

Supporting Information

Au-catalyzed Ring-opening Reactions of 2-(1-Alkynyl-cyclopropyl)pyridines with Nucleophiles

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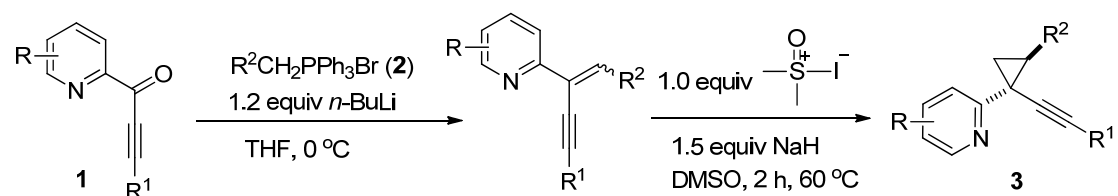
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Content

General information.....	S3
Substrate synthesis.....	S3
General datas of substrates 3a-3n.....	S3-S27
Typical procedure for the ring-opening reaction.....	S28
General datas of products 5.....	S28-S76
Typical procedure for the synthesis of 6.....	S77
Reference.....	S78

General information:

Reactions and manipulations involving organometallic or moisture sensitive compounds were carried out under dry nitrogen and glassware heated under oven for two hours prior to use. ^1H , ^{13}C NMR spectra were recorded on Bruker AVANCE III 500MHz with TMS as internal standard. Anhydrous THF, toluene were freshly distilled over Na and benzophenone. Anhydrous $\text{CH}_2\text{ClCH}_2\text{Cl}$, CH_2Cl_2 , DMSO were freshly distilled over calcium hydride. Melting points were measured on a YUHUA X-4 apparatus and uncorrected. Commercial reagents were used as received without further purification unless otherwise noticed. HRMS were recorded on Agilent 6210 LCT (EI source) or Waters Xevo Q-Tof Mass Spectrometer (ESI source). Column chromatography was carried out using silica gel (200-300 mesh). The pyridyl-substituted alkynyl ketones **1** was synthesis according to the reference.¹

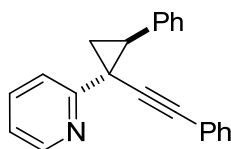


General Procedure for the Synthesis of 2-(1-alkynyl-cyclopropyl)pyridine **3**.

To a solution of the benzyl bromide ylide (1.2 eq.) in dry THF (50) at $0\text{ }^\circ\text{C}$, n -butyllithium (1.2 eq.) was added dropwise by syringe over 5 minutes. The white solution gradually turns red. After 10 min, pyridyl-substituted alkynyl ketones **1** (1.0 eq.) was added to the solution, then the solution turn brown. After the reaction was over, the mixture was poured into water and extracted with EtOAc (3 x 20 mL). The

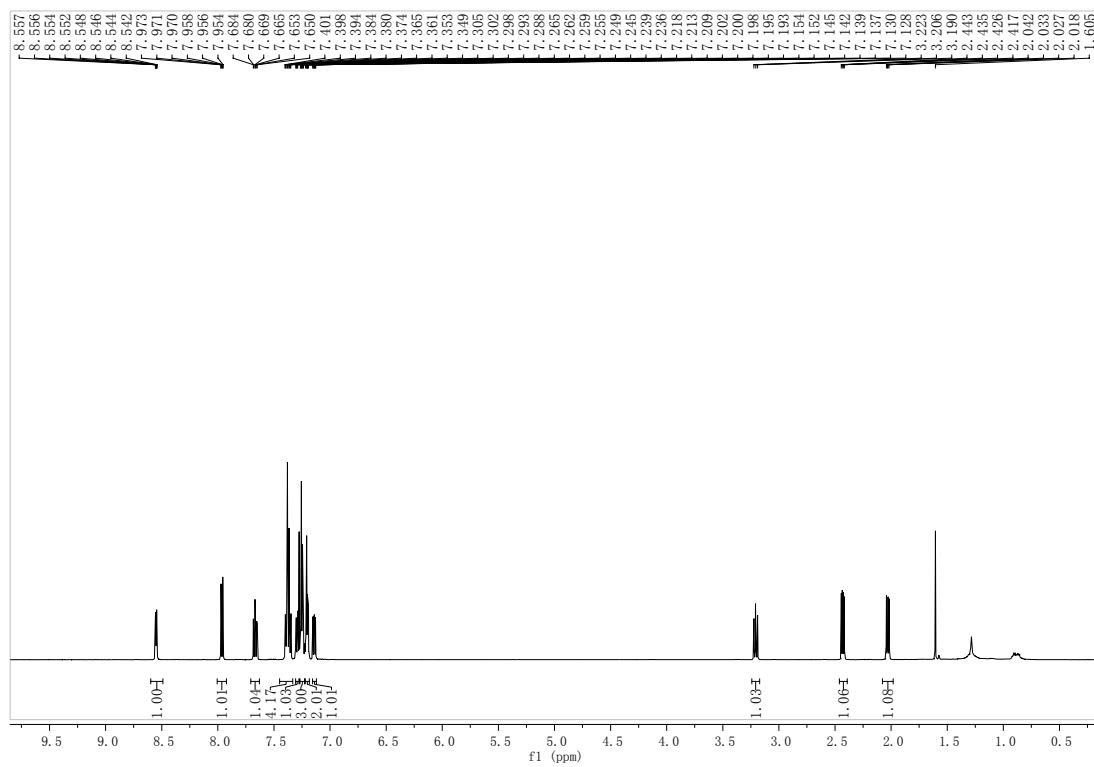
combined organic phase was dried over MgSO_4 and filtered. The solvent was removed under vacuum and the residue was purified by flash chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v), to afford the 2-(1-alkynyl)-2-alken-1-pyridine. To a solution of 2-(1-alkynyl)-2-alken-1-pyridine in DMSO at room temperature was added trimethylsulfoxonium iodide (1.0 equiv) and sodium hydride (1.5 equiv). Then the mixture was heat to 60°C , after the reaction was over, the mixture was poured into water and extracted with EtOAc (3 x 20 mL). The combined organic phase was dried over MgSO_4 and filtered. The solvent was removed under vacuum and the residue was purified by flash chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v), to afford the 2-(1-alkynyl-cyclopropyl)pyridine **3**.

2-(2-phenyl-1-(phenylethynyl)cyclopropyl)pyridine (**3a**)

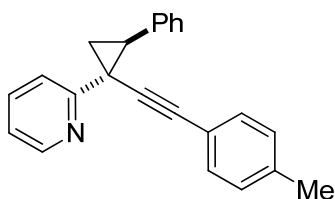


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); light yellow solid, 84% yield (for two steps), m.p. $45\text{-}47^\circ\text{C}$; ^1H NMR (500 MHz, CDCl_3): δ 8.56-8.54 (m, 1H), 7.96 (dt, $J = 7.5, 1.0$ Hz, 1H), 7.68 (td, $J = 7.5, 2.0$ Hz, 1H), 7.41-7.34 (m, 4H), 7.31 (dt, $J = 6.0, 1.5$ Hz, 1H), 7.28-7.23 (m, 3H), 7.23-7.19 (m, 2H), 7.16-7.12 (m, 1H), 3.21 (t, $J = 8.5$ Hz, 1H), 2.43 (dd, $J = 8.5, 4.0$ Hz, 1H), 2.03 (dd, $J = 7.5, 4.5$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 159.4, 149.1, 137.7, 136.1, 131.5, 128.6, 128.1, 127.8, 127.7, 126.5, 123.5, 121.6, 120.9, 89.4, 83.7, 37.7, 27.7, 25.4.; HRMS m/z (ESI+): Calculated for $\text{C}_{22}\text{H}_{17}\text{NH}^+$ ($[\text{M}+\text{H}]^+$): 296.1434,

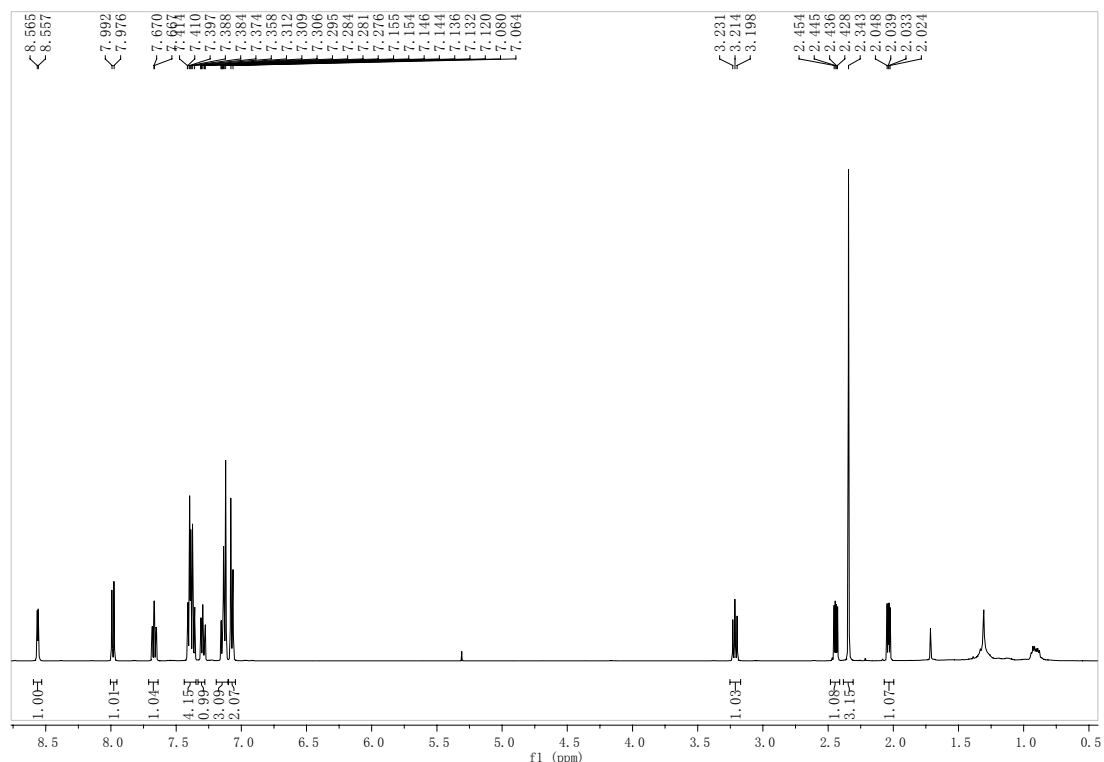
Found 296.1443.

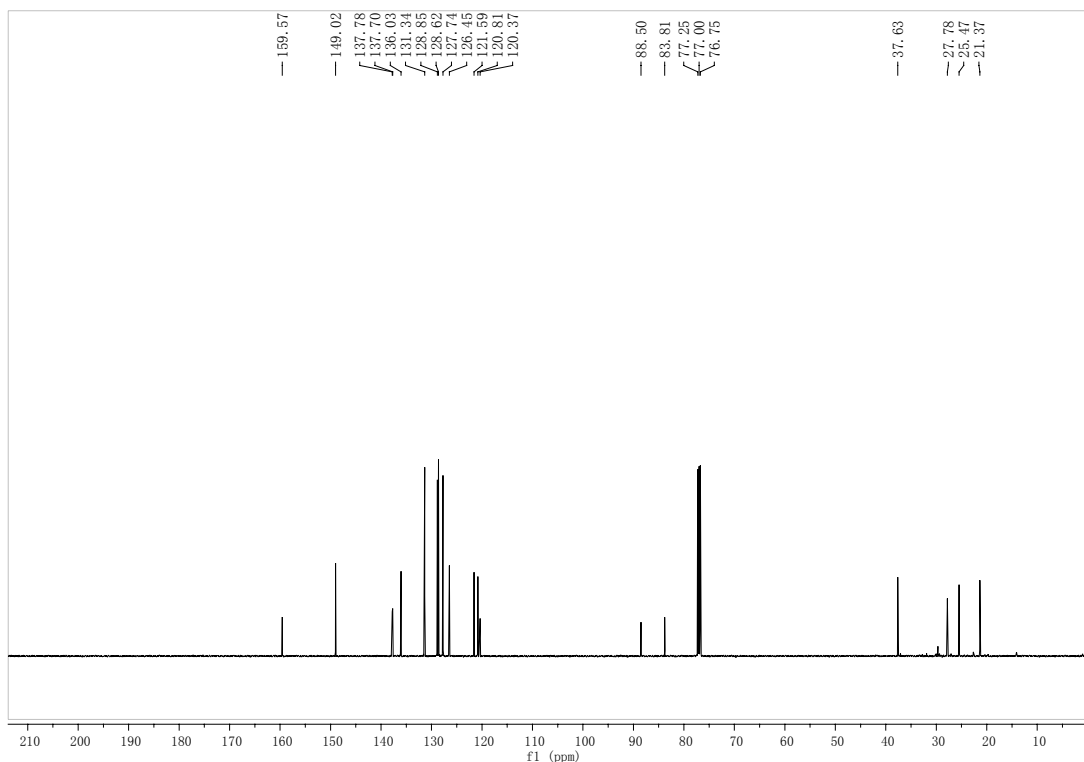


2-(2-phenyl-1-(p-tolyethynyl)cyclopropyl)pyridine (**3b**)

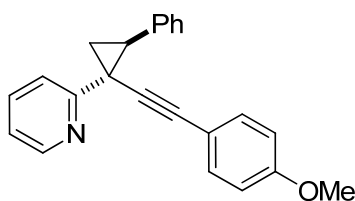


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow oil, 75% yield (for two steps); ^1H NMR (500 MHz, CDCl_3) δ 8.56 (d, $J = 4.0$ Hz, 1H), 7.98 (d, $J = 7.5$ Hz, 1H), 7.67 (td, $J = 7.5, 1.5$ Hz, 1H), 7.42-7.35 (m, 4H), 7.32-7.27 (m, 1H), 7.16-7.11 (m, 3H), 7.07 (d, $J = 8.0$ Hz, 2H), 3.21 (t, $J = 8.0$ Hz 1H), 2.44 (dd, $J = 8.5, 4.0$ Hz, 1H), 2.34 (s, 3H), 2.04 (dd, $J = 7.5, 4.0$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 159.6, 149.0, 137.8, 137.7, 136.0, 131.3, 128.9, 128.6, 127.7, 126.5, 121.6, 120.8, 120.4, 88.5, 83.8, 37.6, 27.8, 25.5, 21.4; HRMS m/z (ESI+): Calculated for $\text{C}_{23}\text{H}_{19}\text{NH}^+$ ($[\text{M}+\text{H}]^+$): 310.1590, Found 310.1607.

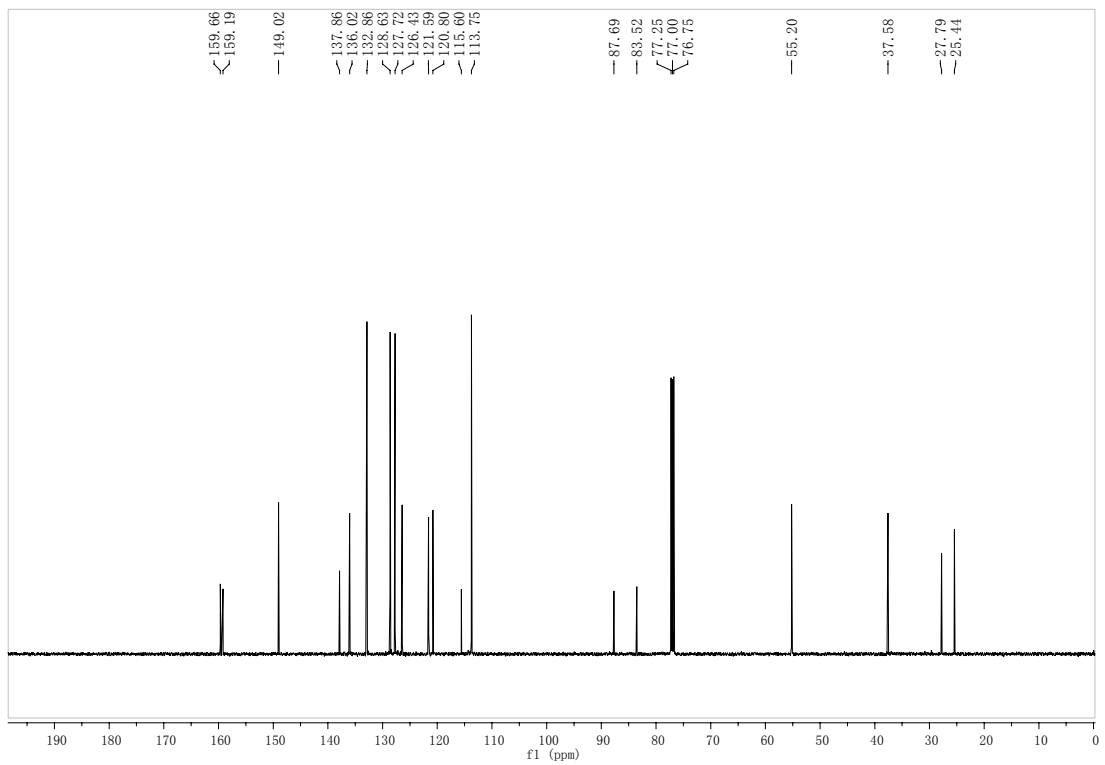
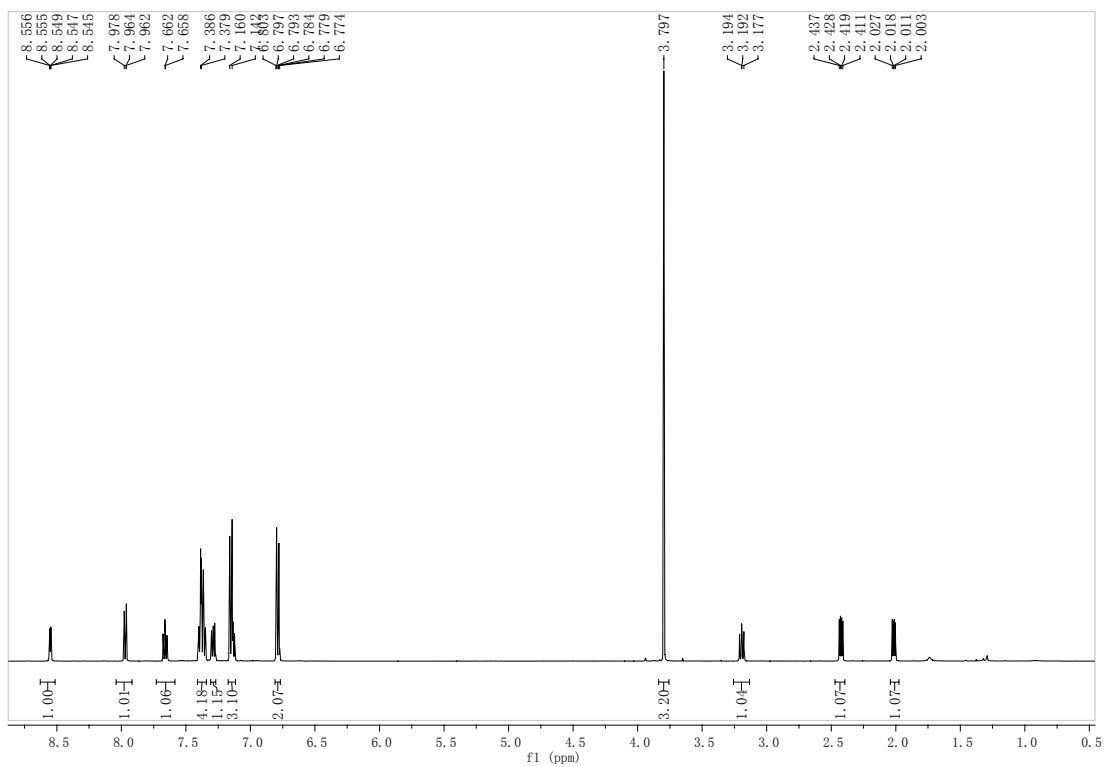




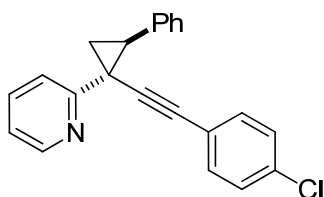
2-(1-((4-methoxyphenyl)ethynyl)-2-phenylcyclopropyl)pyridine (**3c**)



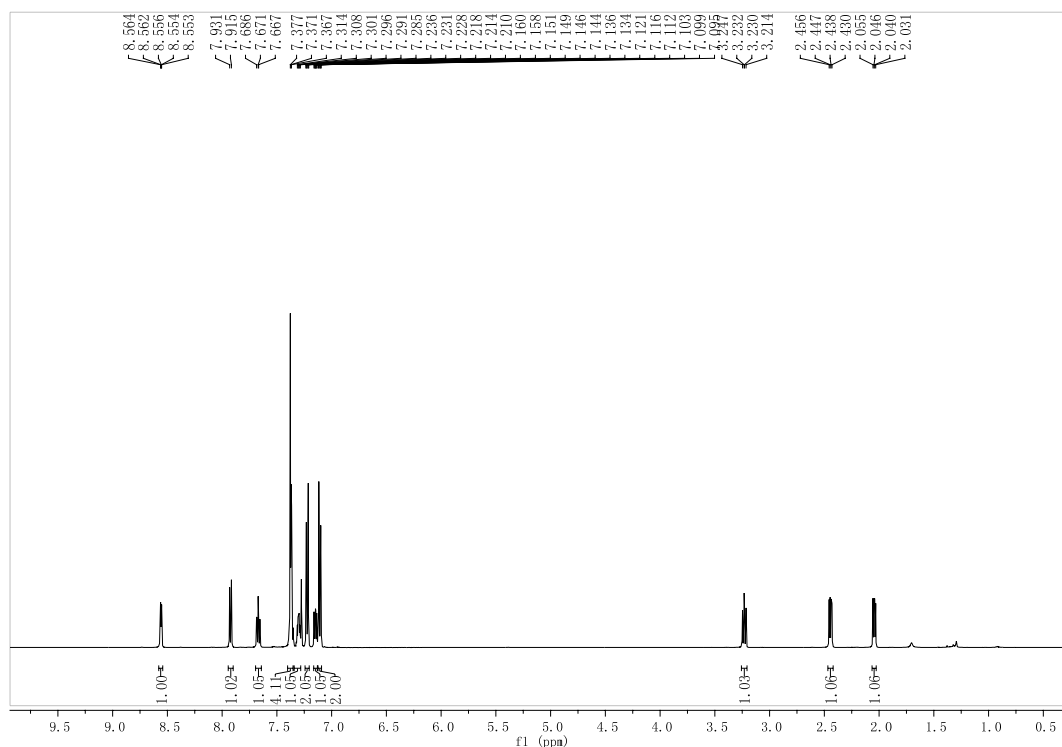
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); light yellow oil, 79% yield (for two steps); ^1H NMR (500 MHz, CDCl_3) δ 8.56-8.54 (m, 1H), 7.97 (dt, $J = 7.5, 1.0$ Hz, 1H), 7.66 (td, $J = 7.5, 1.5$ Hz, 1H), 7.41-7.34 (m, 4H), 7.31-7.27 (m, 1H), 7.17-7.12 (m, 3H), 6.81-6.77 (m, 2H), 3.80 (s, 3H), 3.19 (t, $J = 1.5$ Hz, 1H), 2.42 (dd, $J = 8.5, 4.0$ Hz, 1H), 2.01 (dd, $J = 7.5, 4.0$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 159.7, 159.2, 149.0, 137.9, 136.0, 132.9, 128.6, 127.7, 126.4, 121.6, 120.8, 115.6, 113.8, 87.7, 83.5, 55.2, 37.6, 27.8, 25.4; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{23}\text{H}_{19}\text{NOH}^+$ ($[\text{M}+\text{H}]^+$):326.1539, Found 326.1546.

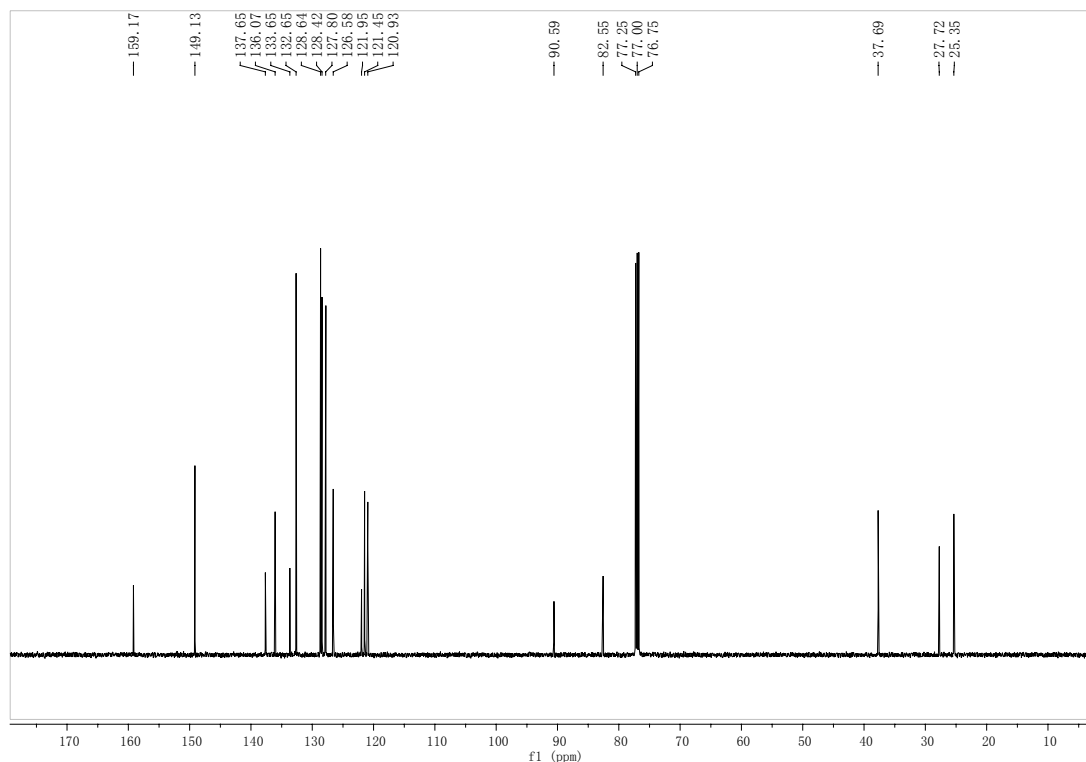


2-(1-((4-chlorophenyl)ethynyl)-2-phenylcyclopropyl)pyridine (**3d**)

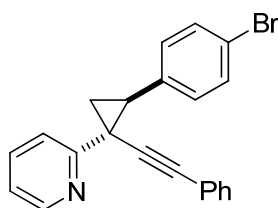


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); brown solid, 80% yield (for two steps), m.p. 48-50 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.57-8.54 (m, 1H), 7.92 (d, $J = 8.0$ Hz, 1H), 7.67 (td, $J = 7.5, 1.5$ Hz, 1H), 7.38-7.36 (m, 4H), 7.34-7.28 (m, 1H), 7.24-7.21 (m, 2H), 7.17-7.13 (m, 1H), 7.13-7.09 (m, 2H), 3.23 (dd, $J = 9.0, 7.5$ Hz, 1H), 2.44 (dd, $J = 9.0, 4.5$ Hz, 1H), 2.04 (dd, $J = 7.5, 4.5$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 159.2, 149.1, 137.7, 136.1, 133.7, 132.7, 128.6, 128.4, 127.8, 126.6, 122.0, 121.5, 120.9, 90.6, 82.6, 37.7, 27.7, 25.4; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{22}\text{H}_{16}\text{ClNH}^+$ ($[\text{M}+\text{H}]^+$): 330.1044, Found 330.1060.



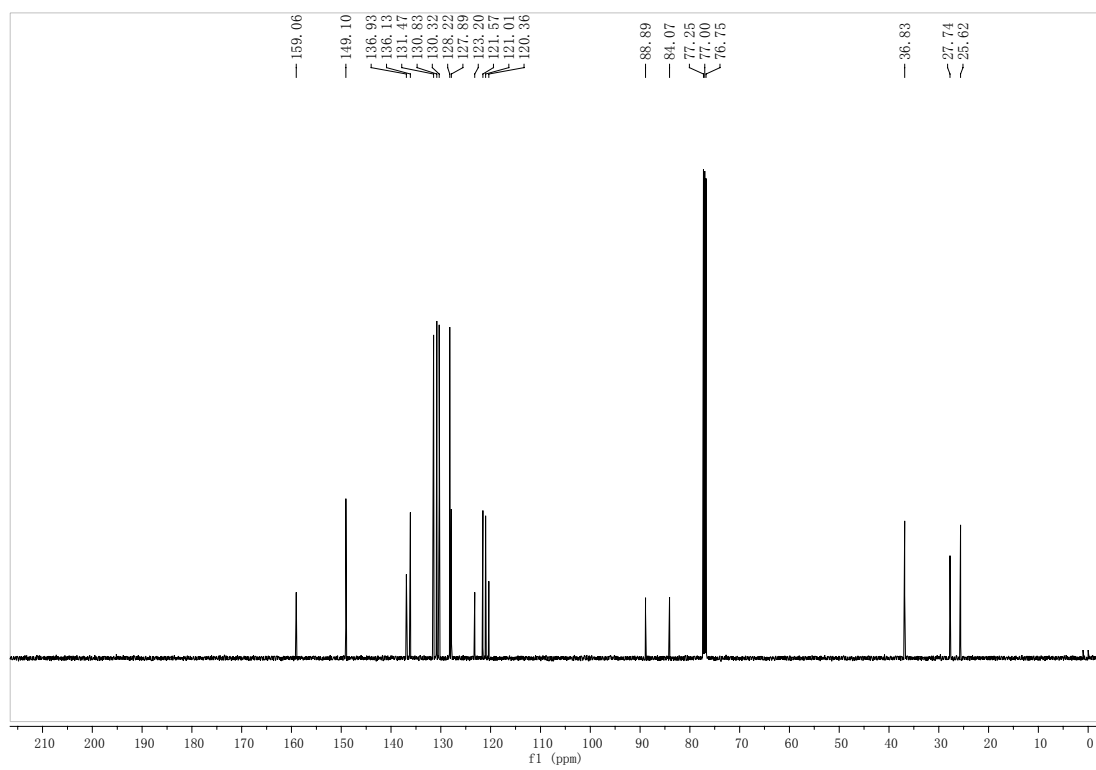
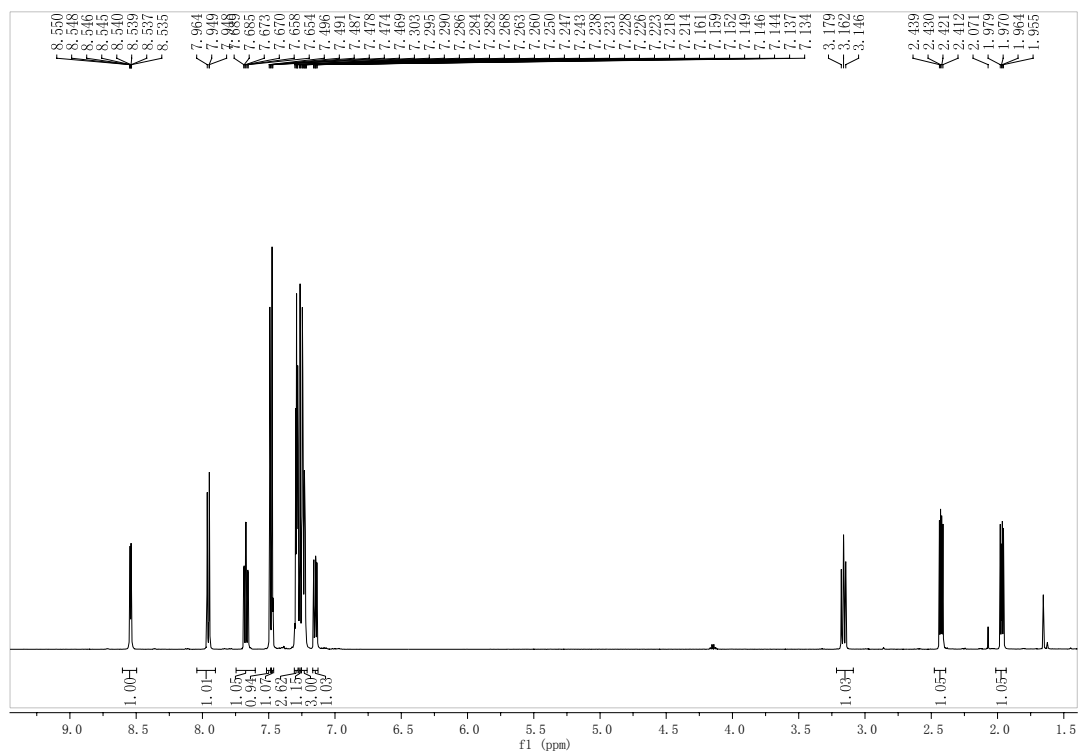


2-(2-(4-bromophenyl)-1-(phenylethynyl)cyclopropyl)pyridine (**3e**)

