

FeCl₃-promoted alkylation of indoles by enamides

*Tianmin Niu, Lehao Huang, Tianxing Wu and Yuhong Zhang**

Department of Chemistry, Zhejiang University, Hangzhou 310027, P. R. China.

E-mail: yhzhang@zju.edu.cn Fax: +86-571-87953244; Tel: +86-571-87952723

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General Information Unless otherwise stated, all reactions were carried out in oven-dried flask in air. ¹H NMR spectra were recorded at 400 or 500 MHz and the chemical shifts were reported in parts per million (δ) relative to internal standard TMS (0 ppm) for CDCl₃ or DMSO-d₆. The peak patterns are indicated as follows: s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet; dd, doublet of doublet; dt, doublet of triplet. The coupling constants, *J*, are reported in Hertz (Hz). ¹³C NMR spectra were recorded at 100 or 125 MHz and referenced to the internal solvent signals (center peak is 77.00 ppm in CDCl₃ or 39.90 ppm in DMSO-d₆). Mass spectroscopy data were collected on an HRMS-EI instrument. Melting points were measured on Yanaco MP-500 apparatus and uncorrected. FT-IR spectra were recorded on a Nicolet Nexus 470 FT-IR spectrophotometer and the data were reported in reciprocal centimetres (cm⁻¹). Indoles and Enamides materials were purchased from common commercial sources and used without additional purification.

Typical procedure for the product

Method A: A typical procedure for the preparation of 3-alkylindole: To a mixture of indole (59 mg, 0.5 mmol), FeCl₃ (8 mg, 0.05 mmol) and CH₂Cl₂ (5 mL), 1-vinylpyrrolidin-2-one (67 mg, 0.6 mmol) was added dropwise at room temperature. The resulting mixture was stirred at 40 °C for 30 min. After the reaction, the reaction solution was filtered through a pad of celite, and the solvent was removed under reduced pressure. The residue was purified on a silica gel column to afford the desired product.

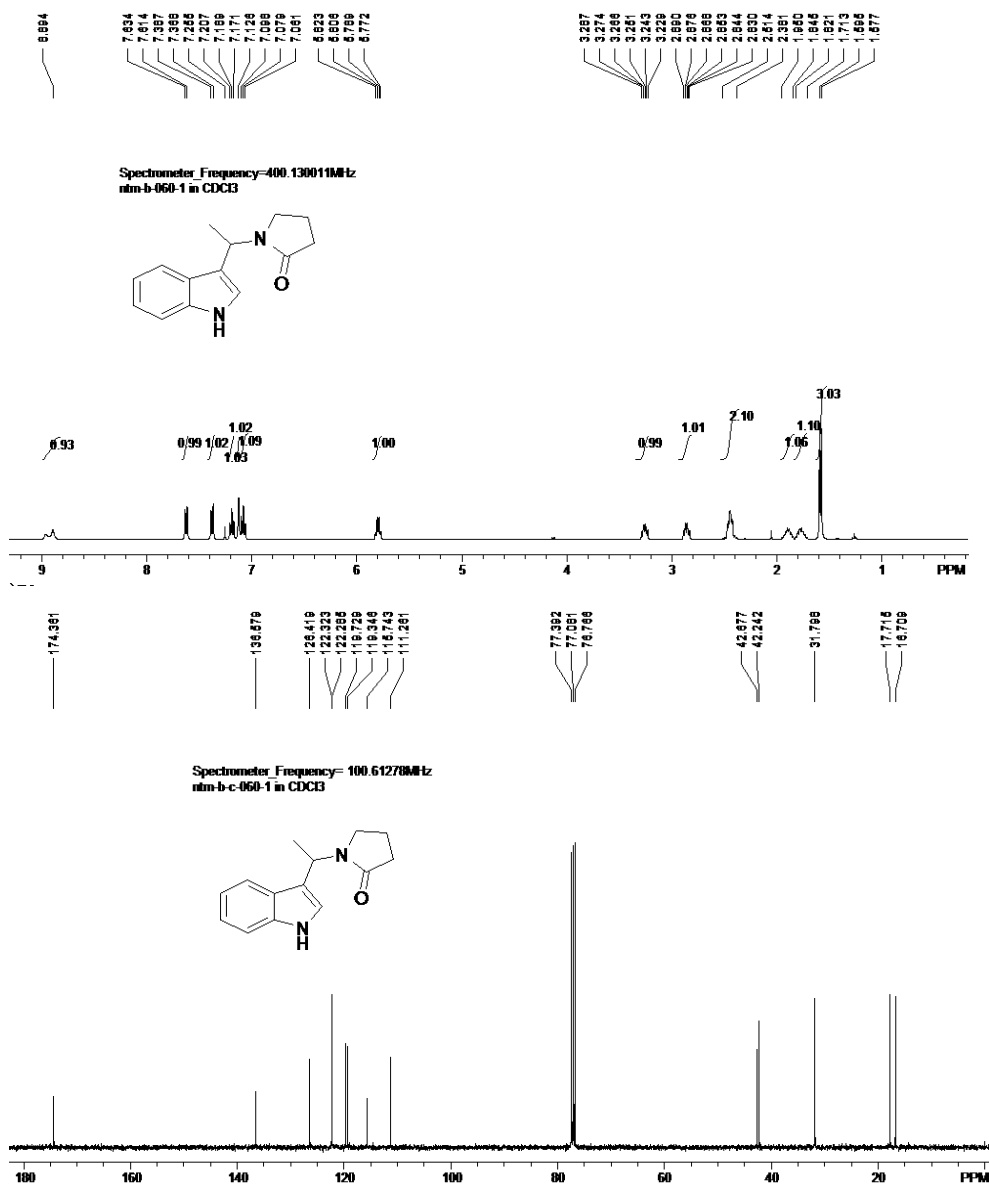
Method B: A typical procedure for the preparation of Bis-indolylmethanes: *N*-Methyl-*N*-vinylacetamide (50 mg, 0.5mmol), indole (176 mg, 1.5 mmol), FeCl₃ (8 mg, 0.05 mmol) and CH₂Cl₂ (5 mL) were introduced into the reaction vessel at room temperature. The resulted mixture was stirred at 40 °C for 1 hour. After the reaction, the reaction solution was filtered through a pad of celite, and the solvent was removed under reduced pressure. The residue was purified on a silica gel column to afford the desired product.

Characterization data of the product

1-(1-(1*H*-indol-3-yl)ethyl)pyrrolidin-2-one [T 2-3a, New Compound]

Following the typical procedure A and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/10).

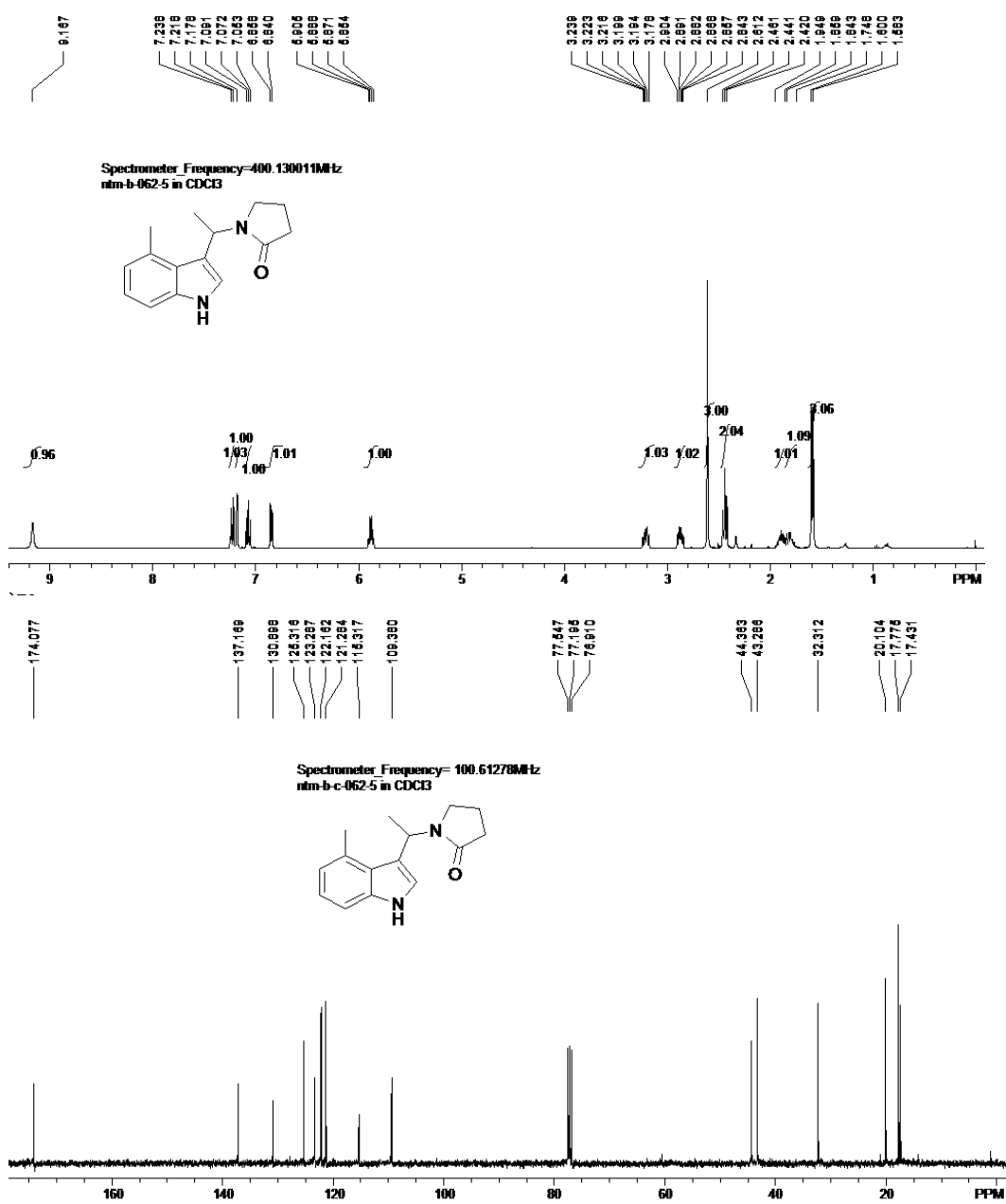
^1H NMR (400 MHz, CDCl_3 , TMS) δ 8.89 (s, 1 H), 7.62 (d, $J = 8.0$ Hz, 1 H), 7.38 (d, $J = 7.6$ Hz, 1 H), 7.19 (t, $J = 7.2$ Hz, 1 H), 7.13 (s, 1 H), 7.08 (t, $J = 7.2$ Hz, 1 H), 5.80 (q, $J = 6.8$ Hz, 1 H), 3.26 (dt, $J = 8.6, 5.4$ Hz, 1 H), 2.86 (dt, $J = 9.0, 5.6$ Hz, 1 H), 2.51-2.38 (m, 2 H), 1.95-1.85 (m, 1 H), 1.82-1.71 (m, 1 H), 1.58 (d, $J = 7.2$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 174.4, 136.6, 126.4, 122.3, 122.2, 119.7, 119.3, 115.7, 111.3, 42.7, 42.2, 31.8, 17.7, 16.7. HRMS (EI) Calcd for $\text{C}_{14}\text{H}_{16}\text{N}_2\text{O}$: $[\text{M}]^+$ 228.1263; Found, 228.1260; IR ν (KBr) 3244, 3058, 2973, 2932, 1659, 1493, 1455, 1428, 1342, 1287, 1248, 1198, 1116, 771, 745, 659. cm^{-1} ; mp: 147-149 $^\circ\text{C}$.



1-(1-(4-methyl-1H-indol-3-yl)ethyl)pyrrolidin-2-one [T 2-3b, New compound]

Following the typical procedure A and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/10).

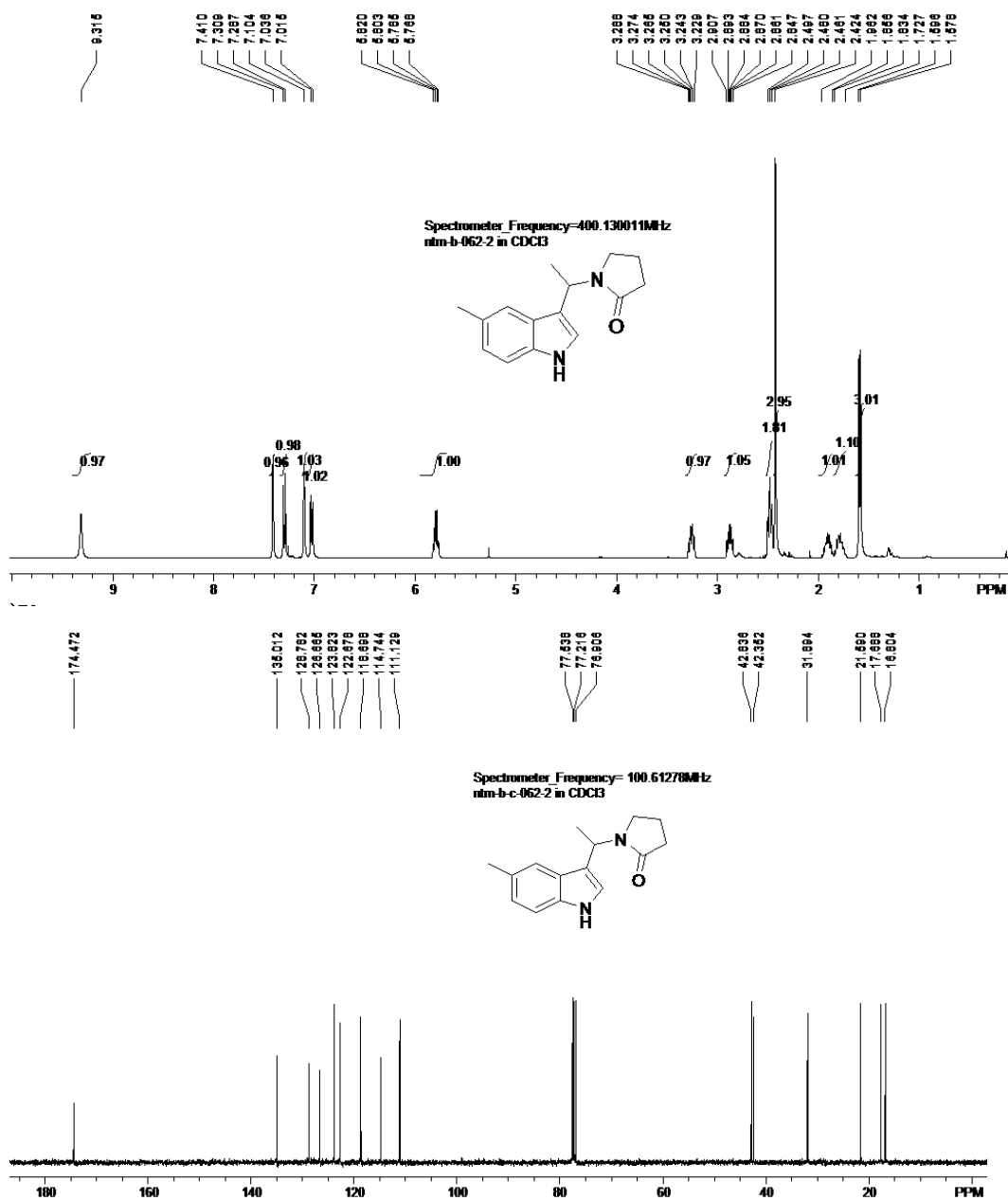
^1H NMR (400 MHz, CDCl_3 , TMS) δ 9.17 (s, 1 H), 7.22 (d, $J = 8.0$ Hz, 1 H), 7.18 (s, 1 H), 7.07 (t, $J = 7.6$ Hz, 1 H), 6.85 (d, $J = 7.2$ Hz, 1 H), 5.88 (q, $J = 6.0$ Hz, 1 H), 3.21 (dt, $J = 8.8, 6.4$ Hz, 1 H), 2.87 (dt, $J = 9.4, 5.4$ Hz, 1 H), 2.61 (s, 3 H), 2.44 (t, $J = 8.2$ Hz, 2 H), 1.95-1.86 (m, 1 H), 1.84-1.75 (m, 1 H), 1.59 (d, $J = 6.8$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 174.1, 137.2, 130.9, 125.3, 123.3, 122.2, 121.3, 115.3, 109.4, 44.4, 43.3, 32.3, 20.1, 17.8, 17.4. HRMS (EI) Calcd for $\text{C}_{15}\text{H}_{18}\text{N}_2\text{O}$: $[\text{M}]^+$ 242.1419; Found, 242.1419; IR ν (KBr) 3160, 3060, 2996, 2940, 1653, 1494, 1459, 1440, 1339, 1289, 1195, 1139, 780, 775, 680. cm^{-1} ; mp: 184-186 $^\circ\text{C}$.



1-(1-(5-methyl-1H-indol-3-yl)ethyl)pyrrolidin-2-one [T 2-3c, New Compound]

Following the typical procedure A and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/10).

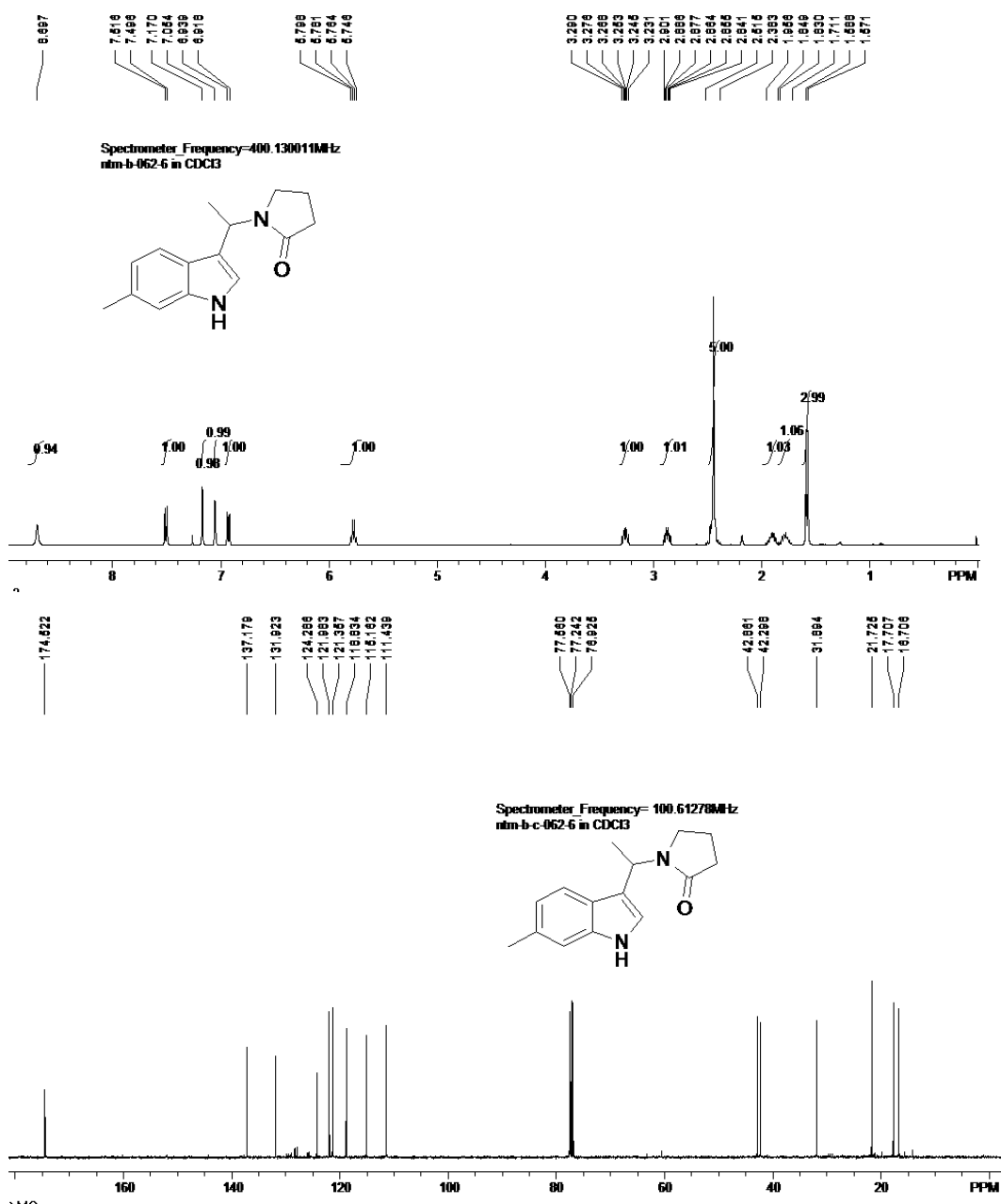
^1H NMR (400 MHz, CDCl_3 , TMS) δ 9.32 (s, 1 H), 7.41 (s, 1 H), 7.30 (d, $J = 8.8$ Hz, 1 H), 7.10 (s, 1 H), 7.03 (d, $J = 8.4$ Hz, 1 H), 5.80 (q, $J = 6.8$ Hz, 1 H), 3.26 (dt, $J = 9.2, 5.6$ Hz, 1 H), 2.88 (dt, $J = 9.2, 5.6$ Hz, 1 H), 2.48 (t, $J = 7.2$ Hz, 2 H), 2.42 (s, 3 H), 1.96-1.86 (m, 1 H), 1.83-1.72 (m, 1 H), 1.59 (d, $J = 7.2$, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 174.5, 135.0, 128.8, 126.7, 123.9, 122.7, 118.7, 114.7, 111.1, 42.8, 42.4, 31.9, 21.6, 17.7, 16.8. HRMS (EI) Calcd for $\text{C}_{15}\text{H}_{18}\text{N}_2\text{O}$: $[\text{M}]^+$ 242.1419; Found, 242.1427; IR ν (KBr) 3178, 3055, 2976, 2927, 1651, 1498, 1441, 1384, 1289, 1198, 1117, 792, 772, 662. cm^{-1} ; mp: 171-173 $^\circ\text{C}$.



1-(1-(6-methyl-1*H*-indol-3-yl)ethyl)pyrrolidin-2-one [T 2-3d, New compound]

Following the typical procedure A and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/10).

