4-((4-oxo-4H-chromen-3-yl)Methyl)-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5g)



Reaction time: 6 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (29.1 mg, 72% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.05 (dd, *J* = 8.0, 1.7 Hz, 1H), 7.77 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.64 (d, *J* = 0.7 Hz, 1H), 7.55 (m, 1H), 7.29 (m, 1H), 7.26 – 7.16 (m, 2H), 6.62 (m, 1H), 6.23 (dd, *J* = 8.6, 1.0 Hz, 1H), 4.19 (dd, *J* = 10.5, 5.6 Hz, 1H), 3.82 (s, 3H), 3.40 (d, *J* = 14.6 Hz, 1H), 3.37 – 3.25 (m, 2H), 2.85 (dd, *J* = 14.6, 1.0 Hz, 1H), 2.49 – 2.36 (m, 1H), 2.30 – 2.18 (m, 1H), 2.02 – 1.85 (m, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 191.5, 176.7, 171.9, 155.9, 154.4, 148.9, 135.5, 133.1, 128.6, 125.7, 124.6, 123.4, 118.7, 118.6, 117.8, 116.5, 112.6, 63.4, 60.9, 52.8, 46.6, 26.1, 22.8, 21.1 ppm. **HRMS (ESI)**: calcd. for $C_{24}H_{21}NO_5$ $[M+H]^+$: 404.1492, found: 404.1496.

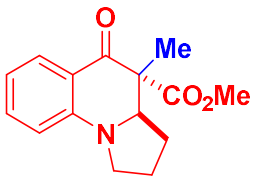
Methyl 6-((5-bromofuran-2-yl)Methyl)-5-oxo-5,6,6a,7,8,9,10,11-octahydroazepino[1,2-a]quinoline-6-carboxylate (5h)



Reaction time: 8 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (24.2 mg, 56% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.81 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.31 (m, 1H), 6.64 – 6.55 (m, 2H), 6.22 (d, *J* = 3.2 Hz, 1H), 6.12 (d, *J* = 3.3 Hz, 1H), 4.00 (dd, *J* = 11.2, 5.8 Hz, 1H), 3.90 (m, 1H), 3.59 (s, 3H), 3.55 (d, *J* = 15.7 Hz, 1H), 3.24 (m, 1H), 2.87 (d, *J* = 15.7 Hz, 1H), 1.96 (m, 1H), 1.83 (m, 2H), 1.74 – 1.61 (m, 2H), 1.57 (p, *J* = 5.7 Hz, 2H), 1.40 (m, 1H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.1, 169.9, 152.9, 148.6, 136.1, 128.6, 120.3, 117.1, 115.7, 112.1, 112.1, 111.2, 110.7, 64.1, 60.3, 52.6, 50.4, 29.2, 27.4, 25.9, 25.3, 25.1 ppm. **HRMS (ESI)**: calcd. for $C_{21}H_{22}BrNO_4$ $[M+H]^+$: 432.0805, found: 432.0809.

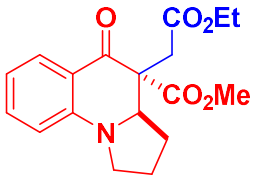
Methyl 4-Methyl-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5i)



Reaction time: 12 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (17.1 mg, 66% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.87 (dd, *J* = 8.0, 1.7 Hz, 1H), 7.39 (m, 1H), 6.75 – 6.66 (m, 1H), 6.61 (d, *J* = 8.4 Hz, 1H), 4.13 (dd, *J* = 9.7, 5.9 Hz, 1H), 3.80 (s, 3H), 3.56 – 3.49 (m, 1H), 3.43 (m, 1H), 2.15 (m, 1H), 2.04 – 1.92 (m, 2H), 1.89 – 1.82 (m, 1H), 1.23 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 192.1, 171.1, 149.8, 135.2, 128.9, 116.6, 116.4, 113.1, 66.1, 54.9, 52.2, 47.2, 27.3, 22.9, 17.1 ppm. **HRMS (ESI)**: calcd. for $C_{15}H_{17}NO_3$ $[M+H]^+$: 260.1281, found: 260.1287.

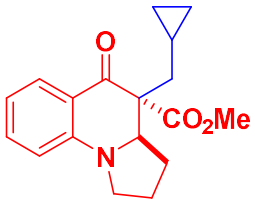
Methyl 4-(2-ethoxy-2-oxoethyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5j)



Reaction time: 6 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (10.0 mg, 30% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.87 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.39 (m, 1H), 6.74 (m, 1H), 6.60 (d, *J* = 8.4 Hz, 1H), 4.17 (dd, *J* = 9.2, 6.6 Hz, 1H), 4.04 – 3.93 (m, 1H), 3.82 (s, 3H), 3.50 – 3.34 (m, 2H), 2.87 – 2.64 (m, 2H), 2.15 (m, 1H), 2.10 – 2.01 (m, 2H), 2.00 – 1.91 (m, 1H), 1.04 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.8, 170.5, 170.3, 148.7, 135.5, 129.3, 118.1, 116.9, 112.7, 62.9, 60.7, 58.5, 52.7, 46.8, 32.6, 26.8, 23.1, 13.7 ppm. **HRMS (ESI)**: calcd. for $C_{18}H_{21}NO_5$ $[M+H]^+$: 332.1492, found: 332.1496.

Methyl 4-(cyclopropylMethyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5k)

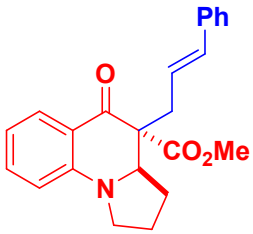


Reaction time: 10 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (10.2 mg, 34% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.87 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.38 (m, 1H), 6.75 – 6.66 (m, 1H), 6.58 (d, *J* = 8.3 Hz, 1H), 4.13 (dd, *J* = 9.2, 6.7 Hz, 1H), 3.87 – 3.78 (m, 7H), 3.53 – 3.37 (m, 2H), 2.20 (dd, *J* = 14.0, 4.4 Hz, 1H), 2.13 (m, 1H), 2.01 – 1.85 (m, 3H), 1.15 (dd, *J* = 14.0, 9.1 Hz, 1H), 0.90 (m, 1H), 0.35 – 0.19 (m, 2H), -0.09 (m, 1H), -0.52 (m, 1H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 192.1, 172.2, 148.3, 135.4, 128.9, 118.1, 116.5, 112.6, 63.2, 60.3, 52.4, 46.7, 30.8, 26.1, 22.9, 6.9, 5.9, 4.1 ppm. HRMS (ESI): calcd. for $C_{18}H_{21}NO_3$ $[M+H]^+$: 300.1594, found: 300.1594.

Compounds 7:

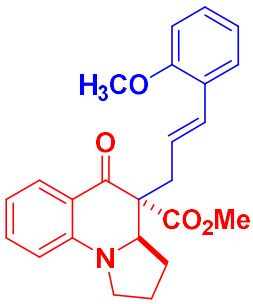
Methyl 4-cinnamyl-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (7a)



Reaction time: 12 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (18.8 mg, 52% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.95 (dd, *J* = 8.0, 1.7 Hz, 1H), 7.37 (m, 1H), 7.34 – 7.30 (m, 2H), 7.26 (m, 2H), 7.21 – 7.16 (m, 1H), 6.73 (m, 1H), 6.60 (d, *J* = 8.3 Hz, 1H), 6.51 (dd, *J* = 15.7, 1.5 Hz, 1H), 6.21 (m, 1H), 3.65 (dd, *J* = 9.5, 6.7 Hz, 1H), 3.55 (s, 3H), 3.54 – 3.48 (m, 1H), 3.33 – 3.25 (m, 2H), 2.72 (m, 1H), 2.47 – 2.41 (m, 1H), 2.23 – 2.08 (m, 2H), 1.90 (m, 1H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.9, 170.6, 149.9, 137.1, 135.3, 133.7, 128.9, 128.8, 128.5, 128.3, 127.4, 126.2, 125.1, 119.1, 116.6, 113.2, 63.5, 59.1, 52.4, 47.1, 34.7, 26.4, 22.9 ppm. **HRMS (ESI)**: calcd. for $C_{23}H_{23}NO_3$ $[M+H]^+$: 362.1751, found: 362.1758.

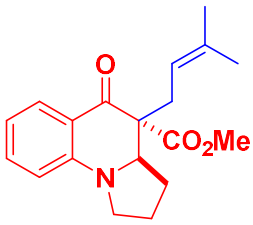
Methyl 4-(3-(2-methoxyphenyl)allyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (7b)



Reaction time: 12 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (16.5 mg, 42% yield) as a yellow oil.

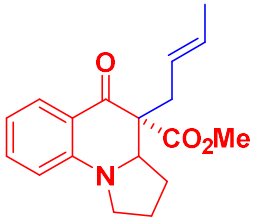
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.82 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.39 (m, 1H), 7.24 (dd, *J* = 7.6, 1.5 Hz, 1H), 7.14 (m, 1H), 6.84 (t, *J* = 7.5 Hz, 1H), 6.77 (d, *J* = 8.2 Hz, 1H), 6.67 (t, *J* = 7.4 Hz, 1H), 6.61 (d, *J* = 8.4 Hz, 1H), 6.45 (d, *J* = 16.0 Hz, 1H), 6.13 (m, 1H), 4.22 (dd, *J* = 9.6, 5.8 Hz, 1H), 3.84 (s, 3H), 3.73 (s, 3H), 3.52 – 3.42 (m, 2H), 2.83 (m, 1H), 2.53 (dd, *J* = 14.2, 8.4 Hz, 1H), 2.16 – 2.01 (m, 2H), 2.00 – 1.94 (m, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 191.4, 171.7, 156.2, 148.5, 135.6, 129.3, 128.1, 127.4, 126.9, 126.7, 126.3, 120.6, 117.6, 116.4, 112.7, 110.7, 62.9, 60.6, 55.4, 52.5, 46.7, 30.6, 26.2, 23.1 ppm. **HRMS (ESI)**: calcd. for $C_{24}H_{25}NO_4$ $[M+H]^+$: 392.1856, found: 392.1858.

Methyl 4-(3-Methylbut-2-en-1-yl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (7c)



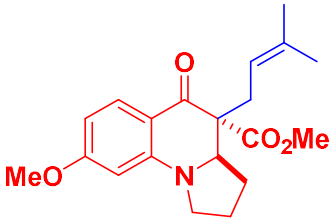
Reaction time: 12 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (17.6 mg, 56% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.78 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.36 (m, 1H), 6.67 (t, *J* = 7.5 Hz, 1H), 6.59 (d, *J* = 8.4 Hz, 1H), 5.22 – 5.12 (m, 1H), 4.20 – 4.12 (m, 1H), 3.81 (s, 3H), 3.56 – 3.40 (m, 2H), 2.51 (dd, *J* = 14.6, 6.5 Hz, 1H), 2.35 (dd, *J* = 14.5, 8.5 Hz, 1H), 2.15 (m, 1H), 2.08 – 1.87 (m, 3H), 1.54 (s, 3H), 1.18 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 191.6, 171.9, 148.4, 135.4, 134.2, 129.1, 118.9, 117.5, 116.3, 112.5, 62.8, 60.1, 52.4, 46.7, 29.7, 26.2, 25.9, 24.5, 23.1, 17.1 ppm. **HRMS (ESI)**: calcd. for $C_{19}H_{23}NO_3$ $[M+H]^+$: 314.1751, found: 314.1757.

Methyl 4-(but-2-en-1-yl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (7d)



Reaction time: 12 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (12.6 mg, 42% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.80 (dd, *J* = 8.0, 1.7 Hz, 1H), 7.41 – 7.34 (m, 1H), 6.68 (t, *J* = 7.5 Hz, 1H), 6.59 (d, *J* = 8.2 Hz, 1H), 5.50 – 5.35 (m, 2H), 5.20 – 5.07 (m, 1H), 4.15 (dd, *J* = 10.3, 4.8 Hz, 1H), 3.80 (s, 3H), 3.46 (m, 2H), 2.62 – 2.54 (m, 1H), 2.25 – 2.08 (m, 2H), 1.95 (m, 3H), 1.49 (d, *J* = 6.5 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 191.4, 171.8, 148.3, 135.5, 129.1, 128.5, 125.7, 117.6, 116.3, 112.5, 62.8, 60.3, 52.4, 46.7, 29.3, 26.1, 23.1, 17.9 ppm. **HRMS (ESI)**: calcd. for $C_{18}H_{21}NO_3$ $[M+H]^+$: 300.1594, found: 300.1598.

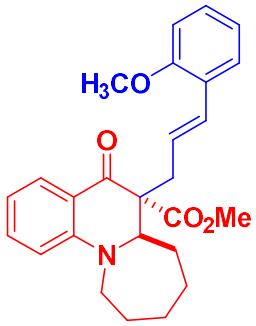
Methyl 8-methoxy-4-(3-Methylbut-2-en-1-yl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (7e)



Reaction time: 12 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (24.1 mg, 70% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.74 (d, *J* = 8.9 Hz, 1H), 6.27 (dd, *J* = 8.9, 2.3 Hz, 1H), 5.97 (d, *J* = 2.3 Hz, 1H), 5.17 (m, 1H), 4.16 (dd, *J* = 9.4, 5.6 Hz, 1H), 3.83 (s, 3H), 3.79 (s, 3H), 3.52 – 3.40

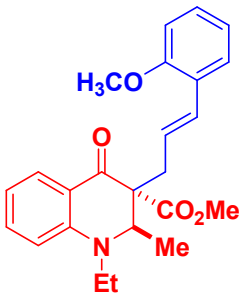
(m, 2H), 2.50 (dd, *J* = 14.5, 6.6 Hz, 1H), 2.33 (dd, *J* = 14.5, 8.3 Hz, 1H), 2.14 (m, 1H), 2.03 – 1.90 (m, 3H), 1.55 (s, 3H), 1.24 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.3, 172.1, 165.7, 150.1, 133.9, 131.3, 119.1, 111.9, 104.5, 95.5, 63.1, 60.1, 55.3, 52.4, 46.7, 26.3, 25.9, 24.8, 23.1, 17.3 ppm. **HRMS (ESI)**: calcd. for $C_{20}H_{25}NO_4$ $[M+H]^+$: 344.1856, found: 344.1852.

Methyl 6-(3-(2-methoxyphenyl)allyl)-5-oxo-5,6,6a,7,8,9,10,11-octahydroazepino[1,2-a]quinoline-6-carboxylate (7f)



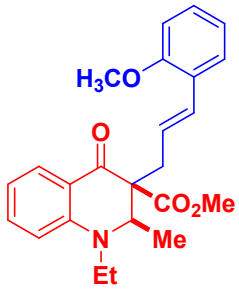
Reaction time: 8 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (28.1 mg, 67% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.85 (dd, *J* = 7.9, 1.8 Hz, 1H), 7.44 (dd, *J* = 7.6, 1.7 Hz, 1H), 7.32 (m, 1H), 7.24 – 7.17 (m, 1H), 6.96 – 6.88 (m, 1H), 6.88 – 6.82 (m, 1H), 6.77 (d, *J* = 15.9 Hz, 1H), 6.68 – 6.52 (m, 2H), 6.28 (m, 1H), 4.00 (dd, *J* = 11.1, 6.0 Hz, 1H), 3.92 (m, 1H), 3.82 (s, 3H), 3.57 (s, 3H), 3.32 – 3.21 (m, 1H), 3.02 (m, 1H), 2.42 (dd, *J* = 14.3, 9.4 Hz, 1H), 2.05 – 1.90 (m, 1H), 1.84 – 1.72 (m, 2H), 1.72 – 1.63 (m, 1H), 1.63 – 1.47 (m, 2H), 1.47 – 1.32 (m, 1H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 191.6, 171.1, 156.4, 148.6, 135.9, 130.1, 128.5, 128.4, 128.1, 126.8, 125.6, 120.6, 117.8, 115.7, 112.1, 110.8, 65.3, 61.2, 55.5, 52.4, 50.4, 34.9, 27.4, 25.9, 25.4, 24.7 ppm. **HRMS (ESI)**: calcd. for $C_{26}H_{29}NO_4$ $[M+H]^+$: 420.2169, found: 420.2163.

Methyl 1-ethyl-3-(3-(2-methoxyphenyl)allyl)-2-Methyl-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (7g) (major diastereomer)



Reaction time: 10 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (25.6 mg, 65% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.76 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.26 – 7.23 (m, 1H), 7.17 – 7.15 (m, 2H), 6.87-6.83 (m, 2H), 6.59 – 6.55 (m, 2H), 6.23 (m, 1H), 5.77 (m, 1H), 3.98 – 3.89 (m, 1H), 3.75 (s, 3H), 3.48 (s, 3H), 3.42 (m, 1H), 3.08 (m, 1H), 2.55 (m, 1H), 2.35 (m, 1H), 1.15 (t, 3H), 0.79 (d, *J* = 6.9 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 191.1, 171.1, 157.1, 147.8, 135.7, 130.1, 128.4, 127.9, 126.7, 125.9, 125.5, 120.3, 118.1, 115.7, 112.3, 110.5, 60.4, 59.8, 55.4, 44.7, 35.2, 29.4, 12.9, 10.2 ppm. **HRMS (ESI)**: calcd. for $C_{24}H_{27}NO_4$ $[M+H]^+$: 394.2013, found: 394.2018.

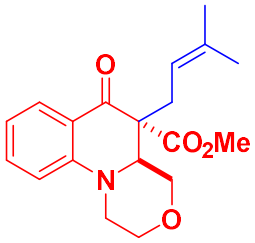
Methyl 1-ethyl-3-(3-(2-methoxyphenyl)allyl)-2-Methyl-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (7g) (minor diastereomer)



Reaction time: 10 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (7.9 mg, 20% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.92 (dd, J= 7.9, 1.5 Hz, 1H), 7.49 (dd, J= 7.6, 1.4 Hz, 1H), 7.49 (ddd, J= 1.7, 7.0, 8.6 Hz, 1H), 7.49 (td, J= 7.8, 1.5 Hz, 1H), 6.95 (t, J =7.5 Hz, 1H), 6.88 (d, J =8.4 Hz, 1H),6.82 (d, J =15.9 Hz, 1H),6.69 (t, J =7.2 Hz, 1H),6.63 (d, J =8.5 Hz, 1H),6.35 (ddd, J = 5.3, 9.6, 15.4 Hz, 1H),3.57-3.48 (m, 1H), 3.24-3.15 (m, 1H),4.03(q, J = 6.9 Hz, 1H),3.89 (s, 3H),3.60 (s, 3H),3.07(ddd, J= 1.5, 5.3, 14.2 Hz, 1H),2.46 (dd, J= 9.7, 14.4 Hz, 1H),1.27 (t, J= 7.2 Hz, 3H),1.16 (d, J= 6.9 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 191.3, 171.1, 156.4, 147.8, 135.7, 128.4, 128.4, 127.9, 126.7, 126.4, 125.5, 120.6, 118.4, 115.8, 112.3, 110.8, 61.1, 60.4, 55.5, 52.4, 44.7, 35.2, 12.9, 10.3 ppm. **HRMS (ESI)**: calcd. for $C_{24}H_{27}NO_4$ $[M+H]^+$: 394.2013, found: 394.2018.

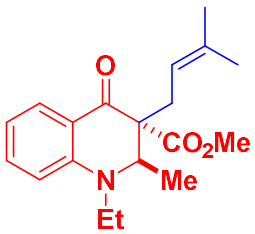
Methyl 5-(3-Methylbut-2-en-1-yl)-6-oxo-1,2,4,4a,5,6-hexahydro-[1,4]oxazino[4,3-a]quinoline-5-carboxylate (7h)



Reaction time: 10 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:6) afforded the product (17.8 mg, 54% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.87 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.45 (m, 1H), 6.91 (d, *J* = 8.5 Hz, 1H), 6.86 (t, *J* = 7.5 Hz, 1H), 5.21 (t, *J* = 7.7 Hz, 1H), 4.07 – 3.98 (m, 2H), 3.82 (dd, *J* = 12.6, 2.7 Hz, 1H), 3.77 (s, 3H), 3.74 (dd, *J* = 12.1, 3.0 Hz, 1H), 3.70 (d, *J* = 7.5 Hz, 2H), 3.11 (m, 1H), 2.75 (dd, *J* = 14.7, 8.4 Hz, 1H), 2.51 (dd, *J* = 14.7, 6.7 Hz, 1H), 1.59 (s, 3H), 1.27 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.6, 170.7, 150.6, 135.6, 134.8, 128.8, 120.2, 118.9, 118.7, 113.7, 65.9, 65.7, 60.9, 59.3, 52.6, 46.9, 25.9, 25.7, 17.3 ppm. **HRMS (ESI)**: calcd. for $C_{19}H_{23}NO_4$ $[M+H]^+$: 330.1700, found: 330.1708.

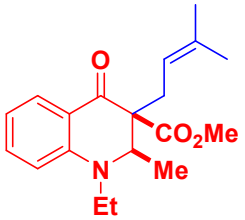
Methyl 1-ethyl-2-Methyl-3-(3-Methylbut-2-en-1-yl)-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (7i) (major diastereomer)



Reaction time: 10 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (27.4 mg, 87% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.91 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.37 (m, 1H), 6.72 – 6.63 (m, 2H), 5.06 (m, 1H), 3.78 (s, 3H), 3.74 (q, *J* = 6.6 Hz, 1H), 3.56 (m, 1H), 3.25 (m, 1H), 2.64 (m, 2H), 1.67 (s, 3H), 1.47 (s, 3H), 1.28 (t, *J* = 7.2 Hz, 3H), 1.24 (d, *J* = 6.6 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.3, 170.9, 147.3, 135.5, 135.5, 129.1, 117.9, 117.8, 116.2, 112.3, 61.1, 59.7, 52.1, 44.4, 32.4, 26.1, 17.9, 13.3, 12.9 ppm. **HRMS (ESI)**: calcd. for $C_{19}H_{25}NO_3$ $[M+H]^+$: 316.1907, found: 316.1904.

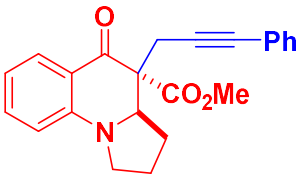
Methyl 1-ethyl-2-Methyl-3-(3-Methylbut-2-en-1-yl)-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (7i) (minor diastereomer)



Reaction time: 10 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:6) afforded the product (6.7 mg, 21% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.91 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.37 (ddd, *J* = 8.7, 7.0, 1.8 Hz, 1H), 6.69 (ddd, *J* = 7.9, 7.0, 0.9 Hz, 1H), 6.65 (d, *J* = 8.6 Hz, 1H), 3.78 (s, 3H), 3.74 (q, *J* = 6.6 Hz, 1H), 3.56 (dd, *J* = 14.5, 7.2 Hz, 1H), 3.26 (dt, *J* = 14.7, 7.3 Hz, 1H), 2.65 (tt, *J* = 14.8, 7.4 Hz, 2H), 1.67 (d, *J* = 1.5 Hz, 3H), 1.58 (s, 1H), 1.47 (d, *J* = 1.3 Hz, 3H), 1.28 (t, *J* = 7.2 Hz, 3H), 1.24 (d, *J* = 6.6 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.4, 170.9, 1592, 147.3, 134.9, 129.1, 120.9, 117.8, 116.2, 111.6, 61.1, 59.7, 55.4, 52.1, 50.4, 44.4, 32.4, 17.9, 13.3 ppm. **HRMS (ESI)**: calcd. for $C_{19}H_{25}NO_3$ $[M+H]^+$: 316.1907, found: 316.1902.

Methyl 5-oxo-4-(3-phenylprop-2-yn-1-yl)-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (7j)

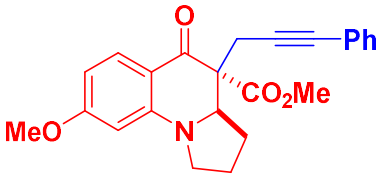


Reaction time: 10 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (25.2 mg, 70% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.95 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.47 – 7.40 (m, 1H), 7.32 - 7.26 (m, 5H), 6.76 (t, *J* = 7.5 Hz, 1H), 6.65 (d, *J* = 8.4 Hz, 1H), 4.33 (dd, *J* = 9.1, 6.2 Hz, 1H), 3.91 (s, 3H),

3.63 – 3.54 (m, 1H), 3.49 (m, 1H), 3.00 (d, *J* = 17.2 Hz, 1H), 2.92 (d, *J* = 17.1 Hz, 1H), 2.27 (m, 2H), 2.16 – 1.97 (m, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 190.5, 170.6, 148.9, 135.9, 131.5, 129.2, 128.1, 127.7, 123.5, 117.2, 116.6, 113.1, 85.7, 82.4, 62.4, 59.5, 52.8, 46.7, 26.5, 23.2, 18.3 ppm. **HRMS (ESI)**: calcd. for $C_{23}H_{21}NO_3$ $[M+H]^+$: 360.1594, found: 360.1598.

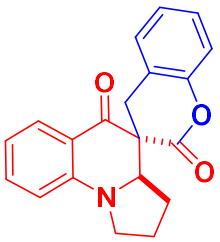
Methyl 8-methoxy-5-oxo-4-(3-phenylprop-2-yn-1-yl)-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (7k)



Reaction time: 10 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (29.6 mg, 76% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.75 (d, *J* = 8.9 Hz, 1H), 7.14 (m, 5H), 6.20 (dd, *J* = 8.9, 2.3 Hz, 1H), 5.83 (d, *J* = 2.3 Hz, 1H), 4.20 (dd, *J* = 9.0, 6.1 Hz, 1H), 3.74 (s, 3H), 3.67 (s, 3H), 3.35 (m, 2H), 2.91 – 2.68 (m, 2H), 2.21 – 2.03 (m, 2H), 2.01 – 1.77 (m, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 189.1, 170.8, 166.1, 150.9, 131.5, 131.4, 128.1, 127.6, 123.6, 111.5, 105.4, 95.6, 85.9, 82.2, 62.4, 59.2, 55.3, 52.7, 46.8, 26.7, 23.3, 18.8 ppm. **HRMS (ESI)**: calcd. for $C_{24}H_{23}NO_4$ $[M+H]^+$: 390.1700, found: 390.1708.

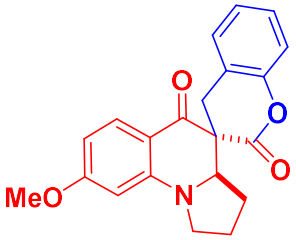
Compounds 9:

1',2',3',3a'-tetrahydro-5'H-spiro[chromane-3,4'-pyrrolo[1,2-a]quinoline]-2,5'-dione (9a)



Reaction time: 12 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (13.4 mg, 42% yield) as a yellow oil.
^{1}H NMR (500 MHz, $CDCl_3$) δ 7.68 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.43 (m, 1H), 7.26 (m, 1H), 7.13 (d, *J* = 8.1 Hz, 1H), 7.05 – 6.95 (m, 2H), 6.68 (dd, *J* = 13.9, 7.8 Hz, 2H), 4.40 (dd, *J* = 9.4, 6.4 Hz, 1H), 3.66 – 3.57 (m, 1H), 3.47 (m, 1H), 3.27 (d, *J* = 16.5 Hz, 1H), 2.83 (d, *J* = 16.6 Hz, 1H), 2.47 – 2.33 (m, 1H), 2.20 (m, 1H), 2.15 – 1.95 (m, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 189.7, 167.4, 151.5, 148.7, 135.9, 129.5, 128.8, 128.3, 124.5, 118.9, 116.9, 116.5, 115.4, 112.8, 61.7, 54.4, 47.1, 26.3, 25.6, 23.1 ppm. **HRMS (ESI)**: calcd. for $C_{20}H_{17}NO_3$ $[M+H]^+$: 320.1281, found: 320.1288.

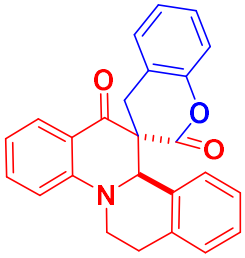
8'-methoxy-1',2',3',3a'-tetrahydro-5'H-spiro[chromane-3,4'-pyrrolo[1,2-a]quinoline]-2,5'-dione (9b)



Reaction time: 12 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (20.3 mg, 58% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.57 (d, *J* = 8.8 Hz, 1H), 7.22 – 7.15 (m, 2H), 7.04 (dd, *J* = 8.1, 1.1 Hz, 1H), 6.98 – 6.88 (m, 2H), 6.21 (dd, *J* = 8.8, 2.3 Hz, 1H), 5.98 (d, *J* = 2.3 Hz, 1H), 4.32 (dd, *J* = 9.5, 6.4 Hz, 1H), 3.78 (s, 3H), 3.50 (m, 1H), 3.38 (m, 1H), 3.18 (d, *J* = 16.4 Hz, 1H), 2.74 (d, *J* = 16.5 Hz, 1H), 2.36 – 2.26 (m, 1H), 2.18 – 2.08 (m, 1H), 2.06 – 1.86 (m, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 188.3, 167.6, 166.1, 151.5, 150.4, 131.7, 128.7, 128.3, 124.5, 119.1, 116.4, 109.7, 105.3, 95.9, 61.9, 55.5, 54.3, 47.1, 26.4, 25.9, 23.1 ppm. **HRMS (ESI)**: calcd. for $C_{21}H_{19}NO_4$ $[M+H]^+$: 350.1387, found: 350.1382.

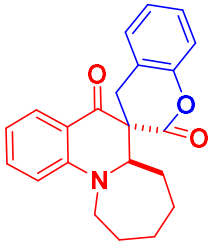
7',11b'-dihydro-6'H,13'H-spiro[chromane-3,12'-isoquinolino[2,1-a]quinoline]-2,13'-dione (9c)



Reaction time: 12 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:10) afforded the product (18.3 mg, 48% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.99 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.55 – 7.46 (m, 2H), 7.16 – 7.11 (m, 1H), 7.06 (m, 2H), 6.97 (dd, *J* = 7.7, 4.5 Hz, 3H), 6.91 (m, 1H), 6.87 – 6.83 (m, 1H), 6.80 (dd, *J* = 8.1, 1.1 Hz, 1H), 5.61 (s, 1H), 4.06 (m, 1H), 3.24 (m, 1H), 3.16 – 2.98 (m, 3H), 2.87 (m, 1H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 191.5, 167.8, 151.3, 150.8, 136.3, 136.2, 131.2, 129.7, 128.2, 128.2, 127.9, 127.8, 127.3, 127.1, 124.4, 119.1, 118.4, 117.7, 116.2, 113.3, 62.9, 61.4, 42.4, 30.7, 26.1 ppm. **HRMS (ESI)**: calcd. for $C_{25}H_{19}NO_3$ $[M+H]^+$: 382.1438, found: 382.1432.

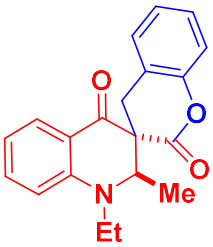
6a,7,8,9,10,11-hexahydro-5H-spiro[azepino[1,2-a]quinoline-6,3'-chromane]-2',5-dione (9d)



Reaction time: 12 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (21.6 mg, 62% yield) as a yellow solid. M.p. 138-139 ℃.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.93 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.44 – 7.36 (m, 1H), 7.32 (t, *J* = 7.8 Hz, 1H), 7.22 (d, *J* = 7.4 Hz, 1H), 7.15 (t, *J* = 7.4 Hz, 1H), 7.10 (d, *J* = 8.1 Hz, 1H), 6.72 (t, *J* = 7.5 Hz, 1H), 6.68 (d, *J* = 8.5 Hz, 1H), 3.99 (m, 1H), 3.78 (d, *J* = 16.9 Hz, 1H), 3.53 (dd, *J* = 11.3, 5.5 Hz, 1H), 3.09 (m, 1H), 2.78 (d, *J* = 17.0 Hz, 1H), 2.10 – 1.92 (m, 1H), 1.86 (m, 1H), 1.72 – 1.42 (m, 4H), 1.43 – 1.19 (m, 2H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 189.4, 166.5, 151.3, 149.3, 136.3, 128.6, 128.6, 128.6, 124.9, 120.4, 119.1, 116.8, 116.1, 112.8, 62.5, 53.9, 51.2, 27.4, 27.3, 25.4, 25.3 ppm. **HRMS (ESI)**: calcd. for $C_{22}H_{21}NO_3$ $[M+H]^+$: 348.1594, found: 348.1598.

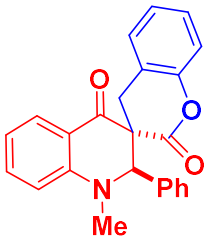
1'-ethyl-2'-Methyl-1',2'-dihydro-4'H-spiro[chromane-3,3'-quinoline]-2,4'-dione (9e)



Reaction time: 12 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (17.7 mg, 55% yield) as a yellow oil.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.97 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.41 (m, 1H), 7.35 – 7.30 (m, 1H), 7.25 – 7.21 (m, 1H), 7.15 (m, 1H), 7.11 (dd, *J* = 8.1, 1.1 Hz, 1H), 6.75 (m, 1H), 6.70 (d, *J* = 8.5 Hz, 1H), 3.81 (d, *J* = 17.0 Hz, 1H), 3.63 – 3.47 (m, 2H), 3.03 (m, 1H), 2.80 (d, *J* = 17.1 Hz, 1H), 1.17 (t, *J* = 7.1 Hz, 3H), 1.08 (d, *J* = 6.7 Hz, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 188.9, 166.5, 151.3, 148.6, 136.2, 128.6, 128.6, 124.9, 120.4, 119.4, 116.9, 116.1, 112.9, 56.5, 53.9, 43.8, 27.4, 13.1, 10.7 ppm. **HRMS (ESI)**: calcd. for $C_{20}H_{19}NO_3$ $[M+H]^+$: 322.1438, found: 322.1433.

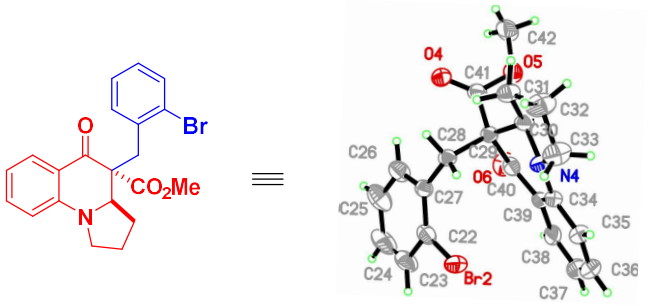
1'-Methyl-2'-phenyl-1',2'-dihydro-4'H-spiro[chromane-3,3'-quinoline]-2,4'-dione (9f)



Reaction time: 12 h; Flash column chromatography on a silica gel (ethyl acetate: petroleum ether, 1:8) afforded the product (20.7 mg, 56% yield) as a yellow solid. M.p. 142-143 ℃.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.93 (dd, *J* = 7.8, 1.7 Hz, 1H), 7.40 (m, 1H), 7.30 – 7.25 (m, 1H), 7.19 (s, 1H), 7.12 – 7.05 (m, 4H), 6.89 (m, 1H), 6.81 – 6.76 (m, 1H), 6.75 – 6.70 (m, 2H), 6.60 (d, *J* = 8.5 Hz, 1H), 4.33 (s, 1H), 3.71 (d, *J* = 17.1 Hz, 1H), 2.74 (s, 3H), 2.32 (d, *J* = 17.1 Hz, 1H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 188.7, 166.5, 151.1, 150.7, 136.5, 134.3, 129.2, 129.2, 128.9, 128.5, 128.1, 127.9, 124.9, 120.4, 120.3, 117.6, 116.1, 113.1, 69.1, 53.7, 38.6, 28.2 ppm. **HRMS (ESI)**: calcd. for $C_{24}H_{19}NO_3$ $[M+H]^+$: 370.1438, found: 370.1432.

4. Crystal Structures and Data

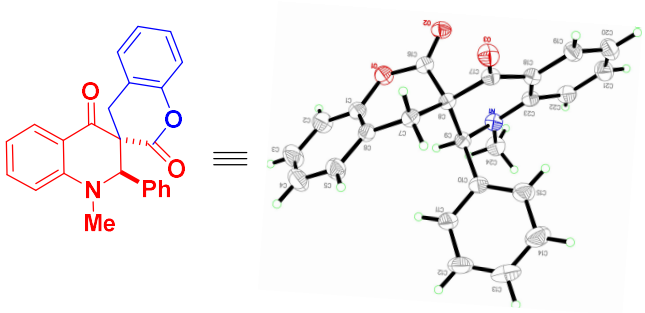


3i (CCDC:2109437)

Table 1. Crystal data and structure refinement for 3i.

Identification code	3i
Empirical formula	$C_{21}H_{20}BrNO_3$
Formula weight	414.29
Temperature	293(2) K
Wavelength	0.710 A
Crystal system, space group	Monoclinic, P2(1)/c
Unit cell dimensions	a = 17.1323(4) A alpha = 90 deg.
	b = 8.4975(3) A beta = 90.698(2) deg.
	c = 51.2003(12) A gamma = 90 deg.
Volume	7453.3(4) A^3
Z, Calculated density	4, 1.477 Mg/m^3
Absorption coefficient	2.226 mm^-1
F(000)	3392
Crystal size	0.090 x 0.080 x 0.080 mm
Theta range for data collection	1.187 to 25.850 deg.
Limiting indices	-17<=h<=20, -7<=k<=10, -61<=l<=62
Reflections collected / unique	28604 / 14113 [R(int) = 0.0385]
Completeness to theta = 25.214	99.3 %
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	14113 / 0 / 942
Goodness-of-fit on F^2	1.021
Final R indices [I>2sigma(I)]	R1 = 0.0803, wR2 = 0.1942
R indices (all data)	R1 = 0.1160, wR2 = 0.2232
Extinction coefficient	0.00034(6)

Largest diff. peak and hole	1.099 and -1.168 e.A^-3



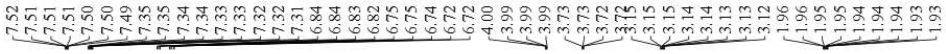
9f (CCDC:2109505)

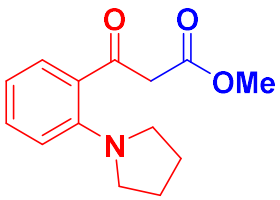
Table 2. Crystal data and structure refinement for 9f.

