

Supporting Information

Acid-Catalyzed Three-Component Addition of Carbonyl Compounds with 1,2,3-Triazoles and Indoles

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1. General information

All reactions were carried out under air atmosphere unless otherwise noted. Column chromatography was performed using aluminum oxide (neutral) (200-300 mesh). ^1H NMR and ^{13}C NMR spectra were recorded on Bruker-AV (400 and 100 MHz, respectively) instrument internally referenced to tetramethylsilane (TMS), chloroform or DMSO signals. Mass spectra were measured on Agilent 5975 GC-MS instrument (EI). High-resolution mass spectra (HRMS) were performed on FTMS ICR MS BRUKER 7T or Agilent 6230 TOF L C/MS. The structures of known compounds were further corroborated by comparing their ^1H NMR, ^{13}C NMR data and MS data with those of literature. Melting points were measured with a YUHUA X-5 melting point instrument and were uncorrected. Most reagents were obtained from commercial suppliers and used without further purification.

2. General procedure for 4-phenyl-1-tosyl-1H-1,2,3-triazole

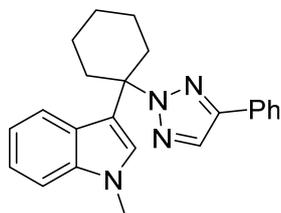
To a stirred solution of phenylacetylene (112 mg, 1.1 mmol), 4-methylbenzenesulfonyl azide (197 mg, 1 mmol), and 2-aminophenol (5.5 mg, 0.05 mmol) in MeCN (1 mL) was added $\text{Cu}(\text{OAc})_2 \cdot \text{H}_2\text{O}$ (20 mg, 0.1 mmol) at room temperature. After **2a** was exhausted (ca. 6 h, monitored by TLC), the solvent was removed off in vacuum. The residue was purified by chromatography (silica gel, 10% EtOAc in PE) to give desired product 4-phenyl-1-tosyl-1H-1,2,3-triazole as a colorless solid. ^1H NMR δ 8.32 (s, 1H), 8.03-8.00 (m, 2H), 7.84-7.80 (m, 2H), 7.42-7.36 (m, 5H), 2.43 (s, 3H); ^{13}C NMR δ 147.5, 133.2, 130.6 (2C), 129.2 (2C), 129.1 (2C), 129.0, 128.8 (2C), 126.2 (2C), 119.1, 22.0.

3. General procedure (4a)

A 10 mL oven-dried reaction vessel was charged with $\text{TsOH} \cdot \text{H}_2\text{O}$ (3 mg, 0.02 mmol), H_2O (10 μL , 0.5 mmol), 1-methyl-1H-indole (**1a**, 25 μL , 0.2 mmol), cyclohexanone (**2a**, 42 μL , 0.4 mmol), 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol) and 1,2-dichlorobenzene (1 mL) under air. The sealed reaction vessel was stirred at 60 $^\circ\text{C}$ for 28 h. After cooling to room temperature, the reaction was diluted with ethyl acetate (5 mL) and washed with saturated sodium chloride solution. The organic layer was separated, and the aqueous layer was extracted with ethyl acetate for three times. The combined organic layer was dried over magnesium sulfate and the volatiles were removed under reduced pressure. The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4a** as yellow oil (33.8 mg, 75% yield).

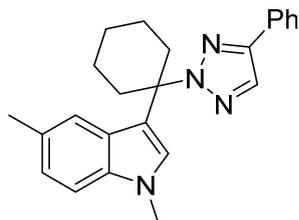
4. Characterization data of products

1-Methyl-3-(1-(4-phenyl-2H-1,2,3-triazol-2-yl) cyclohexyl)-1H-indole (4a)



^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 8.22 (s, 1H), 7.86 – 7.76 (m, 2H), 7.56 – 7.49 (m, 1H), 7.41 (dd, $J = 8.2, 6.9$ Hz, 2H), 7.32 (dd, $J = 7.9, 3.4$ Hz, 2H), 7.20 (s, 1H), 7.09 – 7.01 (m, 1H), 6.93 – 6.84 (m, 1H), 3.69 (s, 3H), 3.16 – 3.04 (m, 2H), 2.35 (s, 2H), 1.72 – 1.58 (m, 2H), 1.42 (d, $J = 6.9$ Hz, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 146.4, 137.4, 131.1, 131.0, 129.4, 128.7, 127.0, 126.0, 125.3, 121.5, 120.4, 119.4, 119.2, 110.3, 67.0, 36.1, 32.8, 25.1, 22.5; HRMS (ESI) m/z calcd. for $\text{C}_{23}\text{H}_{24}\text{N}_4^+$ ($\text{M}+\text{Na}$) $^+$ 379.1899, found 379.1895.

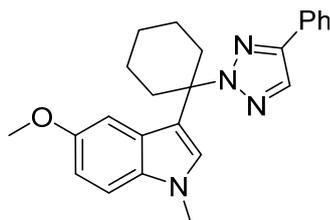
1,5-Dimethyl-3-(1-(4-phenyl-2H-1,2,3-triazol-2-yl) cyclohexyl)-1H-indole (4b)



The reaction was conducted with 1,5-dimethyl-1H-indole (**1b**, 29.1 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μL , 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4b** as yellow oil (34.8 mg, 47% yield).

^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 8.25 (s, 1H), 7.89 – 7.83 (m, 2H), 7.43 (t, $J = 7.3$ Hz, 2H), 7.34 (d, $J = 7.4$ Hz, 1H), 7.23 (d, $J = 15.1$ Hz, 2H), 6.92 (d, $J = 2.6$ Hz, 1H), 6.73 – 6.67 (m, 1H), 3.68 (d, $J = 6.1$ Hz, 3H), 3.59 (d, $J = 4.7$ Hz, 3H), 3.14 (d, $J = 13.6$ Hz, 2H), 2.28 (d, $J = 13.9$ Hz, 2H), 1.81 – 1.65 (m, 2H), 1.58 (s, 1H), 1.43 (d, $J = 7.9$ Hz, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 146.3, 135.9, 131.2, 131.1, 129.4, 128.7, 127.5, 127.0, 126.0, 123.1, 120.2, 110.1, 67.1, 36.0, 32.8, 25.1, 22.5, 21.8; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{26}\text{N}_4^+$ ($\text{M}+\text{Na}$) $^+$ 393.2055, found 393.2102.

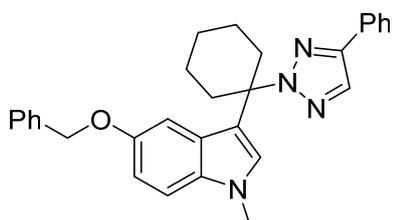
5-Methoxy-1-methyl-3-(1-(4-phenyl-2H-1,2,3-triazol-2-yl) cyclohexyl)-1H-indole (4c)



The reaction was conducted with 5-methoxy-1-methyl-1H-indole (**1c**, 32.2 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 10:1) to yield the desired product **4c** as yellow oil (58.7 mg, 76% yield).

^1H NMR (400 MHz, CDCl_3) δ 8.01 (d, J = 8.2 Hz, 2H), 7.96 (d, J = 8.3 Hz, 1H), 7.68 (s, 1H), 7.34 (d, J = 8.2 Hz, 2H), 7.30 (d, J = 7.7 Hz, 1H), 2.97 (d, J = 13.3, 6.7 Hz, 1H), 2.49 (s, 3H), 1.29 (d, J = 6.9 Hz, 6H); ^{13}C NMR (100 MHz, CDCl_3) δ 167.3, 152.2, 151.9, 135.3, 134.9, 131.1, 127.9, 127.5, 127.1, 122.4, 121.3, 34.1, 23.8, 21.5; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{26}\text{N}_4\text{O}^+$ ($\text{M}+\text{Na}$) $^+$ 409.2004, found 409.2003.

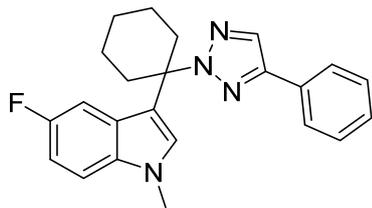
1-Methyl-5-phenoxy-3-(1-(4-phenyl-2H-1,2,3-triazol-2-yl) cyclohexyl)-1H-indole (**4d**)



The reaction was conducted with 5-(benzyloxy)-1-methyl-1H-indole (**1d**, 47.4 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 10:1) to yield the desired product **4d** as white solid (75.8 mg, 82% yield), mp = 180-181 $^\circ\text{C}$.

^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 8.23 (s, 1H), 7.92 – 7.82 (m, 2H), 7.42 (t, J = 7.5 Hz, 2H), 7.35 – 7.30 (m, 5H), 7.02 (d, J = 2.3 Hz, 1H), 6.83 – 6.73 (m, 1H), 4.88 (d, J = 2.0 Hz, 2H), 3.67 (d, J = 2.8 Hz, 3H), 3.10 (d, J = 13.3 Hz, 2H), 2.29 (d, J = 12.9 Hz, 2H), 1.67 (s, 2H), 1.57 (s, 1H), 1.48 – 1.37 (m, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 152.31, 146.32, 137.93, 132.87, 131.24, 130.98, 129.45, 128.76, 128.08, 127.98, 127.44, 125.96, 125.52, 112.16, 111.04, 104.02, 70.15, 66.99, 36.02, 32.99, 25.16, 22.47. ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 153.4, 146.3, 132.7, 131.2, 131.0, 129.4, 128.7, 127.4, 125.9, 125.6, 119.1, 111.4, 111.0, 102.2, 67.0, 55.5, 36.1, 33.0, 25.2, 22.5; HRMS (ESI) m/z calcd for $\text{C}_{30}\text{H}_{30}\text{N}_4\text{O}^+$ ($\text{M}+\text{Na}$) $^+$ 485.2317, found 485.2316.