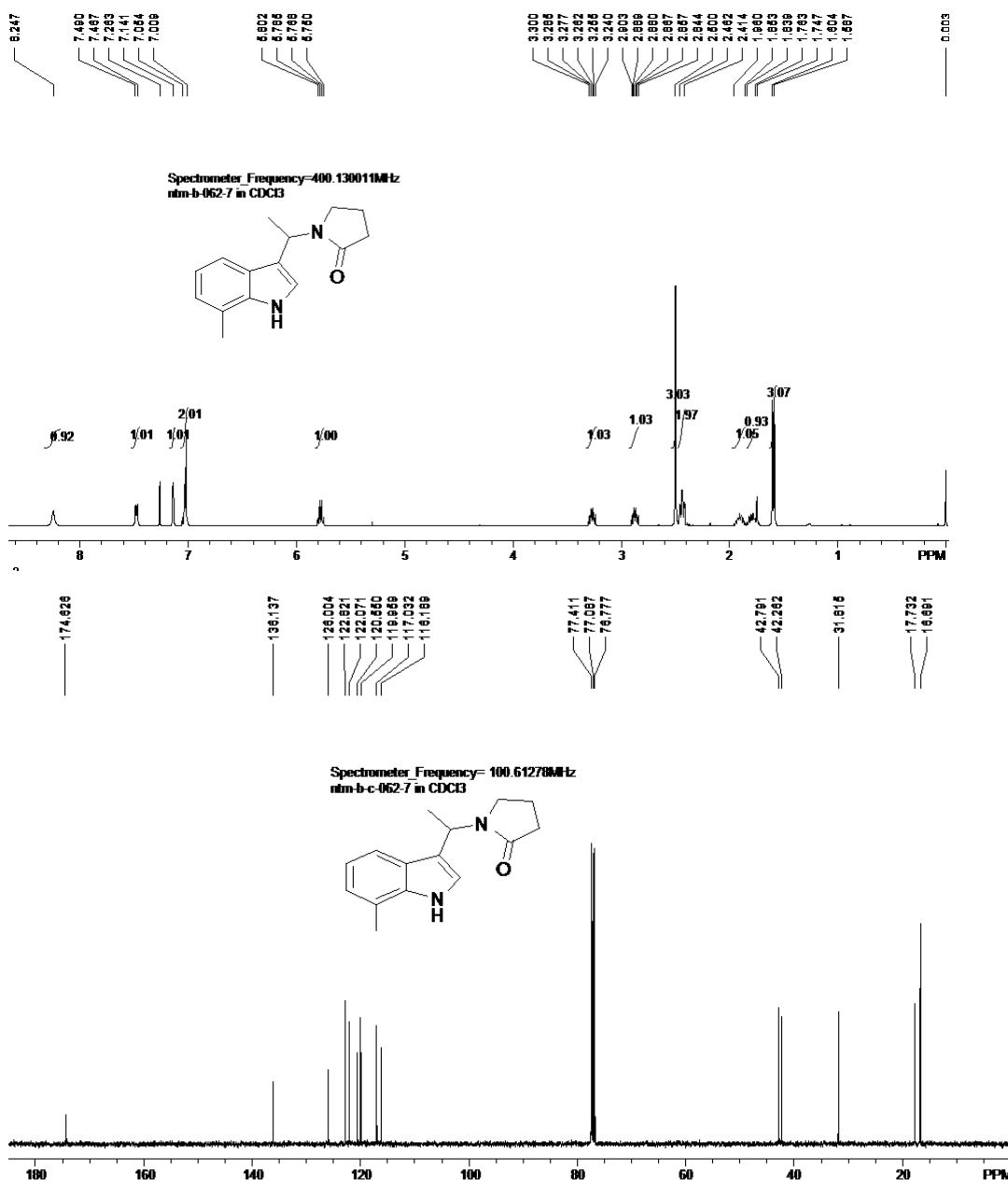
^1H NMR (400 MHz, CDCl_3 , TMS) δ 8.70 (s, 1 H), 7.50 (d, $J = 8.0$ Hz, 1 H), 7.17 (s, 1 H), 7.05 (s, 1 H), 6.93 (d, $J = 8.4$ Hz, 1 H), 5.72 (q, $J = 7.0$ Hz, 1 H), 3.26 (dt, $J = 8.8, 5.6$ Hz, 1 H), 2.87 (dt, $J = 9.4, 5.8$ Hz, 1 H), 2.52-2.38 (m, 5 H), 1.96-1.85 (m, 1 H), 1.83-1.71 (m, 1 H), 1.58 (d, $J = 6.8$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 174.5, 137.2, 132.0, 124.3, 122.0, 121.4, 118.8, 115.2, 111.4, 42.9, 42.3, 31.9, 21.7, 17.7, 16.7. HRMS (EI) Calcd for $\text{C}_{15}\text{H}_{18}\text{N}_2\text{O}$: $[\text{M}]^+$ 242.1419; Found, 242.1421; IR ν (KBr) 3175, 3053, 2962, 2924, 1651, 1493, 1440, 1384, 1288, 1200, 1114, 795 cm^{-1} ; mp: 134-136 $^\circ\text{C}$.



1-(1-(7-methyl-1*H*-indol-3-yl)ethyl)pyrrolidin-2-one [T 2-3e, New compound]

Following the typical procedure A and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/10).

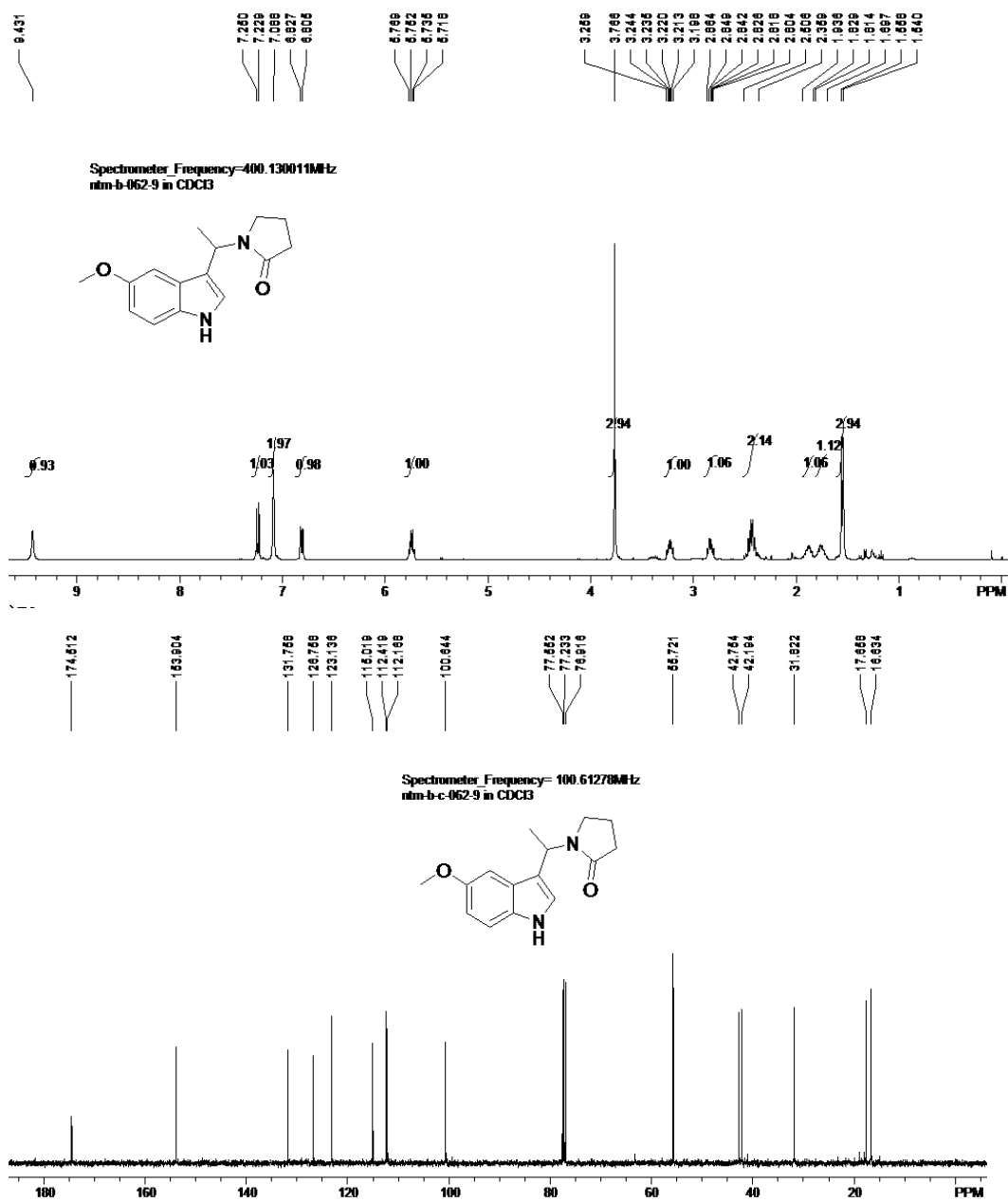
^1H NMR (400 MHz, CDCl_3 , TMS) δ 8.25 (s, 1 H), 7.48 (d, $J = 9.2$ Hz, 1 H), 7.14 (s, 1 H), 7.05-7.01 (m, 2 H), 5.78 (q, $J = 7.0$ Hz, 1 H), 3.27 (dt, $J = 9.0, 6.0$ Hz, 1 H), 2.87 (dt, $J = 9.2, 5.4$ Hz, 1 H), 2.50 (s, 3 H), 2.46-2.41 (m, 2 H), 1.96-1.85 (m, 1 H), 1.84-1.76 (m, 1 H), 1.59 (d, $J = 6.8$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 174.6, 136.1, 126.0, 122.8, 122.1, 120.1, 120.0, 117.0, 116.2, 42.8, 42.3, 31.8, 17.7, 17.0. HRMS (EI) Calcd for $\text{C}_{15}\text{H}_{18}\text{N}_2\text{O}$: $[\text{M}]^+$ 242.1419; Found, 242.1420; IR ν (KBr) 3222, 3057, 2971, 2929, 1649, 1497, 1444, 1382, 1290, 1201, 1122, 788, 749, 671 cm^{-1} ; mp: 191-193 $^\circ\text{C}$.



1-(1-(5-methoxy-1*H*-indol-3-yl)ethyl)pyrrolidin-2-one [**T 2-3f**, New Compound]

Following the typical procedure **A** and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/8).

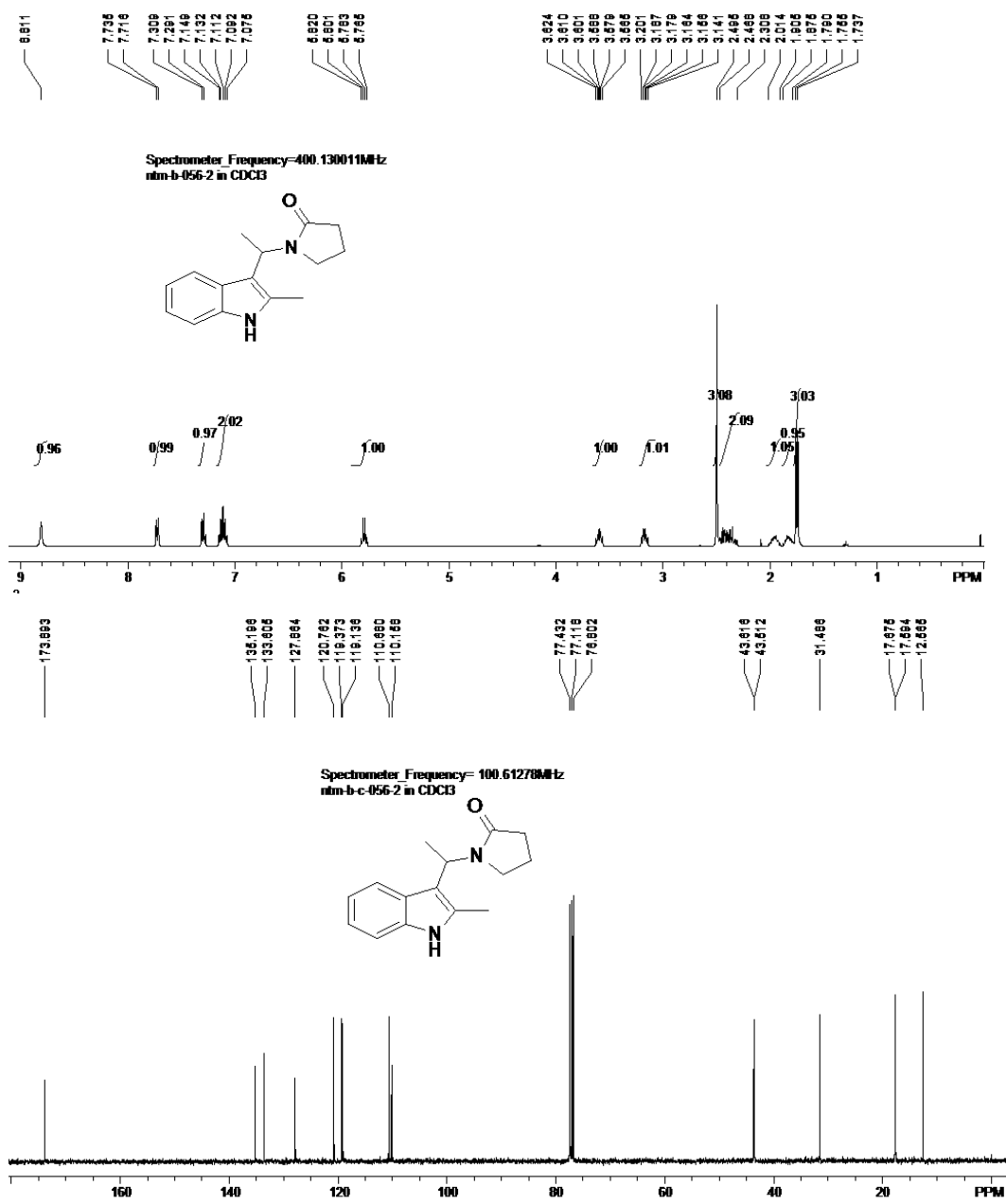
^1H NMR (400 MHz, CDCl_3 , TMS) δ 9.43 (s, 1 H), 7.24 (d, $J = 8.4$ Hz, 1 H), 7.09 (s, 2 H), 6.81 (d, $J = 8.8$ Hz, 1 H), 5.73 (q, $J = 6.8$ Hz, 1 H), 3.77 (s, 3H), 3.23 (dt, $J = 9.6, 6.0$ Hz, 1 H), 2.83 (dt, $J = 8.8, 6.0$ Hz, 1 H), 2.51-2.36 (m, 2 H), 1.94-1.83 (m, 1 H), 1.81-1.70 (m, 1 H), 1.55 (d, $J = 7.2$, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 174.5, 153.9, 131.8, 126.8, 123.1, 115.0, 112.4, 112.2, 100.6, 55.7, 42.8, 42.2, 31.8, 17.7, 16.6. HRMS (EI) Calcd for $\text{C}_{15}\text{H}_{18}\text{N}_2\text{O}_2$: $[\text{M}]^+$ 258.1386; Found, 258.1365; IR ν (KBr) 3184, 3039, 2946, 2931, 1650, 1575, 1484, 1440, 1285, 1206, 1170, 1117, 1038, 1024, 801, 709, 668 cm^{-1} ; mp: 147-149 $^\circ\text{C}$.



1-(1-(2-methyl-1*H*-indol-3-yl)ethyl)pyrrolidin-2-one [T 2-3g, New compound]

Following the typical procedure A and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/10).

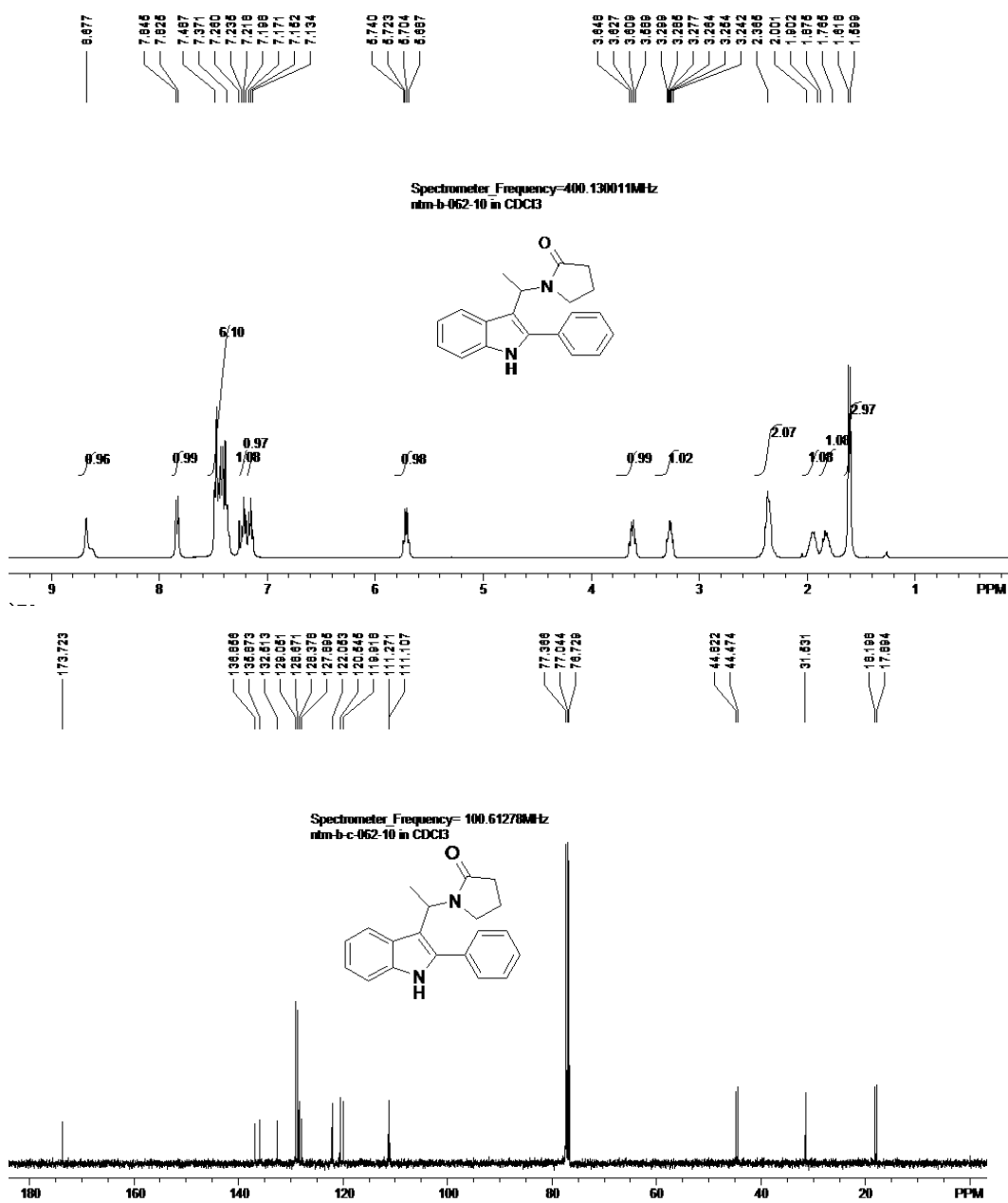
^1H NMR (400 MHz, CDCl_3 , TMS) δ 8.81 (s, 1 H), 7.73 (d, $J = 7.6$ Hz, 1 H), 7.30 (d, $J = 7.2$ Hz, 1 H), 7.15-7.08 (m, 2 H), 5.79 (q, $J = 7.4$ Hz, 1 H), 3.59 (dt, $J = 9.2, 5.6$ Hz, 1 H), 3.17 (dt, $J = 9.0, 5.8$ Hz, 1 H), 2.50 (s, 3 H), 2.44-2.31 (m, 2 H), 2.01-1.91 (m, 1 H), 1.88-1.79 (m, 1 H), 1.75 (d, $J = 7.2$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 174.0, 135.2, 133.6, 127.9, 120.8, 119.3, 119.1, 110.7, 110.2, 43.6, 43.5, 31.5, 17.7, 17.6, 12.6. HRMS (EI) Calcd for $\text{C}_{15}\text{H}_{18}\text{N}_2\text{O}$: $[\text{M}]^+$ 242.1419; Found, 242.1425; IR ν (KBr) 3316, 3030, 2974, 2933, 1659, 1491, 1459, 1435, 1384, 1288, 1200, 1052, 748, 648 cm^{-1} ; mp: 185-187 $^\circ\text{C}$.



1-(1-(2-phenyl-1*H*-indol-3-yl)ethyl)pyrrolidin-2-one [T 2-3h, New compound]

Following the typical procedure A and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/15).

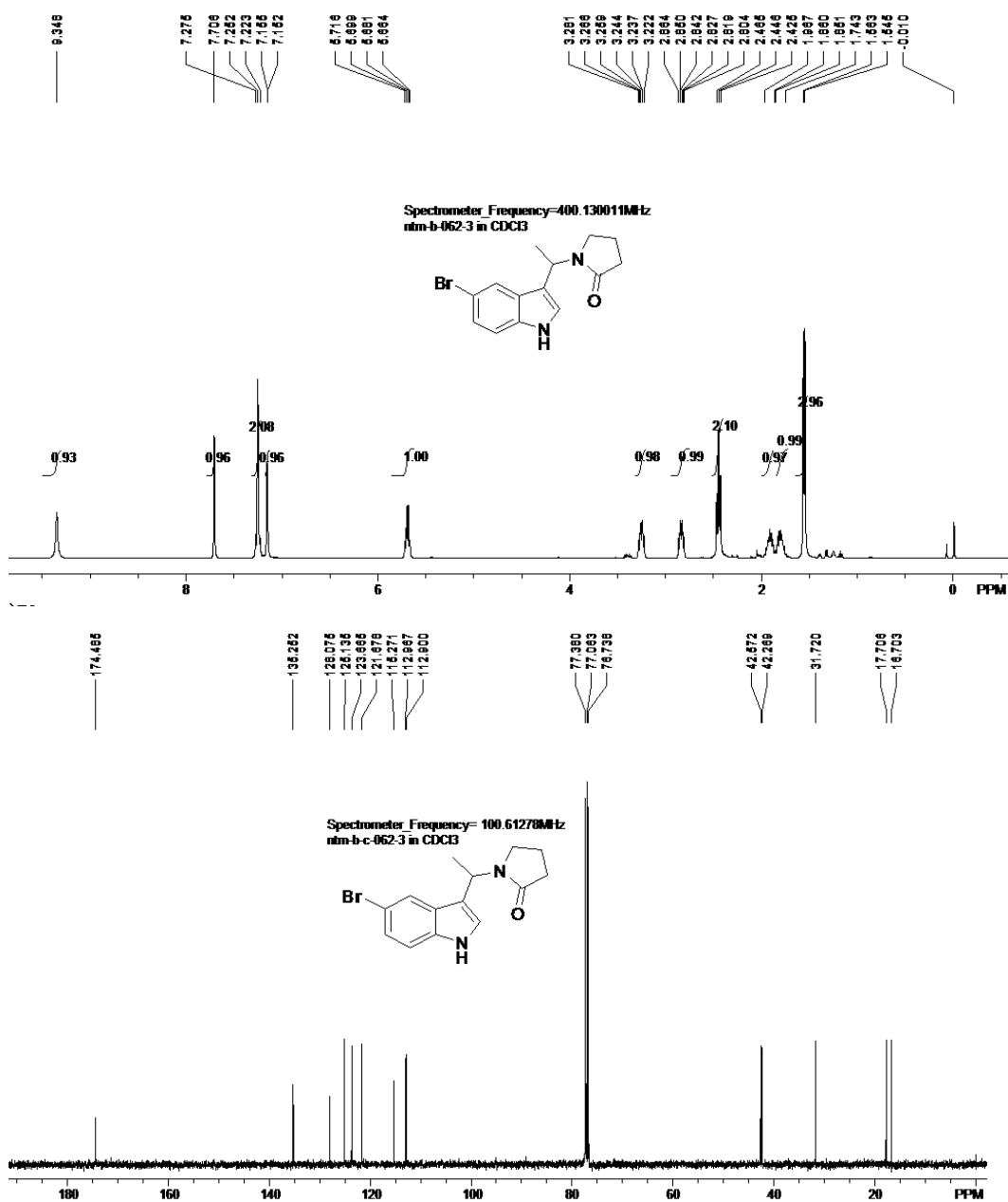
^1H NMR (400 MHz, CDCl_3 , TMS) δ 8.68 (s, 1 H), 7.84 (d, $J = 8.0$ Hz, 1 H), 7.49-7.37 (m, 6 H), 7.22 (t, $J = 7.6$ Hz, 1 H), 7.15 (t, $J = 7.4$ Hz, 1 H), 5.71 (q, $J = 6.8$ Hz, 1 H), 3.62 (q, $J = 8.2$ Hz, 1 H), 3.27 (dt, $J = 8.8, 5.2$ Hz, 1 H), 2.37 (m, 2 H), 2.00-1.90 (m, 1 H), 1.88-1.77 (m, 1 H), 1.61 (d, $J = 7.6$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 173.7, 136.9, 135.9, 132.5, 129.1, 128.7, 128.4, 127.9, 122.1, 120.5, 120.0, 111.3, 111.1, 44.8, 44.5, 31.5, 18.2, 17.9. HRMS (EI) Calcd for $\text{C}_{20}\text{H}_{20}\text{N}_2\text{O}$: $[\text{M}]^+$ 304.1576; Found, 304.1585; IR ν (KBr) 3169, 3106, 3066, 2977, 2930, 1660, 1491, 1457, 1423, 1311, 1287, 1200, 1097, 775, 743, 698 cm^{-1} ; mp: 168-170 $^\circ\text{C}$.