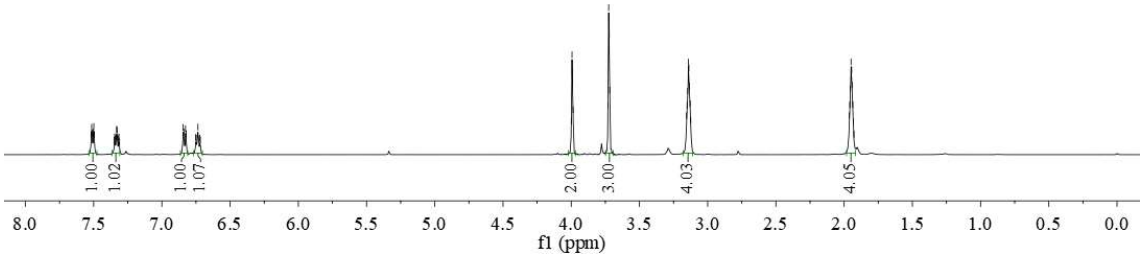
Identification code	9f
Empirical formula	$C_{24}H_{19}NO_3$
Formula weight	369.40
Temperature	293(2) K
Wavelength	1.54178 A
Crystal system, space group	Monoclinic, C2/c
Unit cell dimensions	a = 19.3854(9) A alpha = 90 deg.
	b = 12.3367(3) A beta = 121.312(4) deg.
	c = 18.5588(6) A gamma = 90 deg.
Volume	3791.9(3) A^3
Z, Calculated density	8, 1.294 Mg/m^3
Absorption coefficient	0.687 mm^-1
F(000)	1552
Crystal size	0.140 x 0.140 x 0.120 mm
Theta range for data collection	4.469 to 67.247 deg.
Limiting indices	-20<=h<=23, -14<=k<=14, -22<=l<=22
Reflections collected / unique	12022 / 3401 [R(int) = 0.0266]
Completeness to theta = 67.247	99.9 %
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	3401 / 0 / 254
Goodness-of-fit on F^2	1.054
Final R indices [I>2sigma(I)]	R1 = 0.0463, wR2 = 0.1177
R indices (all data)	R1 = 0.0618, wR2 = 0.1251
Extinction coefficient	n/a
Largest diff. peak and hole	0.166 and -0.201 e.A^-3

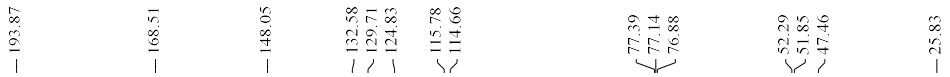
5. ^{1}H and ^{13}C NMR Spectra

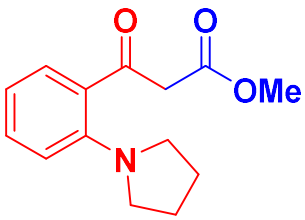
Methyl 3-oxo-3-(2-(pyrrolidin-1-yl)phenyl)propanoate (1a)

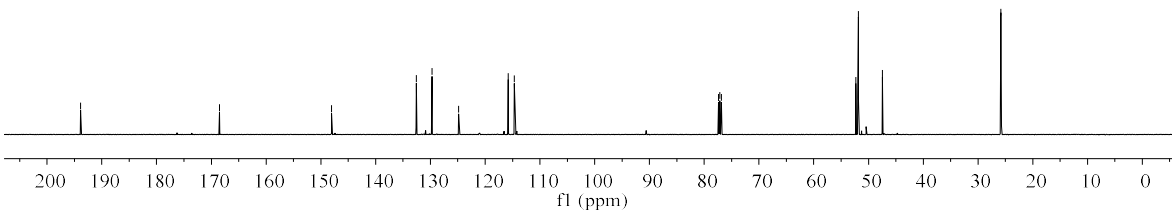




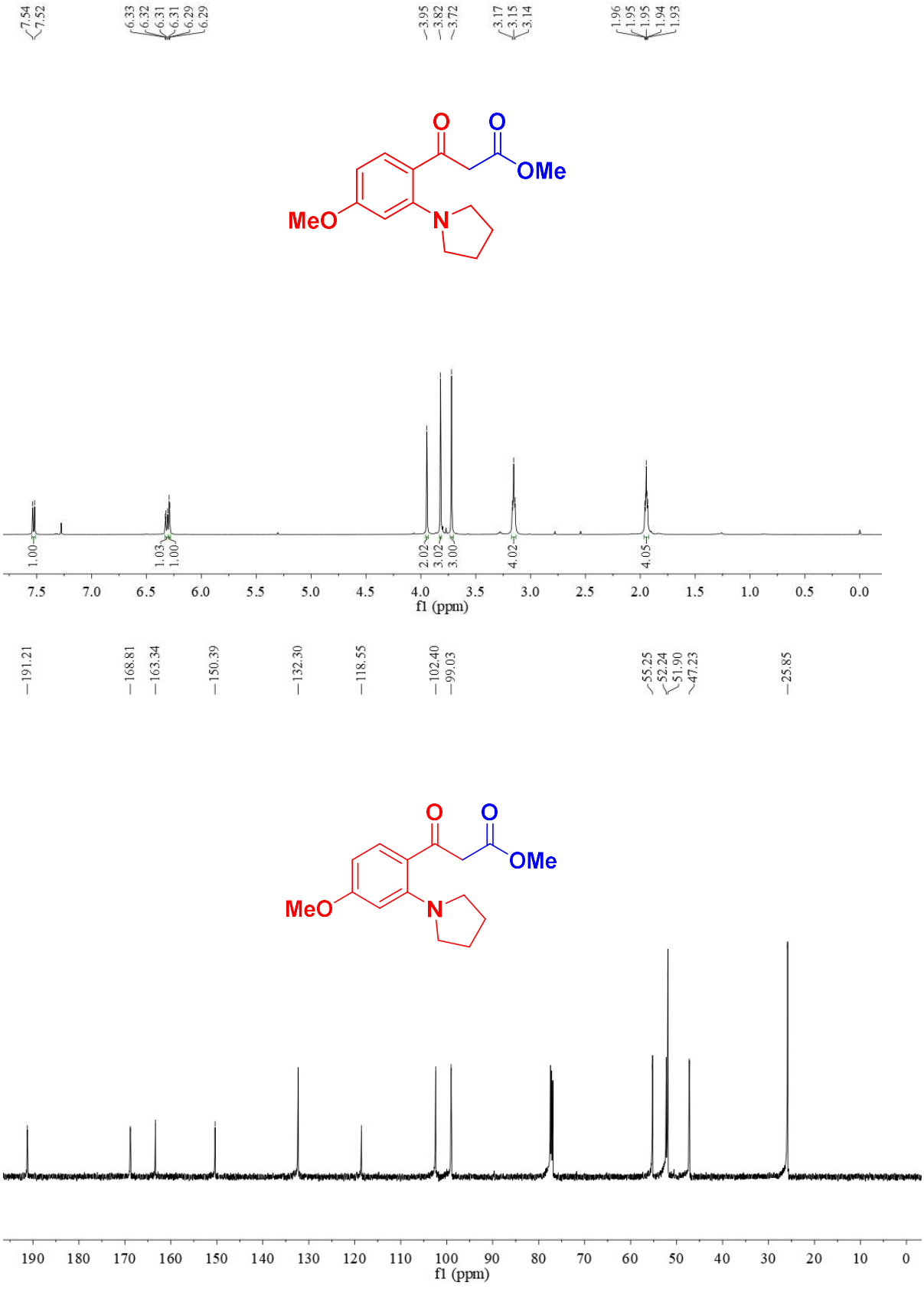




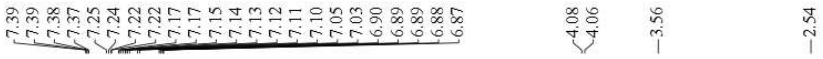


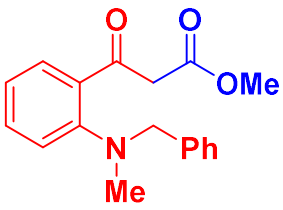


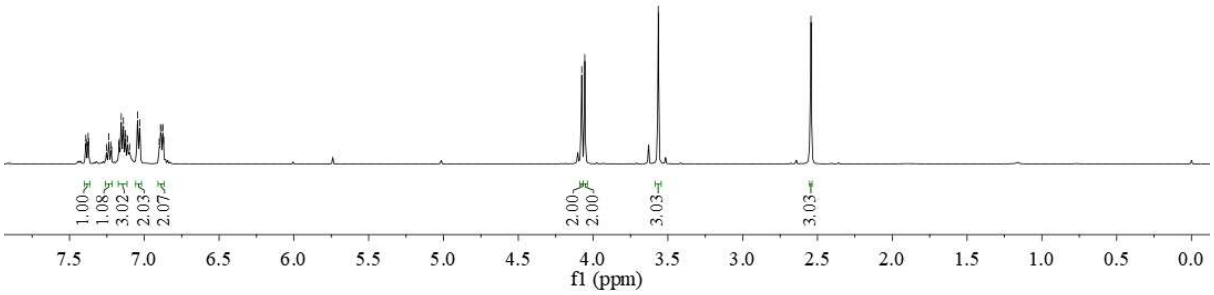
Methyl 3-(4-methoxy-2-(pyrrolidin-1-yl)phenyl)-3-oxopropanoate (1b)

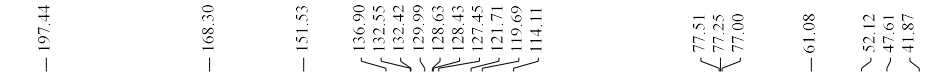


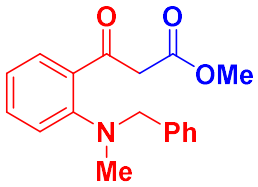
Methyl 3-(2-(benzyl(Methyl)amino)phenyl)-3-oxopropanoate (1c)

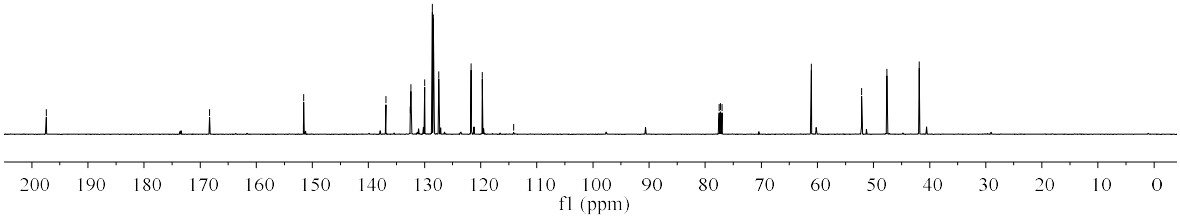




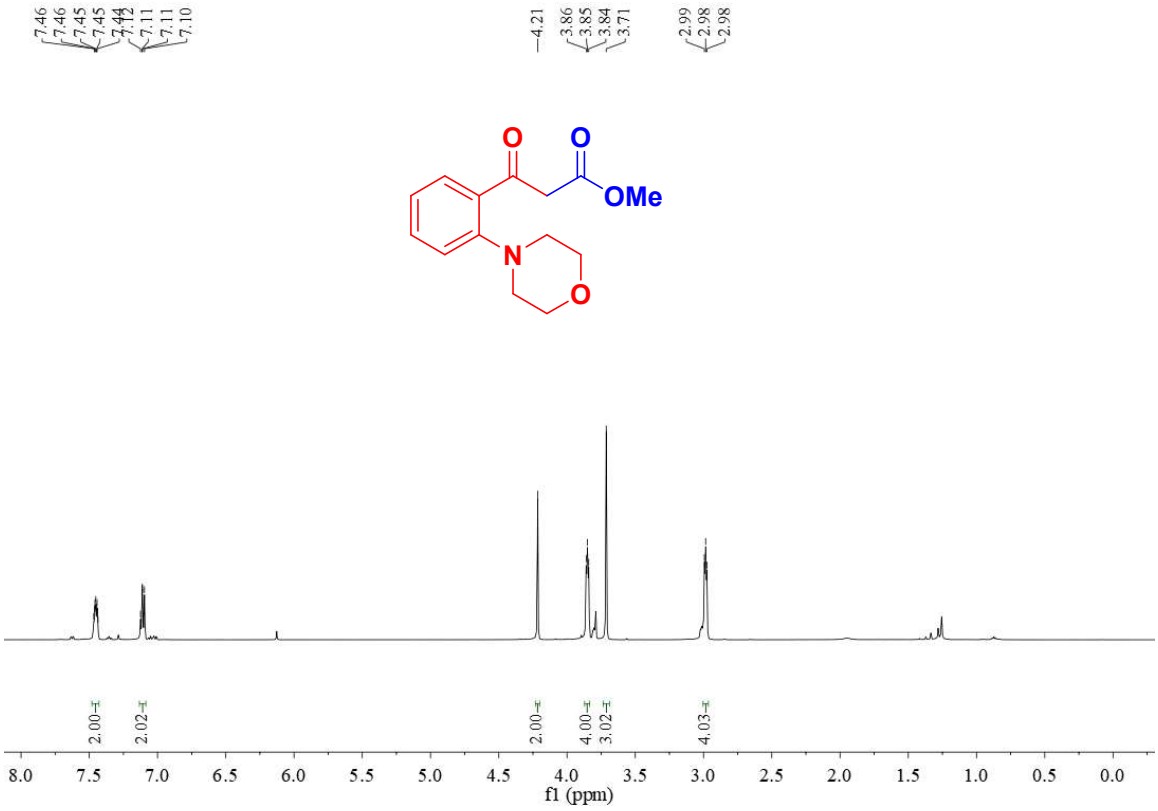


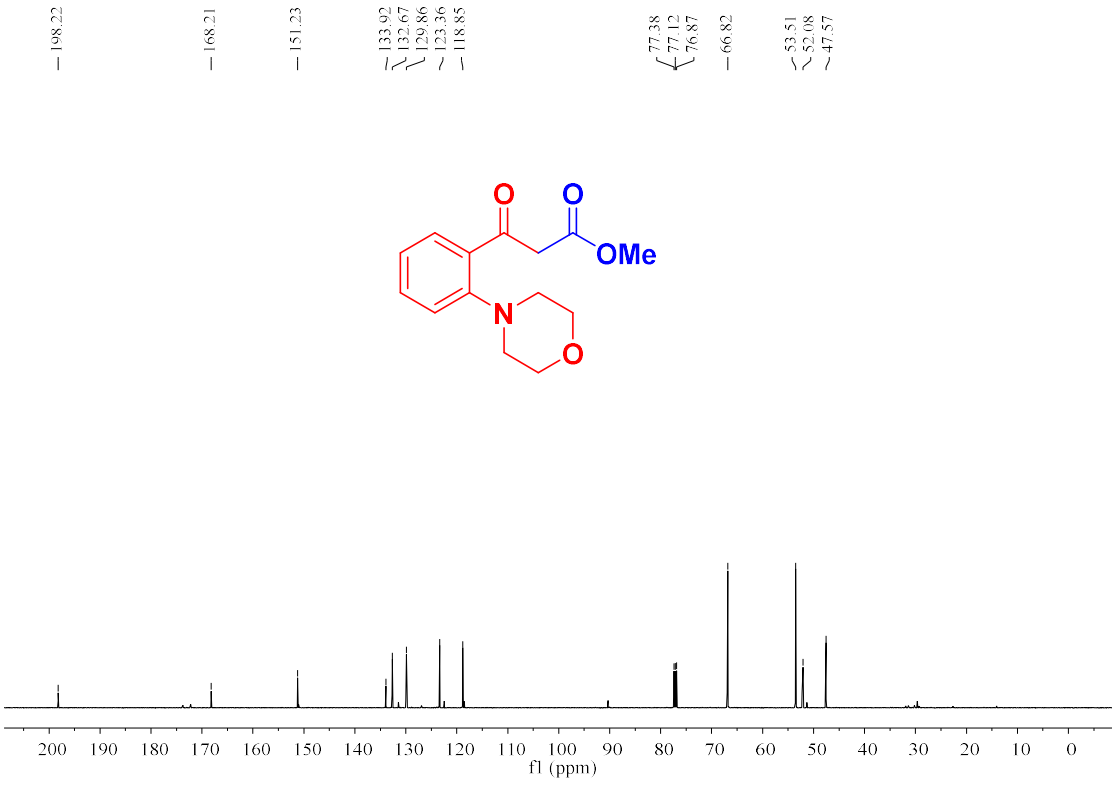




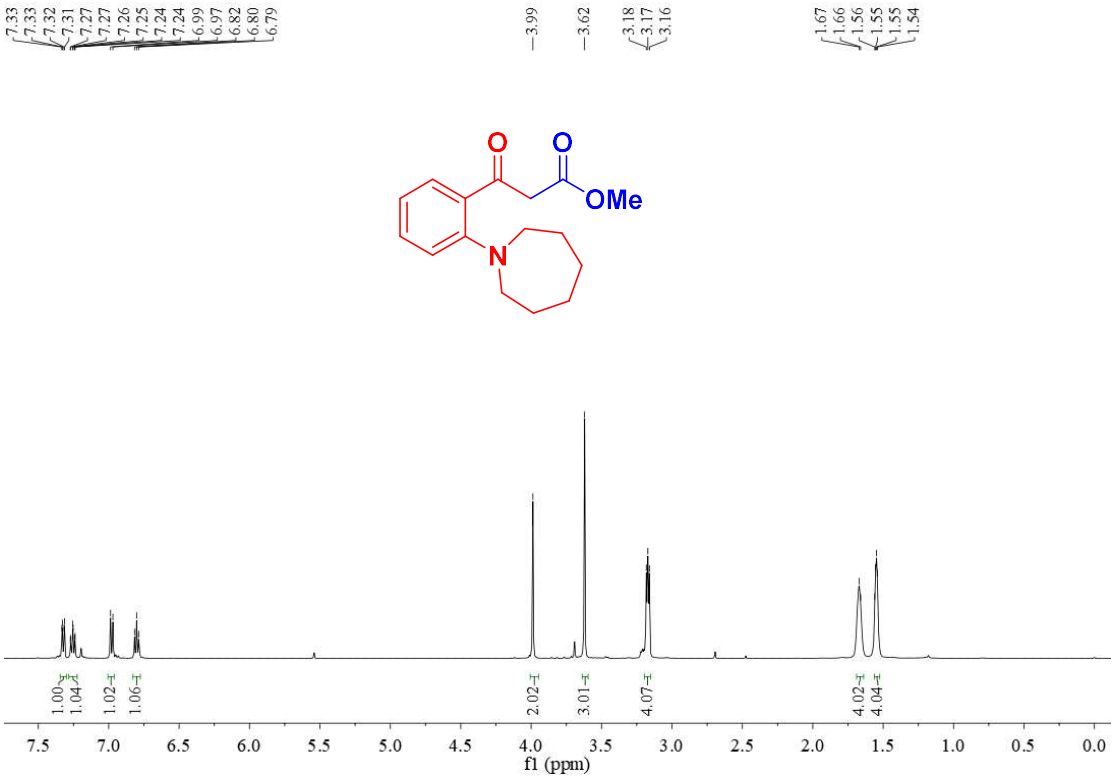


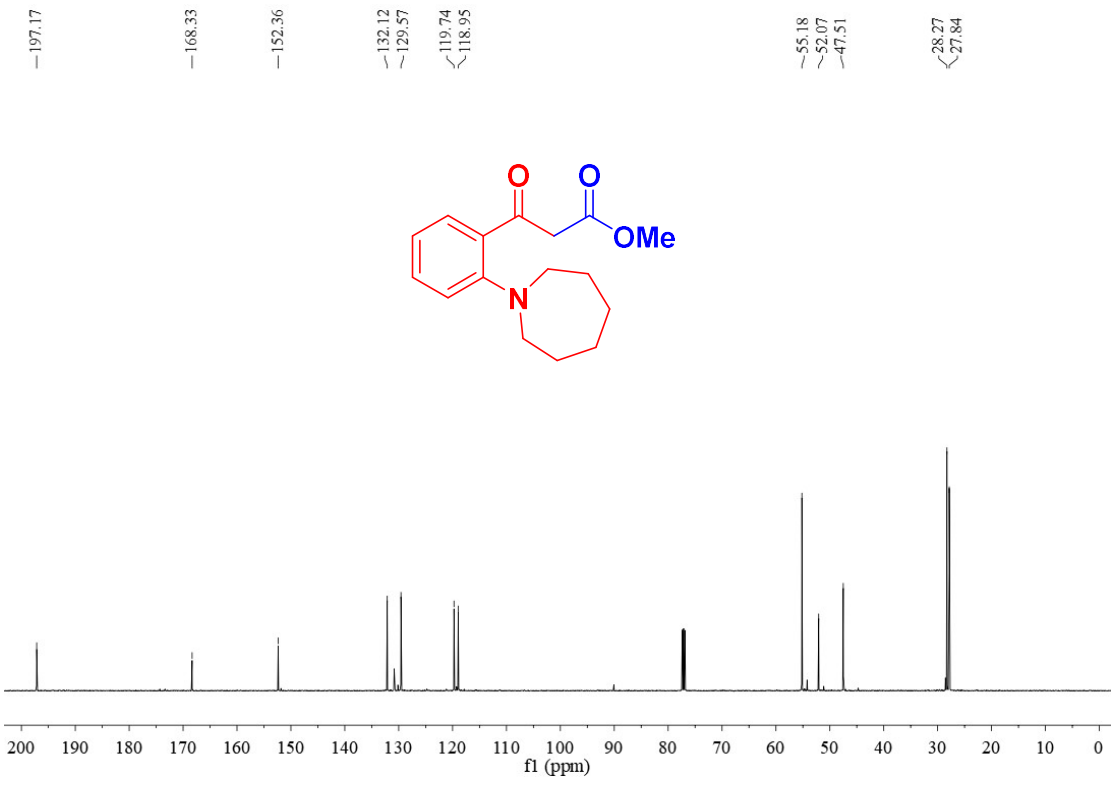
Methyl 3-(2-morpholinophenyl)-3-oxopropanoate (1d)



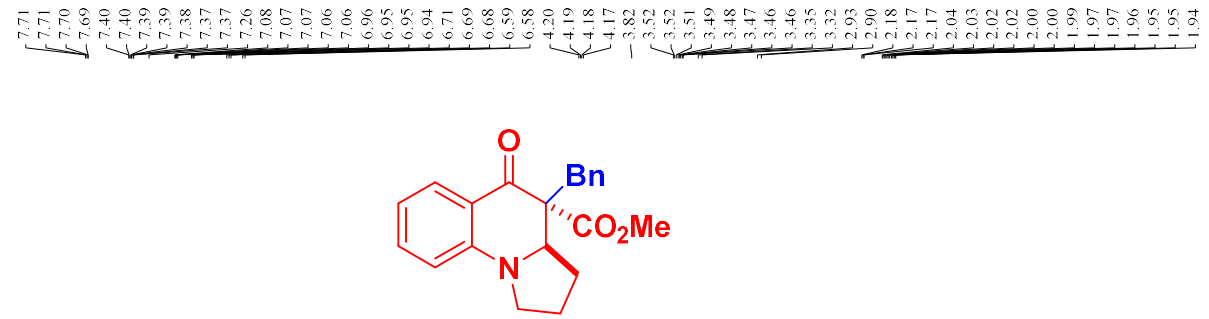


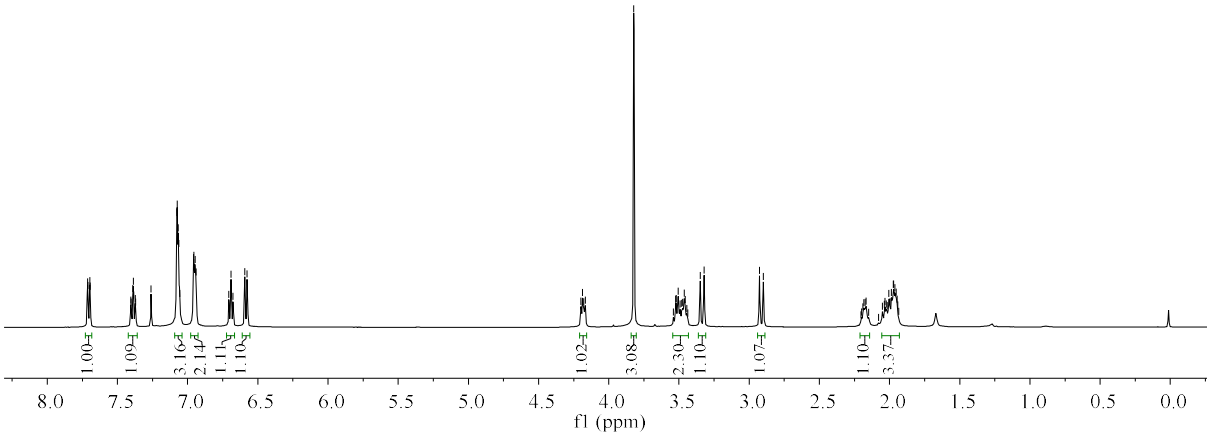
Methyl 3-(2-(azepan-1-yl)phenyl)-3-oxopropanoate (1e)

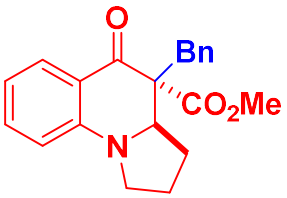


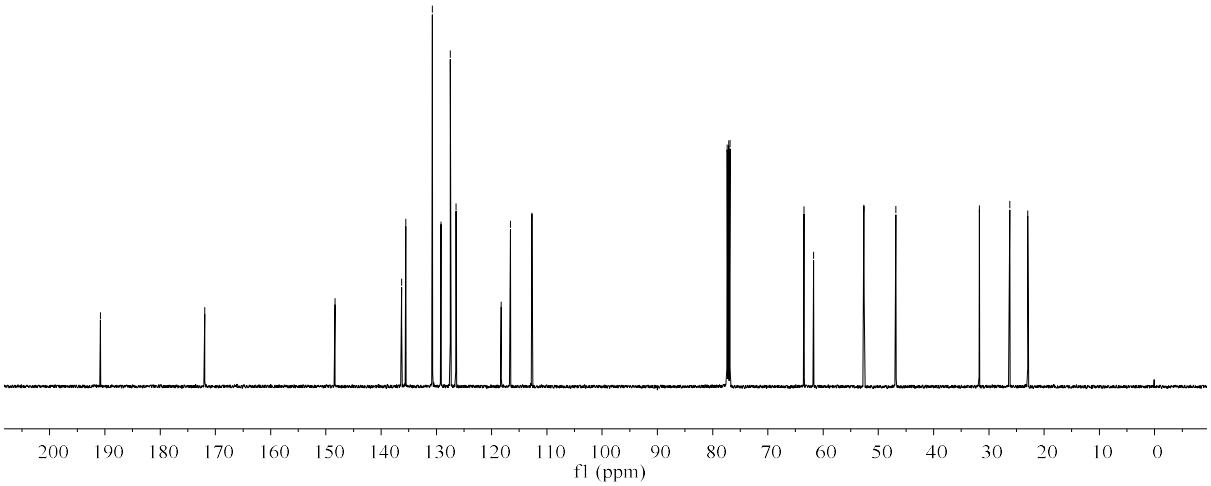


Methyl 4-benzyl-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3a)

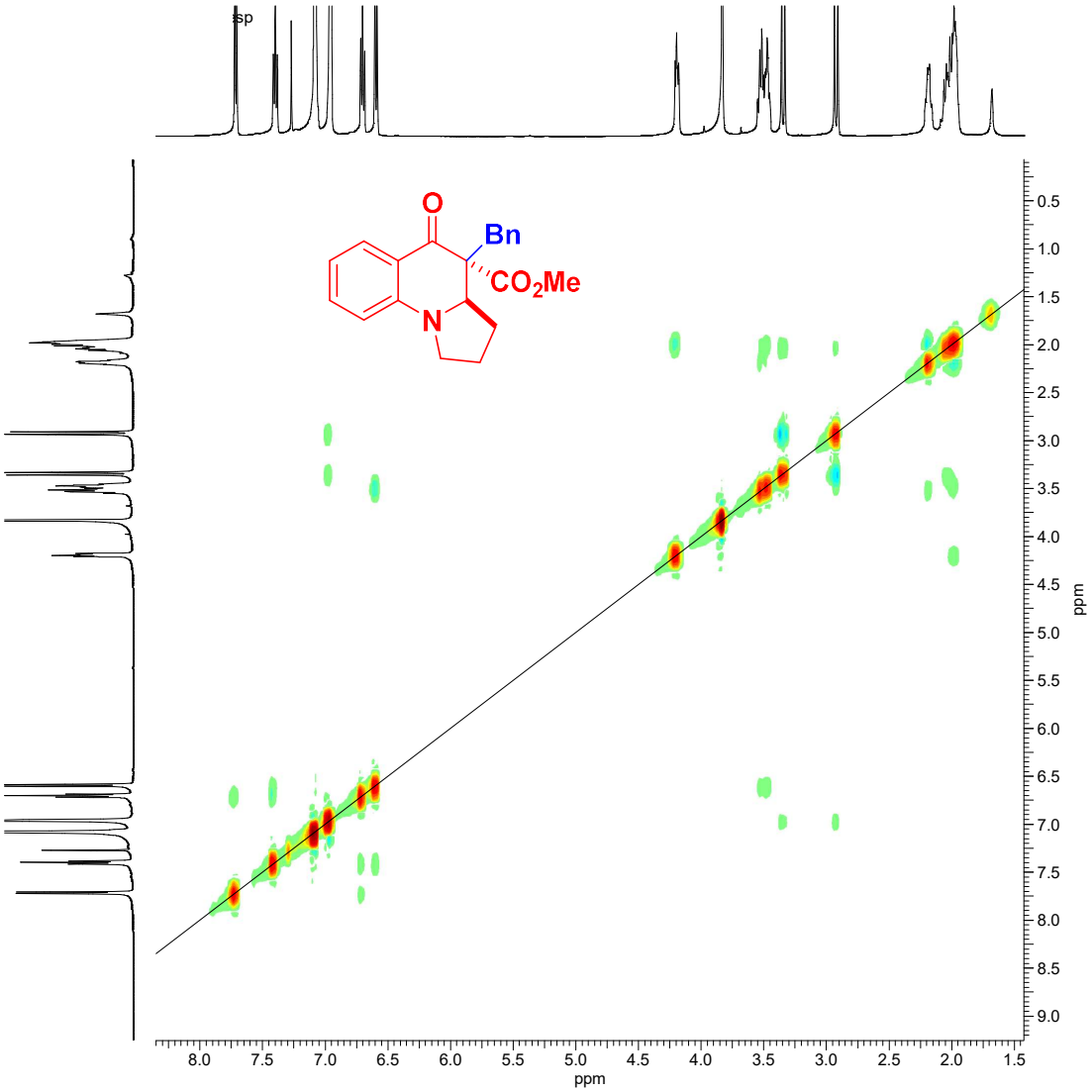




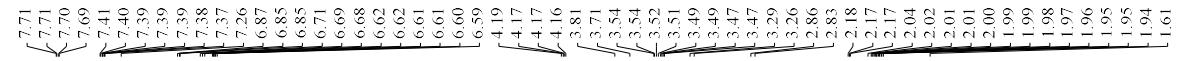


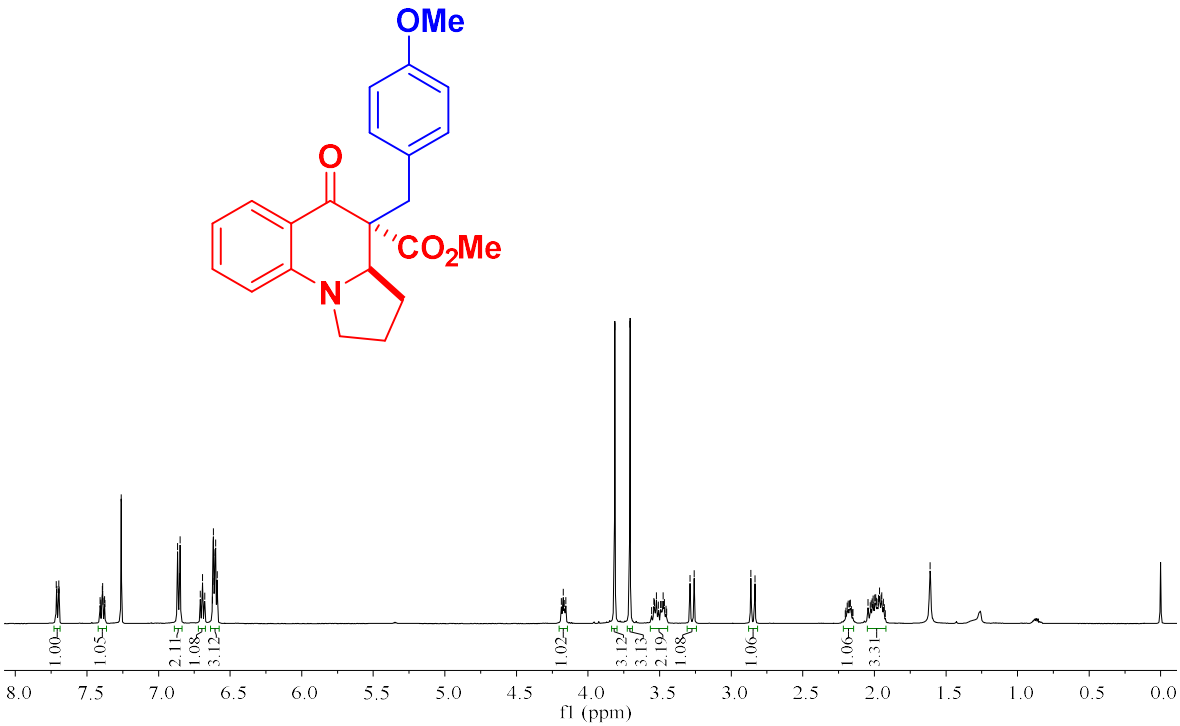


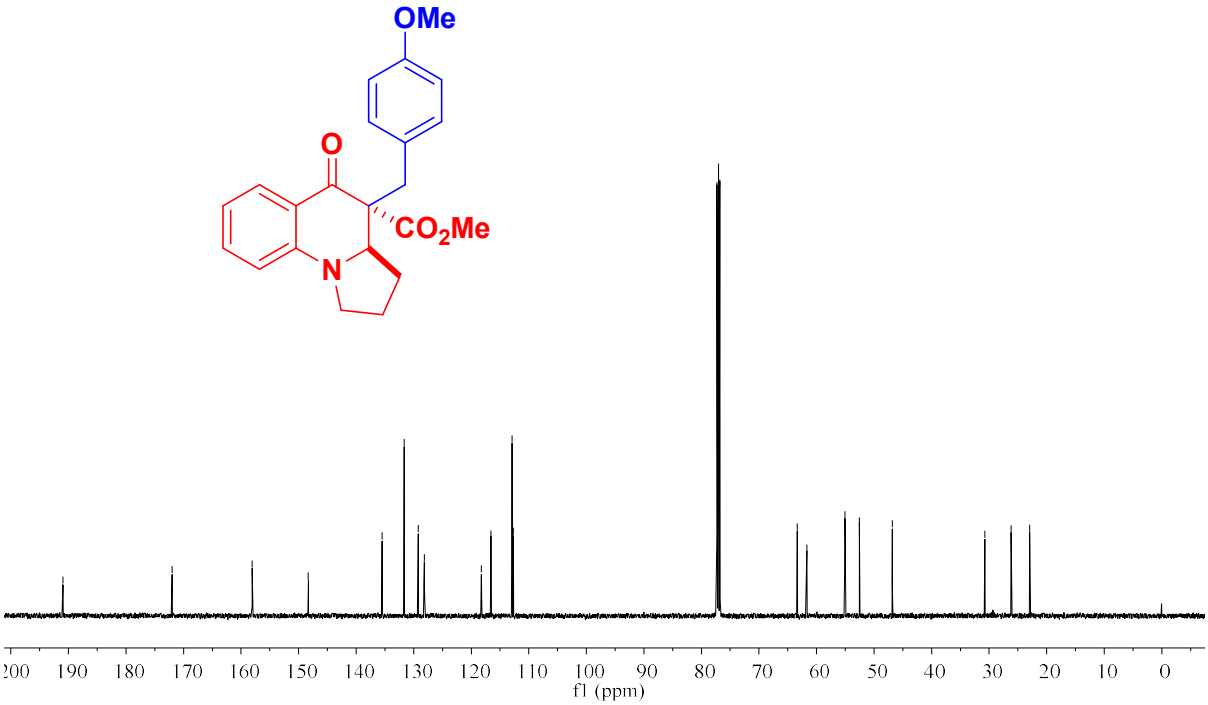
NOESY of Methyl 4-benzyl-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3a)



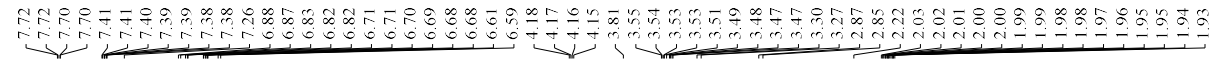
Methyl 4-(4-methoxybenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxyl-ate (3b)

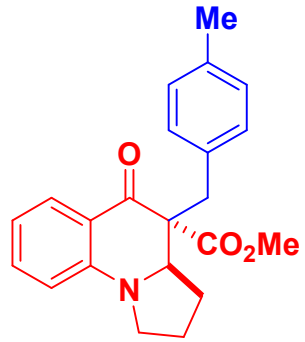


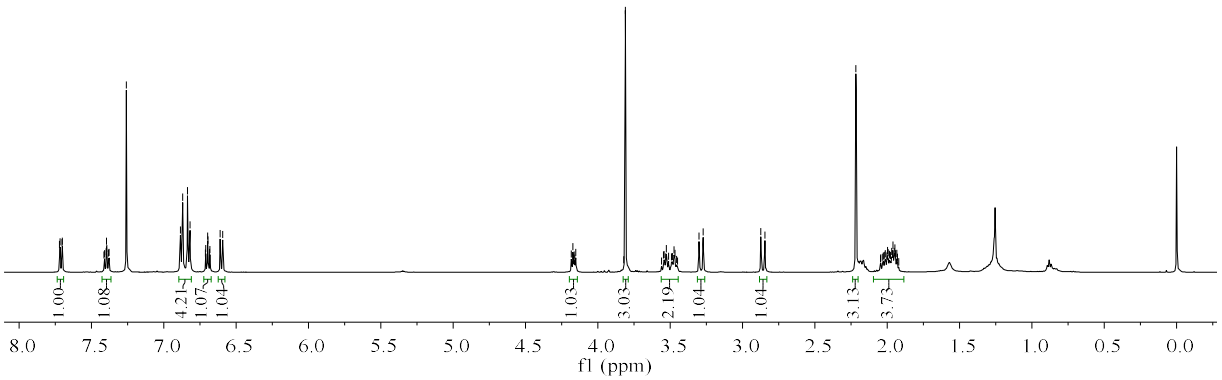


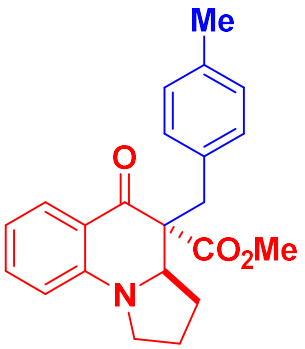


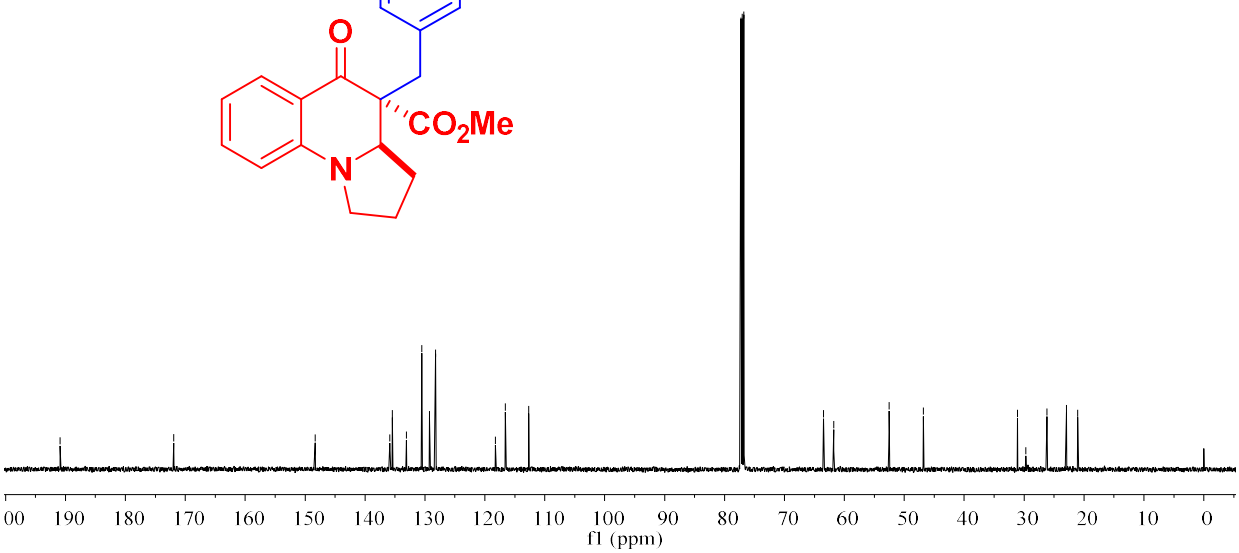
Methyl 4-(4-Methylbenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3c)