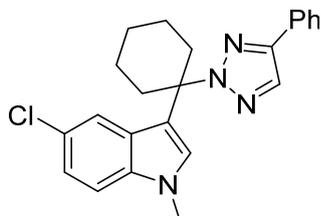
5-Fluoro-1-methyl-3-(1-(4-phenyl-2H-1,2,3-triazol-2-yl) cyclohexyl)-1H-indole (4e)



The reaction was conducted with 5-fluoro-1-methyl-1H-indole (**1e**, 29.8 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4e** as yellow oil (64.3 mg, 86% yield).

^1H NMR (400 MHz, DMSO- d_6) δ 8.16 (s, 1H), 7.73 (d, $J = 7.7$ Hz, 2H), 7.34 (t, $J = 7.6$ Hz, 2H), 7.29 – 7.22 (m, 3H), 7.13 – 7.08 (m, 1H), 6.82 (t, $J = 9.0$ Hz, 1H), 3.62 (s, 3H), 2.99 (d, $J = 13.3$ Hz, 2H), 2.21 (t, $J = 11.6$ Hz, 2H), 1.56 (d, $J = 12.3$ Hz, 2H), 1.45 (s, 1H), 1.32 (d, $J = 8.9$ Hz, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 155.9 (d, $J = 232.3$ Hz), 146.6, 134.2, 131.2, 130.9, 130.7, 129.5, 129.0, 128.8, 126.0, 119.4, 111.7 (d, $J = 9.9$ Hz), 109.9 (d, $J = 26.2$ Hz), 105.2 (d, $J = 24.6$ Hz), 66.8, 36.0, 33.2, 25.1, 22.5; HRMS (ESI) m/z calcd for $\text{C}_{23}\text{H}_{23}\text{FN}_4^+$ ($\text{M}+\text{K}$) $^+$ 413.1544, found 413.1549.

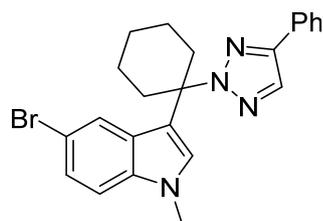
5-Chloro-1-methyl-3-(1-(4-phenyl-2H-1,2,3-triazol-2-yl) cyclohexyl)-1H-indole (4f)



The reaction was conducted with 5-chloro-1-methyl-1H-indole (**1f**, 33.0 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4f** as white solid (56.3 mg, 72% yield), mp = 147-148 $^\circ\text{C}$.

^1H NMR (400 MHz, DMSO- d_6) δ 8.17 (s, 1H), 7.77 – 7.70 (m, 2H), 7.46 (d, $J = 2.1$ Hz, 1H), 7.34 (t, $J = 7.5$ Hz, 2H), 7.30 – 7.21 (m, 3H), 6.97 (dd, $J = 8.7, 2.0$ Hz, 1H), 3.62 (s, 3H), 3.01 (d, $J = 13.5$ Hz, 2H), 2.19 (d, $J = 12.2$ Hz, 2H), 1.56 (s, 2H), 1.45 (s, 1H), 1.31 (t, $J = 8.6$ Hz, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 146.6, 136.0, 131.3, 130.9, 129.5, 128.9, 128.8, 126.2, 126.1, 124.0, 121.5, 119.6, 119.1, 112.1, 66.7, 36.0, 33.1, 25.1, 22.4.

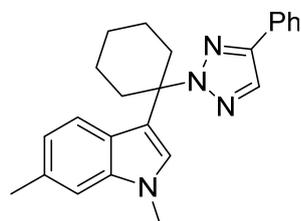
5-Bromo-1-methyl-3-(1-(4-phenyl-2H-1,2,3-triazol-2-yl) cyclohexyl)-1H-indole (4g)



The reaction was conducted with 5-bromo-1-methyl-1H-indole (**1g**, 42.0 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4g** as white solid (67.7 mg, 78% yield), mp = 180-181 $^{\circ}$ C.

^1H NMR (400 MHz, DMSO- d_6) δ 8.25 (s, 1H), 7.86 – 7.79 (m, 2H), 7.71 (d, J = 2.0 Hz, 1H), 7.43 (t, J = 7.6 Hz, 2H), 7.38-7.31 (m, 3H), 7.17 (dd, J = 8.7, 1.9 Hz, 1H), 3.70 (s, 3H), 3.10 (d, J = 13.6 Hz, 2H), 2.35 – 2.24 (m, 2H), 1.70-1.62 (m, 2H), 1.54 (s, 1H), 1.40 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 146.6, 136.1, 131.3, 130.8, 129.4, 128.8, 128.7, 126.9, 126.0, 124.0, 122.7, 119.0, 112.6, 112.1, 66.7, 36.0, 33.1, 25.1, 22.4; HRMS (ESI) m/z calcd for $\text{C}_{23}\text{H}_{23}\text{BrN}_4^+$ ($\text{M}+\text{Na}$) $^+$ 457.1004, found 457.1000.

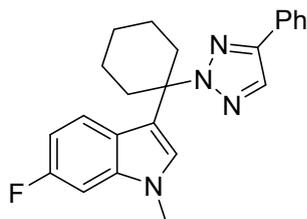
1,6-Dimethyl-3-(1-(4-phenyl-2H-1,2,3-triazol-2-yl) cyclohexyl)-1H-indole (4h)



The reaction was conducted with 1,6-dimethyl-1H-indole (**1h**, 29.0 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4h** as yellow oil (48.8 mg, 66% yield).

^1H NMR (400 MHz, DMSO- d_6) δ 8.12 (s, 1H), 7.72 (d, J = 7.6 Hz, 2H), 7.33 (td, J = 8.0, 4.0 Hz, 3H), 7.23 (t, J = 7.4 Hz, 1H), 7.02 (d, J = 2.4 Hz, 2H), 3.56 (s, 3H), 3.05 – 2.96 (m, 2H), 2.23 (s, 5H), 1.60 – 1.53 (m, 2H), 1.45 (s, 1H), 1.33 (d, J = 7.9 Hz, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 146.4, 137.8, 131.0, 130.0, 131.7, 129.4, 128.7, 126.3, 126.0, 123.3, 121.0, 120.1, 119.3, 110.1, 67.0, 36.1, 32.7, 25.2, 22.5, 21.8; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{26}\text{N}_4^+$ ($\text{M}+\text{Na}$) $^+$ 393.2055, found 393.2054.

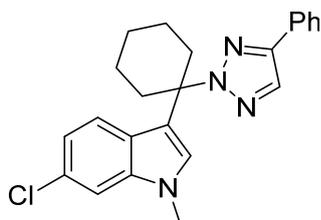
6-Fluoro-1-methyl-3-(1-(4-phenyl-2H-1,2,3-triazol-2-yl) cyclohexyl)-1H-indole (**4i**)



The reaction was conducted with 6-fluoro-1-methyl-1H-indole (**1i**, 29.8 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4i** as white solid (62.1 mg, 83% yield), mp = 123-124 $^{\circ}$ C.

1 H NMR (400 MHz, DMSO- d_6) δ 8.14 (q, J = 2.5, 2.0 Hz, 1H), 7.79 – 7.69 (m, 2H), 7.39 (td, J = 5.9, 3.2 Hz, 1H), 7.36 – 7.28 (m, 2H), 7.27 – 7.20 (m, 1H), 7.18 – 7.07 (m, 2H), 3.58 (q, J = 3.0, 2.3 Hz, 3H), 3.01 (t, J = 10.4 Hz, 2H), 2.21 (d, J = 12.8 Hz, 2H), 1.60 – 1.51 (m, 2H), 1.45 (s, 1H), 1.32 (s, 3H); 13 C NMR (101 MHz, DMSO- d_6) δ 158.0(d, J = 236.2 Hz), 146.5, 131.2, 130.9, 129.4, 128.7, 127.7, 127.6, 126.0, 122.0, 121.5 (d, J = 9.9 Hz), 119.7, 107.8 (d, J = 24.3 Hz), 96.8 (d, J = 25.9 Hz), 66.8, 36.1, 33.0, 25.1, 22.4; HRMS (ESI) m/z calcd for $C_{23}H_{23}FN_4^+$ ($M+Na$) $^+$ 397.1804, found 397.1811.

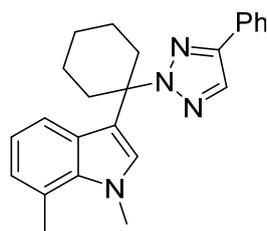
6-Chloro-1-methyl-3-(1-(4-phenyl-2H-1,2,3-triazol-2-yl) cyclohexyl)-1H-indole (**4j**)



The reaction was conducted with 6-chloro-1-methyl-1H-indole (**1j**, 33.0 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4j** as white solid (56.2 mg, 72% yield), mp = 154-155 $^{\circ}$ C.