1-(1-(5-bromo-1*H*-indol-3-yl)ethyl)pyrrolidin-2-one [**T 2-3i**, New Compound]

Following the typical procedure **A** and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/10).

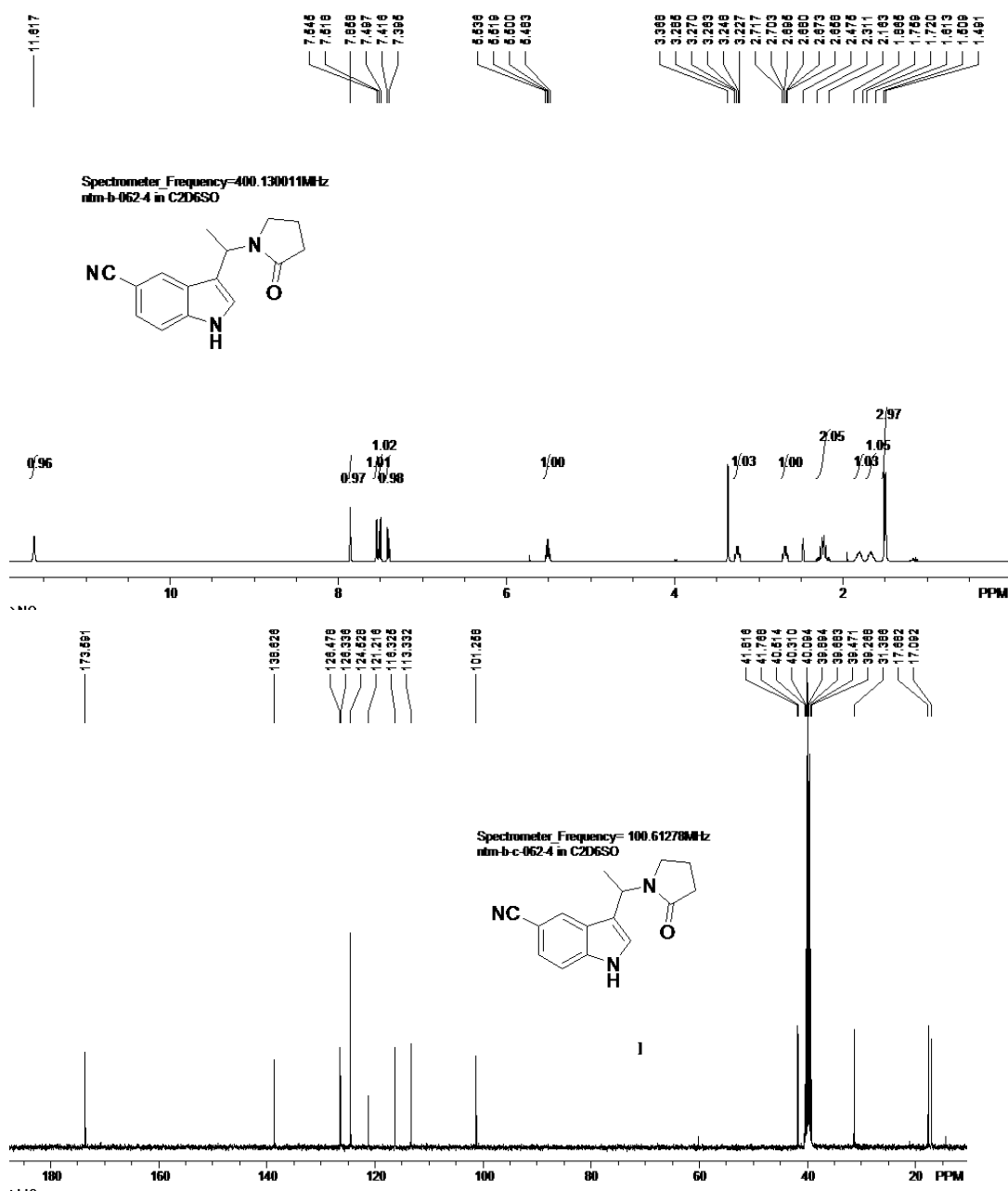
^1H NMR (400 MHz, CDCl_3 , TMS) δ 9.35 (s, 1 H), 7.71 (s, 1 H), 7.25 (t, $J = 9.2$ Hz, 2 H), 7.15 (s, 1 H), 5.69 (q, $J = 6.8$ Hz, 1 H), 3.25 (dt, $J = 8.8, 6.0$ Hz, 1 H), 2.83 (dt, $J = 8.8, 5.8$ Hz, 1 H), 2.45 (t, $J = 8.6$ Hz, 2 H), 1.97-1.86 (m, 1 H), 1.85-1.74 (m, 1 H), 1.56 (d, $J = 7.2$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 174.5, 135.3, 128.1, 125.1, 123.7, 121.7, 115.3, 113.0, 112.9, 42.6, 42.3, 31.7, 17.7, 16.7. HRMS (EI) Calcd for $\text{C}_{14}\text{H}_{15}\text{BrN}_2\text{O}$: $[\text{M}]^+$ 306.0368; Found, 306.0367; IR ν (KBr) 3150, 3032, 2967, 2932, 1650, 1489, 1440, 1384, 1290, 1196, 1120, 1052, 885, 793, 675 cm^{-1} ; mp: 175-177 $^\circ\text{C}$.



3-(1-(2-oxopyrrolidin-1-yl)ethyl)-1H-indole-5-carbonitrile [T 2-3j, New compound]

Following the typical procedure A and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/6).

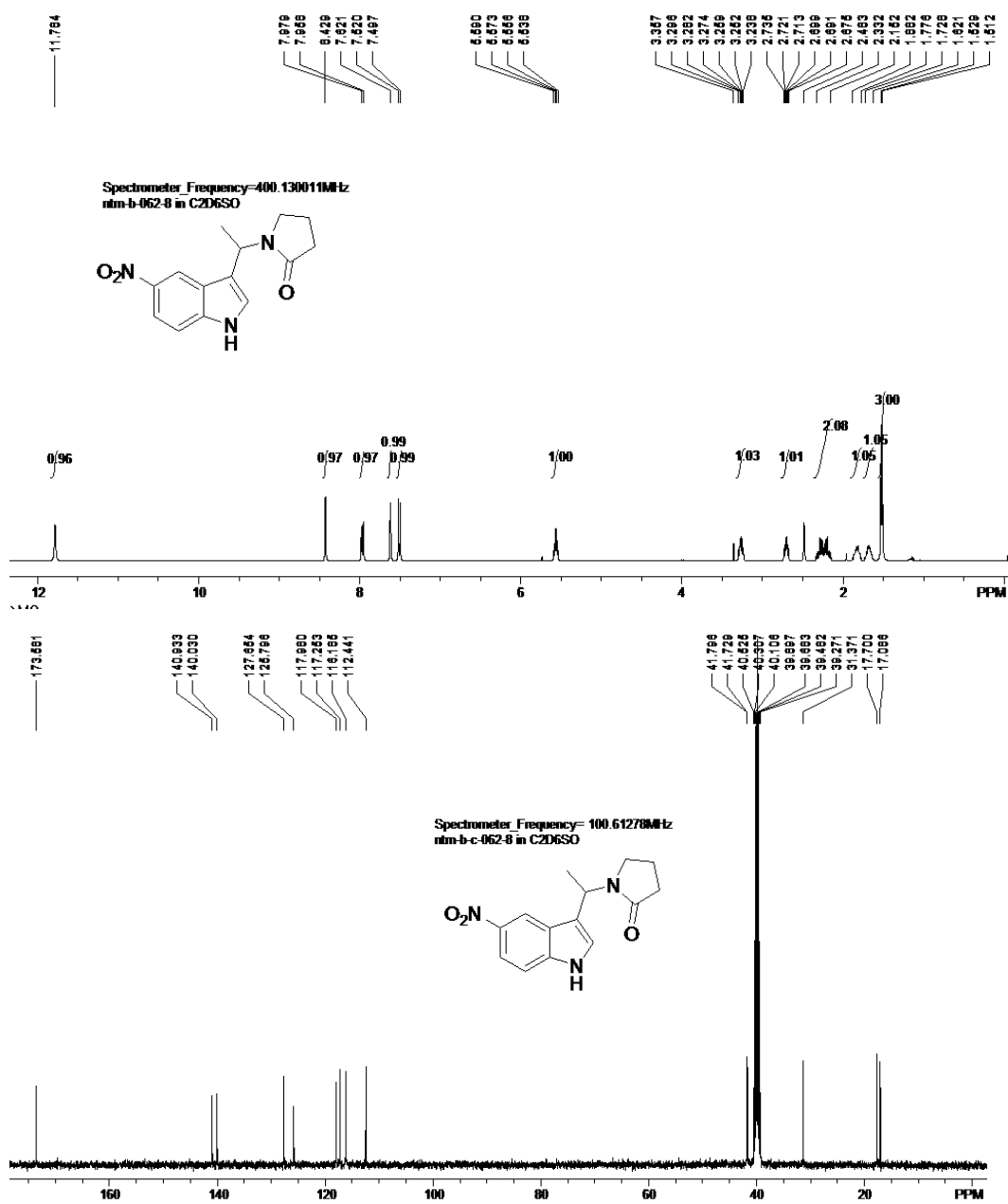
^1H NMR (400 MHz, $\text{C}_2\text{D}_6\text{SO}$, TMS) δ 11.62 (s, 1 H), 7.86 (s, 1 H), 7.55 (s, 1 H), 7.51 (d, $J = 8.4$ Hz, 1 H), 7.41 (d, $J = 8.4$ Hz, 1 H), 5.51 (q, $J = 6.8$ Hz, 1 H), 3.26 (q, $J = 8.6$ Hz, 1 H), 2.69 (dt, $J = 8.8, 5.8$ Hz, 1 H), 2.31-2.16 (m, 2 H), 1.87-1.76 (m, 1 H), 1.72-1.61 (m, 1 H), 1.50 (d, $J = 7.2$ Hz, 3 H); ^{13}C NMR (100 MHz, $\text{C}_2\text{D}_6\text{SO}$) δ 173.6, 138.6, 126.5, 126.3, 124.5, 121.2, 116.4, 113.3, 101.3, 41.8, 41.7, 31.4, 17.7, 17.1. HRMS (EI) Calcd for $\text{C}_{15}\text{H}_{15}\text{N}_3\text{O}$: $[\text{M}]^+$ 253.1215; Found, 253.1223; IR ν (KBr) 3135, 3015, 2961, 2901, 2218, 1647, 1488, 1454, 1383, 1295, 1202, 1167, 1169, 802, 723, 652 cm^{-1} ; mp: 235-237 $^\circ\text{C}$.



1-(1-(5-nitro-1*H*-indol-3-yl)ethyl)pyrrolidin-2-one [**T 2-3k**, New compound]

Following the typical procedure **A** and the desired product as a yellow solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/6).

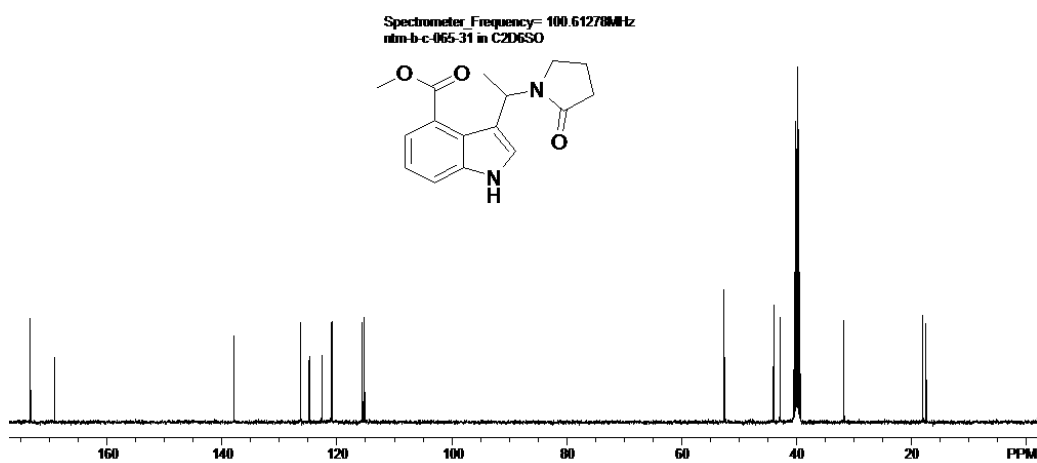
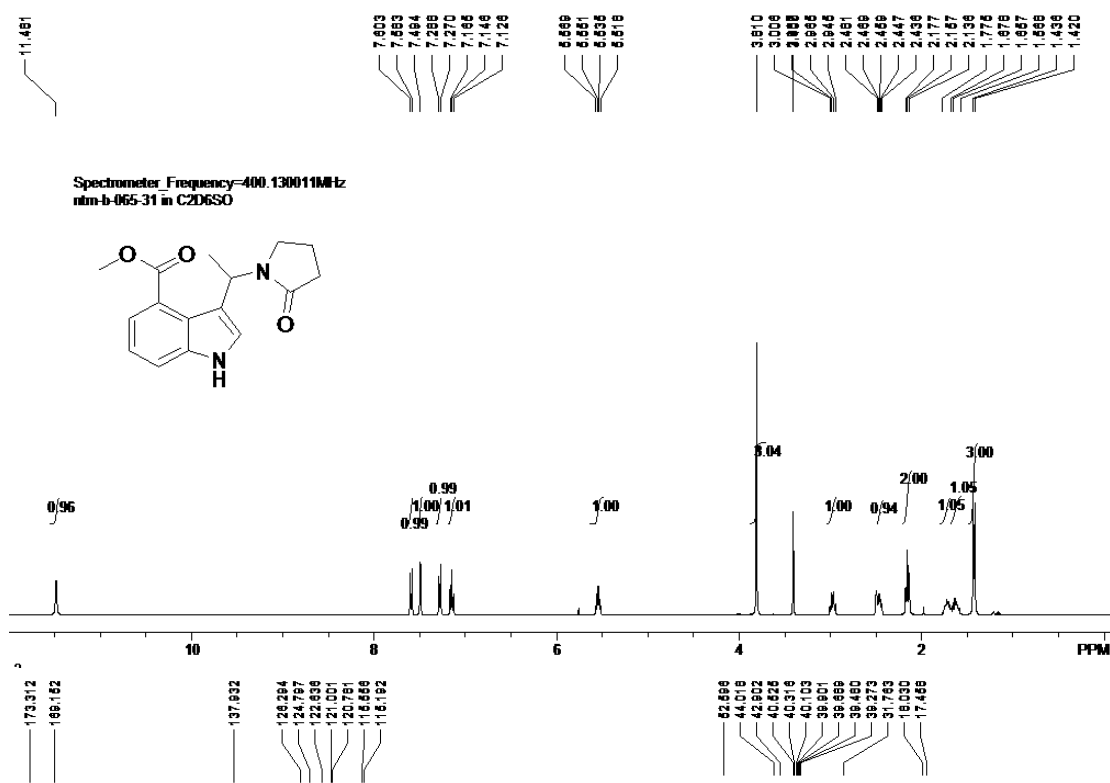
^1H NMR (400 MHz, $\text{C}_2\text{D}_6\text{SO}$, TMS) δ 11.78 (s, 1 H), 8.43 (s, 1 H), 7.97 (d, $J = 8.6$ Hz, 1 H), 7.62 (s, 1 H), 7.51 (d, $J = 9.2$ Hz, 1 H), 5.56 (q, $J = 7.0$ Hz, 1 H), 3.27 (dt, $J = 8.6, 5.6$ Hz, 1 H), 2.69 (dt, $J = 8.8, 5.8$ Hz, 1 H), 2.28-2.21 (m, 2 H), 1.86-1.76 (m, 1 H), 1.72-1.62 (m, 1 H), 1.51 (d, $J = 7.2$ Hz, 3 H); ^{13}C NMR (100 MHz, $\text{C}_2\text{D}_6\text{SO}$) δ 173.6, 140.9, 140.0, 127.7, 125.8, 118.0, 117.3, 113.2, 112.4, 41.8, 41.7, 31.4, 17.7, 17.1. HRMS (EI) Calcd for $\text{C}_{14}\text{H}_{15}\text{N}_3\text{O}_3$: $[\text{M}]^+$ 273.1113; Found, 273.1117; IR ν (KBr) 3113, 2977, 2907, 1645, 1519, 1480, 1447, 1381, 1334, 1296, 1200, 1122, 814, 739, 656 cm^{-1} ; mp: 248-250 $^\circ\text{C}$.



methyl 3-(1-(2-oxopyrrolidin-1-yl)ethyl)-1H-indole-4-carboxylate [T 2-31, New compound]

Following the typical procedure A and the desired product as a yellow solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/6).

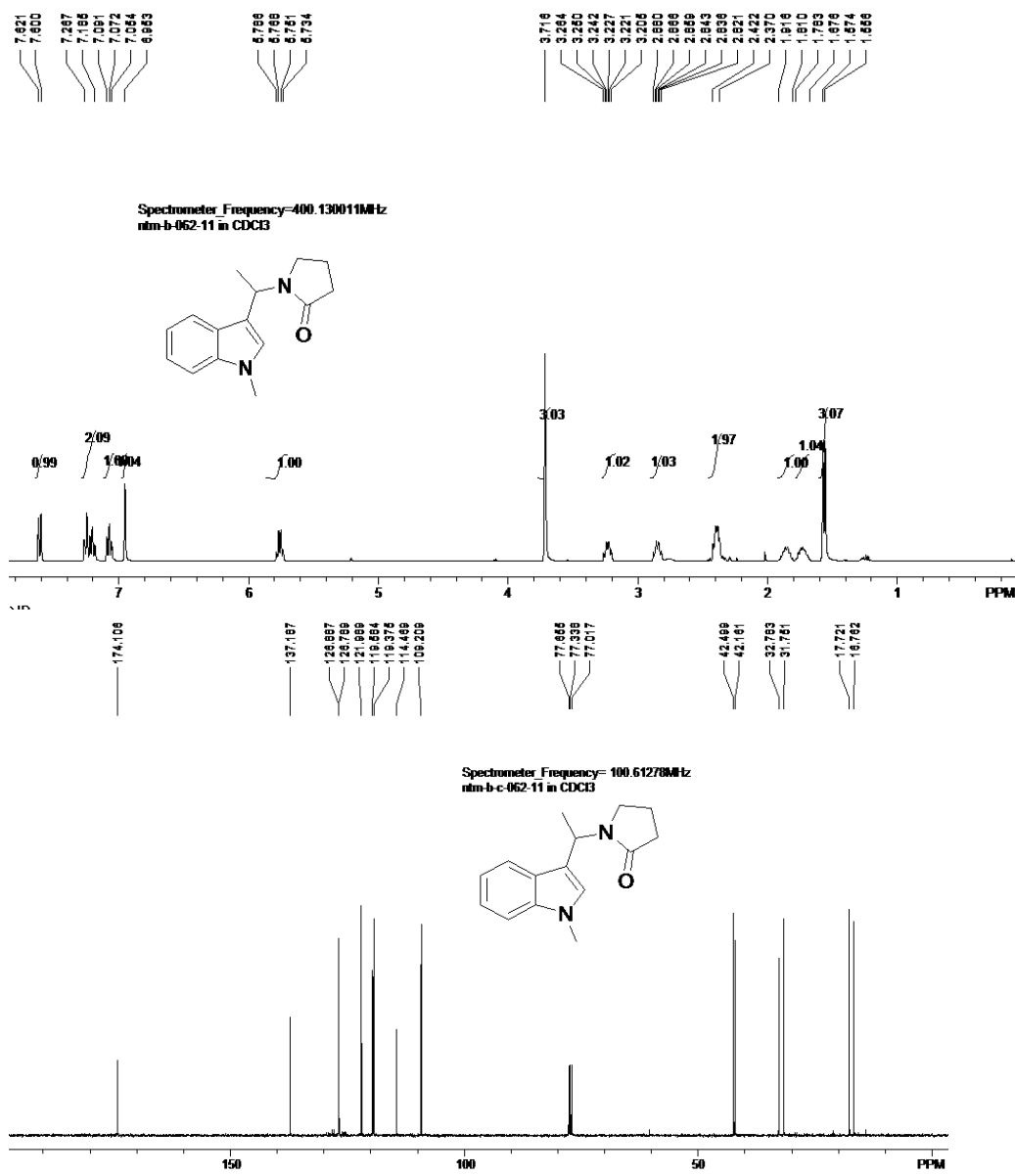
^1H NMR (400 MHz, $\text{C}_2\text{D}_6\text{SO}$, TMS) δ 11.48 (s, 1 H), 7.59 (d, $J = 8.0$ Hz, 1 H), 7.49 (s, 1 H), 7.28 (d, $J = 7.2$ Hz, 1 H), 7.15 (t, $J = 7.8$ Hz, 1 H), 5.54 (q, $J = 7.0$ Hz, 1 H), 3.81 (s, 3 H), 2.98 (q, $J = 7.8$ Hz, 1 H), 2.46 (dt, $J = 9.6, 4.8$ Hz, 1 H), 2.16 (t, $J = 8.4$ Hz, 2 H), 1.78-1.68 (m, 1 H), 1.67-1.59 (m, 1 H), 1.43 (d, $J = 6.4$ Hz, 3 H); ^{13}C NMR (100 MHz, $\text{C}_2\text{D}_6\text{SO}$) δ 173.3, 169.2, 137.9, 126.3, 124.8, 122.6, 121.0, 120.8, 115.6, 115.2, 52.6, 44.0, 42.9, 31.8, 18.0, 17.5. HRMS (EI) Calcd for $\text{C}_{16}\text{H}_{18}\text{N}_2\text{O}_3$: $[\text{M}]^+$ 286.1317; Found, 286.1314; IR ν (KBr) 3143, 3039, 2974, 2946, 1719, 1649, 1437, 1344, 1289, 1195, 1144, 1112, 779, 755, 664 cm^{-1} ; mp: 191-193 $^\circ\text{C}$.



1-(1-(1-methyl-1*H*-indol-3-yl)ethyl)pyrrolidin-2-one [T 2-3m, New compound]

Following the typical procedure **A** and the desired product as a pale yellow oil after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/40).