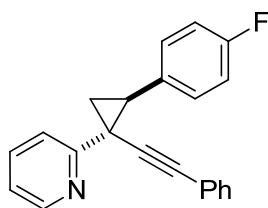


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); brown solid, 82% yield (for two steps), m.p. 86-88 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.55-8.53 (m, 1H), 7.96 (dt, $J = 8.0, 1.0$ Hz, 1H), 7.67 (td, $J = 7.5, 1.5$ Hz, 1H), 7.49 (t, $J = 2.5$ Hz, 1H), 7.47 (t, $J = 2.0$ Hz, 1H), 7.31-7.28 (m, 3H), 7.27-7.26 (m, 1H), 7.25-7.21 (m, 3H), 7.17-7.15 (m, 1H), 3.16 (t, $J = 3.5$ Hz, 1H), 2.43 (dd, $J = 9.0, 4.5$ Hz, 1H), 1.97 (dd, $J = 7.5, 4.5$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 159.1, 149.1, 136.9, 136.1, 131.5, 130.8, 130.3, 128.2, 127.9, 123.2, 121.6, 121.0, 120.4, 88.9, 84.1, 36.8, 27.7, 25.6; HRMS m/z (ESI+): Calculated for $\text{C}_{22}\text{H}_{16}\text{BrNH}^+$

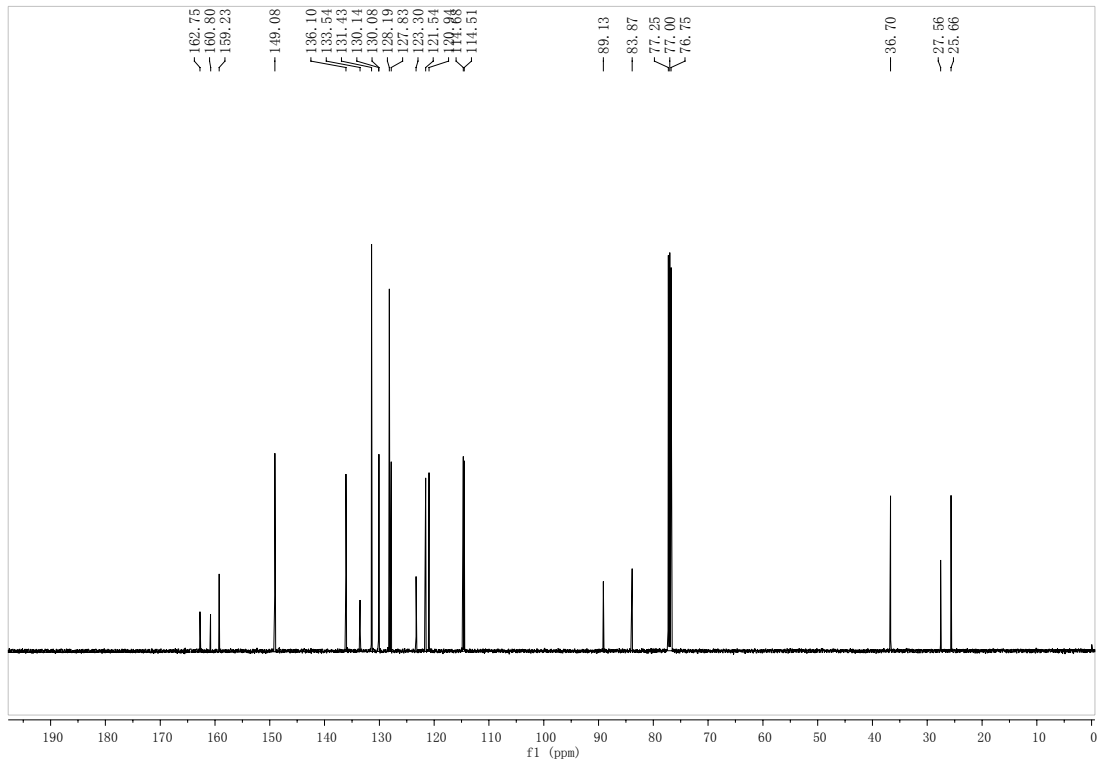
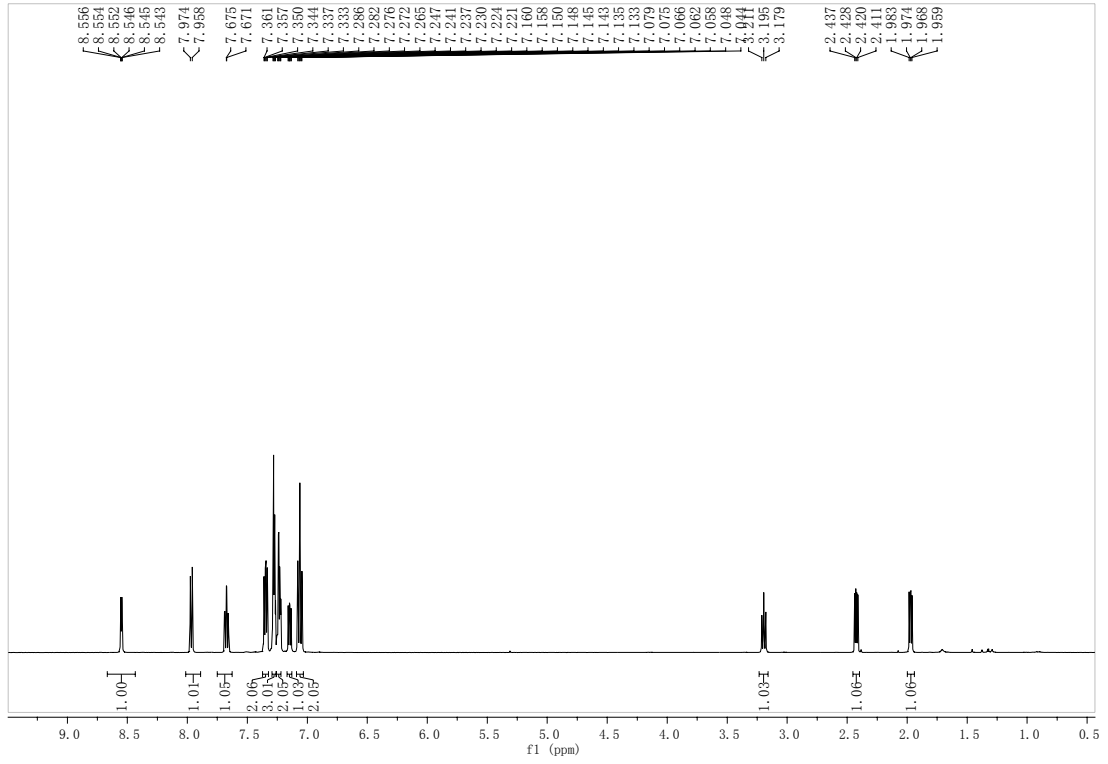
$([M+H]^+)$: 374.0539, Found 374.0542.



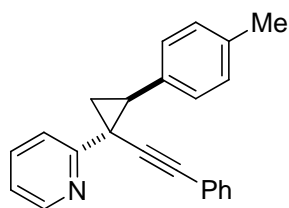
2-(2-(4-fluorophenyl)-1-(phenylethynyl)cyclopropyl)pyridine (**3f**)



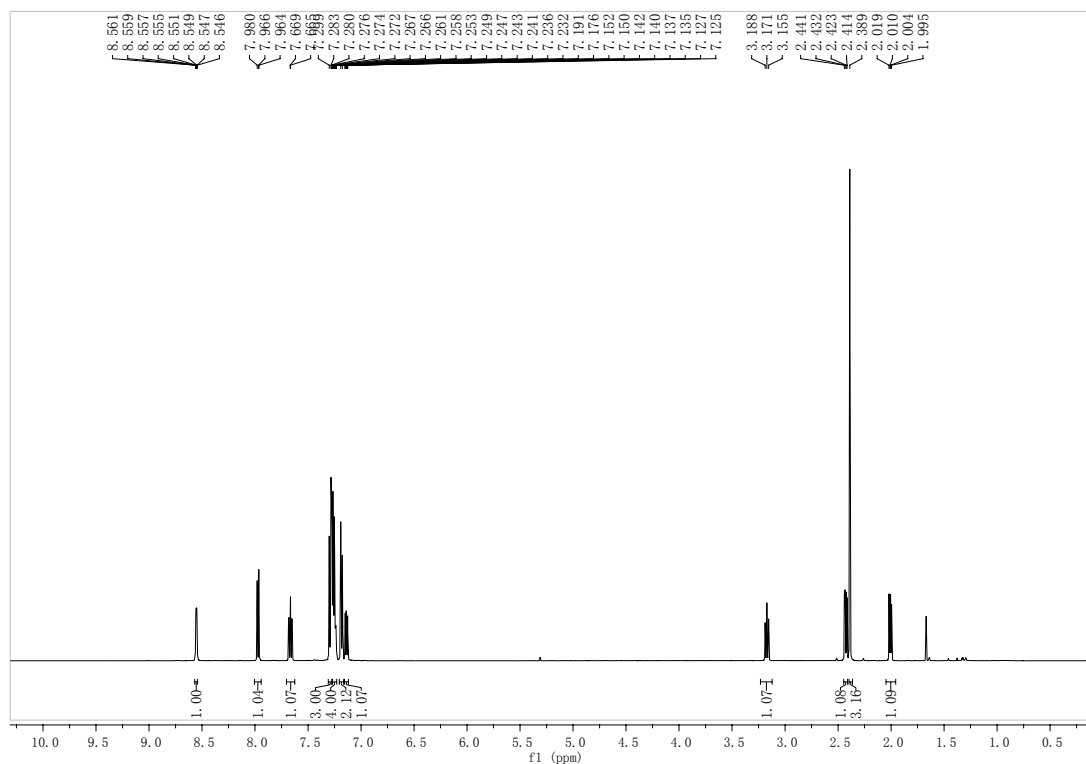
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); light yellow solid, 75% yield (for two steps), m.p. 66-68 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.56-8.54 (m, 1H), 7.97 (d, *J* = 7.5 Hz, 1H), 7.67 (td, *J* = 7.5, 1.5 Hz, 1H), 7.37-7.32 (m, 2H), 7.30-7.26 (m, 3H), 7.26-7.21 (m, 2H), 7.16-7.13 (m, 1H), 7.09-7.03 (m, 2H), 3.20 (t, *J* = 8.0 Hz, 1H), 2.42 (dd, *J* = 8.5, 4.5 Hz, 1H), 1.97 (dd, *J* = 7.5, 4.5 Hz, 1H); ¹³C NMR (125 MHz, CDCl₃) δ 161.8 (d, *J* = 243.8 Hz), 159.2, 149.1, 136.1, 133.5 (d, *J* = 3.0 Hz), 131.4, 130.1 (d, *J* = 8.0 Hz), 128.2, 127.8, 123.3, 121.5, 120.9, 114.6 (d, *J* = 21.3 Hz), 89.1, 83.9, 36.7, 27.6, 25.7; HRMS *m/z* (ESI⁺): Calculated for C₂₂H₁₆FNH⁺ ([M+H]⁺): 314.1340, Found 314.1348.

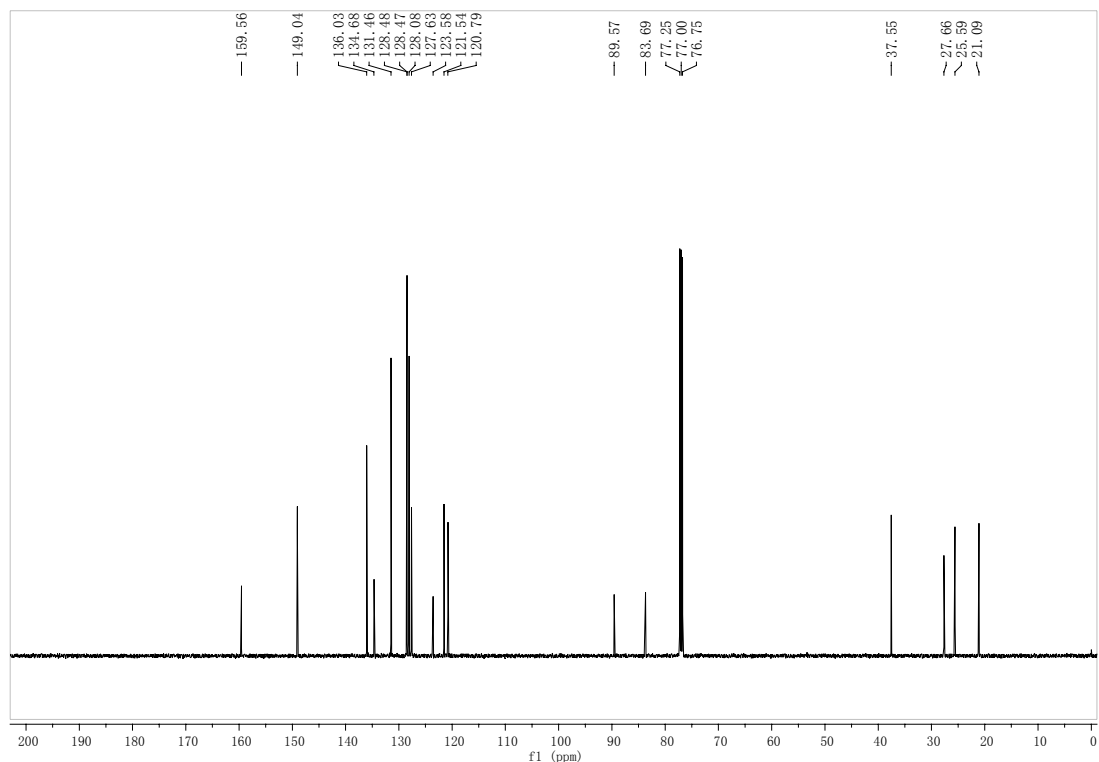


2-(1-(phenylethynyl)-2-(p-tolyl)cyclopropyl)pyridine (**3g**)

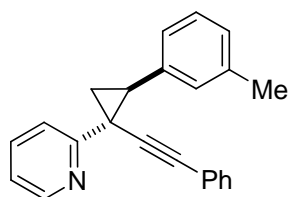


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 80% yield (for two steps), m.p. 47-49 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.57-8.54 (m, 1H), 7.97 (dt, $J = 7.5, 1.0$ Hz, 1H), 7.67 (td, $J = 7.5, 1.5$ Hz, 1H), 7.30-7.28 (m, 3H), 7.28-7.23 (m, 4H), 7.18 (d, $J = 7.5$ Hz, 2H), 7.16-7.12 (m, 1H), 3.17 (t, $J = 3.5$ Hz, 1H), 2.43 (dd, $J = 9.0, 4.5$ Hz, 1H), 2.39 (s, 3H), 2.01 (dd, $J = 7.5, 4.5$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 159.6, 149.0, 136.0, 134.7, 131.5, 128.48, 128.47, 128.1, 127.6, 123.6, 121.5, 120.8, 89.6, 83.7, 37.6, 27.7, 25.6, 21.1; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{23}\text{H}_{19}\text{NH}^+$ ($[\text{M}+\text{H}]^+$): 310.1590, Found 310.1604.

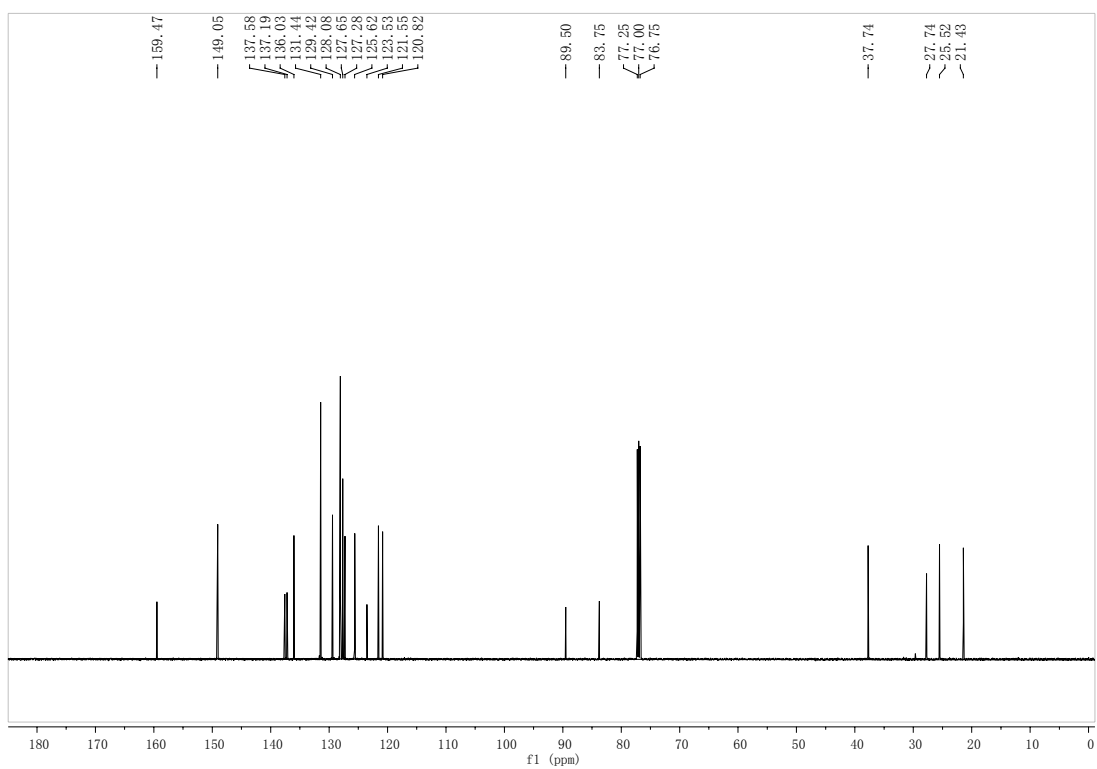
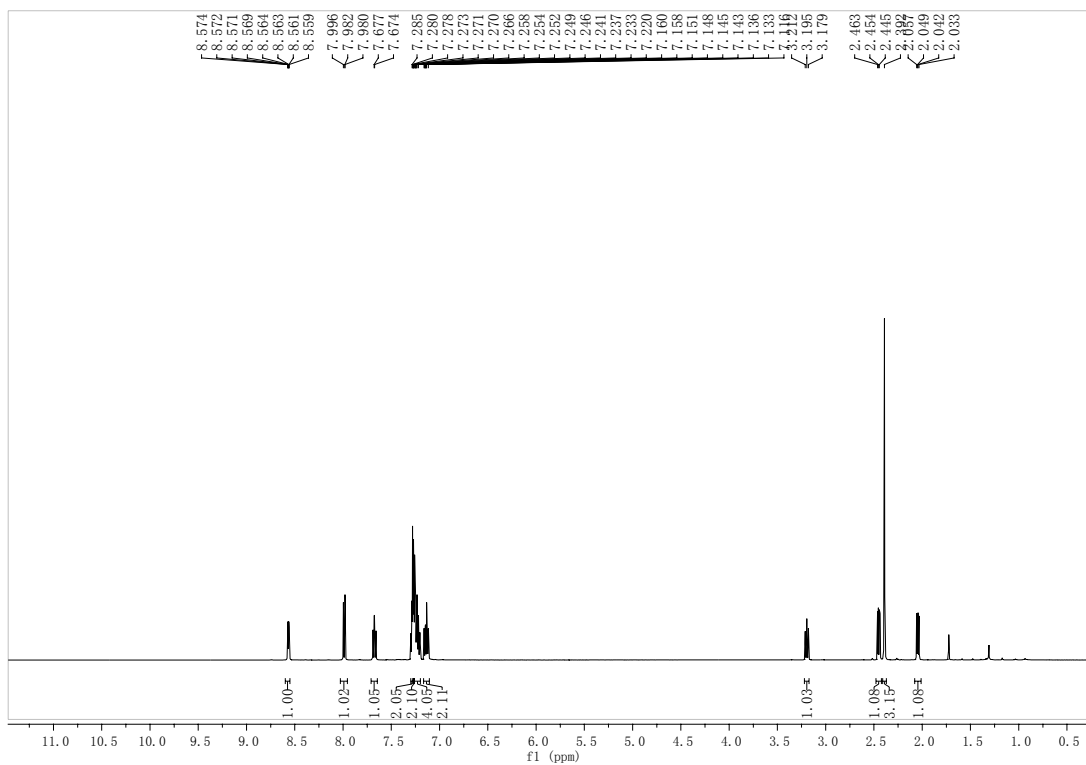




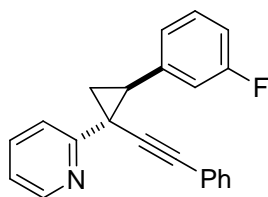
2-(1-(phenylethynyl)-2-(m-tolyl)cyclopropyl)pyridine (**3h**)



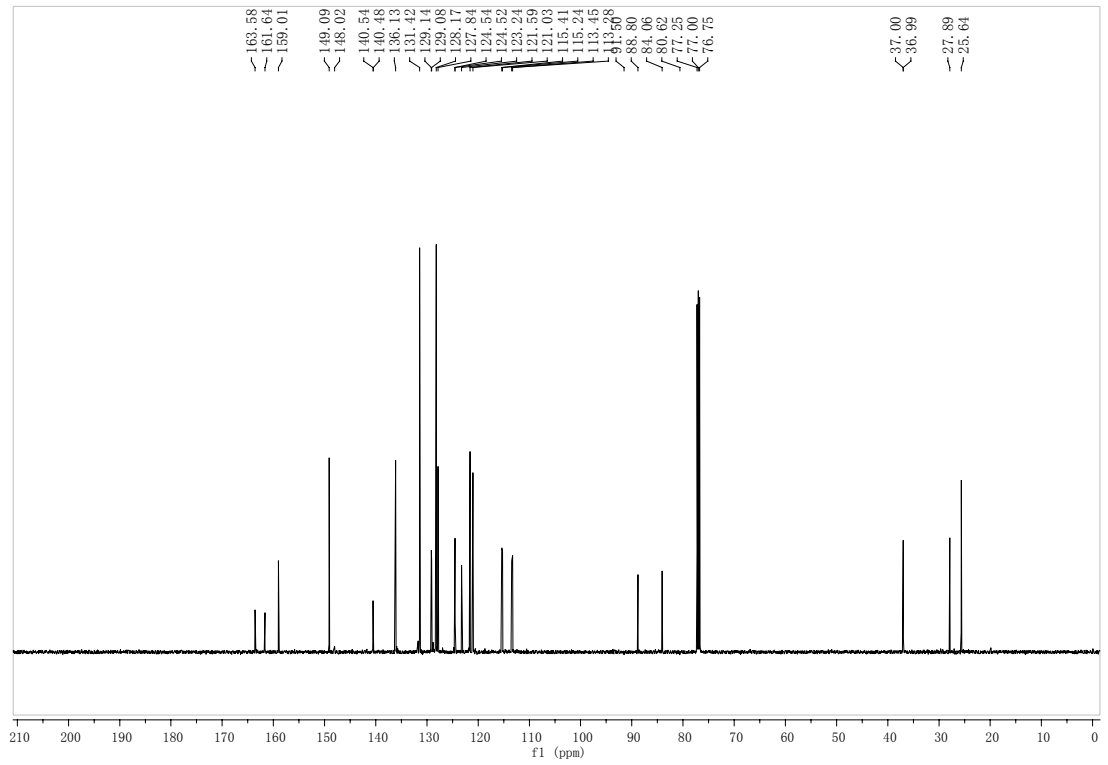
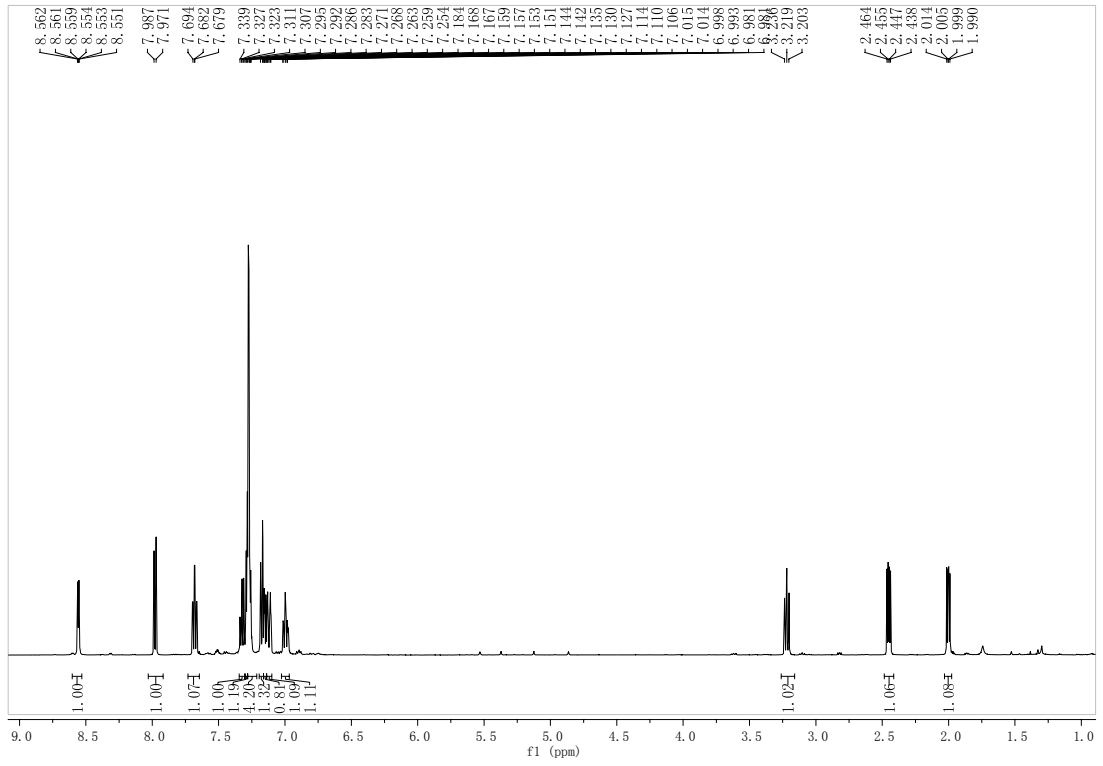
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow oil, 78% yield (for two steps); ^1H NMR (500 MHz, CDCl_3) δ 8.58-8.55 (m, 1H), 7.99 (dt, $J = 7.5, 1.0$ Hz, 1H), 7.68 (td, $J = 7.5, 1.5$ Hz, 1H), 7.30-7.27 (m, 2H), 7.28-7.26 (m, 2H), 7.26-7.20 (m, 4H), 7.17-7.11 (m, 2H), 3.22-3.17 (t, $J = 8.5$ Hz, 1H), 2.45 (dd, $J = 8.5, 4.5$ Hz, 1H), 2.39 (s, 3H), 2.05 (dd, $J = 7.5, 4.5$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 159.5, 149.1, 137.6, 137.2, 136.0, 131.4, 129.4, 128.1, 127.7, 127.3, 125.6, 123.5, 121.6, 120.8, 89.5, 83.8, 37.7, 27.7, 25.5, 21.4; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{23}\text{H}_{19}\text{NH}^+$ ($[\text{M}+\text{H}]^+$): 310.1590, Found 310.1613.



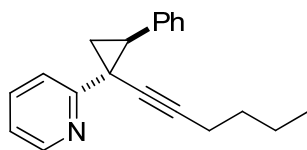
2-(2-(3-fluorophenyl)-1-(phenylethynyl)cyclopropyl)pyridine (**3i**)



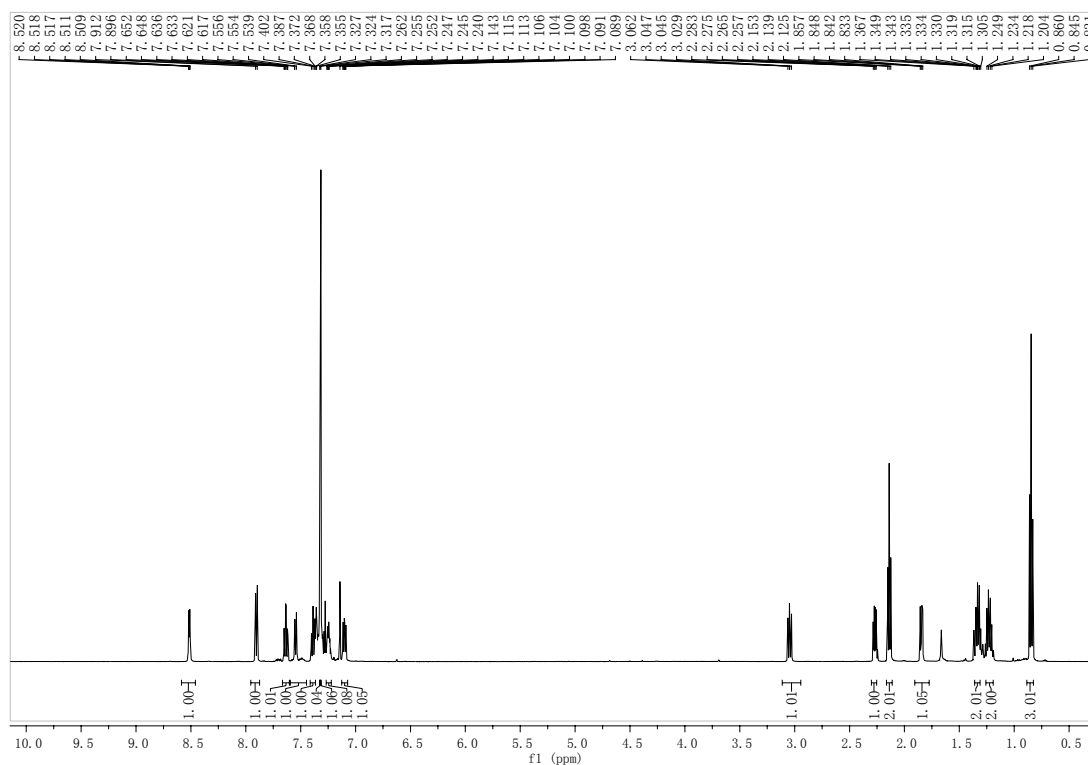
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); colorless oil, 78% yield (for two steps); ^1H NMR (500 MHz, CDCl_3) δ 8.60-8.55 (m, 1H), 7.98 (d, $J = 7.5$ Hz, 1H), 7.68 (td, $J = 7.5, 1.5$ Hz, 1H), 7.34 - 7.30 (m, 1H), 7.30-7.28 (m, 1H), 7.28-7.24 (m, 4H), 7.19-7.16 (m, 1H), 7.16-7.14 (m, 1H), 7.14-7.10 (m, 1H), 7.12 (td, $J = 10.5, 2.5$ Hz, 1H), 3.22 (t, $J = 8.5$ Hz, 1H), 2.45 (dd, $J = 8.5, 4.5$ Hz, 1H), 2.00 (dd, $J = 7.5, 4.5$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 162.6(d, $J = 242.5$ Hz), 159.0, 149.1, 140.5 (d, $J = 7.7$ Hz), 136.1, 131.4, 129.1 (d, $J = 8.4$ Hz), 128.2, 127.8, 124.5 (d, $J = 2.7$ Hz), 123.2, 121.6, 121.0, 115.3 (d, $J = 21.3$ Hz), 113.4 (d, $J = 21.3$ Hz), 88.8, 84.1, 37.0 (d, $J = 1.8$ Hz), 27.9, 25.6; HRMS m/z (ESI+): Calculated for $\text{C}_{22}\text{H}_{16}\text{FNH}^+$ ($[\text{M}+\text{H}]^+$): 314.1340, Found 314.1363.