1 H NMR (400 MHz, DMSO- d_6) δ 8.14 (s, 1H), 7.76 – 7.68 (m, 2H), 7.43 – 7.37 (m, 2H), 7.33 (t, J = 7.6 Hz, 2H), 7.27 – 7.17 (m, 2H), 6.82 (dd, J = 8.6, 2.0 Hz, 1H), 3.60 (s, 3H), 3.05 – 2.92 (m, 2H), 2.21 (d, J = 11.5 Hz, 2H), 1.56 (s, 2H), 1.45 (s, 1H), 1.31 (s, 3H); 13 C NMR (101 MHz, DMSO- d_6) δ 146.6, 138.0, 131.3, 130.9, 129.5, 128.8, 128.2, 126.7, 126.1, 124.1, 121.7, 119.7, 119.6, 110.4, 66.8, 36.1, 33.1, 25.1, 22.5; HRMS (ESI) m/z calcd for $C_{23}H_{23}ClN_4^+$ ($M+Na$) $^+$ 413.1509, found 413.1508.

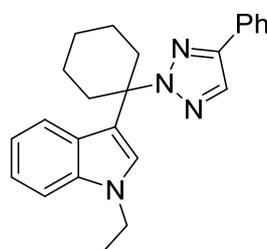
1,7-Dimethyl-3-(1-(4-phenyl-2H-1,2,3-triazol-2-yl) cyclohexyl)-1H-indole (4k)



The reaction was conducted with 1,7-dimethyl-1H-indole (**1k**, 29.0 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4k** as yellow oil (44.4 mg, 60% yield).

^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 8.13 (d, $J = 1.2$ Hz, 1H), 7.77 – 7.68 (m, 2H), 7.36 – 7.31 (m, 2H), 7.27 – 7.18 (m, 2H), 7.05 (s, 1H), 6.62 (s, 1H), 3.89 (s, 3H), 2.97 (d, $J = 13.6$ Hz, 2H), 2.55 (s, 3H), 2.29 – 2.20 (m, 2H), 1.57 (s, 2H), 1.46 (s, 1H), 1.33 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 146.4, 136.0, 131.0, 129.4, 128.7, 126.5, 126.0, 124.0, 121.9, 119.4, 118.8, 118.4, 67.0, 36.9, 36.1, 25.2, 22.5, 19.8; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{26}\text{N}_4^+$ ($\text{M}+\text{Na}$) $^+$ 393.2055, found 393.2081.

1-Ethyl-3-(1-(4-phenyl-2H-1,2,3-triazol-2-yl) cyclohexyl)-1H-indole (4l)

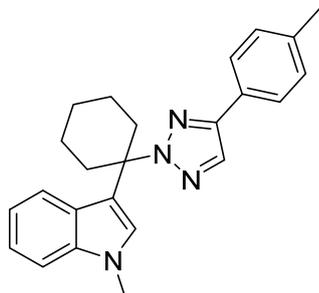


The reaction was conducted with 1-ethyl-1H-indole (**1l**, 29.0 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4l** as yellow oil (60.0 mg, 81% yield).

^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 8.14 (s, 1H), 7.73 (d, $J = 7.5$ Hz, 2H), 7.42 (d, $J = 8.1$ Hz, 1H), 7.33 (t, $J = 7.5$ Hz, 2H), 7.28 – 7.19 (m, 3H), 6.94 (t, $J = 7.6$ Hz, 1H), 6.78 (t, $J = 7.6$ Hz, 1H), 4.02 (q, $J = 7.2$ Hz, 2H), 3.05 (d, $J = 13.5$ Hz, 2H), 2.22 (d, $J = 12.3$ Hz, 2H), 1.57 (s, 2H), 1.46 (s, 1H), 1.32 (s, 3H), 1.21 (t, $J = 7.2$ Hz, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 146.5, 136.5, 131.1, 131.0, 129.5, 128.7, 126.1, 125.5, 125.4, 121.5, 120.6, 119.7, 119.2, 110.4, 67.1, 40.7, 36.2, 25.2, 22.5, 15.9; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{26}\text{N}_4^+$ ($\text{M}+\text{Na}$) $^+$ 393.2055, found

393.2073.

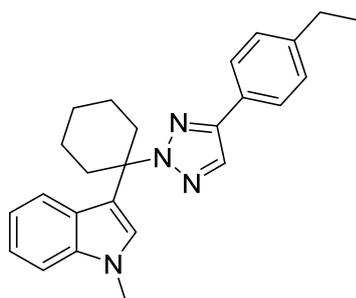
1-Methyl-3-(1-(4-(p-tolyl)-2H-1,2,3-triazol-2-yl) cyclohexyl)-1H-indole (4m)



The reaction was conducted with 1-methyl-1H-indole (**1a**, 26.2 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-(p-tolyl)-1-tosyl-1H-1,2,3-triazole (**3m**, 62.6 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4l** as yellow oil (45.9 mg, 62% yield).

^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 8.08 (s, 1H), 7.63 – 7.59 (m, 2H), 7.42 (d, $J = 8.1$ Hz, 1H), 7.24 (d, $J = 8.2$ Hz, 1H), 7.14 (d, $J = 8.2$ Hz, 3H), 6.96 (t, $J = 7.6$ Hz, 1H), 6.79 (t, $J = 7.6$ Hz, 1H), 3.61 (s, 3H), 3.05 – 2.96 (m, 2H), 2.28 (d, $J = 23.1$ Hz, 2H), 2.21 (s, 3H), 1.56 (d, $J = 10.7$ Hz, 2H), 1.46 (s, 1H), 1.33 (d, $J = 8.1$ Hz, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 146.5, 138.0, 137.4, 130.8, 130.0, 125.9, 121.5, 120.4, 119.2, 110.4, 66.9, 36.1, 32.8, 25.2, 22.5, 21.3; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{26}\text{N}_4^+$ ($\text{M}+\text{Na}$) $^+$ 393.2055, found 393.2056.

3-(1-(4-(4-Ethylphenyl)-2H-1,2,3-triazol-2-yl) cyclohexyl)-1-methyl-1H-indole (4n)

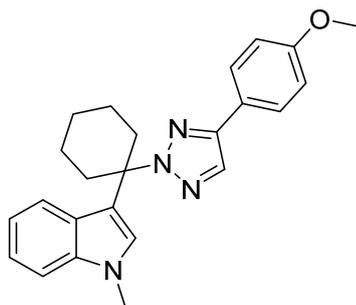


The reaction was conducted with 1-methyl-1H-indole (**1a**, 26.2 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-(4-ethylphenyl)-1-tosyl-1H-1,2,3-triazole (**3n**, 65.4 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4l** as yellow oil (41.5 mg, 54% yield).

^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 8.09 (s, 1H), 7.64 (d, $J = 8.0$ Hz, 2H), 7.42 (d, $J = 8.2$ Hz, 1H), 7.23 (d, $J = 8.2$ Hz, 1H), 7.17 – 7.10 (m, 3H), 6.96 (t, $J = 7.6$ Hz, 1H), 6.79 (t, $J = 7.5$ Hz, 1H), 3.60 (s, 3H), 3.06 – 2.95 (m,

2H), 2.50 (q, $J = 7.6$ Hz, 2H), 2.25 (t, $J = 11.7$ Hz, 2H), 1.61 – 1.52 (m, 2H), 1.46 (s, 1H), 1.32 (s, 3H), 1.07 (t, $J = 7.6$ Hz, 3H). ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 146.5, 144.4, 137.4, 130.8, 128.8, 128.5, 127.0, 126.0, 125.4, 121.5, 120.4, 119.5, 119.2, 110.4, 66.9, 36.2, 32.8, 28.5, 25.2, 22.5, 16.0. HRMS (ESI) m/z calcd for $\text{C}_{25}\text{H}_{28}\text{N}_4^+$ ($\text{M}+\text{Na}$) $^+$ 407.2212, found 407.2220.

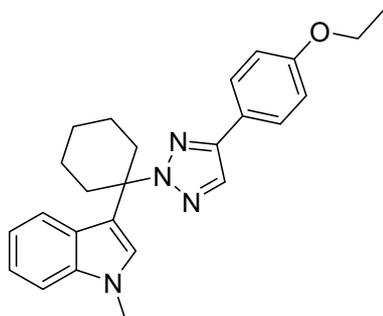
3-(1-(4-(4-Methoxyphenyl)-2H-1,2,3-triazol-2-yl) cyclohexyl)-1-methyl-1H-indole (4o)



The reaction was conducted with 1-methyl-1H-indole (**1a**, 26.2 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μL , 0.4 mmol) and 4-(4-methoxyphenyl)-1-tosyl-1H-1,2,3-triazole (**3o**, 65.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 10:1) to yield the desired product **4o** as yellow oil (35.5 mg, 46% yield).

^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 8.05 (s, 1H), 7.66 (d, $J = 8.8$ Hz, 2H), 7.43 (d, $J = 8.1$ Hz, 1H), 7.25 (d, $J = 8.3$ Hz, 1H), 7.13 (s, 1H), 6.97 (t, $J = 7.6$ Hz, 1H), 6.91 (d, $J = 8.4$ Hz, 2H), 6.80 (t, $J = 7.5$ Hz, 1H), 3.68 (s, 3H), 3.63 (s, 3H), 3.01 (d, $J = 13.5$ Hz, 2H), 2.25 (d, $J = 11.9$ Hz, 2H), 1.57 (d, $J = 10.4$ Hz, 2H), 1.47 (s, 1H), 1.35 (d, $J = 8.1$ Hz, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 146.4, 137.4, 131.6, 130.4, 127.4, 125.4, 123.6, 121.5, 120.8, 120.5, 119.8, 119.2, 114.9, 110.4, 66.8, 55.7, 36.2, 32.9, 25.2, 22.5; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{26}\text{N}_4\text{O}^+$ ($\text{M}+\text{Na}$) $^+$ 409.2004, found 409.2010.