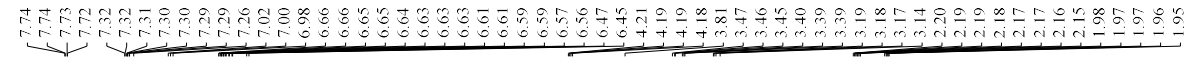


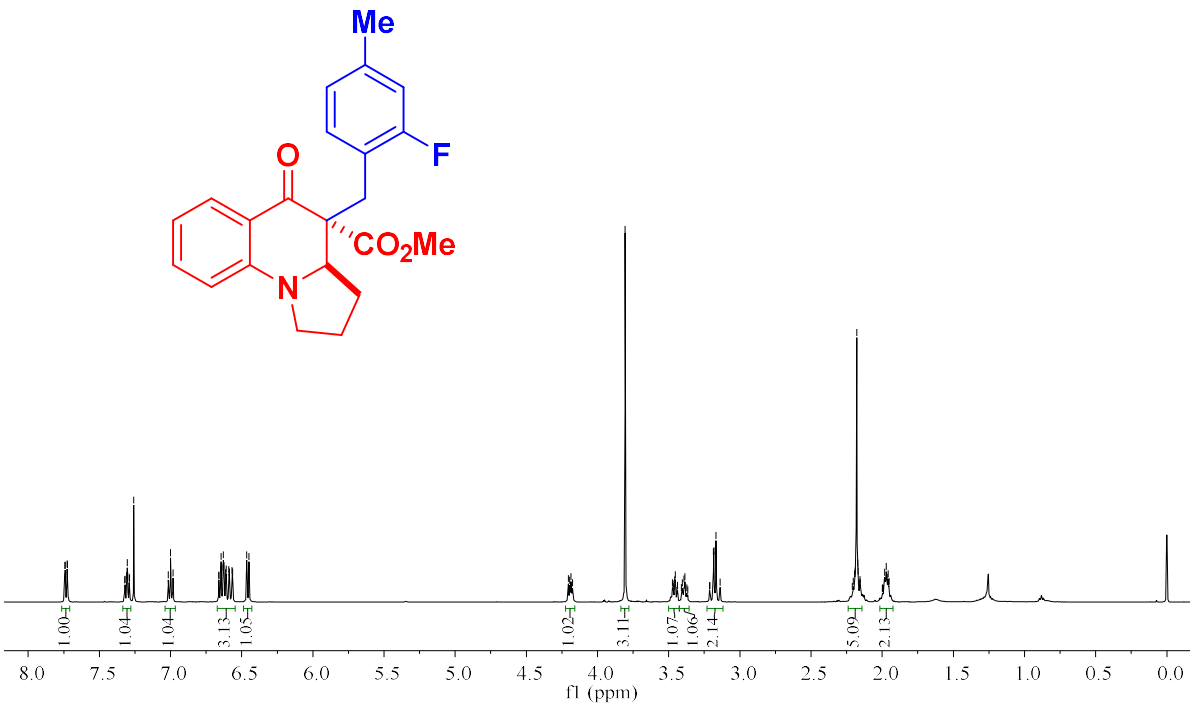


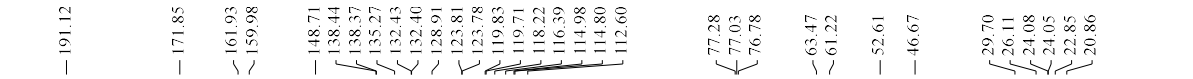


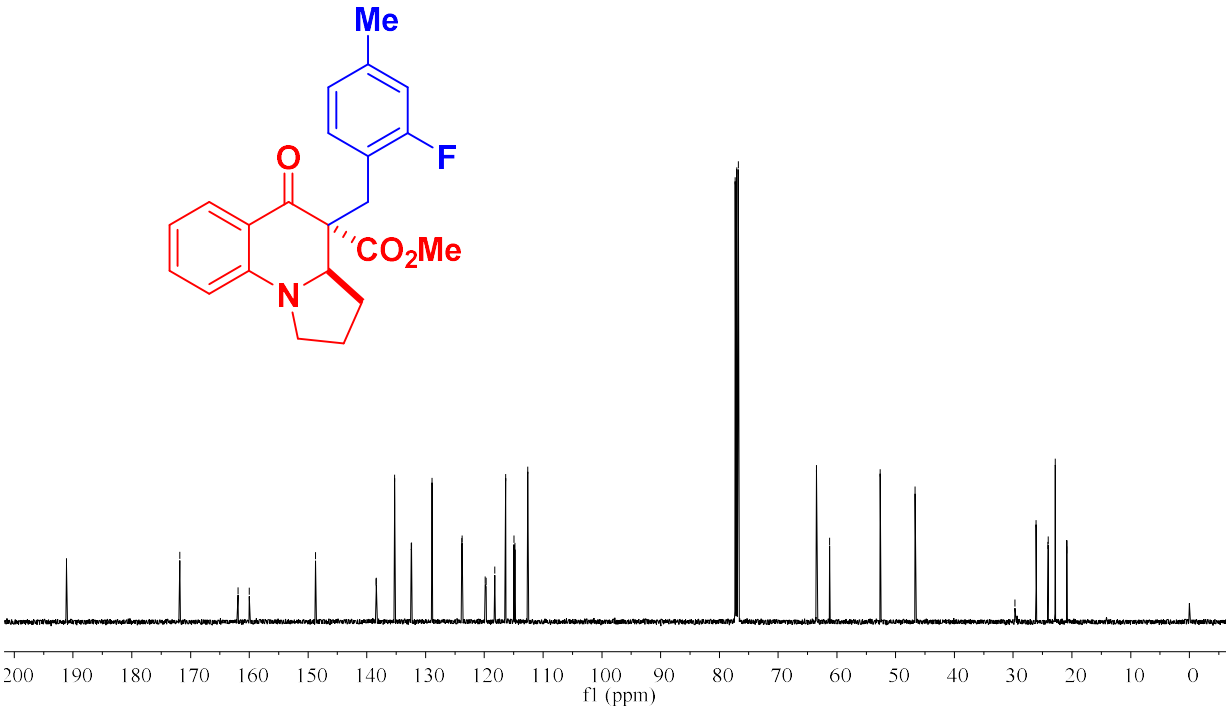


Methyl 4-(2-fluoro-4-Methylbenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]-quinoline-4-carboxylate (3d)

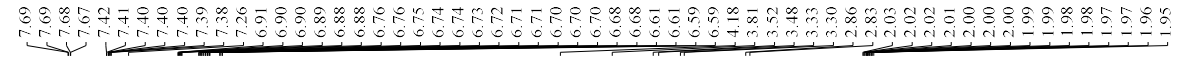


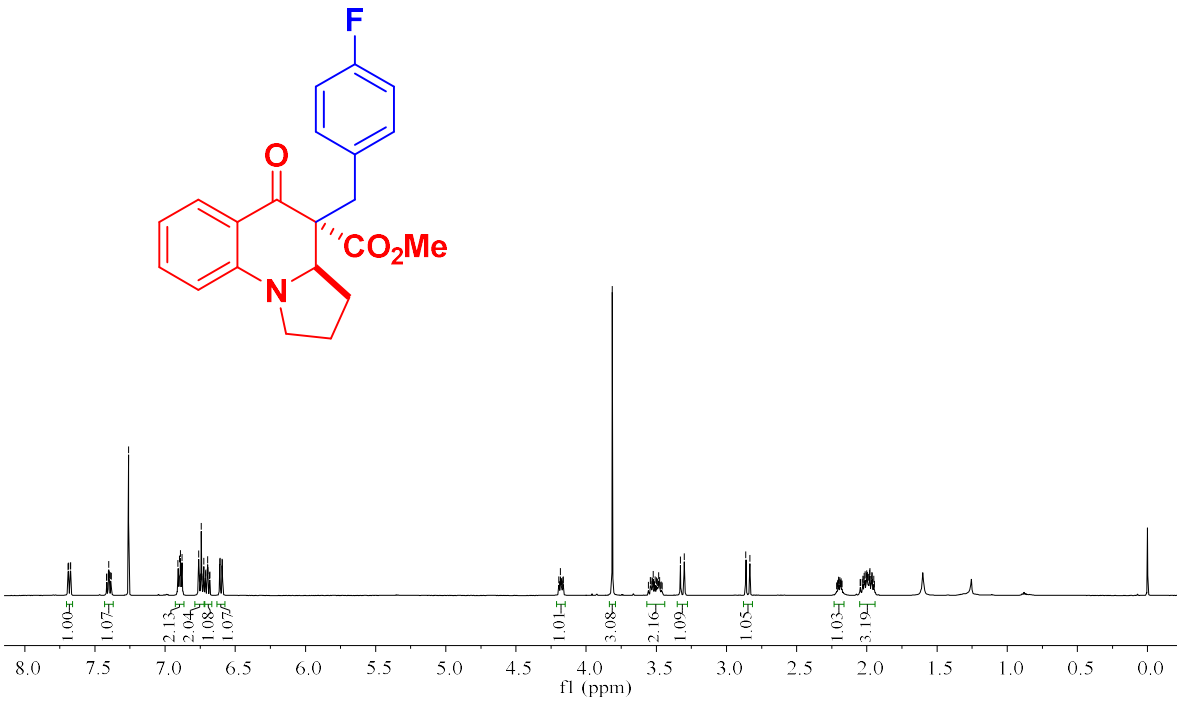


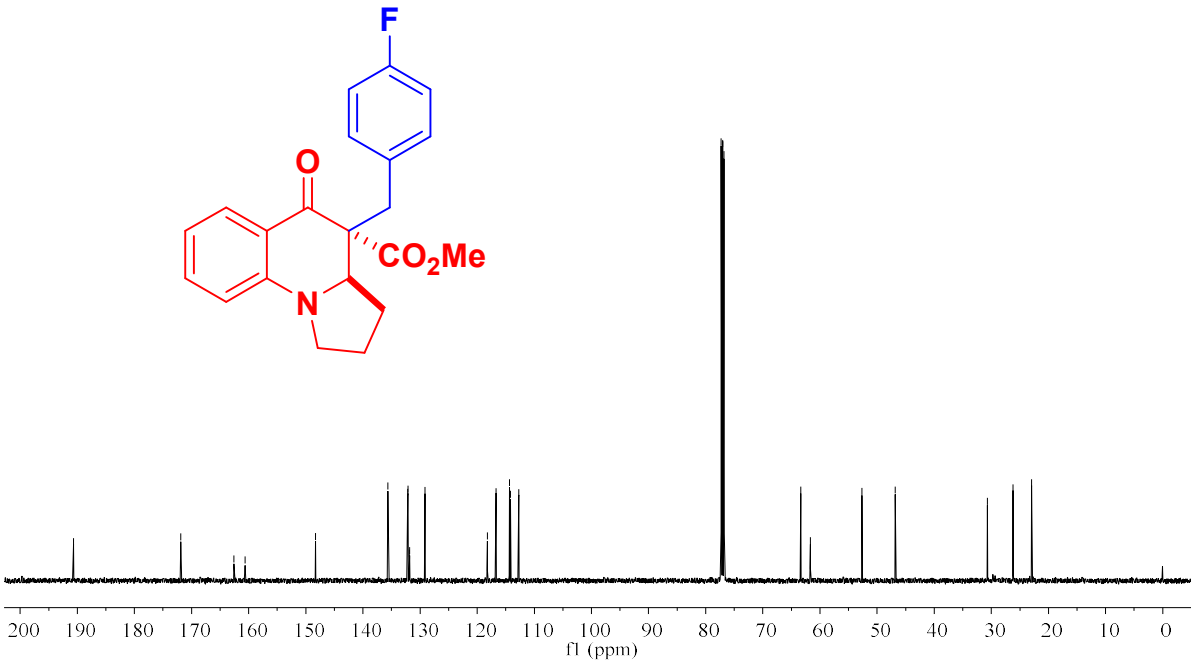




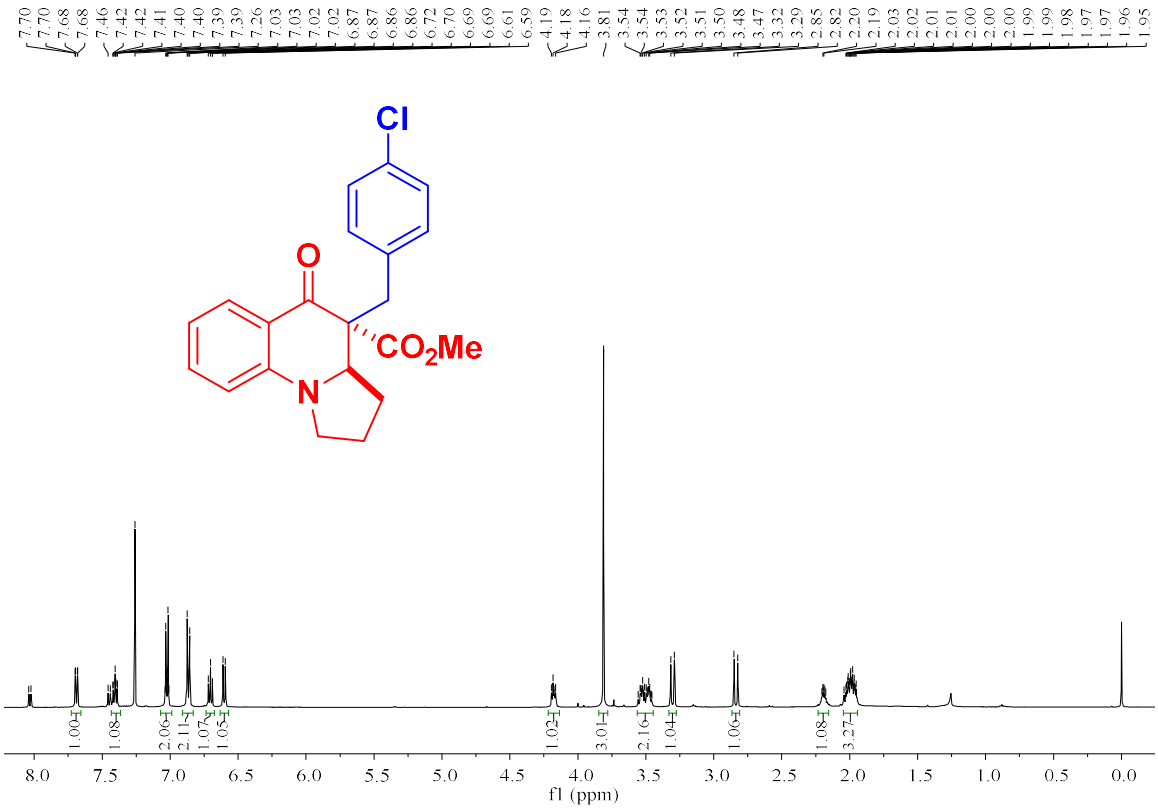
Methyl 4-(4-fluorobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3e)

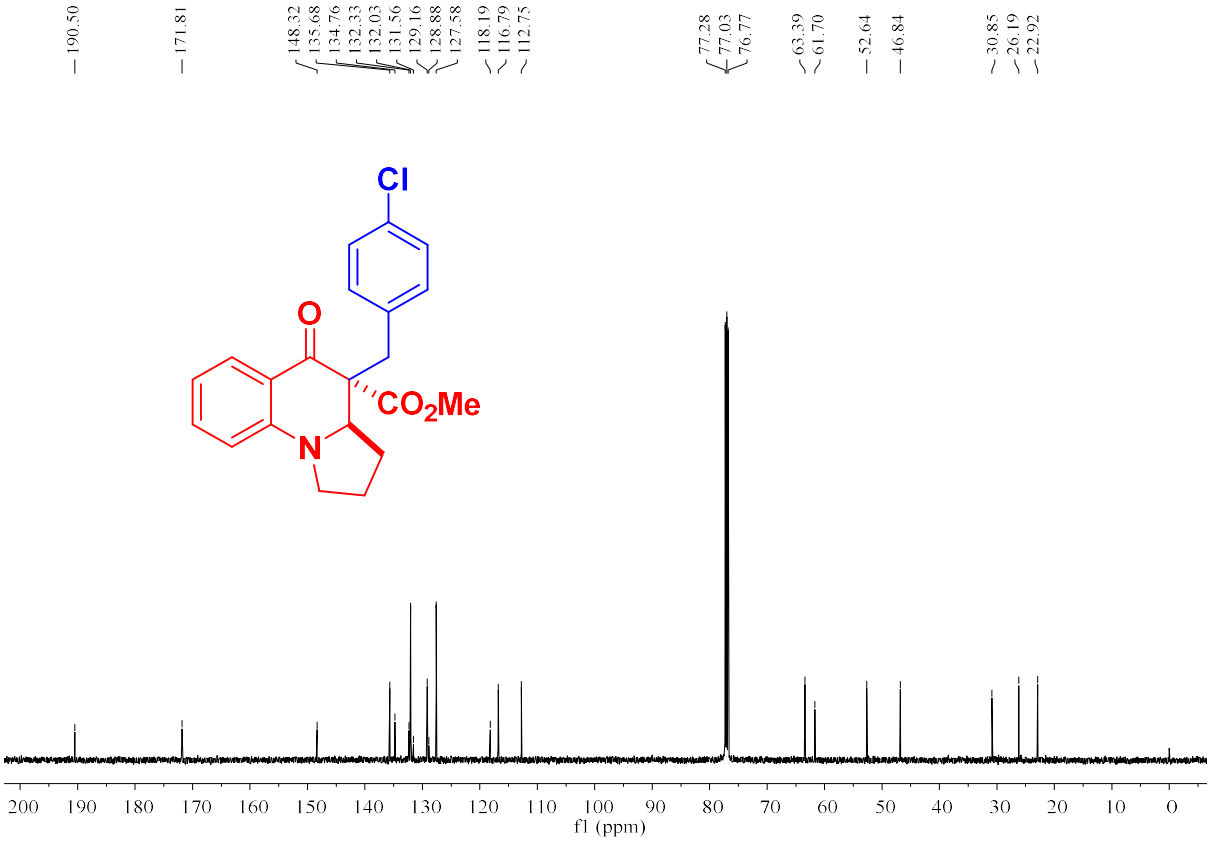




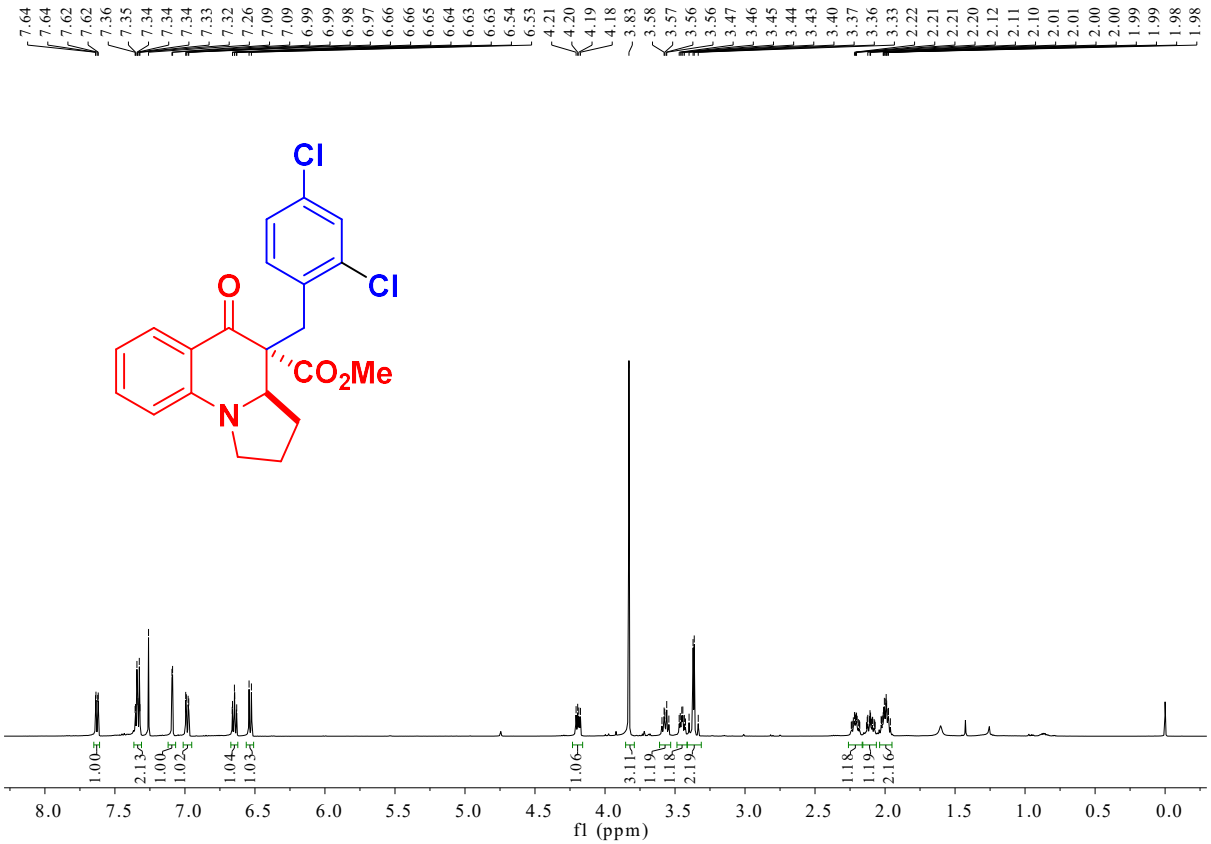


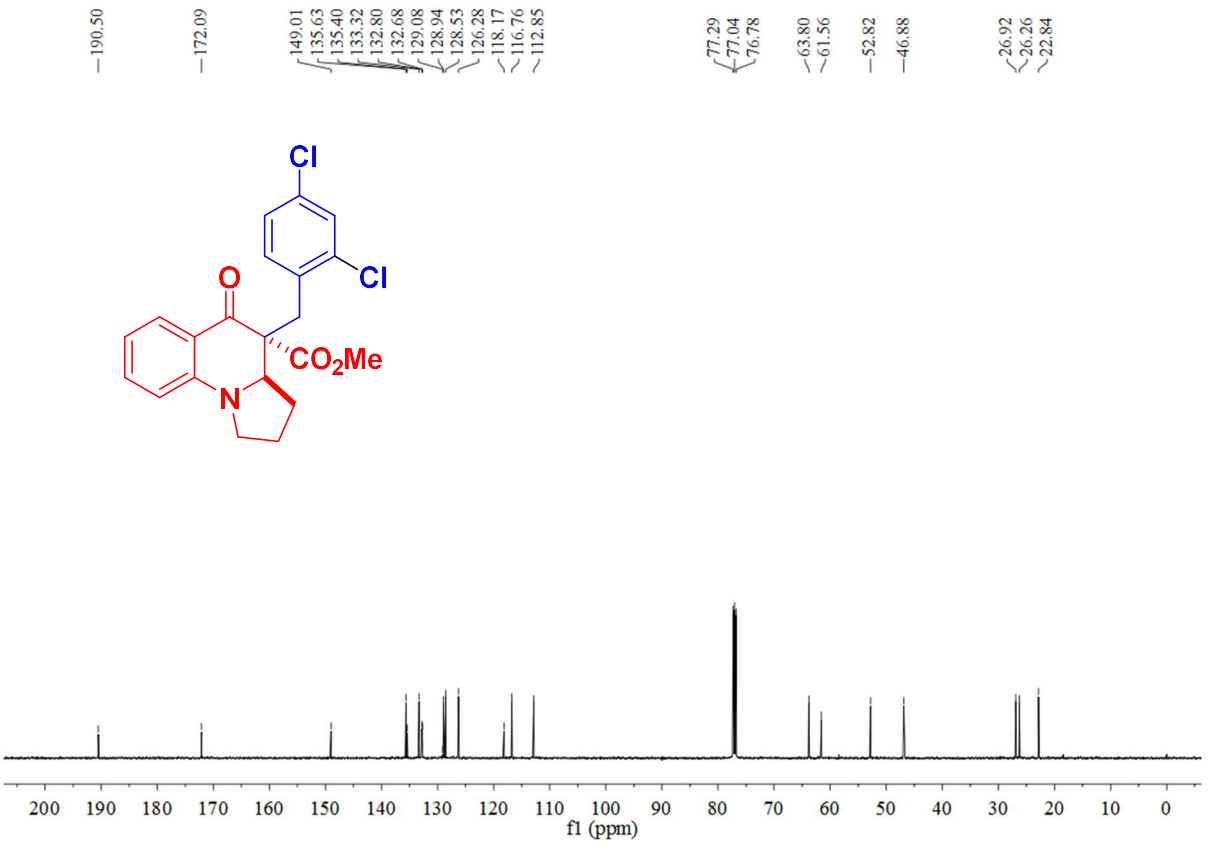
Methyl 4-(4-chlorobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3f)



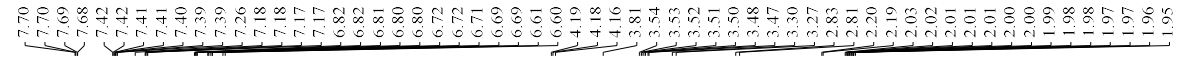


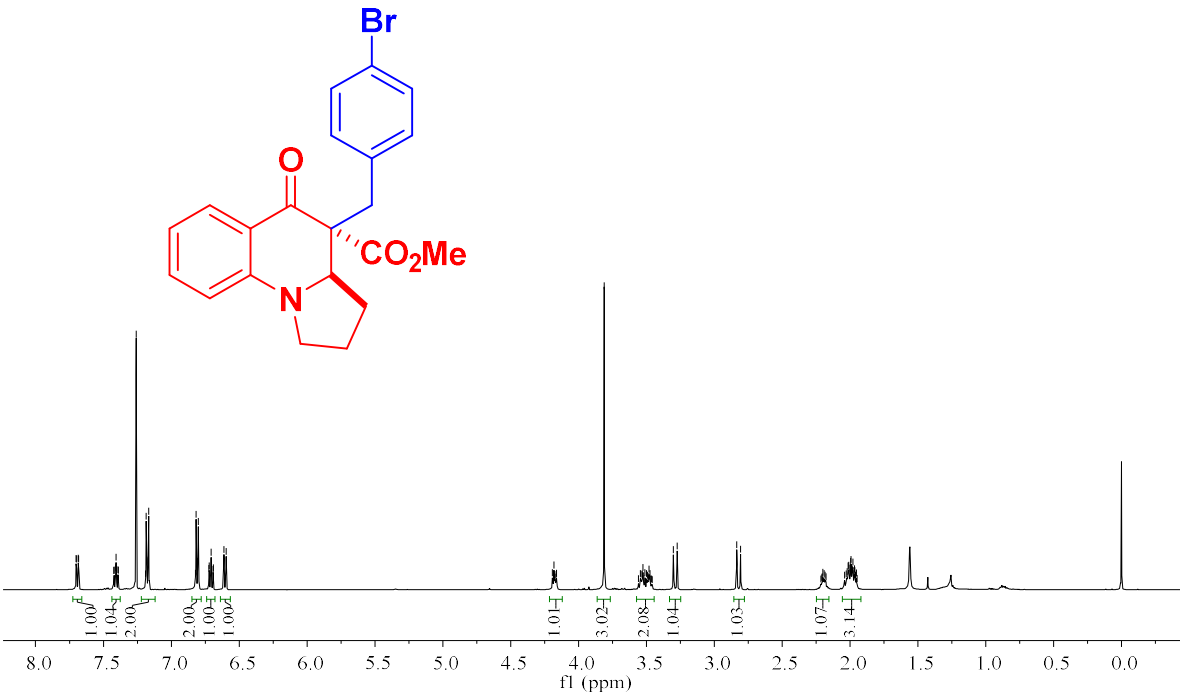
Methyl 4-(2,4-dichlorobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3g)

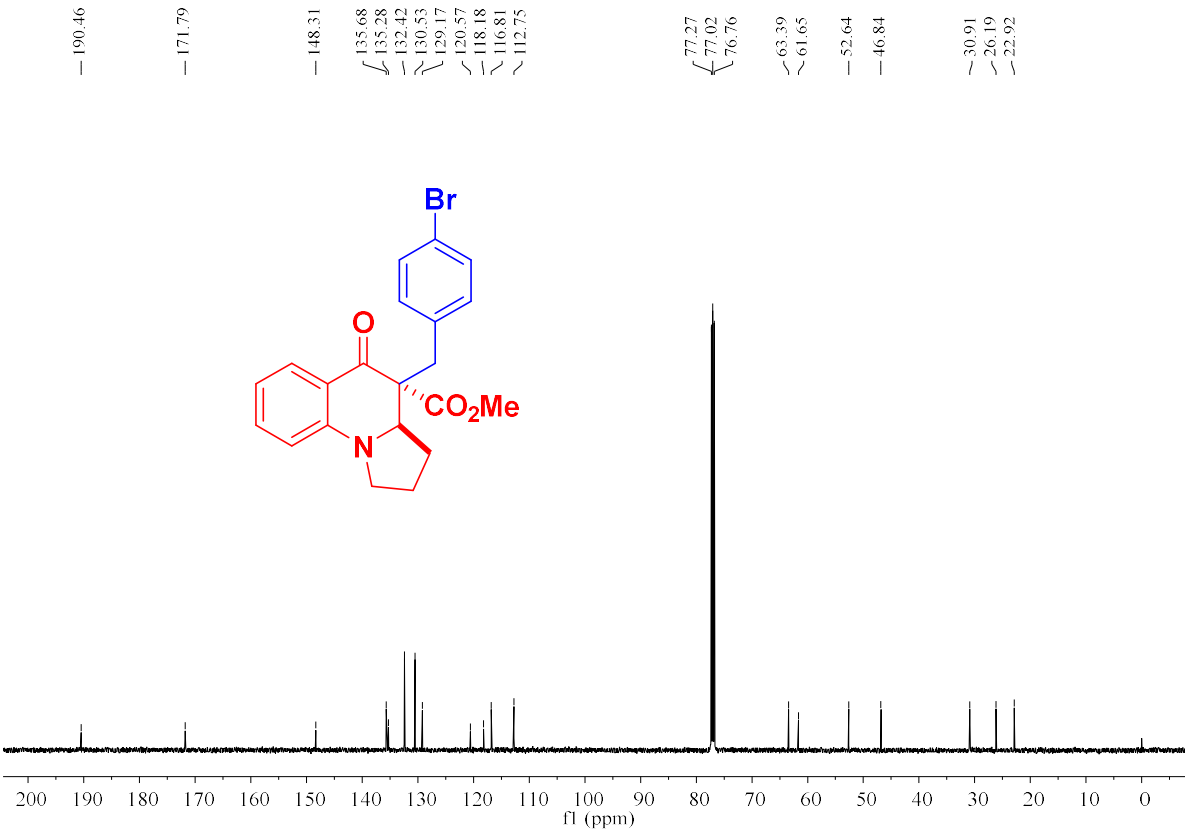




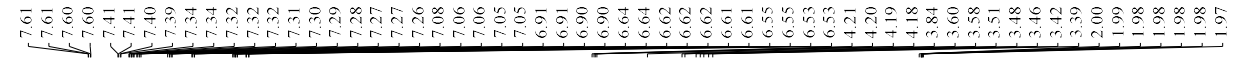
Methyl (3aR,4R)-4-(4-bromobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3h)

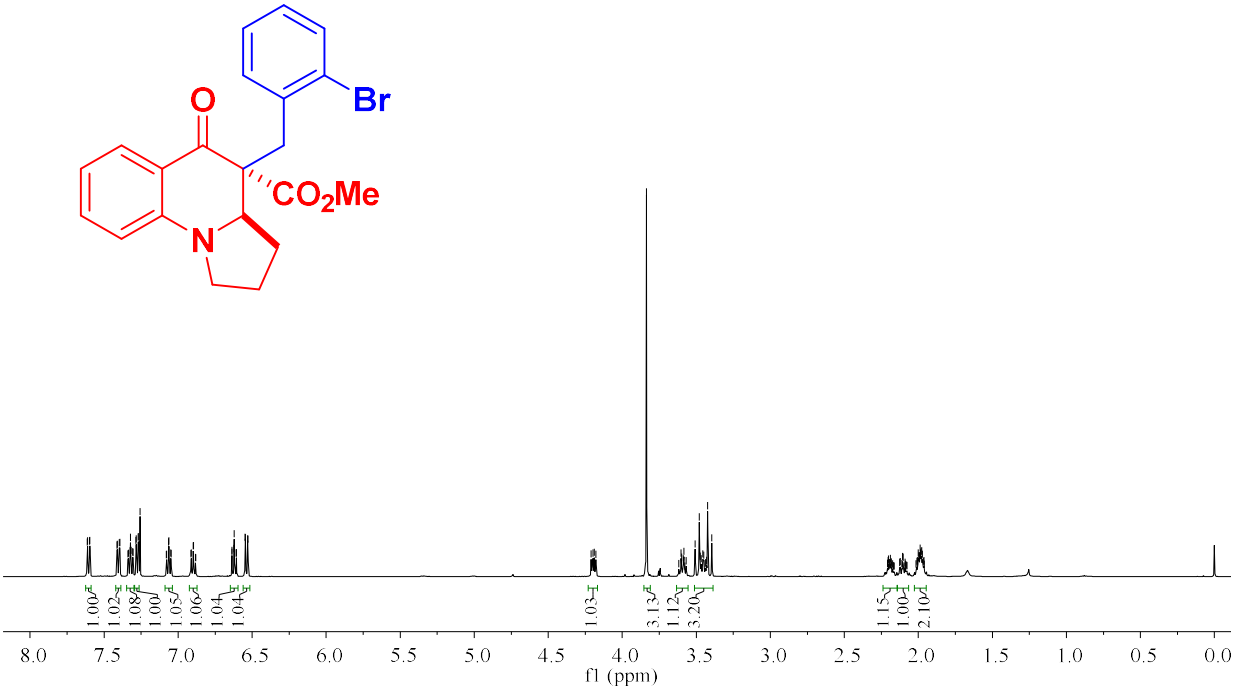


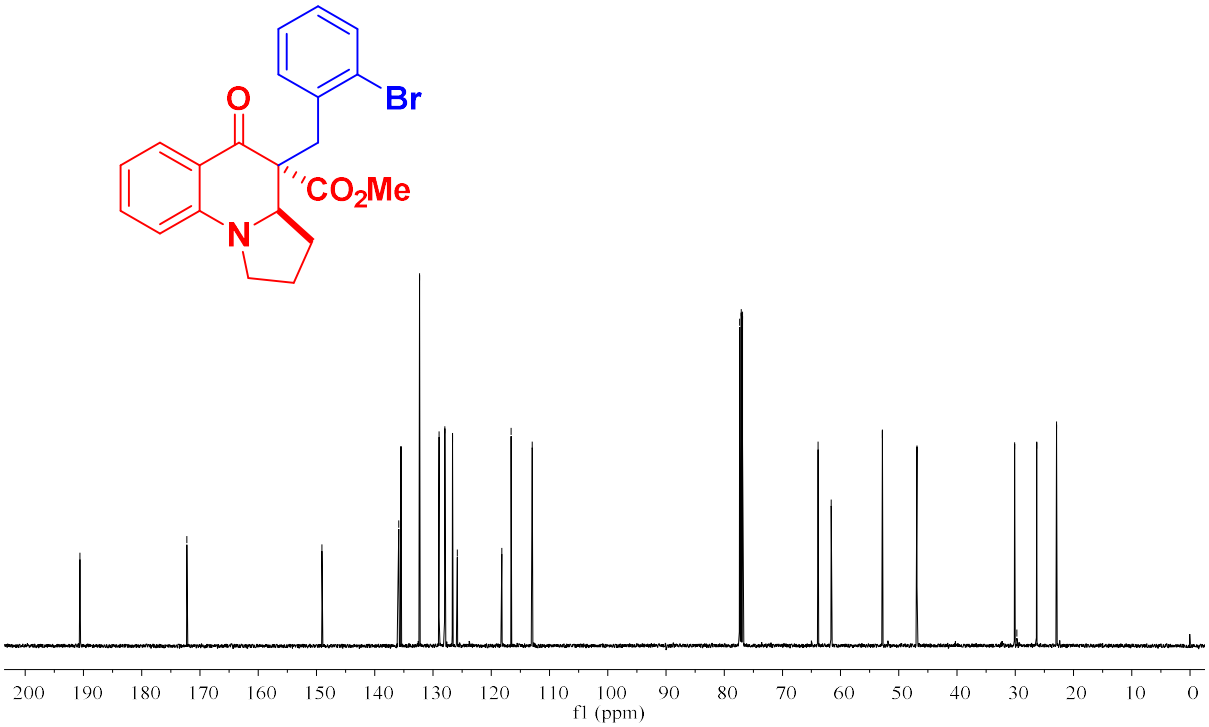




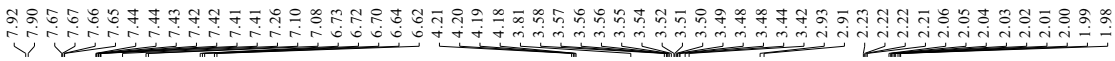
Methyl 4-(2-bromobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3i)