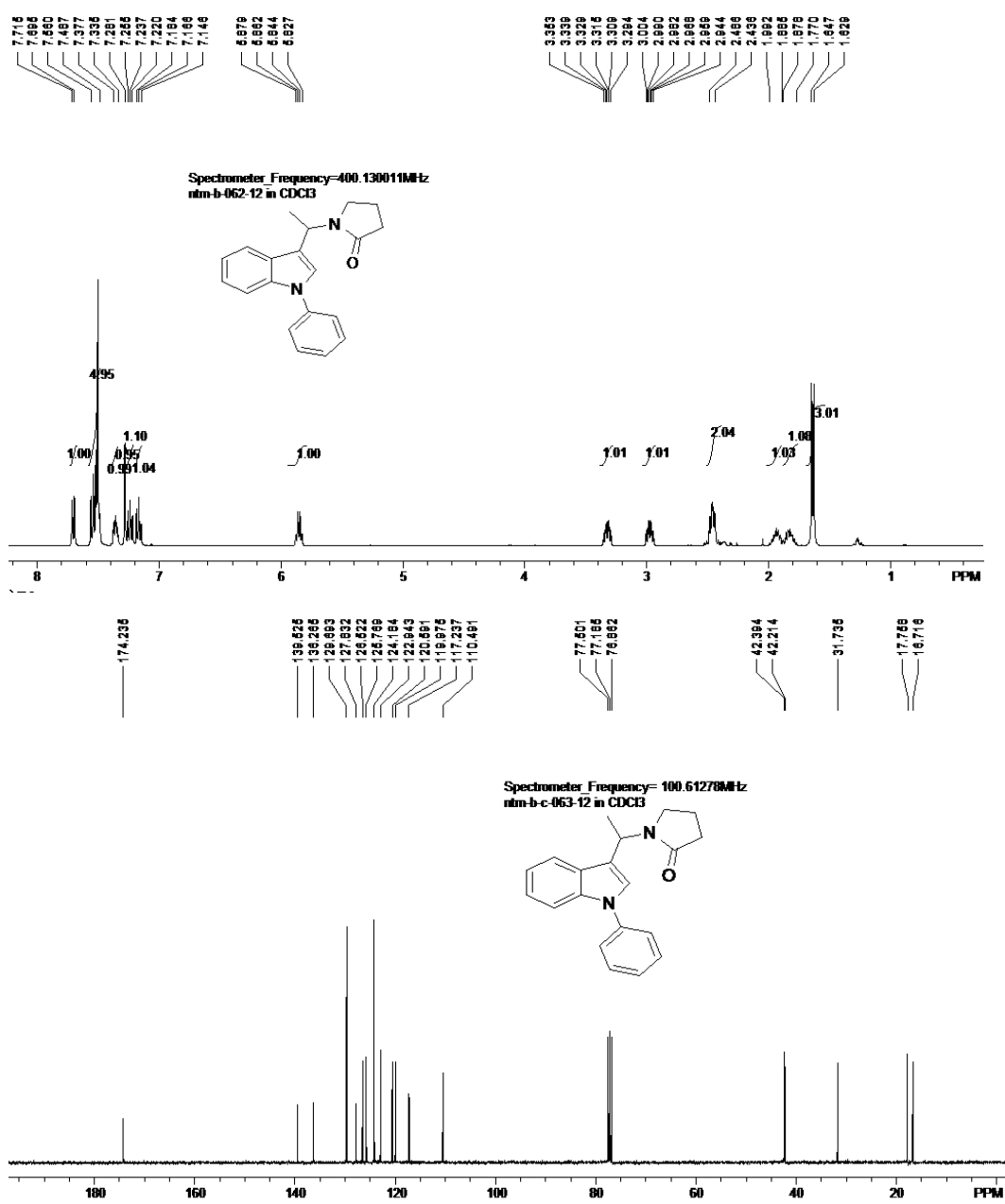
^1H NMR (400 MHz, CDCl_3 , TMS) δ 7.61 (d, $J = 8.4$ Hz, 1 H), 7.27-7.19 (m, 2 H), 7.07 (t, $J = 7.4$ Hz, 1 H), 6.96 (s, 1 H), 5.76 (q, $J = 7.0$ Hz, 1 H), 3.72 (s, 3 H), 3.23 (dt, $J = 8.4, 6.0$ Hz, 1 H), 2.85 (dt, $J = 8.8, 5.8$ Hz, 1 H), 2.42-2.37 (m, 2 H), 1.92-1.81 (m, 1 H), 1.78-1.68 (m, 1 H), 1.57 (d, $J = 7.2$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 174.1, 137.2, 126.9, 126.8, 122.0, 119.6, 119.4, 114.5, 109.2, 42.5, 42.2, 32.8, 31.8, 17.7, 16.7. HRMS (EI) Calcd for $\text{C}_{15}\text{H}_{18}\text{N}_2\text{O}$: $[\text{M}]^+$ 242.1419; Found, 242.1410; IR ν (KBr) 3055, 2973, 2936, 1671, 1551, 1463, 1423, 1375, 1285, 1215, 1098, 876, 742 cm^{-1} .



(E1-(1-(1-phenyl-1*H*-indol-3-yl)ethyl)pyrrolidin-2-one [T 2-3n, New compound]

Following the typical procedure A and the desired product as a colorless oil after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/40).

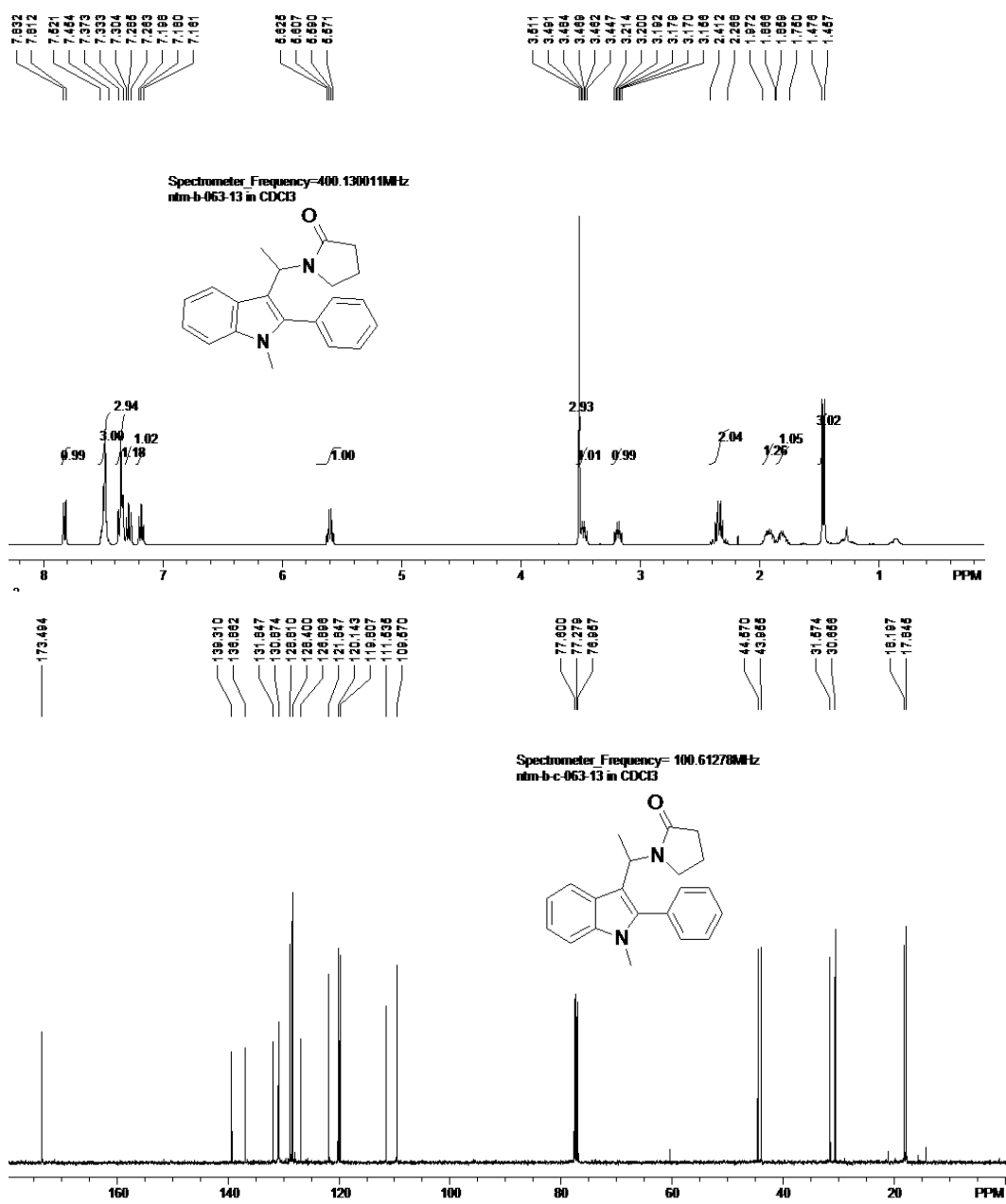
^1H NMR (400 MHz, CDCl_3 , TMS) δ 7.71 (d, $J = 8.0$ Hz, 1 H), 7.56-7.49 (m, 5 H), 7.38-7.34 (m, 1 H), 7.28 (s, 1 H), 7.24 (t, $J = 7.6$ Hz, 1 H), 7.17 (t, $J = 7.6$ Hz, 1 H), 5.85 (q, $J = 6.8$ Hz, 1 H), 3.32 (dt, $J = 9.0, 5.8$ Hz, 1 H), 3.00 (dt, $J = 9.2, 5.6$ Hz, 1 H), 2.49-2.44 (m, 2 H), 1.99-1.89 (m, 1 H), 1.88-1.77 (m, 1 H), 1.64 (d, $J = 7.2$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 174.2, 139.5, 136.3, 129.7, 127.8, 126.5, 125.8, 124.2, 122.9, 120.6, 120.0, 117.2, 110.5, 42.4, 42.2, 31.7, 17.8, 16.7. HRMS (EI) Calcd for $\text{C}_{20}\text{H}_{20}\text{N}_2\text{O}$: $[\text{M}]^+$ 304.1576; Found, 304.1577; IR ν (KBr) 3058, 2973, 2902, 1678, 1597, 1501, 1458, 1421, 1377, 1283, 1228, 1203, 1140, 744 cm^{-1} .



1-(1-(1-methyl-2-phenyl-1*H*-indol-3-yl)ethyl)pyrrolidin-2-one [T 2-30, New Compound]

Following the typical procedure A and the desired product as a colorless oil after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/40).

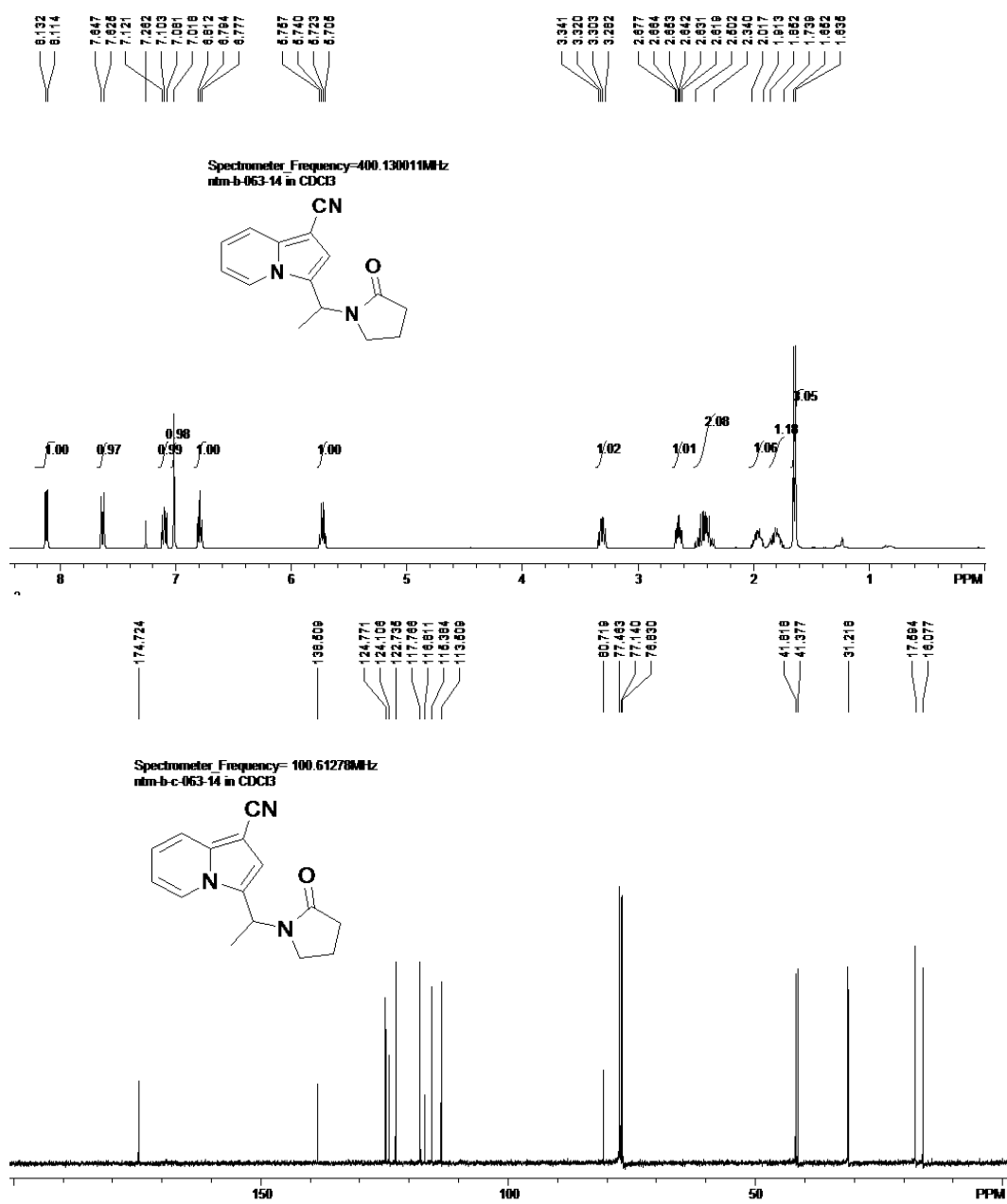
^1H NMR (400 MHz, CDCl_3 , TMS) δ 7.82 (d, $J = 8.0$ Hz, 1 H), 7.52-7.45 (m, 3 H), 7.37-7.33 (m, 3 H), 7.28 (t, $J = 8.2$ Hz, 1 H), 7.18 (t, $J = 7.4$ Hz, 1 H), 5.60 (q, $J = 7.4$ Hz, 1 H), 3.51 (s, 3 H), 3.47 (dt, $J = 8.8, 6.0$ Hz, 1 H), 3.19 (dt, $J = 9.0, 5.6$ Hz, 1 H), 2.41-2.27 (m, 2 H), 1.97-1.87 (m, 1 H), 1.86-1.75 (m, 1 H), 1.47 (d, $J = 7.6$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 173.5, 139.3, 136.9, 131.8, 130.9, 128.8, 128.4, 126.9, 121.8, 120.1, 119.8, 111.5, 109.6, 44.6, 44.0, 31.6, 30.7, 18.2, 17.8. HRMS (EI) Calcd for $\text{C}_{21}\text{H}_{22}\text{N}_2\text{O}$: $[\text{M}]^+$ 318.1732; Found, 318.1730; IR ν (KBr) 3053, 2974, 2935, 1679, 1468, 1420, 1365, 1282, 1201, 1084, 1022, 810, 742, 701 cm^{-1} .



3-(1-(2-oxopyrrolidin-1-yl)ethyl)indolizine-1-carbonitrile [T 2-3p, New Compound]

Following the typical procedure A and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/10).

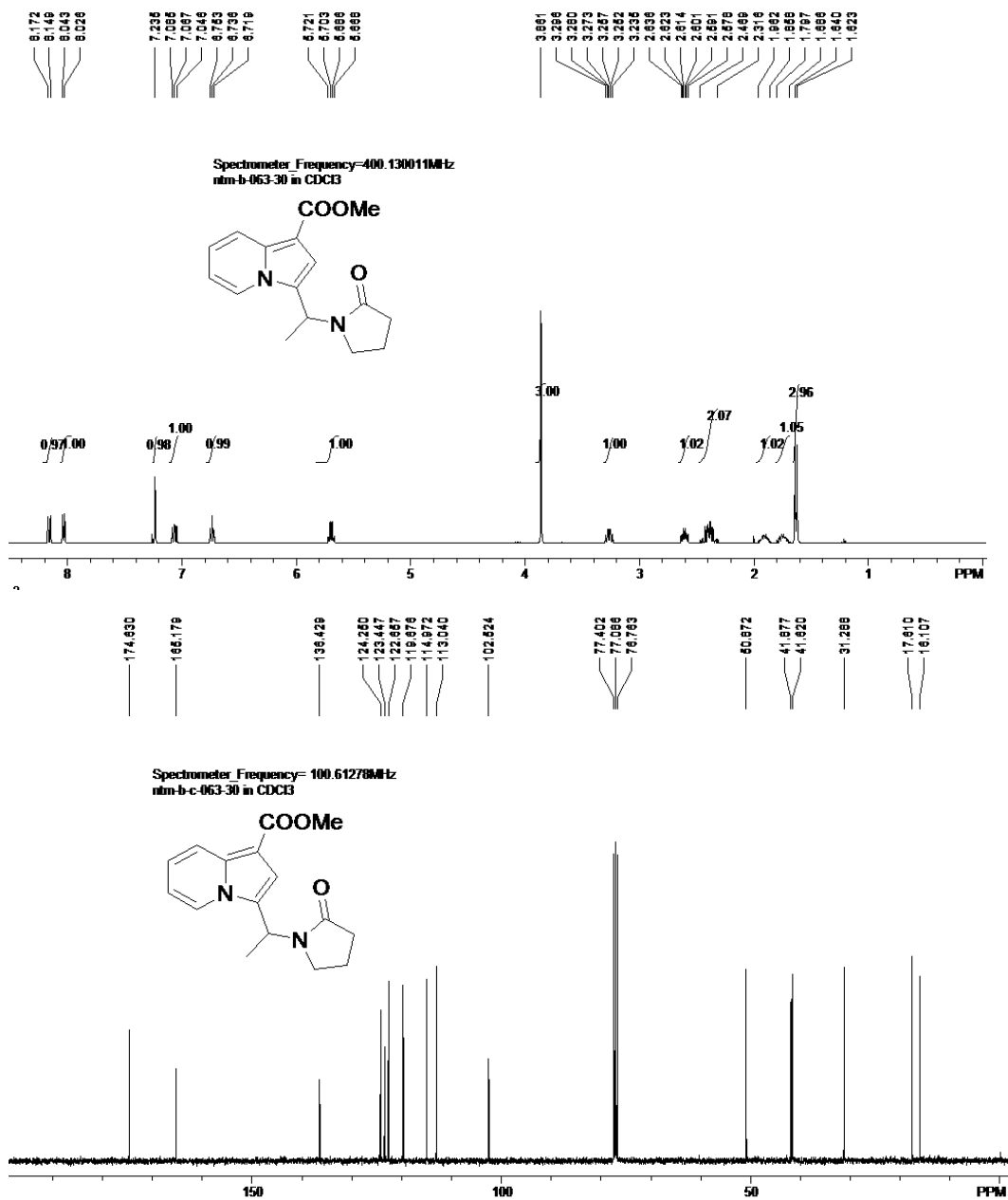
^1H NMR (400 MHz, CDCl_3 , TMS) δ 8.12 (d, $J = 7.2$ Hz, 1 H), 7.64 (d, $J = 8.8$ Hz, 1 H), 7.10 (t, $J = 8.0$ Hz, 1 H), 7.02 (s, 1 H), 6.79 (t, $J = 7.0$ Hz, 1 H), 5.73 (q, $J = 7.0$ Hz, 1 H), 3.31 (q, $J = 8.4$ Hz, 1 H), 2.65 (dt, $J = 9.4, 5.0$ Hz, 1 H), 2.50-2.34 (m, 2 H), 2.02-1.91 (m, 1 H), 1.85-1.74 (m, 1 H), 1.64 (d, $J = 6.8$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 174.7, 138.5, 124.8, 124.1, 122.7, 117.8, 116.8, 115.4, 113.5, 80.7, 41.8, 41.4, 31.2, 17.6, 16.1. HRMS (EI) Calcd for $\text{C}_{15}\text{H}_{15}\text{N}_3\text{O}$: $[\text{M}]^+$ 253.1215; Found, 253.1217; IR ν (KBr) 3021, 2946, 2847, 2203, 1668, 1513, 1432, 1384, 1303, 1289, 1207, 1165, 1118, 836, 749, 682 cm^{-1} ; mp: 187-190 $^\circ\text{C}$.



methyl 3-(1-(2-oxopyrrolidin-1-yl)ethyl)indolizine-1-carboxylate [T 2-3q, New compound]

Following the typical procedure A and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/10).

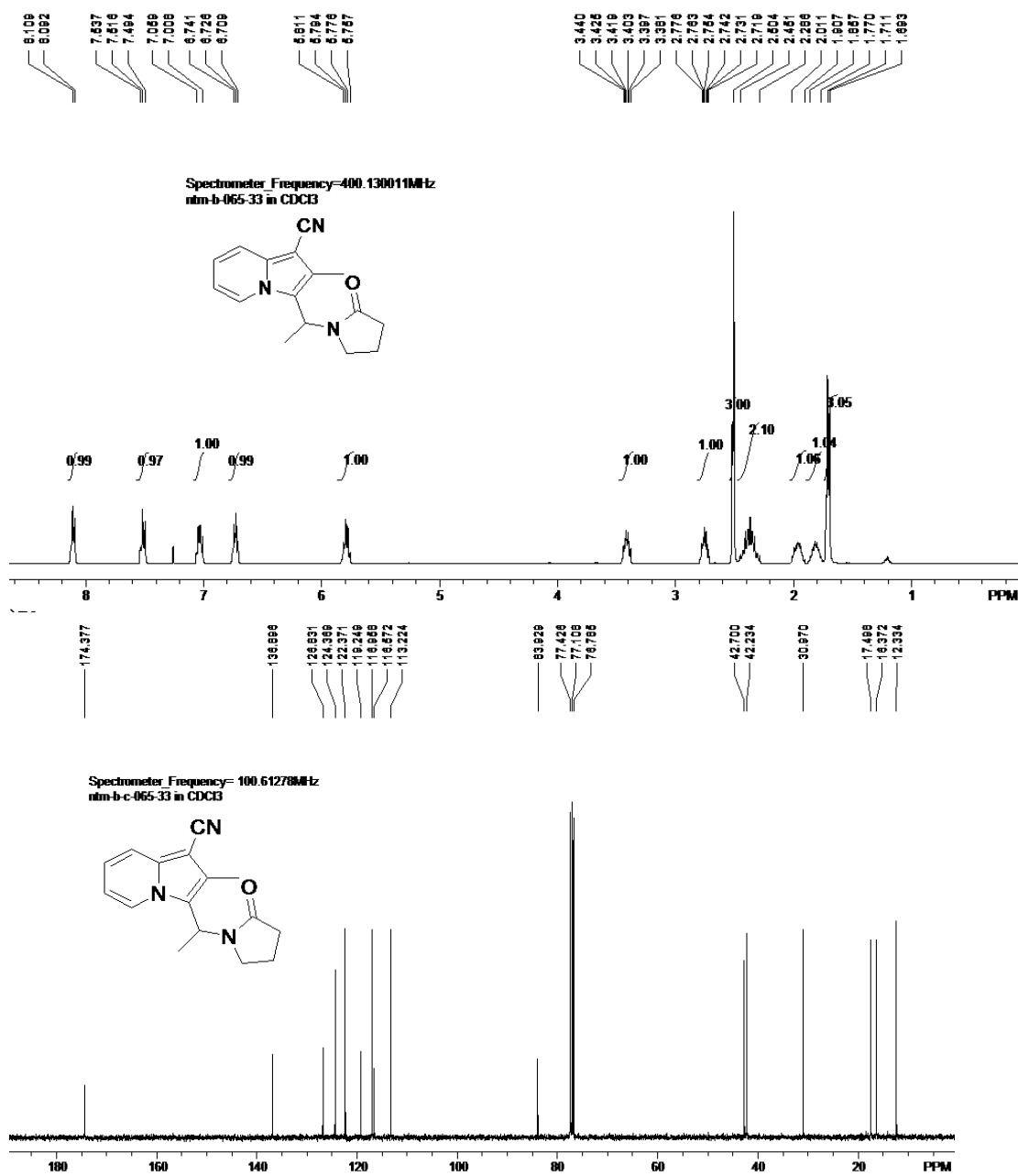
^1H NMR (400 MHz, CDCl_3 , TMS) δ 8.16 (d, $J = 9.2$ Hz, 1 H), 8.03 (d, $J = 6.8$ Hz, 1 H), 7.24 (s, 1 H), 7.07 (t, $J = 7.8$ Hz, 1 H), 6.74 (t, $J = 6.8$ Hz, 1 H), 5.69 (q, $J = 7.2$ Hz, 1 H), 3.86 (s, 3 H), 3.27 (dt, $J = 9.0, 6.6$ Hz, 1 H), 2.61 (dt, $J = 9.0, 5.2$ Hz, 1 H), 2.47-2.32 (m, 2 H), 1.96-1.86 (m, 1 H), 1.80-1.69 (m, 1 H), 1.63 (d, $J = 6.8$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 174.6, 165.2, 136.4, 124.3, 123.4, 122.7, 119.7, 115.0, 113.0, 102.5, 50.9, 41.9, 41.6, 31.3, 17.6, 16.1. HRMS (EI) Calcd for $\text{C}_{16}\text{H}_{18}\text{N}_2\text{O}_3$: $[\text{M}]^+$ 286.1317; Found, 286.1322; IR ν (KBr) 3095, 2989, 2951, 1685, 1664, 1511, 1454, 1420, 1294, 1218, 1114, 1054, 856, 778, 752, 726 cm^{-1} ; mp: 107-109 $^\circ\text{C}$.