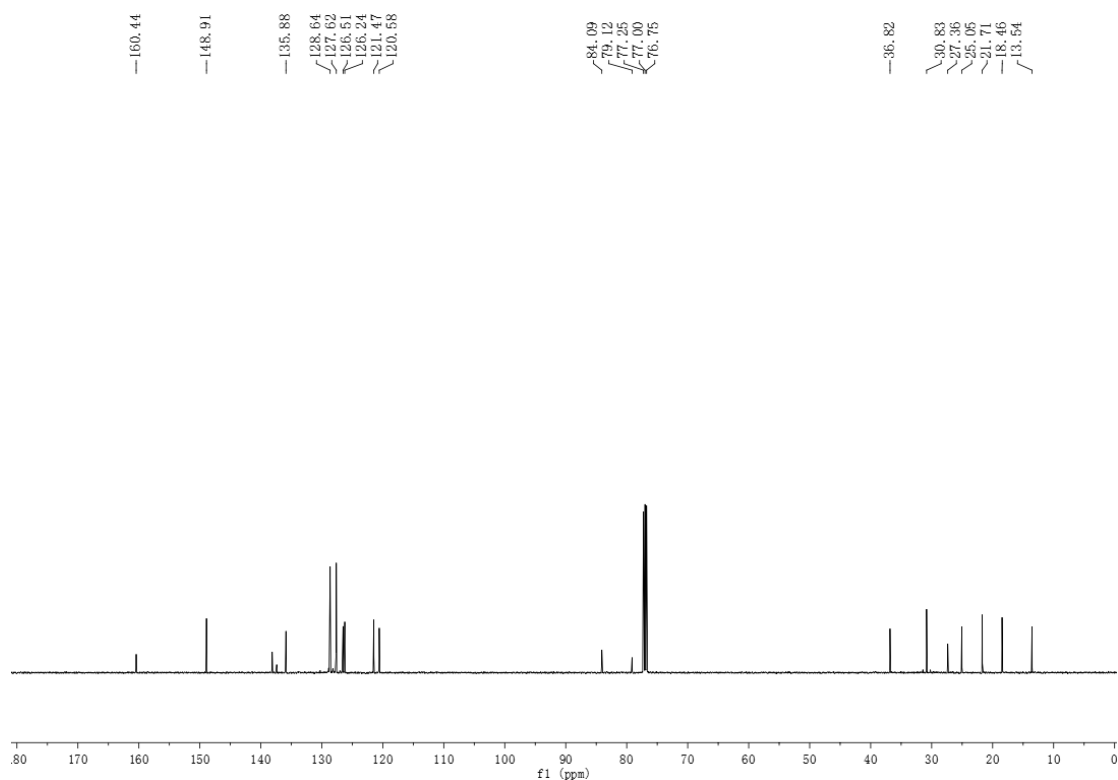


2-(1-(hex-1-yn-1-yl)-2-phenylcyclopropyl)pyridine (**3j**)

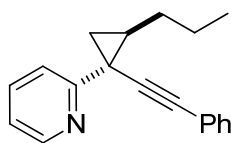


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); light yellow oil, 65% yield (for two steps); ¹H NMR (500 MHz, CDCl₃) δ 8.52-8.51 (m, 1H), 7.90 (d, *J* = 7.5 Hz, 1H), 7.63 (td, *J* = 7.5, 1.5 Hz, 1H), 7.56-7.53(m, 1H), 7.41-7.36 (m, 1H), 7.33 (d, *J* = 1.5 Hz, 1H), 7.31 (s, 1H), 7.27-7.22 (m, 1H), 7.11-7.08 (m, 1H), 3.07-3.02 (mz, 1H), 2.27 (dd, *J* = 9.0, 4.0 Hz, 1H), 2.14 (t, *J* = 6.5 Hz, 2H), 1.85 (dd, *J* = 7.5, 4.0 Hz, 1H), 1.37-1.30 (m, 2H), 1.27-1.20 (m, 2H), 0.85 (t, *J* = 7.0 Hz, 3H); ¹³C NMR (125MHz, CDCl₃) δ 160.4, 148.9, 135.9, 128.6, 127.6, 126.5, 126.2, 121.5, 120.6, 84.1, 79.1, 36.8, 30.8, 27.4, 25.1, 21.7, 18.5, 13.5; HRMS *m/z* (ESI⁺): Calculated for C₂₀H₂₁NH⁺ ([M+H]⁺): 276.1747, Found 276.1771.

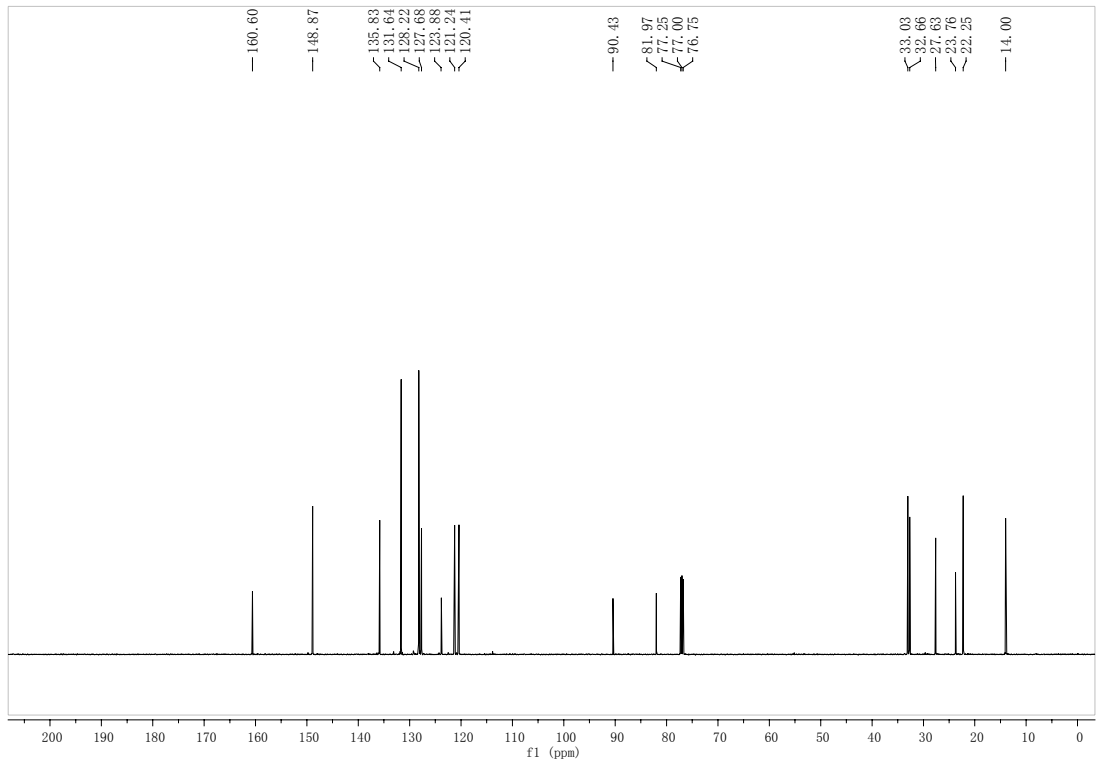
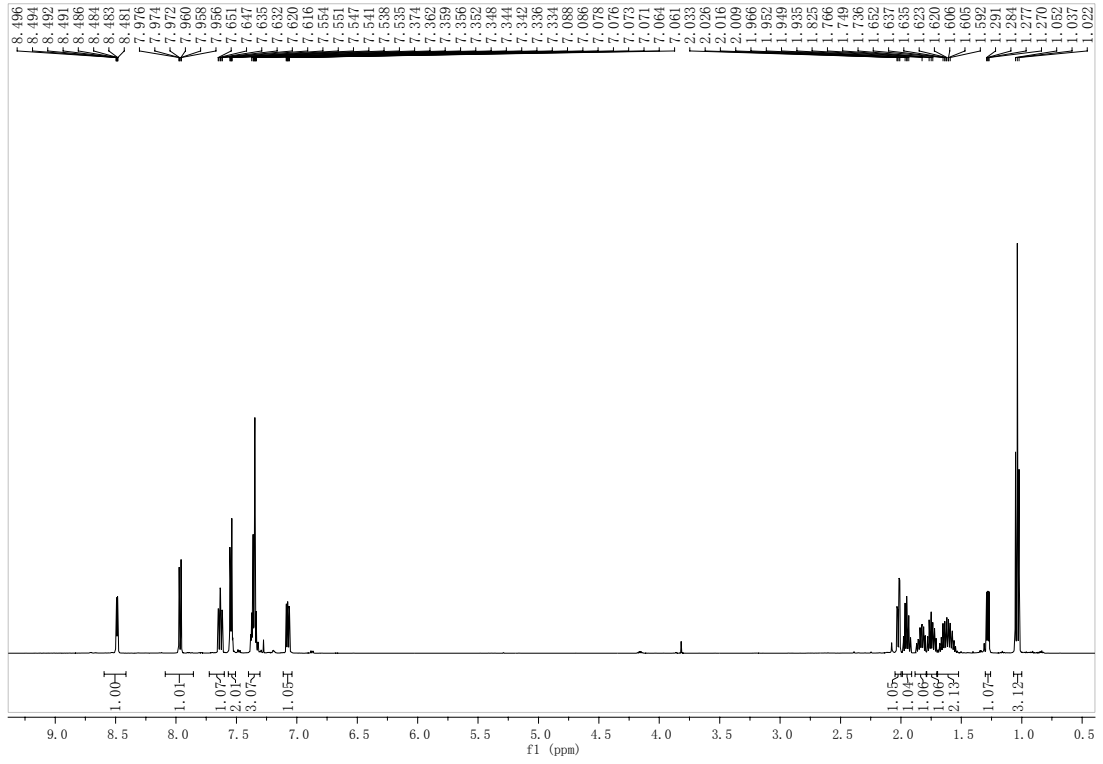




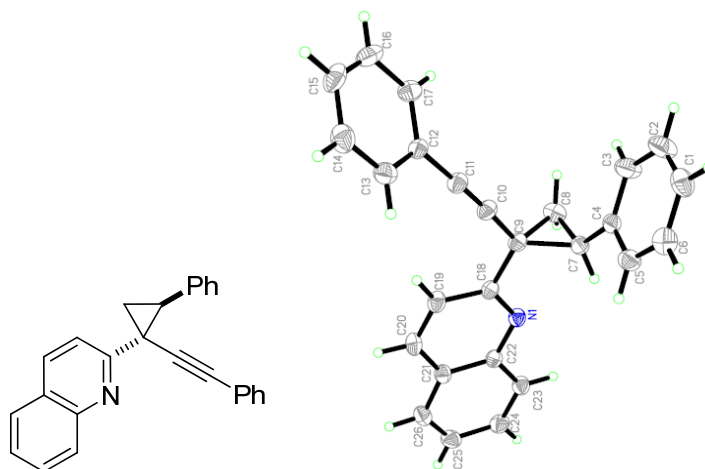
2-(1-(phenylethynyl)-2-propylcyclopropyl)pyridine (**3k**)



Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); light yellow oil, 70% yield (for two steps); ^1H NMR (500 MHz, CDCl_3) δ 8.50-8.48 (m, 1H), 7.97 (dt, $J = 8.0, 1.0$ Hz, 1H), 7.63 (td, $J = 8.0, 2.0$ Hz 1H), 7.57-7.51 (m, 2H), 7.40-7.30 (m, 3H), 7.09-7.06 (m, 1H), 2.02 (dd, $J = 8.5, 3.5$ Hz, 1H), 1.99-1.91 (m, 1H), 1.88-1.79 (m, 1H), 1.79-1.70 (m, 1H), 1.70-1.52 (m, 2H), 1.28 (dd, $J = 7.0, 3.5$ Hz, 1H), 1.04 (t, $J = 7.0$ Hz, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 160.6, 148.9, 135.8, 131.6, 128.2, 127.7, 123.9, 121.2, 120.4, 90.4, 82.0, 33.0, 32.7, 27.6, 23.8, 22.3, 14.0.; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{19}\text{H}_{19}\text{NH}^+$ ($[\text{M}+\text{H}]^+$): 262.1590, Found 262.1615.

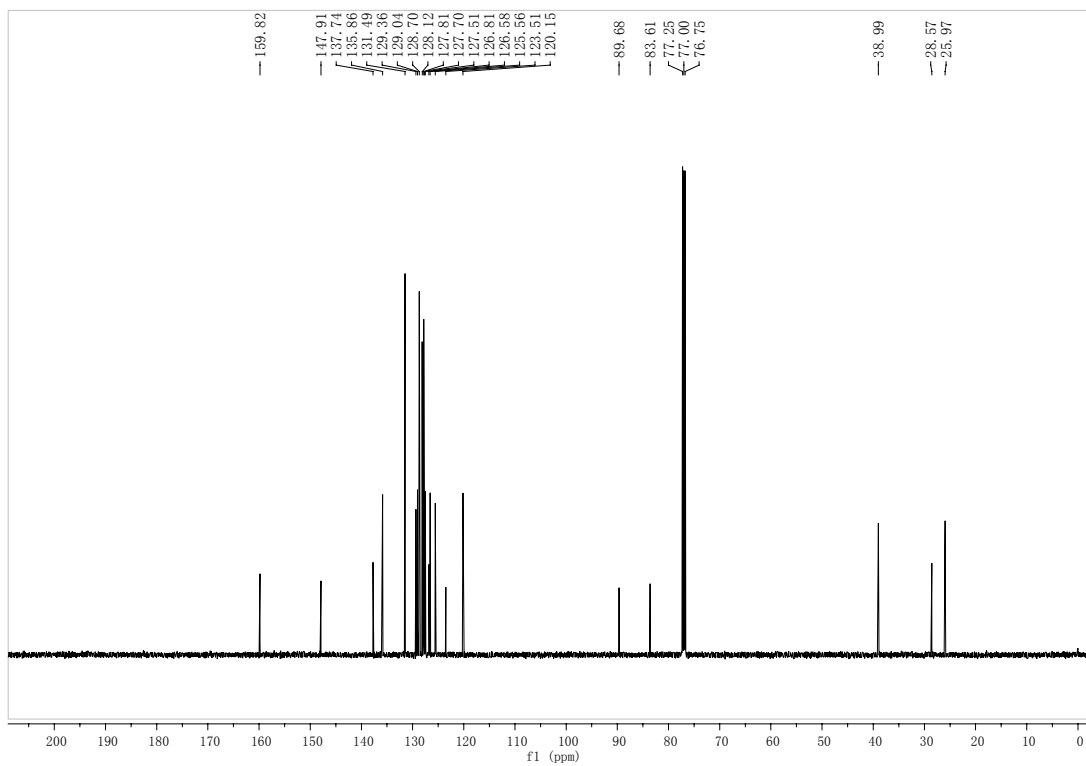
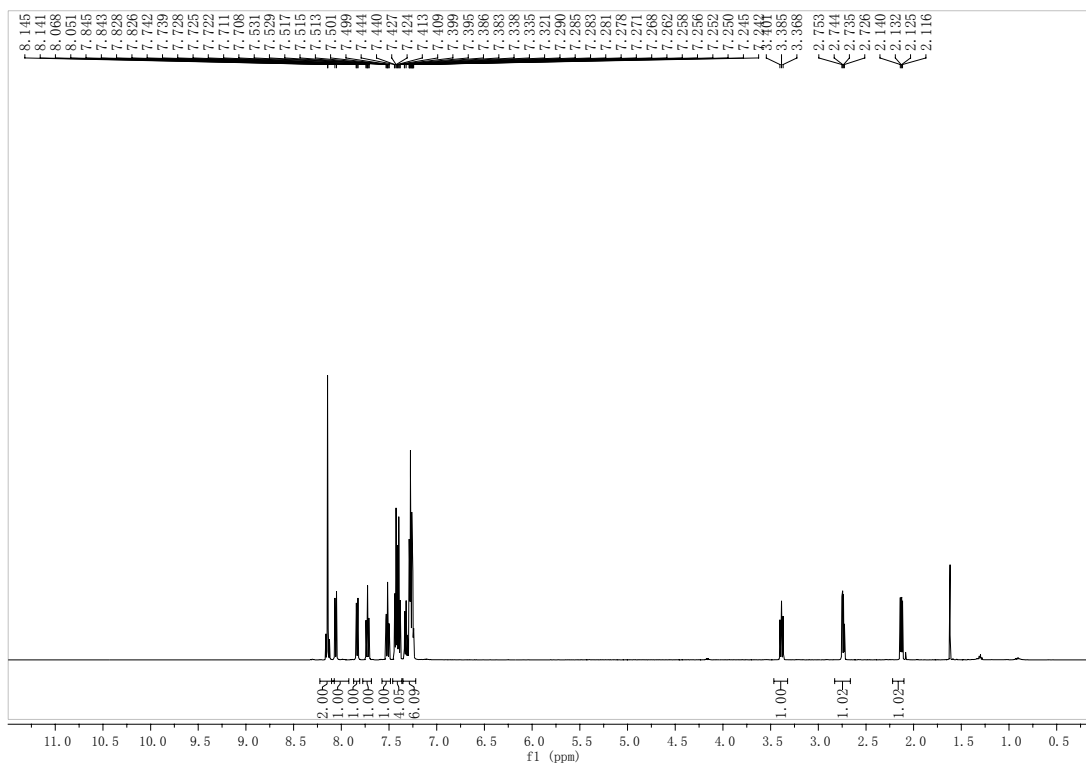


2-(2-phenyl-1-(phenylethynyl)cyclopropyl)quinoline (**31**)

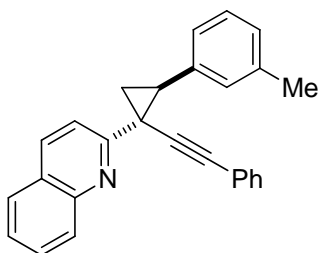


X-Ray structure of **31**

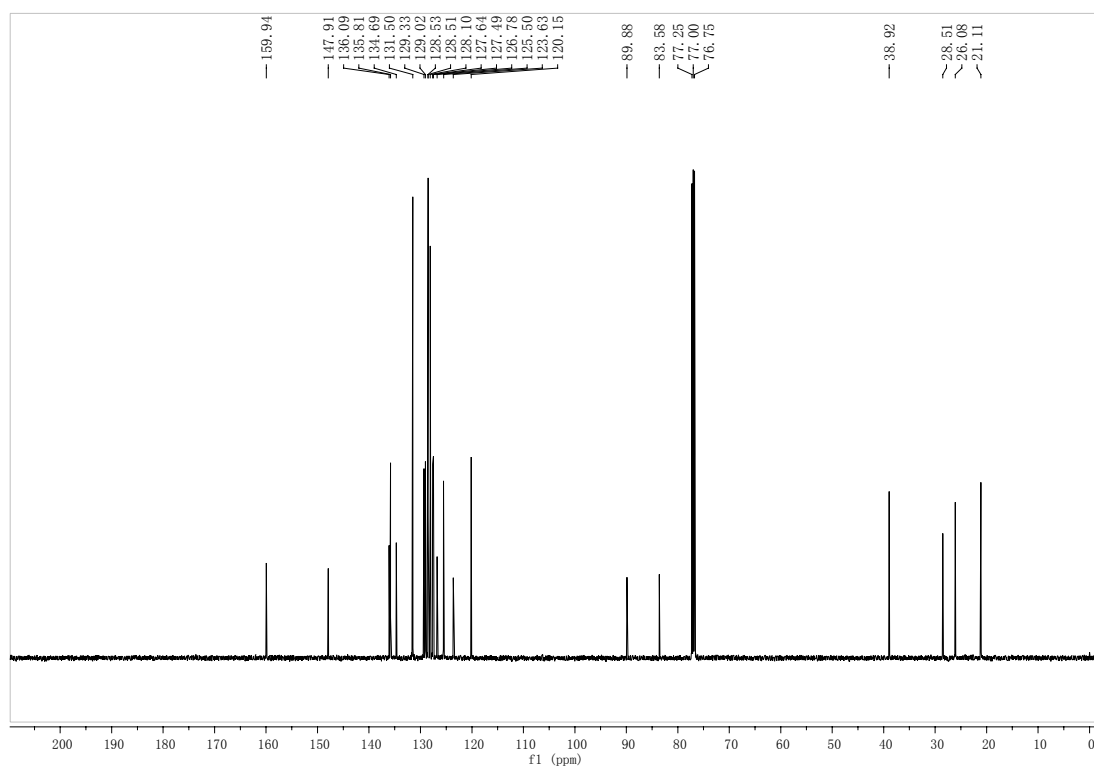
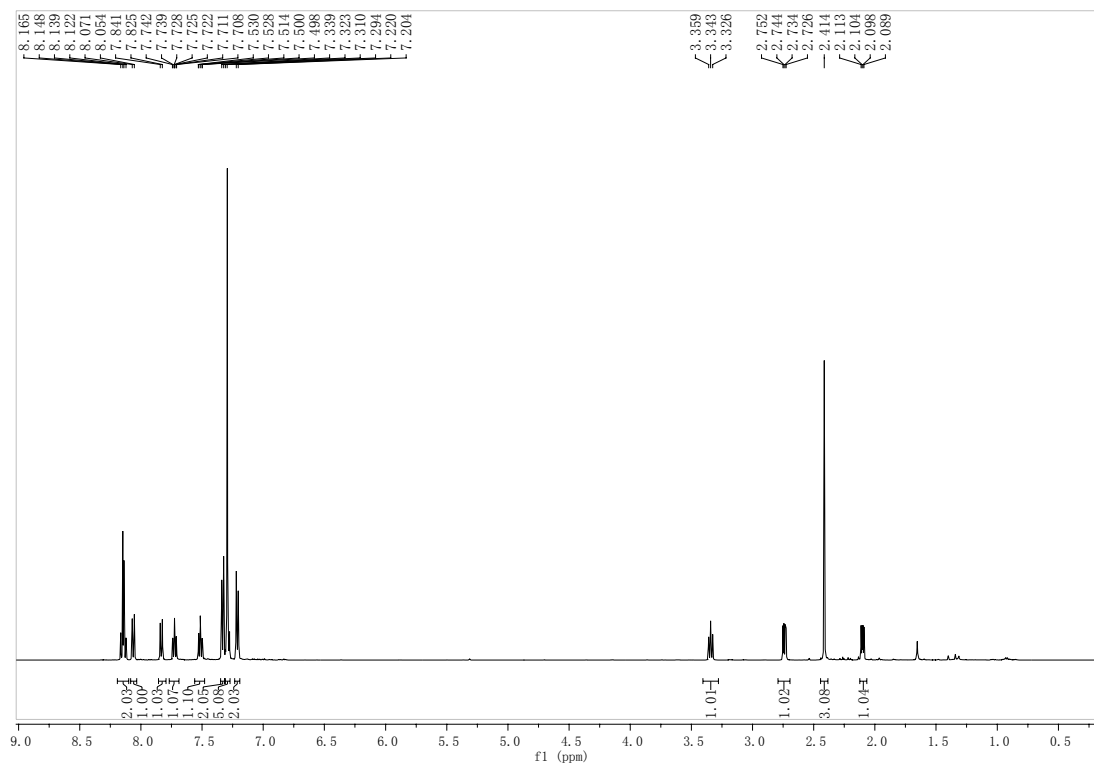
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); red solid, 70% yield (for two steps), m.p. 133-135 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.23-8.10 (m, 2H), 8.06 (d, $J = 8.5$ Hz, 1H), 7.84 (dd, $J = 8.0, 1.0$ Hz, 1H), 7.75-7.70 (m, 1H), 7.53-7.49 (m, 1H), 7.46-7.37 (m, 4H), 7.35-7.22 (m, 6H), 3.38 (t, $J = 3.0$ Hz, 1H), 2.74 (dd, $J = 8.5, 4.0$ Hz, 1H), 2.13 (dd, $J = 7.5, 4.0$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 159.8, 147.9, 137.7, 135.9, 131.5, 129.4, 129.1, 128.8, 128.2, 127.8, 127.7, 127.5, 126.8, 126.6, 125.6, 123.5, 120.2, 89.7, 83.6, 39.0, 28.6, 26.0; Calculated for $\text{C}_{26}\text{H}_{19}\text{NH}^+$ ($[\text{M}+\text{H}]^+$): 346.1590, Found 346.1594.



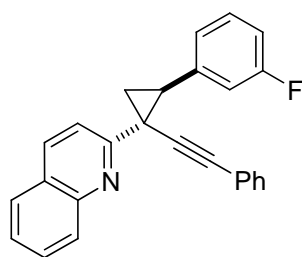
2-(1-(phenylethynyl)-2-(*m*-tolyl)cyclopropyl)quinoline (**3m**)



Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 74% yield (for two steps); m.p. 104-107 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.19-8.10 (m, 2H), 8.06 (d, $J = 8.0$ Hz, 1H), 7.83 (d, $J = 8.0$ Hz, 1H), 7.74-7.70 m, 1H), 7.53-7.49 (m, 1H), 7.33 (d, $J = 8.0$ Hz, 2H), 7.30 (d, $J = 7.5$ Hz, 5H), 7.21 (d, $J = 7.5$ Hz, 2H), 3.34 (t, $J = 8.0$ Hz, 1H), 2.74 (dd, $J = 9.0, 4.0$ Hz, 1H), 2.41 (s, 3H), 2.10 (dd, $J = 7.5, 4.0$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 159.9, 147.9, 136.1, 135.8, 134.7, 131.5, 129.3, 129.0, 128.53, 128.51, 128.1, 127.6, 127.5, 126.8, 125.5, 123.6, 120.2, 89.9, 83.6, 38.9, 28.5, 26.1, 21.1; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{27}\text{H}_{21}\text{NH}^+$ ($[\text{M}+\text{H}]^+$): 360.1747, Found 360.1771.



2-(2-(3-fluorophenyl)-1-(phenylethynyl)cyclopropyl)quinoline (**3n**)

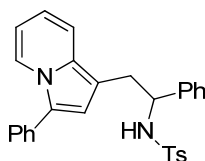


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); brown solid, 70% yield (for two steps); m.p. 89-91 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.18-8.13 (m, 2H), 8.07 (d, $J = 8.0$ Hz, 1H), 7.85 (dd, $J = 8.0, 1.0$ Hz, 1H), 7.76-7.72 (m, 1H), 7.55-7.51 (m, 1H), 7.37-7.31 (m, 6H), 7.22 (d, $J = 7.5$ Hz, 1H), 7.19-7.15 (m, 1H), 7.03 (m, 1H), 3.41 (t, $J = 8.0$ Hz, 1H), 2.75 (dd, $J = 8.5, 4.0$ Hz, 1H), 2.10 (dd, $J = 7.5, 4.0$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 162.6 (d, $J = 243.8$ Hz), 161.7, 159.4, 147.9, 140.5 (d, $J = 7.7$ Hz), 136.0, 131.5, 129.4, 129.2 (d, $J = 8.8$ Hz), 129.0, 128.2, 127.7 (d, $J = 41.3$ Hz), 126.8, 125.7, 124.6 (d, $J = 2.6$ Hz), 123.3, 120.1, 115.4 (d, $J = 21.3$ Hz), 113.4 (d, $J = 21.3$ Hz), 89.1, 84.0, 38.2, 28.7, 26.2; HRMS m/z (ESI+): Calculated for $\text{C}_{26}\text{H}_{18}\text{FNH}^+$ ($[\text{M}+\text{H}]^+$): 364.1496, Found 364.1508.

Typical procedure for the cyclization reaction of 2-(1-alkynyl-cyclopropyl)pyridine with nucleophiles.

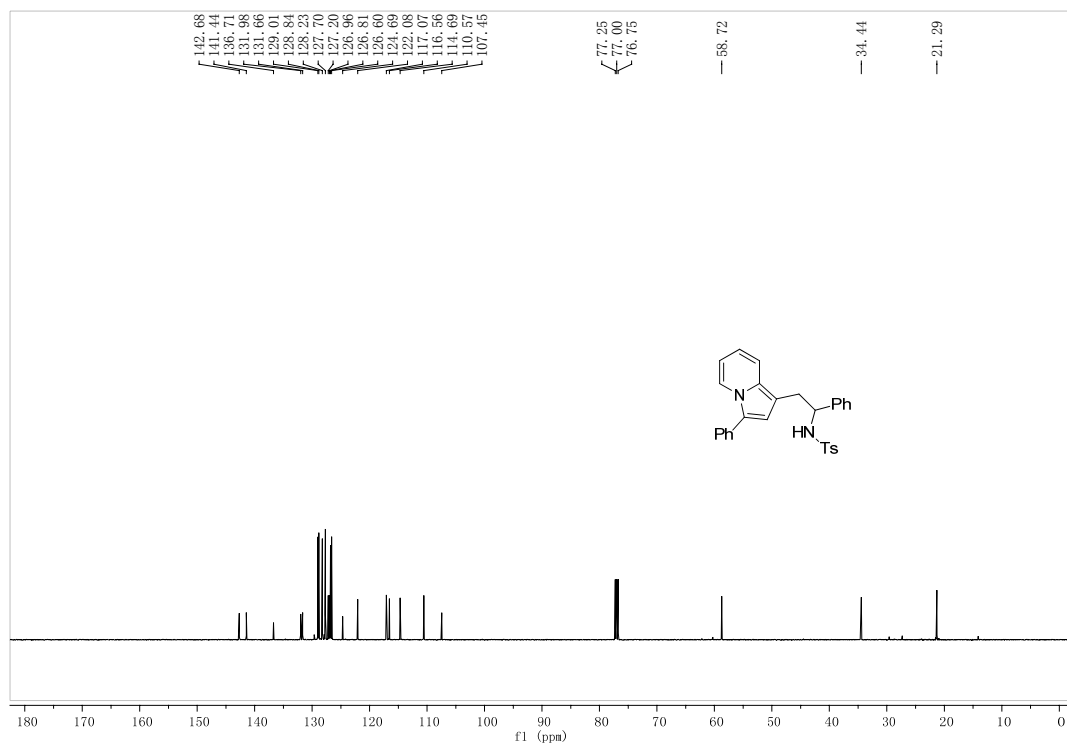
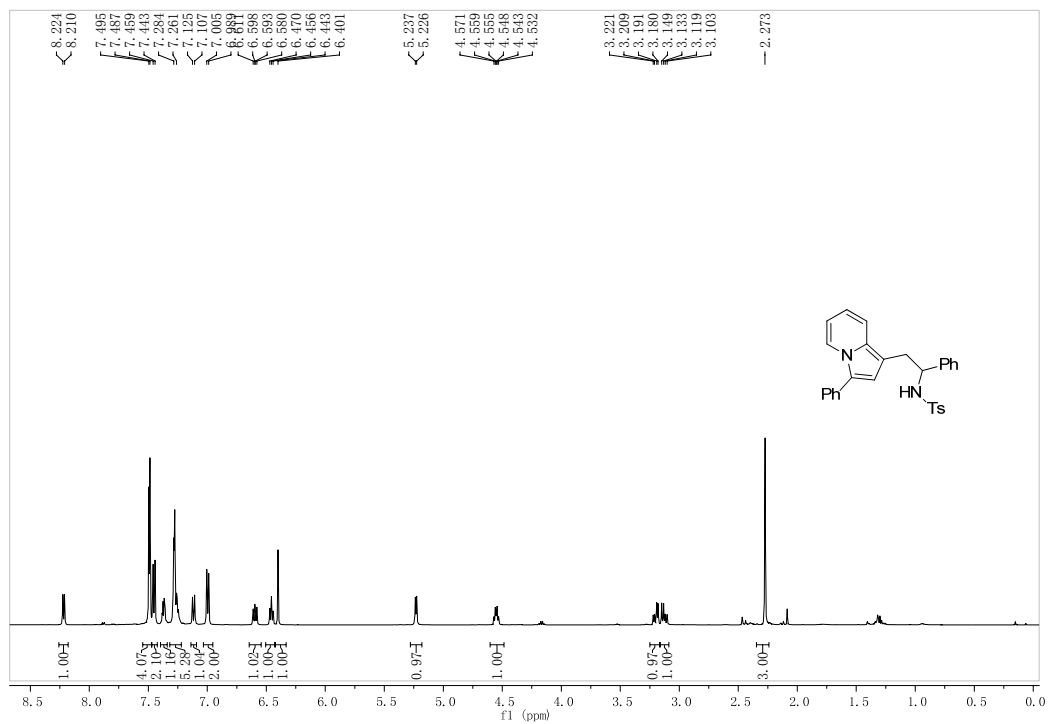
To a dried Schlenk tube were added IPrAuCl (0.01 mmol) and AgNTf₂ (0.01 mmol) stirred 10~15 minutes in anhydrous CH₂Cl₂ under N₂ at room temperature. After that the reaction mixture was concentrated under vacuum, then **3** (0.2 mmol) and nucleophiles **4** (0.3 mmol) were added under N₂, 2.0 mL DCE was then added through syringe. The mixture was stirred at 70 °C until the reaction was completed (monitored by TLC). The solvent was removed under vacuum and the residue was purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v) to afford the product **5**.

4-methyl-N-(1-phenyl-2-(3-phenylindolizin-1-yl)ethyl)benzenesulfonamide (**5aa**):

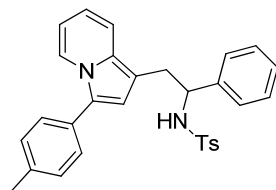


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); yellow solid, 94% yield, m.p. 170-173 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.22 (d, *J* = 7.0 Hz, 1H), 7.49 (d, *J* = 4.0 Hz, 4H), 7.45 (d, *J* = 8.0 Hz, 2H), 7.40-7.34 (m, 1H), 7.32-7.23 (m, 5H), 7.12 (d, *J* = 9.0 Hz, 1H), 7.00 (d, *J* = 8.0 Hz, 2H), 6.60 (dd, *J* = 9.0, 6.5 Hz, 1H), 6.46 (t, *J* = 6.5 Hz, 1H), 6.40 (s, 1H), 5.23 (d, *J* = 5.5 Hz, 1H), 4.53-4.58 (m, 1H), 3.20 (dd, *J* = 15.0, 6.0 Hz, 1H), 3.13 (dd, *J* = 15.0, 8.0 Hz, 1H), 2.27 (s, 3H); ¹³C NMR (125 MHz, CDCl₃) δ 142.7, 141.4, 136.7, 132.0, 131.7, 129.0, 128.8, 128.2, 127.7, 127.2, 127.0, 126.8, 126.6, 124.7, 122.1, 117.1, 116.6, 114.7, 110.6, 107.5, 58.8, 34.4, 21.3; HRMS *m/z* (ESI⁺): Calculated for

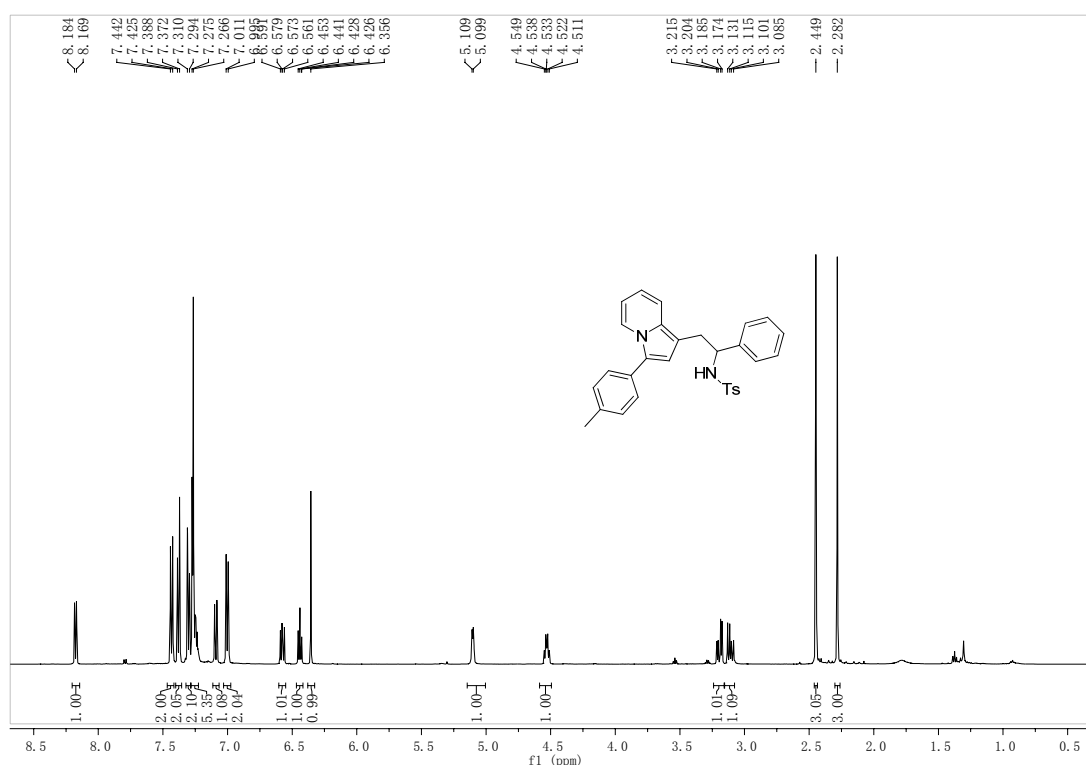
$C_{29}H_{26}N_2O_2SH^+$ ($[M+H]^+$): 467.1788, Found 467.1793.