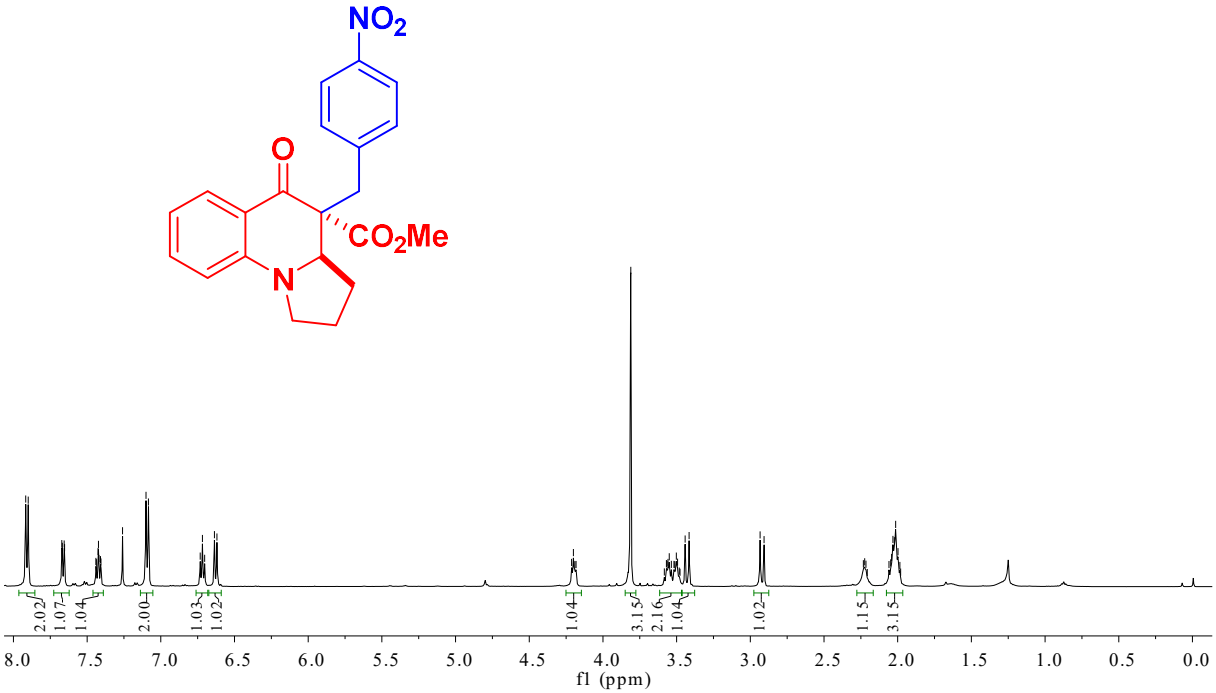


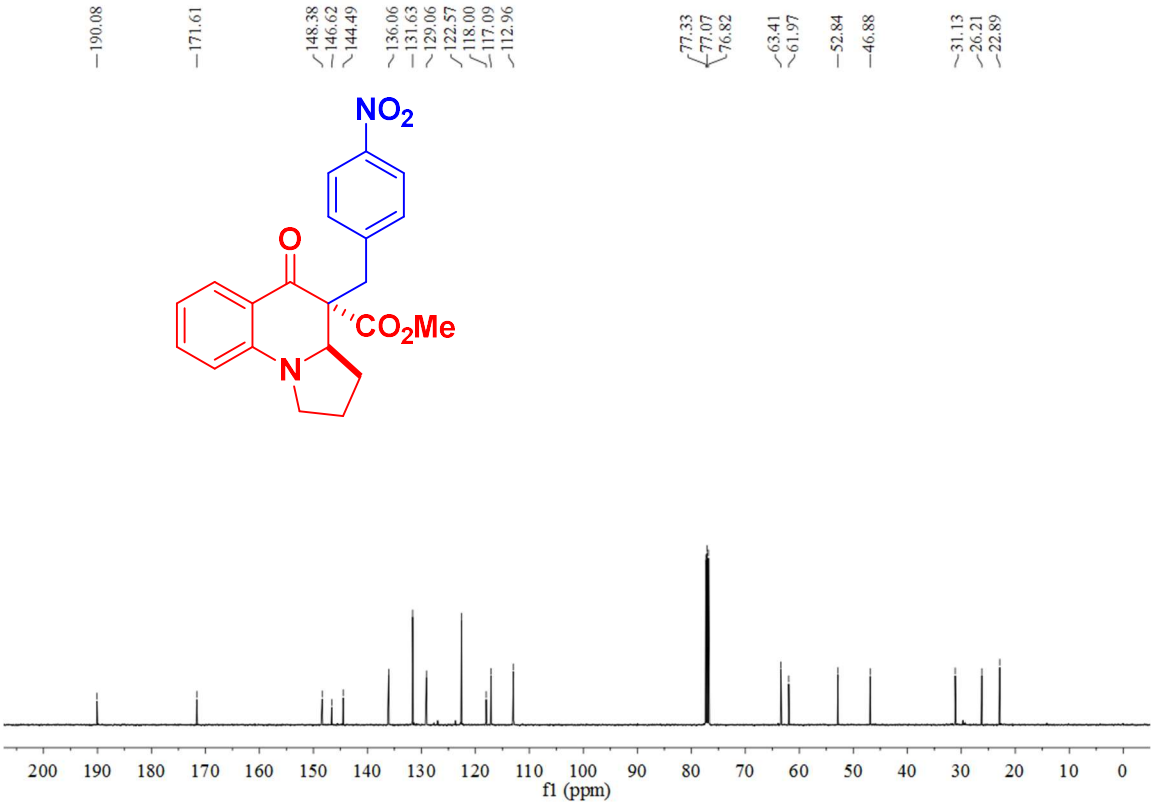




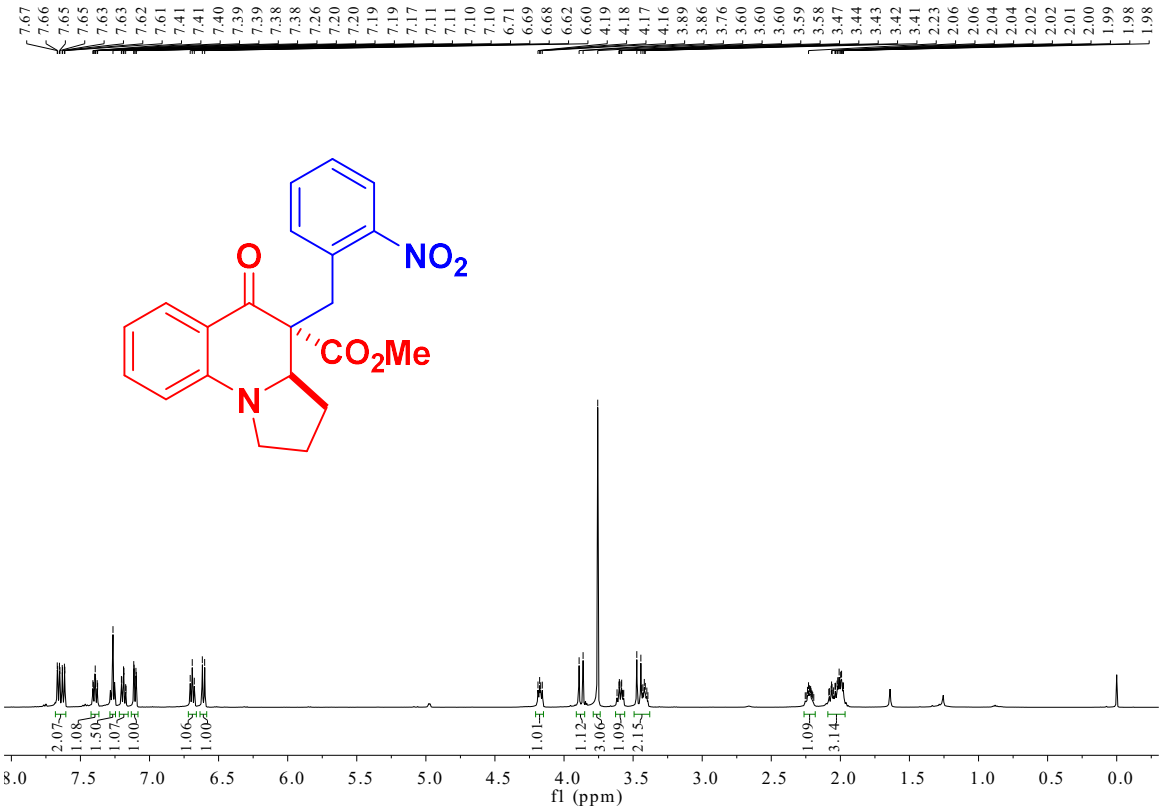
Methyl (3aR,4R)-4-(4-nitrobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3j)

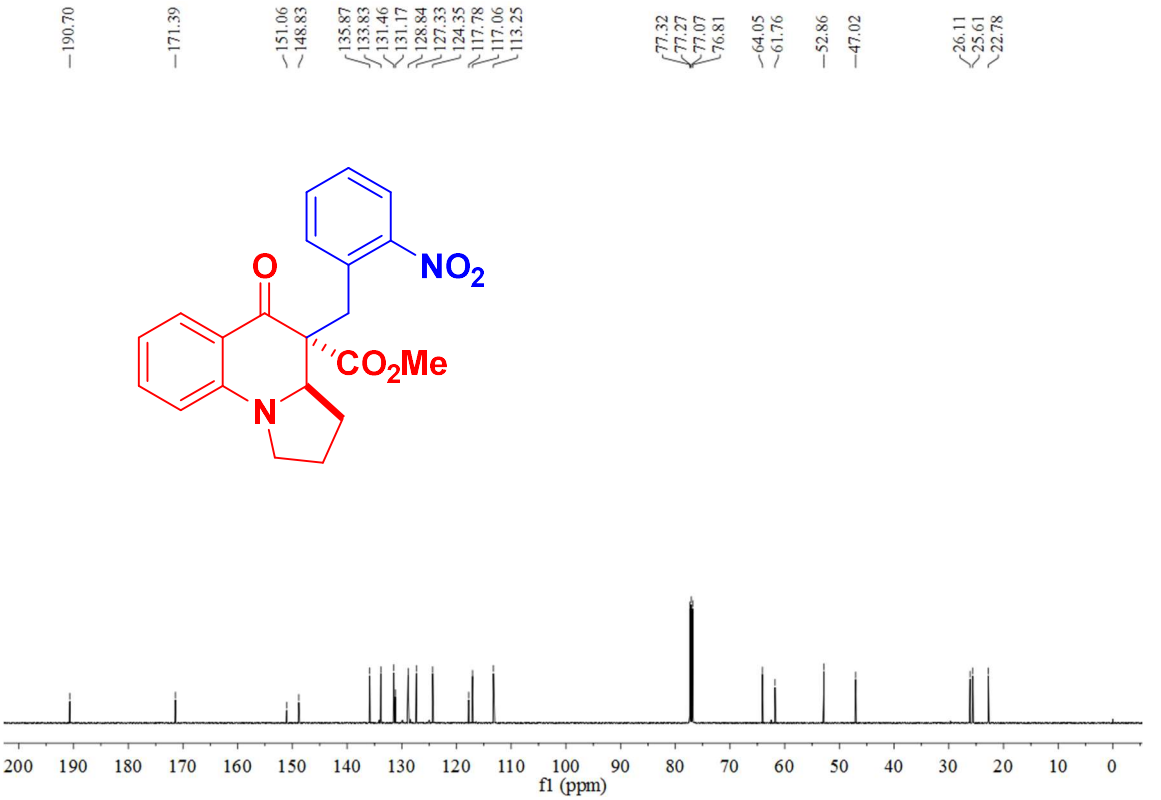




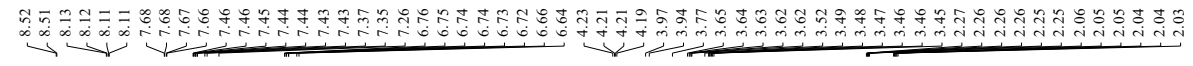


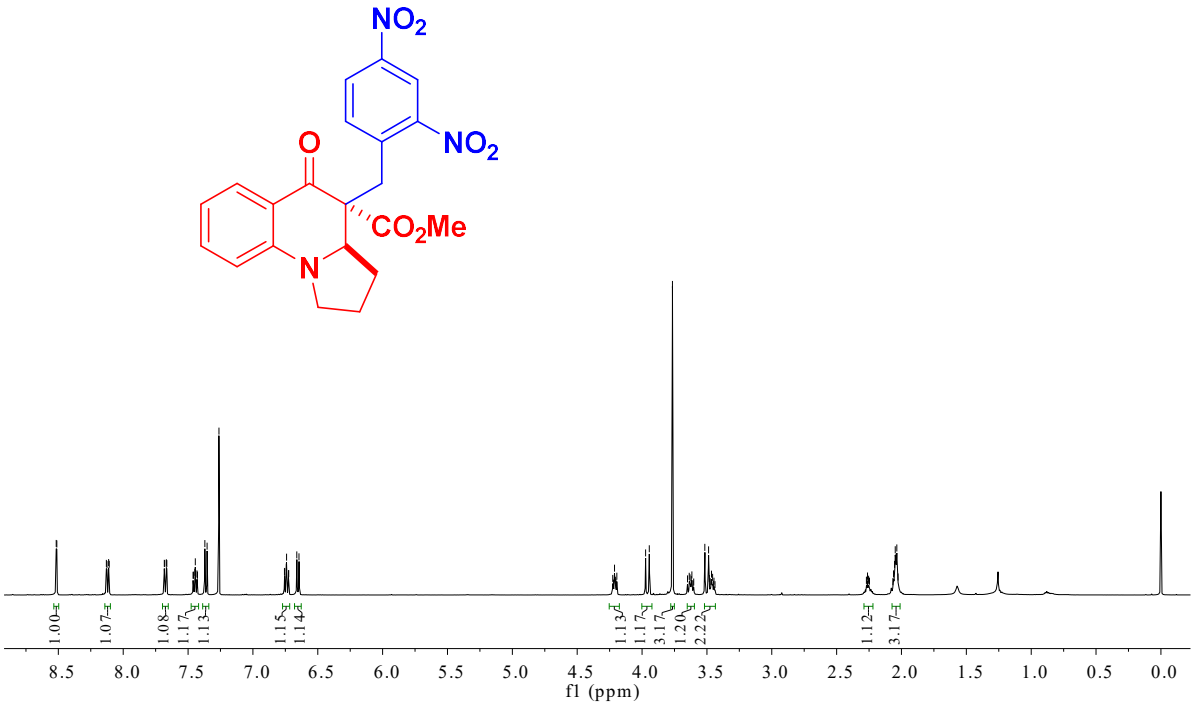
Methyl 4-(2-nitrobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3k)

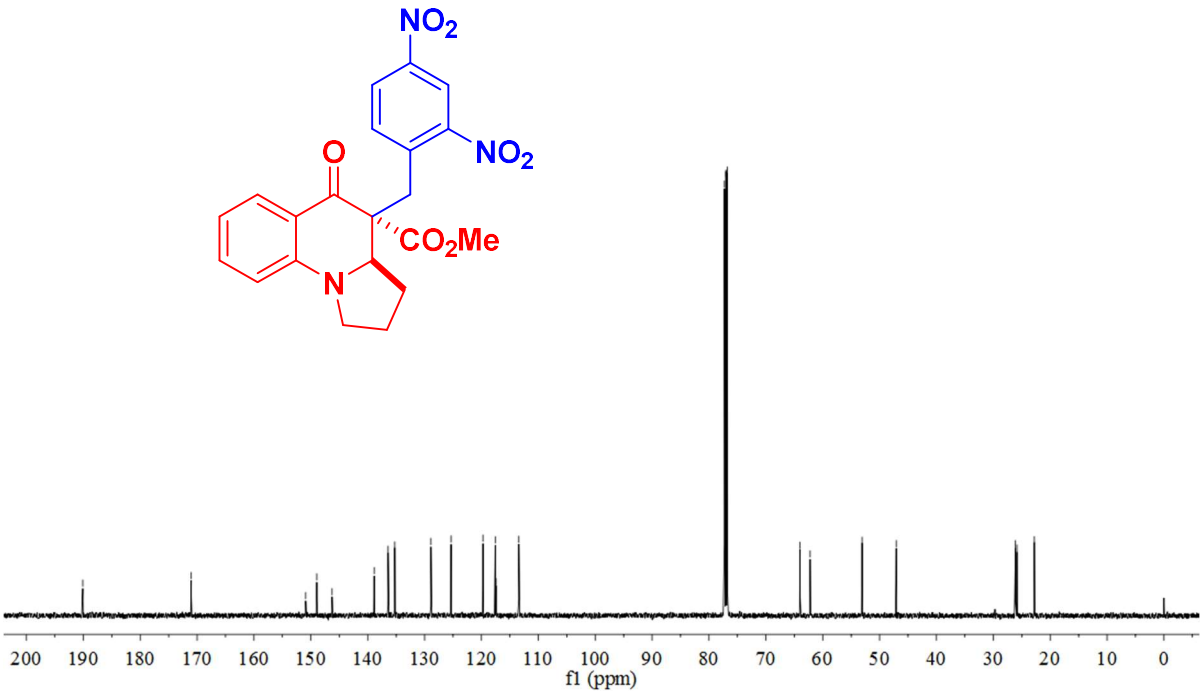




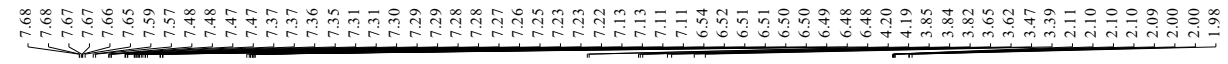
Methyl 4-(2,4-dinitrobenzyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3l)

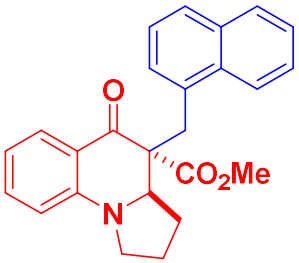


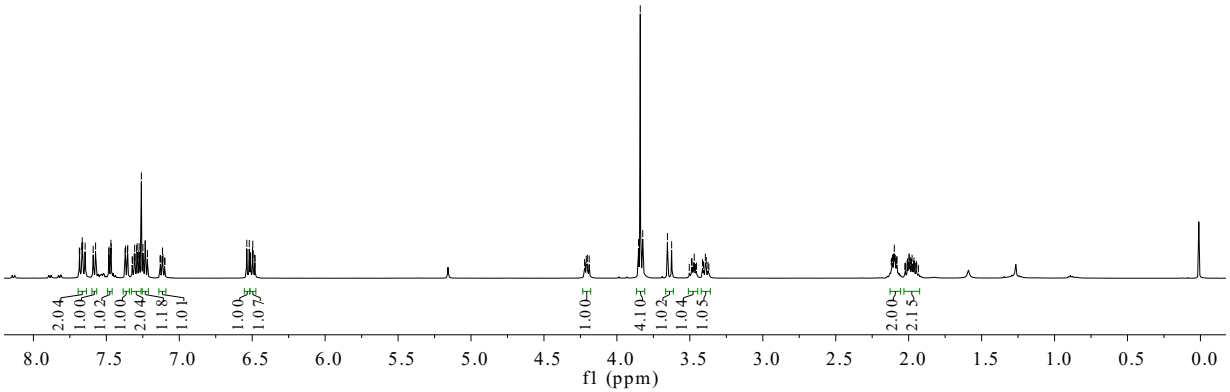


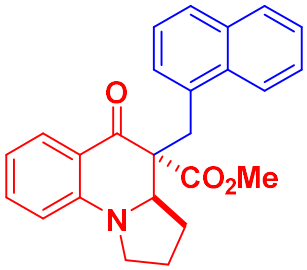


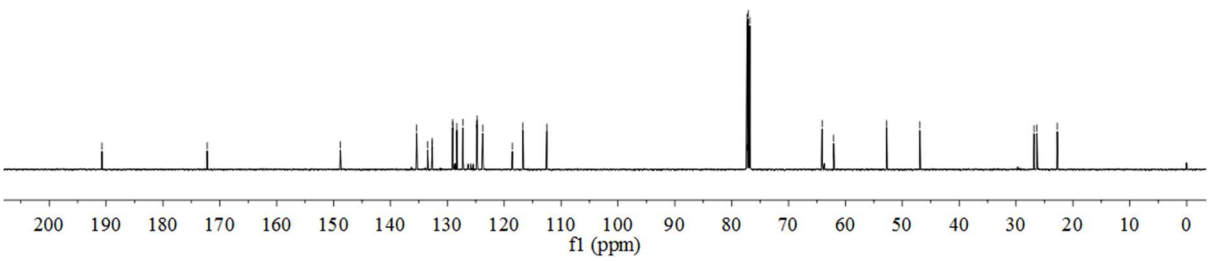
Methyl 4-(naphthalen-1-ylMethyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3m)



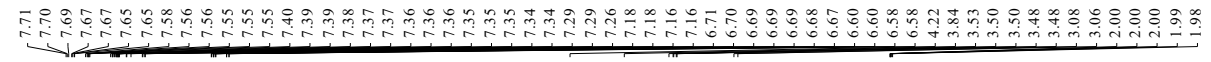


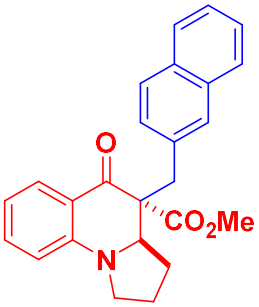


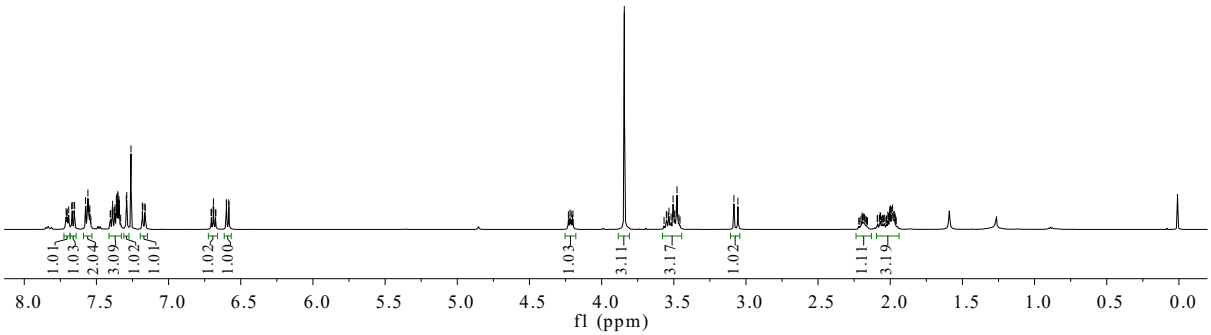


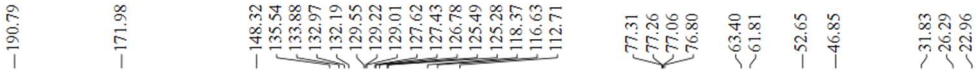


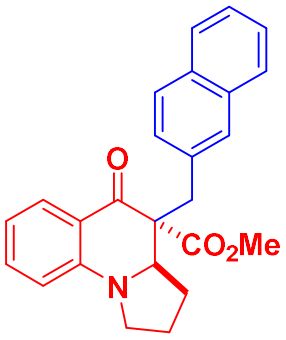
Methyl 4-(naphthalen-2-ylMethyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (3n)

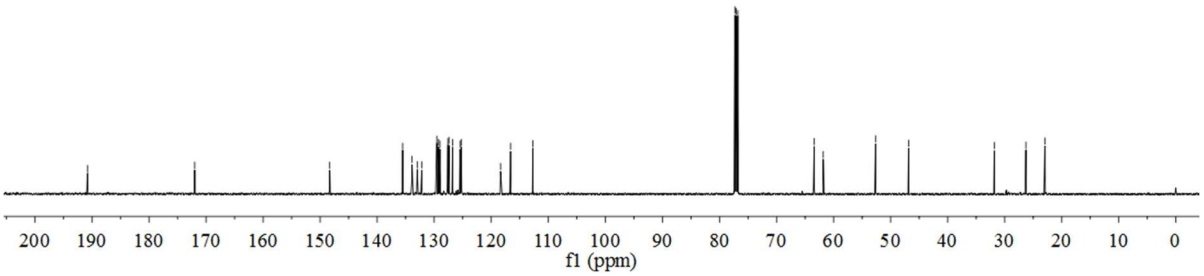




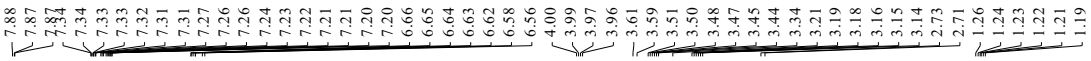


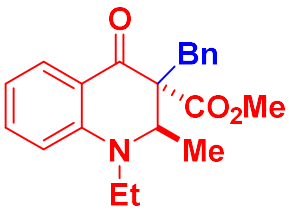


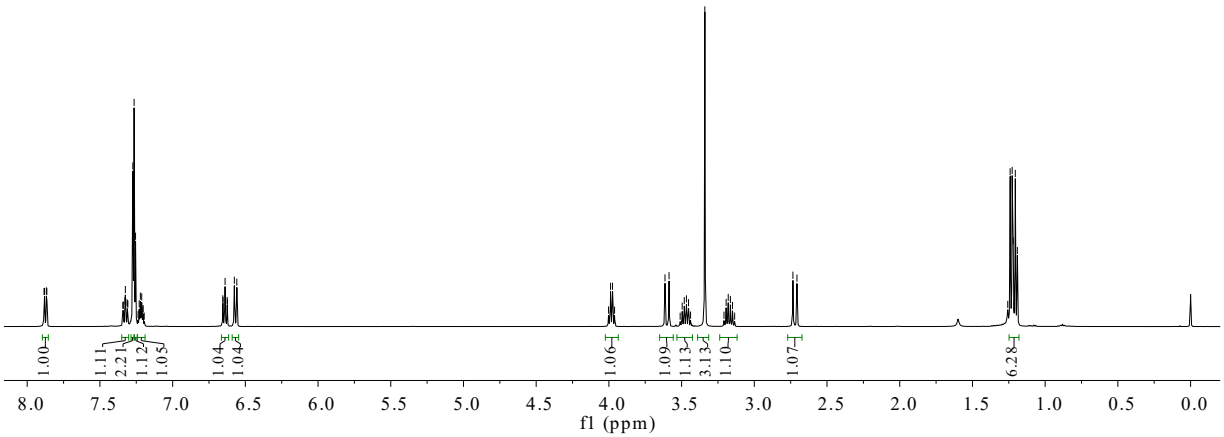


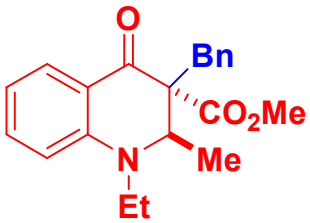


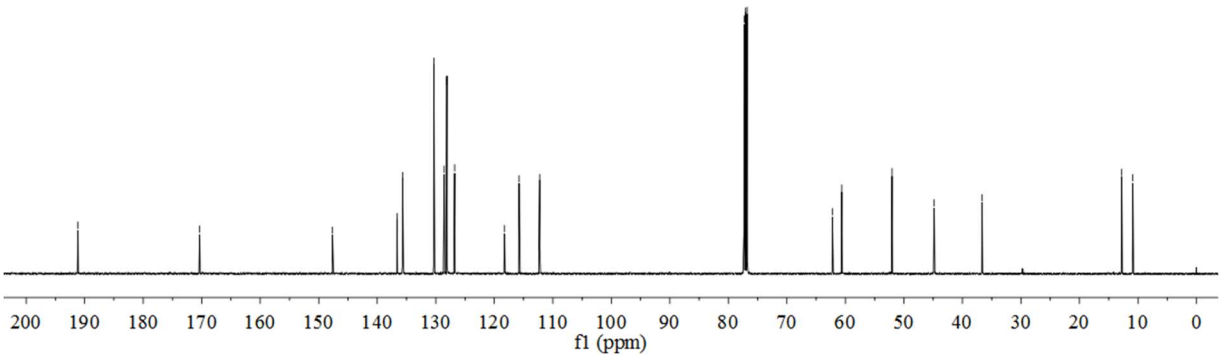
Methyl 3-benzyl-1-ethyl-2-Methyl-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (3o) (major diastereomer)



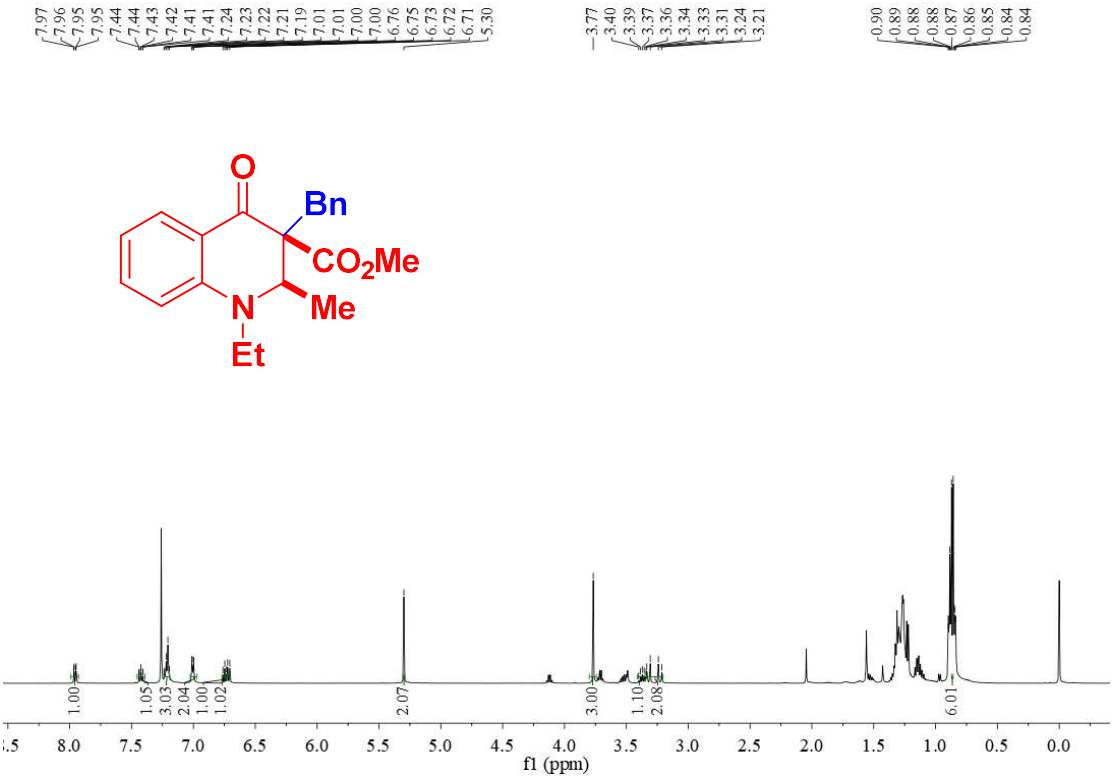


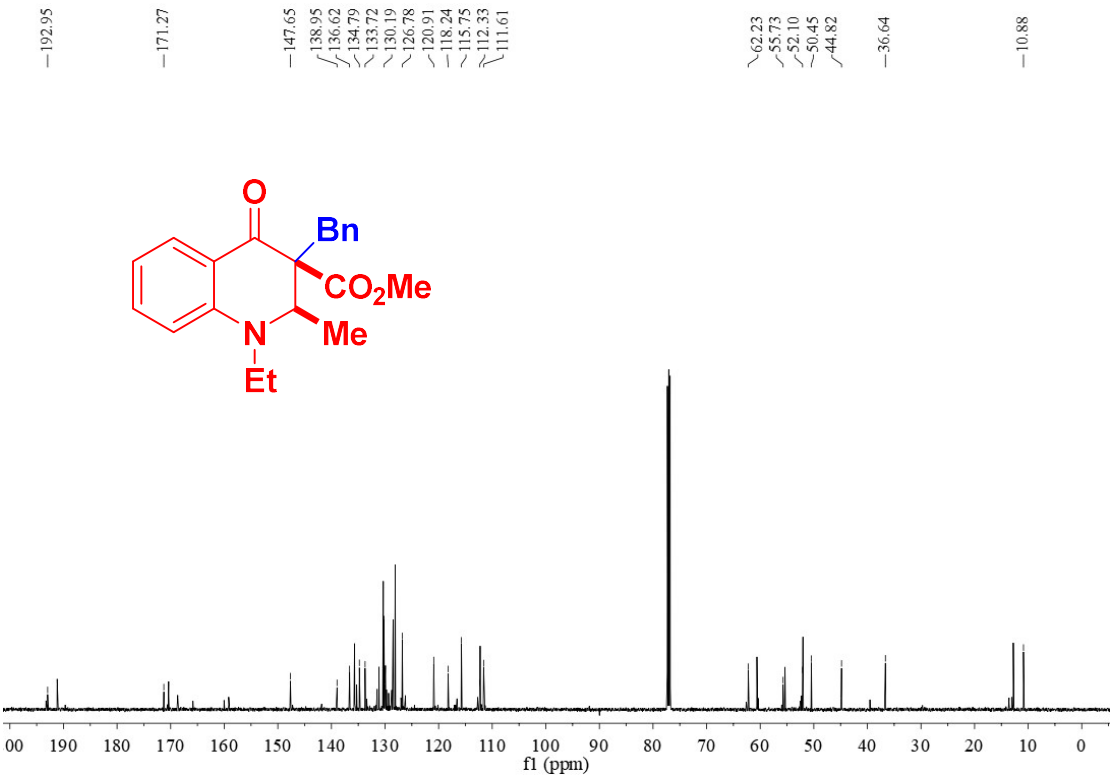




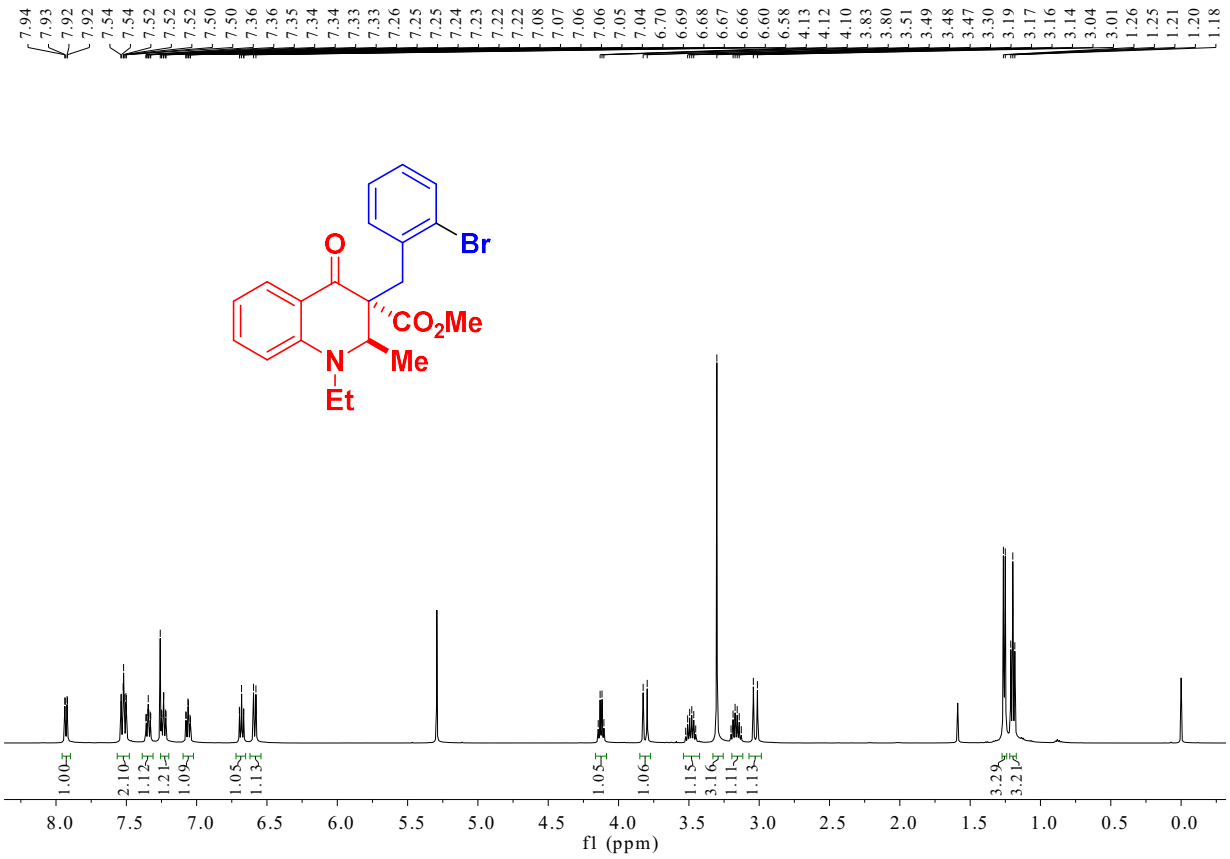


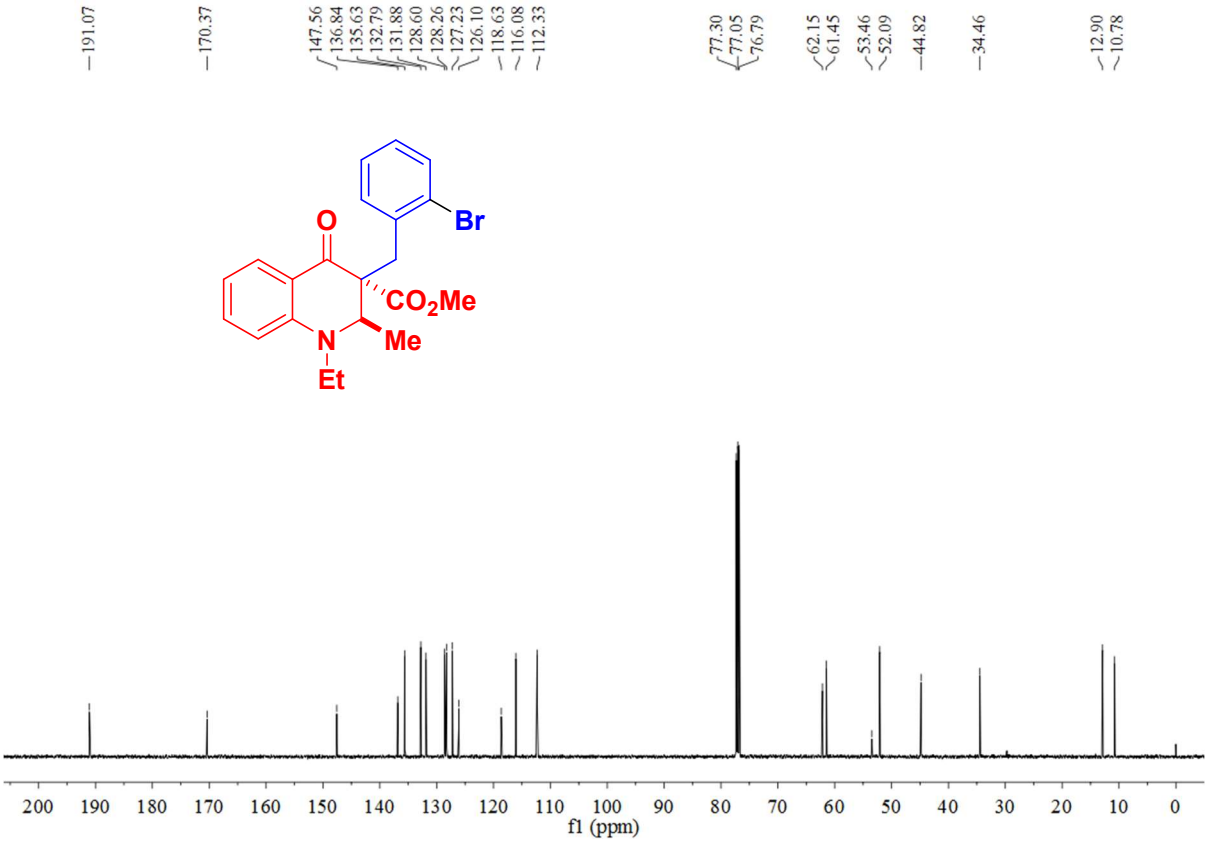
Methyl 3-benzyl-1-ethyl-2-Methyl-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (3o) (minor diastereomer)