3-(1-(4-(4-Ethoxyphenyl)-2H-1,2,3-triazol-2-yl) cyclohexyl)-1-methyl-1H-indole (4p)

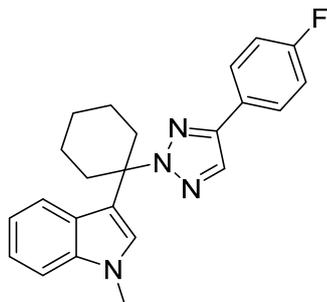


The reaction was conducted with 1-methyl-1H-indole (**1a**, 26.2 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μL , 0.4

mmol) and 4-(4-ethoxyphenyl)-1-tosyl-1H-1,2,3-triazole (**3p**, 68.6 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 10:1) to yield the desired product **4p** as white solid (44.0 mg, 55% yield), mp = 190-191 °C.

¹H NMR (400 MHz, DMSO-*d*₆) δ 8.09 (s, 1H), 7.64 (d, *J* = 8.0 Hz, 2H), 7.42 (d, *J* = 8.2 Hz, 1H), 7.23 (d, *J* = 8.2 Hz, 1H), 7.17 – 7.10 (m, 3H), 6.96 (t, *J* = 7.6 Hz, 1H), 6.79 (t, *J* = 7.5 Hz, 1H), 3.60 (s, 3H), 3.06 – 2.95 (m, 2H), 2.50 (q, *J* = 7.6 Hz, 2H), 2.25 (t, *J* = 11.7 Hz, 2H), 1.61 – 1.52 (m, 2H), 1.46 (s, 1H), 1.32 (s, 3H), 1.07 (t, *J* = 7.6 Hz, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 146.5, 144.4, 137.4, 130.8, 128.8, 128.5, 127.0, 126.0, 125.4, 121.5, 120.4, 119.5, 119.2, 110.4, 66.9, 36.2, 32.8, 28.5, 25.2, 22.5, 16.0; HRMS (ESI) *m/z* calcd for C₂₅H₂₈N₄O⁺ (M+Na)⁺ 423.2161, found 423.2173.

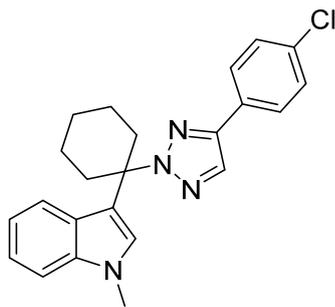
3-(1-(4-(4-Fluorophenyl)-2H-1,2,3-triazol-2-yl) cyclohexyl)-1-methyl-1H-indole (**4q**)



The reaction was conducted with 1-methyl-1H-indole (**1a**, 26.2 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μL, 0.4 mmol) and 4-(4-fluorophenyl)-1-tosyl-1H-1,2,3-triazole (**3q**, 63.4 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4q** as yellow oil (48.6 mg, 65% yield).

¹H NMR (400 MHz, DMSO-*d*₆) δ 8.20 (s, 1H), 7.85 (dd, *J* = 8.4, 5.5 Hz, 2H), 7.50 (d, *J* = 8.0 Hz, 1H), 7.32 (d, *J* = 8.3 Hz, 1H), 7.25 (td, *J* = 8.8, 1.6 Hz, 2H), 7.20 (s, 1H), 7.04 (t, *J* = 7.6 Hz, 1H), 6.88 (t, *J* = 7.6 Hz, 1H), 3.70 (s, 3H), 3.13 – 3.04 (m, 2H), 2.36 (q, *J* = 8.5 Hz, 2H), 1.68 – 1.63 (m, 2H), 1.54 (s, 1H), 1.42 (d, *J* = 8.0 Hz, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 161.2 (d, *J* = 245.8 Hz), 145.6, 137.4, 131.0, 130.5, 128.1 (d, *J* = 8.3 Hz), 127.5 (d, *J* = 3.1 Hz), 127.4, 127.0, 125.3, 121.5, 120.3, 119.2, 116.5, (d, *J* = 21.8 Hz), 110.4, 36.1, 32.8, 25.1, 22.5; HRMS (ESI) *m/z* calcd for C₂₃H₂₃FN₄⁺ (M+Na)⁺ 397.1804, found 397.1810.

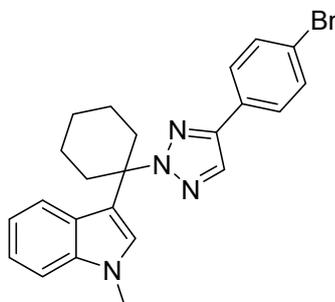
3-(1-(4-(4-Chlorophenyl)-2H-1,2,3-triazol-2-yl) cyclohexyl)-1-methyl-1H-indole (**4r**)



The reaction was conducted with 1-methyl-1H-indole (**1a**, 26.2 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-(4-chlorophenyl)-1-tosyl-1H-1,2,3-triazole (**3r**, 66.6 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4r** as white solid (65.5 mg, 84% yield), mp = 178-179 $^{\circ}$ C.

1 H NMR (400 MHz, DMSO- d_6) δ 8.21 – 8.14 (m, 1H), 7.75 (d, J = 8.4 Hz, 2H), 7.40 (t, J = 8.6 Hz, 3H), 7.23 (d, J = 8.2 Hz, 1H), 7.13 (s, 1H), 6.96 (t, J = 7.6 Hz, 1H), 6.79 (t, J = 7.6 Hz, 1H), 3.61 (s, 3H), 3.00 (d, J = 13.6 Hz, 2H), 2.26 (dt, J = 12.5, 6.5 Hz, 2H), 1.62 – 1.53 (m, 2H), 1.45 (s, 1H), 1.39 – 1.27 (m, 3H); 13 C NMR (101 MHz, DMSO- d_6) δ 145.4, 137.4, 133.2, 131.3, 129.5, 127.7, 127.1, 125.3, 121.6, 120.4, 119.8, 119.3, 110.4, 67.2, 36.1, 32.9, 25.2, 22.5; HRMS (ESI) m/z calcd for $C_{23}H_{23}ClN_4^+$ ($M+Na$) $^+$ 413.1509, found 413.1509.

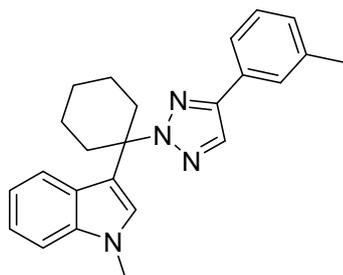
3-(1-(4-(4-Bromophenyl)-2H-1,2,3-triazol-2-yl)cyclohexyl)-1-methyl-1H-indole (**4s**)



The reaction was conducted with 1-methyl-1H-indole (**1a**, 26.2 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-(4-bromophenyl)-1-tosyl-1H-1,2,3-triazole (**3s**, 75.4 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4r** as white solid (61.6 mg, 71% yield), mp = 202-203 $^{\circ}$ C.

1 H NMR (400 MHz, DMSO- d_6) δ 8.23 (s, 1H), 7.73 (d, J = 8.5 Hz, 2H), 7.58 (d, J = 8.6 Hz, 2H), 6.84 (t, J = 7.6 Hz, 1H), 3.66 (s, 3H), 3.05 (d, J = 14.0 Hz, 2H), 2.32 (t, J = 12.2 Hz, 2H), 1.63 (s, 1H), 1.50 (s, 1H), 1.37 (s, 2H); 13 C NMR (101 MHz, DMSO- d_6) δ 145.5, 137.4, 132.4, 131.3, 130.2, 128.0, 127.1, 125.3, 121.7, 121.5, 120.3, 119.3, 119.2, 110.4, 67.2, 36.1, 32.9, 25.2, 22.4.

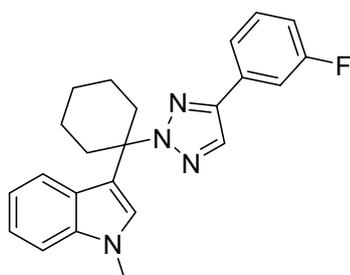
1-Methyl-3-(1-(4-(m-tolyl)-2H-1,2,3-triazol-2-yl) cyclohexyl)-1H-indole (4t)



The reaction was conducted with 1-methyl-1H-indole (**1a**, 26.2 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-(m-tolyl)-1-tosyl-1H-1,2,3-triazole (**3t**, 62.6 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4t** as yellow oil (44.4 mg, 60% yield).

^1H NMR (400 MHz, DMSO- d_6) δ 8.12 (s, 1H), 7.57 – 7.49 (m, 2H), 7.40 (d, J = 8.1 Hz, 1H), 7.25 – 7.18 (m, 2H), 7.12 (s, 1H), 7.04 (d, J = 7.6 Hz, 1H), 7.00 – 6.92 (m, 1H), 6.79 (t, J = 7.5 Hz, 1H), 3.61 (s, 3H), 3.07 – 2.94 (m, 2H), 2.23 (s, 5H), 1.63 – 1.53 (m, 2H), 1.51 – 1.44 (m, 1H), 1.33 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 146.6, 138.6, 137.4, 131.1, 130.9, 129.3, 127.0, 126.5, 125.3, 123.2, 121.5, 120.4, 119.5, 119.2, 110.4, 67.0, 36.2, 32.8, 25.2, 22.5, 21.5; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{26}\text{N}_4^+$ ($\text{M}+\text{Na}$) $^+$ 393.2055, found 393.2072.