2-methyl-3-(1-(2-oxopyrrolidin-1-yl)ethyl)indolizine-1-carbonitrile [T 2-3r, New Compound]

Following the typical procedure A and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/10).

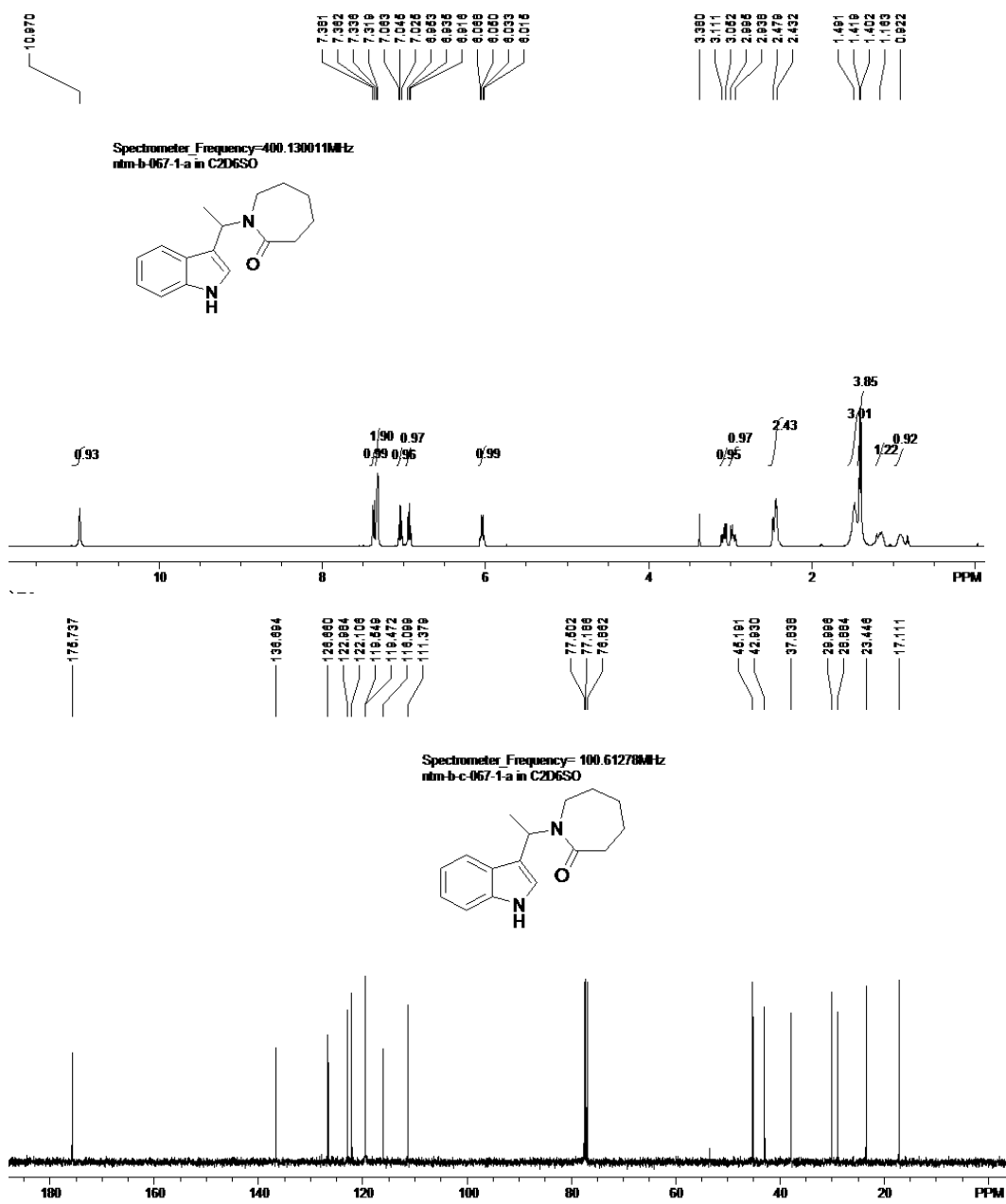
^1H NMR (400 MHz, CDCl_3 , TMS) δ 8.10 (d, $J = 6.8$ Hz, 1 H), 7.52 (t, $J = 8.6$ Hz, 1 H), 7.06-7.01 (m, 1 H), 6.73 (t, $J = 6.4$ Hz, 1 H), 5.78 (q, $J = 7.6$ Hz, 1 H), 3.41 (dt, $J = 8.6, 6.2$ Hz, 1 H), 2.75 (dt, $J = 9.0, 5.0$ Hz, 1 H), 2.50 (s, 1 H), 2.45-2.29 (m, 2 H), 2.01 -1.91 (m, 1 H), 1.86-1.77 (m, 1 H), 1.73 (d, $J = 7.2$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 174.4, 136.9, 126.8, 124.4, 122.4, 119.3, 117.0, 116.6, 113.2, 83.9, 42.7, 42.2, 31.0, 17.5, 16.4, 12.3. HRMS (EI) Calcd for $\text{C}_{16}\text{H}_{17}\text{N}_3\text{O}$: $[\text{M}]^+$ 267.1372; Found, 267.1370; IR ν (KBr) 3040, 2969, 2889, 2203, 1669, 1515, 1420, 1281, 1211, 1151, 1092, 745, 651 cm^{-1} ; mp: 162-164 $^\circ\text{C}$.



1-(1-(1*H*-indol-3-yl)ethyl)azepan-2-one [T 3-4a, New compound]

Following the typical procedure A and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/10).

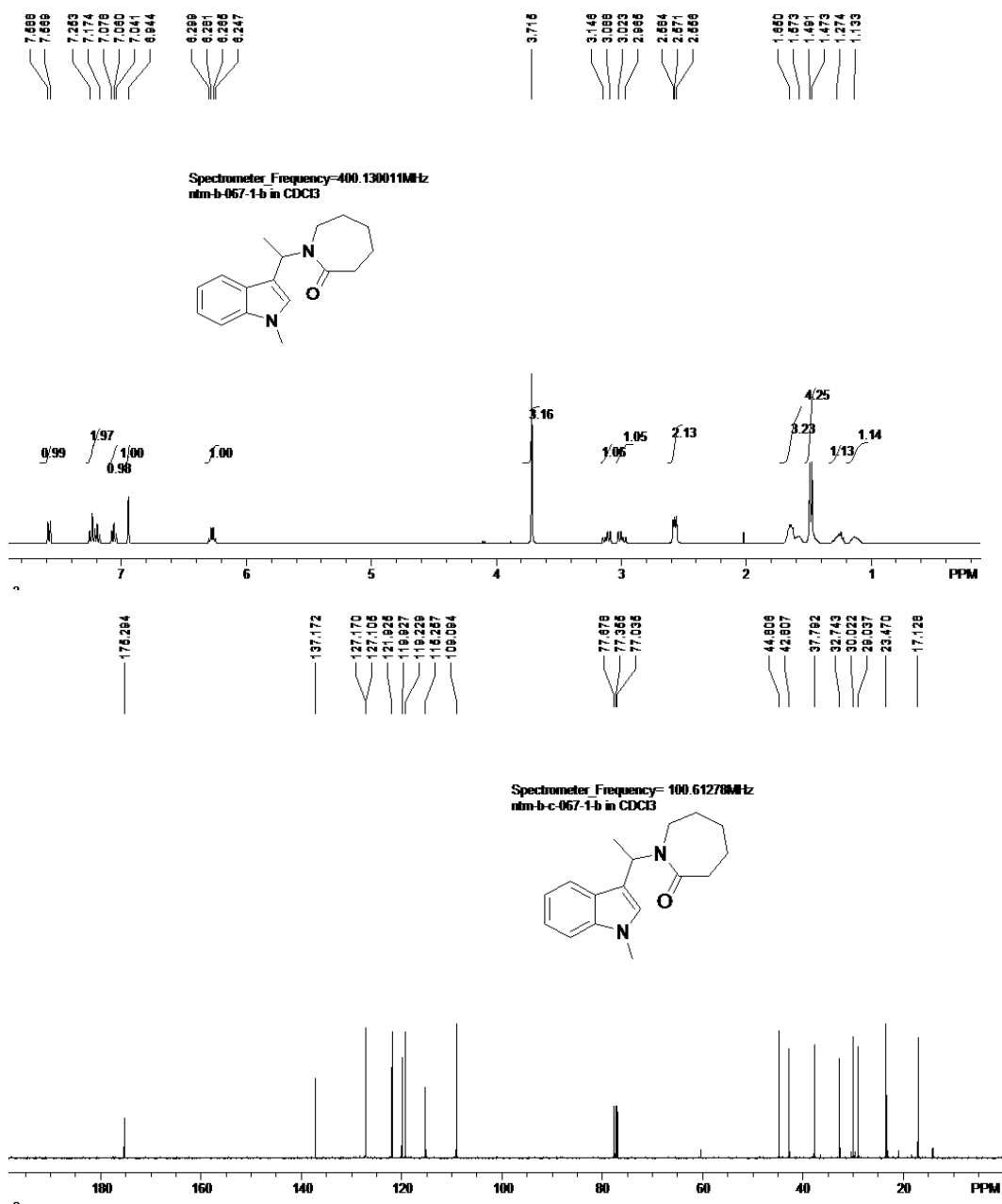
^1H NMR (400 MHz, $\text{C}_2\text{D}_6\text{SO}$, TMS) δ 10.97 (s, 1 H), 7.37 (d, $J = 7.6$ Hz, 1 H), 7.34-7.32 (m, 2 H), 7.04 (t, $J = 7.6$ Hz, 1 H), 6.93 (t, $J = 7.4$ Hz, 1 H), 6.04 (q, $J = 7.2$ Hz, 1 H), 3.11-3.05 (m, 1 H), 3.00-2.94 (m, 1 H), 2.45-2.43 (m, 2 H), 1.50 (m, 3 H), 1.41 (d, $J = 6.8$ Hz, 4 H), 1.16-0.92 (m, 2 H); ^{13}C NMR (100 MHz, CDCl_3) δ 175.7, 136.7, 126.7, 123.0, 122.1, 119.5, 119.4, 116.1, 111.4, 45.2, 42.9, 37.8, 30.0, 28.9, 23.4, 17.1. HRMS (EI) Calcd for $\text{C}_{16}\text{H}_{20}\text{N}_2\text{O}$: $[\text{M}]^+$ 256.1576; Found, 256.1580; IR ν (KBr) 3169, 3047, 2972, 2931, 1612, 1482, 1444, 1383, 1336, 1181, 1118, 979, 843, 768, 747, 635 cm^{-1} ; mp: 131-133 $^\circ\text{C}$.



1-(1-(1-methyl-1*H*-indol-3-yl)ethyl)azepan-2-one [T 3-4b, New compound]

Following the typical procedure **A** and the desired product as a pale yellow oil after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/40).

¹H NMR (400 MHz, CDCl₃, TMS) δ 7.58 (d, *J* = 7.6 Hz, 1 H), 7.25-7.17 (m, 2 H), 7.06 (t, *J* = 7.4 Hz, 1 H), 6.94 (s, 1 H), 6.27 (q, *J* = 7.2 Hz, 1 H), 3.72 (s, 3 H), 3.15-3.01 (m, 1 H), 3.02-2.97 (m, 1 H), 2.57 (t, *J* = 5.6 Hz, 2 H), 1.66-1.55 (m, 3 H), 1.48 (d, *J* = 7.2 Hz, 4 H), 1.31-1.13 (m, 2 H); ¹³C NMR (100 MHz, CDCl₃) δ 175.3, 137.2, 127.2, 127.1, 121.9, 119.9, 119.2, 115.3, 109.1, 44.8, 42.8, 37.8, 32.7, 30.0, 29.0, 23.5, 17.1. HRMS (EI) Calcd for C₁₇H₂₂N₂O: [M]⁺ 270.1732; Found, 270.1739; IR ν (KBr) 3053, 2929, 2856, 1665, 1630, 1553, 1474, 1442, 1366, 1331, 1183, 1084, 976, 741 cm⁻¹.

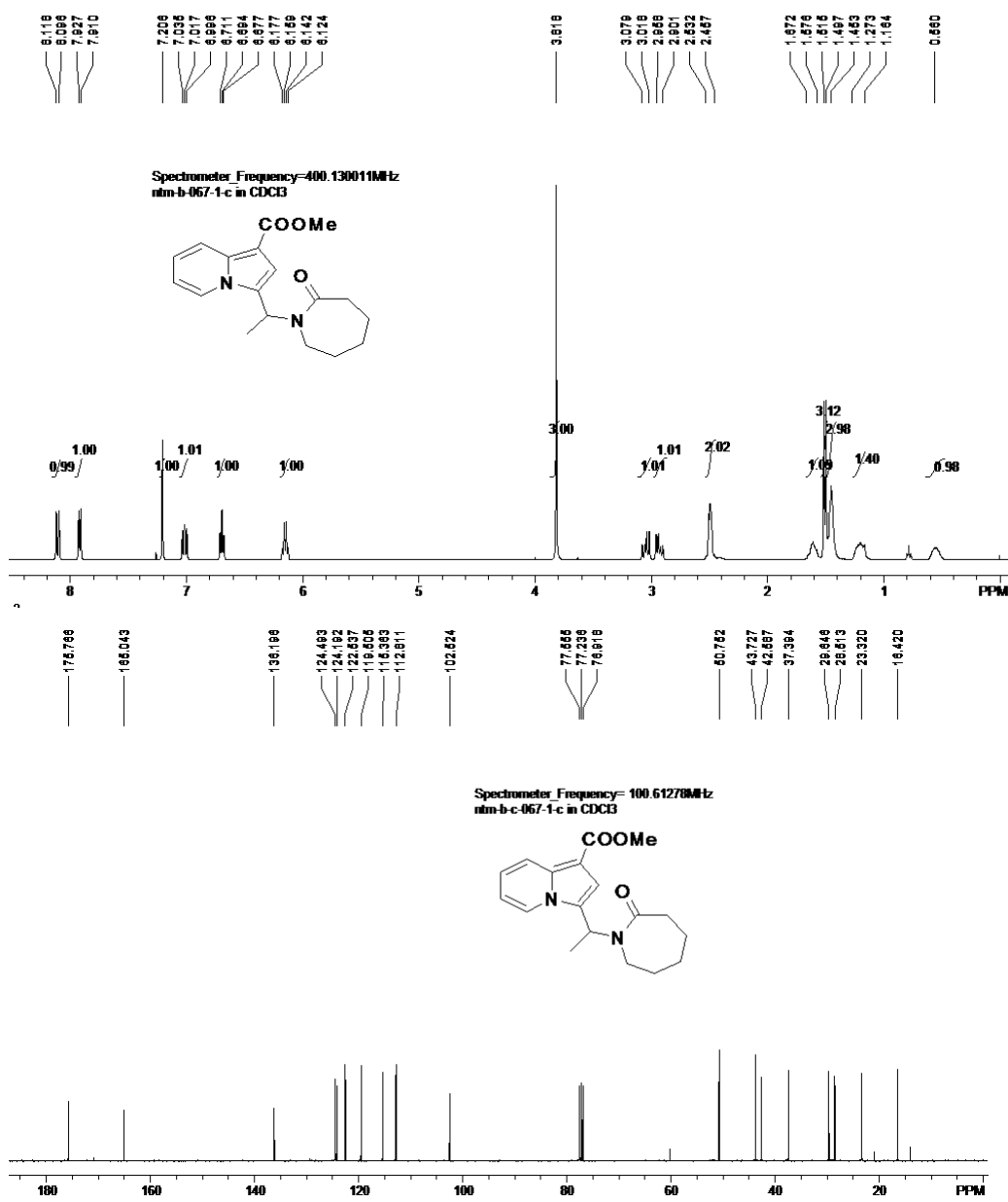


methyl 3-(1-(2-oxoazepan-1-yl)ethyl)indolizine-1-carboxylate [T 3-4c, New compound]

Following the typical procedure A and the desired product as a colorless oil after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/10).

^1H NMR (400 MHz, CDCl_3 , TMS) δ 8.10 (d, $J = 8.8$ Hz, 1 H), 7.92 (d, $J = 6.8$ Hz, 1 H), 7.21 (s, 1 H), 7.02 (t, $J = 7.8$ Hz, 1 H), 6.69 (t, $J = 6.6$ Hz, 1 H), 6.15 (q, $J = 7.2$ Hz, 1 H), 3.82 (s, 3 H), 3.08-3.02 (m, 1 H), 2.96-2.90 (m, 1 H), 2.53-2.46 (m, 2 H), 1.67-1.58 (m, 1 H), 1.51 (d, $J = 7.2$ Hz, 3 H), 1.27-1.16 (m, 3 H), 0.56 (m, 1 H), 0.50 (m, 1 H); ^{13}C NMR (100 MHz, CDCl_3) δ 175.8, 165.0, 136.2, 124.5, 124.2, 122.5, 119.5, 115.4, 112.8, 102.5, 50.8, 43.7, 42.6, 37.4, 29.6, 28.5, 23.3, 16.4.

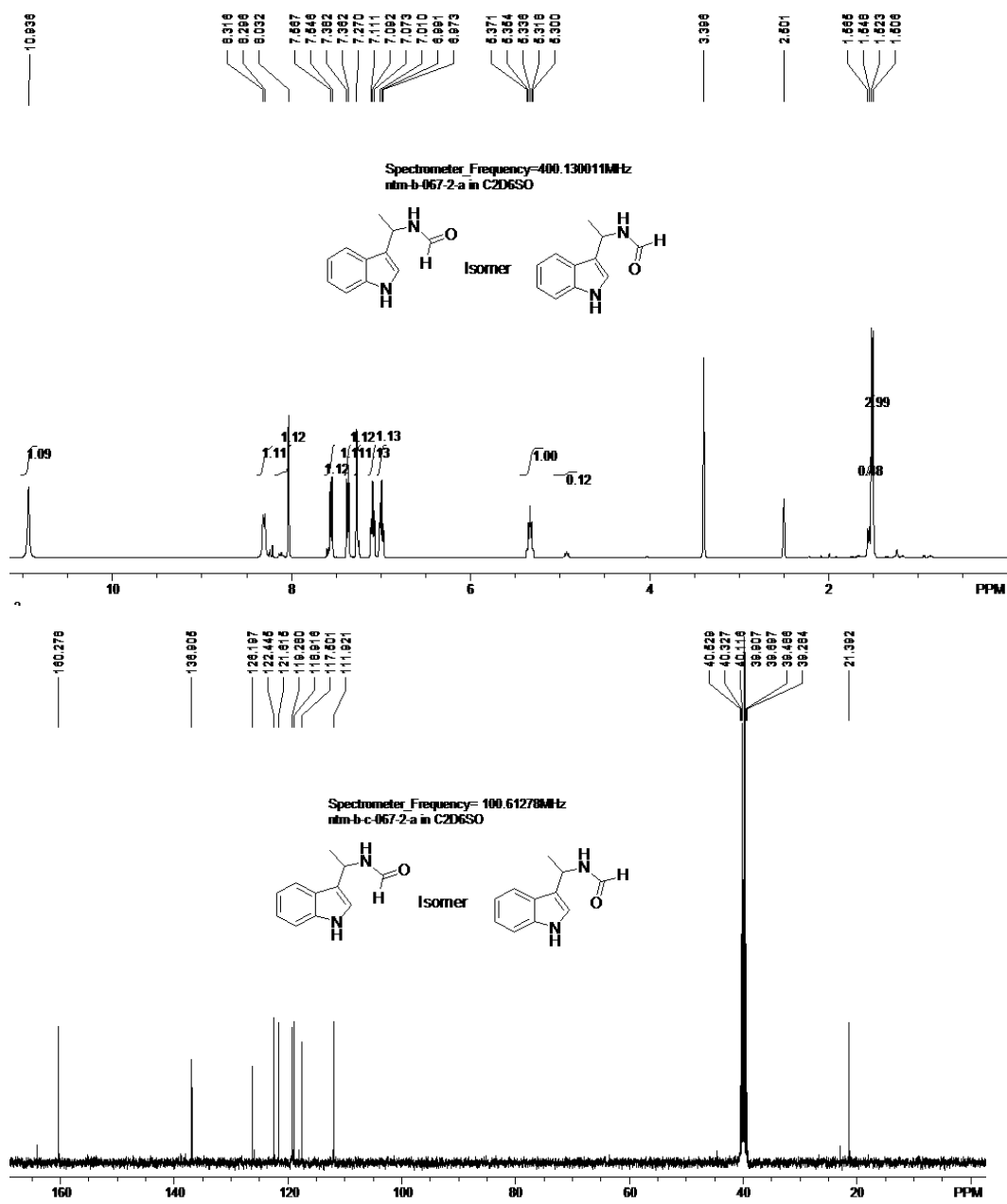
HRMS (EI) Calcd for $\text{C}_{18}\text{H}_{22}\text{N}_2\text{O}_3$: $[\text{M}]^+$ 314.1630; Found, 314.163; IR ν (KBr) 3046, 2932, 2856, 1694, 1632, 1544, 1151, 1443, 1415, 1380, 1292, 1222, 1183, 1147, 1111, 1053, 977, 925, 855, 847, 778, 764 cm^{-1} .



***N*-(1-(1*H*-indol-3-yl)ethyl)acetamide [T 3-5a, New compound]**

Following the typical procedure **A** and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ petrol ether =1/1).