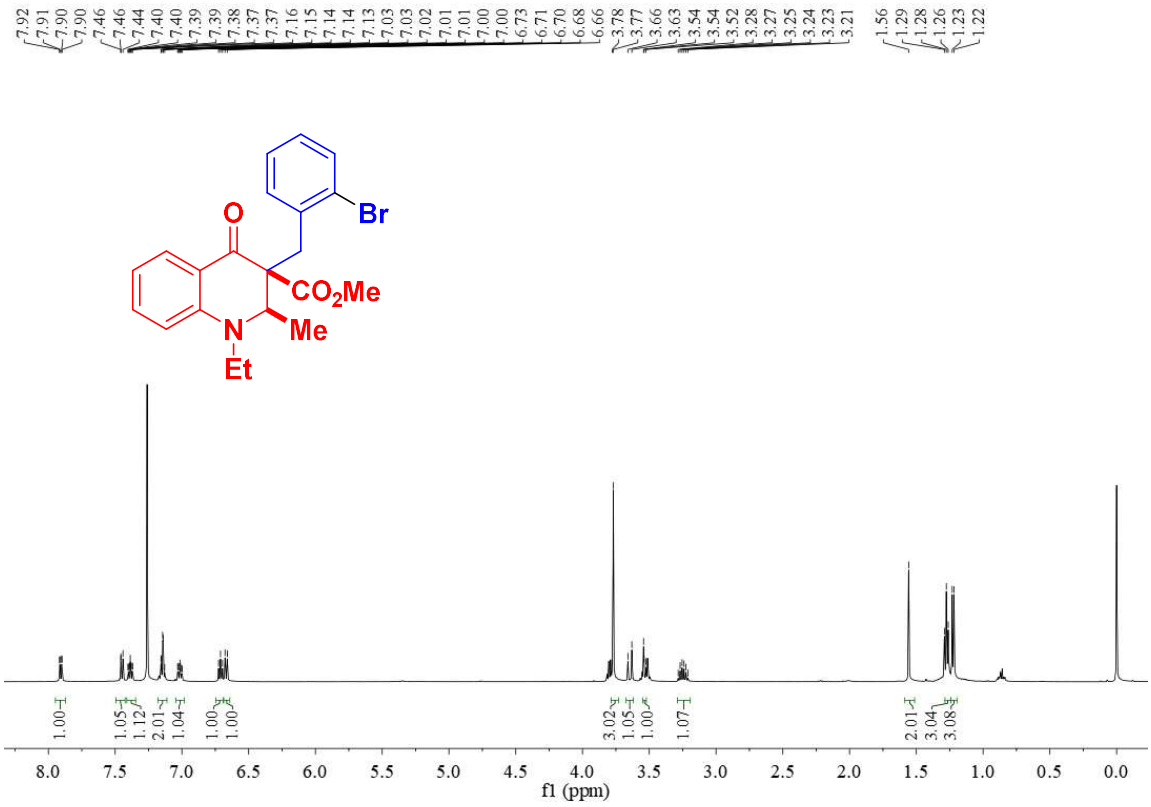


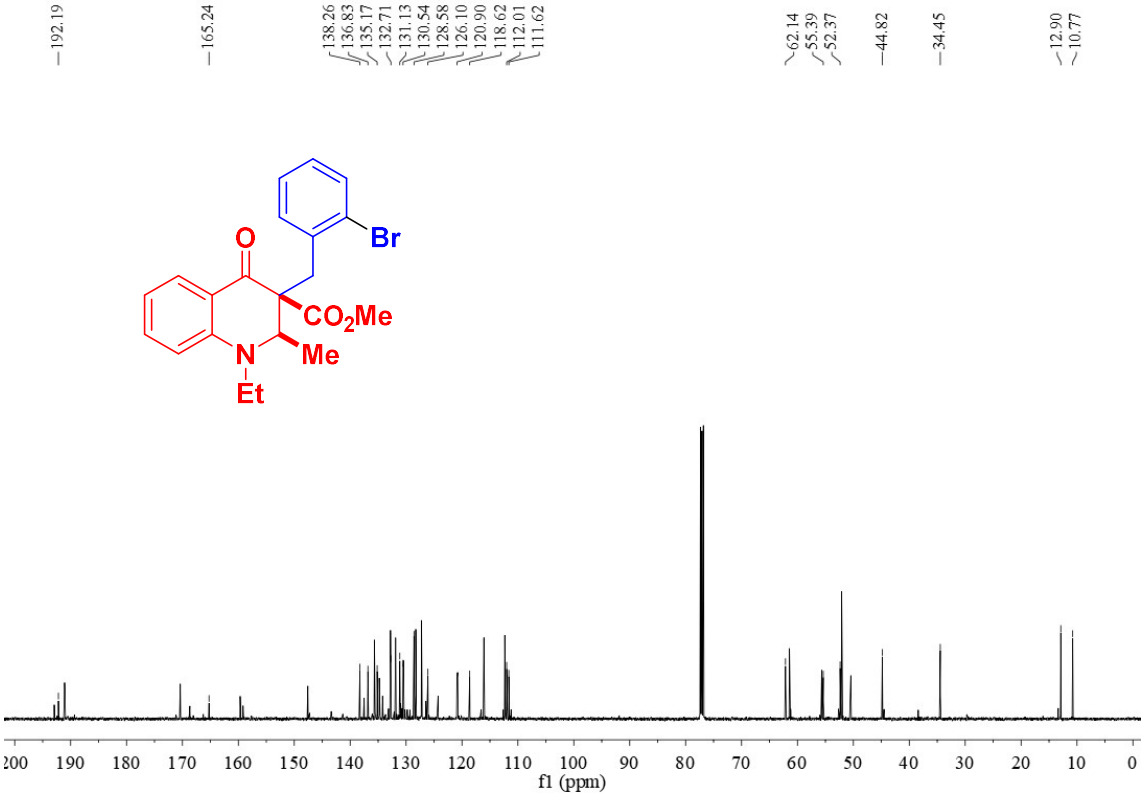
Methyl 3-(2-bromobenzyl)-1-ethyl-2-Methyl-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (3p) (major diastereomer)



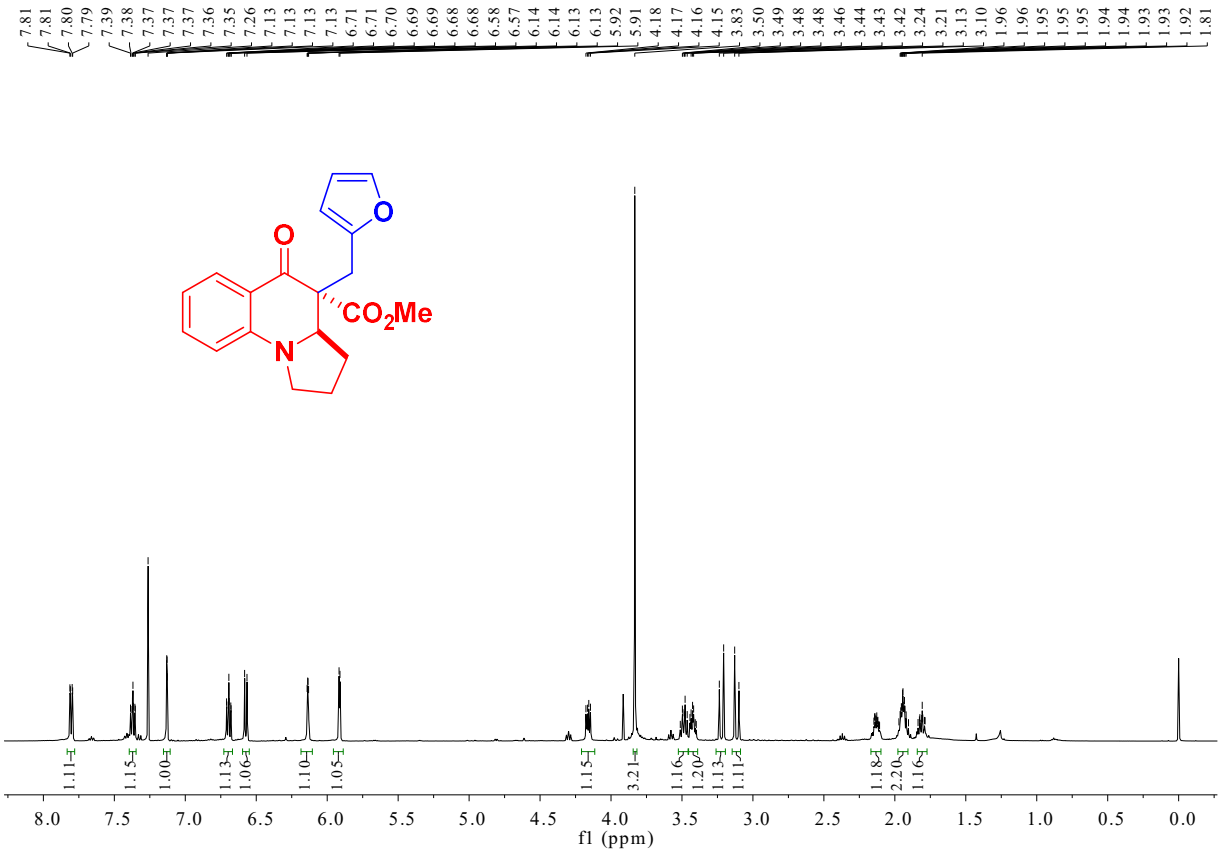


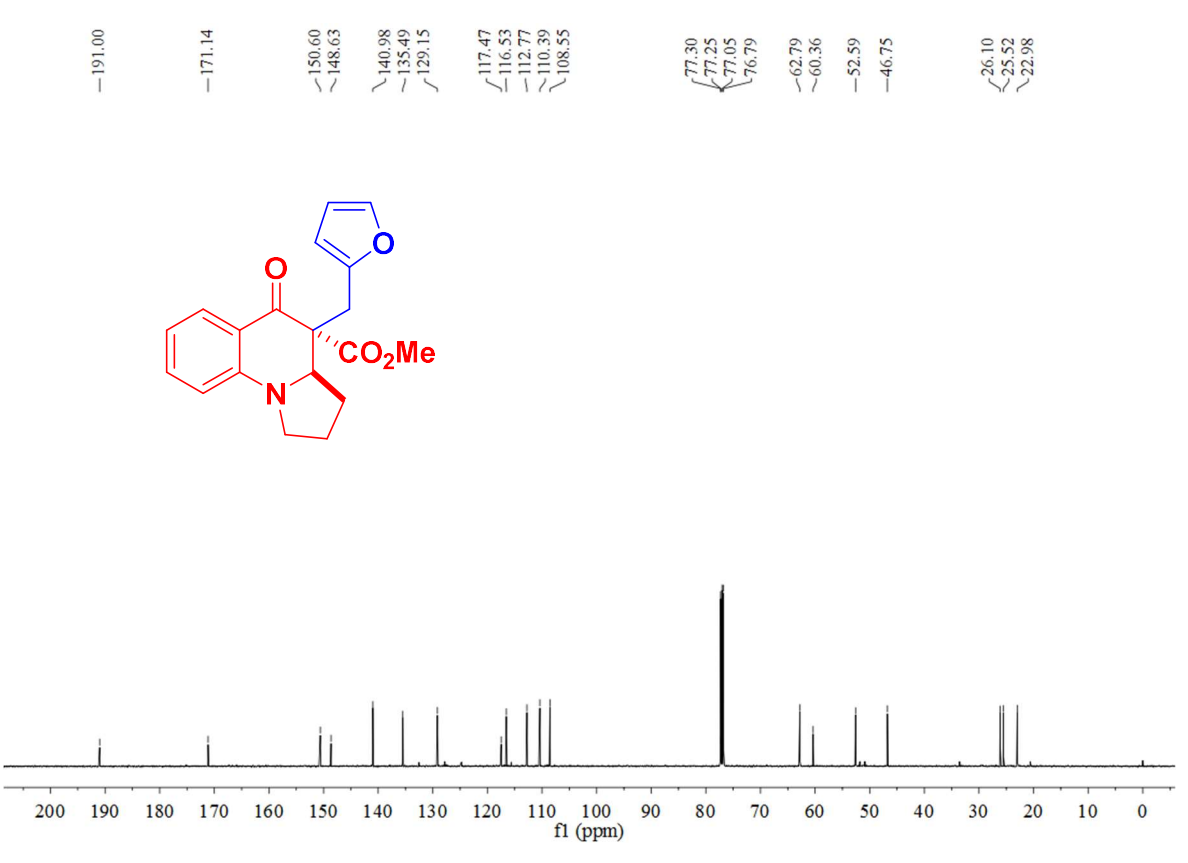
Methyl 3-(2-bromobenzyl)-1-ethyl-2-Methyl-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (3p) (minor diastereomer)



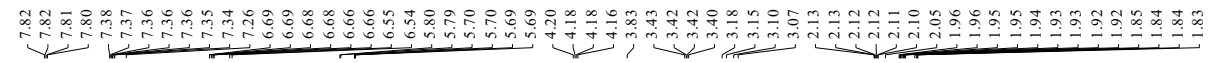


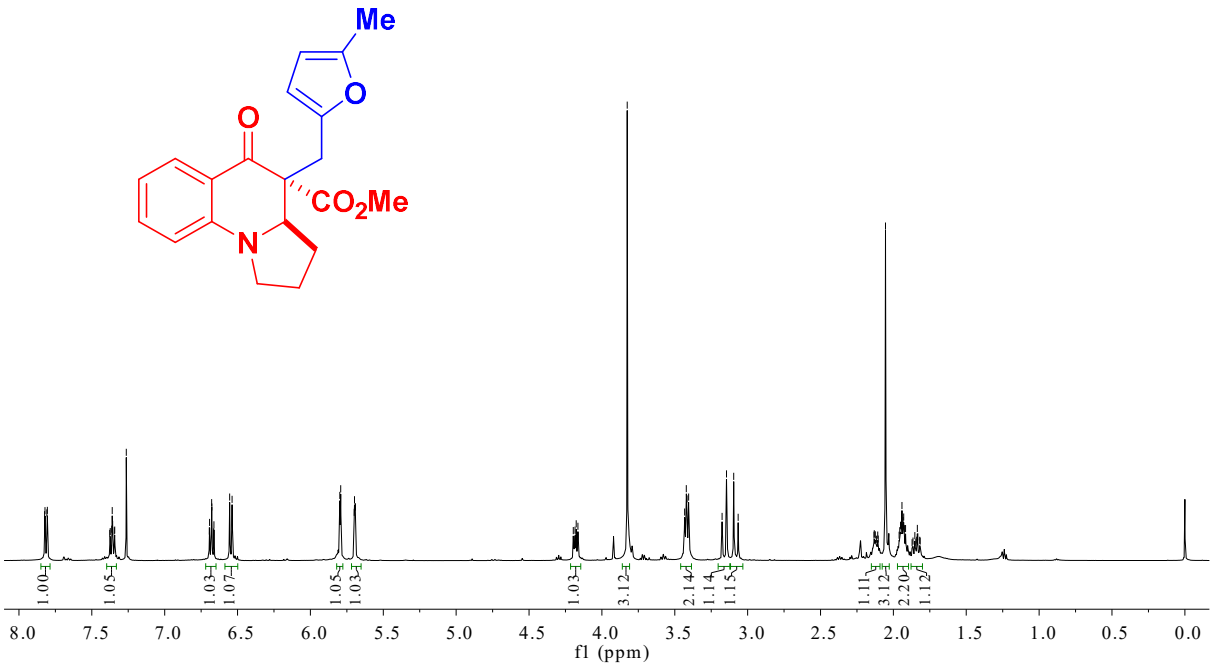
Methyl 4-(furan-2-ylMethyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5a)

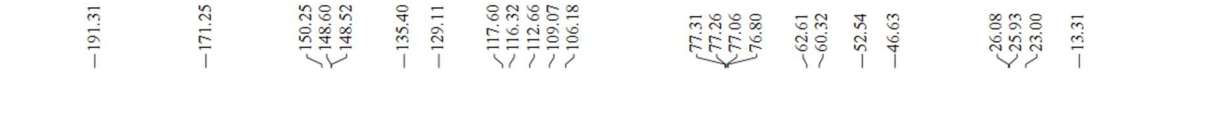


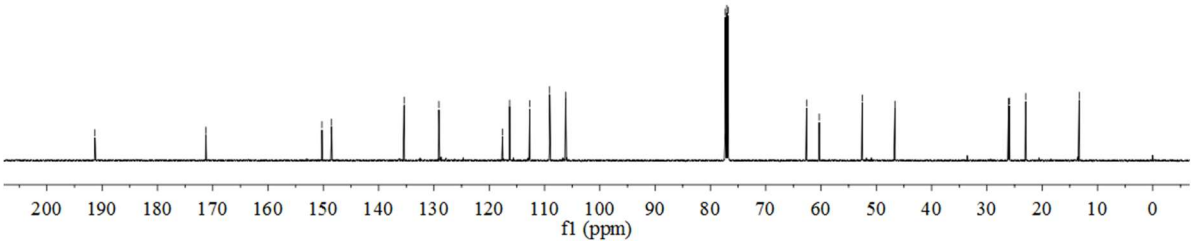


Methyl 4-((5-Methylfuran-2-yl)Methyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5b)

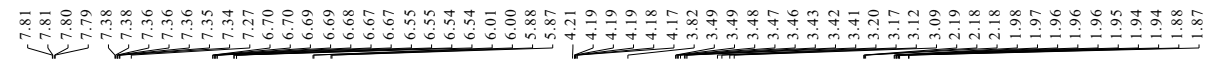


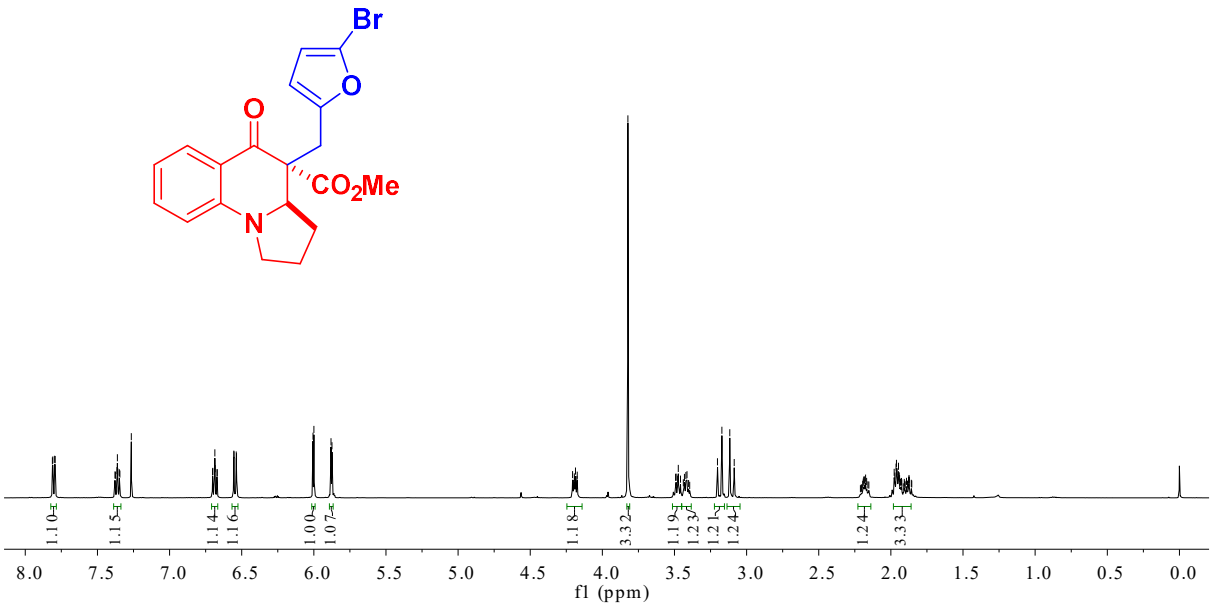


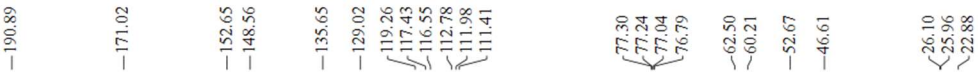


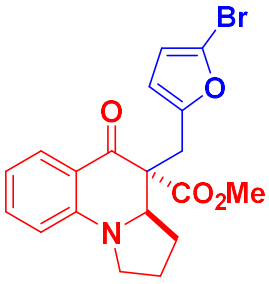


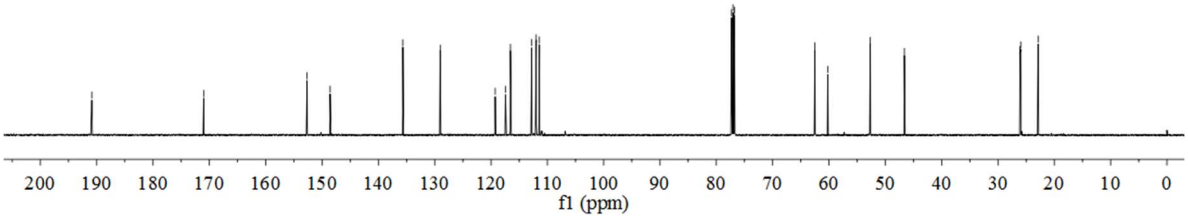
Methyl 4-((5-bromofuran-2-yl)Methyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5c)



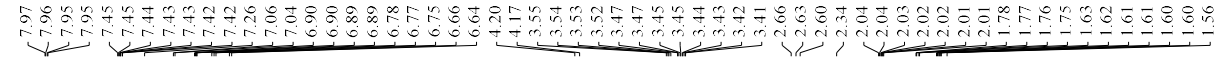


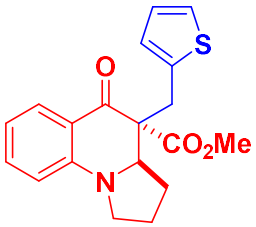


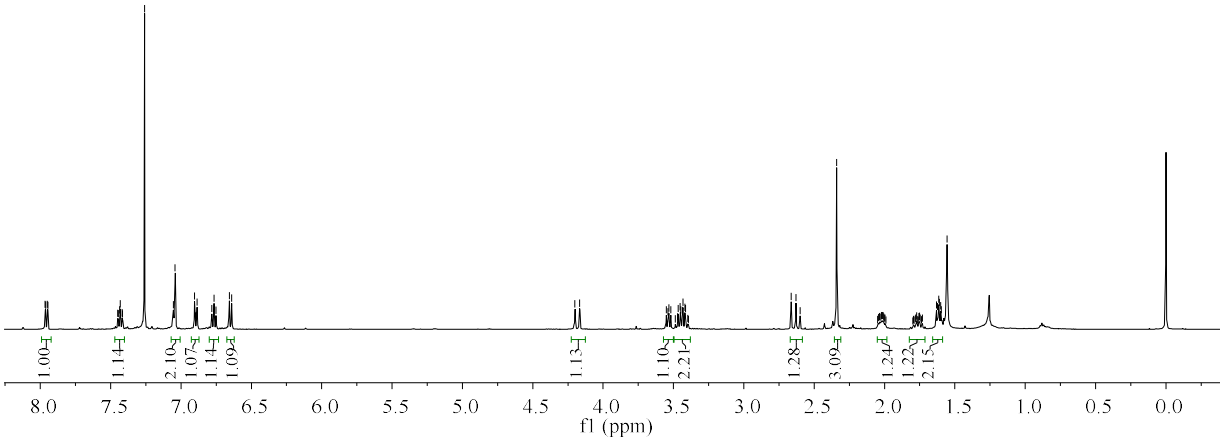


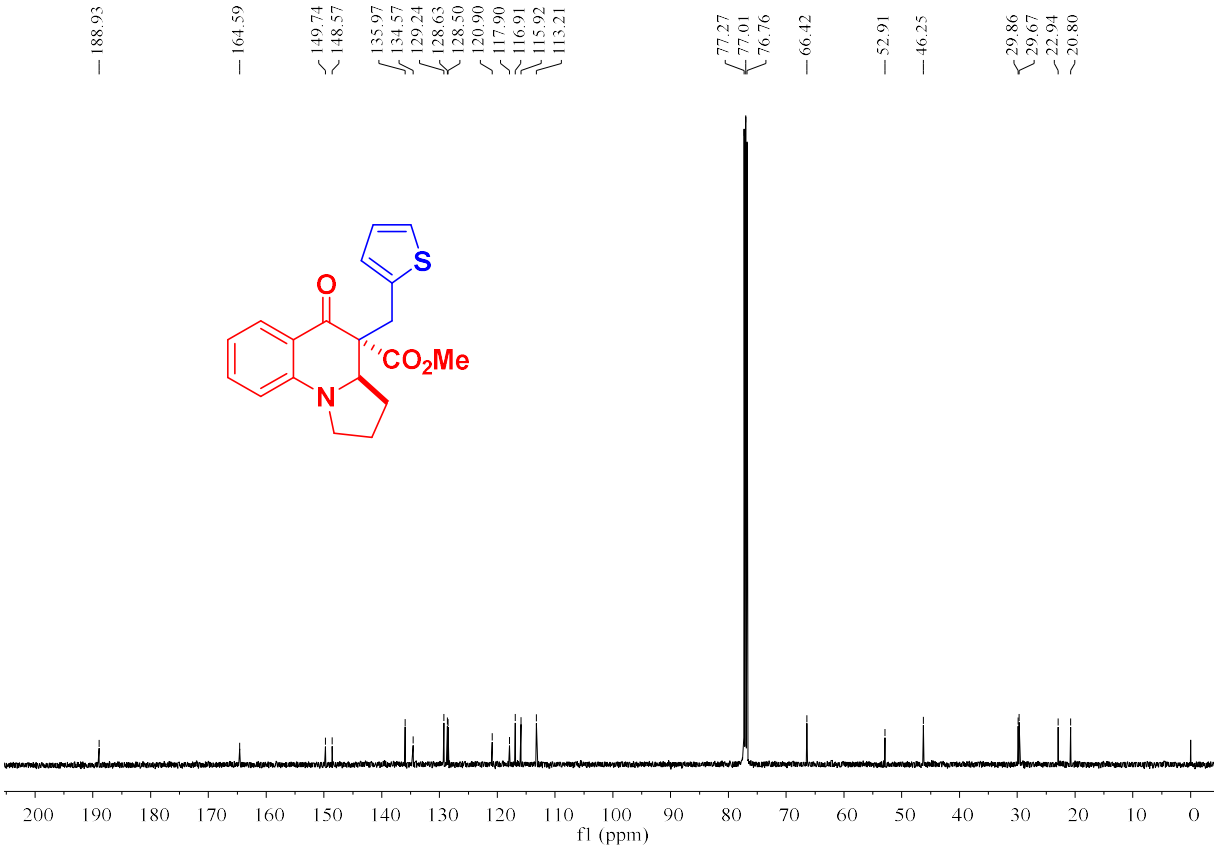


Methyl 5-oxo-4-(thiophen-2-ylMethyl)-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5d)

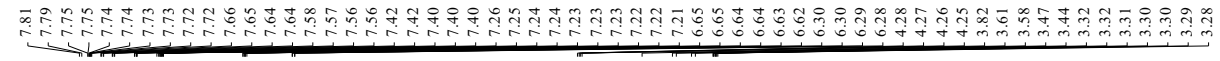


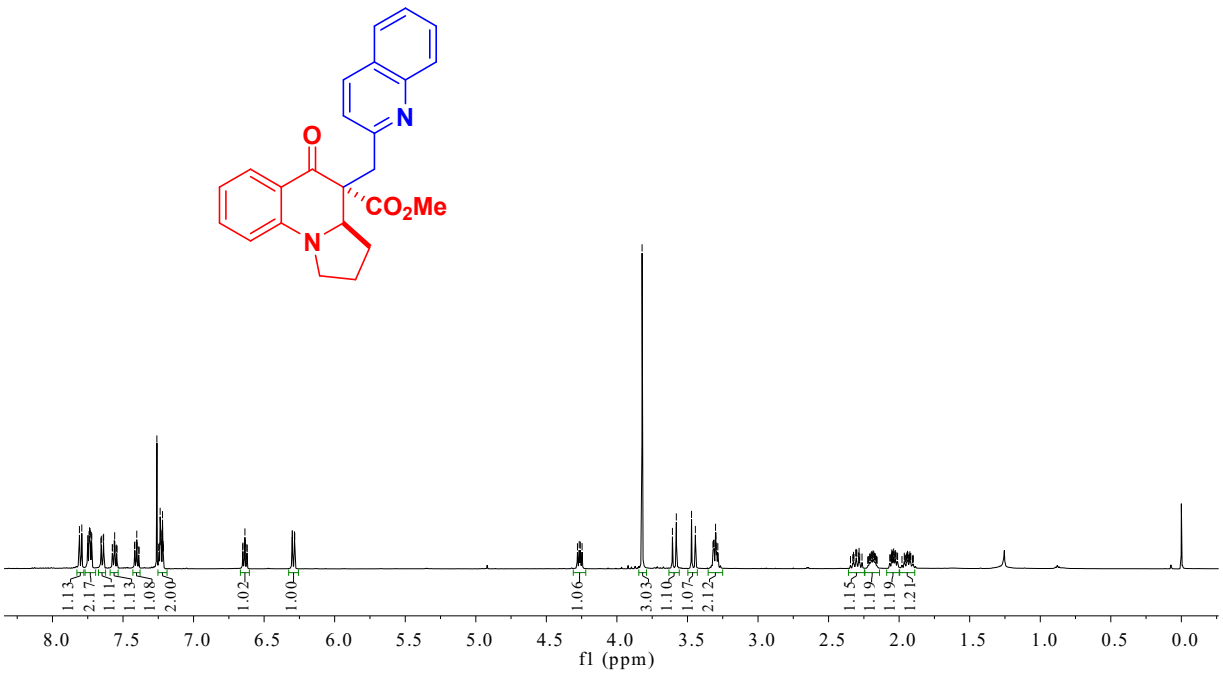


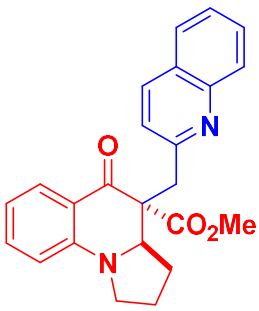


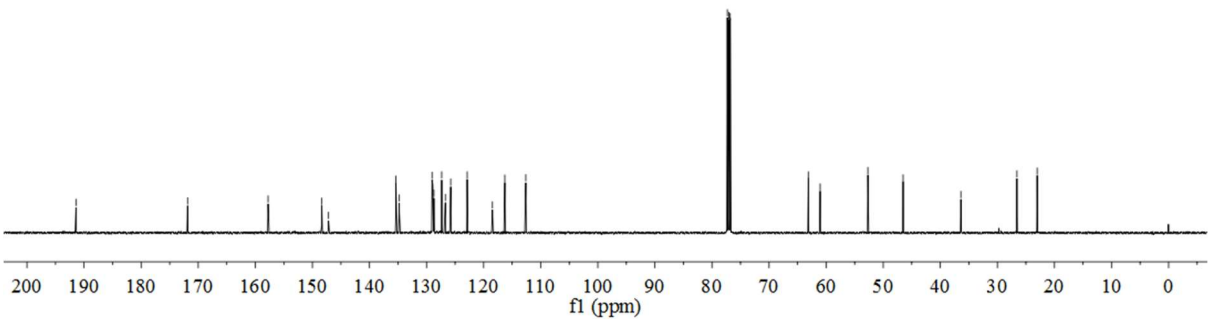


Methyl 5-oxo-4-(quinolin-2-ylMethyl)-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5e)

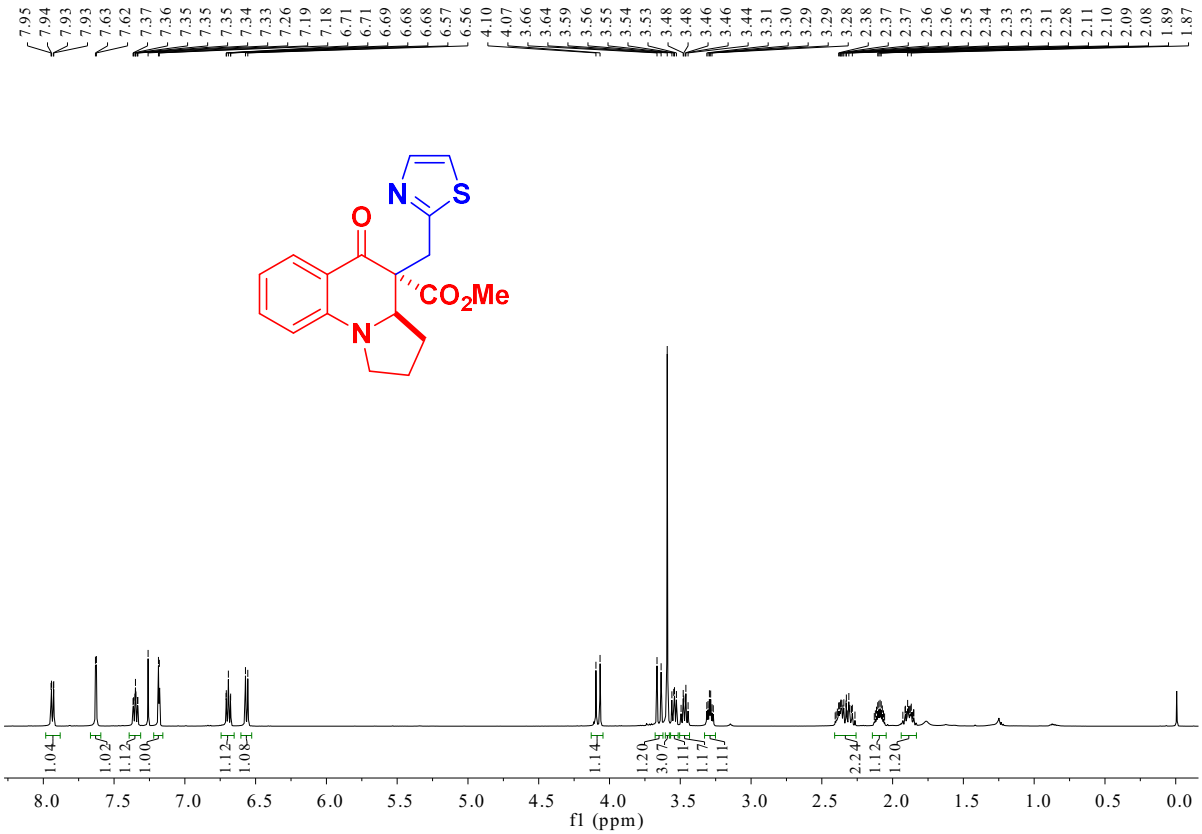


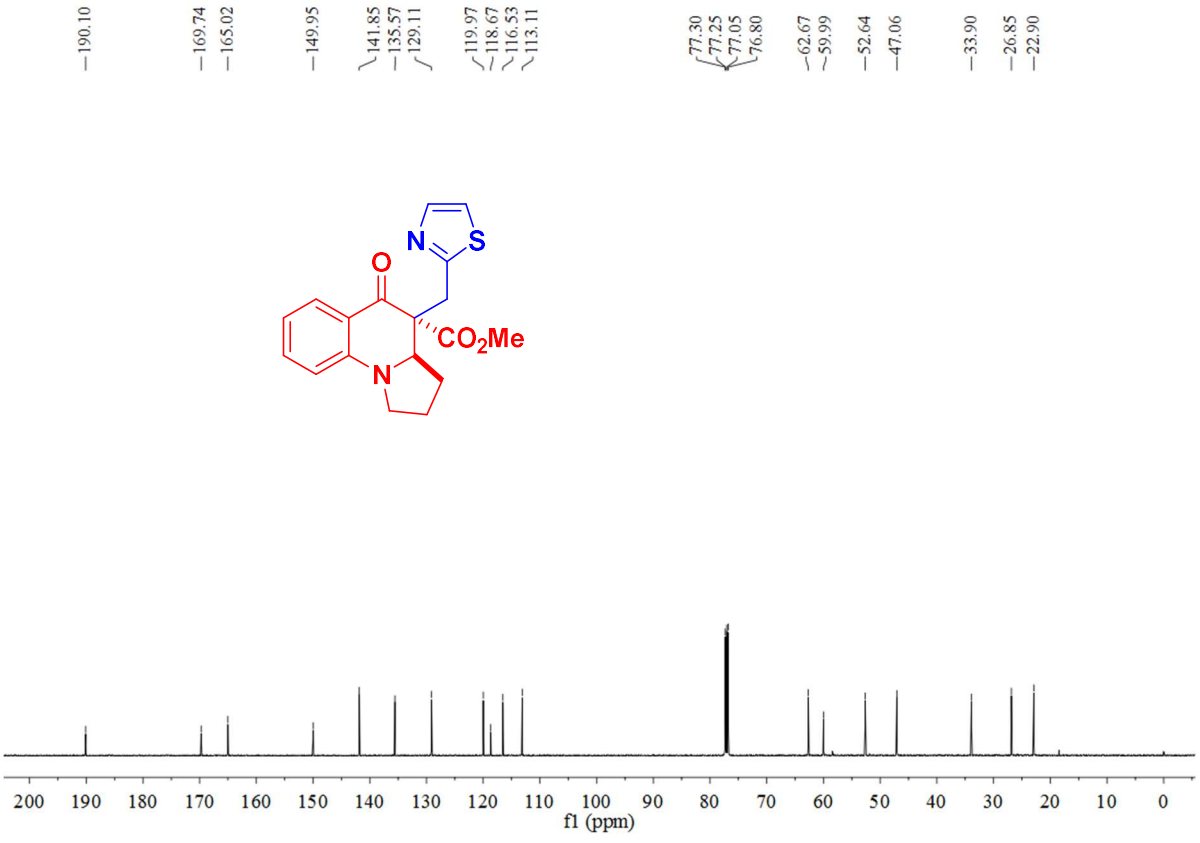




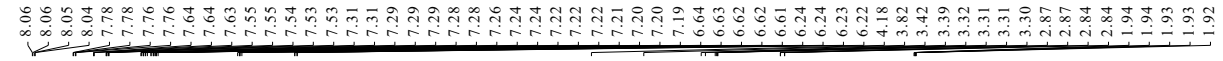


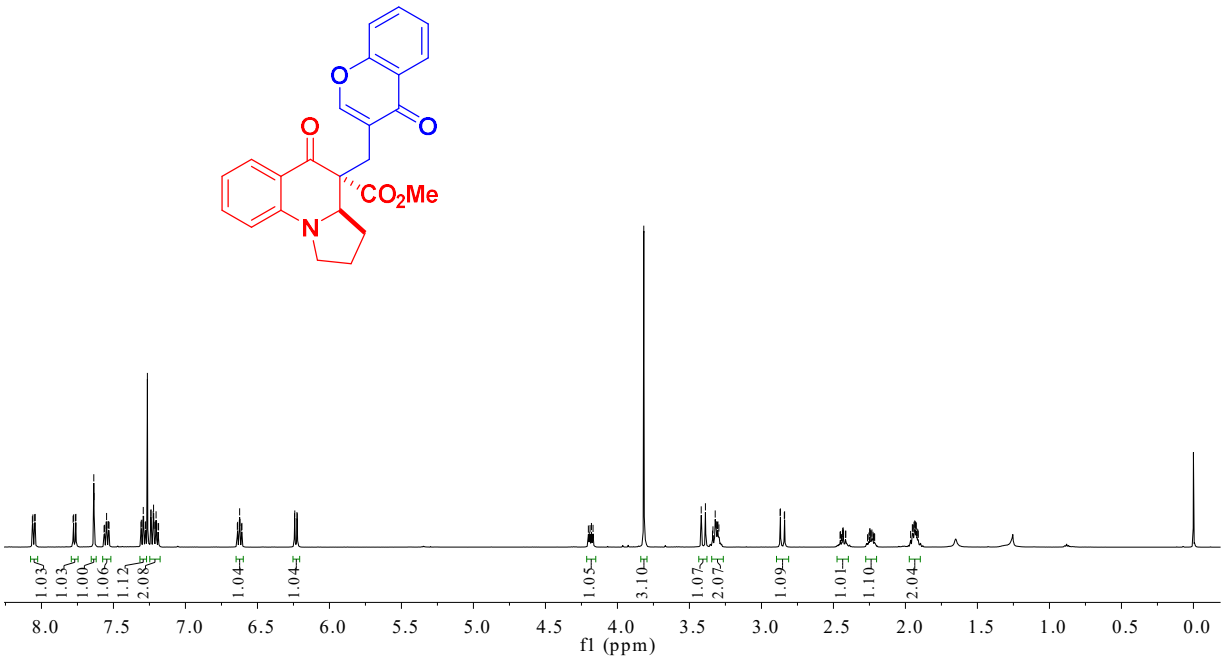
Methyl 5-oxo-4-(thiazol-2-ylMethyl)-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5f)