3-(1-(4-(3-Fluorophenyl)-2H-1,2,3-triazol-2-yl) cyclohexyl)-1-methyl-1H-indole (4u)

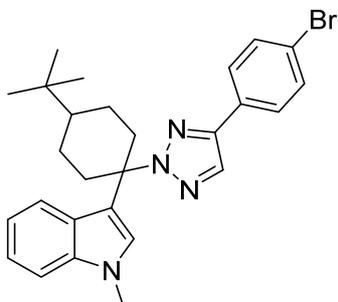


The reaction was conducted with 1-methyl-1H-indole (**1a**, 26.2 mg, 0.2 mmol), cyclohexanone (**2a**, 42 μ L, 0.4 mmol) and 4-(3-fluorophenyl)-1-tosyl-1H-1,2,3-triazole (**3u**, 63.4 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4u** as yellow oil (46.4 mg, 62% yield).

^1H NMR (400 MHz, DMSO- d_6) δ 8.22 (s, 1H), 7.59 (d, J = 7.9 Hz, 2H), 7.42 (d, J = 8.1 Hz, 1H), 7.24 (d, J = 8.3 Hz, 1H), 7.14 (s, 1H), 7.07 (t, J = 8.6 Hz, 1H), 6.99 – 6.94 (m, 1H), 6.83 – 6.77 (m, 1H), 3.61 (s, 3H), 3.00 (d, J = 13.5 Hz, 2H), 2.25 (d, J = 12.5 Hz, 2H), 1.57 (s, 2H), 1.45 (s, 1H), 1.33 (d, J = 7.6 Hz, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 161.9 (d, J = 244.2 Hz), 145.4, 137.4, 133.4 (d, J = 8.5 Hz), 131.6, 127.1, 125.3, 122.1,

122.0, 121.6, 120.3, 119.3, 115.5 (d, $J = 21.2$ Hz), 112.7 (d, $J = 22.9$ Hz), 110.4, 67.3, 36.1, 32.8, 25.2, 22.5;
HRMS (ESI) m/z calcd for $C_{23}H_{23}N_4^+$ ($M+Na$) $^+$ 397.1804, found 397.1814.

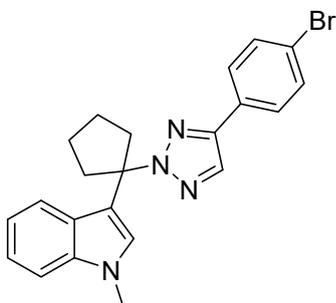
3-(1-(4-(4-Bromophenyl)-2H-1,2,3-triazol-2-yl)-4-(tert-butyl)cyclohexyl)-1-methyl-1H-indole (4v)



The reaction was conducted with 1-methyl-1H-indole (**1a**, 26.2 mg, 0.2 mmol), 4-(tert-butyl)cyclohexan-1-one (**2b**, 68 μ L, 0.4 mmol) and 4-(4-bromophenyl)-1-tosyl-1H-1,2,3-triazole (**3v**, 75.4 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **4v** as white solid (53.9 mg, 55% yield), mp = 209-210 $^{\circ}$ C.

1 H NMR (400 MHz, DMSO- d_6) δ 8.20 (s, 1H), 7.69 (d, $J = 8.5$ Hz, 2H), 7.54 (d, $J = 8.6$ Hz, 2H), 7.38 (d, $J = 8.1$ Hz, 1H), 7.23 (d, $J = 8.2$ Hz, 1H), 7.06 (s, 1H), 6.99-6.92 (m, 1H), 6.78 (dd, $J = 8.3, 7.1$ Hz, 1H), 3.60 (s, 3H), 2.07 (t, $J = 13.1$ Hz, 2H), 1.65 (d, $J = 12.8$ Hz, 2H), 1.15 (d, $J = 14.1$ Hz, 2H), 0.99 (q, $J = 12.7$ Hz, 2H), 0.83-0.69 (m, 1H), 0.65 (s, 9H); 13 C NMR (101 MHz, DMSO- d_6) δ 145.5, 137.4, 132.4, 131.3, 130.2, 128.0, 126.3, 125.3, 121.8, 121.6, 120.2, 120.2, 119.2, 110.4, 66.7, 46.6, 36.4, 32.8, 32.6, 27.7, 23.2; HRMS (ESI) m/z calcd for $C_{27}H_{31}BrN_4^+$ ($M+Na$) $^+$ 513.1630, found 513.1626.

3-(1-(4-(4-Bromophenyl)-2H-1,2,3-triazol-2-yl)cyclopentyl)-1-methyl-1H-indole (4w)

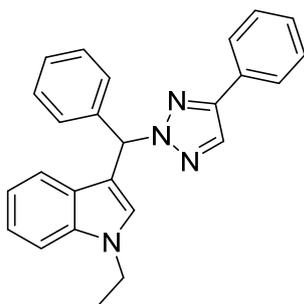


The reaction was conducted with 1-methyl-1H-indole (**1a**, 26.2 mg, 0.2 mmol), cyclopentanone (**2c**, 36 μ L, 0.4 mmol) and 4-(4-bromophenyl)-1-tosyl-1H-1,2,3-triazole (**3w**, 75.4 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired

product **4w** as yellow oil (27.7 mg, 33% yield).

^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 8.12 (s, 1H), 7.65 (dd, $J = 9.0, 2.4$ Hz, 2H), 7.52 (dd, $J = 8.5, 1.3$ Hz, 2H), 7.40 – 7.30 (m, 2H), 7.25 (d, $J = 8.2$ Hz, 1H), 6.98 (t, $J = 7.6$ Hz, 1H), 6.82 (t, $J = 7.5$ Hz, 1H), 3.63 (s, 3H), 3.14 (d, $J = 5.7$ Hz, 2H), 2.39 – 2.29 (m, 2H), 1.74 (s, 2H), 1.54 (d, $J = 7.2$ Hz, 2H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 145.7, 137.5, 132.4, 131.5, 130.2, 128.0, 127.5, 126.0, 121.8, 121.7, 120.2, 119.4, 117.1, 110.4, 75.3, 38.3, 32.9, 23.0.

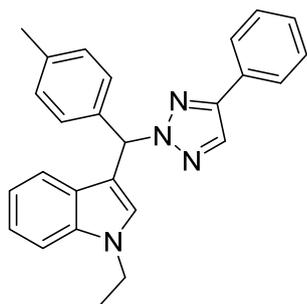
1-Ethyl-3-(phenyl(4-phenyl-2H-1,2,3-triazol-2-yl) methyl)-1H-indole (**5a**)



The reaction was conducted with 1-ethyl-1H-indole (**1b**, 29 μL , 0.2 mmol), benzaldehyde (**2d**, 40 μL , 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **5a** as white solid (51.4 mg, 68% yield), mp = 160-161 $^{\circ}\text{C}$.

^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 8.29 (s, 1H), 7.82 (d, $J = 7.5$ Hz, 2H), 7.46 – 7.39 (m, 6H), 7.35 (dt, $J = 13.8, 7.3$ Hz, 4H), 7.24 (d, $J = 8.0$ Hz, 1H), 7.16 – 7.08 (m, 2H), 6.95 (t, $J = 7.5$ Hz, 1H), 3.75 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 147.3, 139.7, 137.3, 131.9, 130.5, 130.0, 129.4, 128.9, 128.9, 128.3, 127.9, 126.6, 126.1, 122.1, 119.7, 119.4, 112.8, 110.4, 65.8, 32.9; HRMS (ESI) m/z calcd for $\text{C}_{25}\text{H}_{22}\text{N}_4^+$ ($\text{M}+\text{Na}$) $^+$ 401.1742, found 401.1735.

1-Ethyl-3-((4-phenyl-2H-1,2,3-triazol-2-yl) (p-tolyl) methyl)-1H-indole (**5b**)

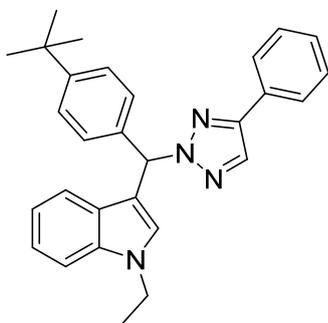


The reaction was conducted with 1-ethyl-1H-indole (**1b**, 29 μL , 0.2 mmol), 4-methylbenzaldehyde (**2e**, 48 μL ,

0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **5b** as white solid (44.7 mg, 57% yield), mp = 161-162 °C.

¹H NMR (400 MHz, DMSO-*d*₆) δ 8.18 (s, 1H), 7.72 (dd, *J* = 8.2, 1.4 Hz, 2H), 7.38 – 7.31 (m, 3H), 7.27 – 7.20 (m, 4H), 7.13 (d, *J* = 8.0 Hz, 1H), 7.10 – 7.06 (m, 3H), 7.03 (t, *J* = 7.1 Hz, 1H), 4.08 (q, *J* = 7.2 Hz, 2H), 2.18 (s, 3H), 1.20 (t, *J* = 7.1 Hz, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 147.3, 137.7, 136.8, 136.4, 131.9, 130.6, 129.6, 129.5, 129.0, 128.4, 128.0, 126.8, 126.1, 122.1, 119.7, 119.7, 113.1, 110.5, 65.9, 40.9, 21.2, 16.0; HRMS (ESI) *m/z* calcd for C₂₆H₂₄N₄⁺ (M+Na)⁺ 415.1899, found 415.1909.