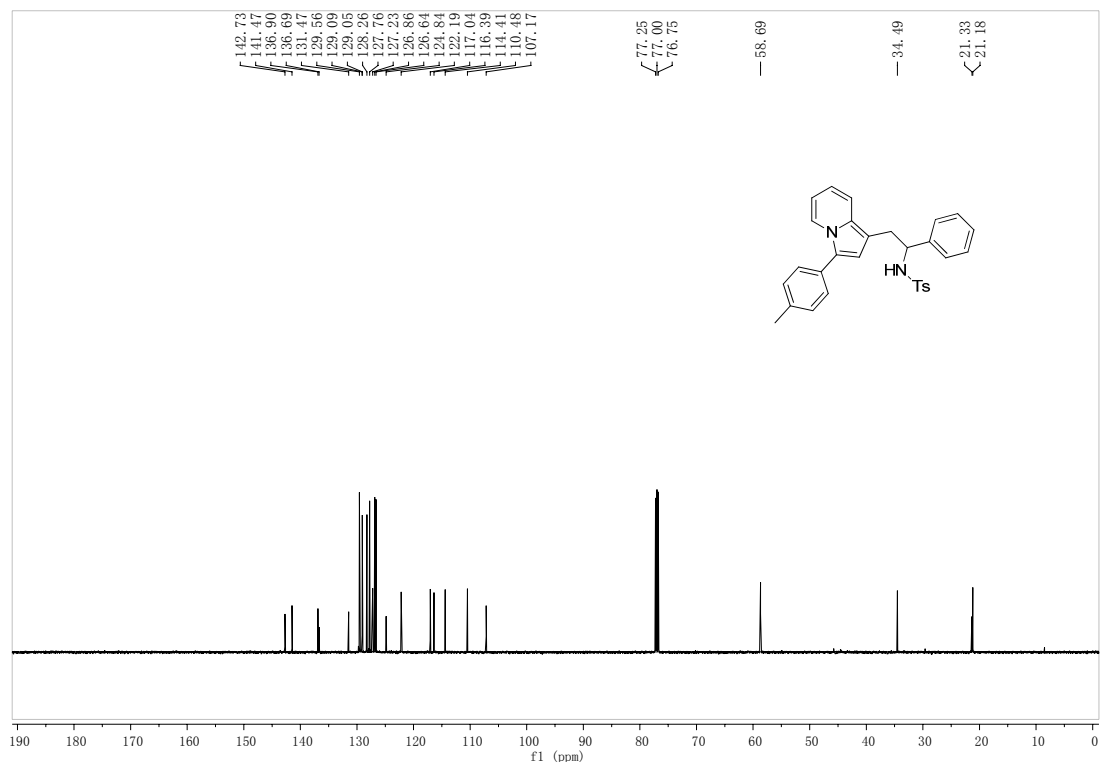


4-methyl-N-(1-phenyl-2-(3-(p-tolyl)indolizin-1-yl)ethyl)benzenesulfonamide (**5ab**):

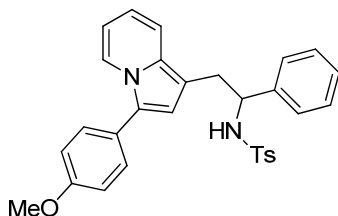


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 91% yield, m.p. 146-148 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.18 (d, $J = 7.5$ Hz, 1H), 7.43 (d, $J = 8.0$ Hz, 2H), 7.38 (d, $J = 8.0$ Hz, 2H), 7.30 (d, $J = 8.0$ Hz, 2H), 7.28-7.22 (m, 5H), 7.09 (d, $J = 9.0$ Hz, 1H), 7.00 (d, $J = 8.0$ Hz, 2H), 6.58 (dd, $J = 9.0, 6.5$ Hz, 1H), 6.46-6.42 (m, 1H), 6.36 (s, 1H), 5.10 (d, $J = 5.0$ Hz, 1H), 4.55-4.51 (m, 1H), 3.19 (dd, $J = 15.0, 5.5$ Hz, 1H), 3.11 (dd, $J = 15.0, 8.0$ Hz, 1H), 2.45 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 142.7, 141.5, 136.9, 136.7, 131.5, 129.6, 129.09, 129.05, 128.3, 127.8, 127.2, 126.9, 126.6, 124.8, 122.2, 117.0, 116.4, 114.4, 110.5, 107.2, 58.7, 34.5, 21.3, 21.2; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{30}\text{H}_{28}\text{N}_2\text{O}_2\text{SH}^+$ ($[\text{M}+\text{H}]^+$): 481.1944, Found 481.1951.





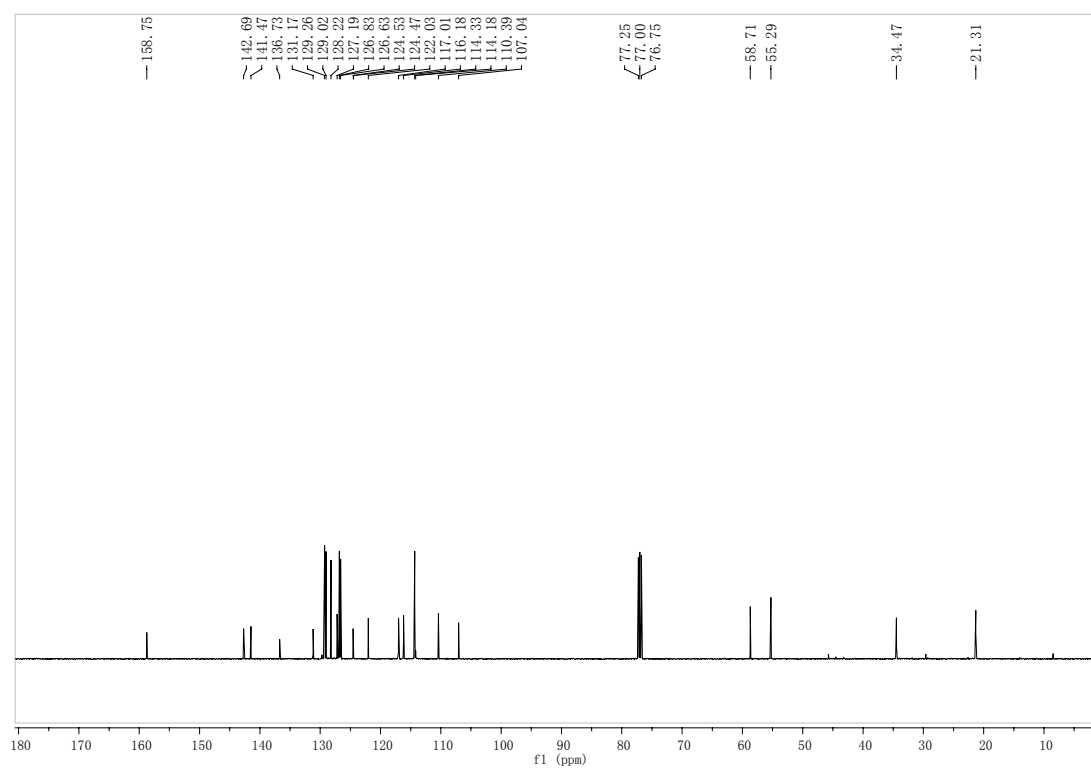
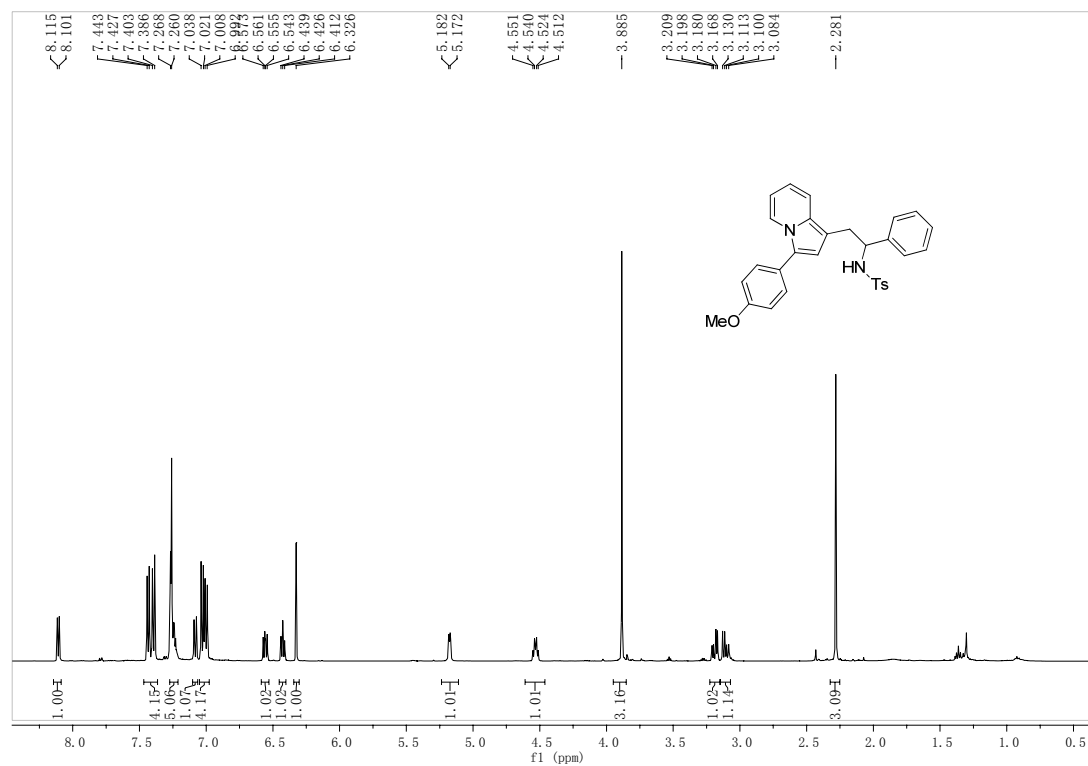
N-(2-(3-(4-methoxyphenyl)indolizin-1-yl)-1-phenylethyl)-4-methylbenzenesulfonamide (**5ac**):



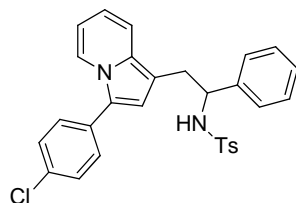
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 90% yield, m.p. 160-162 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.11 (d, *J* = 7.0 Hz, 1H), 7.47-7.36 (m, 4H), 7.27-7.21 (m, 5H), 7.08 (d, *J* = 9.0 Hz, 1H), 7.05-6.98 (m, 4H), 6.56 (dd, *J* = 9.0, 6.5 Hz, 1H), 6.43 (t, *J* = 7.0 Hz, 1H), 6.33 (s, 1H), 5.18 (d, *J* = 5.0 Hz, 1H), 4.53 (q, *J* = 5.5 Hz, 1H), 3.89 (s, 3H), 3.19 (dd, *J* = 15.0, 5.5 Hz, 1H), 3.11 (dd, *J* = 15.0, 8.0 Hz, 1H), 2.28 (s, 3H); ¹³C NMR (125 MHz, CDCl₃) δ 158.8, 142.7, 141.5, 136.7, 131.2, 129.3, 129.0, 128.2, 127.2, 126.8, 126.6,

124.53, 124.47, 122.0, 117.0, 116.2, 114.4, 114.2, 110.4, 107.0, 58.7, 55.3, 34.5, 21.3;

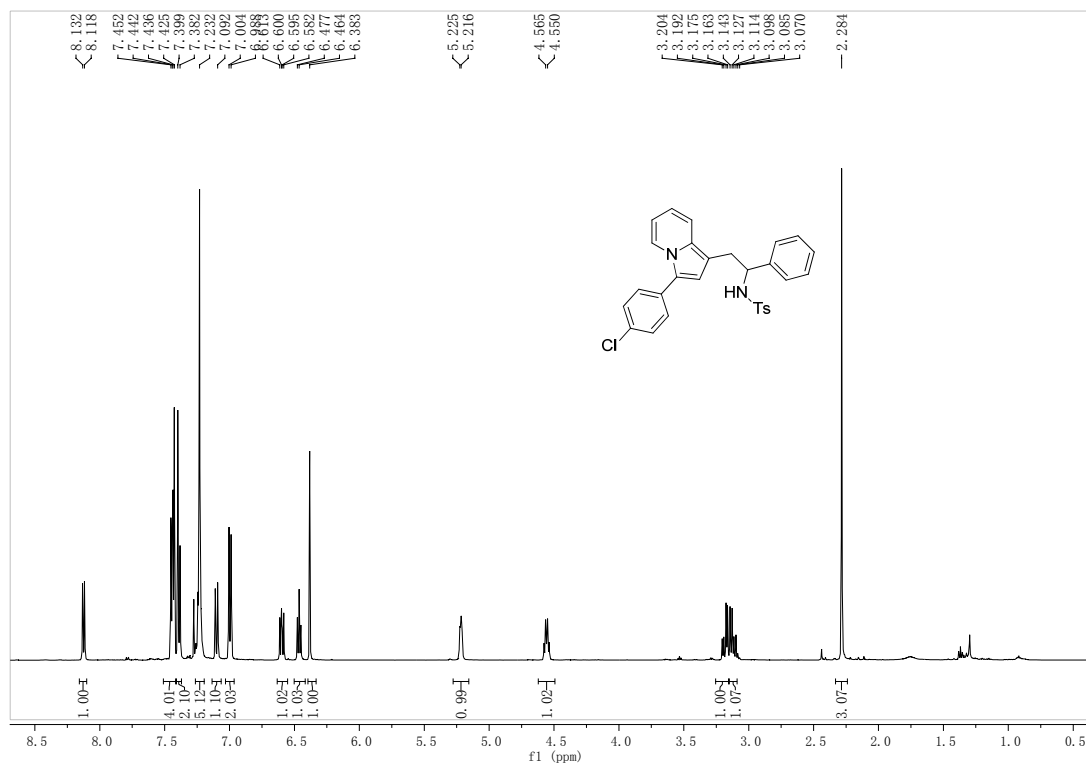
HRMS m/z (ESI+): Calculated for C₃₀H₂₈N₂O₃SH⁺ ([M+H]⁺): 497.1893, Found 497.1887.

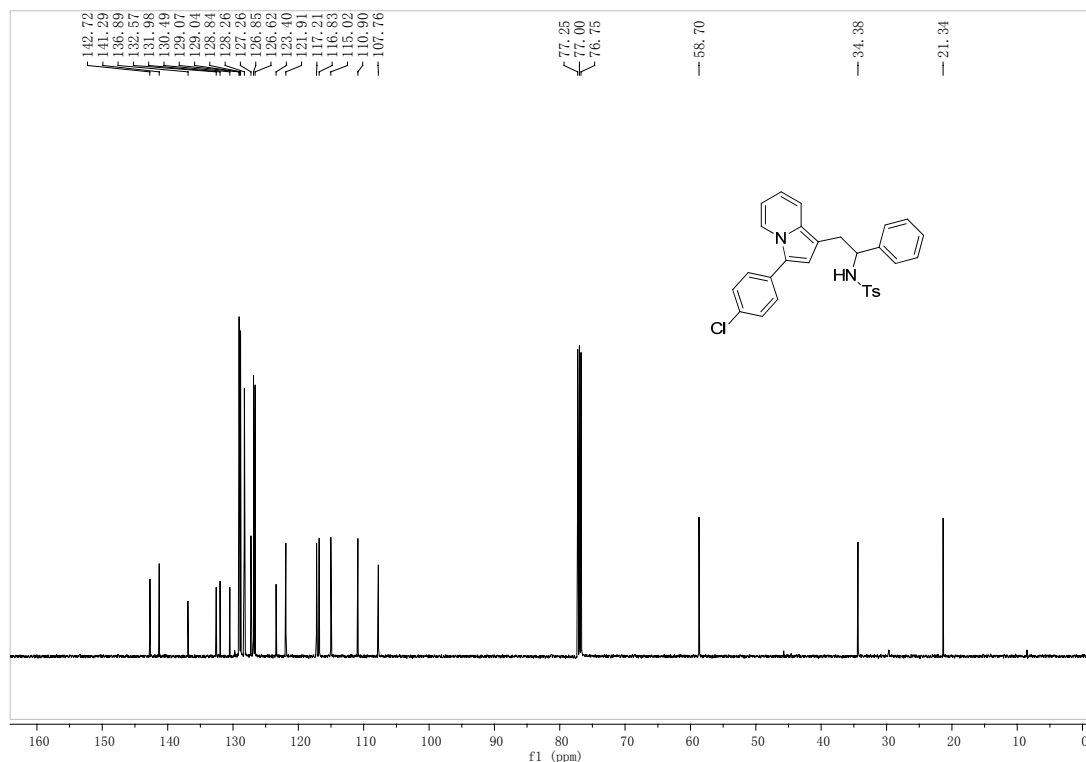


N-(2-(3-(4-chlorophenyl)indolizin-1-yl)-1-phenylethyl)-4-methylbenzenesulfonamide (**5ad**):

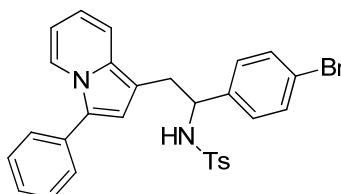


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 82% yield, m.p. 155-157 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.12 (d, $J = 7.0$ Hz, 1H), 7.51-7.41 (m, 4H), 7.41-7.37 (m, 2H), 7.27-7.20 (m, 5H), 7.10 (d, $J = 9.0$ Hz, 1H), 7.00 (d, $J = 8.0$ Hz, 2H), 6.60 (dd, $J = 9.0, 6.5$ Hz, 1H), 6.46 (t, $J = 6.5$ Hz, 1H), 6.38 (s, 1H), 5.22 (d, $J = 5.0$ Hz, 1H), 4.56 (dd, $J = 13.5, 6.0$ Hz, 1H), 3.18 (dd, $J = 15.0, 6.0$ Hz, 1H), 3.12 (dd, $J = 15.0, 8.0$ Hz, 1H), 2.28 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 142.7, 141.3, 136.9, 132.6, 132.0, 130.5, 129.1, 129.0, 128.8, 128.3, 127.3, 126.9, 126.6, 123.4, 121.9, 117.2, 116.8, 115.0, 111.9, 107.8, 58.7, 34.4, 21.3; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{29}\text{H}_{25}\text{ClN}_2\text{O}_2\text{SH}^+$ ($[\text{M}+\text{H}]^+$): 501.1398, Found 501.1397.



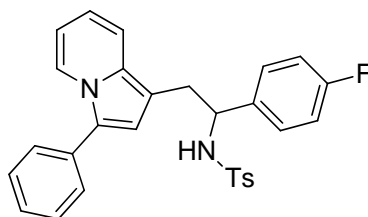


N-(1-(4-bromophenyl)-2-(3-phenylindolizin-1-yl)ethyl)-4-methylbenzenesulfonamide (5ae):



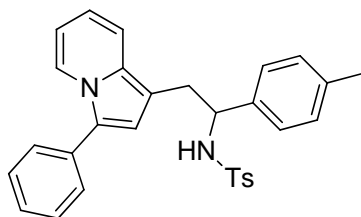
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 82% yield, m.p. 140-143 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.21 (d, *J* = 7.0 Hz, 1H), 7.51-7.46 (m, 4H), 7.42-7.34 (m, 5H), 7.13 (d, *J* = 8.0 Hz, 2H), 7.09 (d, *J* = 9.0 Hz, 1H), 6.99 (d, *J* = 8.0 Hz, 2H), 6.62-6.57 (m, 1H), 6.48-6.44 (m, 1H), 6.39 (s, 1H), 5.32 (d, *J* = 5.5 Hz, 1H), 4.48 (dt, *J* = 8.0, 6.0 Hz, 1H), 3.14 (dd, *J* = 15.0, 6.0 Hz, 1H), 3.06 (dd, *J* = 15.0, 8.0 Hz, 1H), 2.28 (s, 3H); ¹³C NMR (125 MHz, CDCl₃) δ 143.0, 140.5, 136.5, 131.9, 131.6, 131.3, 129.1, 128.9, 128.5, 127.7,

N-(1-(4-fluorophenyl)-2-(3-phenylindolizin-1-yl)ethyl)-4-methylbenzenesulfonamide (**5af**):

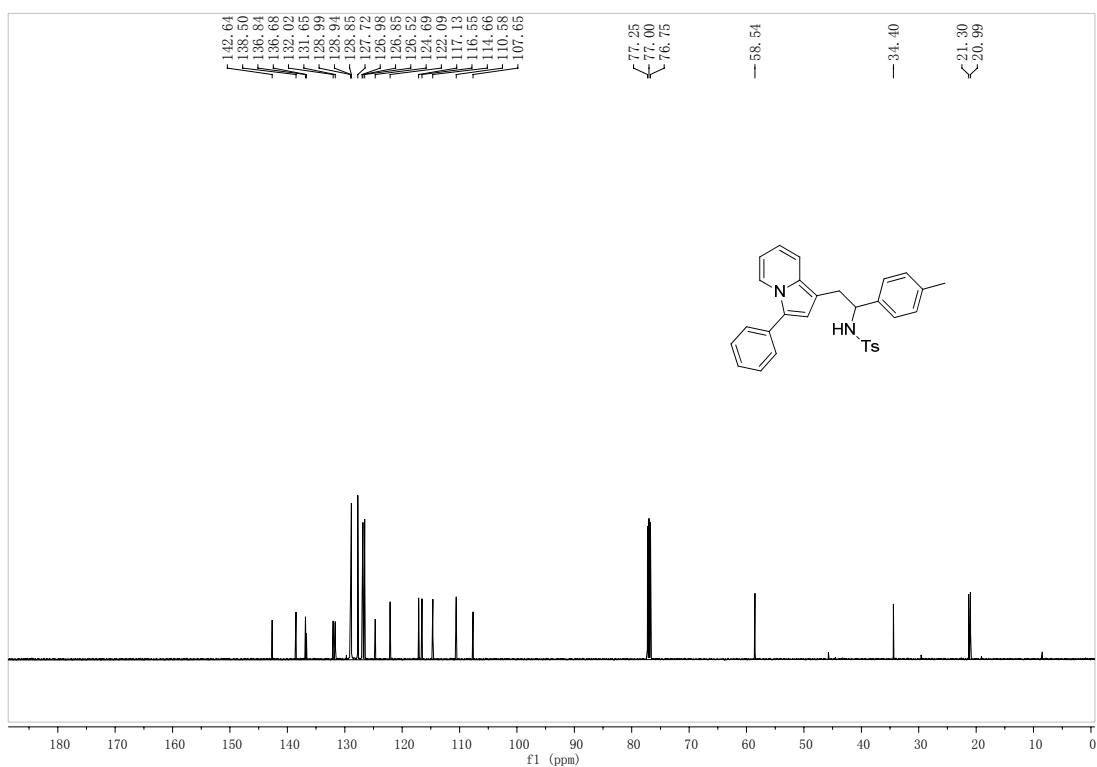
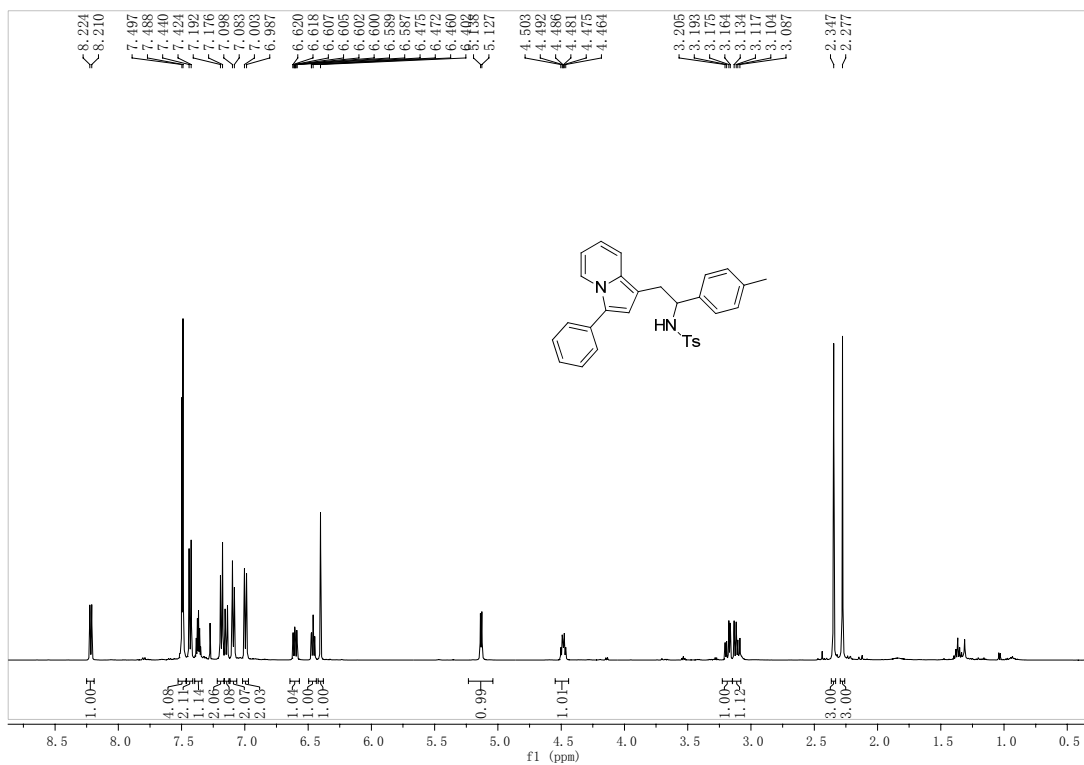


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 82% yield, m.p. 141-143 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.21 (d, $J = 7.0$ Hz, 1H), 7.51-7.46 (m, 4H), 7.42 (d, $J = 8.0$ Hz, 2H), 7.40-7.34 (m, 1H), 7.24-7.20 (m, 2H), 7.09 (d, $J = 9.0$ Hz, 1H), 7.00 (d, $J = 8.0$ Hz, 2H), 6.96-6.91 (m, 2H), 6.60 (dd, $J = 9.0, 6.0$ Hz, 1H), 6.48-6.44 (m, 1H), 6.39 (s, 1H), 5.25 (d, $J = 5.5$ Hz, 1H), 4.51 (dt, $J = 8.0, 5.5$ Hz, 1H), 3.15 (dd, $J = 15.0, 6.0$ Hz, 1H), 3.08 (dd, $J = 15.0, 8.0$ Hz, 1H), 2.28 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 162.0 (d, $J = 243.8$ Hz), 142.9, 137.3 (d, $J = 3.1$ Hz), 136.6, 131.8 (d, $J = 33.8$ Hz), 129.1, 128.9, 128.3 (d, $J = 8.1$ Hz), 127.8, 127.1, 126.9, 124.9, 122.2, 117.0, 116.7, 115.1, 115.0, 114.6, 110.7, 107.2, 58.1, 34.5, 21.3; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{29}\text{H}_{25}\text{FN}_2\text{O}_2\text{SH}^+$ ($[\text{M}+\text{H}]^+$): 485.1694, Found 485.1689.

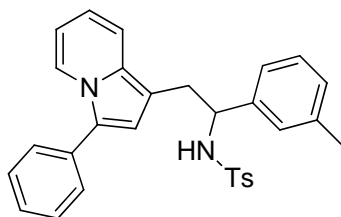
4-methyl-N-(2-(3-phenylindolizin-1-yl)-1-(p-tolyl)ethyl)benzenesulfonamide (**5ag**):



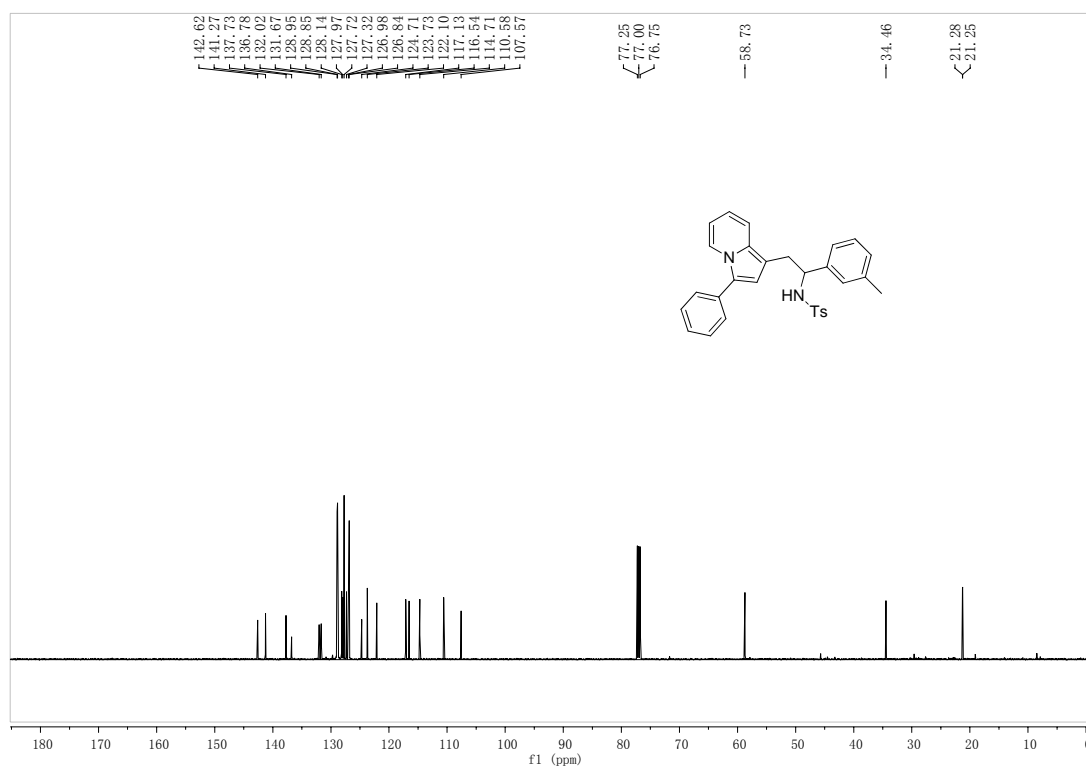
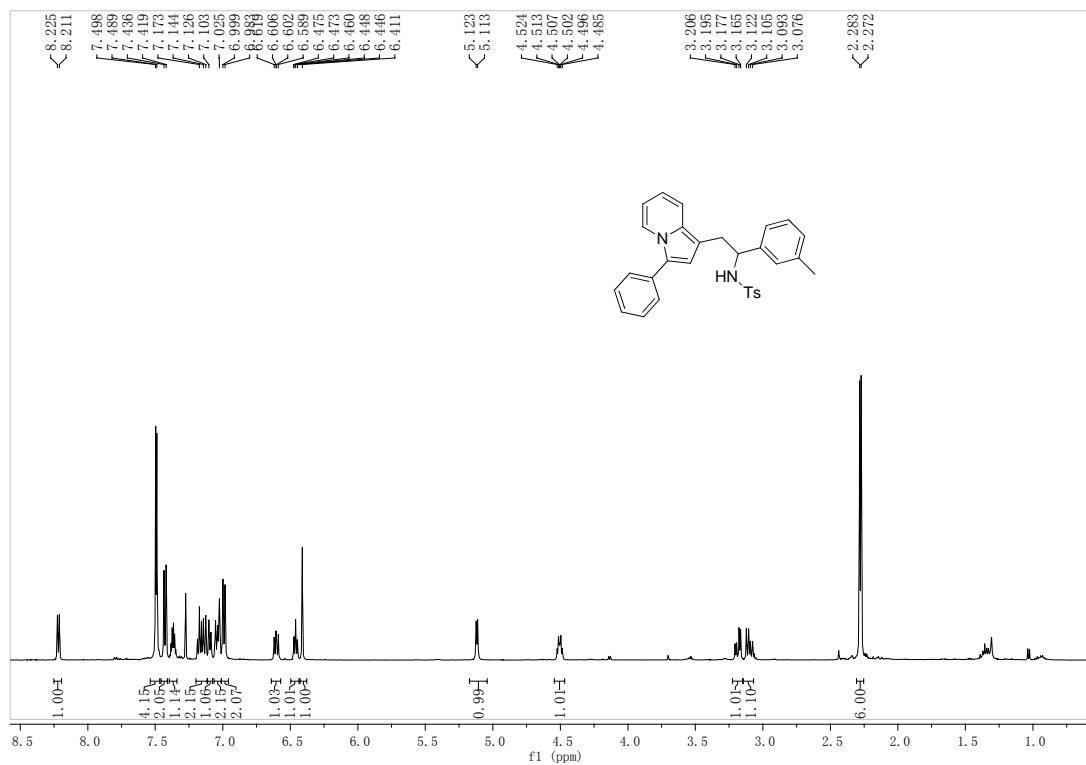
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 89% yield, m.p. 153-155 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.22 (d, $J = 7.0$ Hz, 1H), 7.49 (d, $J = 4.5$ Hz, 4H), 7.43 (d, $J = 8.0$ Hz, 2H), 7.40-7.34 (m, 1H), 7.18 (d, $J = 8.0$ Hz, 2H), 7.16-7.13 (m, 1H), 7.09 (d, $J = 8.0$ Hz, 2H), 6.99 (d, $J = 8.0$ Hz, 2H), 6.62-6.58 (m, 1H), 6.50-6.43 (m, 1H), 6.40 (s, 1H), 5.13 (d, $J = 5.5$ Hz, 1H), 4.51-4.46 (m, 5.5 Hz, 1H), 3.18 (dd, $J = 15.0, 6.0$ Hz, 1H), 3.11 (dd, $J = 15.0, 8.5$ Hz, 1H), 2.35 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 142.6, 138.5, 136.8, 136.7, 132.0, 131.7, 129.0, 128.94, 128.85, 127.7, 127.0, 126.9, 126.5, 124.7, 122.1, 117.1, 116.6, 114.7, 110.6, 107.7, 58.5, 34.4, 21.3, 21.0; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{30}\text{H}_{28}\text{N}_2\text{O}_2\text{SH}^+$ ($[\text{M}+\text{H}]^+$): 481.1944, Found 481.1948.