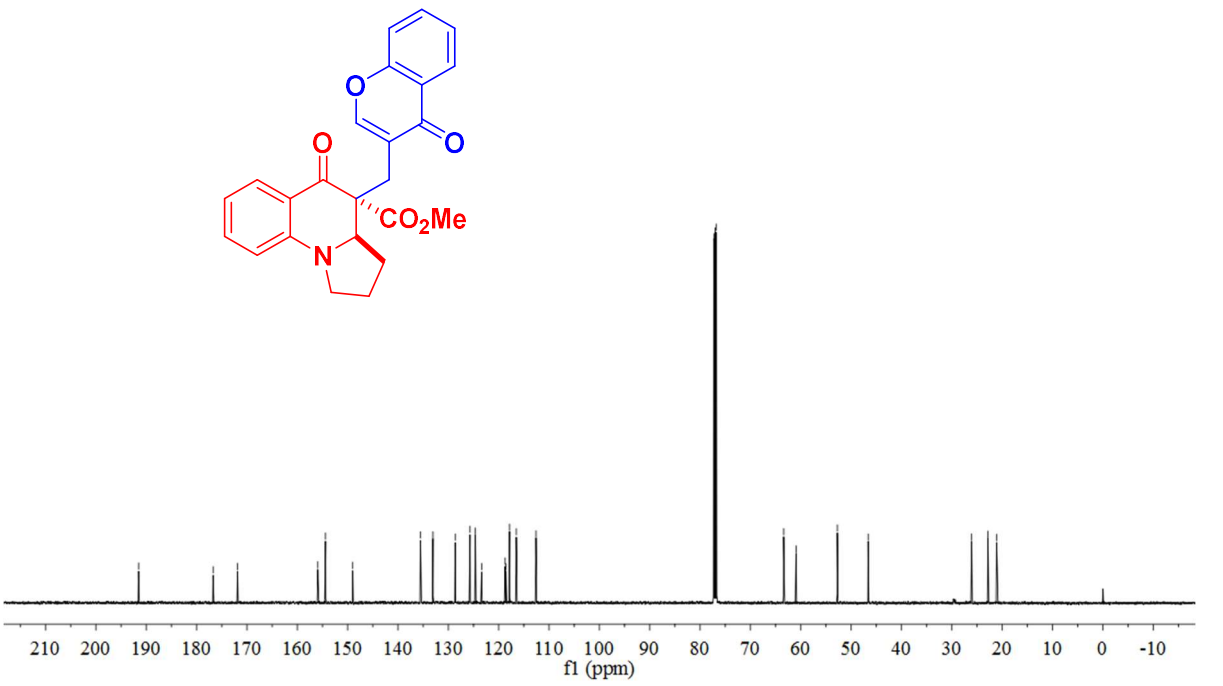




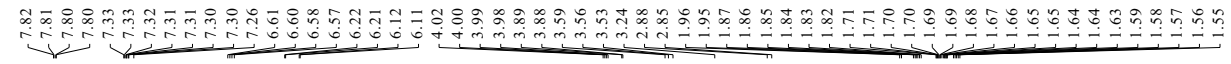
Methyl 5-oxo-4-((4-oxo-4H-chromen-3-yl)Methyl)-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5g)

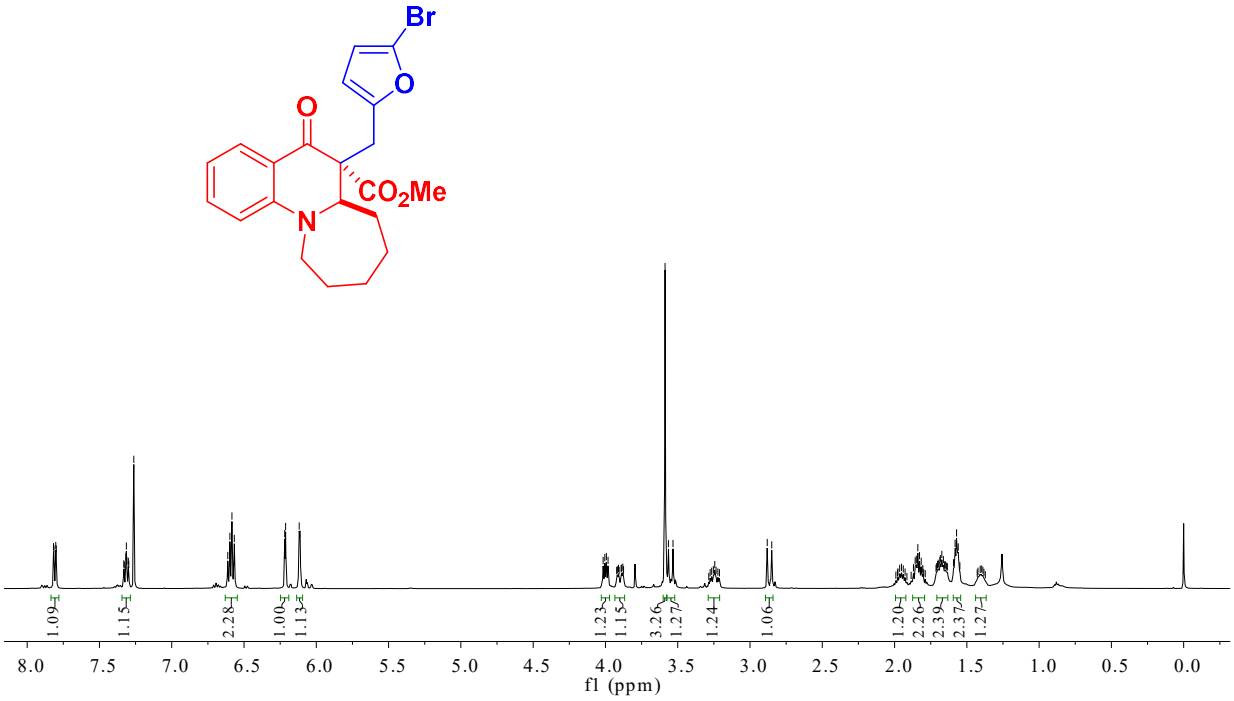


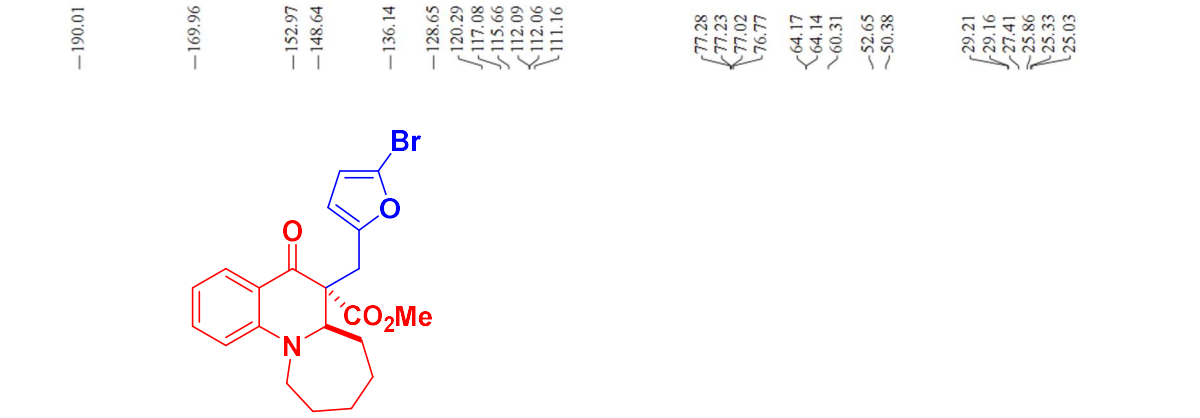


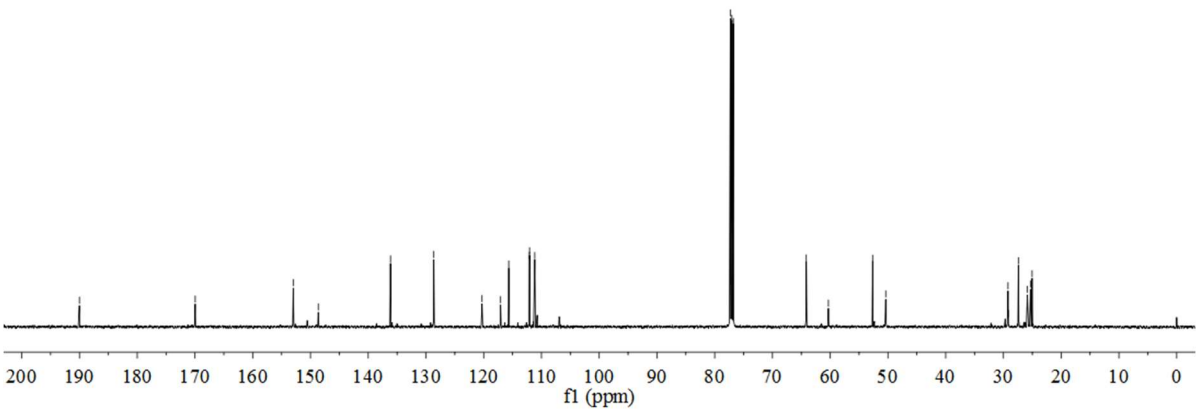


Methyl 6-((5-bromofuran-2-yl)methyl)-5-oxo-5,6,6a,7,8,9,10,11-octahydroazepino[1,2-a]quinoline-6-carboxylate (5h)

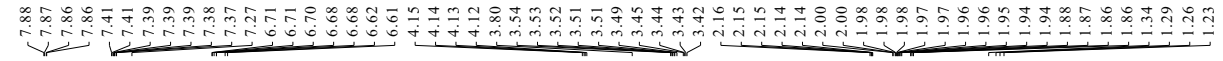


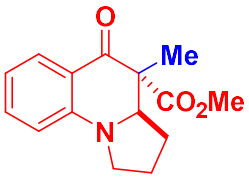


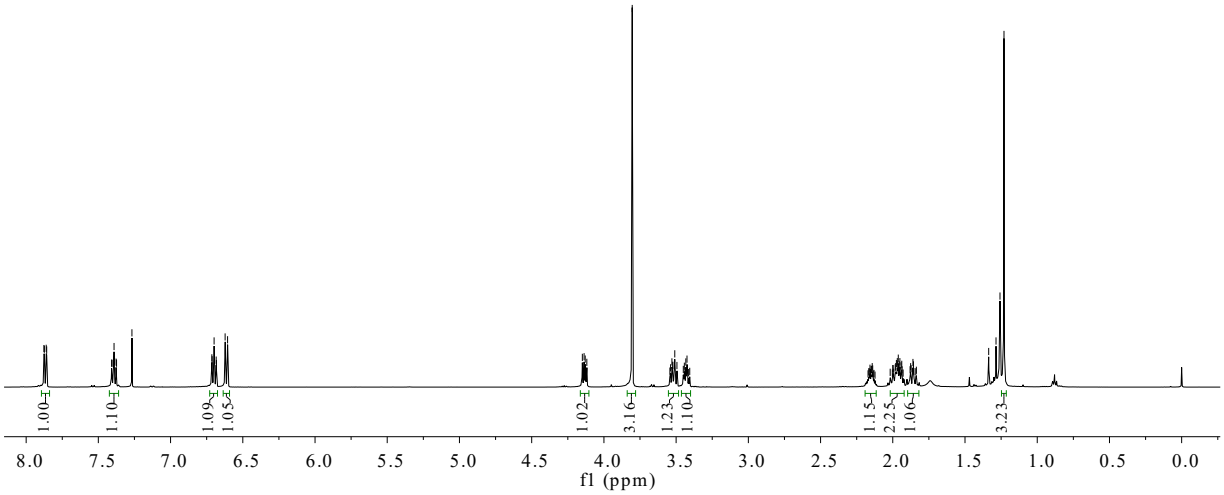


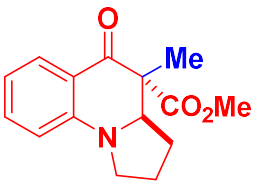


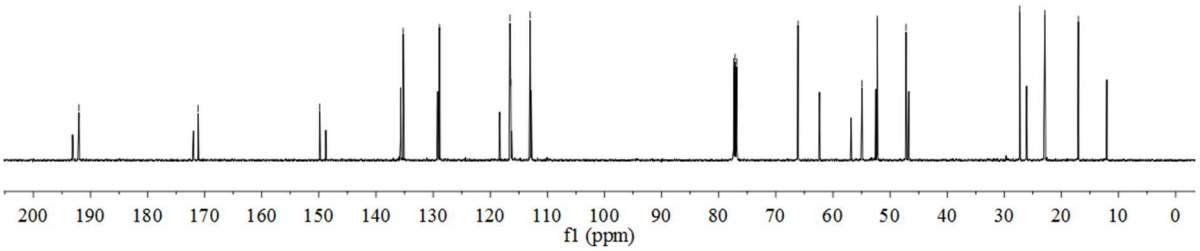
Methyl 4-methyl-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5i)



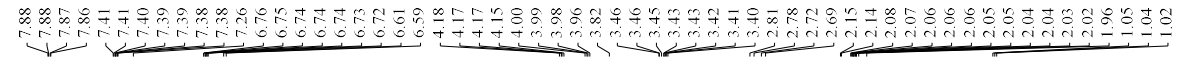


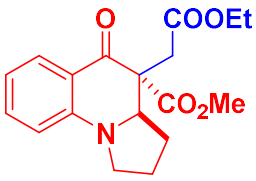


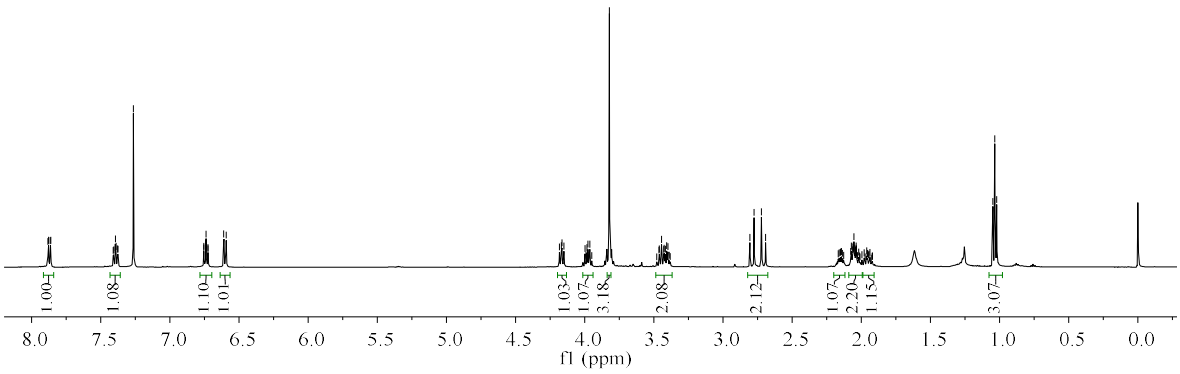


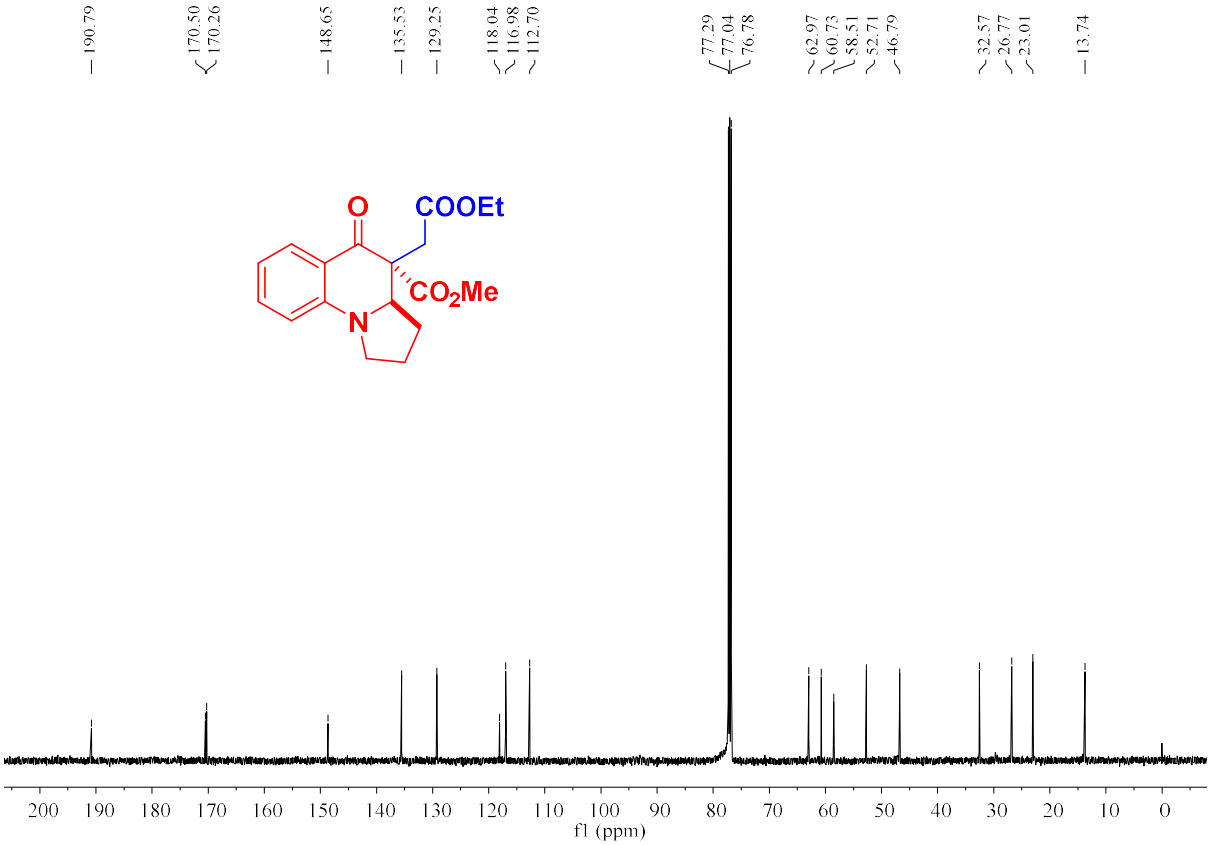


Methyl 4-(2-ethoxy-2-oxoethyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5j)

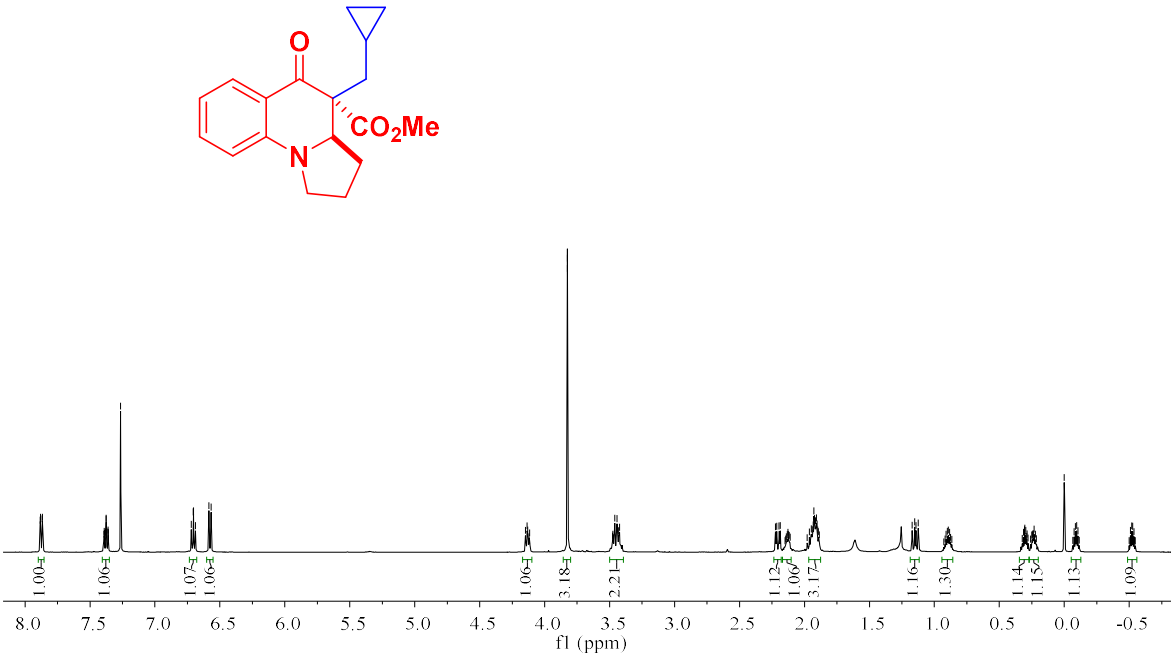


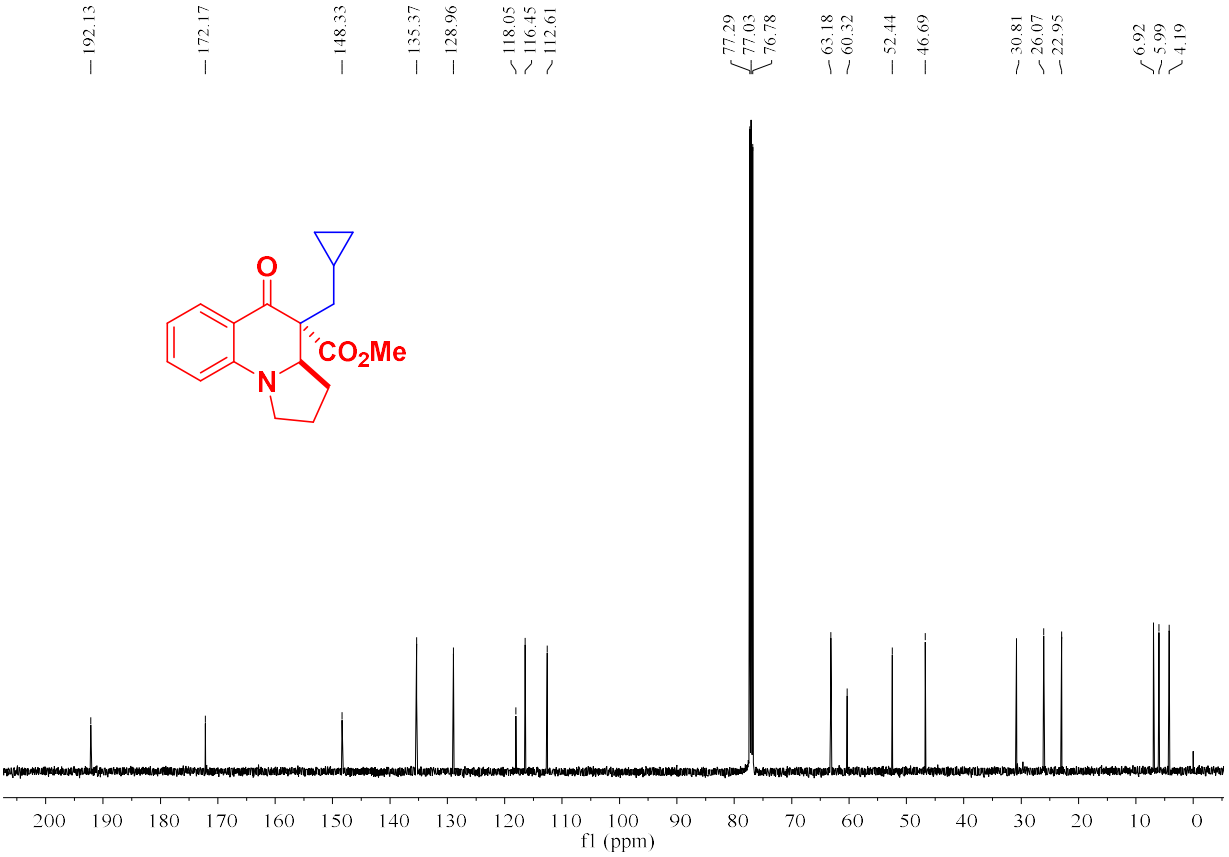




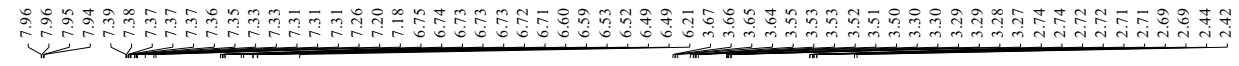


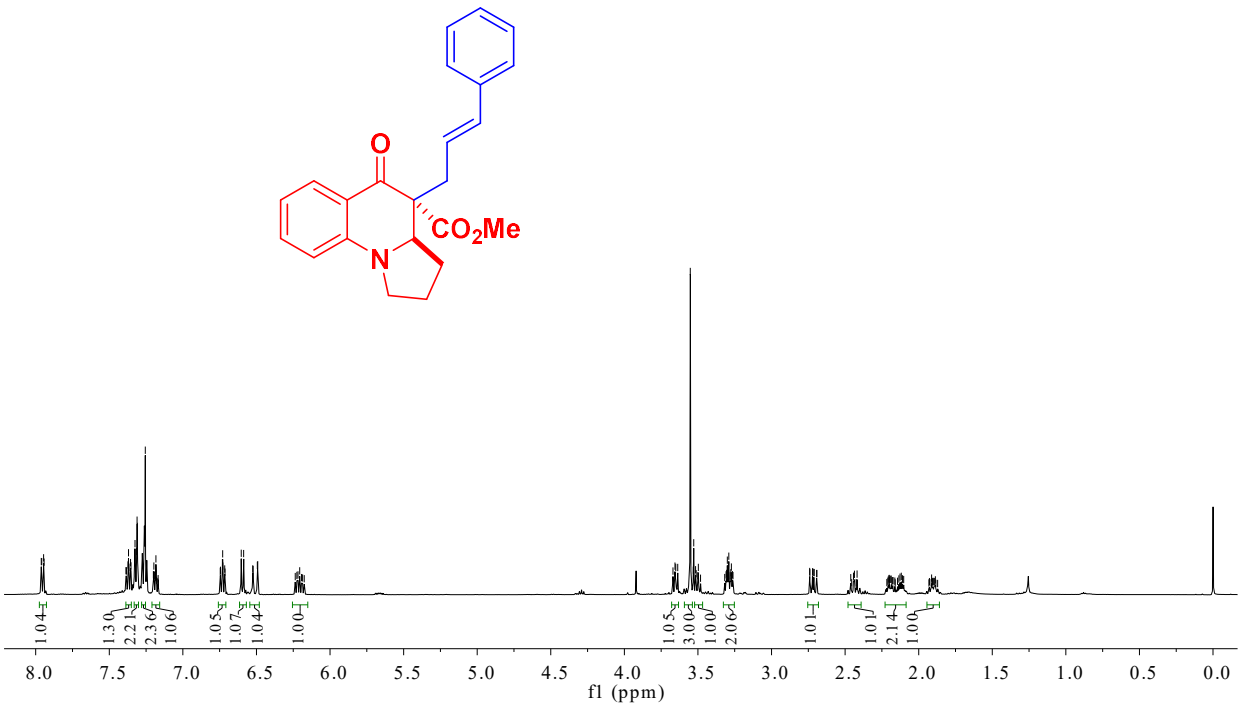
Methyl 4-(cyclopropylmethyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (5k)

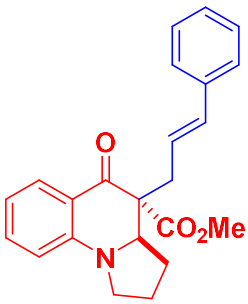


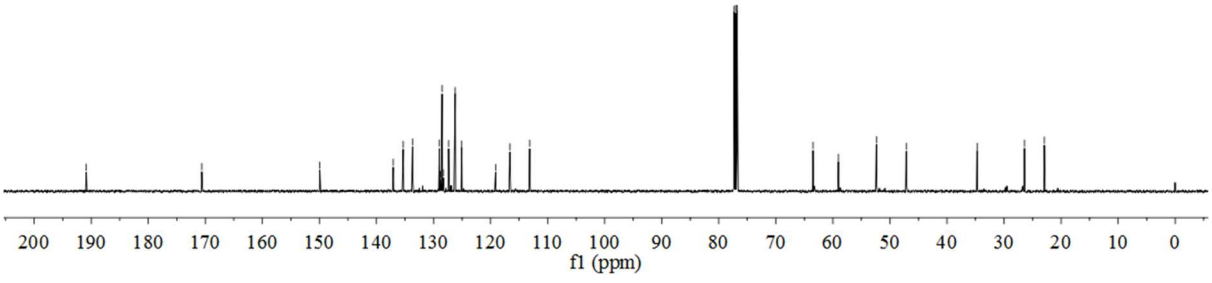


Methyl 4-cinnamyl-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (7a)

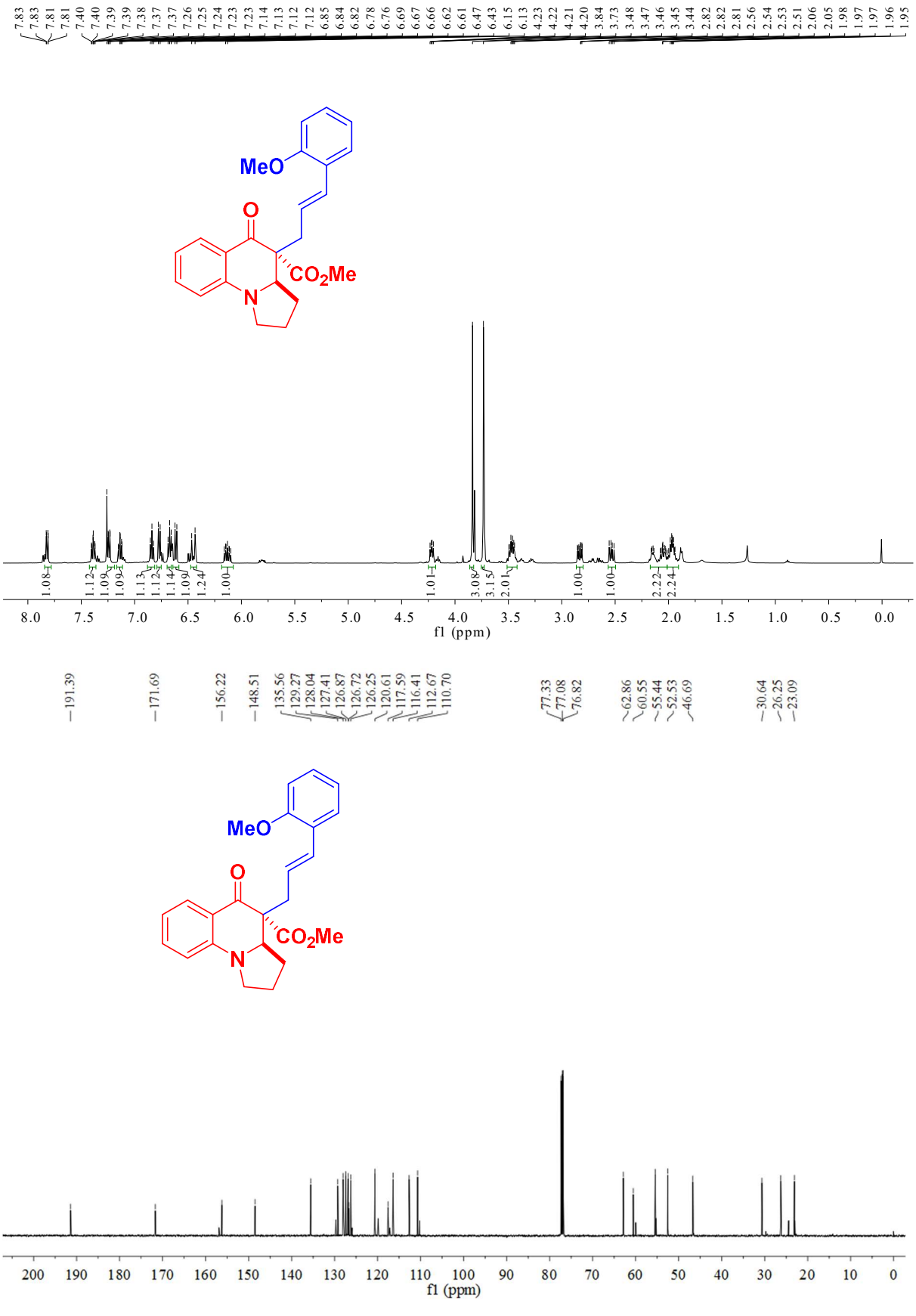




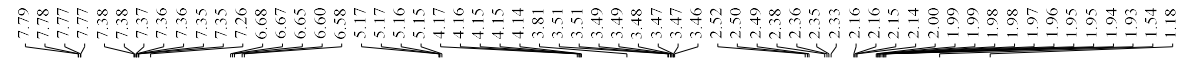


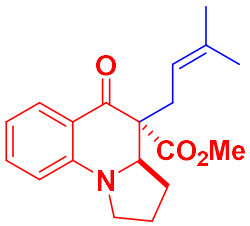


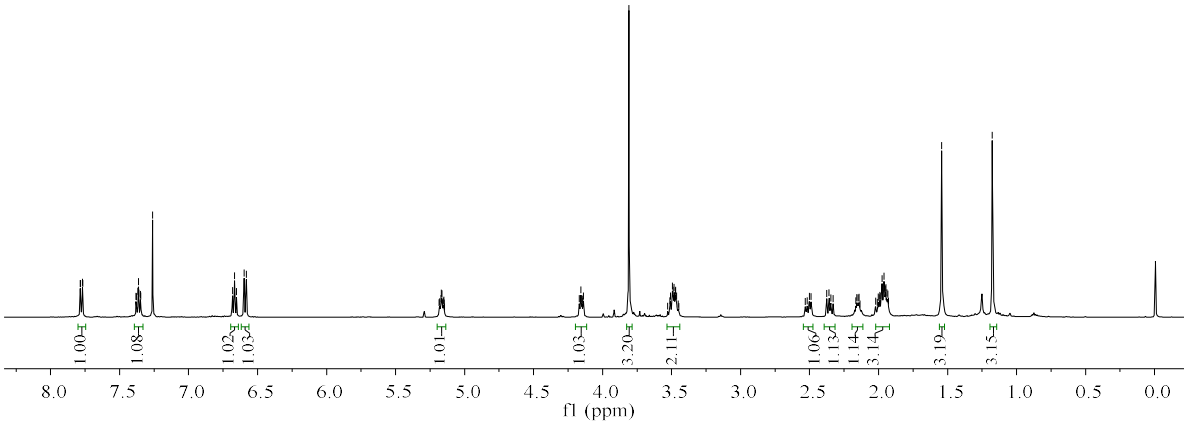
Methyl 4-(3-(2-methoxyphenyl)allyl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (7b)

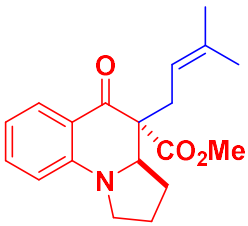


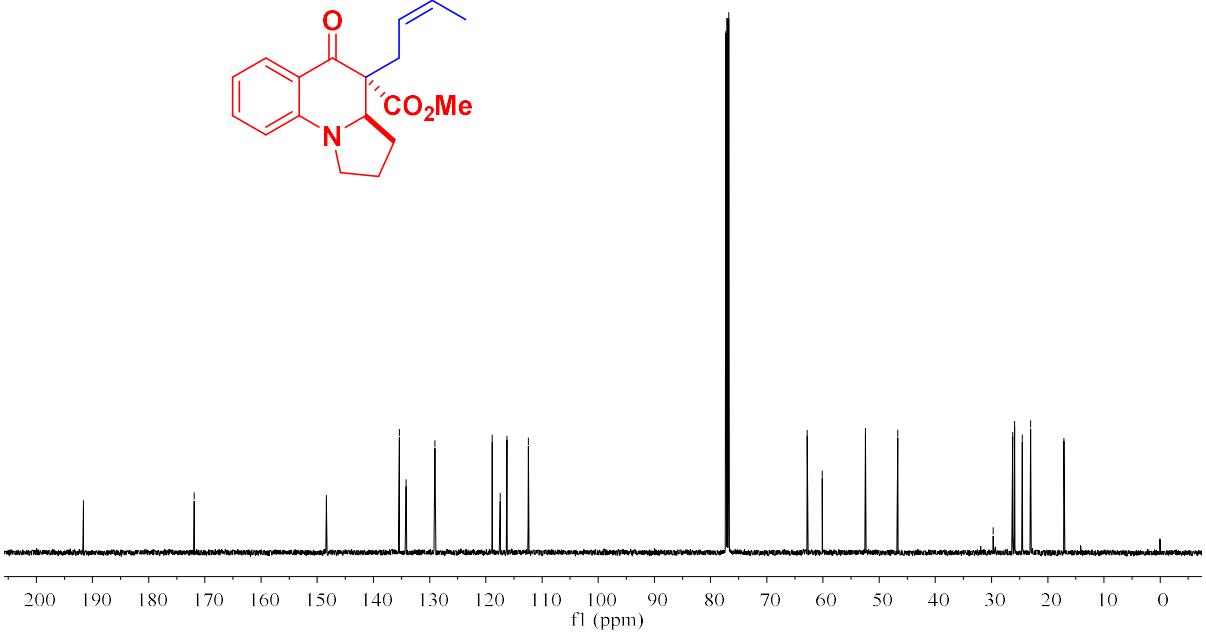
Methyl 4-(3-methylbut-2-en-1-yl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (7c)