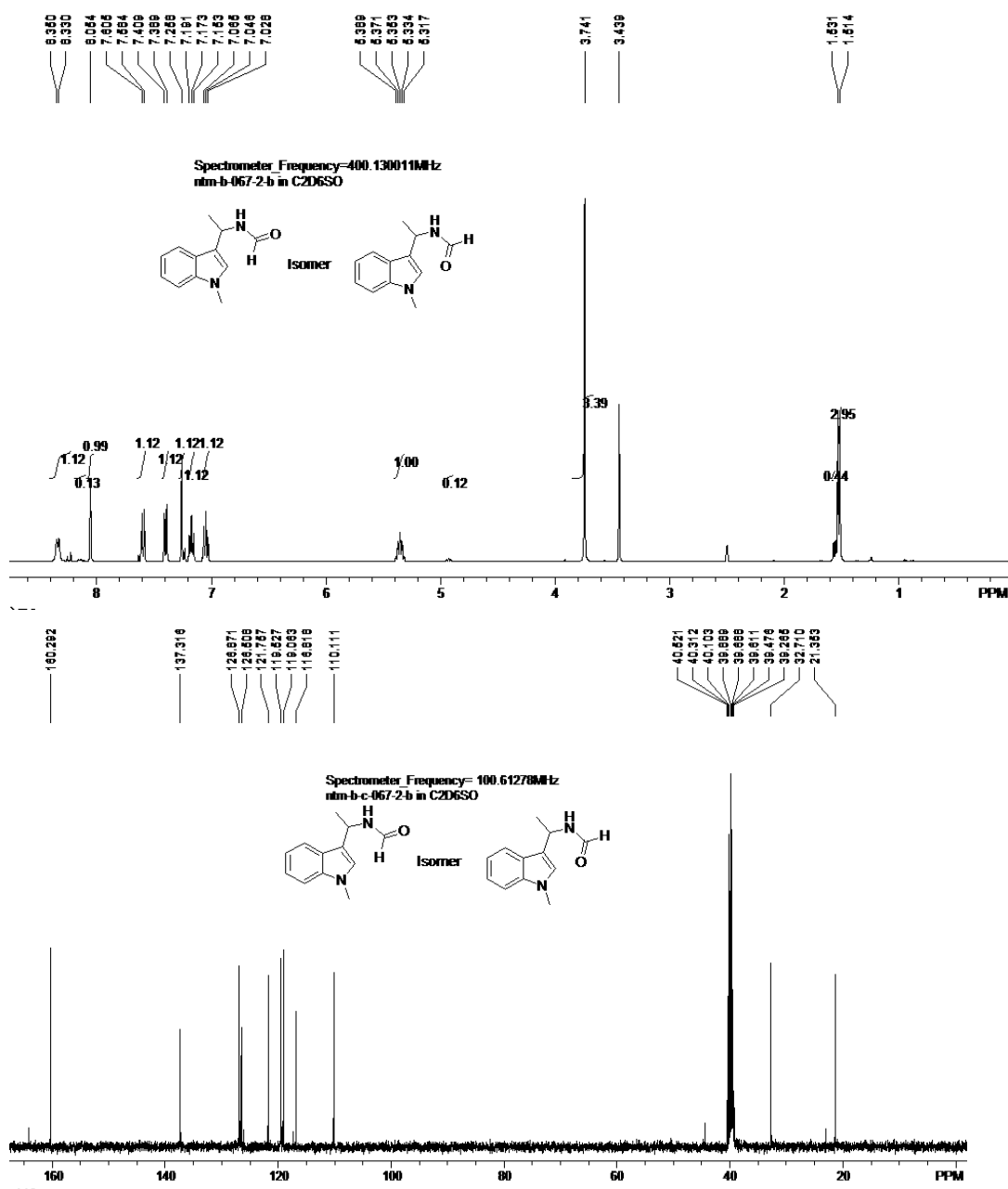
^1H NMR (400 MHz, $\text{C}_2\text{D}_6\text{SO}$, TMS) δ 10.94 (s, 1 H), 8.31 (d, $J = 8.0$ Hz, 1 H), 8.03 (s, 1 H), 7.34 (d, $J = 8.4$ Hz, 1 H), 7.29 (d, $J = 8.0$ Hz, 1 H), 7.27 (s, 1 H), 7.09 (t, $J = 7.6$ Hz, 1 H), 6.99 (t, $J = 7.4$ Hz, 1 H), 5.34 (qui, $J = 7.2$ Hz, 1 H), 1.51 (d, $J = 6.8$ Hz, 3 H); ^{13}C NMR (100 MHz, $\text{C}_2\text{D}_6\text{SO}$) δ 160.3, 136.9, 126.2, 122.4, 121.6, 119.3, 118.9, 117.5, 111.9, 39.7, 21.4. HRMS (EI) Calcd for $\text{C}_{11}\text{H}_{12}\text{N}_2\text{O}$: $[\text{M}^+]$ 188.0950; Found, 188.0944; IR ν (KBr) 3284, 3043, 2981, 2924, 1637, 1535, 1455, 1429, 1384, 1228, 1117, 1080, 1010, 891, 817, 738, 627 cm^{-1} ; Mixture of isomers due to C-N hindered rotation. mp: 110-112 $^\circ\text{C}$.



***N*-(1-(1-methyl-1*H*-indol-3-yl)ethyl)formamide [T 3-5b, New compound]**

Following the typical procedure A and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ petrol ether =1/1).

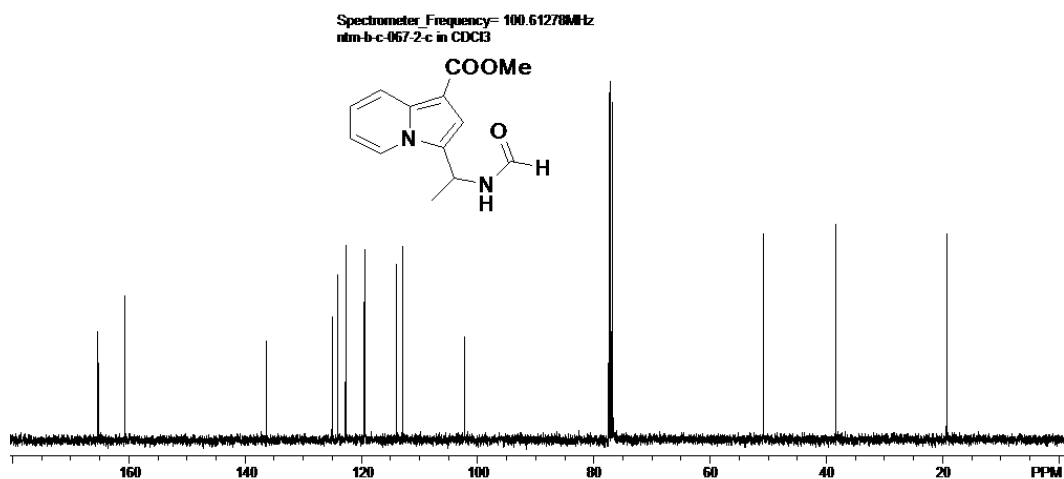
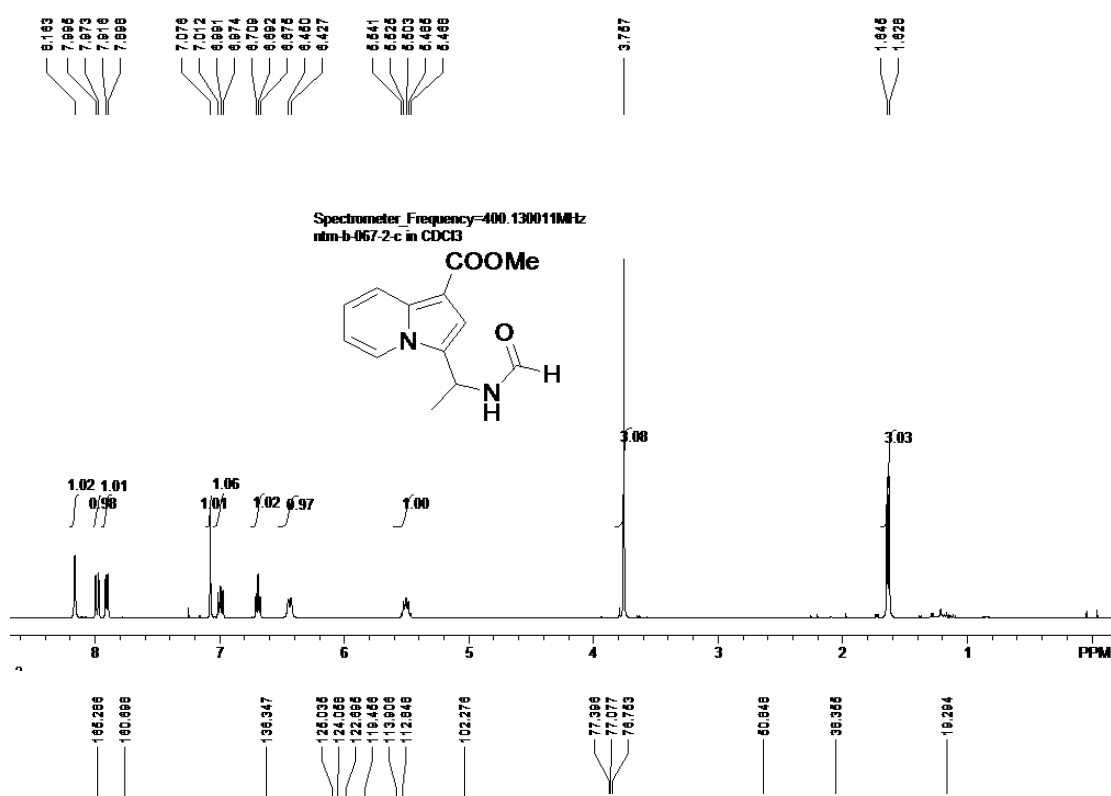
^1H NMR (400 MHz, $\text{C}_2\text{D}_6\text{SO}$, TMS) δ 8.31 (d, $J = 8.0$ Hz, 1 H), 8.05 (s, 1 H), 7.59 (d, $J = 8.4$ Hz, 1 H), 7.40 (d, $J = 8.0$ Hz, 1 H), 7.26 (s, 1 H), 7.17 (t, $J = 7.6$ Hz, 1 H), 7.05 (t, $J = 7.4$ Hz, 1 H), 5.35 (qui, $J = 7.2$ Hz, 1 H), 3.74 (s, 3 H), 1.52 (d, $J = 6.8$ Hz, 3 H); ^{13}C NMR (100 MHz, $\text{C}_2\text{D}_6\text{SO}$) δ 160.3, 137.3, 126.9, 126.5, 121.8, 119.5, 119.1, 116.8, 110.1, 39.6, 32.7, 21.4. HRMS (EI) Calcd for $\text{C}_{12}\text{H}_{14}\text{N}_2\text{O}$: $[\text{M}^+]$ 202.1106; Found, 202.1101; IR ν (KBr) 3257, 3050, 2966, 2925, 1650, 1542, 1475, 1333, 1233, 732, 674 cm^{-1} ; Mixture of isomers due to C-N hindered rotation. mp: 107-109 $^\circ\text{C}$.



methyl 3-(1-formamidoethyl)indolizine-1-carboxylate [T 3-5c, New Compound]

Following the typical procedure A and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ petrol ether =1/1).

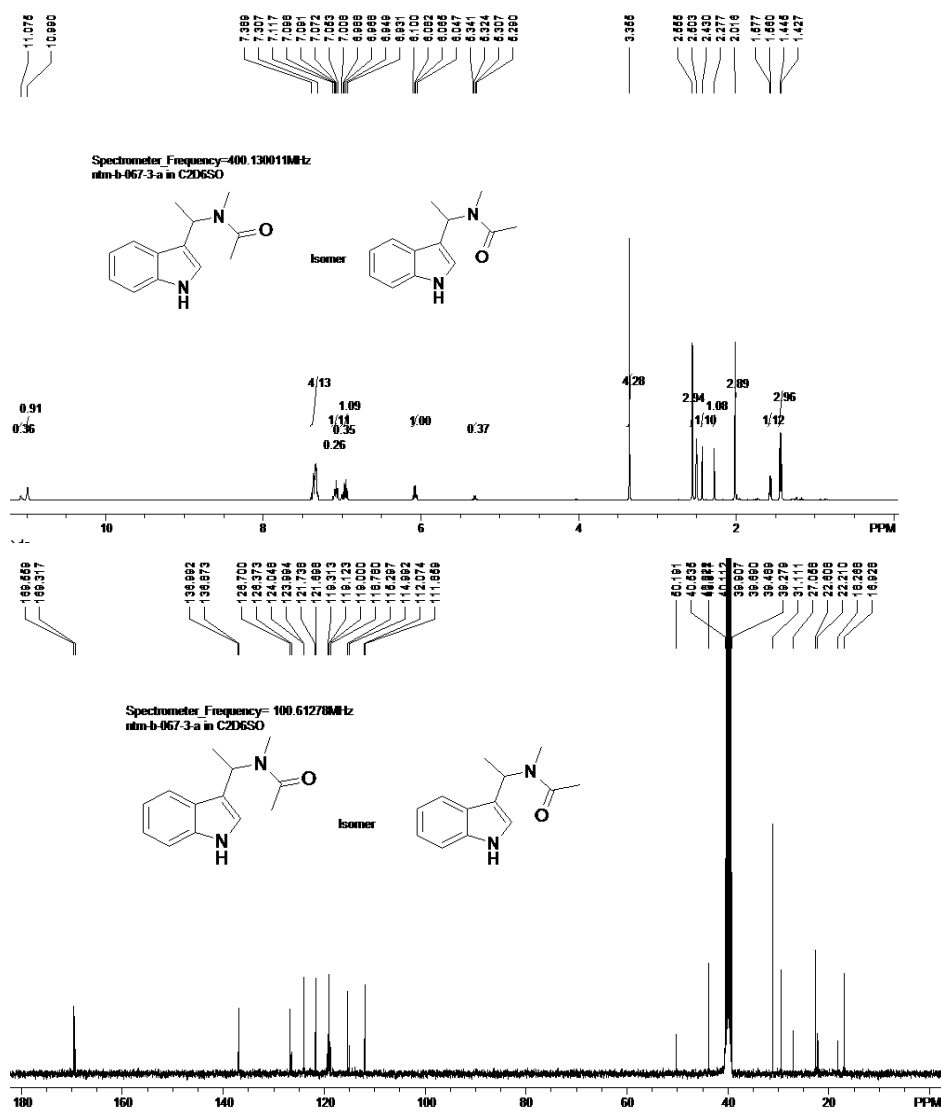
^1H NMR (400 MHz, CDCl_3 , TMS) δ 8.16 (s, 1 H), 7.98 (d, $J = 8.8$ Hz, 1 H), 7.91 (d, $J = 7.2$ Hz, 1 H), 7.01 (s, 1 H), 7.00 (t, $J = 7.6$ Hz, 1 H), 6.69 (t, $J = 6.8$ Hz, 1 H), 6.44 (d, $J = 9.2$ Hz, 1 H), 5.50 (qui, $J = 6.6$ Hz, 1 H), 3.76 (s, 3 H), 1.64 (d, $J = 6.8$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 165.3, 160.7, 136.3, 125.0, 124.1, 122.7, 119.5, 113.9, 112.8, 102.3, 50.8, 38.4, 19.3. HRMS (EI) Calcd for $\text{C}_{13}\text{H}_{14}\text{N}_2\text{O}_3$: $[\text{M}]^+$ 246.1004; Found, 246.1014; IR ν (KBr) 3251, 3030, 2980, 2951, 1692, 1651, 1538, 1510, 1454, 1384, 1292, 1218, 1115, 1043, 839, 775, 739 cm^{-1} ; mp: 146-148 $^\circ\text{C}$.



***N*-(1-(1*H*-indol-3-yl)ethyl)-*N*-methylethanamide [T 3-6a, New Compound]**

Following the typical procedure A and the desired product as a pale yellow solid after purification by flash chromatography (eluent: ethyl acetate/ petrol ether =1/1).

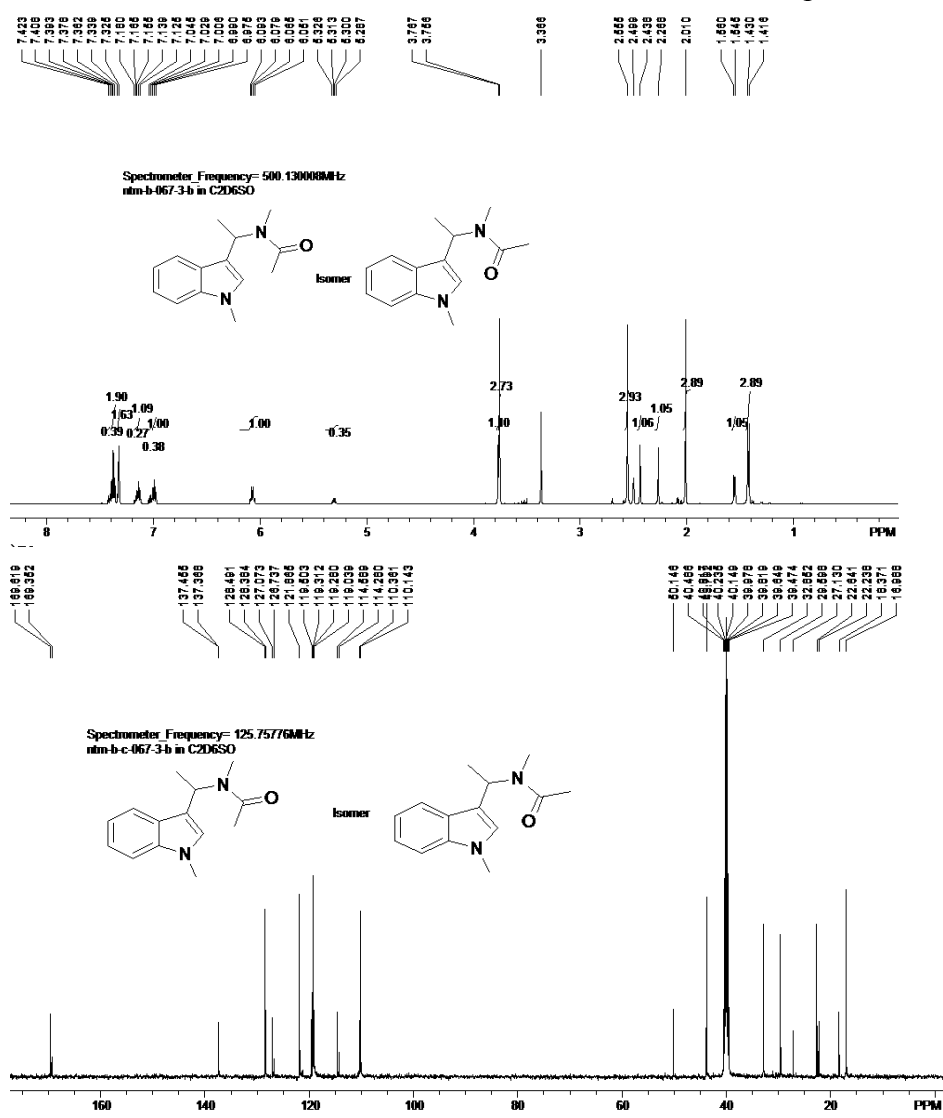
^1H NMR (400 MHz, $\text{C}_2\text{D}_6\text{SO}$, TMS) δ 10.99 (s, 1 H), 7.39-7.31 (m, 3 H), 7.06 (t, $J = 7.6$ Hz, 1 H), 6.95 (t, $J = 7.4$ Hz, 1 H), 6.07 (q, $J = 7.2$ Hz, 1 H), 2.56 (s, 3 H), 2.02 (s, 3 H), 1.44 (d, $J = 7.2$ Hz, 3 H); ^{13}C NMR (100 MHz, $\text{C}_2\text{D}_6\text{SO}$) δ 169.6, 136.9, 126.7, 124.0, 121.7, 119.7, 119.0, 115.3, 111.9, 43.8, 31.1, 22.6, 16.9. Isomer ^1H NMR (400 MHz, $\text{C}_2\text{D}_6\text{SO}$, TMS) δ 11.08 (s, 0.35 H), 7.39-7.31 (m, 1 H), 7.11 (d, $J = 7.6$ Hz, 0.35 H), 7.00 (d, $J = 8.0$ Hz, 0.35 H), 5.31 (q, $J = 6.8$ Hz, 0.35 H), 2.43 (s, 1 H), 2.28 (s, 1 H), 1.57 (d, $J = 6.8$ Hz, 1 H); ^{13}C NMR (100 MHz, $\text{C}_2\text{D}_6\text{SO}$) δ 169.4, 137.0, 126.4, 123.9, 121.6, 119.3, 118.9, 114.9, 112.1, 50.2, 27.6, 22.2, 18.3. HRMS (EI) Calcd for $\text{C}_{13}\text{H}_{16}\text{N}_2\text{O}$: $[\text{M}^+]$ 216.1263; Found, 216.1268; IR ν (KBr) 3240, 3045, 2963, 2925, 1658, 1523, 1458, 1370, 1293, 1229, 1054, 768 cm^{-1} ; Mixture of isomers due to C-N hindered rotation. mp: 89-91 $^\circ\text{C}$.



***N*-methyl-*N*-(1-(1-methyl-1*H*-indol-3-yl)ethyl)acetamide [T 3-6b, New compound]**

Following the typical procedure A and the desired product as a pale yellow solid after purification by flash chromatography (eluent: ethyl acetate/ petrol ether =1/1).

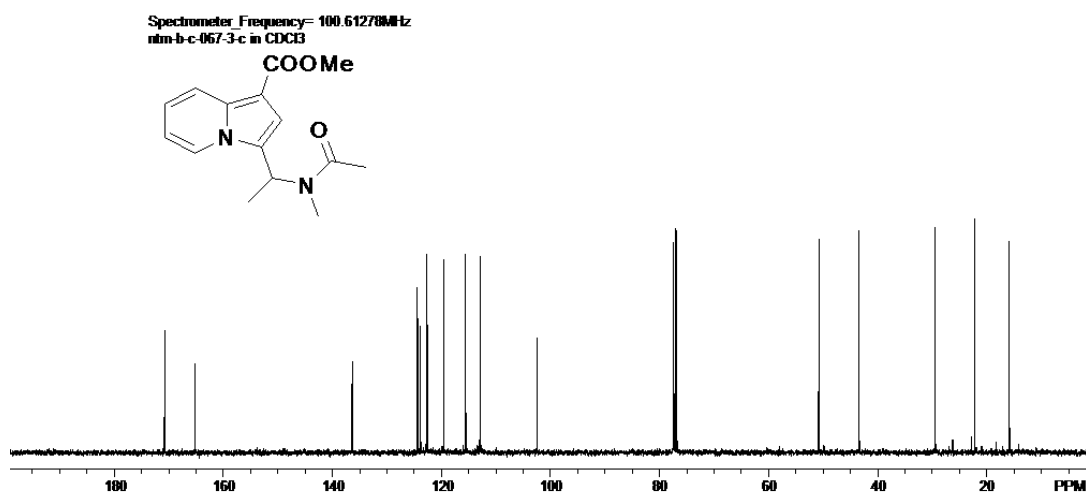
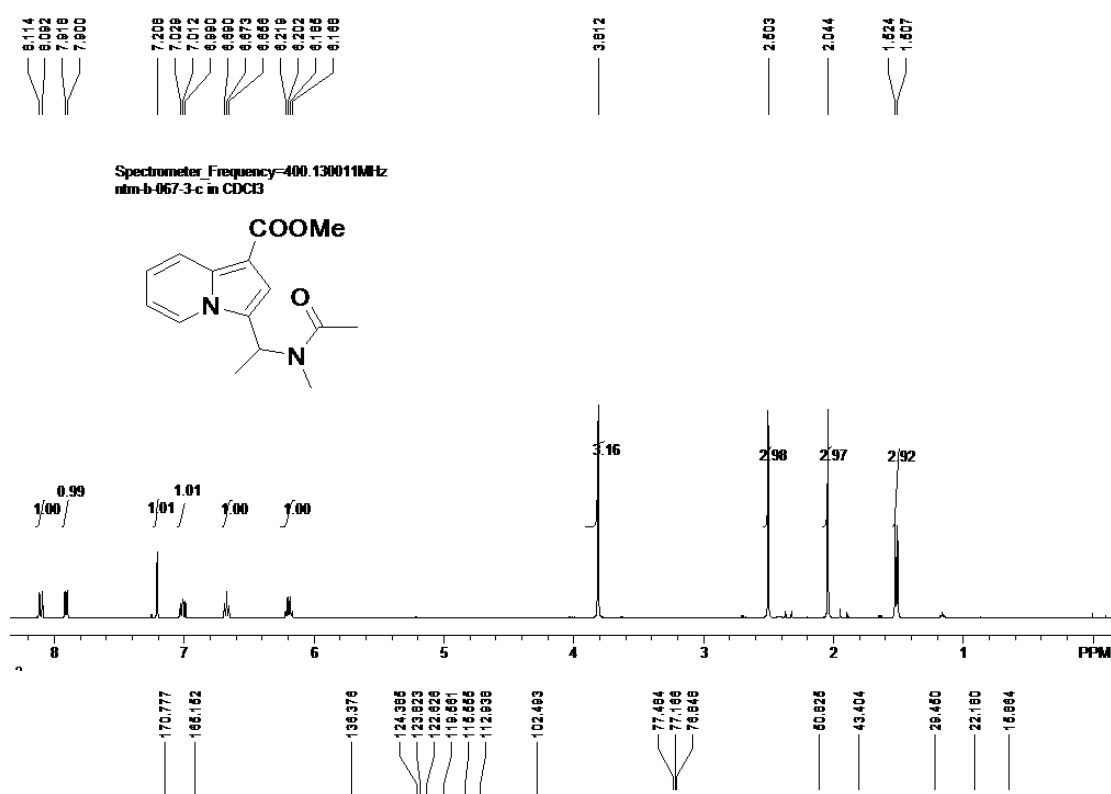
^1H NMR (500 MHz, $\text{C}_2\text{D}_6\text{SO}$, TMS) δ 7.38 (t, $J = 7.75$ Hz, 2 H), 7.33 (s, 1 H), 7.14 (t, $J = 7.0$ Hz, 1 H), 6.99 (t, $J = 7.25$ Hz, 1 H), 6.07 (q, $J = 7.0$ Hz, 1 H), 3.76 (s, 3 H), 2.56 (s, 3 H), 2.01 (s, 3 H), 1.42 (d, $J = 7.0$ Hz, 3 H); ^{13}C NMR (125 MHz, $\text{C}_2\text{D}_6\text{SO}$) δ 169.6, 137.4, 128.5, 127.1, 121.9, 119.3, 119.2, 114.6, 110.1, 43.8, 32.9, 29.6, 22.6, 17.0. Isomer ^1H NMR (500 MHz, $\text{C}_2\text{D}_6\text{SO}$, TMS) δ 7.42 (d, $J = 7.5$ Hz, 0.35 H), 7.34 (m, 0.7 H), 7.17 (t, $J = 7.5$ Hz, 0.35 H), 7.04 (t, $J = 7.75$ Hz, 0.35 H), 5.31 (q, $J = 6.5$ Hz, 0.35 H), 3.77 (s, 1 H), 2.44 (s, 1 H), 2.27 (s, 1 H), 1.55 (d, $J = 7.5$ Hz, 3 H); ^{13}C NMR (125 MHz, $\text{C}_2\text{D}_6\text{SO}$) δ 169.4, 137.5, 128.4, 126.7, 121.9, 119.5, 119.0, 119.2, 114.3, 110.4, 50.1, 40.2, 27.1, 22.2, 18.4. HRMS (EI) Calcd for $\text{C}_{14}\text{H}_{18}\text{N}_2\text{O}$: $[\text{M}^+]$ 230.1419; Found, 230.1413; IR ν (KBr) 3240, 3032, 2973, 2925, 1660, 1461, 1414, 1370, 1288, 1230, 1194, 1114, 780 cm^{-1} ; Mixture of isomers due to C-N hindered rotation. mp: 106-108 $^\circ\text{C}$.



methyl 3-(1-(*N*-methylacetamido)ethyl)indolizine-1-carboxylate [T 3-6c, New compound]

Following the typical procedure A and the desired product as a colorless oil after purification by flash chromatography (eluent: ethyl acetate/ dichloromethane=1/10).