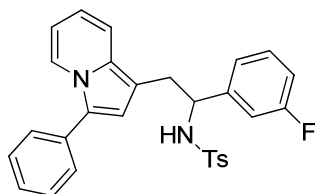
4-methyl-N-(2-(3-phenylindolizin-1-yl)-1-(m-tolyl)ethyl)benzenesulfonamide (**5ah**):



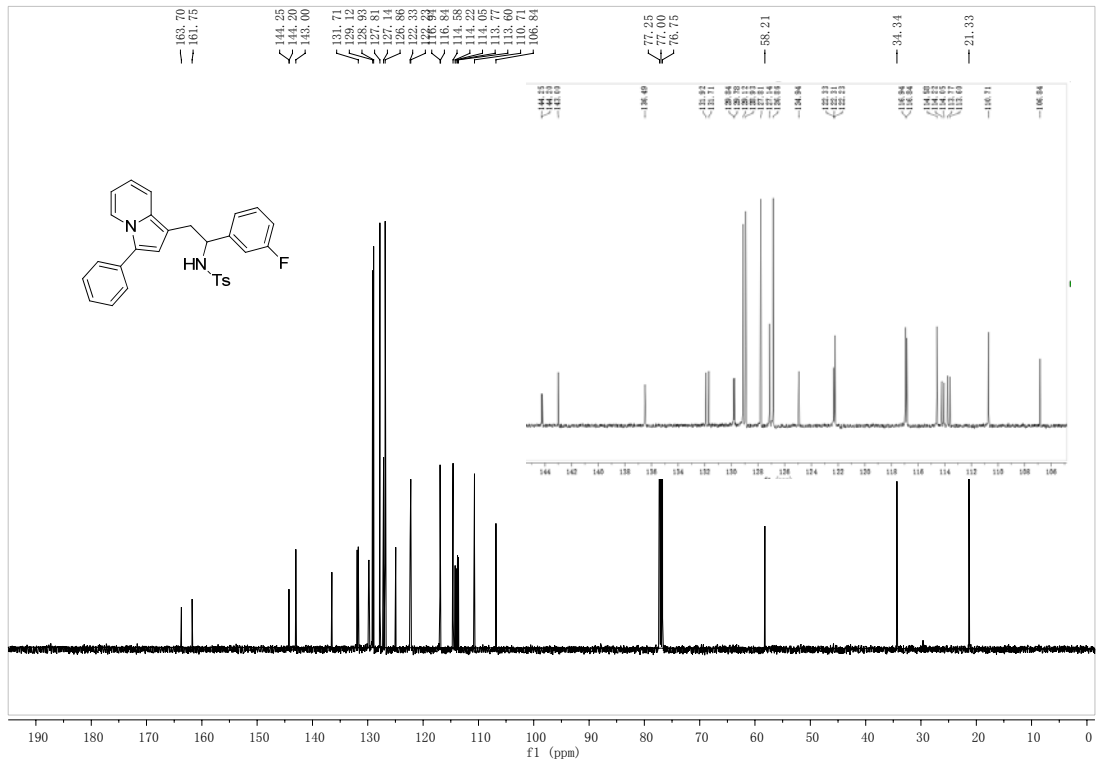
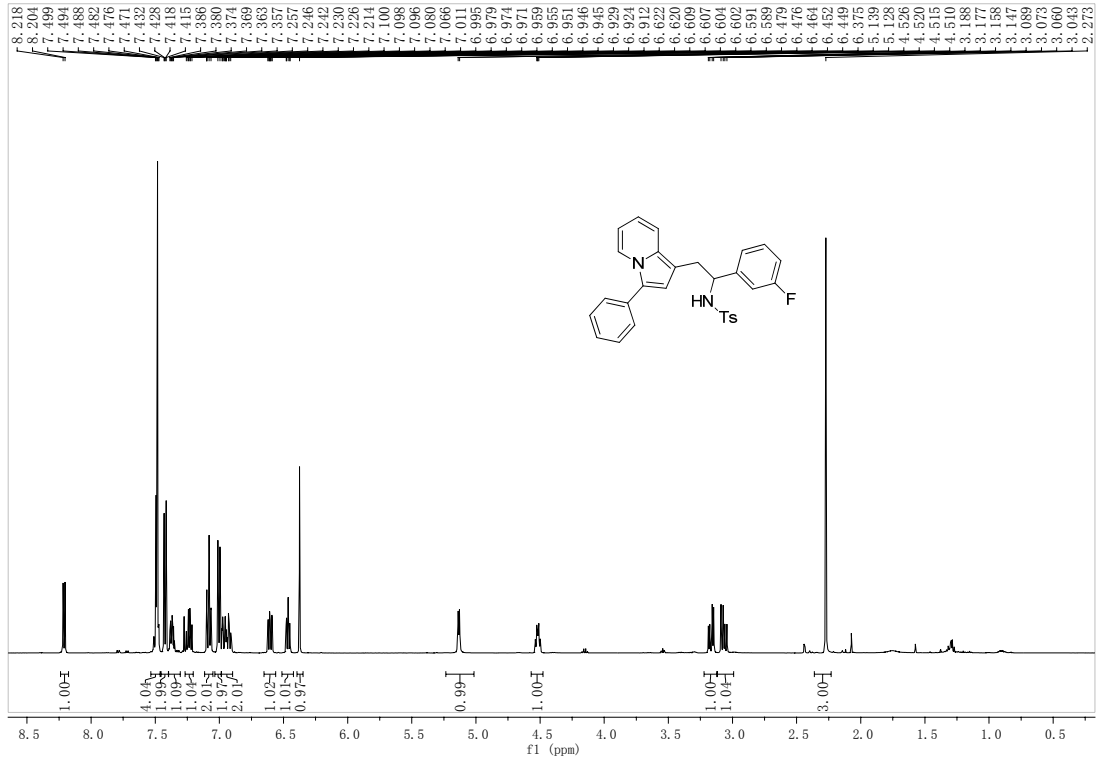
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 87% yield, m.p. 133-135 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.22 (d, $J = 7.0$ Hz, 1H), 7.49 (d, $J = 4.0$ Hz, 4H), 7.43 (d, $J = 8.0$ Hz, 2H), 7.40-7.34 (m, 1H), 7.19-7.12 (m, 2H), 7.10 (d, $J = 7.5$ Hz, 1H), 7.06-7.02 (m, 2H), 6.99 (d, $J = 8.0$ Hz, 2H), 6.60 (dd, $J = 8.5, 6.5$ Hz, 1H), 6.48-6.44 (m, 1H), 6.41 (s, 1H), 5.12 (d, $J = 5.0$ Hz, 1H), 4.50 (dt, $J = 8.0, 5.5$ Hz, 1H), 3.19 (dd, $J = 14.5, 5.5$ Hz, 1H), 3.10 (dd, $J = 15.0, 8.5$ Hz, 1H), 2.28 (d, $J = 5.5$ Hz, 6H); ^{13}C NMR (125 MHz, CDCl_3) δ 142.6, 141.3, 137.7, 136.8, 132.0, 131.7, 129.0, 128.9, 128.1, 128.0, 127.7, 127.3, 127.0, 126.8, 124.7, 123.7, 122.1, 117.1, 116.5, 114.7, 110.6, 107.6, 58.7, 34.5, 21.3, 21.3; HRMS m/z (ESI+): Calculated for $\text{C}_{30}\text{H}_{28}\text{N}_2\text{O}_2\text{SH}^+$ ($[\text{M}+\text{H}]^+$): 481.1944, Found 481.1948.



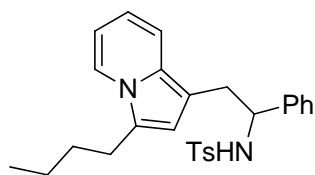
N-(1-(3-fluorophenyl)-2-(3-phenylindolizin-1-yl)ethyl)-4-methylbenzenesulfonamide
(5ai):



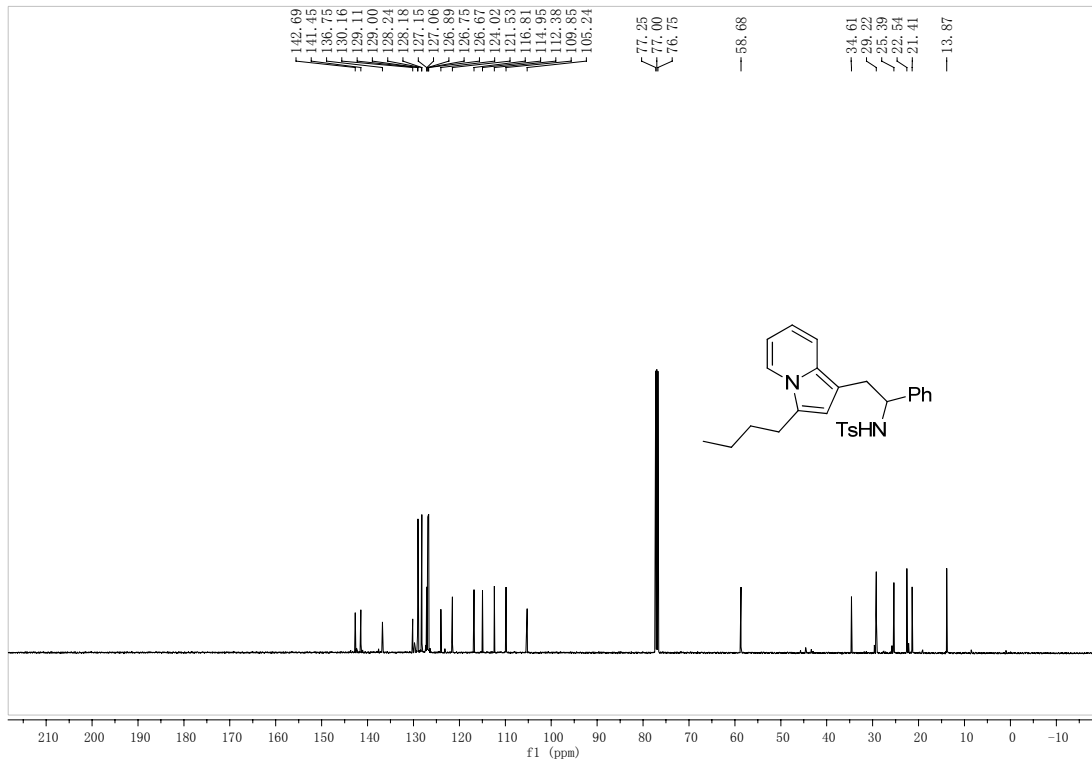
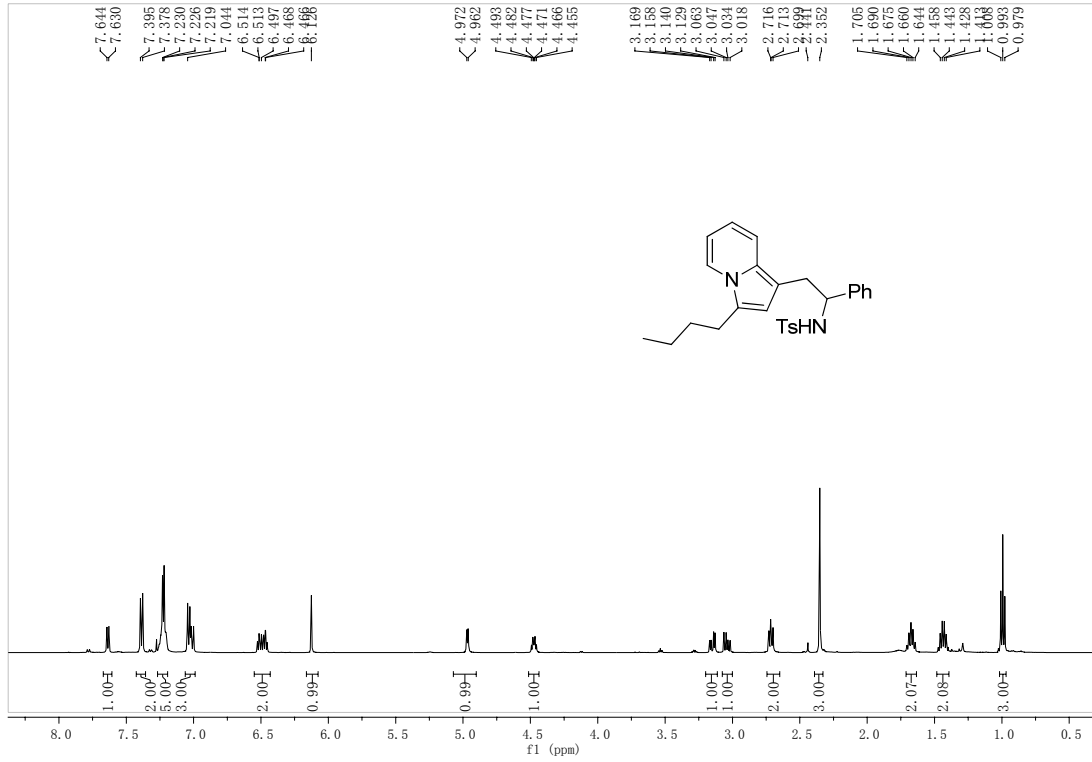
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 80% yield, m.p. 160-163 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.21 (d, $J = 7.0$ Hz, 1H), 7.52-7.46 (m, 4H), 7.44-7.41 (m, 2H), 7.40-7.31 (m, 1H), 7.26-7.21 (m, 1H), 7.11-7.06 (m, 2H), 7.00 (d, $J = 8.0$ Hz, 2H), 6.98-6.90 (m, 2H), 6.63-6.58 (m, 1H), 6.51-6.44 (m, 1H), 6.37 (s, 1H), 5.13 (d, $J = 5.0$ Hz, 1H), 4.52 (dt, $J = 8.0, 5.0$ Hz, 1H), 3.17 (dd, $J = 14.5, 5.5$ Hz, 1H), 3.07 (dd, $J = 14.5, 8.0$ Hz, 1H), 2.27 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 162.7 (d, $J = 243.8$ Hz), 144.2 (d, $J = 6.8$ Hz), 143.0, 136.5, 131.9, 131.7, 129.8 (d, $J = 8.2$ Hz), 129.1, 128.9, 127.8, 127.1, 126.9, 124.9, 122.3 (d, $J = 2.8$ Hz), 122.3, 116.9, 116.8, 114.6, 114.1 (d, $J = 21.3$ Hz), 113.7 (d, $J = 21.3$ Hz), 110.7, 106.8, 58.2, 34.3, 21.3; HRMS m/z (ESI+): Calculated for $\text{C}_{29}\text{H}_{25}\text{FN}_2\text{O}_2\text{SH}^+$ ($[\text{M}+\text{H}]^+$): 485.1694, Found 485.1696.



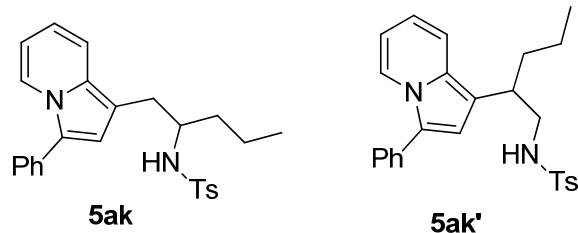
N-(2-(3-butylindolizin-1-yl)-1-phenylethyl)-4-methylbenzenesulfonamide (**5aj**):



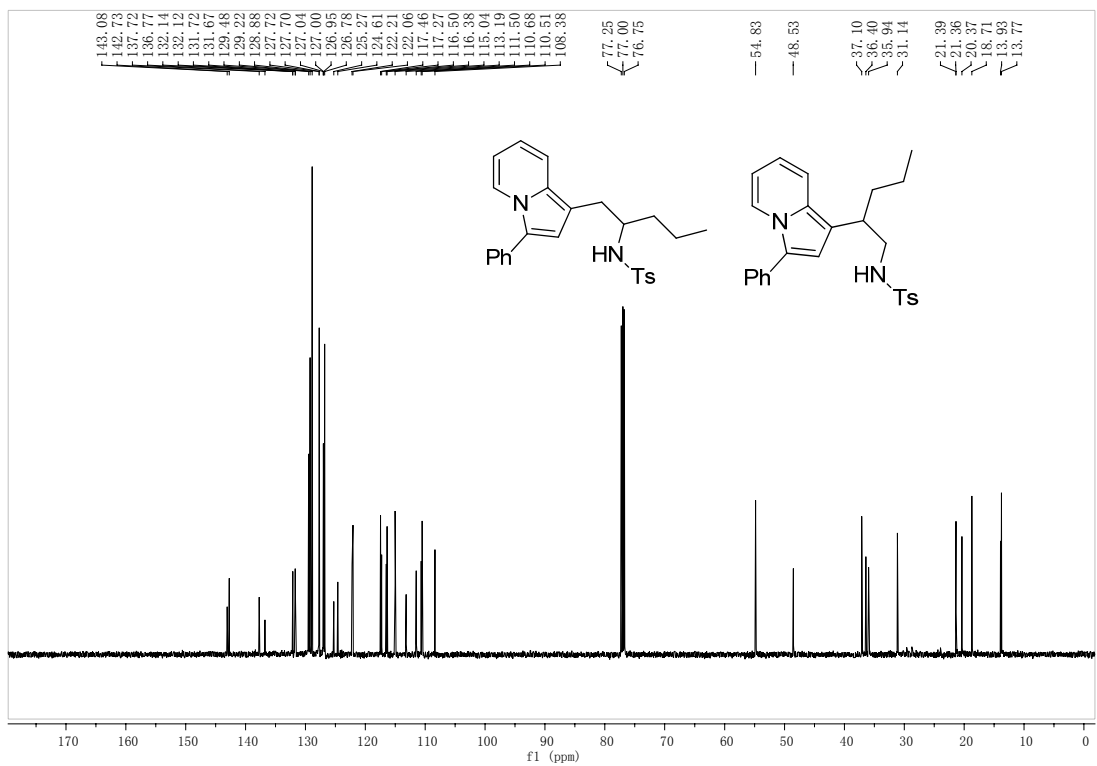
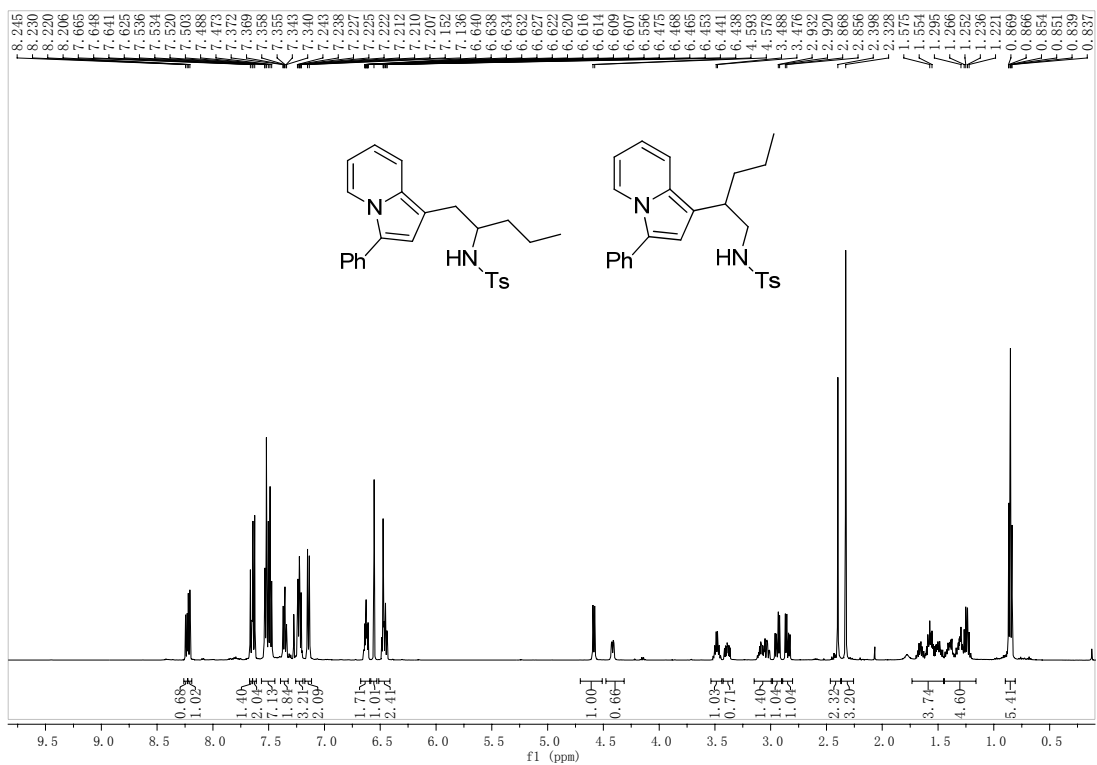
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 84% yield, m.p. 148-150 °C; ^1H NMR (500 MHz, CDCl_3) δ 7.64 (d, $J = 7.0$ Hz, 1H), 7.39 (d, $J = 8.0$ Hz, 2H), 7.27-7.20 (m, 5H), 7.02 (dd, $J = 13.0, 8.5$ Hz, 3H), 6.53-6.45 (m, 2H), 6.13 (s, 1H), 4.97 (d, $J = 5.0$ Hz, 1H), 4.47 (dt, $J = 8.0, 5.5$ Hz, 1H), 3.15 (dd, $J = 14.5, 5.5$ Hz, 1H), 3.04 (dd, $J = 14.5, 8.0$ Hz, 1H), 2.71 (dd, $J = 8.0, 6.5$ Hz, 2H), 2.35 (s, 3H), 1.71-1.64 (m, 2H), 1.48-1.39 (m, 2H), 0.99 (t, $J = 7.0$ Hz, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 142.7, 141.5, 136.8, 130.2, 129.1, 129.0, 128.24, 128.18, 127.2, 127.1, 126.9, 126.8, 126.7, 124.0, 121.5, 116.8, 115.0, 112.4, 109.9, 105.2, 58.7, 34.6, 29.2, 25.4, 22.5, 21.4, 13.9; HRMS m/z (EI+): Calculated for $\text{C}_{27}\text{H}_{30}\text{N}_2\text{O}_2\text{SH}^+$ ($[\text{M}+\text{H}]^+$): 447.2101, Found 447.2102.



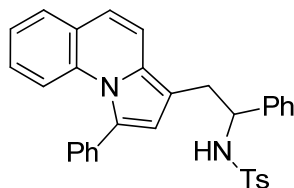
4-methyl-N-(1-(3-phenylindolizin-1-yl)pentan-2-yl)benzenesulfonamide (**5ak**):



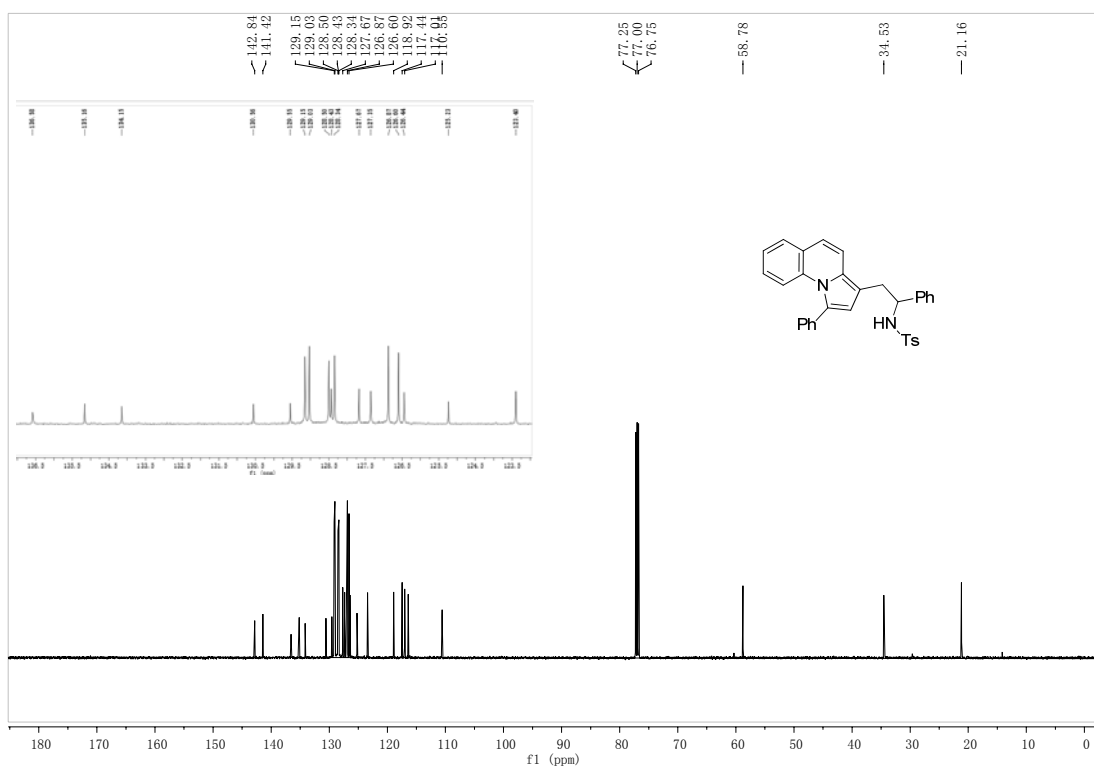
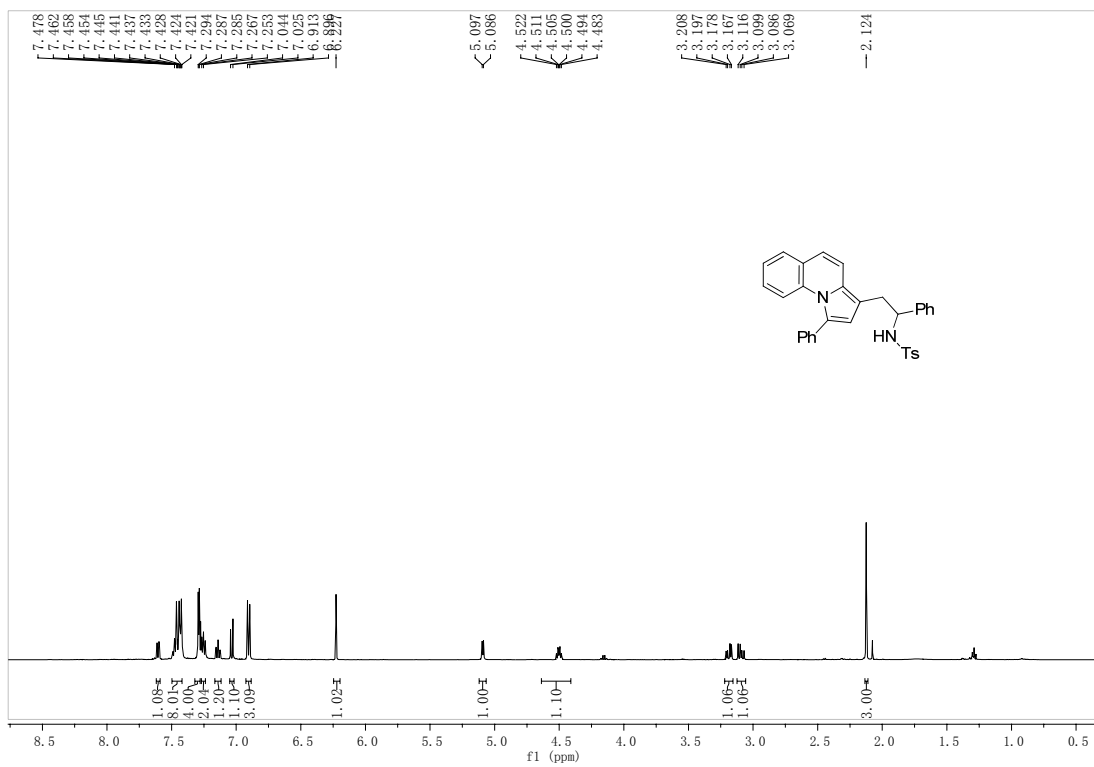
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 81% yield, m.p. 51-53 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.24 (minor, d, $J = 7.0$ Hz, 1H), 8.21 (major, d, $J = 7.0$ Hz, 6H), 7.66 (minor, d, $J = 8.0$ Hz, 2H), 7.63 (major, d, $J = 8.0$ Hz, 2H), 7.57-7.44 (m, 8H), 7.38-7.32 (m, 2H), 7.26-7.20 (m, 4H), 7.15 (s, 1H), 7.14 (s, 1H), 6.6-6.60 (m, 2H), 6.56 (s, 1H), 6.49-6.43 (m, 3H), 4.59 (d, $J = 7.5$ Hz, 1H), 4.42 (dd, $J = 8.5, 3.0$ Hz, 1H), 3.52-3.41 (m, 1H), 3.39 (m, 1H), 3.12-2.99 (m, 2H), 2.94 (dd, $J = 14.5, 6.0$ Hz, 1H), 2.85 (dd, $J = 14.5, 6.0$ Hz, 1H), 2.40 (s, 3H), 2.33 (s, 3H), 1.70-1.44 (m, 4H), 1.45-1.18 (m, 5H), 0.85 (td, $J = 7.0, 1.0$ Hz, 6H); ^{13}C NMR (125 MHz, CDCl_3) δ 143.1, 142.73, 137.72, 136.8, 132.14, 132.12, 131.72, 131.67, 129.5, 129.2, 128.9, 127.72, 127.70, 127.00, 126.95, 126.8, 125.3, 124.6, 122.2, 122.1, 117.5, 117.3, 116.5, 116.4, 115.0, 113.2, 111.5, 110.7, 110.5, 108.4, 54.8, 48.5, 37.1, 36.4, 35.9, 31.1, 21.39, 21.36, 20.4, 18.7, 13.9, 13.8; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{26}\text{H}_{28}\text{NH}^+$ ($[\text{M}+\text{H}]^+$): 433.1944, Found 433.1942.