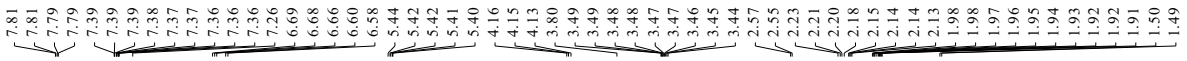


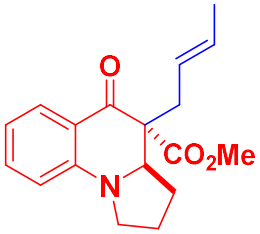


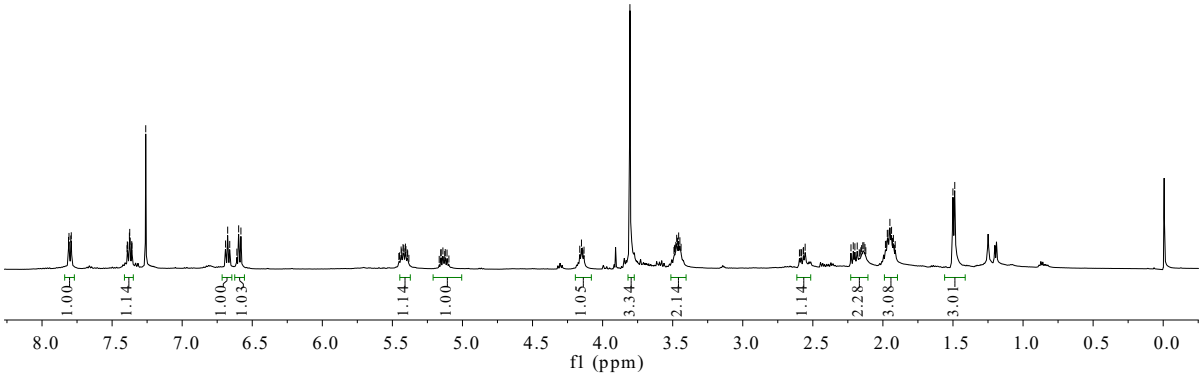


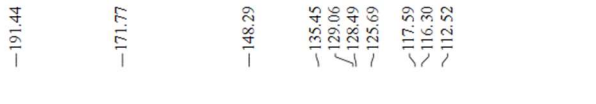


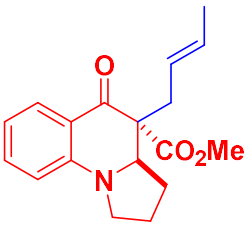
Methyl 4-(but-2-en-1-yl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (7d)

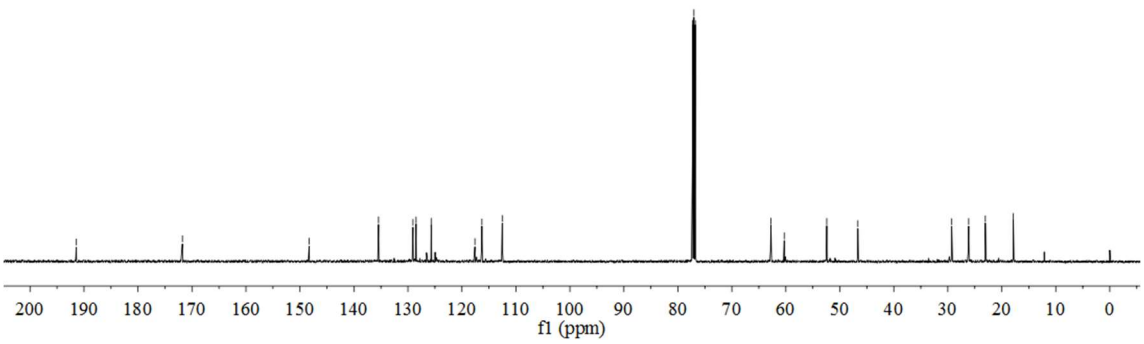




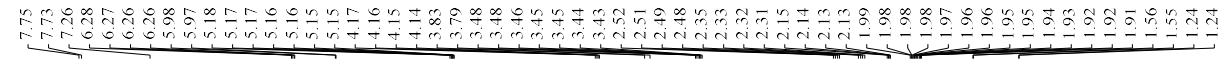


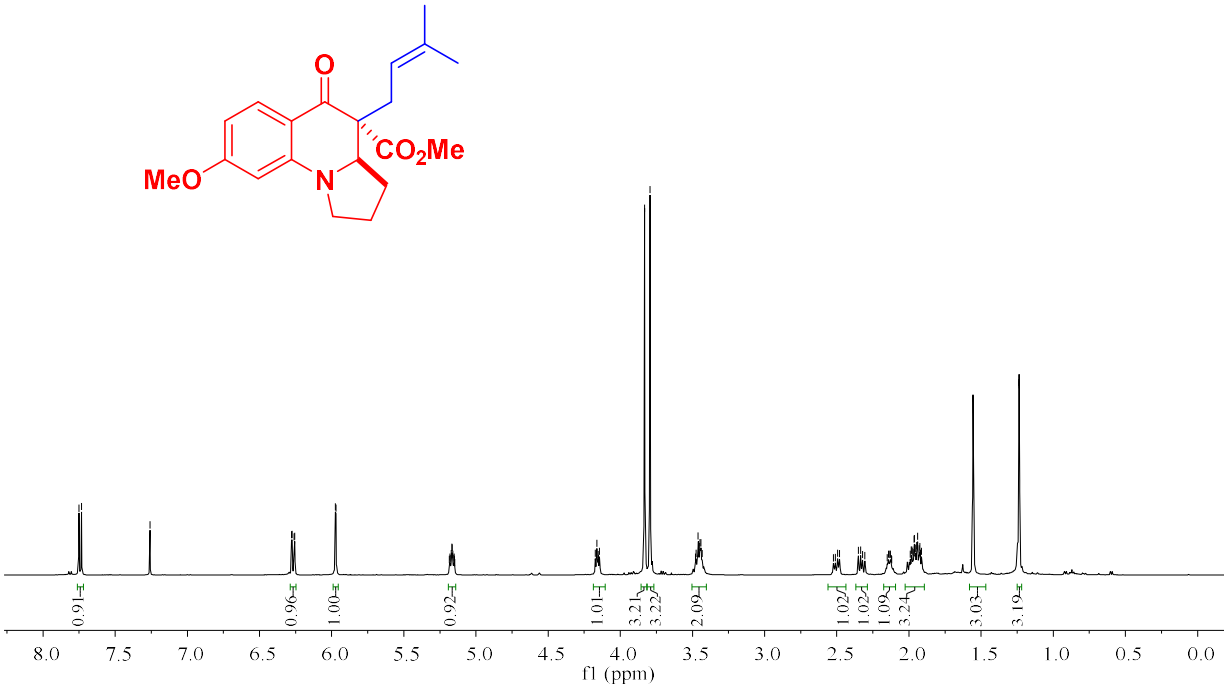


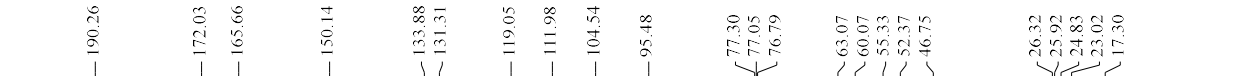


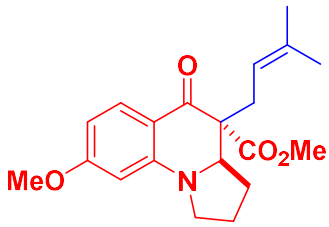


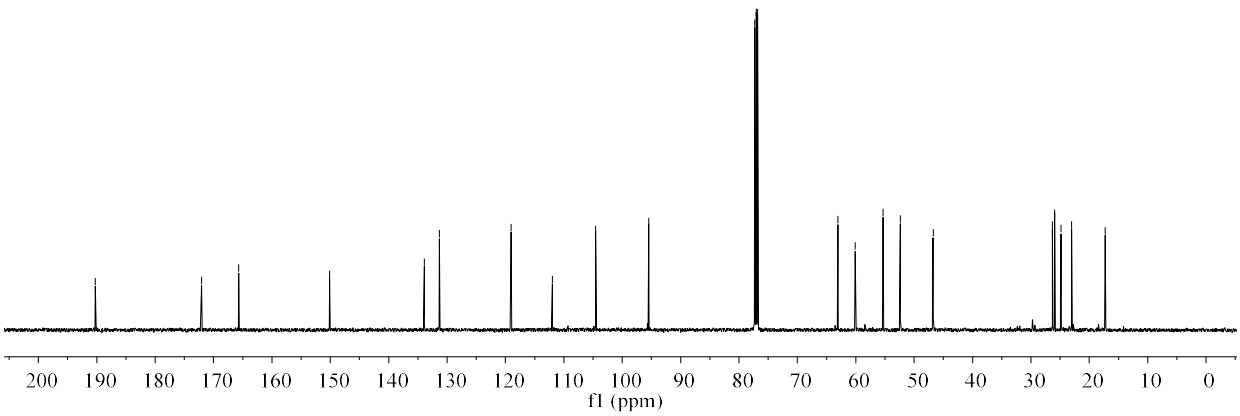
Methyl 8-methoxy-4-(3-methylbut-2-en-1-yl)-5-oxo-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (7e)



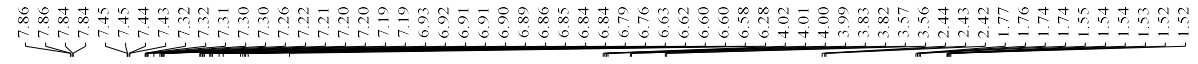


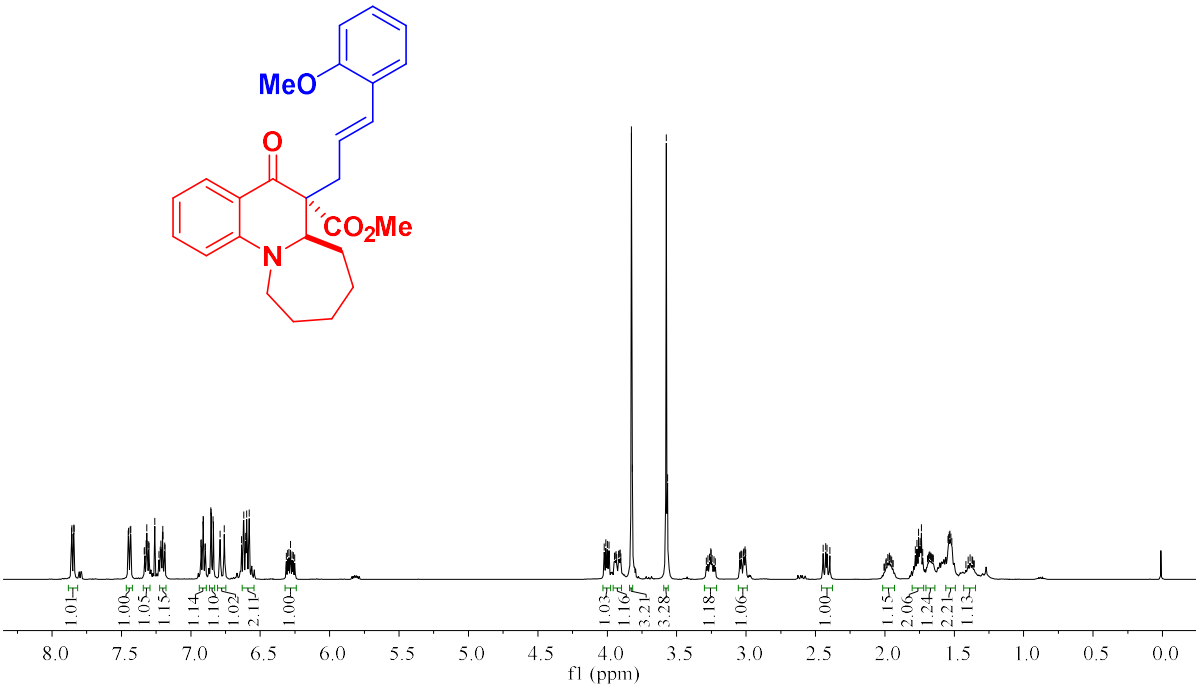


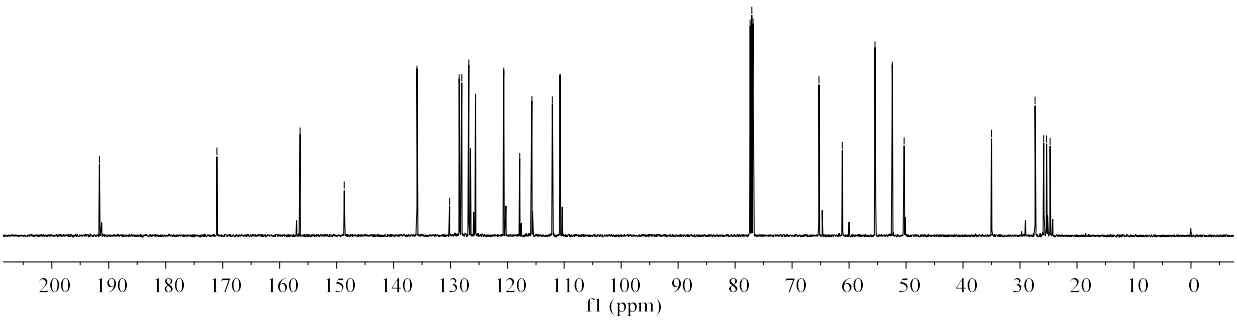




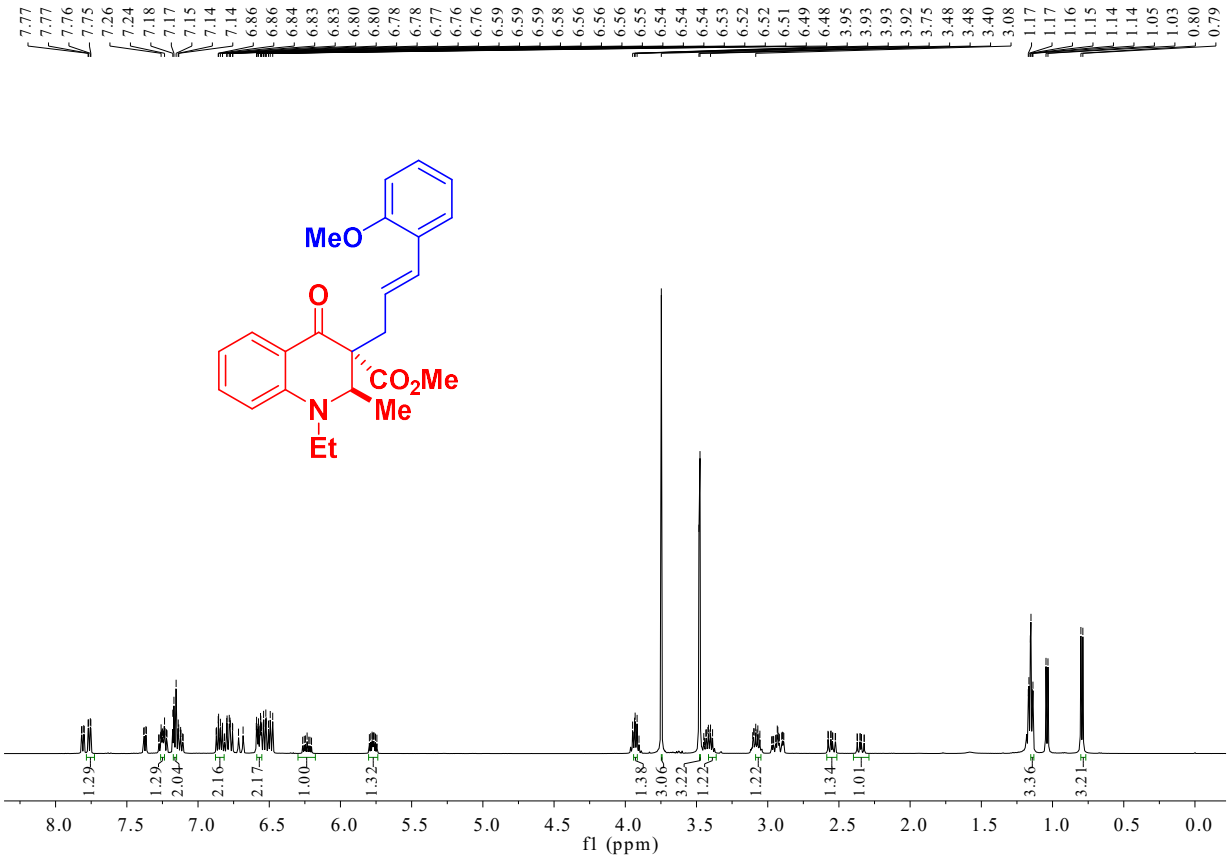
Methyl 6-(3-(2-methoxyphenyl)allyl)-5-oxo-5,6,6a,7,8,9,10,11-octahydroazepino[1,2-a]quinoline-6-carboxylate (7f)

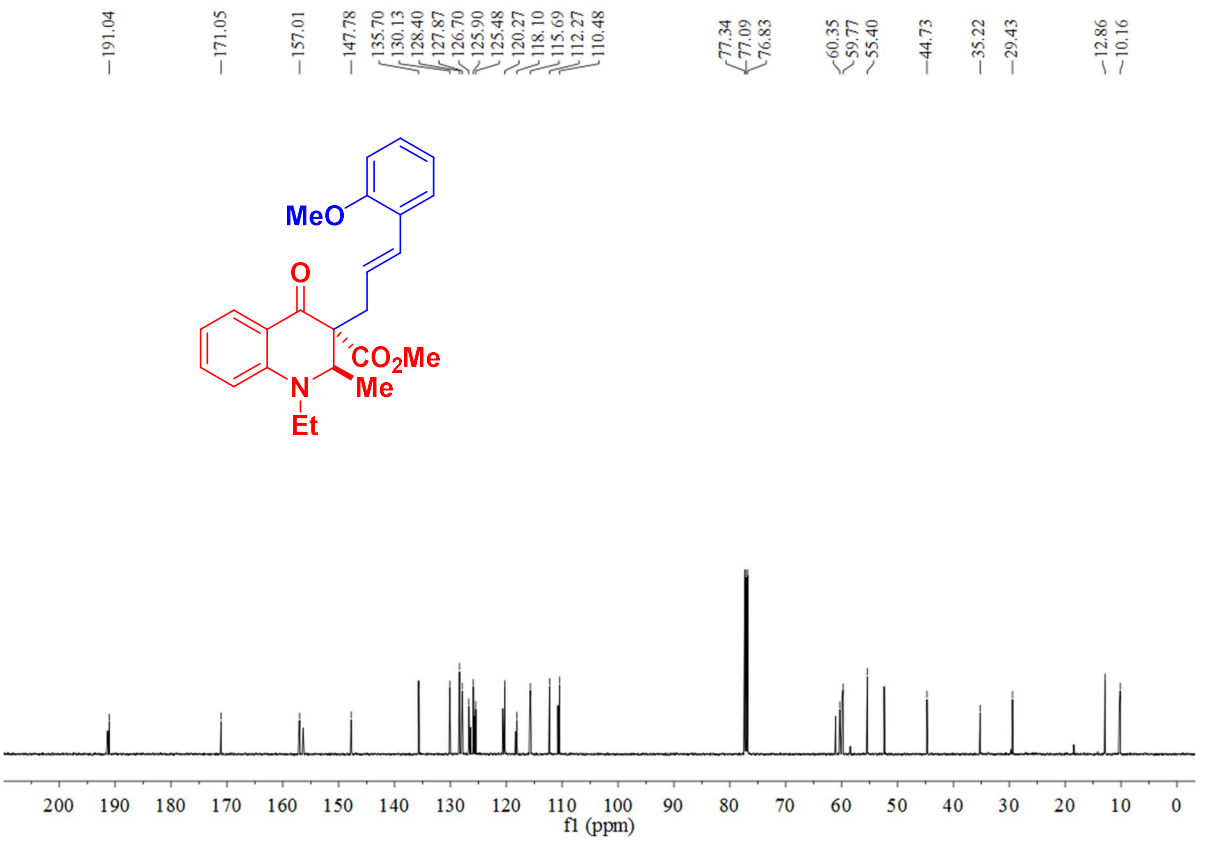




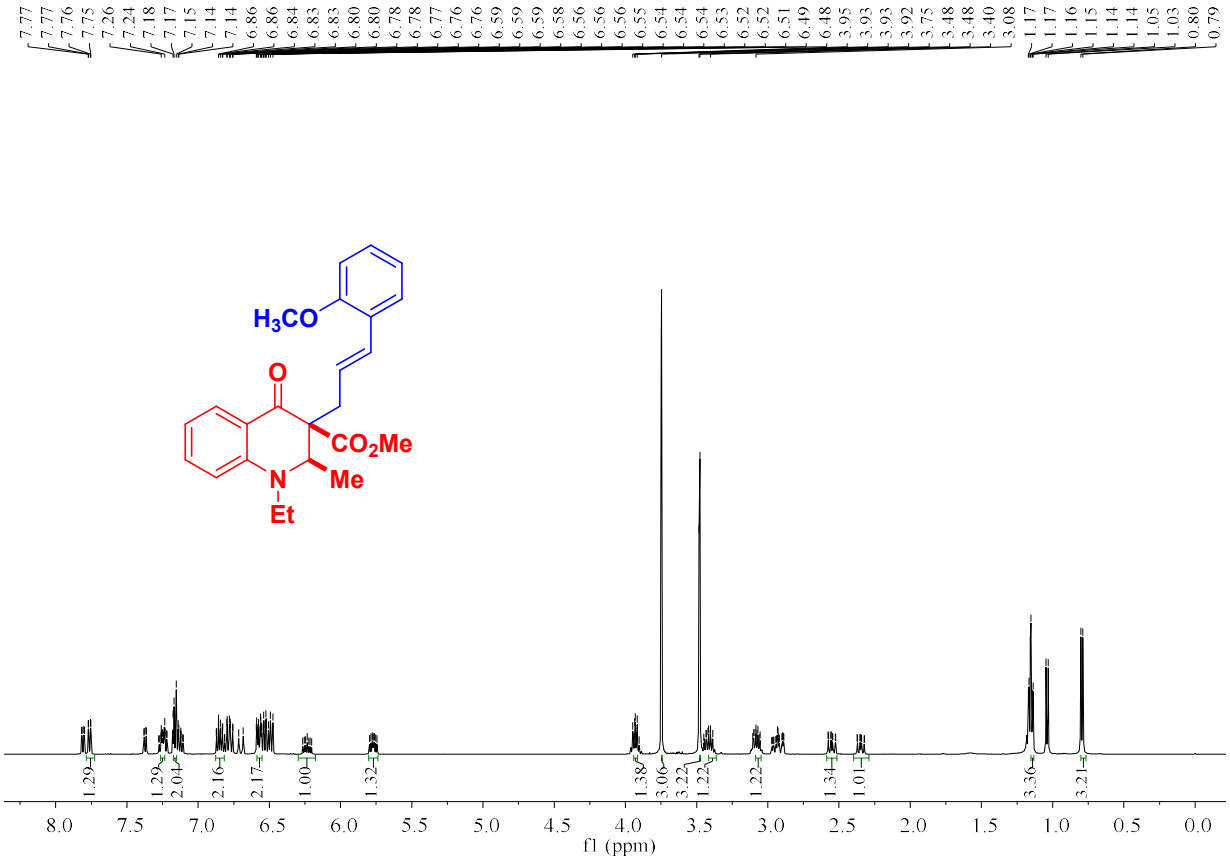


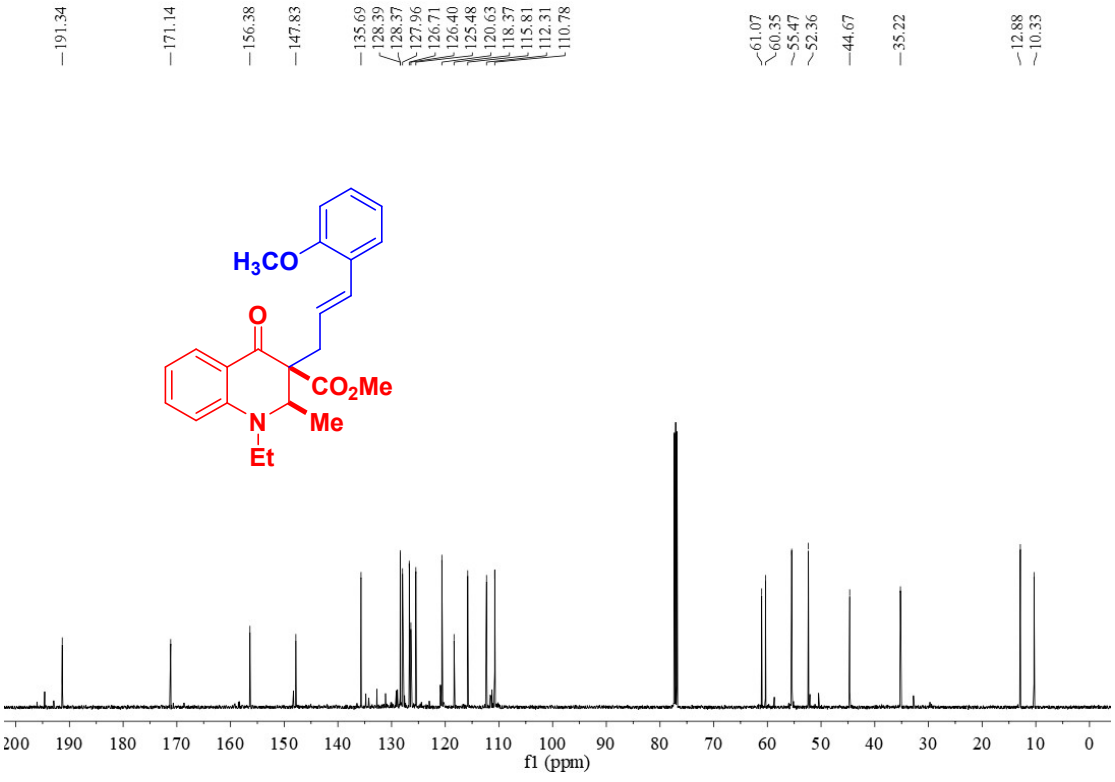
Methyl 1-ethyl-3-(3-(2-methoxyphenyl)allyl)-2-methyl-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (7g) (major diastereomer)



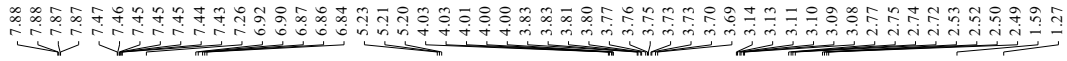


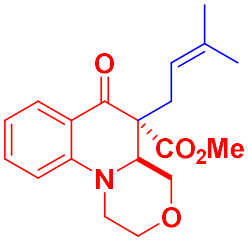
Methyl 1-ethyl-3-(3-(2-methoxyphenyl)allyl)-2-methyl-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (7g) (minor diastereomer)

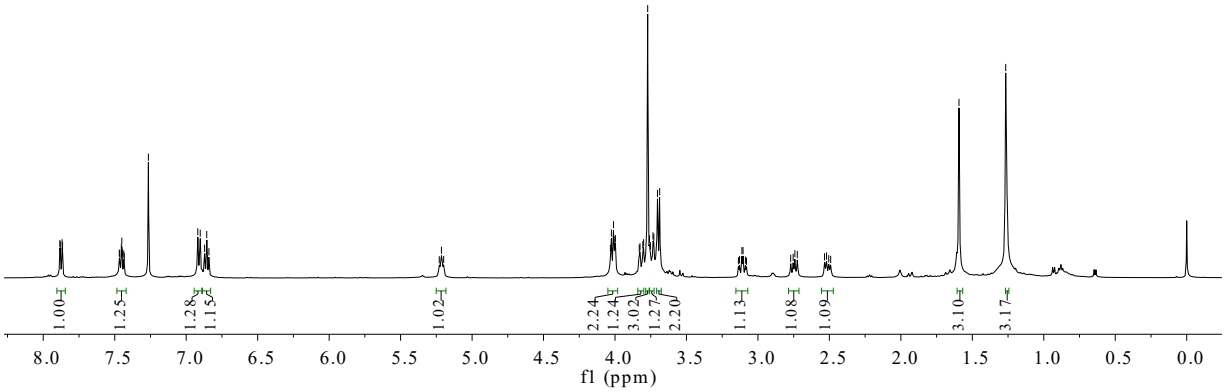


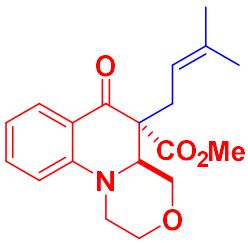


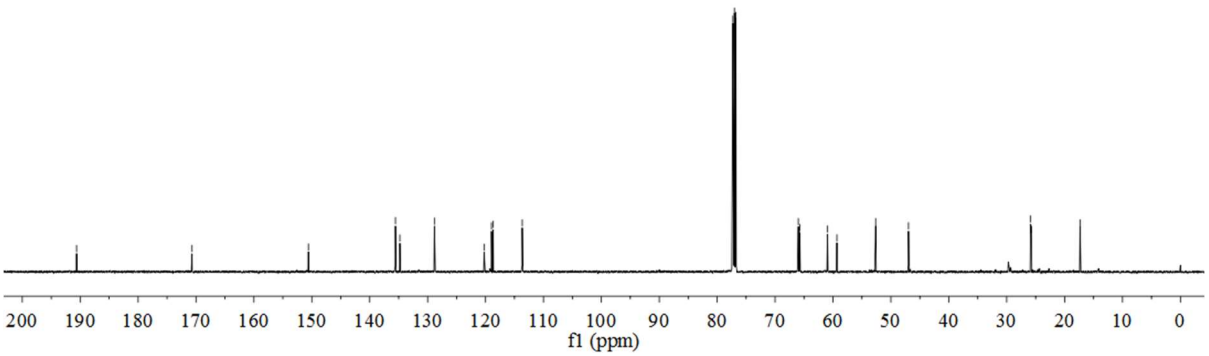
Methyl 5-(3-methylbut-2-en-1-yl)-6-oxo-1,2,4,4a,5,6-hexahydro-[1,4]oxazino[4,3-a]quinoline-5-carboxylate (7h)



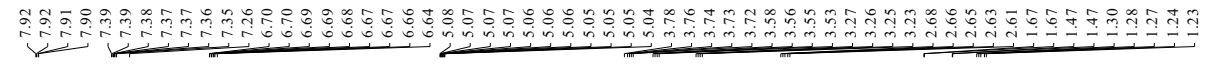


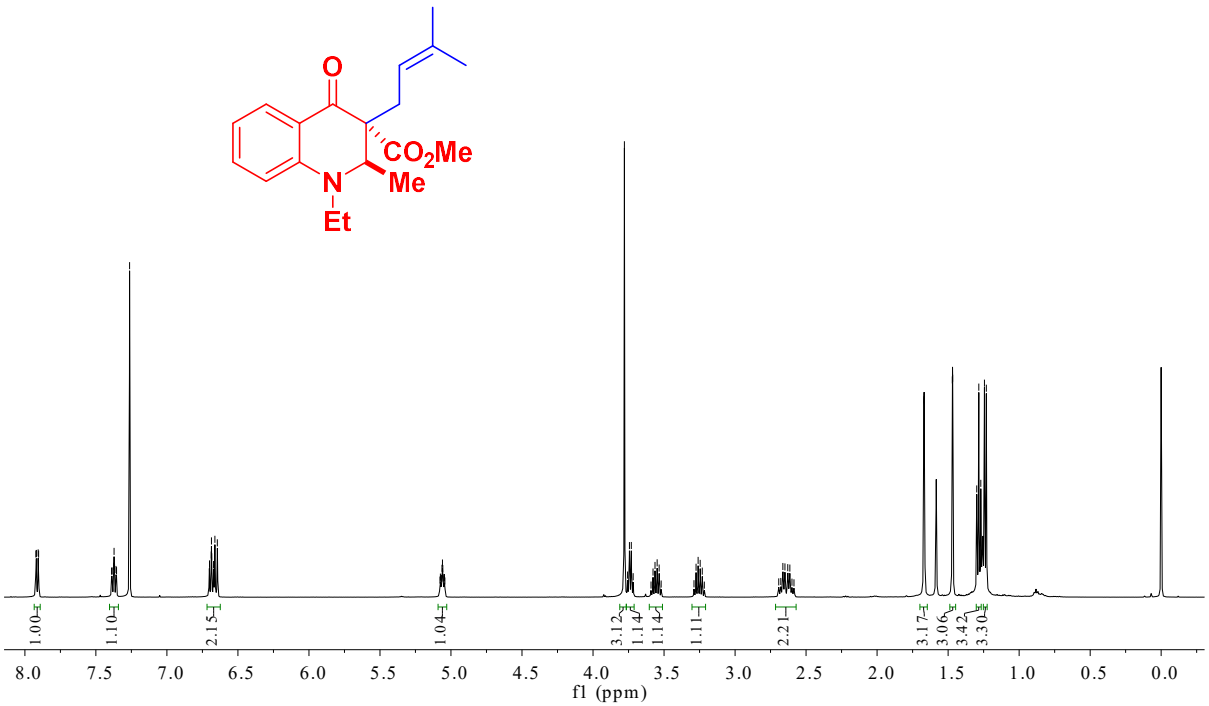


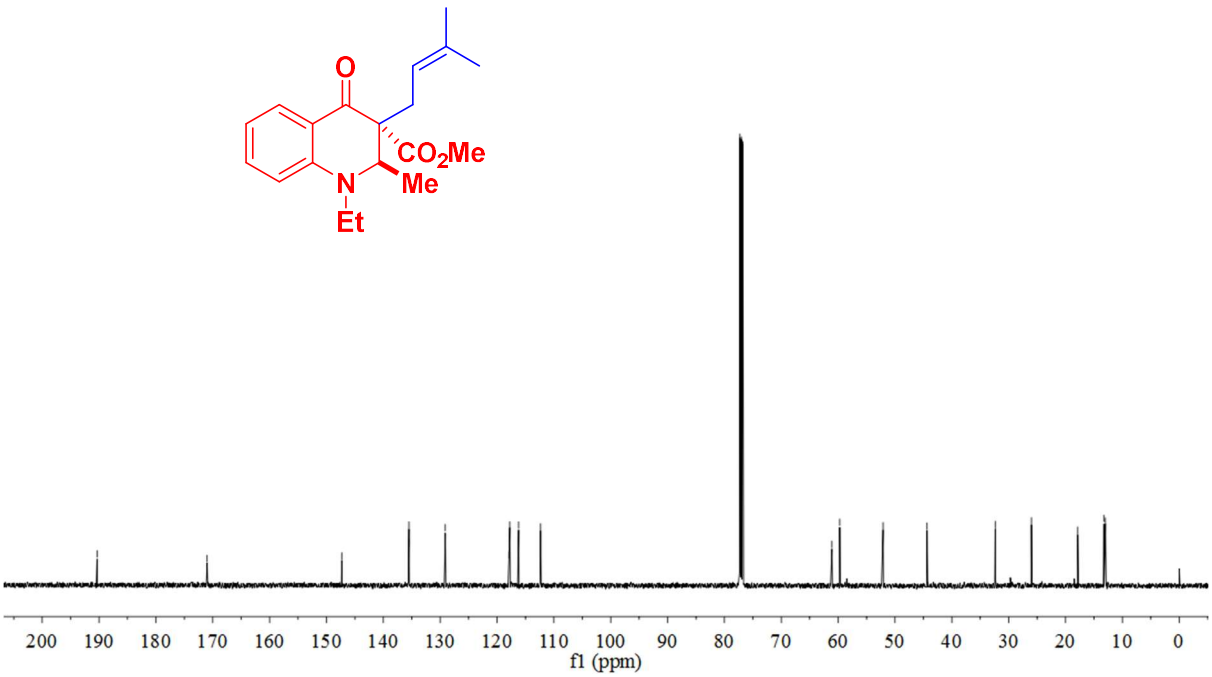




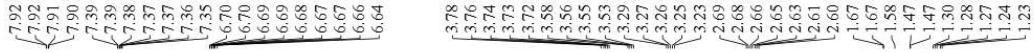
Methyl 1-ethyl-2-methyl-3-(3-methylbut-2-en-1-yl)-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (7i) (major diastereomer)

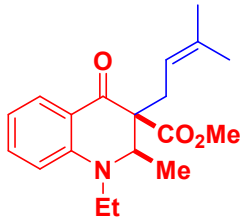


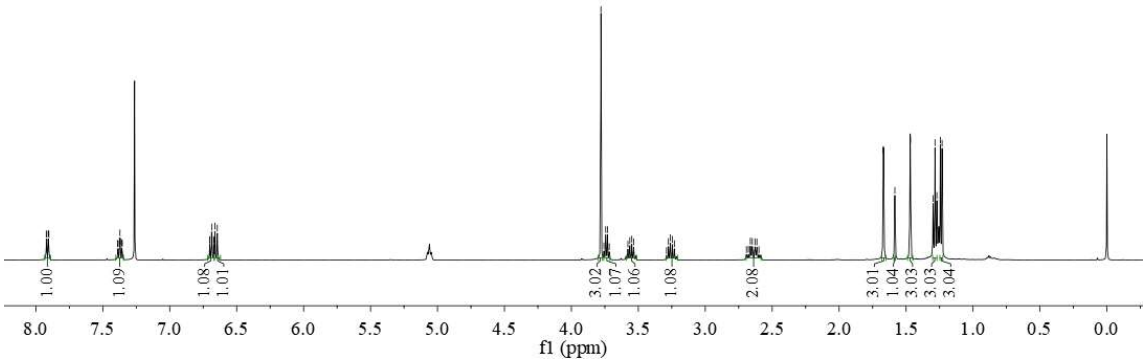


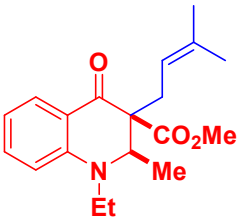


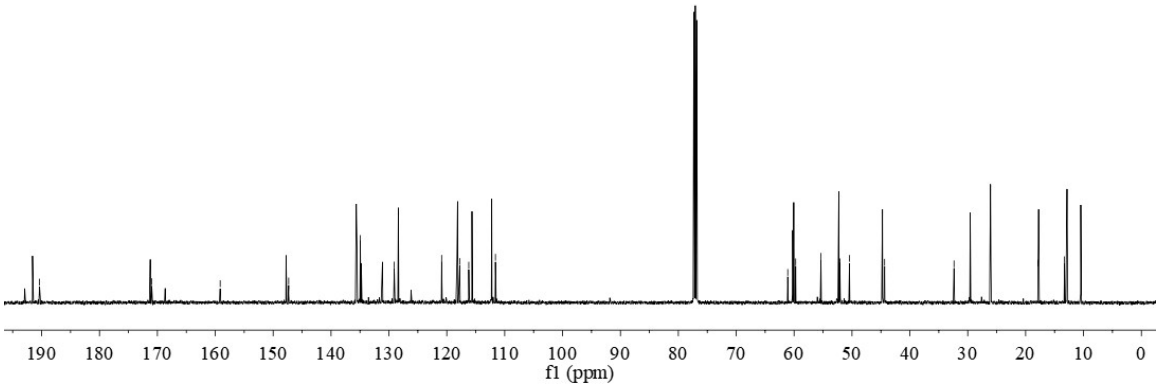
Methyl 1-ethyl-2-methyl-3-(3-methylbut-2-en-1-yl)-4-oxo-1,2,3,4-tetrahydroquinoline-3-carboxylate (7i) (minor diastereomer)