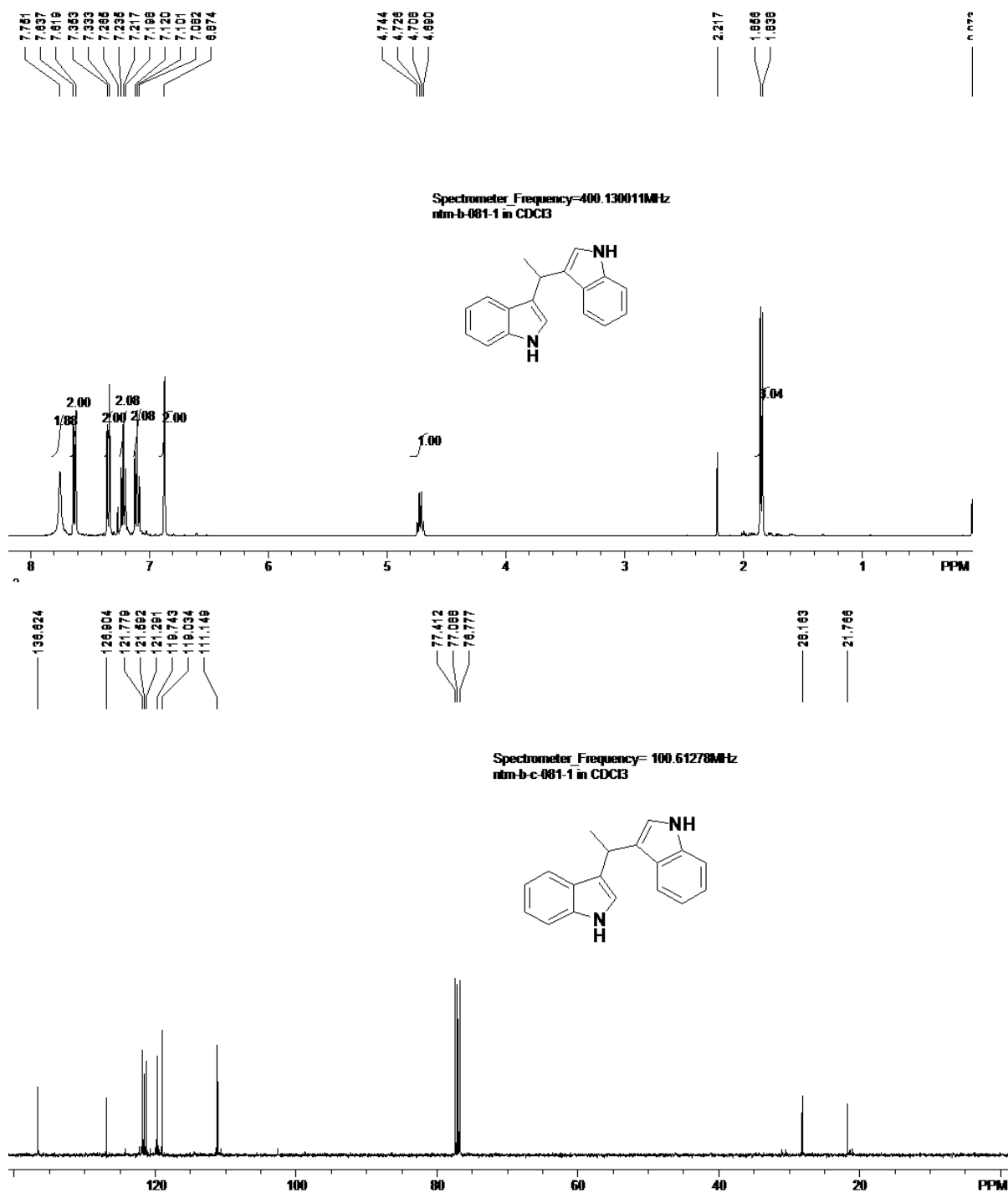
^1H NMR (400 MHz, CDCl_3 , TMS) δ 8.10 (d, $J = 8.8$ Hz, 1 H), 7.91 (d, $J = 7.2$ Hz, 1 H), 7.21 (s, 1 H), 7.01 (t, $J = 7.8$ Hz, 1 H), 6.67 (t, $J = 6.8$ Hz, 1 H), 6.19 (q, $J = 6.8$ Hz, 1 H), 3.81 (s, 3 H), 2.50 (s, 3 H), 2.04 (s, 3 H), 1.52 (d, $J = 6.8$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 170.8, 165.2, 136.4, 124.4, 123.8, 122.6, 119.6, 115.6, 112.9, 102.5, 50.8, 43.4, 29.5, 22.2, 15.9. HRMS (EI) Calcd for $\text{C}_{15}\text{H}_{18}\text{N}_2\text{O}_3$: $[\text{M}]^+$ 274.1317; Found, 274.1325; IR ν (KBr) 3105, 2973, 2948, 1693, 1634, 1544, 1511, 1449, 1401, 1320, 1292, 1222, 1140, 1053, 1015, 926, 777 cm^{-1} .



3-(1-(1*H*-indol-3-yl)ethyl)-1*H*-indole [T 4-7a, Ref 1]

Following the typical procedure **B** and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ petrol ether =1/10).

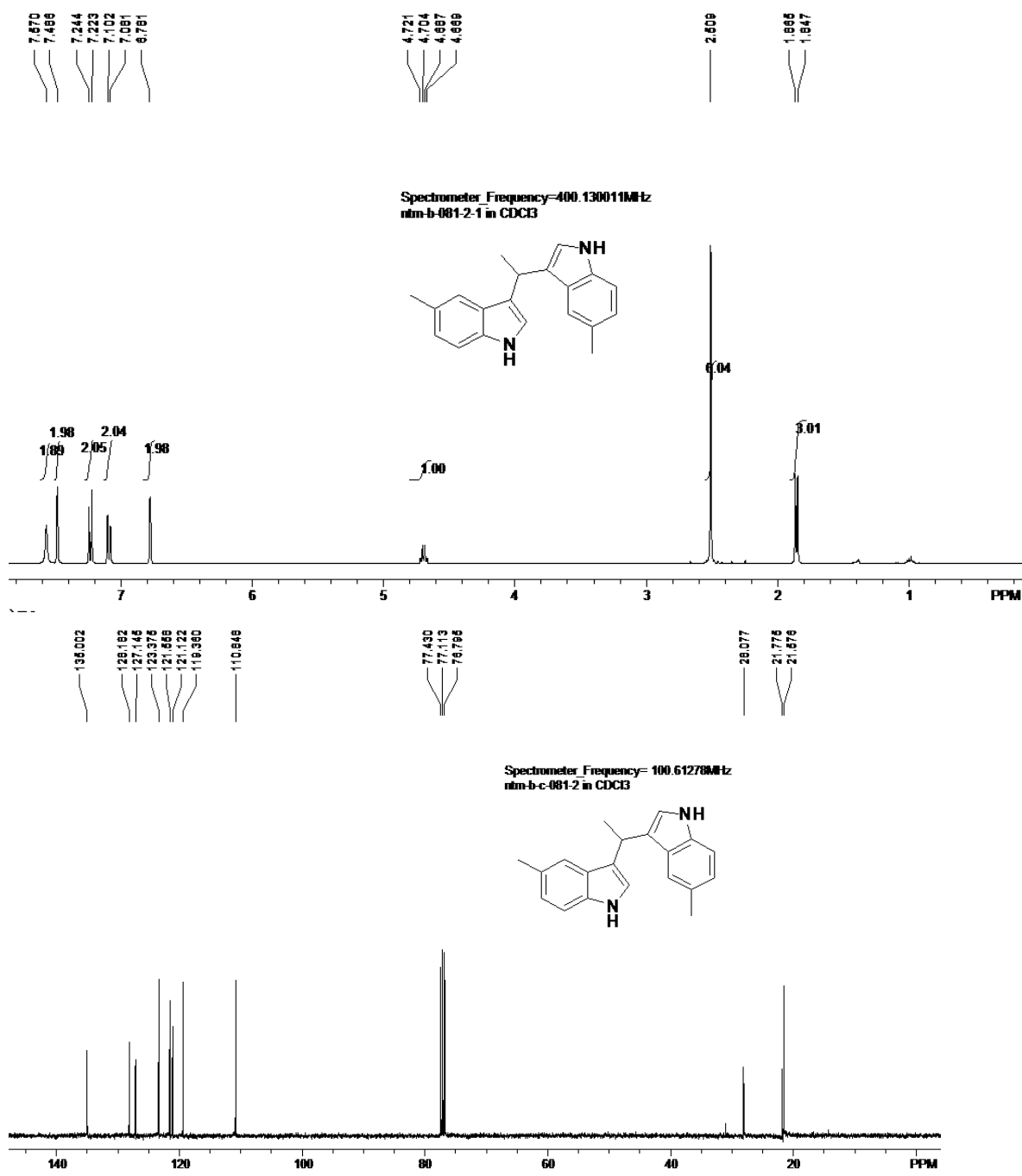
^1H NMR (400 MHz, CDCl_3 , TMS) δ 7.75 (s, 2 H), 7.63 (d, $J = 7.2$ Hz, 2 H), 7.34 (d, $J = 8.0$ Hz, 2 H), 7.22 (t, $J = 7.4$ Hz, 2 H), 7.10 (t, $J = 7.6$ Hz, 2 H), 6.84 (s, 2 H), 4.72 (q, $J = 7.2$ Hz, 1 H), 1.85 (d, $J = 7.2$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 136.6, 126.9, 121.8, 121.6, 121.3, 119.7, 119.0, 111.5, 28.2, 21.8.



5-methyl-3-(1-(5-methyl-1*H*-indol-3-yl)ethyl)-1*H*-indole [T4-7b, New compound]

Following the typical procedure **B** and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ petrol ether =1/10).

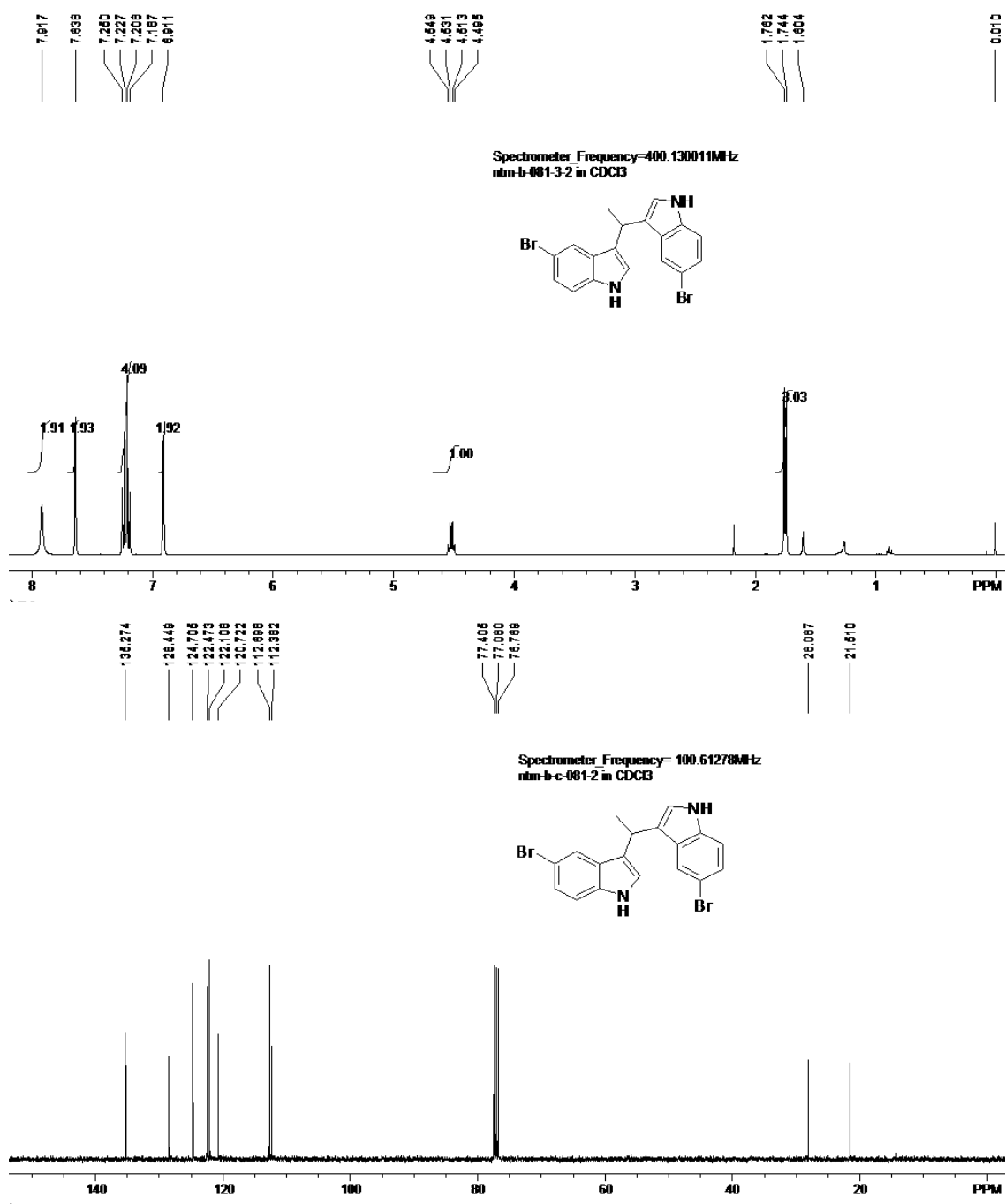
^1H NMR (400 MHz, CDCl_3 , TMS) δ 7.57 (s, 2 H), 7.49 (s, 2 H), 7.23 (d, $J = 8.4$ Hz, 2 H), 7.09 (d, $J = 8.4$ Hz, 2 H), 6.78 (s, 2 H), 4.70 (q, $J = 7.0$ Hz, 1 H), 2.51 (s, 6 H), 1.86 (d, $J = 7.2$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 135.0, 128.2, 127.5, 123.4, 121.6, 121.1, 119.4, 110.8, 28.1, 21.8, 21.6. HRMS (EI) Calcd for $\text{C}_{20}\text{H}_{20}\text{N}_2$: $[\text{M}^+]$ 288.1626; Found, 288.1613; IR ν (KBr) 3412, 3030, 2964, 2921, 1481, 1454, 1419, 1384, 1339, 1224, 1091, 1032, 865, 794, 766 cm^{-1} ; mp: 141-143 $^\circ\text{C}$.



5-bromo-3-(1-(5-bromo-1*H*-indol-3-yl)ethyl)-1*H*-indole [T 4-7c, New compound]

Following the typical procedure **B** and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ petrol ether =1/6).

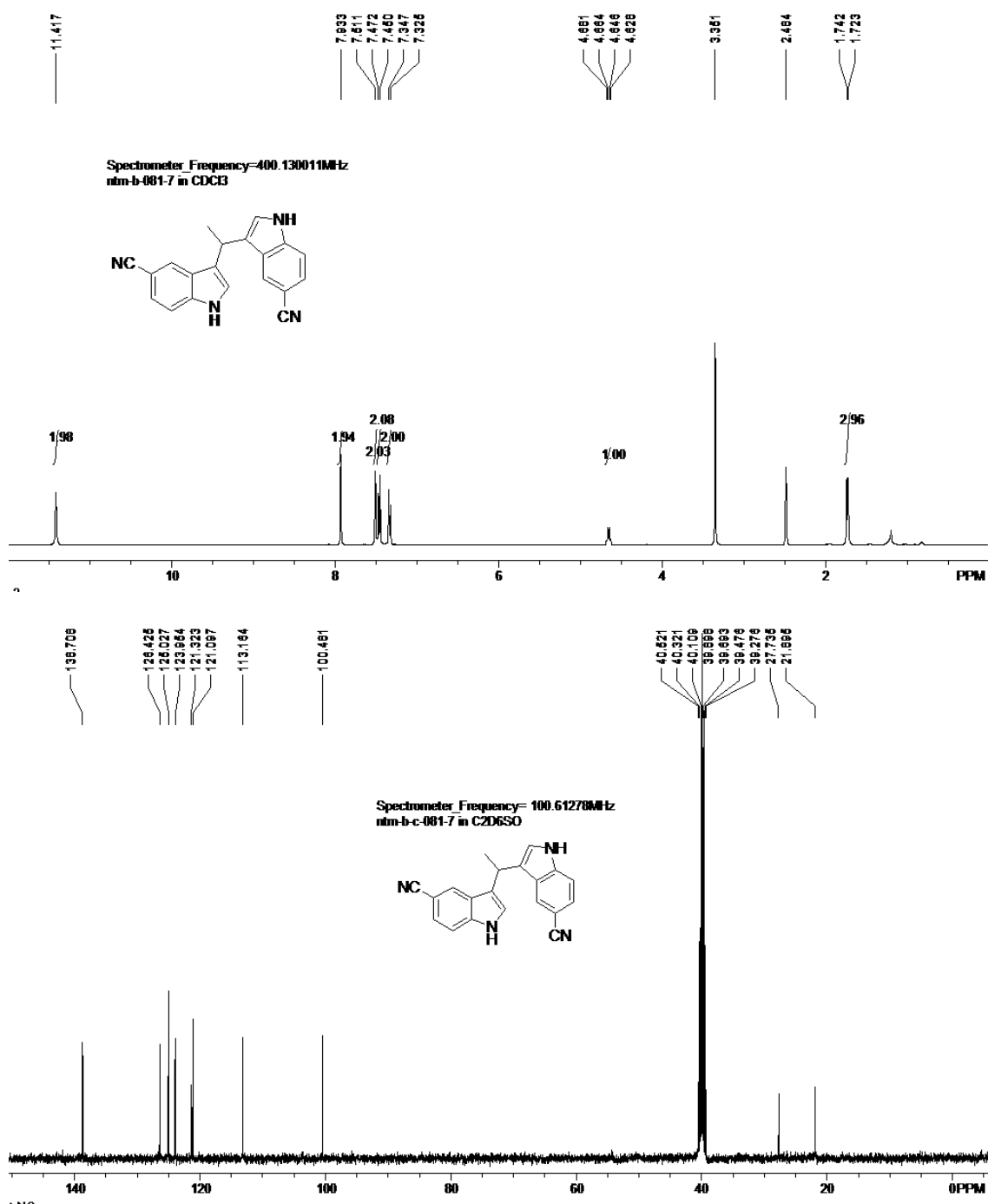
^1H NMR (400 MHz, CDCl_3 , TMS) δ 7.92 (s, 2 H), 7.64 (s, 2 H), 7.24 (d, $J = 9.2$ Hz, 2 H), 7.20 (d, $J = 8.4$ Hz, 2 H), 6.91 (s, 2 H), 6.84 (s, 2 H), 4.52 (q, $J = 7.2$ Hz, 1 H), 1.75 (d, $J = 7.2$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 135.3, 128.5, 124.7, 122.5, 122.1, 120.7, 112.7, 112.4, 28.1, 21.5. HRMS (EI) Calcd for $\text{C}_{18}\text{H}_{14}\text{Br}_2\text{N}_2$: $[\text{M}^+]$ 415.9524; Found, 415.9521; IR ν (KBr) 3406, 2980, 2925, 1452, 1415, 1384, 1217, 1096, 1050, 985, 882, 802, 671 cm^{-1} ; mp:152-154 $^\circ\text{C}$.



3-(1-(5-cyano-1H-indol-3-yl)ethyl)-1H-indole-5-carbonitrile [T 4-7d, New compound]

Following the typical procedure **B** and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ petrol ether =1/6).