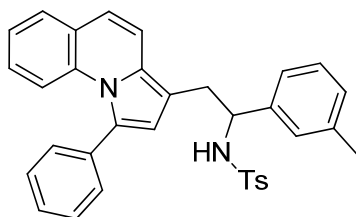
4-methyl-N-(1-phenyl-2-(1-phenylpyrrolo[1,2-a]quinolin-3-yl)ethyl)benzenesulfonamide (**5al**):



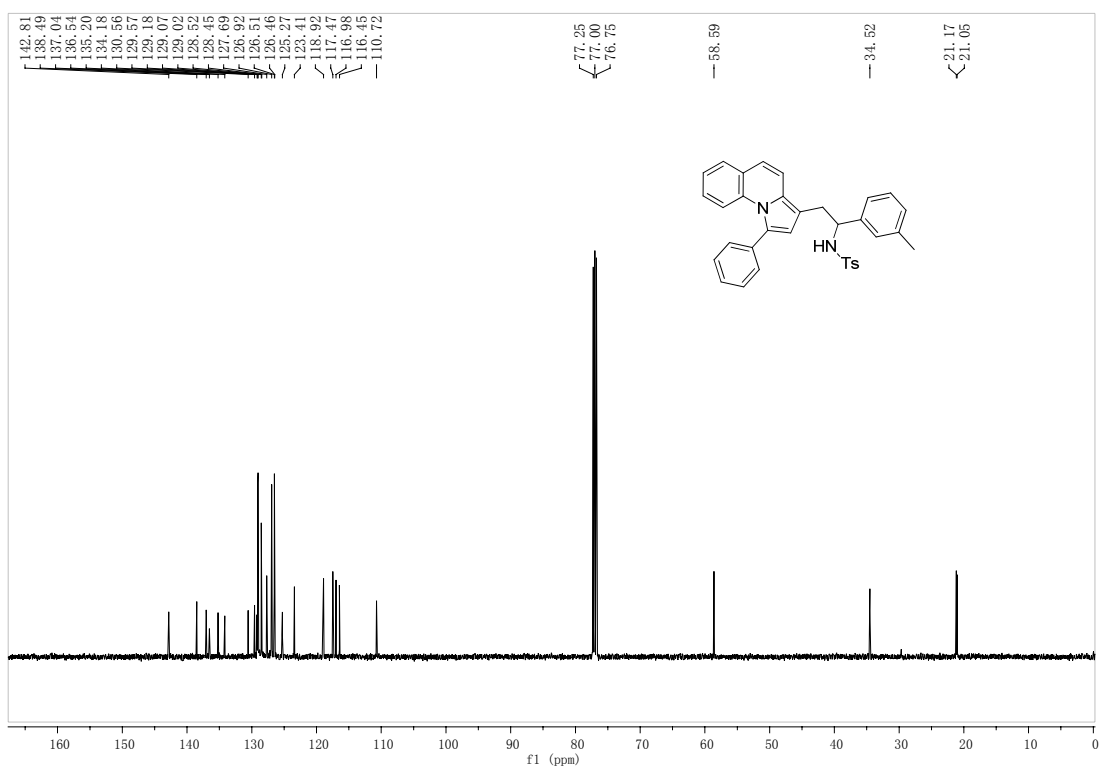
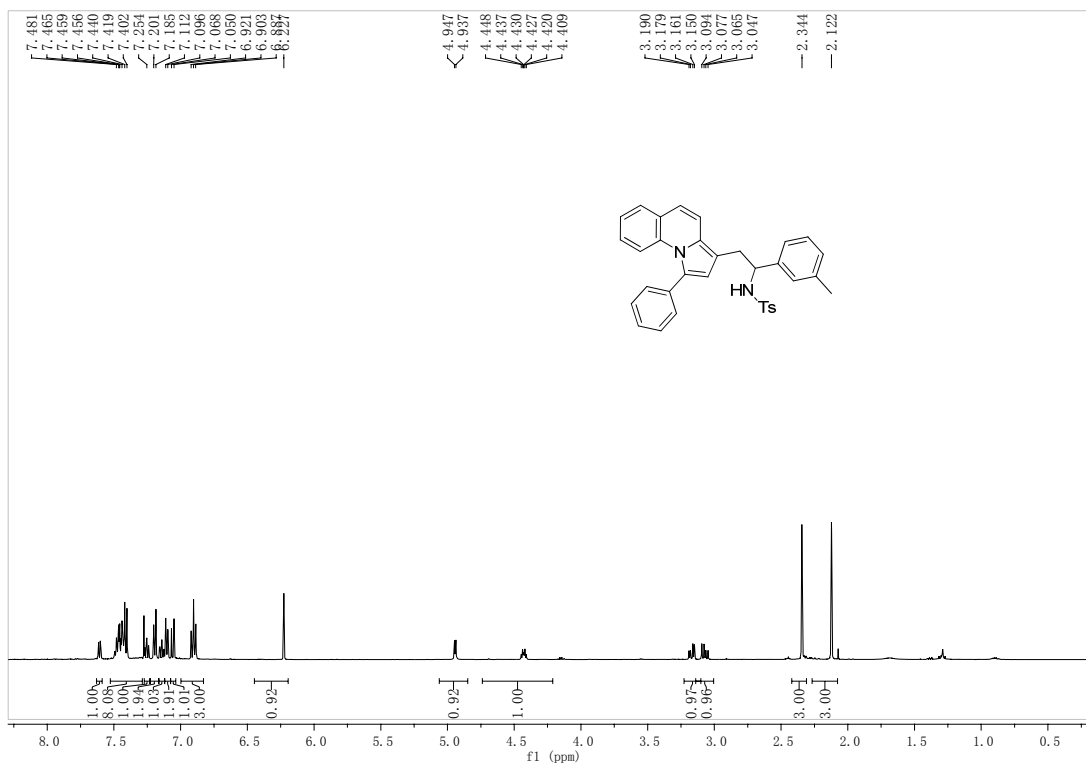
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); yellow solid, 71% yield, m.p. 158-160 °C; ^1H NMR (500 MHz, CDCl_3) δ 7.60 (dd, $J = 7.5, 1.0$ Hz, 1H), 7.62-7.39 (m, 8H), 7.31-7.28 (m, 4H), 7.27-7.23 (m, 2H), 7.16 - 7.12 (m, 1H), 7.03 (d, $J = 9.0$ Hz, 1H), 6.90 (d, $J = 8.5$ Hz, 3H), 6.23 (s, 1H), 5.09 (d, $J = 5.0$ Hz, 1H), 4.50 (dt, $J = 8.0, 5.5$ Hz, 1H), 3.19 (dd, $J = 14.5, 5.5$ Hz, 1H), 3.09 (dd, $J = 14.5, 8.5$ Hz, 1H), 2.12 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 142.8, 141.4, 136.6, 135.2, 134.2, 130.6, 129.6, 129.2, 129.0, 128.5, 128.4, 128.3, 127.7, 127.4, 126.9, 126.6, 126.4, 125.2, 123.4, 118.9, 117.4, 117.0, 116.4, 110.6, 58.8, 34.5, 21.2; HRMS m/z (ESI+): Calculated for $\text{C}_{33}\text{H}_{28}\text{N}_2\text{O}_2\text{SH}^+$ ($[\text{M}+\text{H}]^+$): 517.1944, Found 517.1952.



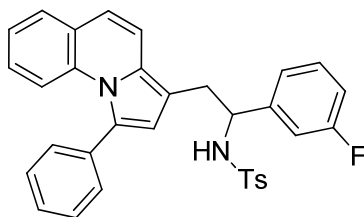
4-methyl-N-(2-(1-phenylpyrrolo[1,2-a]quinolin-3-yl)-1-(m-tolyl)ethyl)benzenesulfonamide (**5am**):



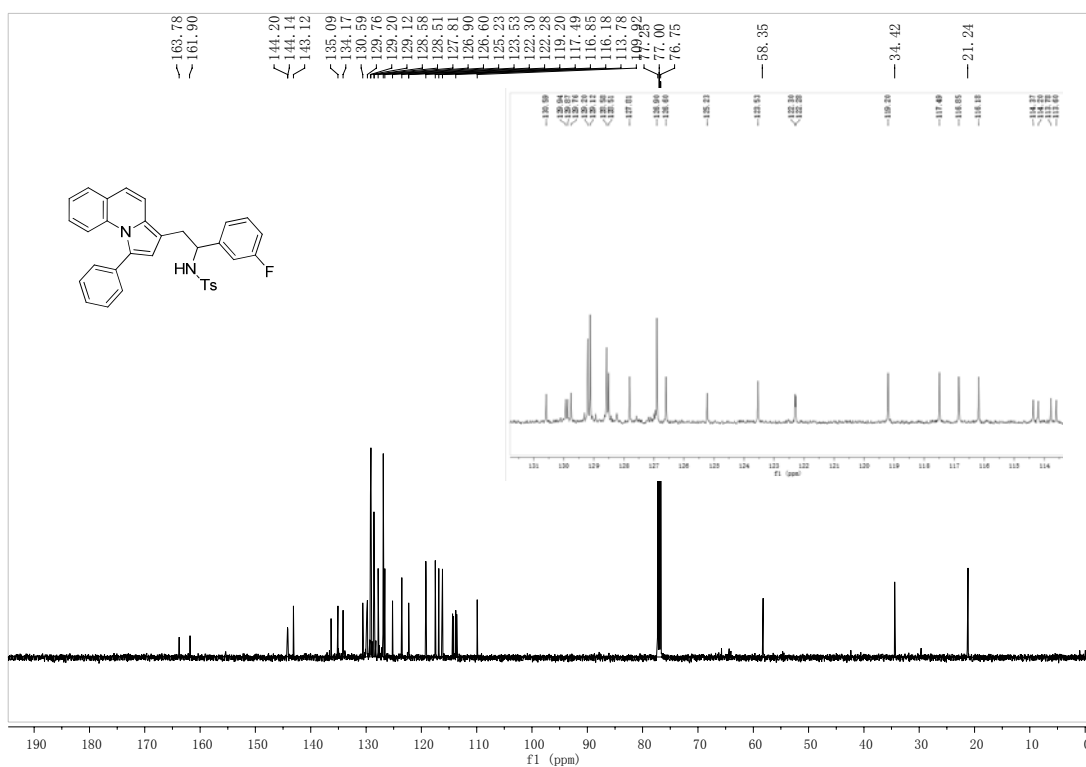
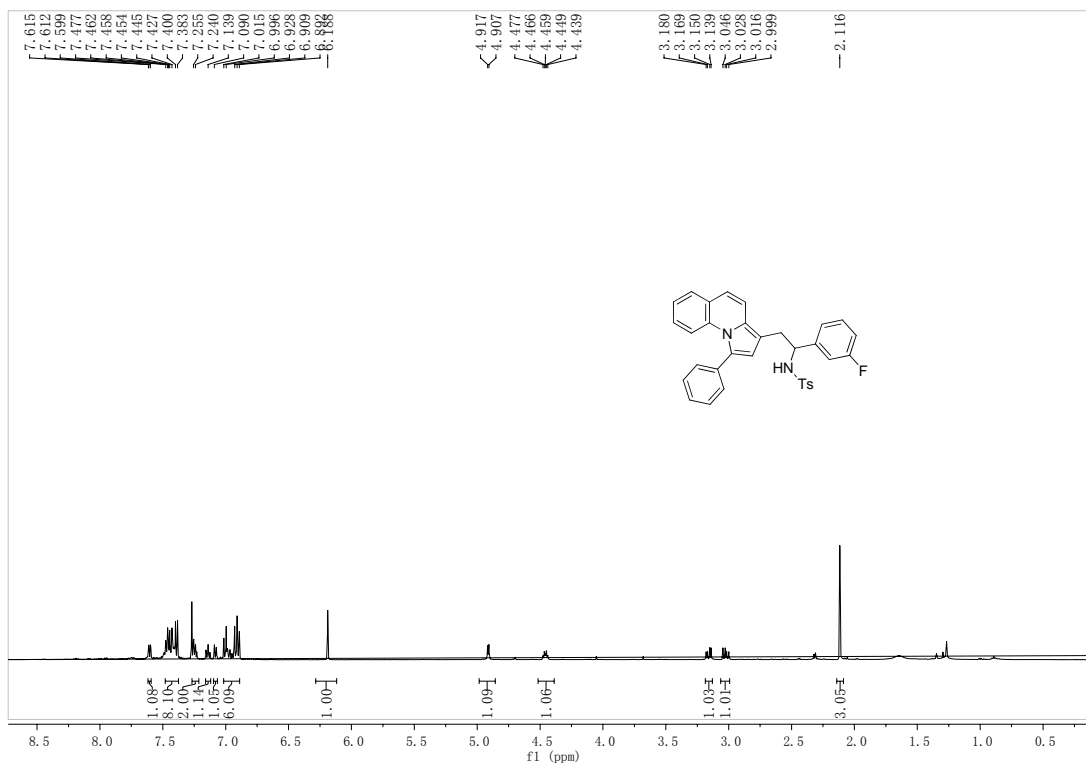
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 74% yield, m.p. 154-156 °C; ^1H NMR (500 MHz, CDCl_3) δ 7.61 (dd, $J = 7.5, 1.0$ Hz, 1H), 7.53-7.37(m, 8H), 7.27-7.23 (m, 1H), 7.19 (d, $J = 8.0$ Hz, 2H), 7.16 - 7.12 m, 1H), 7.10 (d, $J = 7.5$ Hz, 2H), 7.06 (d, $J = 9.0$ Hz, 1H), 6.90 (t, $J = 8.5$ Hz, 3H), 6.23 (s, 1H), 4.94 (d, $J = 5.0$ Hz, 1H), 4.43 (dt, $J = 9.0, 5.0$ Hz, 1H), 3.17 (dd, $J = 15.0, 6.0$ Hz, 1H), 3.07 (dd, $J = 15.0, 9.0$ Hz, 1H), 2.34 (s, 3H), 2.12 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 142.8, 138.5, 137.0, 136.5, 135.2, 134.2, 130.6, 129.6, 129.2, 129.1, 129.0, 128.52, 128.45, 127.7, 126.9, 126.51, 126.46, 125.3, 123.4, 118.9, 117.5, 117.0, 116.5, 110.7, 58.6, 34.5, 21.2, 21.1; HRMS m/z (ESI+): Calculated for $\text{C}_{34}\text{H}_{30}\text{N}_2\text{O}_2\text{SH}^+$ ($[\text{M}+\text{H}]^+$): 531.2101, Found 531.2106.



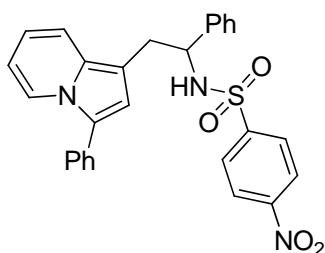
N-(1-(3-fluorophenyl)-2-(1-phenylpyrrolo[1,2-a]quinolin-3-yl)ethyl)-4-methylbenzenesulfonamide (**5an**)



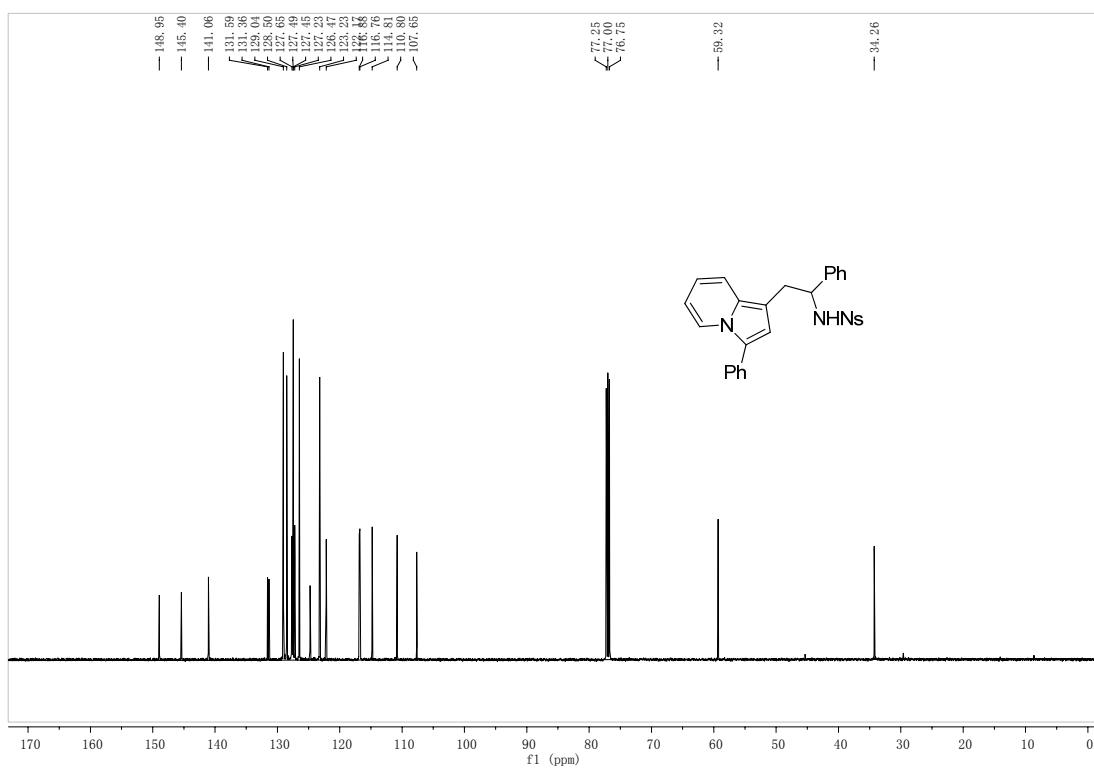
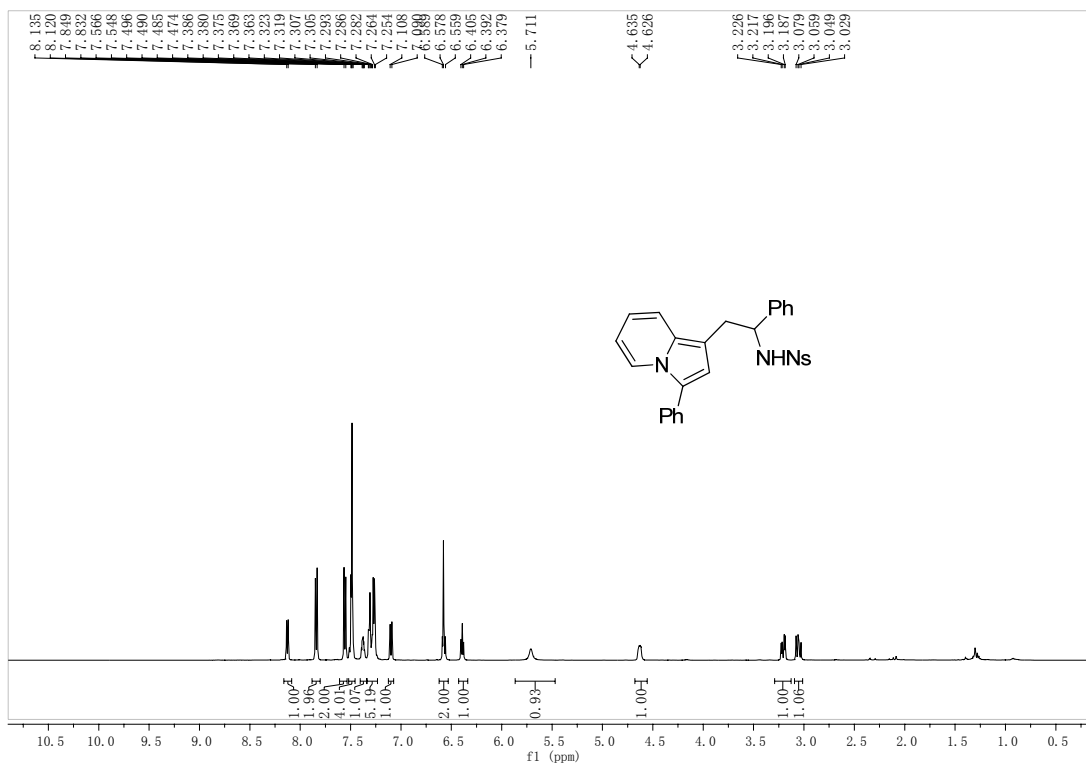
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 60% yield, m.p. 170-172 °C; ^1H NMR (500 MHz, CDCl_3) δ 7.61 (dd, $J = 7.5, 1.0$ Hz, 1H), 7.48-7.38 (m, 8H), 7.27-7.23 (m, 2H), 7.16-7.12 (m, 1H), 7.08 (d, $J = 7.5$ Hz, 1H), 7.02-6.89 (m, 6H), 6.19 (s, 1H), 4.91 (d, $J = 4.5$ Hz, 1H), 4.48-4.43 (m, 1H), 3.16 (dd, $J = 14.5, 5.0$ Hz, 1H), 3.02 (dd, $J = 14.5, 8.5$ Hz, 1H), 2.12 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 162.8 (d, $J = 235.0$ Hz), 144.2 (d, $J = 6.8$ Hz), 143.1, 136.3, 135.1, 134.2, 130.6, 129.9 (d, $J = 8.8$ Hz), 129.8, 129.2 (d, $J = 10.0$ Hz), 128.5 (d, $J = 8.8$ Hz), 127.8, 126.9, 126.6, 125.2, 123.5, 122.3 (d, $J = 2.5$ Hz), 119.2, 117.5, 116.9, 116.2, 114.3 (d, $J = 21.3$ Hz), 113.7 (d, $J = 22.5$ Hz), 109.9, 34.4, 21.2; HRMS m/z (ESI+): Calculated for $\text{C}_{33}\text{H}_{27}\text{FN}_2\text{O}_2\text{SH}^+$ ($[\text{M}+\text{H}]^+$): 535.1850, Found 535.1844.



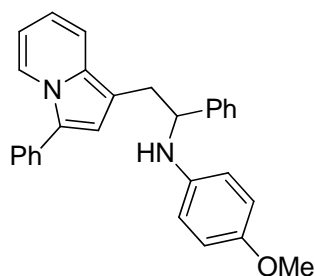
4-nitro-N-(1-phenyl-2-(3-phenylindolizin-1-yl)ethyl)benzenesulfonamide (**5ba**):



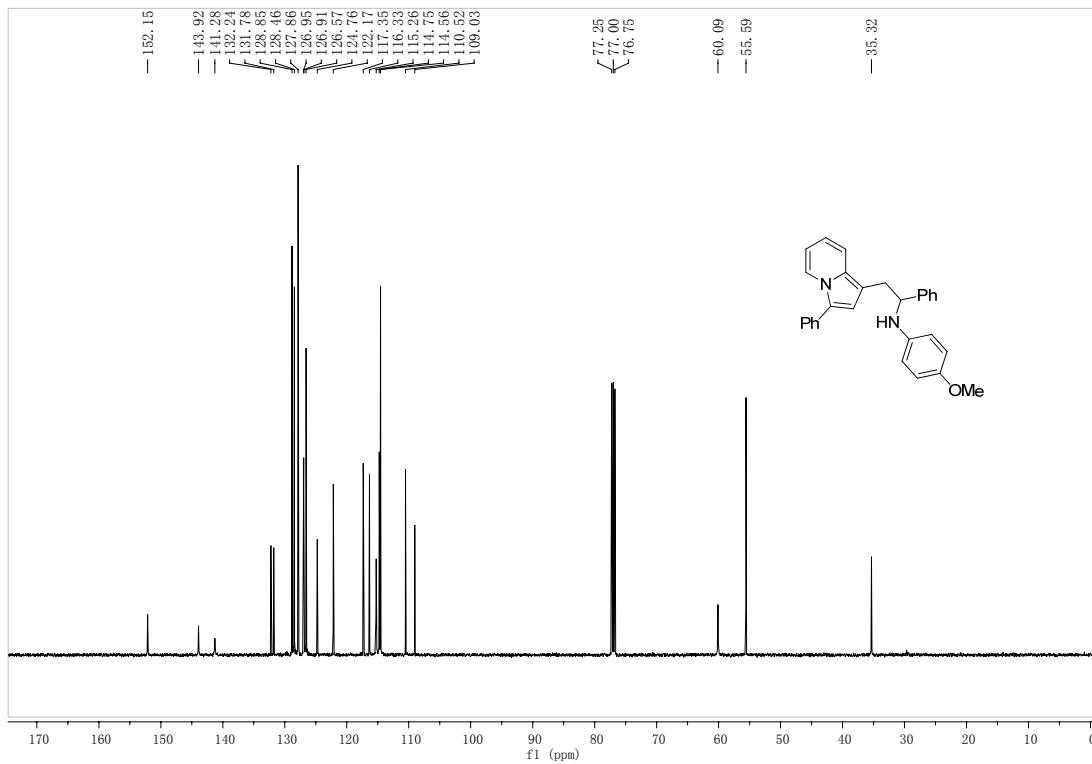
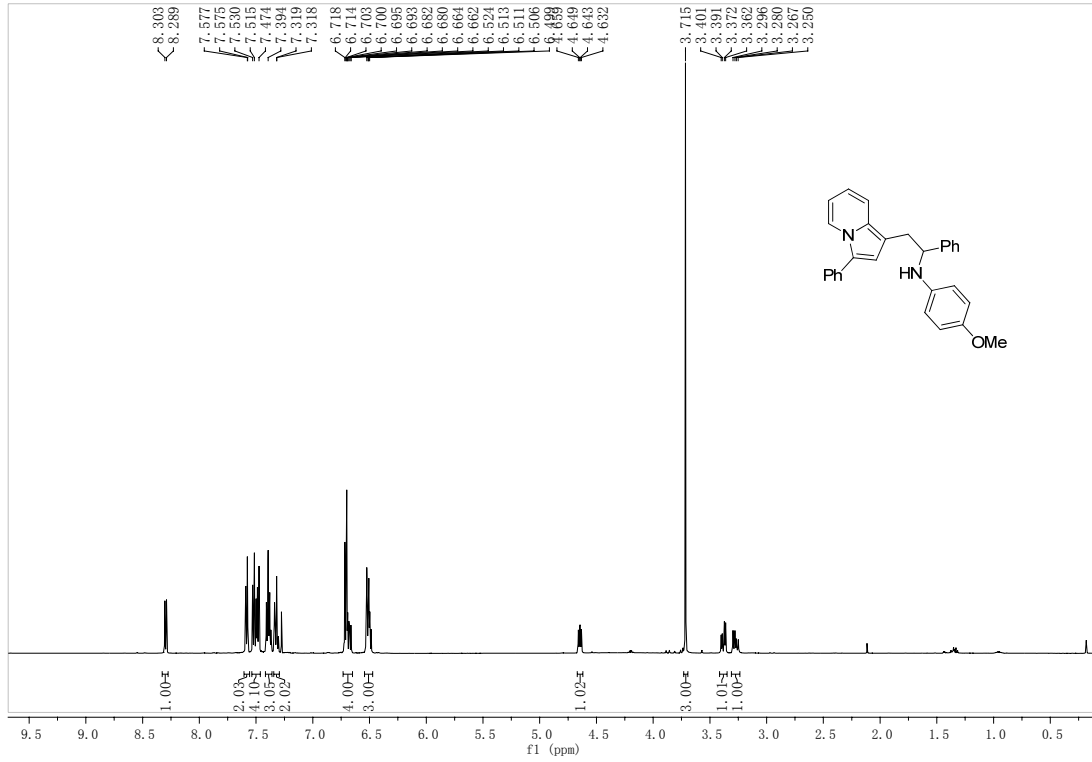
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 82% yield, m.p. 166-168 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.13 (d, $J = 7.0$ Hz, 1H), 7.84 (d, $J = 8.5$ Hz, 2H), 7.56 (d, $J = 8.5$ Hz, 2H), 7.52-7.47 (m, 4H), 7.41-7.34 (m, 1H), 7.33-7.23 (m, 5H), 7.10 (d, $J = 9.0$ Hz, 1H), 6.59-6.55 (m, 2H), 6.39 (t, $J = 6.0$ Hz, 1H), 5.71 (s, 1H), 4.63 (d, $J = 4.5$ Hz, 1H), 3.21 (dd, $J = 15.0, 5.0$ Hz, 1H), 3.05 (dd, $J = 15.0, 9.5$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 149.0, 145.4, 141.1, 131.6, 131.4, 129.0, 128.5, 127.7, 127.59, 127.45, 127.2, 126.5, 124.8, 123.3, 122.2, 116.9, 116.8, 114.8, 110.8, 107.7, 59.3, 34.3; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{28}\text{H}_{23}\text{N}_3\text{O}_4\text{SH}^+$ ($[\text{M}+\text{H}]^+$): 498.1482, Found 498.1475.

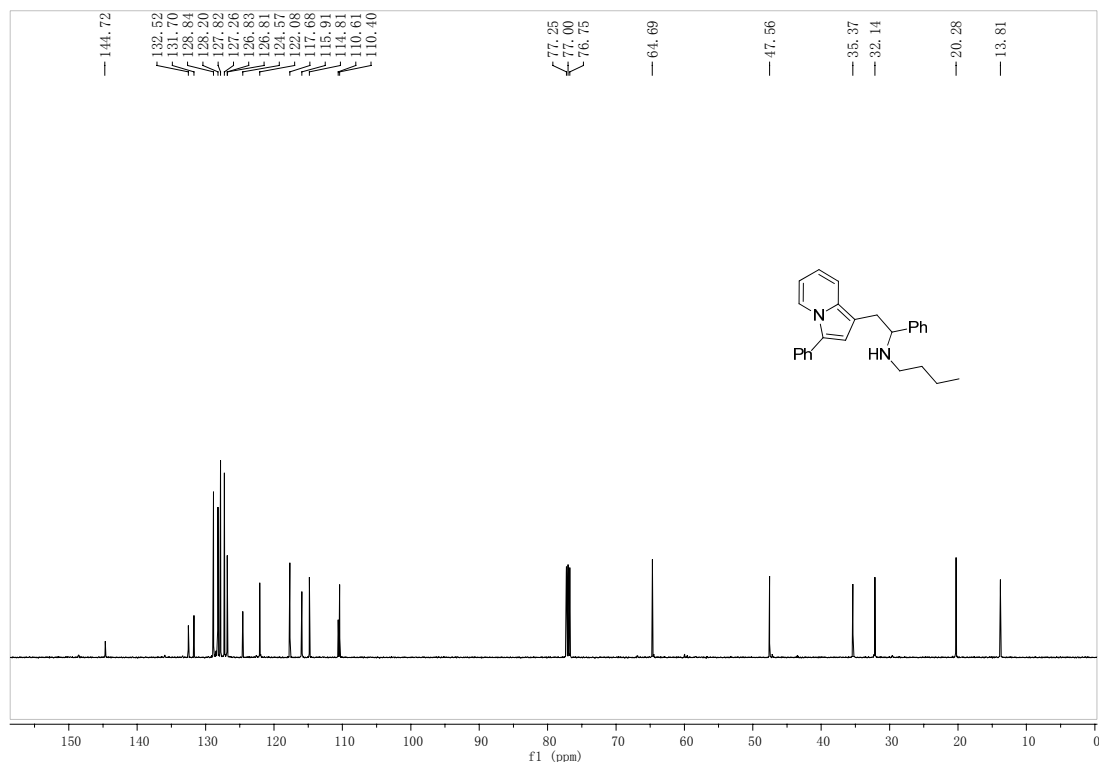


4-methoxy-N-(1-phenyl-2-(3-phenylindolizin-1-yl)ethyl)aniline (**5ca**):

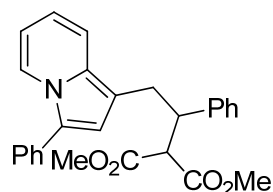


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 91% yield, m.p. 78-80 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.30 (d, $J = 7.0$ Hz, 1H), 7.60-7.57 (m, 2H), 7.54-7.47 (m, 4H), 7.41-7.35 (m, 3H), 7.34-7.30 (m, 2H), 6.73-6.66 (m, 4H), 6.53-6.48 (m, 3H), 4.65 (dd, $J = 8.0, 5.0$ Hz, 1H), 3.72 (s, 3H), 3.38 (dd, $J = 15.0, 5.0$ Hz, 1H), 3.31-3.24 (m, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 152.2, 143.9, 141.3, 132.2, 131.8, 128.9, 128.5, 127.9, 127.0, 126.9, 126.6, 124.8, 122.2, 117.4, 116.3, 115.3, 114.8, 114.6, 110.5, 109.0, 60.1, 55.6, 35.3; HRMS m/z (ESI+): Calculated for $\text{C}_{29}\text{H}_{26}\text{N}_2\text{OH}^+$ ($[\text{M}+\text{H}]^+$): 419.2118, Found 419.2113.