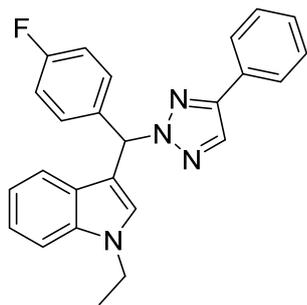
3-((4-(tert-Butyl) phenyl) (4-phenyl-2H-1,2,3-triazol-2-yl) methyl)-1-ethyl-1H-indole (**5c**)



The reaction was conducted with 1-ethyl-1H-indole (**1b**, 29 μL, 0.2 mmol), 4-(tert-butyl) benzaldehyde (**2f**, 67 μL, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **5c** as white solid (26.0 mg, 30% yield), mp = 173-174 °C.

¹H NMR (400 MHz, DMSO-*d*₆) δ 8.18 (s, 1H), 7.72 (d, *J* = 7.6 Hz, 2H), 7.34 (q, *J* = 7.8 Hz, 3H), 7.27 (d, *J* = 9.2 Hz, 5H), 7.19 (d, *J* = 8.0 Hz, 1H), 7.13 (s, 1H), 7.03 (t, *J* = 7.6 Hz, 1H), 6.85 (t, *J* = 7.5 Hz, 1H), 4.08 (q, *J* = 7.2 Hz, 2H), 1.20 (t, *J* = 7.2 Hz, 3H), 1.14 (s, 9H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 150.8, 147.3, 136.8, 136.4, 131.9, 130.6, 129.5, 129.0, 128.3, 127.8, 126.1, 125.8, 122.1, 119.7, 112.9, 110.5, 65.8, 40.9, 34.8, 31.6, 16.0; HRMS (ESI) *m/z* calcd for C₂₉H₃₀N₄⁺ (M+Na)⁺ 457.2368, found 457.2377.

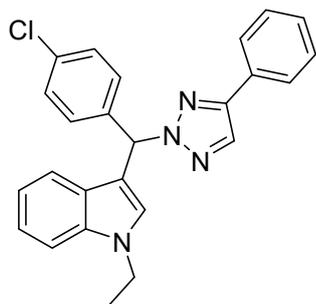
1-Ethyl-3-((4-fluorophenyl) (4-phenyl-2H-1,2,3-triazol-2-yl) methyl)-1H-indole (**5d**)



The reaction was conducted with 1-ethyl-1H-indole (**1b**, 29 μ L, 0.2 mmol), 4-fluorobenzaldehyde (**2g**, 44 μ L, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **5d** as white solid (38.0 mg, 48% yield), m.p. = 178-179 $^{\circ}$ C.

1 H NMR (400 MHz, DMSO- d_6) δ 8.22 (s, 1H), 7.79 – 7.70 (m, 2H), 7.39 (dd, J = 16.5, 8.5, 3.9 Hz, 5H), 7.28 (d, J = 7.2 Hz, 1H), 7.17 – 7.11 (m, 3H), 7.09 (s, 1H), 7.04 (d, J = 7.7 Hz, 1H), 6.87 (t, J = 7.5 Hz, 1H), 4.10 (q, J = 7.1 Hz, 2H), 1.23 (t, J = 7.2 Hz, 3H); 13 C NMR (101 MHz, DMSO- d_6) δ 161.0(d, J = 245.1 Hz), 147.4, 145.1, 136.4, 135.9, 132.1, 130.2(d, J = 8.4 Hz), 129.5, 129.0, 128.3, 126.1, 122.1, 119.7(d, J = 11.1 Hz), 116.2, 115.9(d, J = 21.6 Hz), 112.9, 110.6, 65.2, 40.9, 16.0; HRMS (ESI) m/z calcd for $C_{25}H_{21}FN_4^+$ ($M+Na$) $^+$ 419.1648, found 419.1660.

3-((4-Chlorophenyl)(4-phenyl-2H-1,2,3-triazol-2-yl)methyl)-1-ethyl-1H-indole (**5e**)

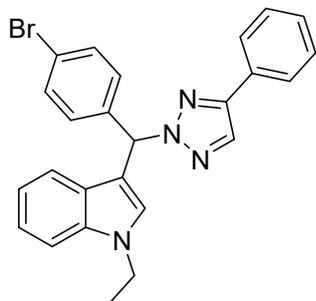


The reaction was conducted with 1-ethyl-1H-indole (**1b**, 29 μ L, 0.2 mmol), 4-chlorobenzaldehyde (**2h**, 56.0 mg, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **5e** as white solid (42.8 mg, 52% yield), m.p. = 183-184 $^{\circ}$ C.

1 H NMR (400 MHz, DMSO- d_6) δ 8.22 (s, 1H), 7.75 – 7.70 (m, 2H), 7.35 (d, J = 6.1 Hz, 7H), 7.27 – 7.23 (m, 1H), 7.15 (d, J = 8.0 Hz, 1H), 7.10 (s, 1H), 7.04 (t, J = 7.6 Hz, 1H), 6.86 (t, J = 7.5 Hz, 1H), 4.08 (q, J = 7.2 Hz, 2H), 1.21 (t, J = 7.2 Hz, 3H); 13 C NMR (101 MHz, DMSO- d_6) δ 147.5, 138.7, 136.4, 133.1, 132.1, 130.5, 129.9,

129.5, 129.0, 128.5, 126.7, 126.2, 122.2, 119.8, 112.6, 110.6, 65.2, 40.9, 40.7, 16.0, 16.0; HRMS (ESI) m/z calcd for $C_{25}H_{21}ClN_4^+$ ($M+Na$) $^+$ 435.1352, found 435.1346.

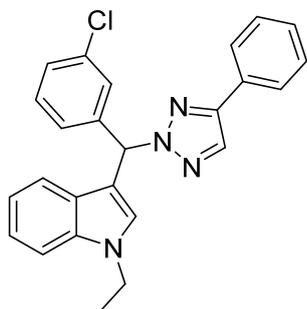
3-((4-Bromophenyl) (4-phenyl-2H-1,2,3-triazol-2-yl) methyl) -1-ethyl-1H-indole (5f)



The reaction was conducted with 1-ethyl-1H-indole (**1b**, 29 μ L, 0.2 mmol), 4-bromobenzaldehyde (**2i**, 73.6 mg, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **5f** as white solid (42.8 mg, 47% yield), m.p. = 200-201 $^{\circ}$ C.

1H NMR (400 MHz, $DMSO-d_6$) δ 8.22 (s, 1H), 7.75 – 7.70 (m, 2H), 7.49 (d, J = 8.5 Hz, 2H), 7.36 – 7.33 (m, 3H), 7.27 (d, J = 8.5 Hz, 3H), 7.15 (d, J = 8.0 Hz, 1H), 7.11 (s, 1H), 7.04 (t, J = 7.3 Hz, 1H), 6.86 (t, J = 7.5 Hz, 1H), 4.08 (q, J = 7.2 Hz, 2H), 1.21 (t, J = 7.2 Hz, 3H); ^{13}C NMR (101 MHz, $DMSO-d_6$) δ 147.5, 139.1, 136.4, 132.1, 132.0, 130.5, 130.2, 129.5, 129.0, 128.5, 126.7, 126.1, 122.1, 121.7, 119.8, 112.5, 110.6, 65.3, 40.9, 15.9; HRMS (ESI) m/z calcd for $C_{25}H_{21}BrN_4^+$ ($M+Na$) $^+$ 479.0847, found 479.0854.

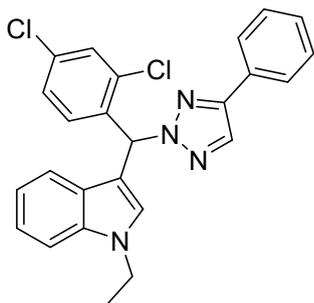
3-((3-Chlorophenyl) (4-phenyl-2H-1,2,3-triazol-2-yl) methyl) -1-ethyl-1H-indole (5g)



The reaction was conducted with 1-ethyl-1H-indole (**1b**, 29 μ L, 0.2 mmol), 3-chlorobenzaldehyde (**2j**, 56.0 mg, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **5g** as white solid (43.6 mg, 53% yield), m.p. = 183-184 $^{\circ}$ C.

^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 8.24 (s, 1H), 7.73 (d, $J = 7.7$ Hz, 2H), 7.40 – 7.31 (m, 7H), 7.20 – 7.12 (m, 3H), 7.03 (d, $J = 7.8$ Hz, 1H), 6.87 (t, $J = 7.5$ Hz, 1H), 4.10 (q, $J = 7.2$ Hz, 2H), 1.21 (t, $J = 7.2$ Hz, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 147.5, 142.1, 136.4, 133.6, 132.3, 131.0, 130.4, 129.5, 129.1, 128.5, 128.5, 127.8, 126.7, 126.6, 126.1, 122.2, 119.8, 119.6, 112.3, 110.6, 65.2, 40.9, 16.0; HRMS (ESI) m/z calcd for $\text{C}_{25}\text{H}_{21}\text{ClN}_4^+$ ($\text{M}+\text{Na}$) $^+$ 435.1352, found 435.1364.