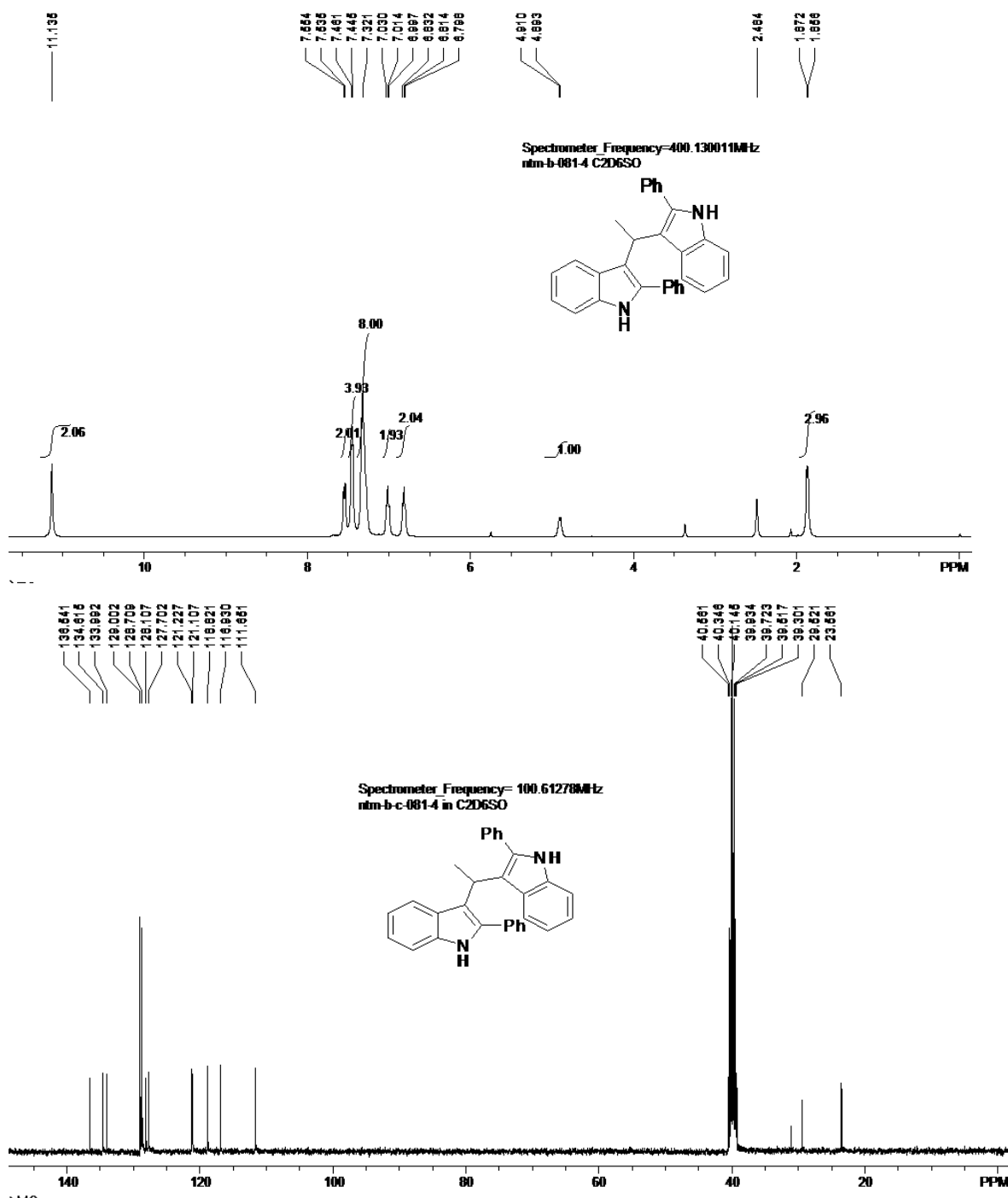
^1H NMR (400 MHz, CDCl_3 , TMS) δ 11.42 (s, 2 H), 7.93 (s, 2 H), 7.51 (s, 2 H), 7.46 (d, $J = 8.8$ Hz, 2 H), 7.34 (d, $J = 8.8$ Hz, 2 H), 4.65 (q, $J = 7.0$ Hz, 1 H), 1.73 (d, $J = 7.6$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 138.7, 126.4, 125.0, 124.0, 121.3, 121.1, 113.2, 100.5, 27.7, 21.9. HRMS (EI) Calcd for $\text{C}_{20}\text{H}_{14}\text{N}_4$: $[\text{M}^+]$ 310.1218; Found, 310.1218; IR ν (KBr) 3384, 2964, 2926, 2218, 1617, 1471, 1430, 1384, 1356, 1171, 1089, 1012, 805, 760 cm^{-1} ; mp: 96-98 $^\circ\text{C}$.



2-phenyl-3-(1-(2-phenyl-1H-indol-3-yl)ethyl)-1H-indole [T 4-7e, Ref 2]

Following the typical procedure **B** and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ petrol ether =1/10).

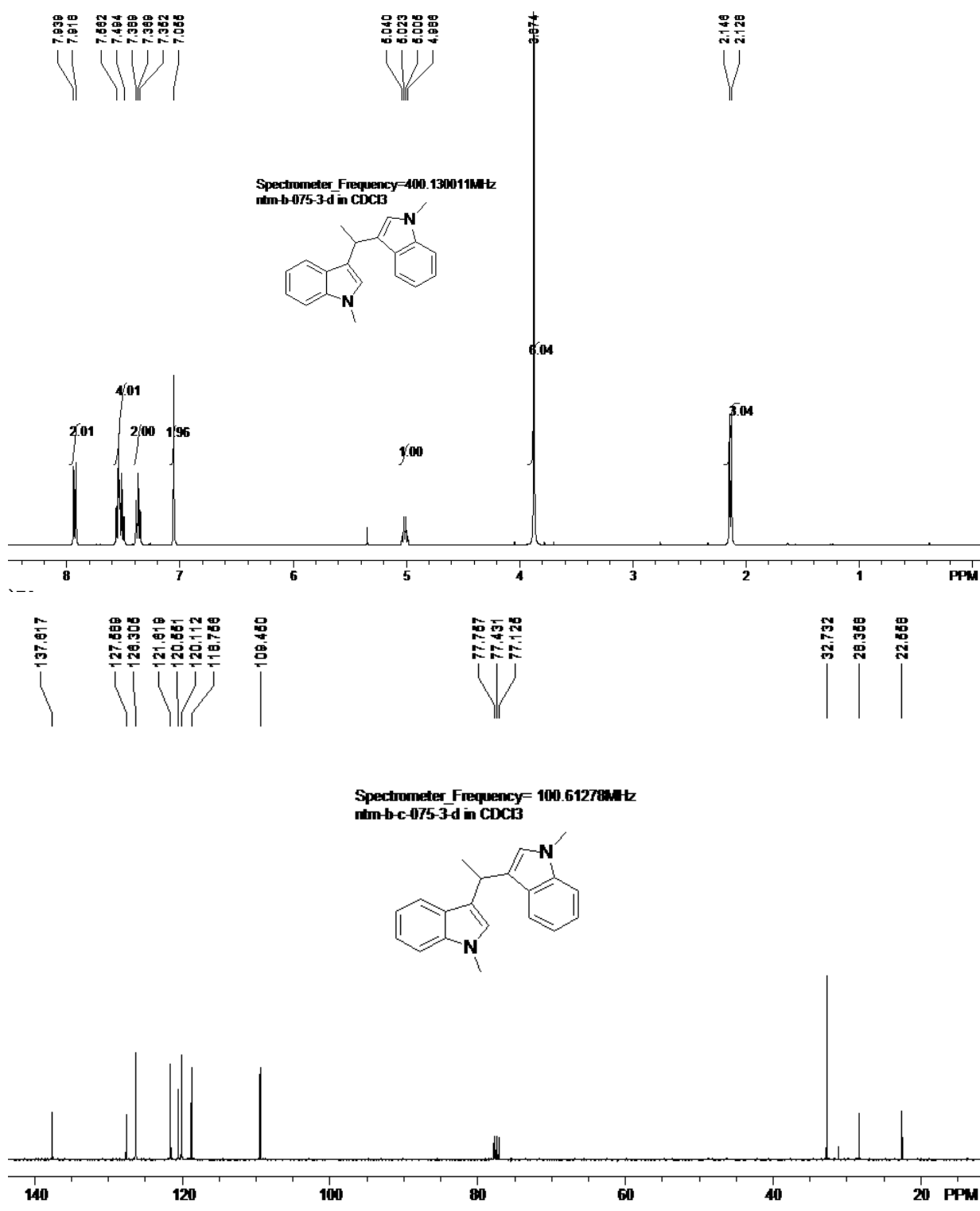
^1H NMR (400 MHz, $\text{C}_2\text{D}_6\text{SO}$, TMS) δ 11.14 (s, 2 H), 7.54 (d, $J = 7.6$ Hz, 2 H), 7.45 (d, $J = 6.4$ Hz, 2 H), 7.32 (m, 8 H), 7.01 (t, $J = 6.6$ Hz, 2 H), 7.82 (t, $J = 6.8$ Hz, 2 H), 4.90 (q, $J = 6.8$ Hz, 1 H), 1.86 (d, $J = 6.4$ Hz, 3 H); ^{13}C NMR (100 MHz, $\text{C}_2\text{D}_6\text{SO}$) δ 13.65, 134.6, 134.0, 129.0, 128.7, 127.7, 121.2, 121.1, 18.8, 116.9, 111.7, 29.5, 23.6.



1-methyl-3-(1-(1-methyl-1*H*-indol-3-yl)ethyl)-1*H*-indole [T 4-7f, Ref 3]

Following the typical procedure **B** and the desired product as a colorless oil after purification by flash chromatography (eluent: ethyl acetate/ petrol ether =1/40).

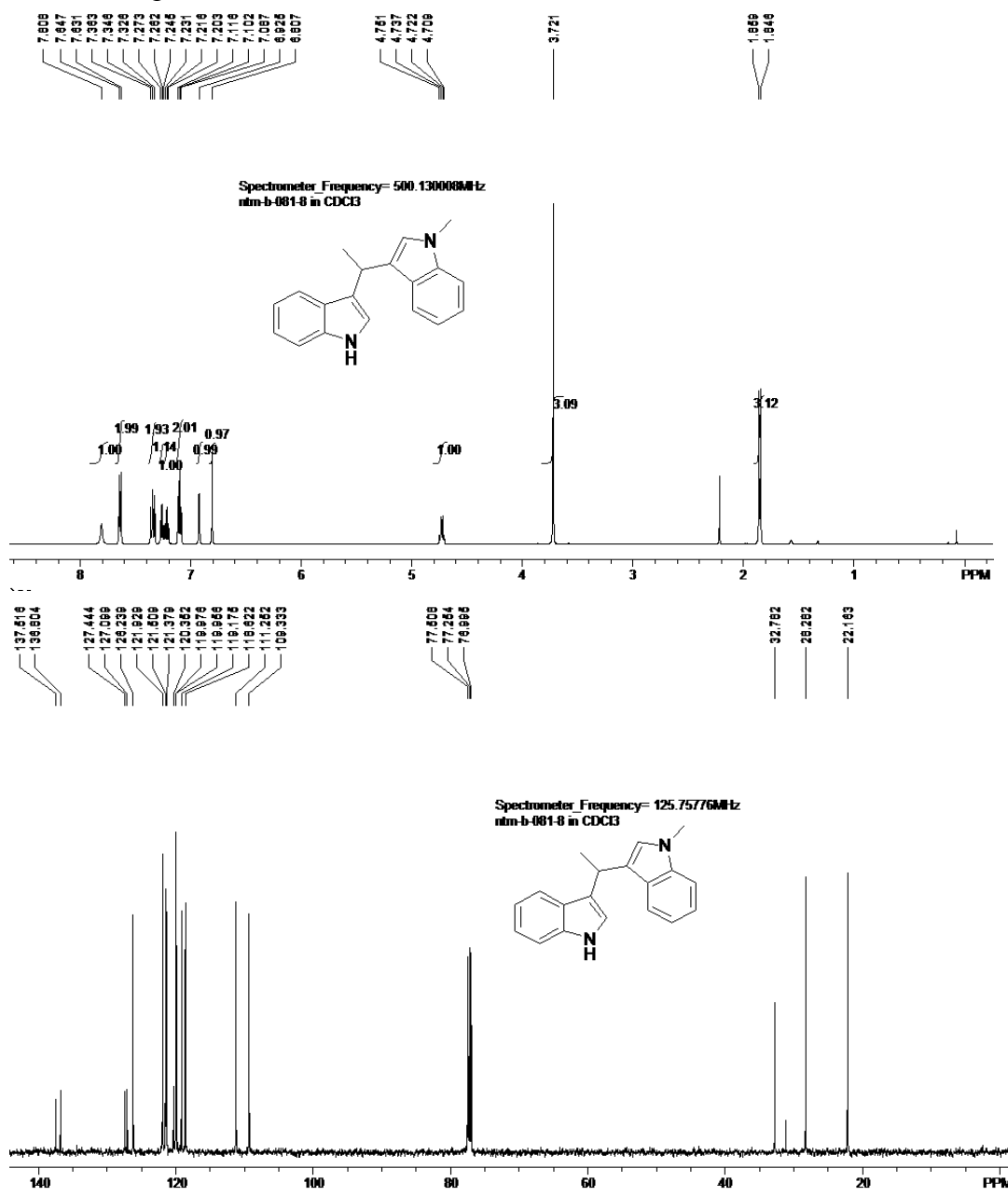
^1H NMR (400 MHz, CDCl_3 , TMS) δ 7.93 (d, $J = 8.4$ Hz, 2 H), 7.56-7.49 (m, 4 H), 7.37 (t, $J = 7.4$ Hz, 2 H), 7.06 (s, 2 H), 5.01 (q, $J = 7.2$ Hz, 1 H), 3.87 (s, 6 H), 2.14 (d, $J = 7.2$ Hz, 3 H); ^{13}C NMR (100 MHz, CDCl_3) δ 137.6, 127.6, 126.3, 121.6, 120.6, 120.1, 118.8, 109.5, 32.7, 28.4, 22.6.



3-(1-(1-methyl-1H-indol-3-yl)ethyl)-1H-indole [T 4-7g, New Compound]

Following the typical procedure **B** and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ petrol ether =1/10).

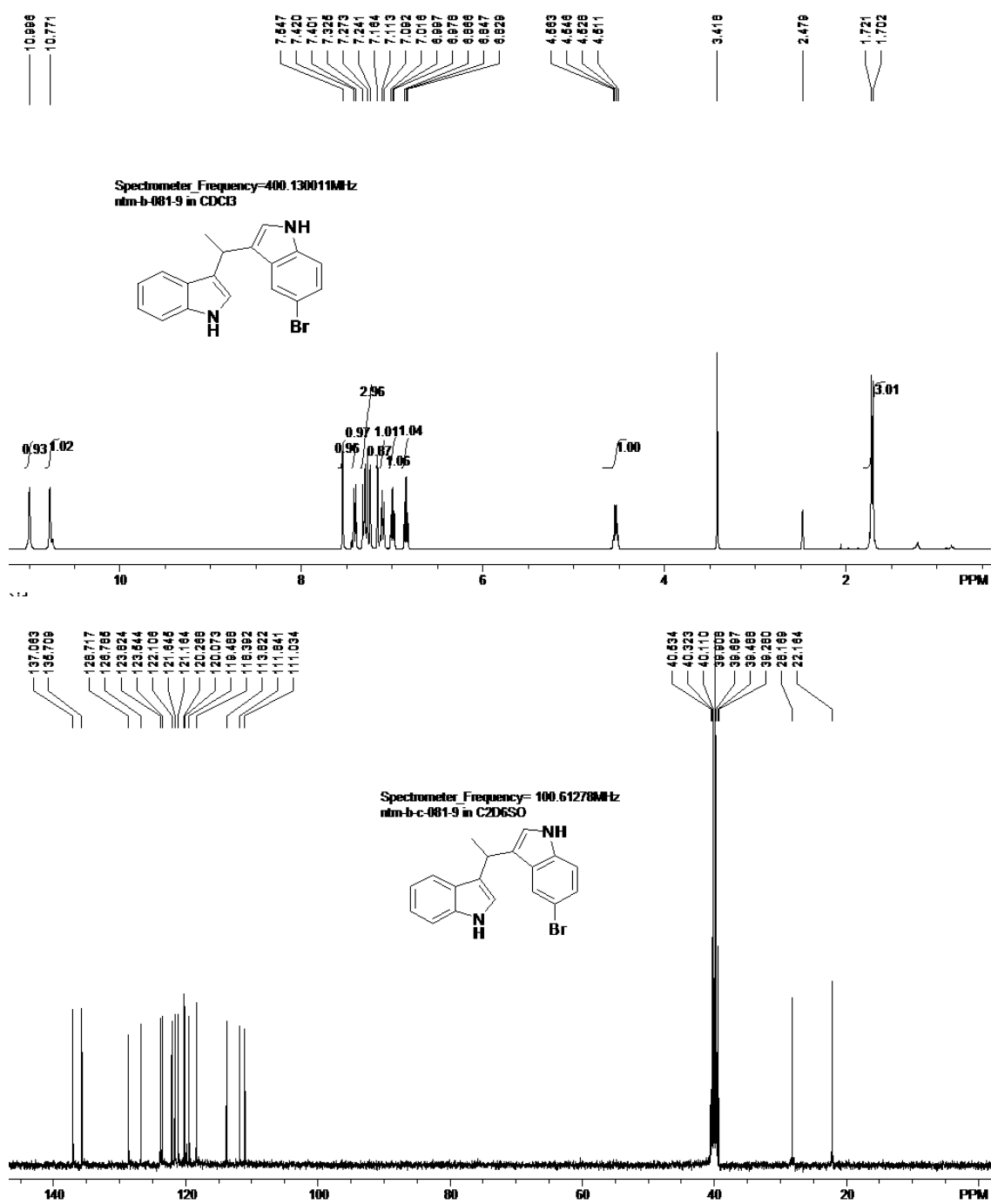
^1H NMR (500 MHz, CDCl_3 , TMS) δ 7.81 (s, 1 H), 7.64 (d, $J = 8.0$ Hz, 2 H), 7.34 (t, $J = 7.0$ Hz, 2 H), 7.26 (t, $J = 7.0$ Hz, 1 H), 7.22 (t, $J = 7.0$ Hz, 1 H), 7.10 (t, $J = 7.25$ Hz, 2 H), 6.93 (s, 1 H), 6.81 (s, 1 H), 4.73 (q, $J = 6.75$ Hz, 1 H), 3.72 (s, 3 H), 1.85 (d, $J = 6.5$ Hz, 3 H); ^{13}C NMR (125 MHz, CDCl_3) δ 137.5, 136.8, 127.4, 127.1, 126.2, 121.9, 121.5, 121.4, 120.4, 120.0, 119.9, 119.2, 118.6, 111.3, 109.3, 32.8, 28.3, 22.2. HRMS (EI) Calcd for $\text{C}_{19}\text{H}_{18}\text{N}_2$: $[\text{M}^+]$ 274.1470; Found, 274.1461; IR ν (KBr) 3416, 3058, 2962, 2925, 1615, 1457, 1420, 1384, 1320, 1238, 1123, 1092, 1010, 810, 741 cm^{-1} ; mp: 67-69 $^\circ\text{C}$.



3-(1-(5-bromo-1H-indol-3-yl)ethyl)-1H-indole [T 4-7h, Ref 4]

Following the typical procedure **B** and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ petrol ether =1/6).

^1H NMR (400 MHz, $\text{C}_2\text{D}_6\text{SO}$, TMS) δ 11.00 (s, 1 H), 10.77 (s, 1 H), 7.56 (s, 1 H), 7.41 (d, $J = 7.6$ Hz, 1 H), 7.33-7.27 (m, 2 H), 7.24 (s, 1 H), 7.16 (s, 1 H), 7.10 (d, $J = 8.4$ Hz, 1 H), 7.00 (t, $J = 7.6$ Hz, 1 H), 6.85 (t, $J = 7.4$ Hz, 1 H), 4.54 (q, $J = 6.8$ Hz, 1 H), 1.71 (d, $J = 7.6$ Hz, 3 H); ^{13}C NMR (100 MHz, $\text{C}_2\text{D}_6\text{SO}$) δ 137.1, 135.7, 128.7, 126.8, 123.8, 123.5, 122.1, 121.6, 121.2, 120.3, 120.1, 119.5, 118.4, 113.8, 111.8, 111.0, 28.2, 22.2.



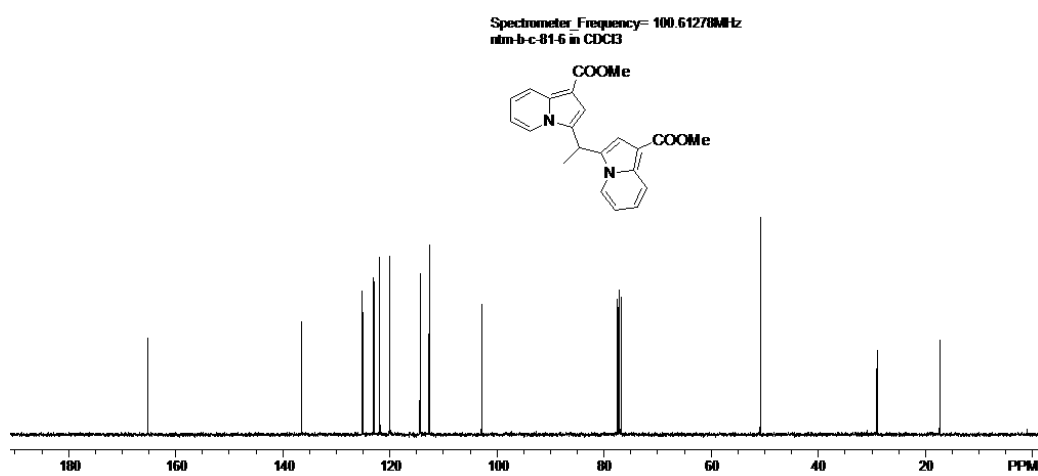
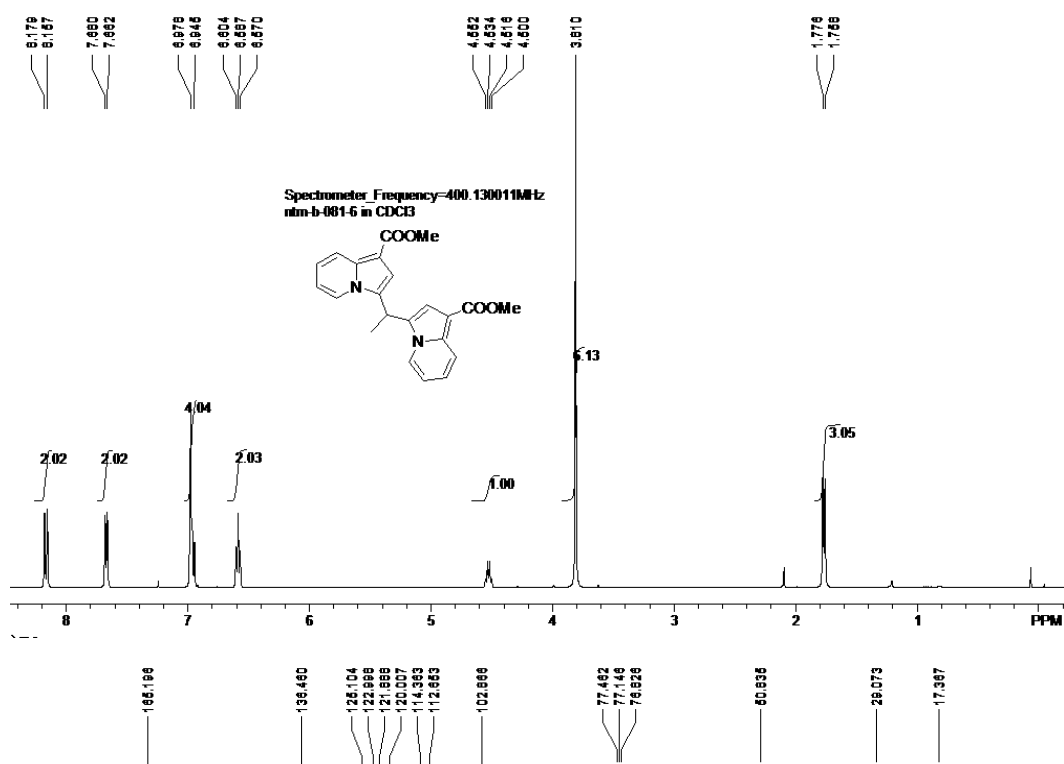
methyl 3-(1-(1-(methoxycarbonyl)indolizin-3-yl)ethyl)indolizine-1-carboxylate [T 4-7i, New Compound]

Following the typical procedure B and the desired product as a white solid after purification by flash chromatography (eluent: ethyl acetate/ petrol ether =1/10).

¹H NMR (400 MHz, CDCl₃, TMS) δ 8.16 (d, J = 8.8 Hz, 2 H), 7.67 (d, J = 7.2 Hz, 2 H), 6.98-6.95 (m, 4 H), 6.63 (t, J = 6.8 Hz, 2 H), 4.53 (q, J = 6.8 Hz, 1 H), 3.81 (s, 6 H), 1.77 (d, J = 7.2 Hz, 3 H);

¹³C NMR (100 MHz, CDCl₃) δ 165.2, 136.5, 125.1, 123.0, 121.9, 120.0, 114.4, 112.6, 102.7, 50.8,

29.1, 17.4. HRMS (EI) Calcd for C₂₂H₂₀N₂O₄: [M⁺] 376.1423; Found, 376.1430; IR ν (KBr) 3109, 2986, 2946, 1682, 1544, 1511, 1443, 1410, 1215, 1098, 1078, 1053, 926, 840, 777, 743 cm⁻¹; mp: 176-178 °C.



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