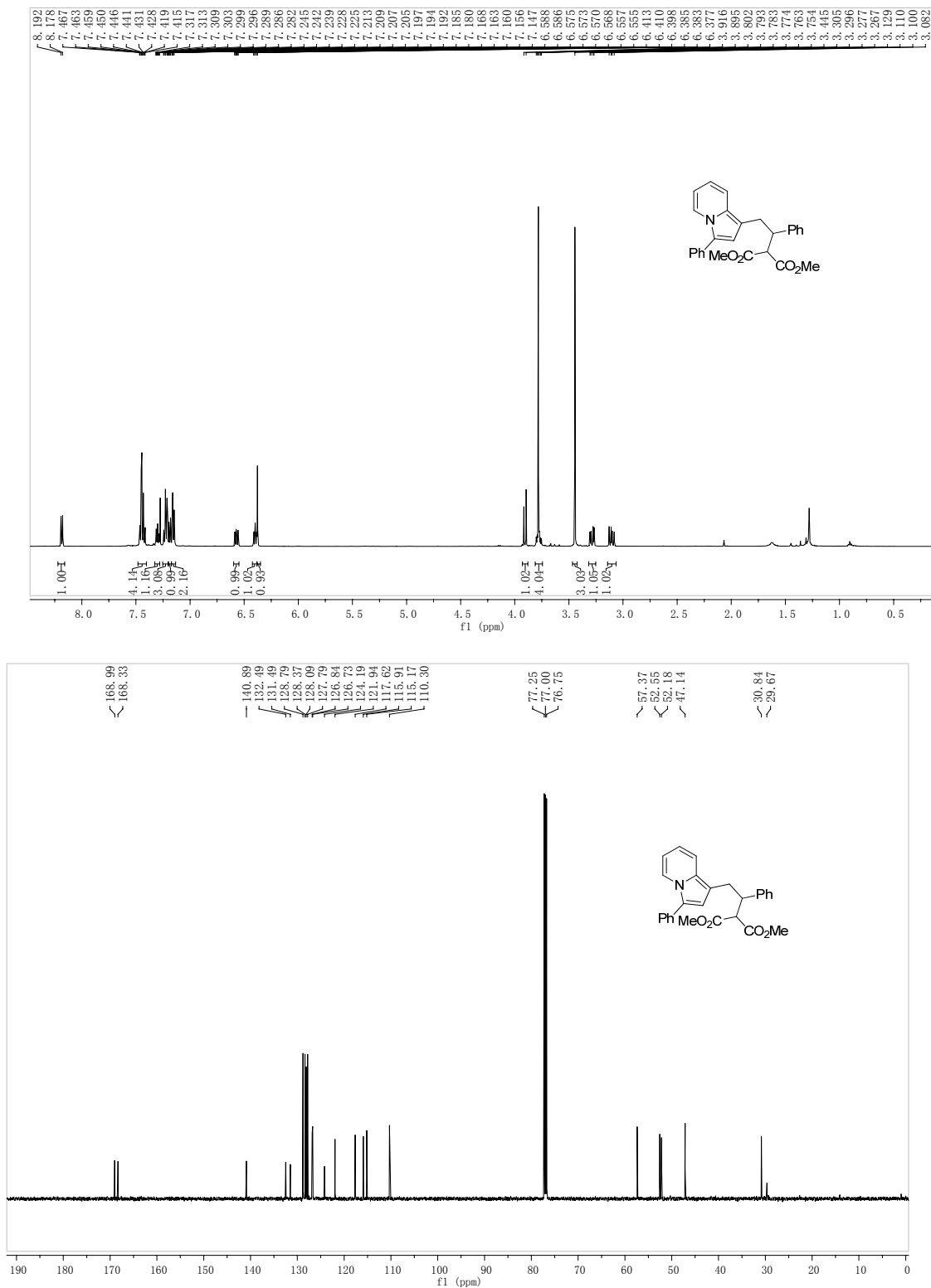


dimethyl 2-(1-phenyl-2-(3-phenylindolizin-1-yl)ethyl)malonate (**5ea**):

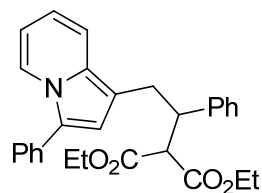


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 82% yield, m.p. 59-61 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.18 (d, *J* = 7.0 Hz, 1H), 7.47-7.41 (m, 4H), 7.31-7.28 (m, 1H), 7.25-7.20 (m, 3H), 7.19 (dt, *J* = 5.5, 2.0 Hz, 1H), 7.17-7.13 (m, 2H), 6.59-6.55 (m, 1H), 6.42-6.35 (m, 1H), 6.36 (s, 1H), 3.91 (d, *J* = 10.0 Hz, 1H), 3.81-3.75 (m, 1H), 3.78 (s, 3H), 3.44 (s, 3H), 3.29 (dd, *J* = 14.0, 4.5 Hz, 1H), 3.11 (dd, *J* = 14.0, 9.0 Hz, 1H); ¹³C NMR (125 MHz, CDCl₃) δ 169.0, 168.3, 140.9, 132.5, 131.5, 128.8, 128.4, 128.1, 127.8, 126.8, 126.7, 124.2, 121.9, 117.6, 115.9, 115.2, 110.3, 57.4, 52.6, 52.2, 47.1, 30.8, 29.7;

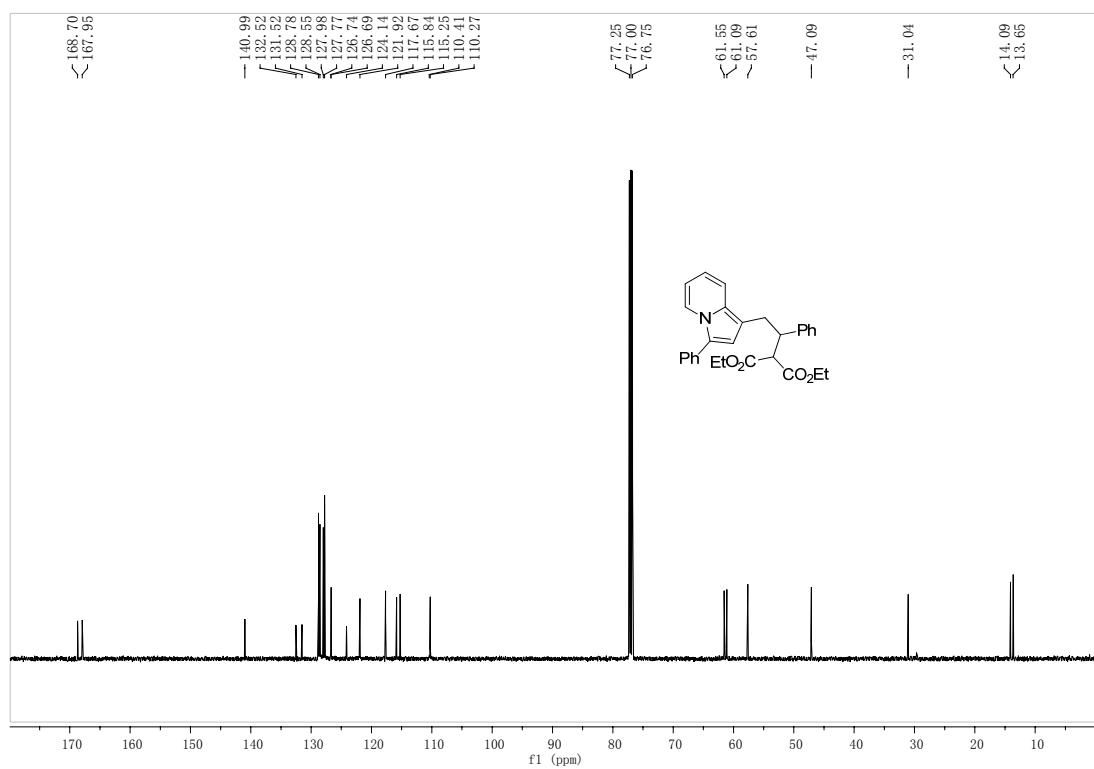
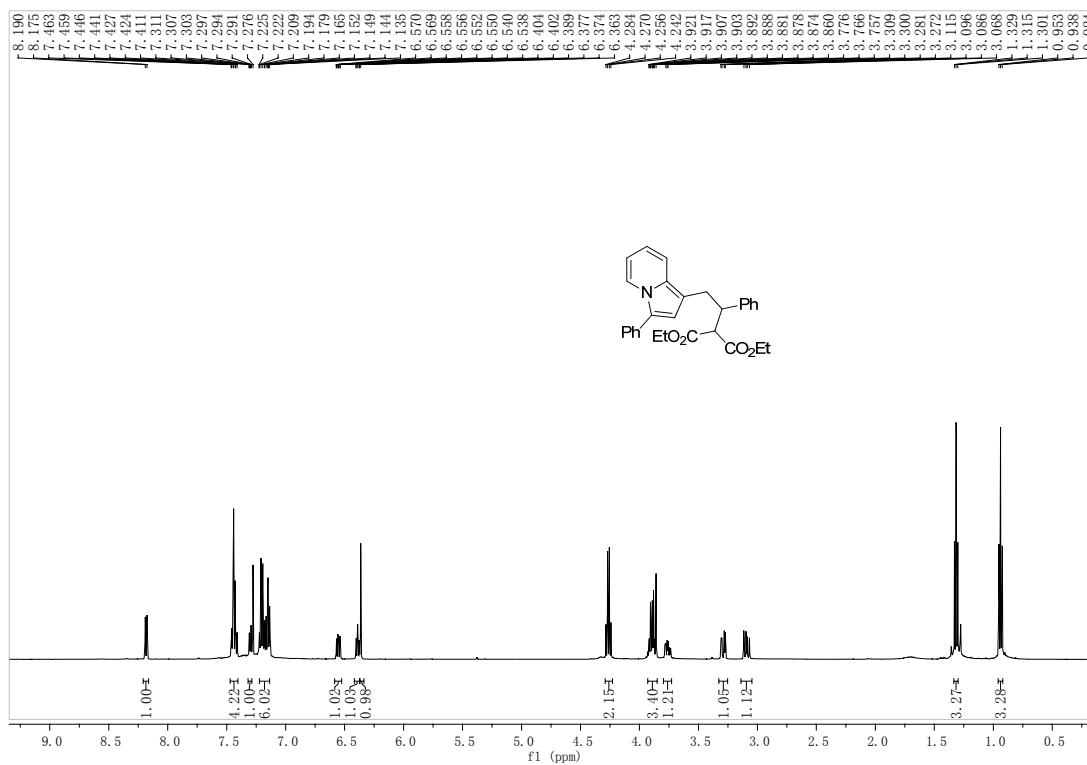
HRMS m/z (ESI+): Calculated for C₂₇H₂₅NO₄H⁺ ([M+H]⁺): 428.1856, Found 428.1864.



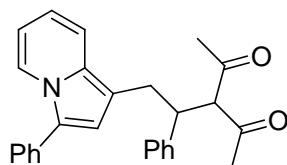
diethyl 2-(1-phenyl-2-(3-phenylindolizin-1-yl)ethyl)malonate (**5fa**):



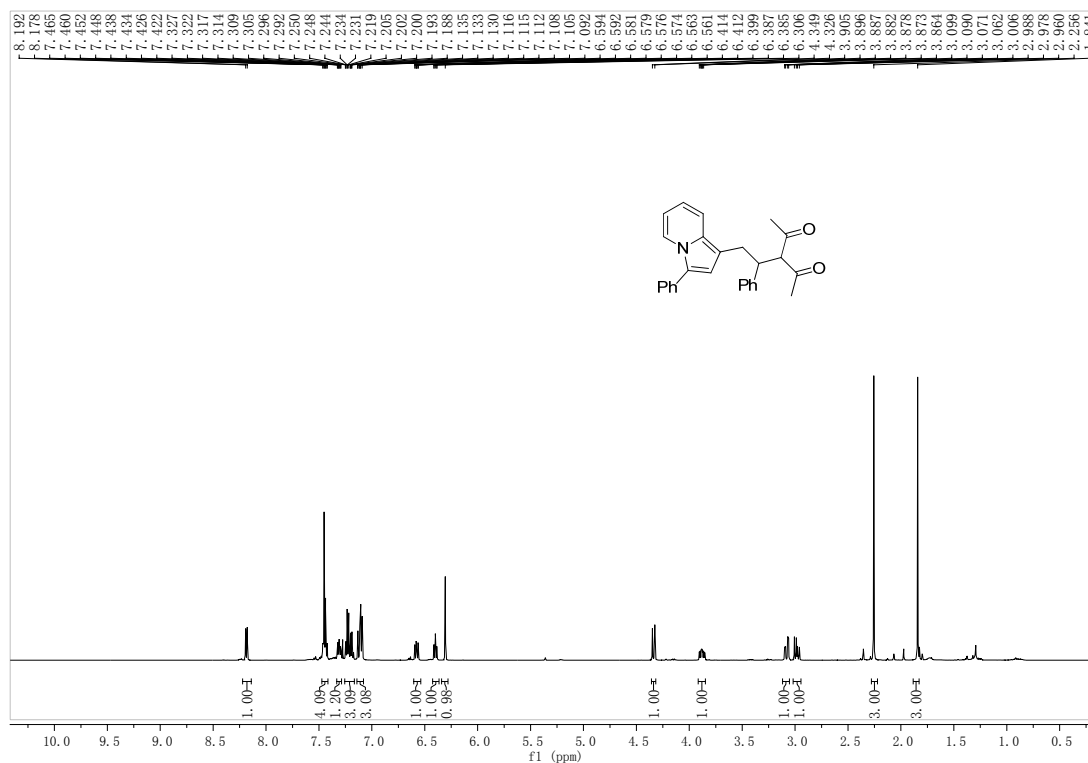
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 85% yield, m.p. 55-57 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.18 (d, $J = 7.0$ Hz, 1H), 7.47-7.41 (m, 4H), 7.30 (dt, $J = 6.0, 1.5$ Hz, 1H), 7.23-7.13 (m, 6H), 6.57-6.53 (m, 1H), 6.40-6.36 (m, 1H), 6.36 (s, 1H), 4.26 (q, $J = 7.0$ Hz, 2H), 3.92-3.85 (m, 3H), 3.79-3.73 (m, 1H), 3.29 (dd, $J = 14.2, 4.3$ Hz, 1H), 3.09 (dd, $J = 14.2, 9.4$ Hz, 1H), 1.32 (t, $J = 7.1$ Hz, 3H), 0.94 (t, $J = 7.1$ Hz, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 168.7, 168.0, 141.0, 132.5, 131.5, 128.8, 128.6, 128.0, 127.8, 126.74, 126.69, 124.1, 121.9, 117.7, 115.8, 115.3, 110.4, 110.3, 61.6, 61.1, 57.6, 47.1, 31.0, 14.1, 13.7; HRMS m/z (ESI+): Calculated for $\text{C}_{29}\text{H}_{29}\text{NO}_4\text{H}^+$ ($[\text{M}+\text{H}]^+$): 456.2169, Found 456.2177.

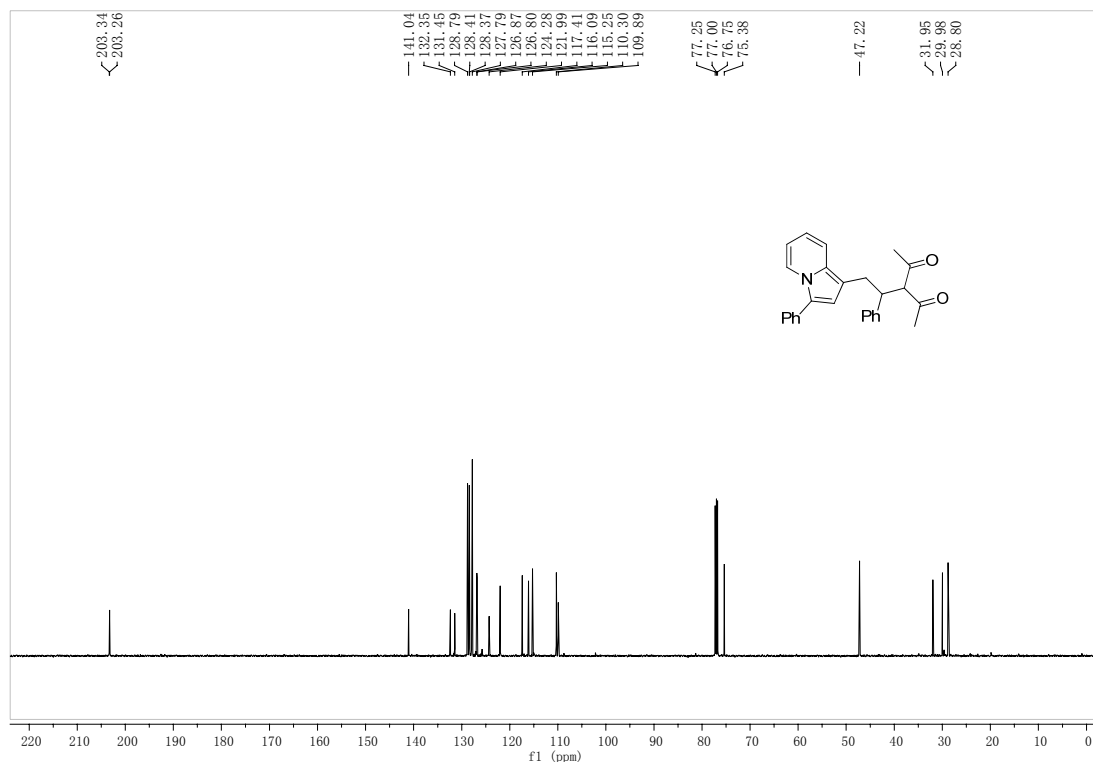


3-(1-phenyl-2-(3-phenylindolizin-1-yl)ethyl)pentane-2,4-dione (**5ga**):

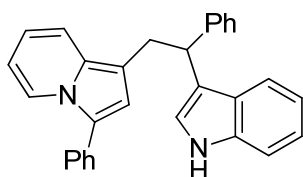


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 81% yield, m.p. 133-135 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.19 (d, $J = 7.0$ Hz, 1H), 7.47-7.42 (m, 4H), 7.33-7.29 (m, 1H), 7.26-7.17 (m, 3H), 7.14-7.09 (m, 3H), 6.60 - 6.56 (m, 1H), 6.42-6.38 (m, 1H), 6.31 (s, 1H), 4.34 (d, $J = 11.5$ Hz, 1H), 3.91 - 3.85 (m, 1H), 3.08 (dd, $J = 14.5, 5.0$ Hz, 1H), 2.98 (dd, $J = 14.5, 9.5$ Hz, 1H), 2.26 (s, 3H), 1.84 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 203.34, 203.26, 141.0, 132.4, 131.5, 128.8, 128.41, 128.37, 127.8, 126.9, 126.8, 124.3, 122.0, 117.4, 116.1, 115.3, 110.3, 109.9, 75.4, 47.2, 32.0, 30.0, 28.8; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{27}\text{H}_{25}\text{NO}_2\text{H}^+$ ($[\text{M}+\text{H}]^+$): 396.1958, Found 396.1957.



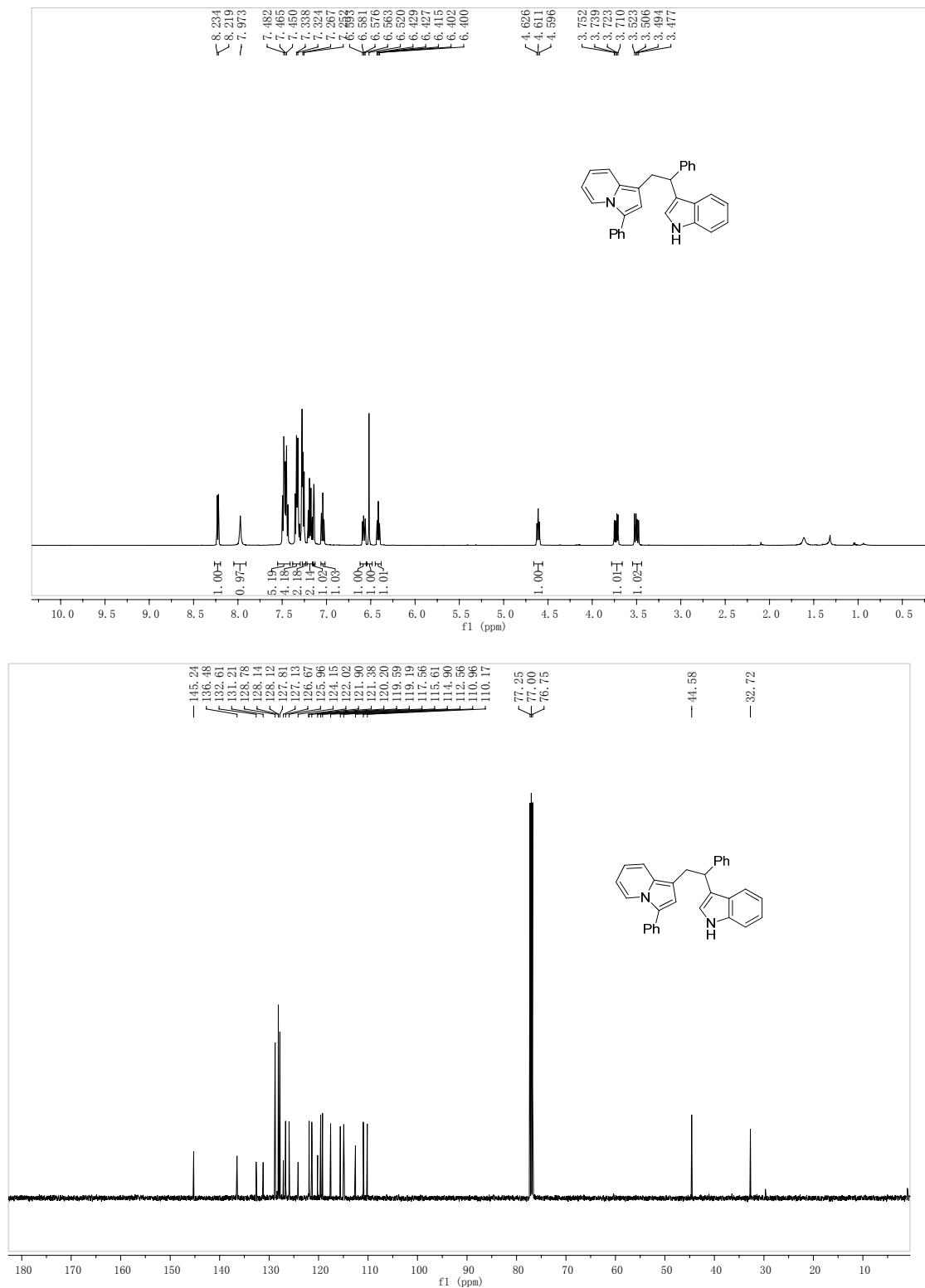


3-(1-phenyl-2-(3-phenylindolizin-1-yl)ethyl)-1H-indole (**5ha**):

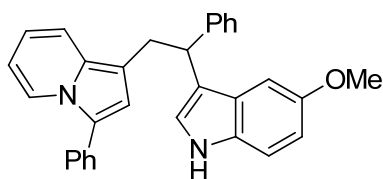


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 83% yield, m.p. 149-151 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.23 (d, $J = 7.0$ Hz, 1H), 7.97 (s, 1H), 7.50-7.41 (m, 5H), 7.36-7.30 (m, 4H), 7.27-7.25 (m, 2H), 7.18 (dd, $J = 15.5, 7.0$ Hz, 2H), 7.14 (d, $J = 1.5$ Hz, 1H), 7.04 (t, $J = 7.5$ Hz, 1H), 6.58 (dd, $J = 8.5, 6.0$ Hz, 1H), 6.52 (s, 1H), 6.43-6.39 (m, 1H), 4.61 (t, $J = 7.5$ Hz, 1H), 3.73 (dd, $J = 14.5, 7.0$ Hz, 1H), 3.50 (dd, $J = 14.0, 8.0$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 145.2, 136.5, 132.6, 131.2, 128.8, 128.14, 128.12, 127.8, 127.1, 126.7, 126.0, 124.2, 122.0, 121.9, 121.4, 120.2, 119.6, 119.2, 117.6, 115.6,

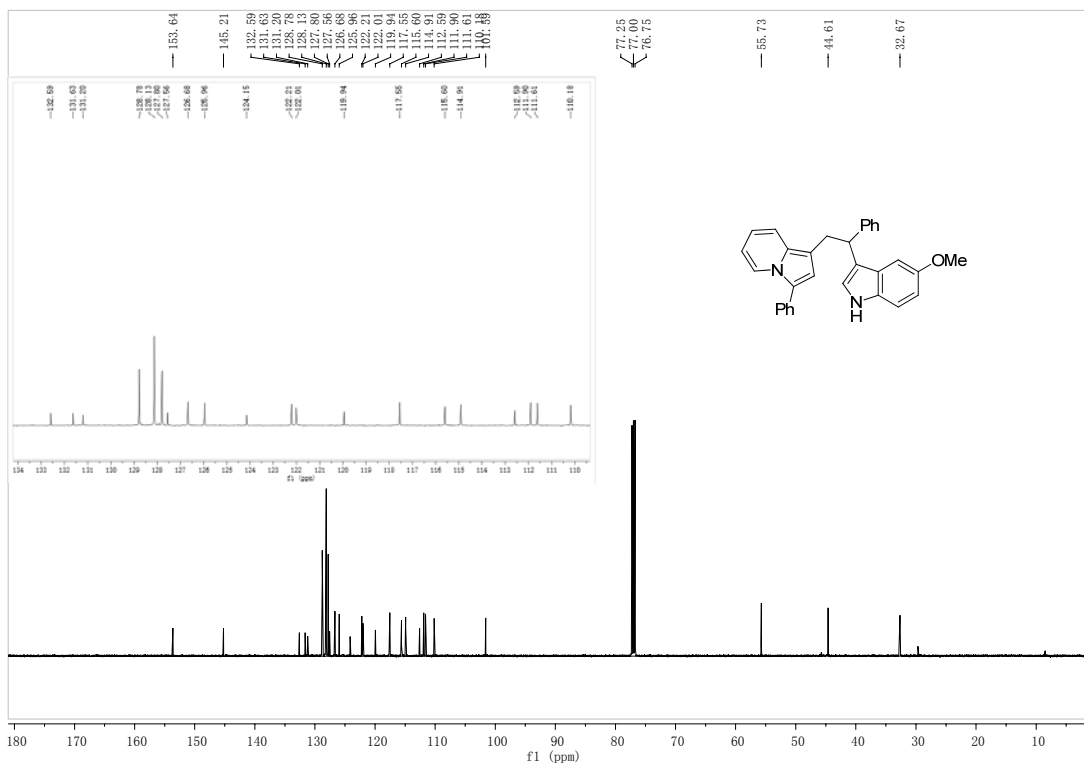
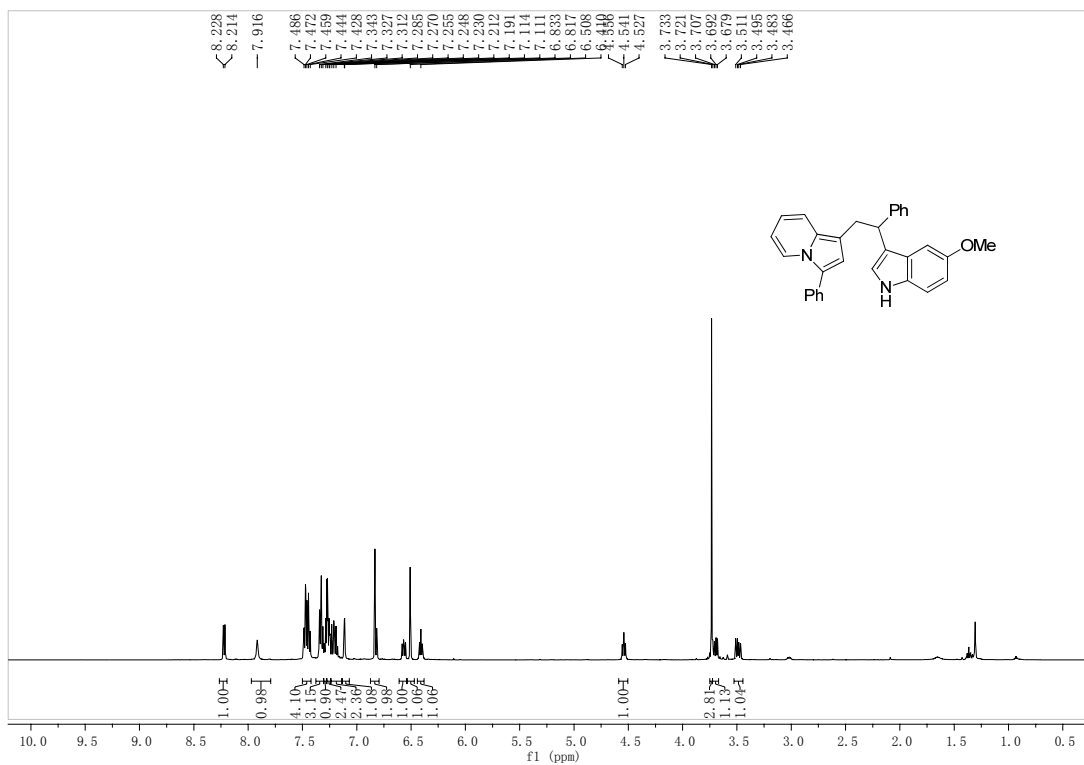
114.9, 112.6, 111.0, 110.2, 44.6, 32.7; HRMS m/z (ESI+): Calculated for C₃₀H₂₄N₂H⁺
([M+H]⁺): 413.2012, Found 413.2015.



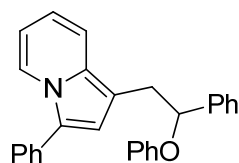
5-methoxy-3-(1-phenyl-2-(3-phenylindolizin-1-yl)ethyl)-1H-indole (**5ia**):



Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 83% yield, m.p. 138-140 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.22 (d, $J = 7.0$ Hz, 1H), 7.92 (s, 1H), 7.49-7.42 (m, 4H), 7.33 (t, $J = 7.5$ Hz, 3H), 7.30-7.28 (m, 1H), 7.27-7.24 (m, 2H), 7.23-7.149 (m, 2H), 7.11 (d, $J = 1.5$ Hz, 1H), 6.87-6.79 (m, 2H), 6.57 (dd, $J = 8.5, 6.0$ Hz, 1H), 6.51 (s, 1H), 6.44-6.38 (m, 1H), 4.54 (t, $J = 7.5$ Hz, 1H), 3.73 (s, 3H), 3.70 (dd, $J = 14.0, 6.5$ Hz, 1H), 3.49 (dd, $J = 14.0, 8.0$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 153.6, 145.2, 132.6, 131.6, 131.2, 128.8, 128.1, 127.8, 127.6, 126.7, 126.0, 124.2, 122.2, 122.0, 119.9, 117.6, 115.6, 114.9, 112.6, 111.9, 111.6, 110.2, 101.6, 55.7, 44.6, 32.7; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{31}\text{H}_{26}\text{N}_2\text{OH}^+$ ($[\text{M}+\text{H}]^+$): 443.2118, Found 443.2122.

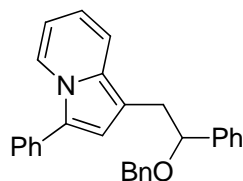


1-(2-phenoxy-2-phenylethyl)-3-phenylindolizine (**5ja**):

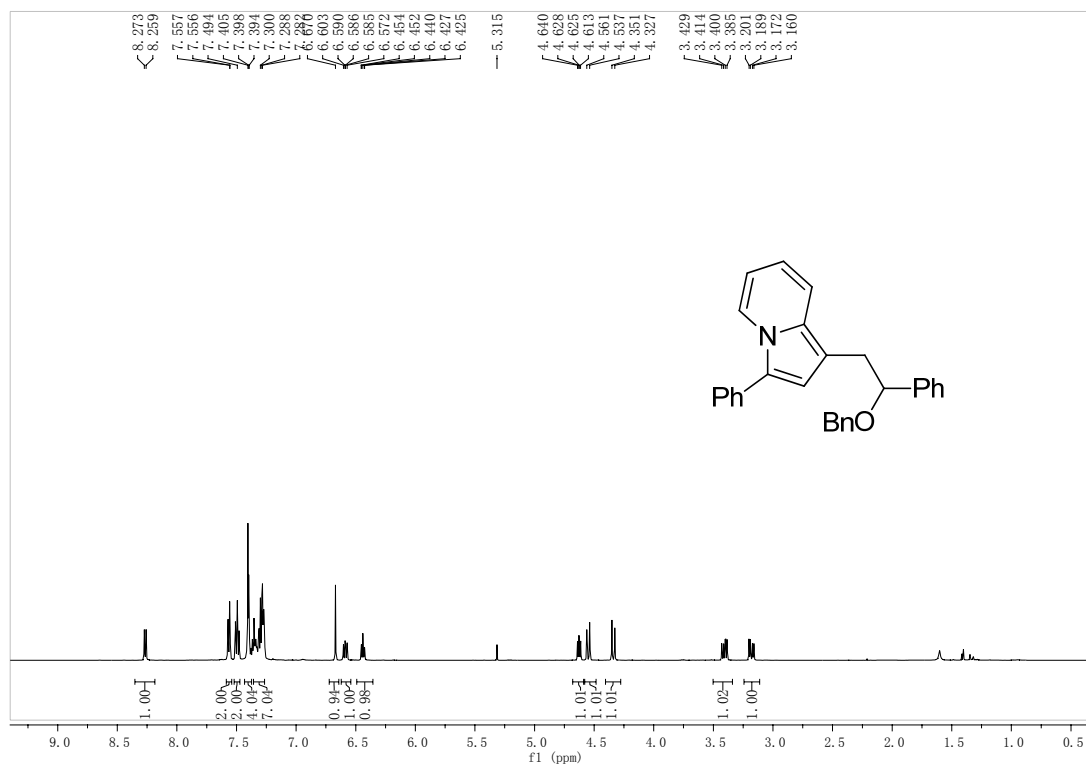


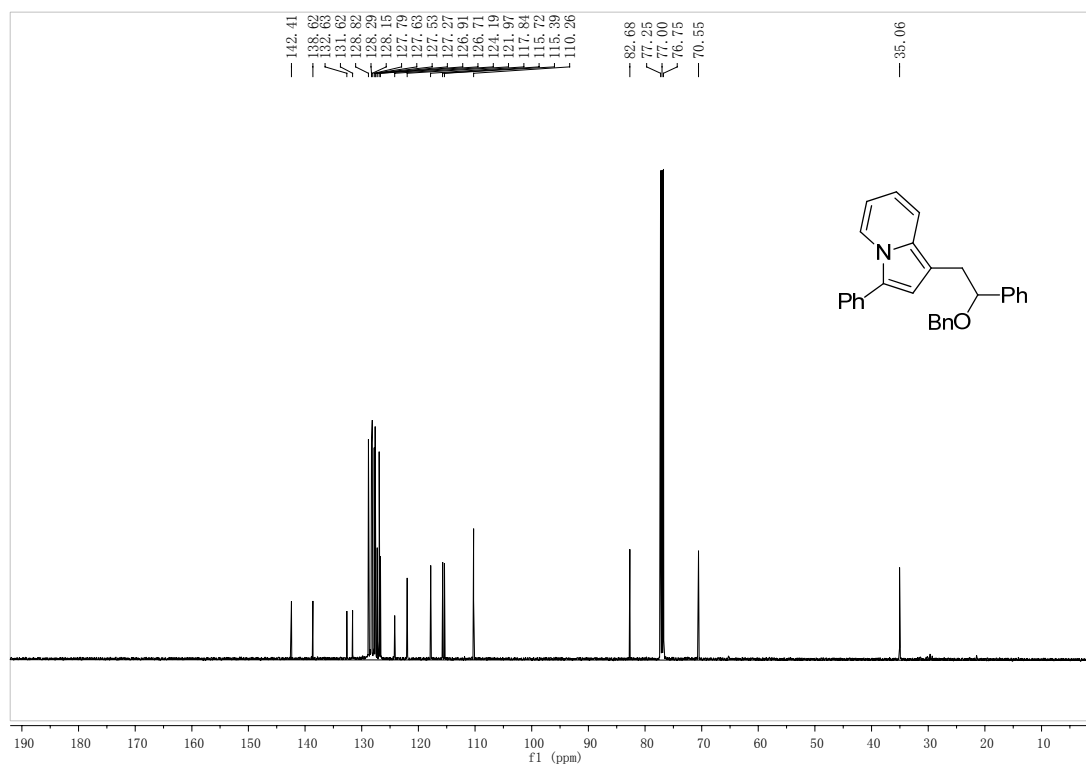
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 87% yield, m.p. 45-47 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.28 (d, $J = 7.0$ Hz, 1H), 7.58 (dd, $J = 8.0, 1.0$ Hz, 2H), 7.53 - 7.49(m, 2H), 7.43 (d, $J = 7.0$ Hz, 2H), 7.40 - 7.35 (m, 4H), 7.34-7.29 (m, 1H), 7.24-7.19 (m, 2H), 6.91 (dd, $J = 14.5, 7.5$ Hz, 3H), 6.76 (s, 1H), 6.68 - 6.64 (m, 1H), 6.49-6.45 (m, 1H), 5.40 (dd, $J = 7.0, 5.0$ Hz, 1H), 3.58 (dd, $J = 14.5, 7.5$ Hz, 1H), 3.36 (dd, $J = 14.5, 5.0$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 158.2, 141.9, 132.5, 131.8, 129.2, 128.8, 128.4, 127.9, 127.4, 126.8, 126.1, 124.4, 122.0, 120.5, 117.8, 116.0, 115.9, 115.3, 110.3, 109.5, 81.3, 35.4; HRMS m/z (ESI+): Calculated for $\text{C}_{28}\text{H}_{23}\text{NOH}^+$ ($[\text{M}+\text{H}]^+$): 390.1852, Found 390.1843.