3-((2,4-Dichlorophenyl) (4-phenyl-2H-1,2,3-triazol-2-yl) methyl) -1-ethyl-1H-indole (**5h**)

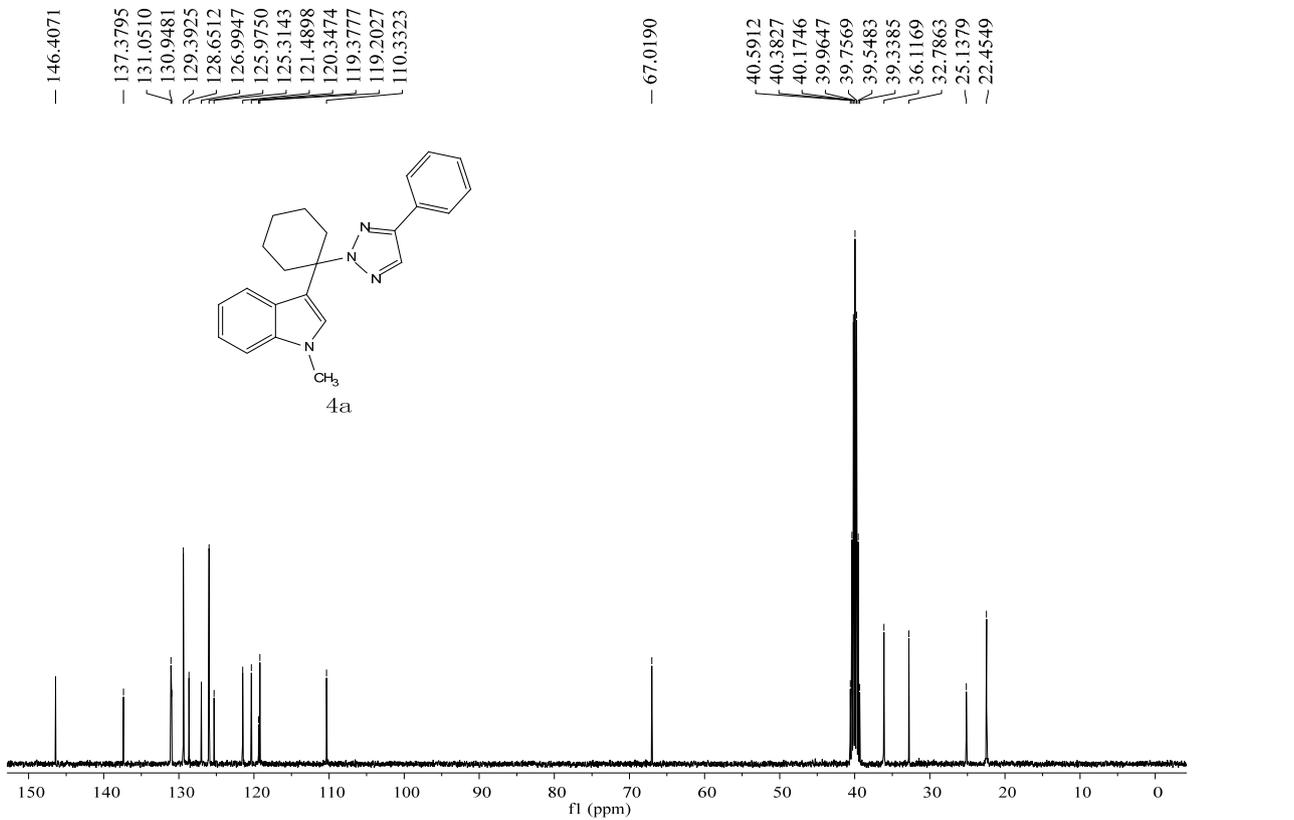
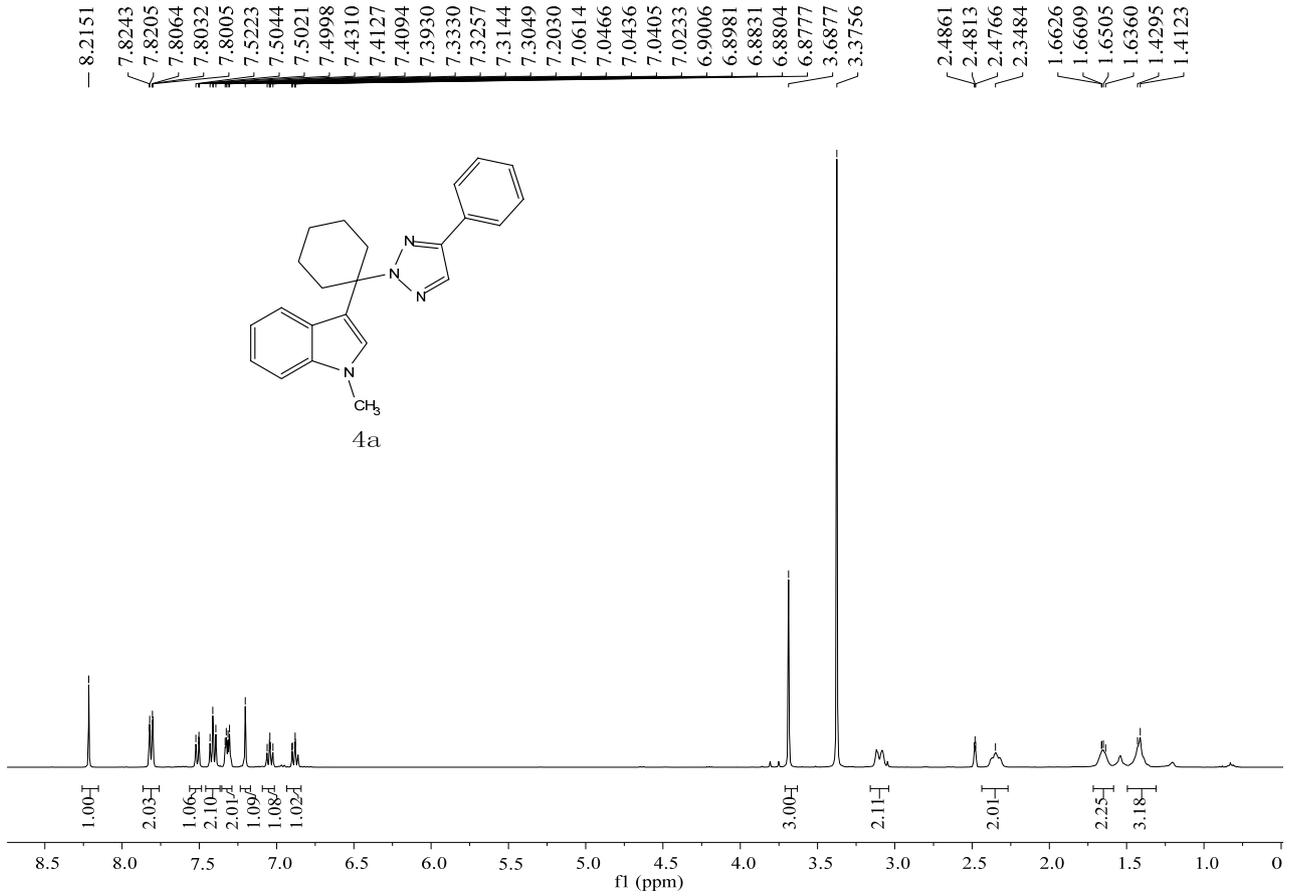