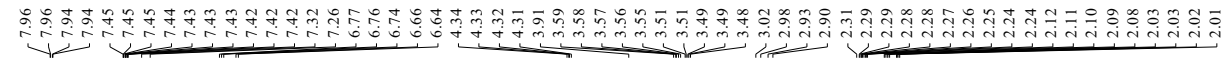


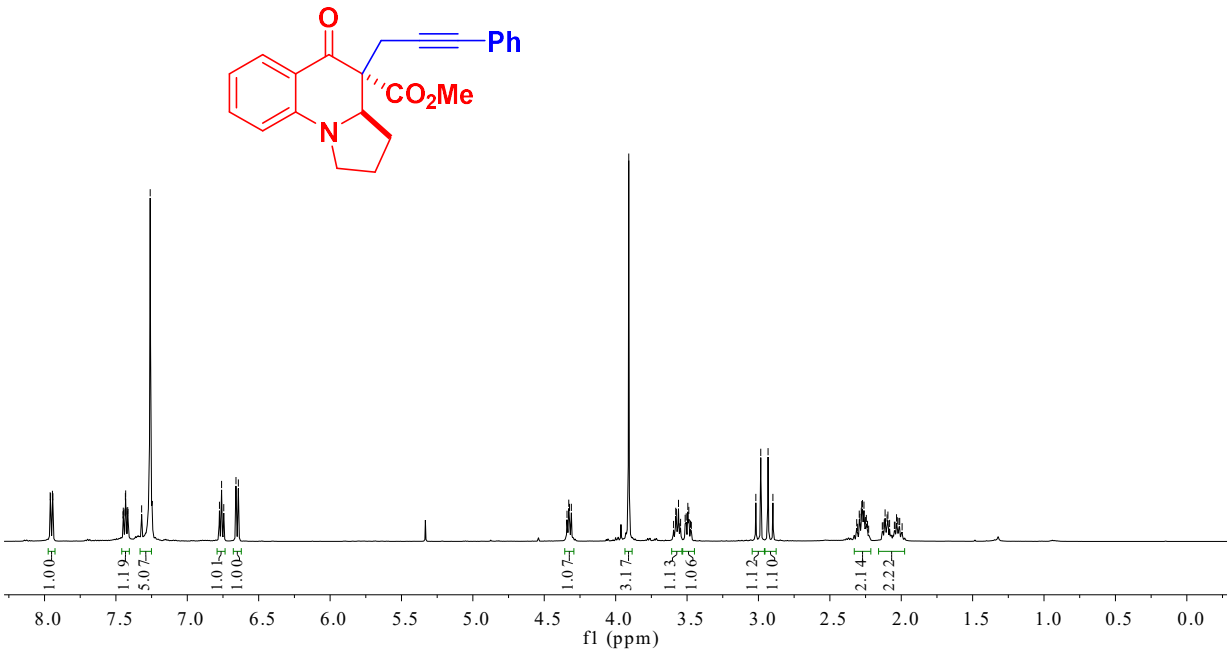


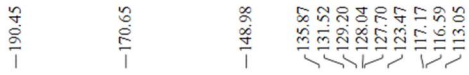


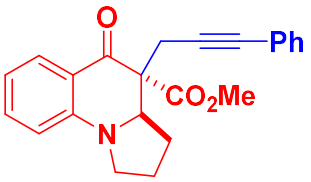


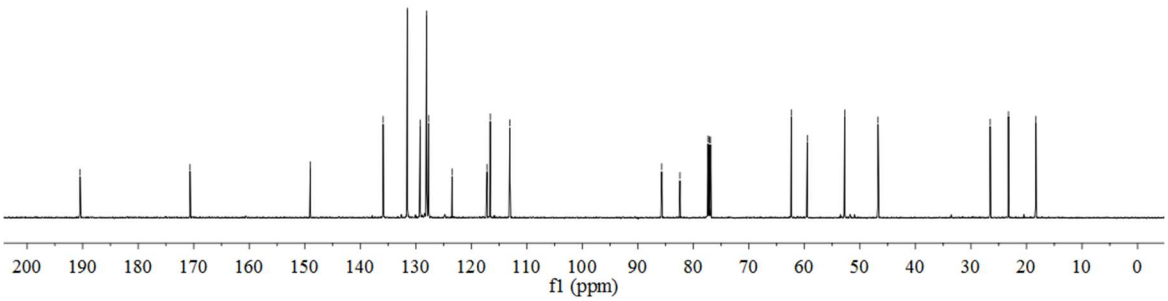
Methyl 5-oxo-4-(3-phenylprop-2-yn-1-yl)-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (7j)



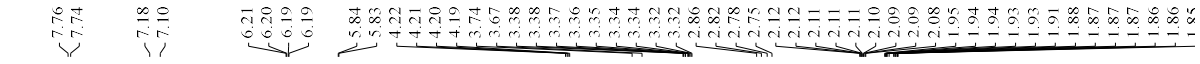


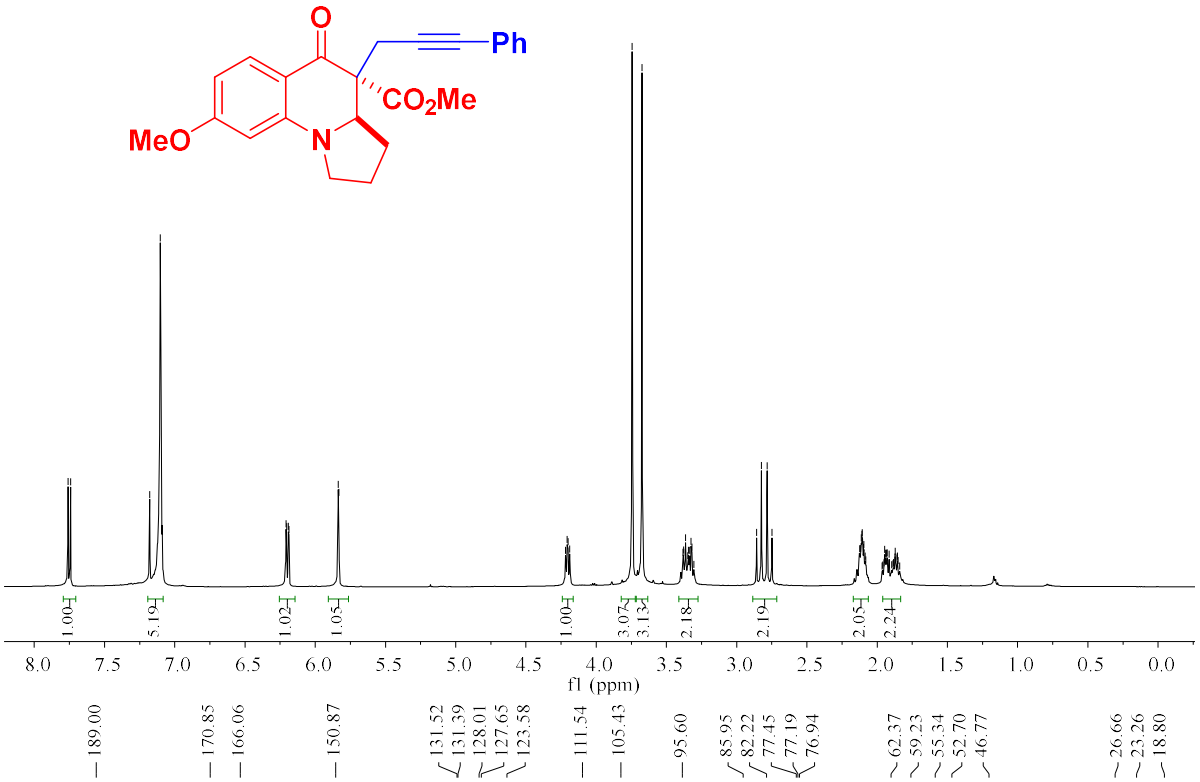


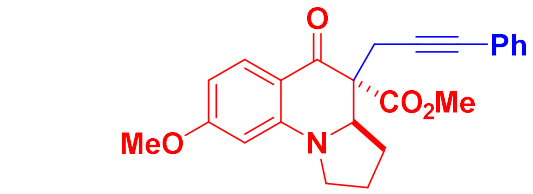


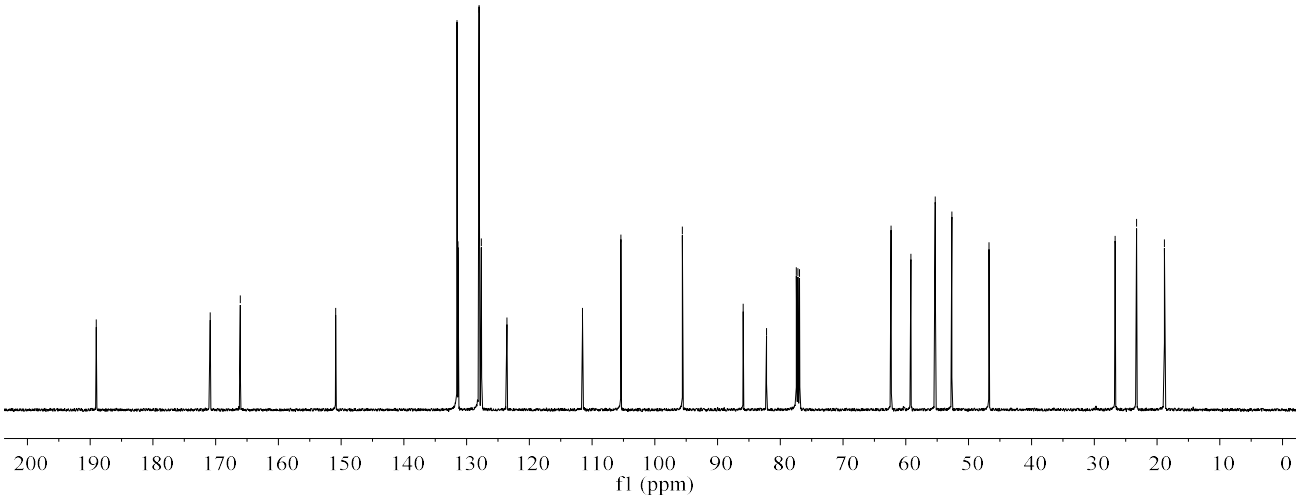


Methyl 8-methoxy-5-oxo-4-(3-phenylprop-2-yn-1-yl)-1,2,3,3a,4,5-hexahydropyrrolo[1,2-a]quinoline-4-carboxylate (7k)

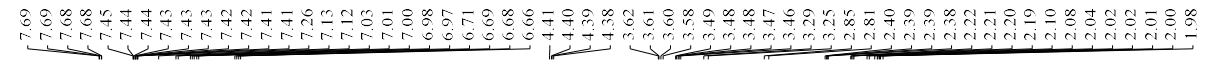


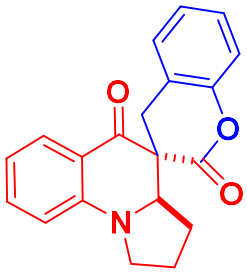


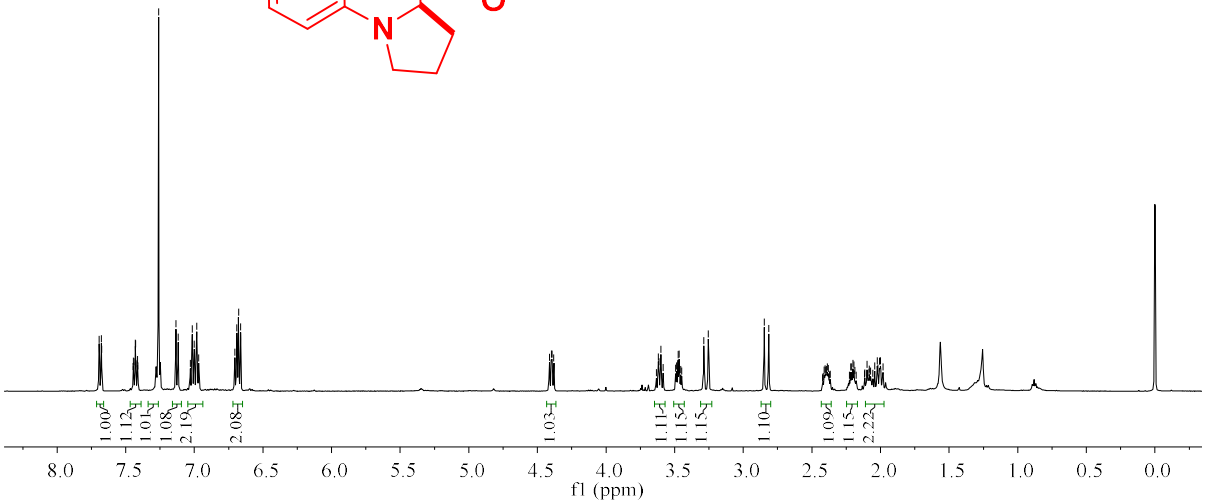


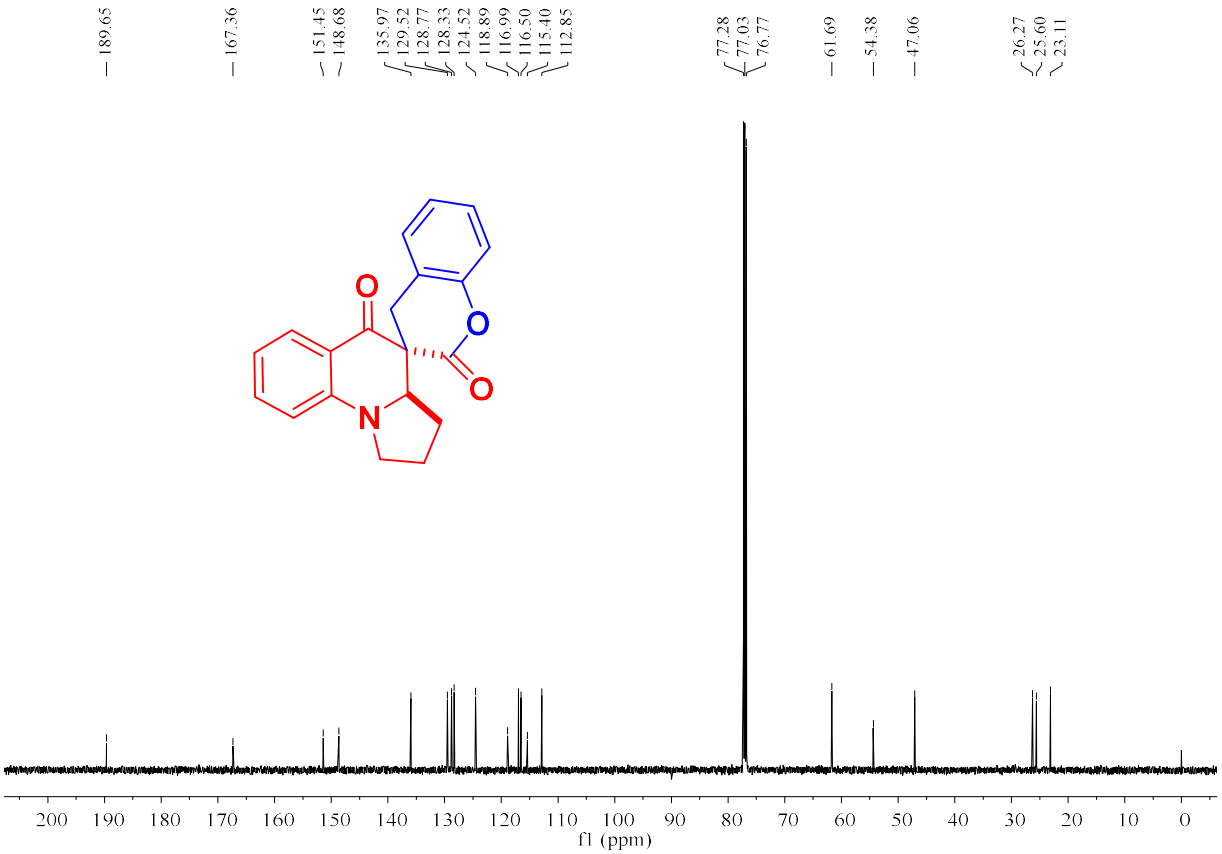


1',2',3',3a'-tetrahydro-5'H-spiro[chromane-3,4'-pyrrolo[1,2-a]quinoline]-2,5'-dione (9a)

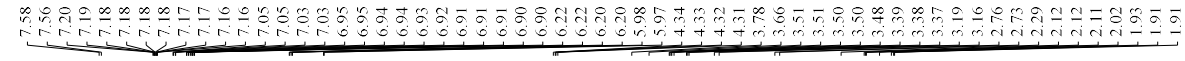


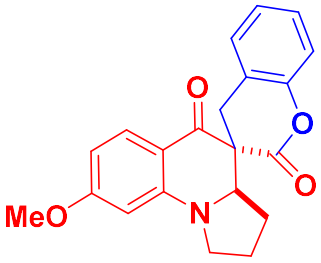


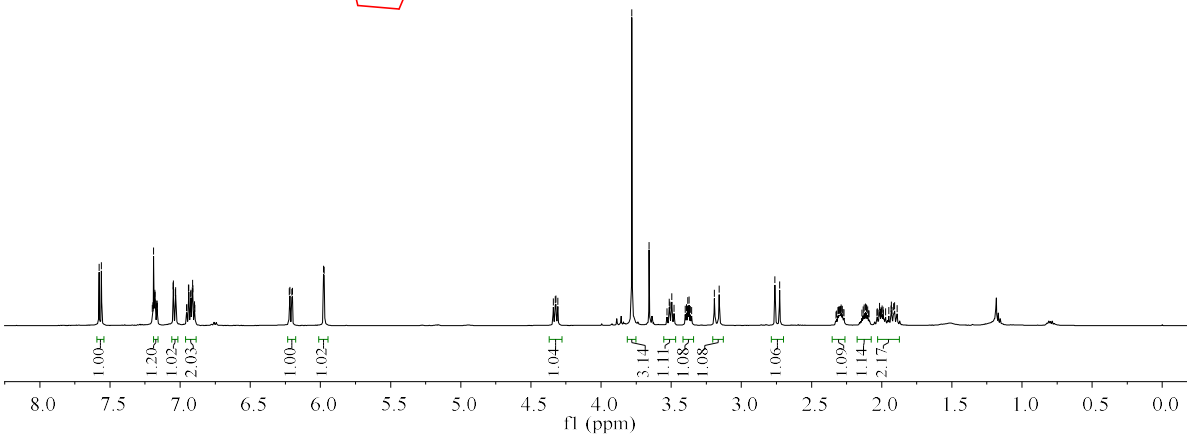


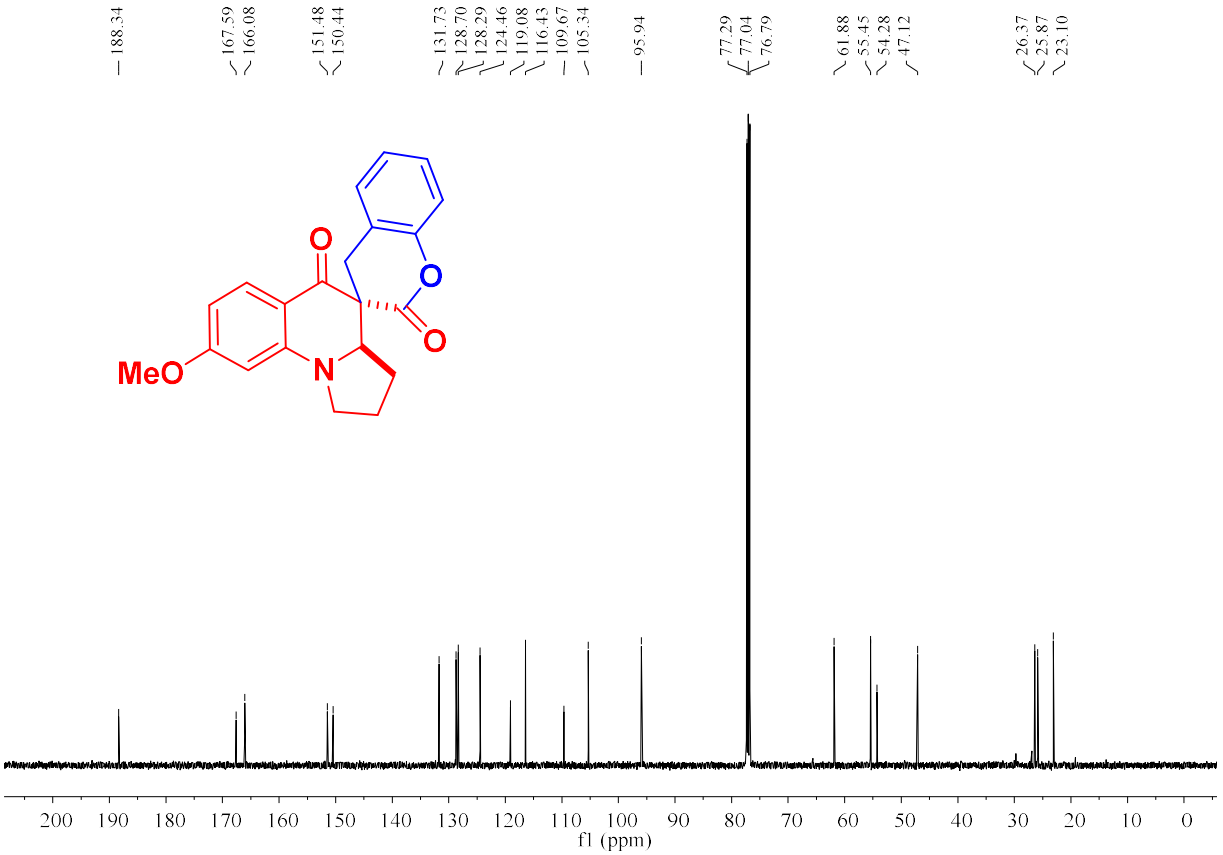


8'-methoxy-1',2',3',3a'-tetrahydro-5'H-spiro[chromane-3,4'-pyrrolo[1,2-a]quinoline]-2,5'-dione (9b)

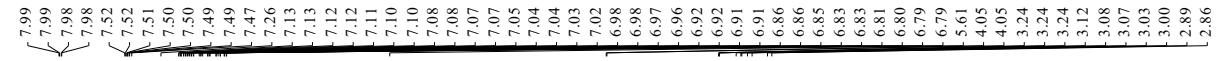


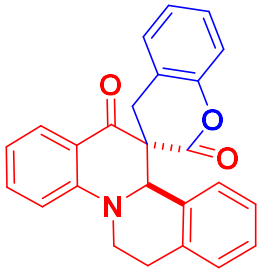


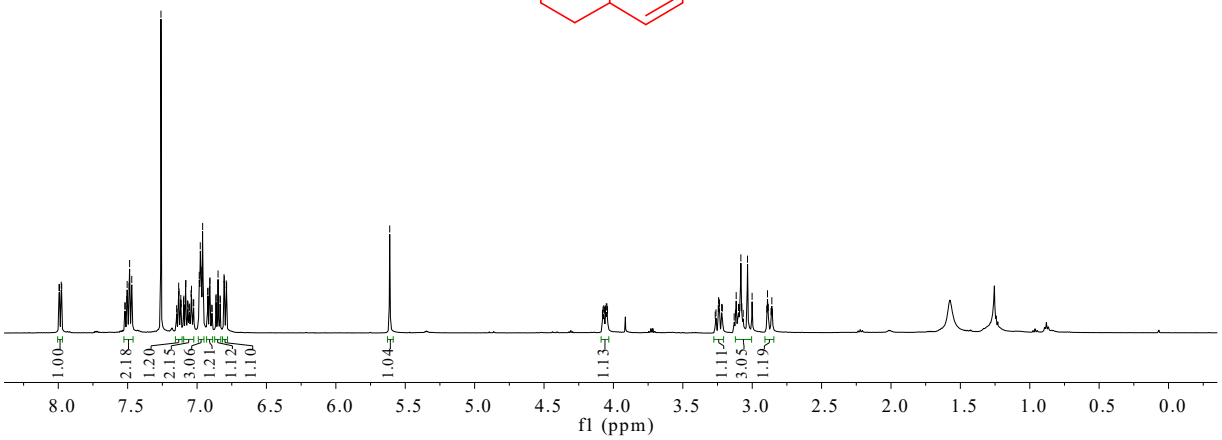


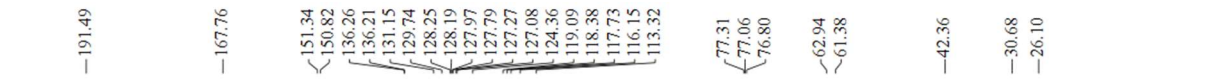


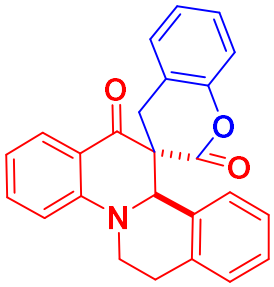
7',11b'-dihydro-6'H,13'H-spiro[chromane-3,12'-isoquinolino[2,1-a]quinoline]-2,13'-dione (9c)

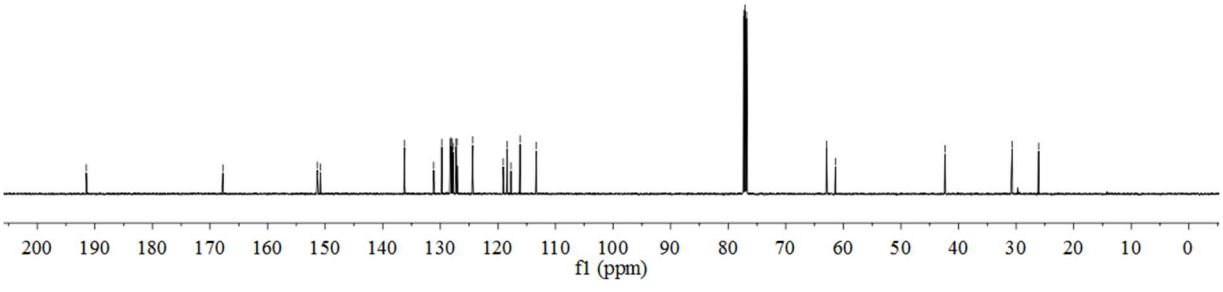




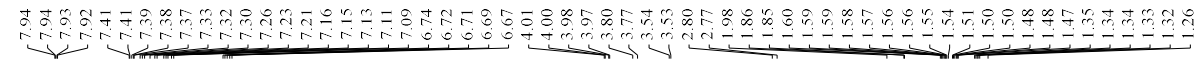


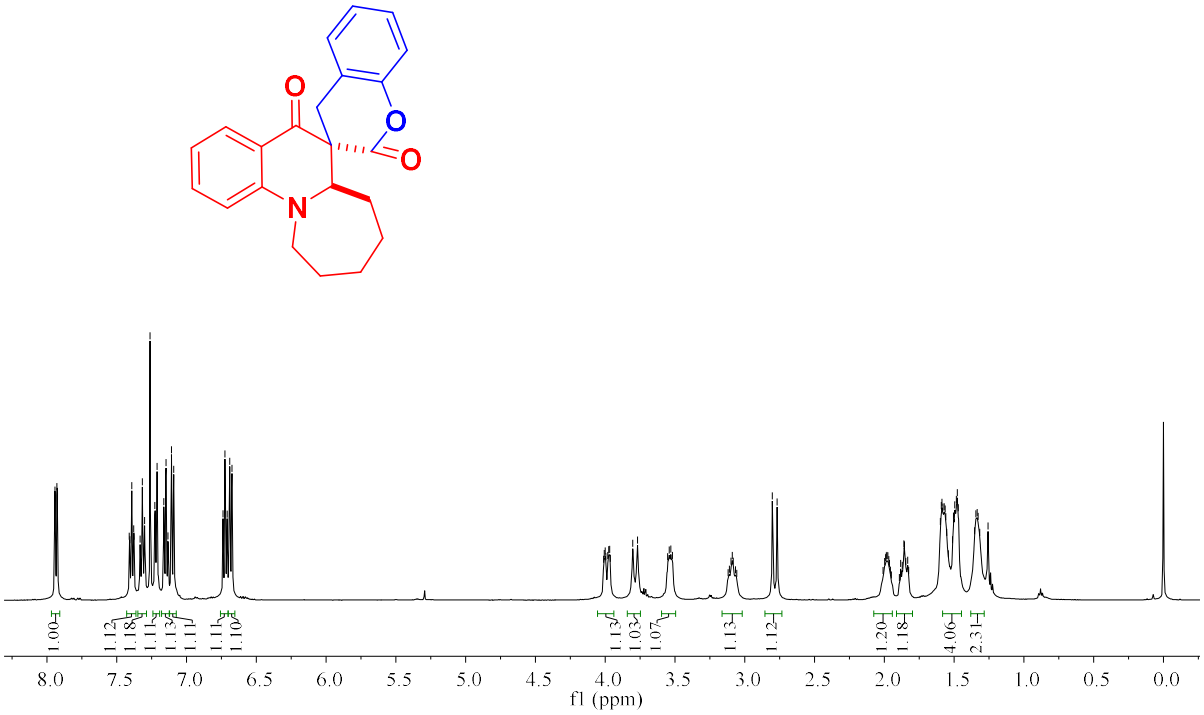


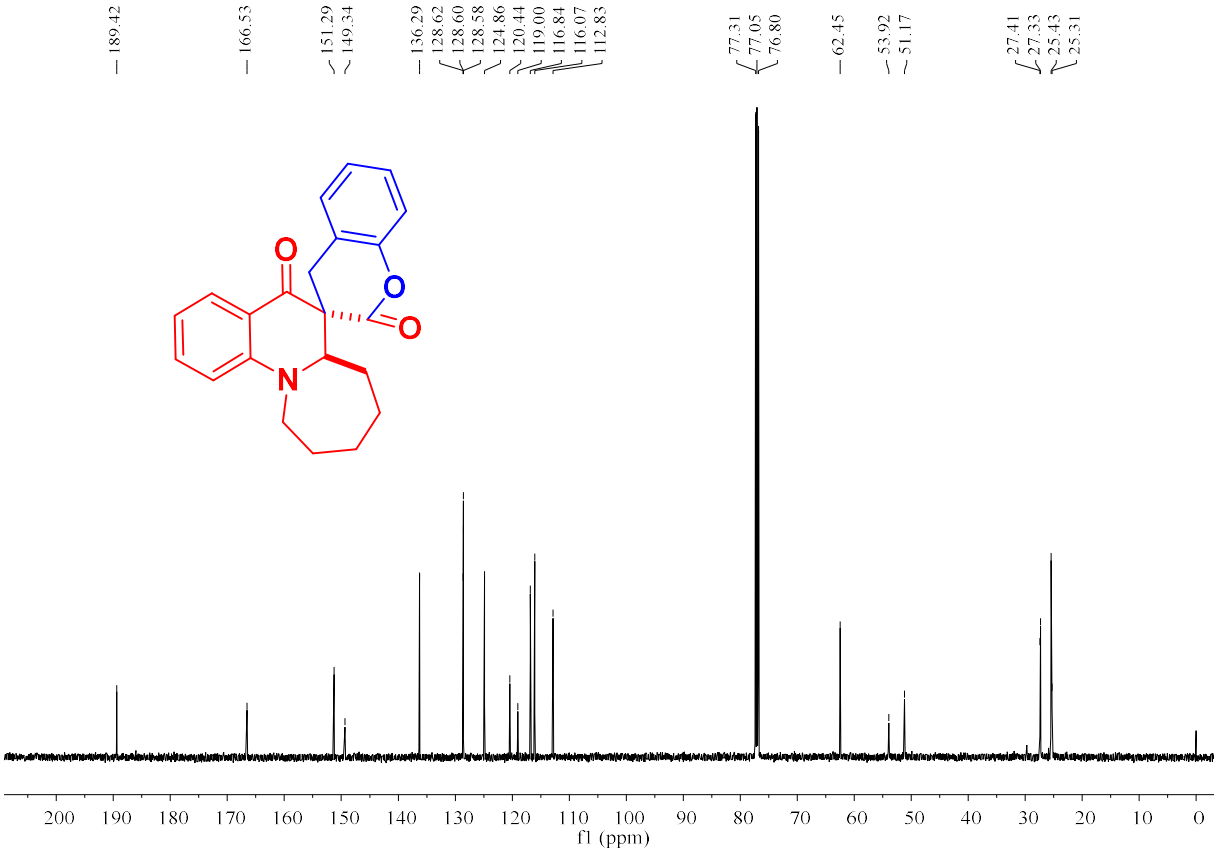




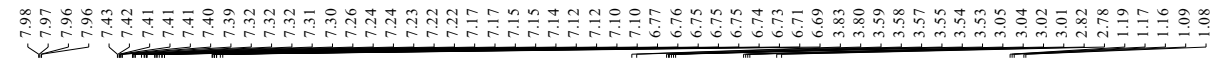
6a,7,8,9,10,11-hexahydro-5H-spiro[azepino[1,2-a]quinoline-6,3'-chromane]-2',5-dione (9d)

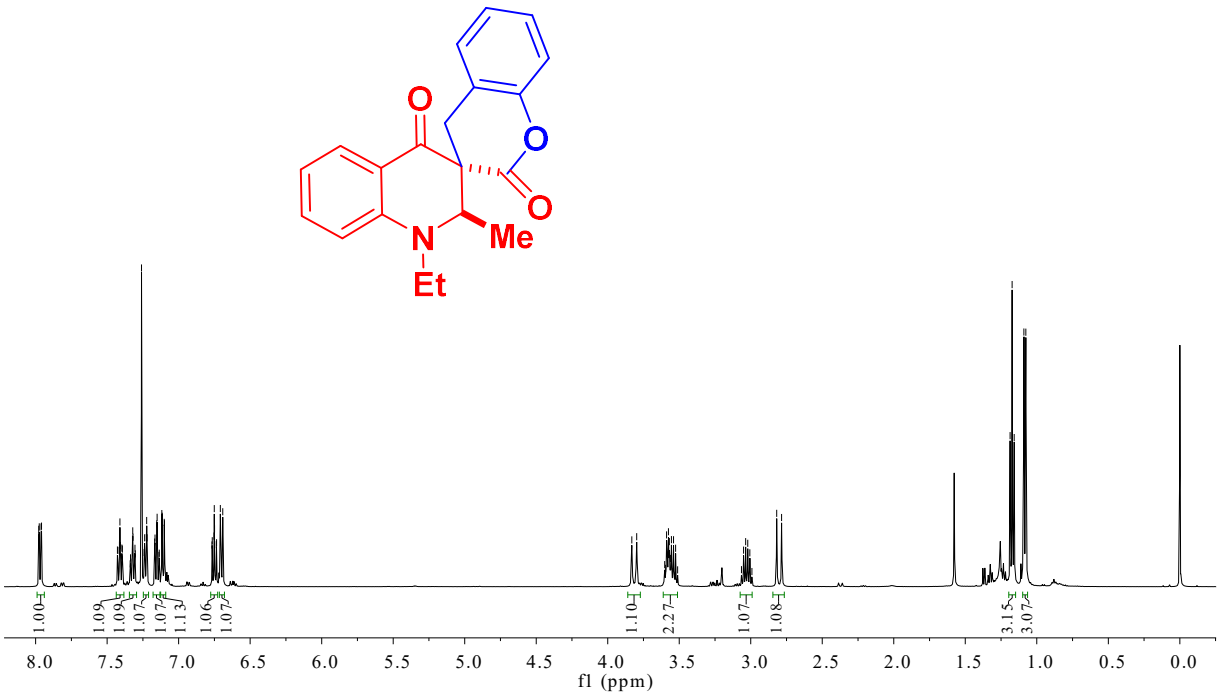


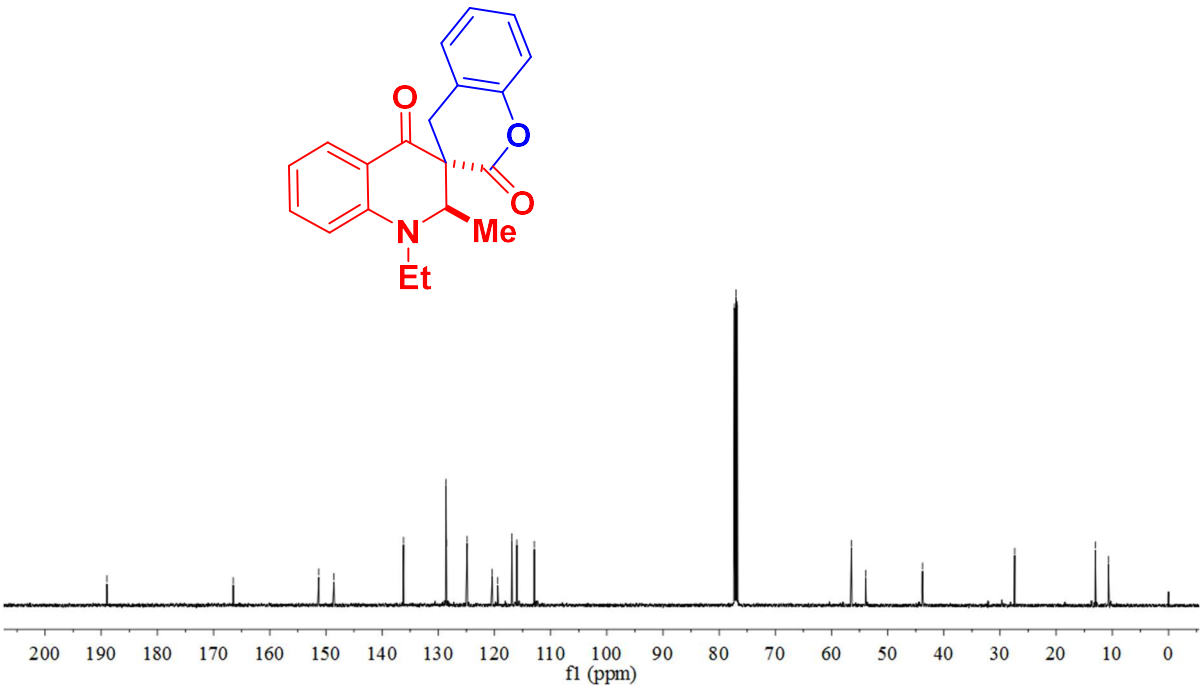




1'-ethyl-2'-methyl-1',2'-dihydro-4'H-spiro[chromane-3,3'-quinoline]-2,4'-dione (9e)







1'-methyl-2'-phenyl-1',2'-dihydro-4'H-spiro[chromane-3,3'-quinoline]-2,4'-dione (9f)