1-(2-(benzyloxy)-2-phenylethyl)-3-phenylindolizine (**5ka**)

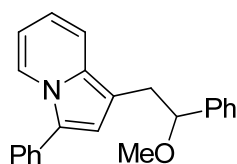


Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow solid, 43% yield, m.p. 35-37 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.27 (d, $J = 7.0$ Hz, 1H), 7.56 (dd, $J = 7.5, 0.5$ Hz, 2H), 7.49 (t, $J = 7.5$ Hz, 2H), 7.41-7.37 (m, 4H), 7.36-7.26 (m, 7H), 6.67 (s, 1H), 6.61-6.57 (m, 1H), 6.46-6.42 (m, 1H), 4.63 (dd, $J = 7.0, 5.5$ Hz, 1H), 4.55 (d, $J = 12.0$ Hz, 1H), 4.34 (d, $J = 12.0$ Hz, 1H), 3.41 (dd, $J = 15.0, 8.0$ Hz, 1H), 3.18 (dd, $J = 15.0, 6.0$ Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 142.4, 138.6, 132.6, 131.6, 128.8, 128.3, 128.2, 127.8, 127.6, 127.5, 127.3, 126.9, 126.7, 124.2, 122.0, 117.8, 115.7, 115.4, 110.3, 82.7, 70.6, 35.1; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{29}\text{H}_{25}\text{NOH}^+$ ($[\text{M}+\text{H}]^+$): 404.2009, Found 404.2023.

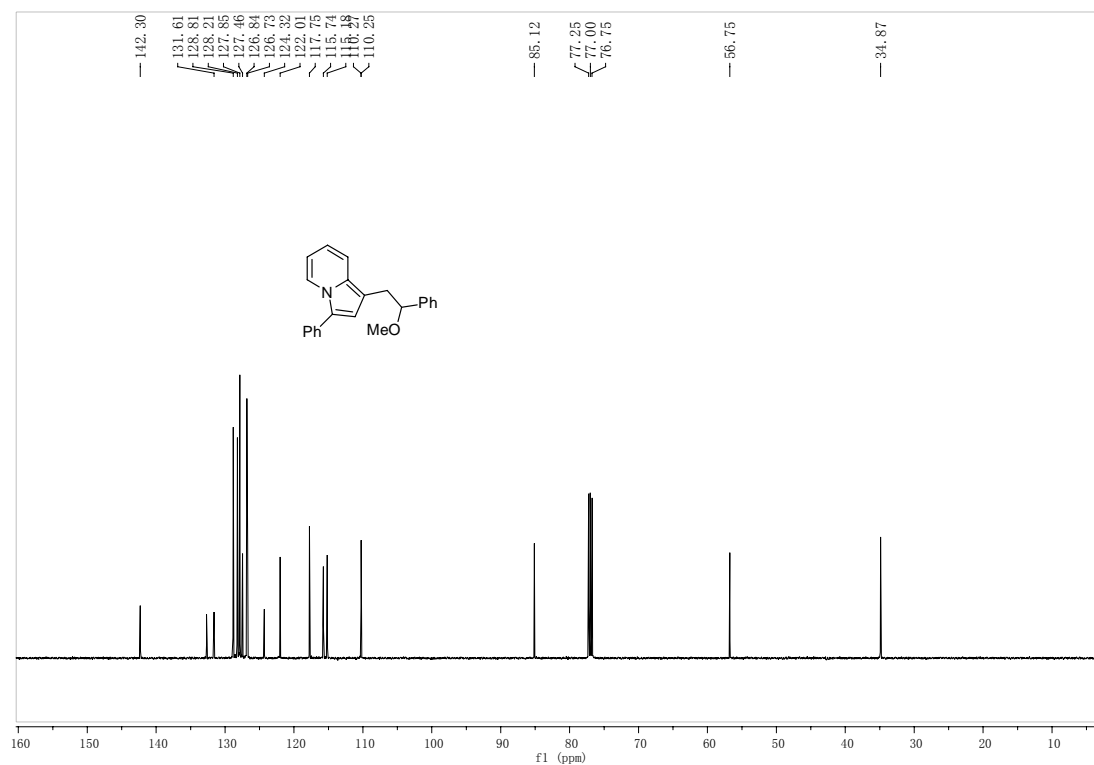
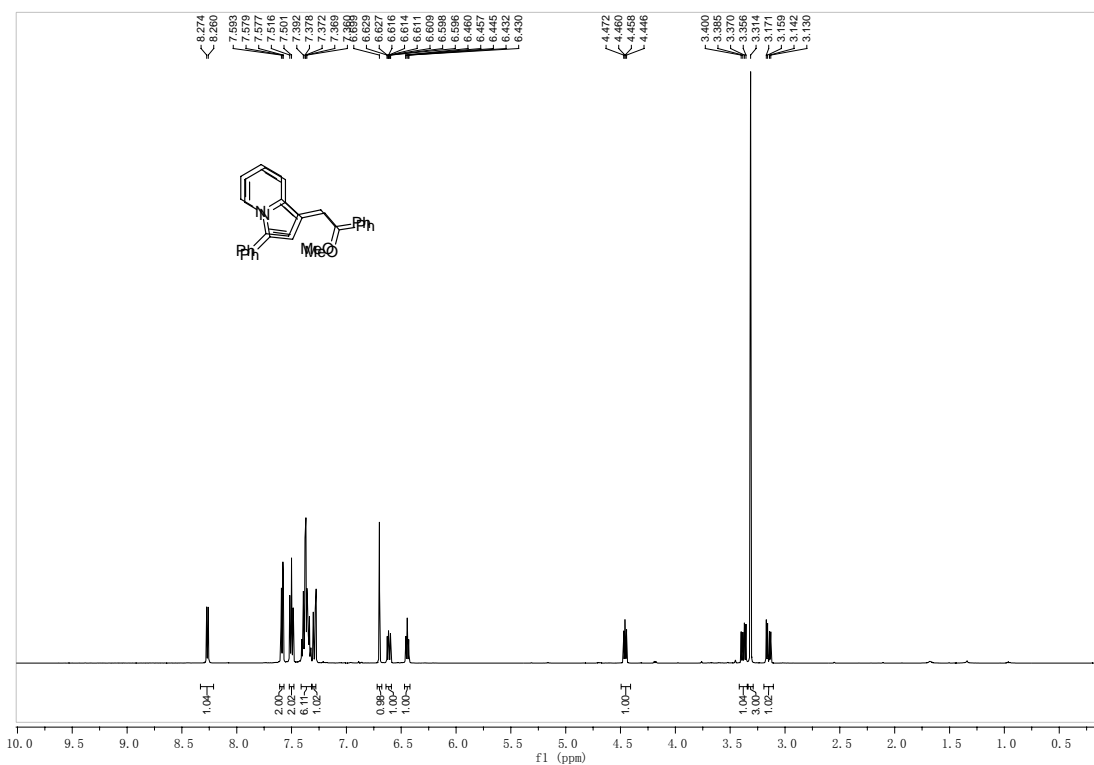




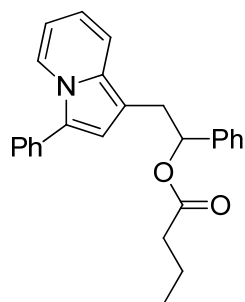
1-(2-methoxy-2-phenylethyl)-3-phenylindolizine (**51a**)



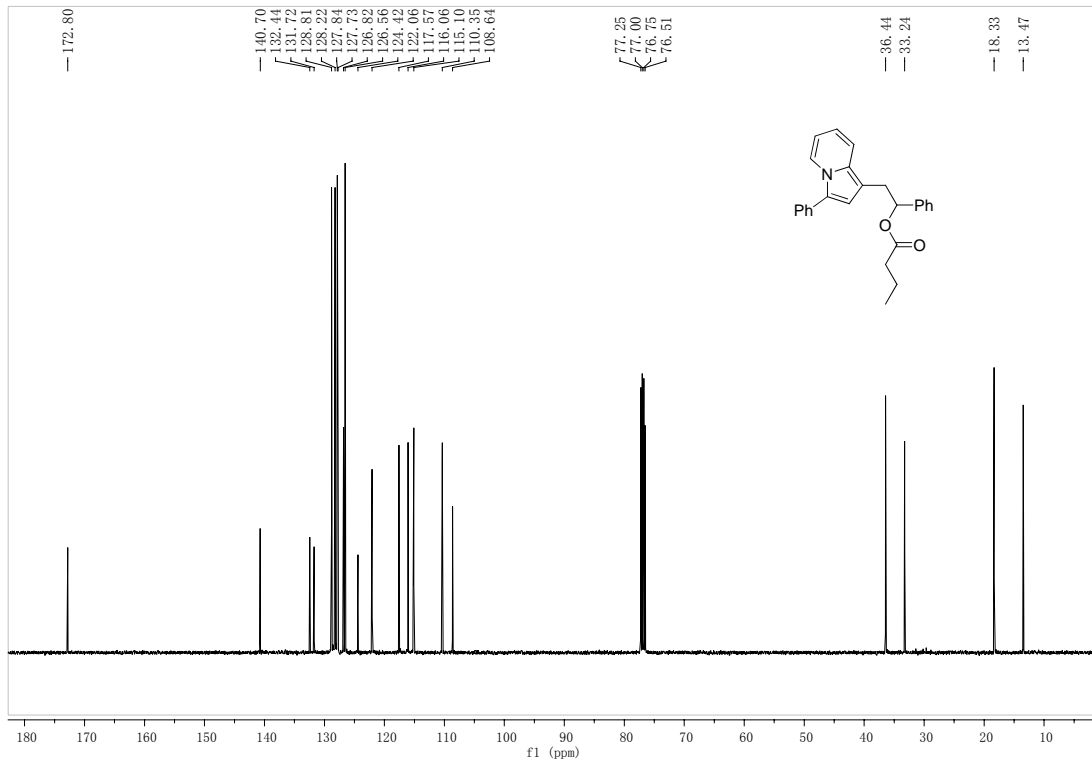
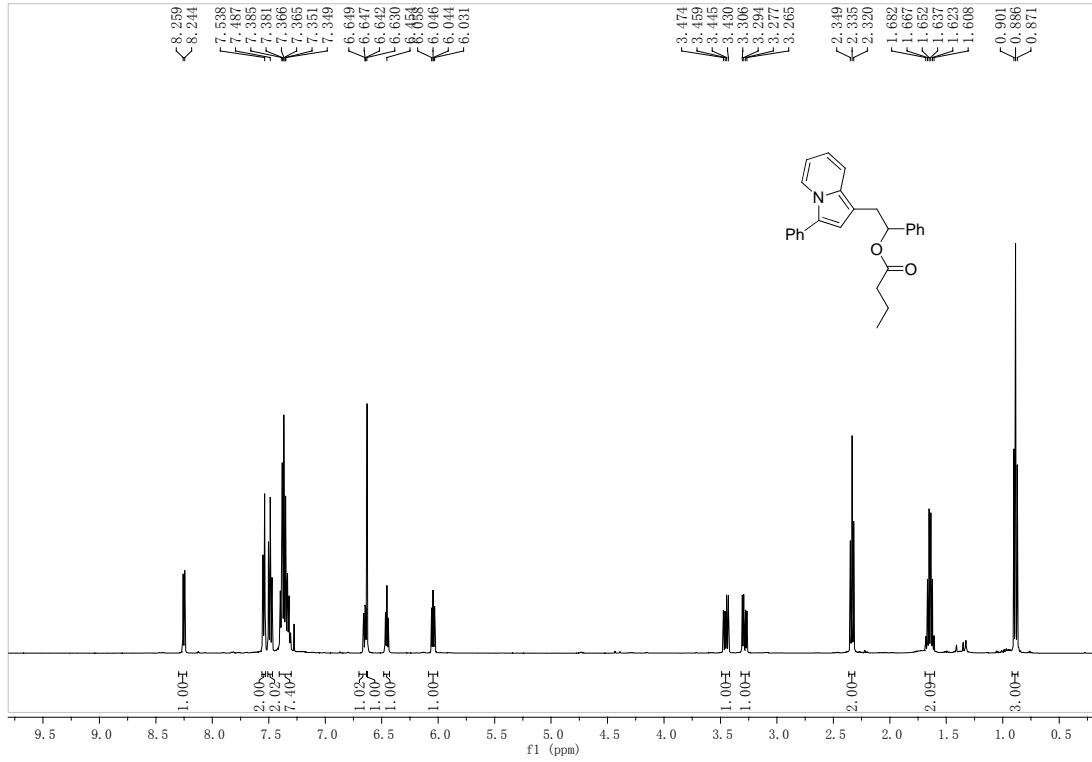
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow oil, 75% yield; ^1H NMR (500 MHz, CDCl_3) δ 8.27 (d, $J = 7.0$ Hz, 1H), 7.60-7.59 (m, 2H), 7.52-7.48 (m, 2H), 7.41-7.31 (m, 6H), 7.31-7.28 (dt, $J = 9.0$, 1.0 Hz, 1H), 6.70 (s, 1H), 6.63-6.59 (m, 1H), 6.47-6.42 (m, 1H), 4.46 (dd, $J = 7.0$, 6.0 Hz, 1H), 3.38 (dd, $J = 15.0$, 7.5 Hz, 1H), 3.31 (s, 3H), 3.15 (dd, $J = 14.5$, 6.0 Hz, 1H); ^{13}C NMR (125 MHz, CDCl_3) δ 142.3, 132.7, 131.6, 128.8, 128.2, 127.9, 127.5, 126.8, 126.7, 124.3, 122.0, 117.8, 115.7, 115.2, 110.27, 110.25, 85.1, 56.8, 34.8; HRMS m/z (ESI $^+$): Calculated for $\text{C}_{23}\text{H}_{21}\text{NOH}^+$ ($[\text{M}+\text{H}]^+$): 328.1696, Found 328.1689.



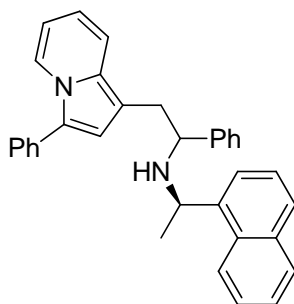
1-phenyl-2-(3-phenylindolizin-1-yl)ethyl butyrate (**5ma**):



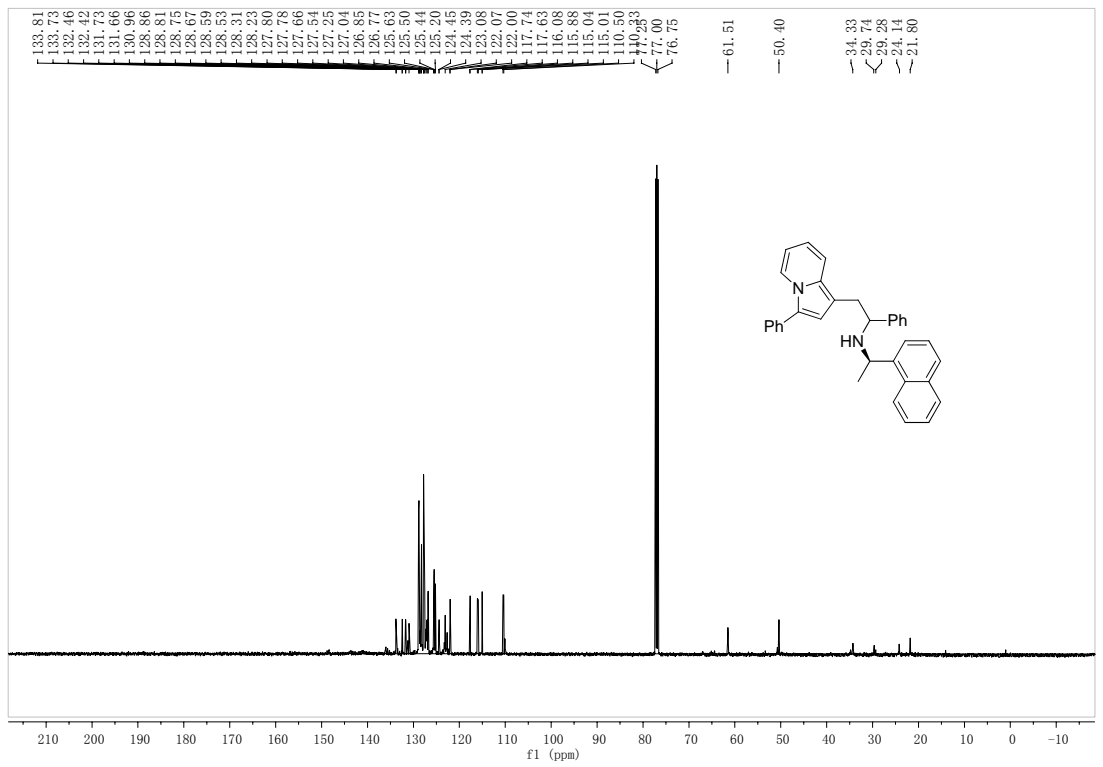
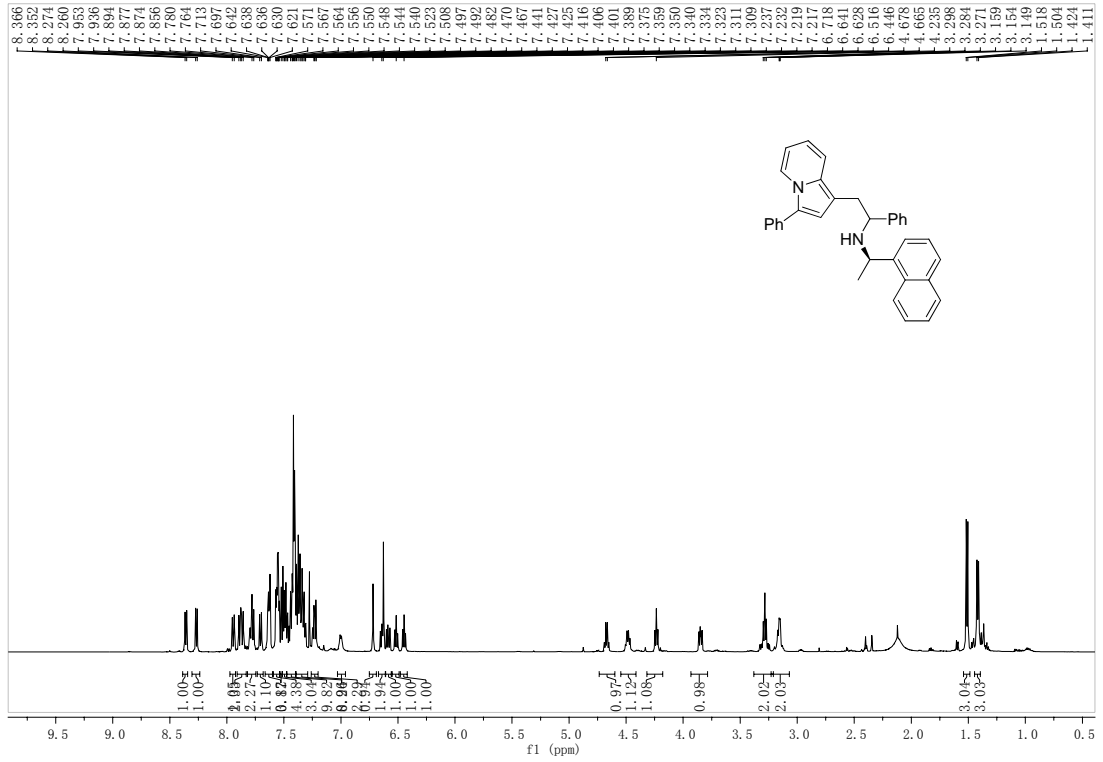
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); yellow oil, 95% yield; ^1H NMR (500 MHz, CDCl_3) δ 8.25 (d, $J = 7.0$ Hz, 1H), 7.56-7.53 (m, 2H), 7.51 - 7.47 (m, 2H), 7.41-7.30 (m, 7H), 6.67-6.64 (m, 1H), 6.63 (s, 1H), 6.47-6.43 (m, 1H), 6.04 (dd, $J = 7.0, 6.0$ Hz, 1H), 3.45 (dd, $J = 14.5, 7.5$ Hz, 1H), 3.29 (dd, $J = 14.5, 6.0$ Hz, 1H), 2.33 (t, $J = 7.0$ Hz, 2H), 1.69-1.60 (m, 2H), 0.89 (t, $J = 7.0$ Hz, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 172.8, 140.7, 132.4, 131.7, 128.8, 128.2, 127.8, 127.7, 126.8, 126.6, 124.4, 122.1, 117.6, 116.1, 115.1, 110.4, 108.6, 76.5, 36.4, 33.2, 18.3, 13.5; HRMS m/z (ESI+): Calculated for $\text{C}_{26}\text{H}_{25}\text{NO}_2\text{H}^+$ ($[\text{M}+\text{H}]^+$): 384.1958, Found 384.1966.



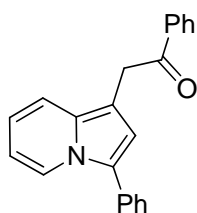
N-((R)-1-(naphthalen-1-yl)ethyl)-1-phenyl-2-(3-phenylindolizin-1-yl)ethanamine
(5na)



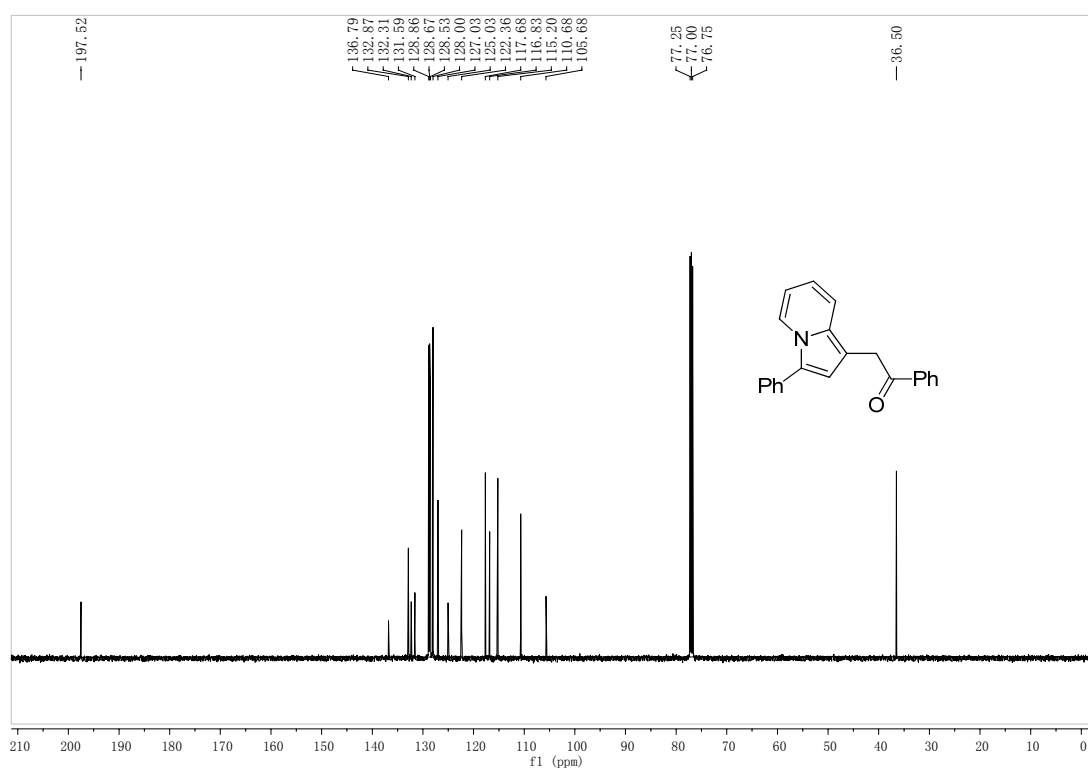
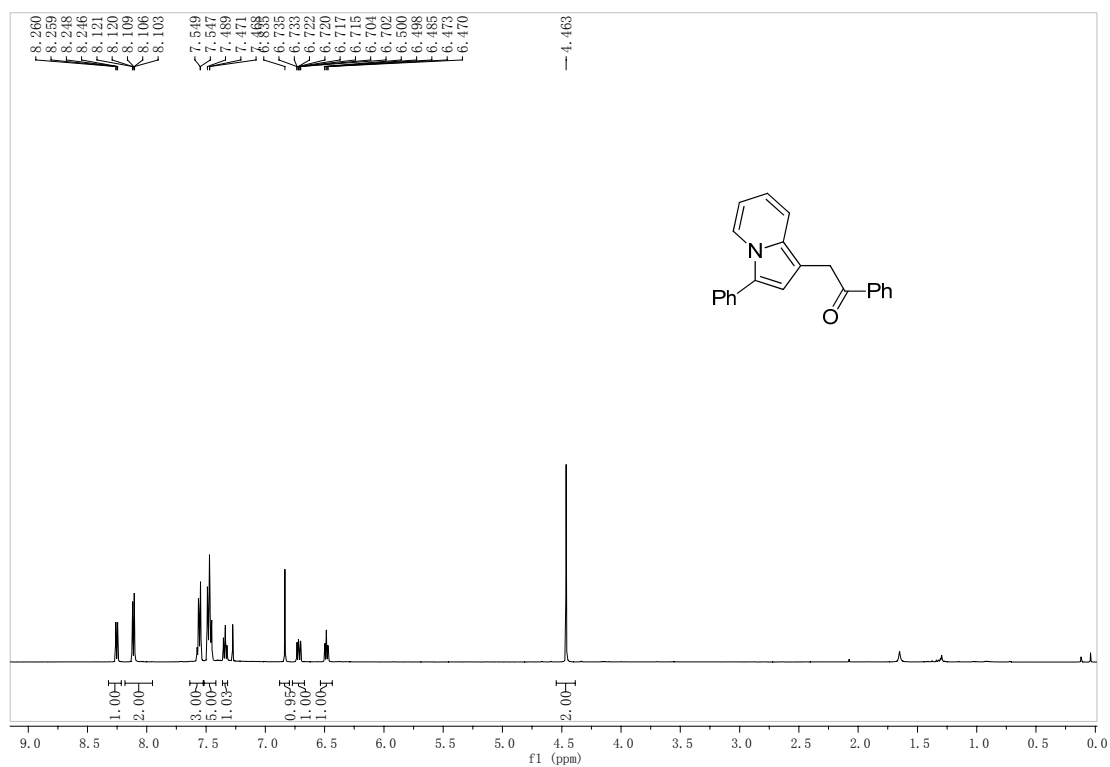
Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); yellow oil, 96% yield; m.p. 62-64 °C; dr = 1:1; ^1H NMR (500 MHz, CDCl_3) δ 8.36 (d, $J = 7.0$ Hz, 1H), 8.27 (d, $J = 7.0$ Hz, 1H), 7.94 (d, $J = 8.5$ Hz, 1H), 7.87 (dt, $J = 19.5, 9.5$ Hz, 2H), 7.78 (t, $J = 8.0$ Hz, 2H), 7.70 (d, $J = 8.0$ Hz, 1H), 7.66-7.60 (m, 3H), 7.58-7.52 (m, 4H), 7.52 (s, 1H), 7.49 (dd, $J = 7.5, 5.5$ Hz, 3H), 7.48-7.38 (m, 9H), 7.39-7.30 (m, 8H), 7.25-7.21 (m, 2H), 7.00 (d, $J = 6.5$ Hz, 1H), 4.67 (d, $J = 6.5$ Hz, 1H), 4.50-4.46 (m, 1H), 4.23 (t, $J = 6.5$ Hz, 1H), 3.85 (dd, $J = 8.5, 5.5$ Hz, 1H), 3.33-3.24 (m, 2H), 3.21-3.12 (m, 2H), 1.51 (d, $J = 6.5$ Hz, 3H), 1.42 (d, $J = 6.5$ Hz, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ 133.8, 133.7, 132.5, 132.4, 131.73, 131.66, 131.2, 131.0, 128.9, 128.81, 128.75, 128.7, 128.6, 128.5, 128.3, 128.2, 127.80, 127.78, 127.7, 127.5, 127.3, 127.0, 126.9, 126.8, 125.6, 125.5, 125.4, 125.2, 124.5, 124.4, 123.0, 122.1, 122.0, 117.7, 117.6, 116.1, 115.9, 115.04, 115.01, 110.5, 110.3, 110.1, 61.5, 50.4, 34.3, 29.7, 29.3, 24.1, 21.8; HRMS m/z (ESI+): Calculated for $\text{C}_{34}\text{H}_{30}\text{N}_2\text{H}^+$ ($[\text{M}+\text{H}]^+$): 467.2482, Found 467.2479.



Typical procedure for the Synthesis indolizine substituted ethanones **6**.



To a dried Schlenk tube were added IPrAuCl (0.01 mmol) and AgNTf₂ (0.01 mmol) stirred 10~15 minutes in anhydrous CH₂Cl₂ under N₂ at room temperature. After that the reaction mixture was concentrated under vacuum, then **3** (0.2 mmol) and (*R*)-*tert*-butanesulfinamide **4** (0.3 mmol) were added under O₂, 2.0 mL DCE was then added through syringe. The mixture was stirred at 70 °C until the reaction was completed (monitored by TLC). The solvent was removed under vacuum and the residue was purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v) to afford the product **6**. Purified by chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); yellow solid, 55% yield; m.p. 88-90 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.25 (dd, *J* = 6.5, 1.0 Hz, 1H), 8.13-8.10 (m, 2H), 7.58-7.54 (m, 3H), 7.49-7.45 (m, 5H), 7.36-7.32 (m, 1H), 6.84 (s, 1H), 6.74 - 6.70 (m, 1H), 6.54-6.44 (m, 1H), 4.46 (s, 2H); ¹³C NMR (125 MHz, CDCl₃) δ 197.5, 136.8, 132.9, 132.3, 131.6, 128.9, 128.7, 128.5, 128.0, 127.0, 125.0, 122.4, 117.7, 116.8, 115.2, 110.7, 105.7, 36.5; HRMS *m/z* (ESI⁺): Calculated for C₂₂H₁₇NOH⁺ ([M+H]⁺): 312.1383, Found 312.1391.



References:

Friel, D. K.; Snapper, M. L.; Hoveyda, A. H. *J. Am. Chem. Soc.* **2008**, *130*, 9942.