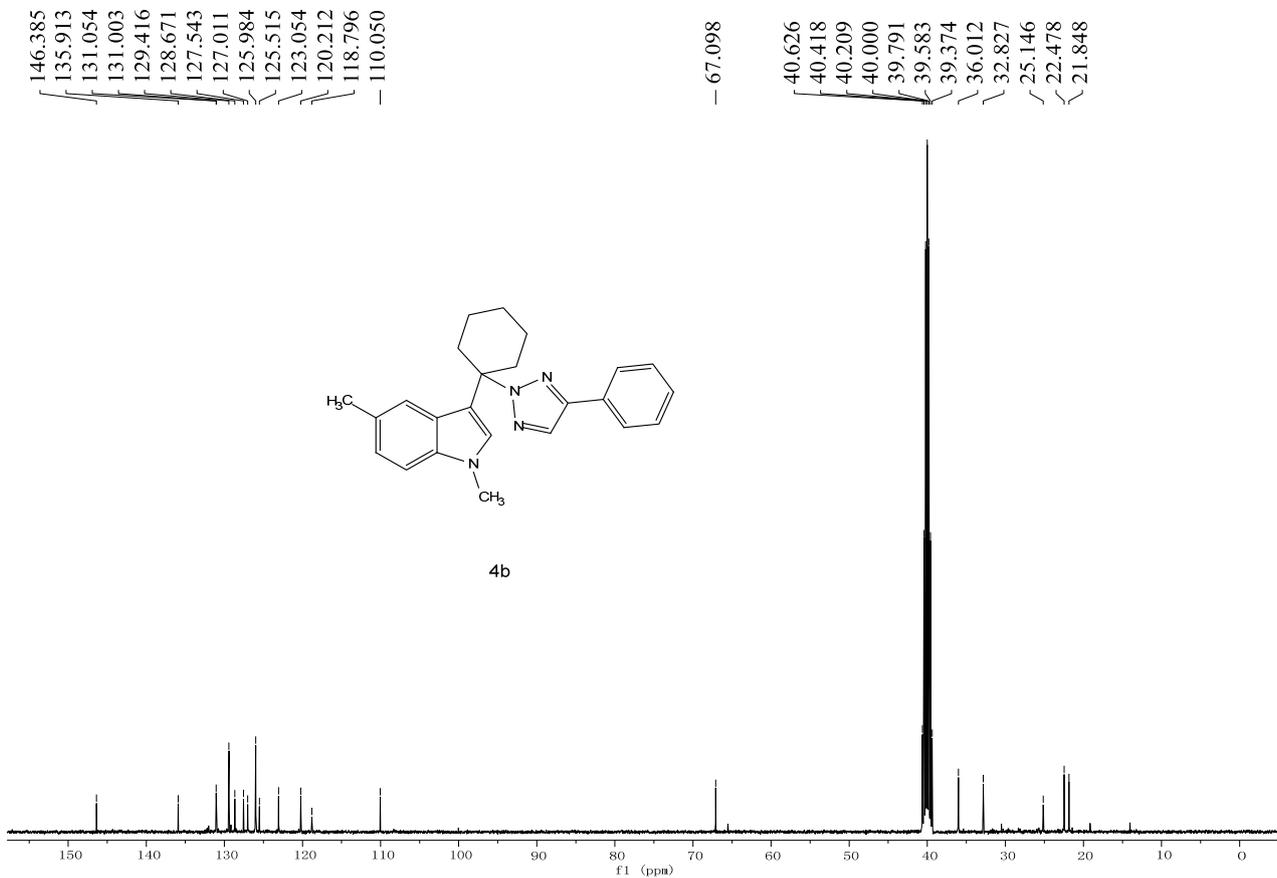
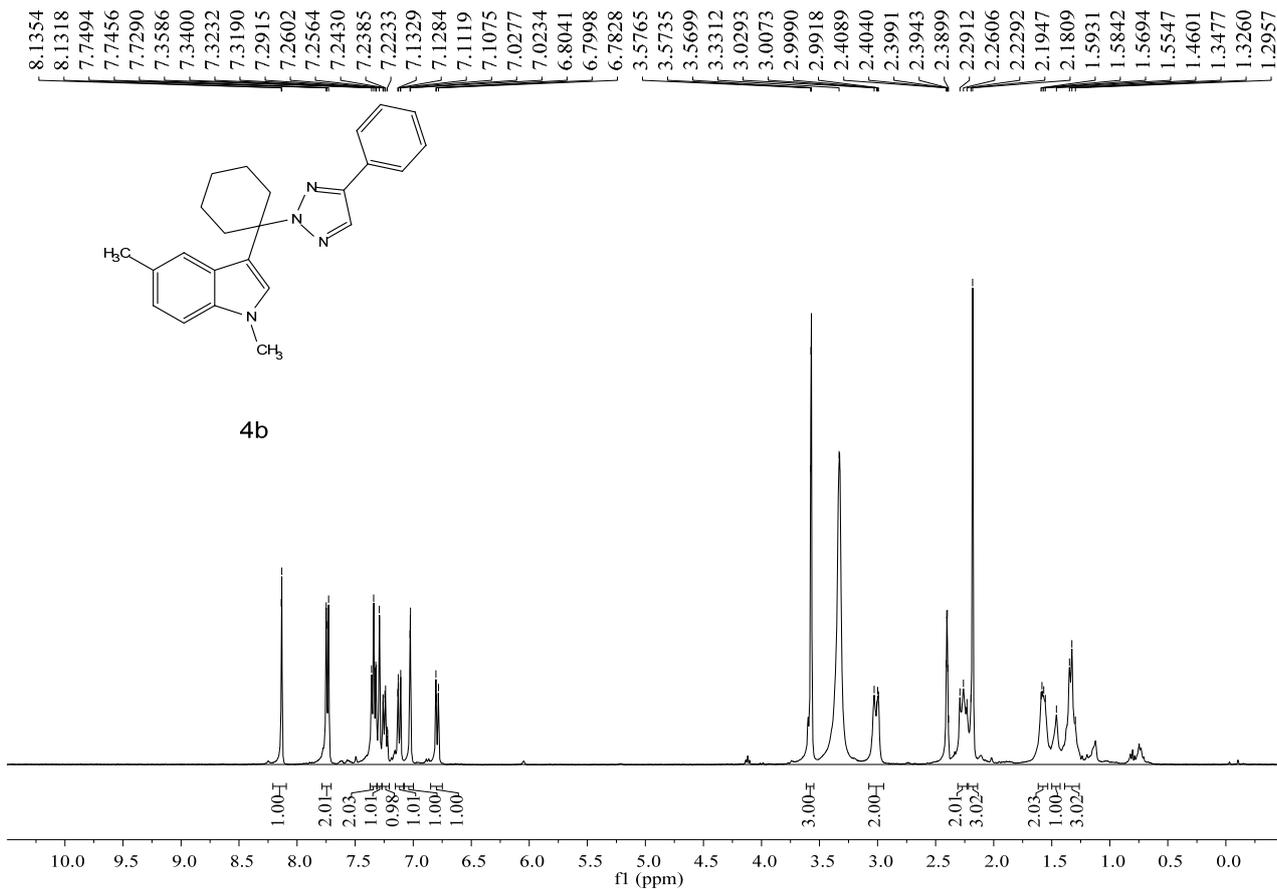
The reaction was conducted with 1-ethyl-1H-indole (**1b**, 29 μL , 0.2 mmol), 2,4-dichlorobenzaldehyde (**2k**, 69.6 mg, 0.4 mmol) and 4-phenyl-1-tosyl-1H-1,2,3-triazole (**3a**, 59.8 mg, 0.2 mmol). The residue was purified by aluminum oxide (neutral) (200-300 mesh) column (petroleum ether/ethyl acetate = 20:1) to yield the desired product **5h** as white solid (49.1 mg, 55% yield), m.p. = 220-221 $^\circ\text{C}$. HRMS (ESI) m/z calcd for $\text{C}_{25}\text{H}_{20}\text{Cl}_2\text{N}_4^+$ ($\text{M}+\text{Na}$) $^+$ 469.0963, found 469.0967.

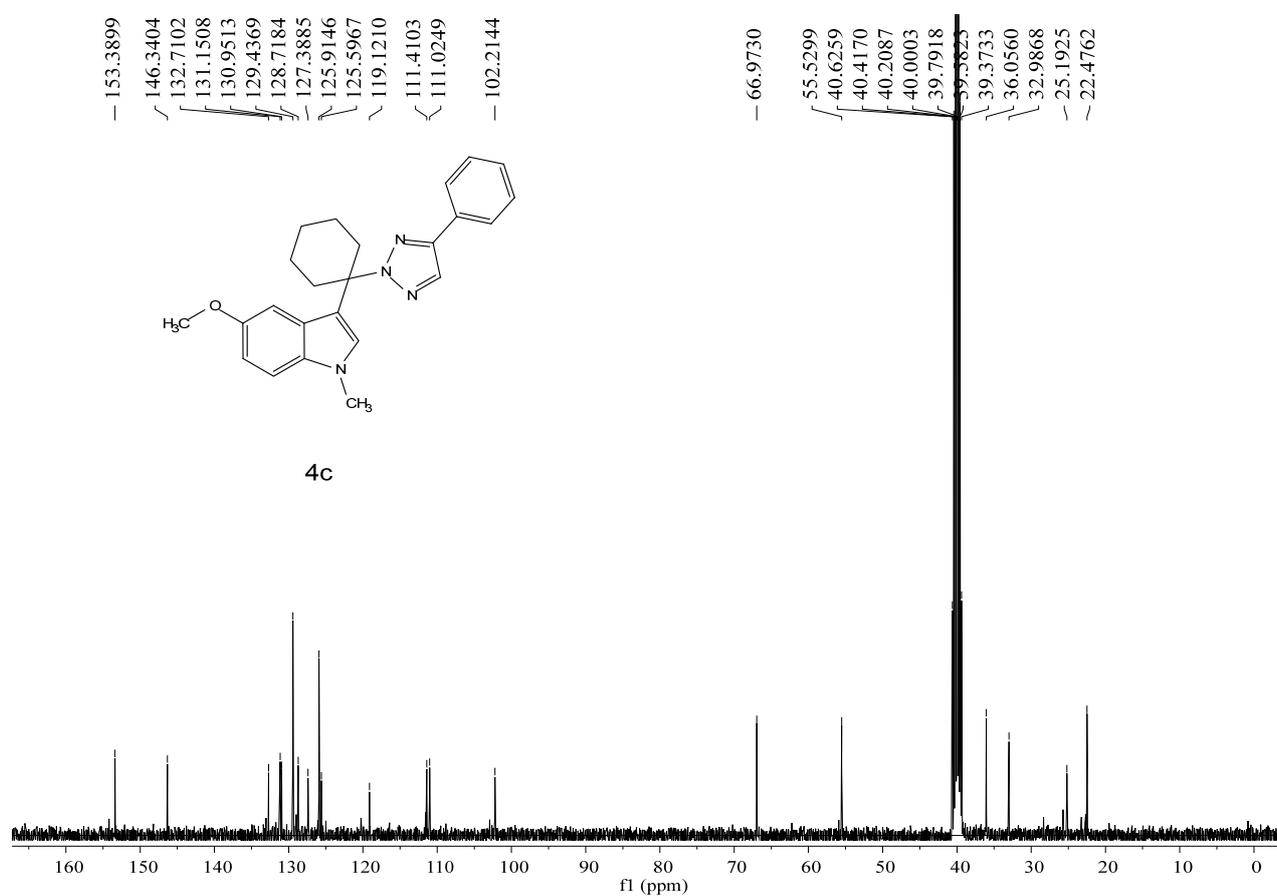
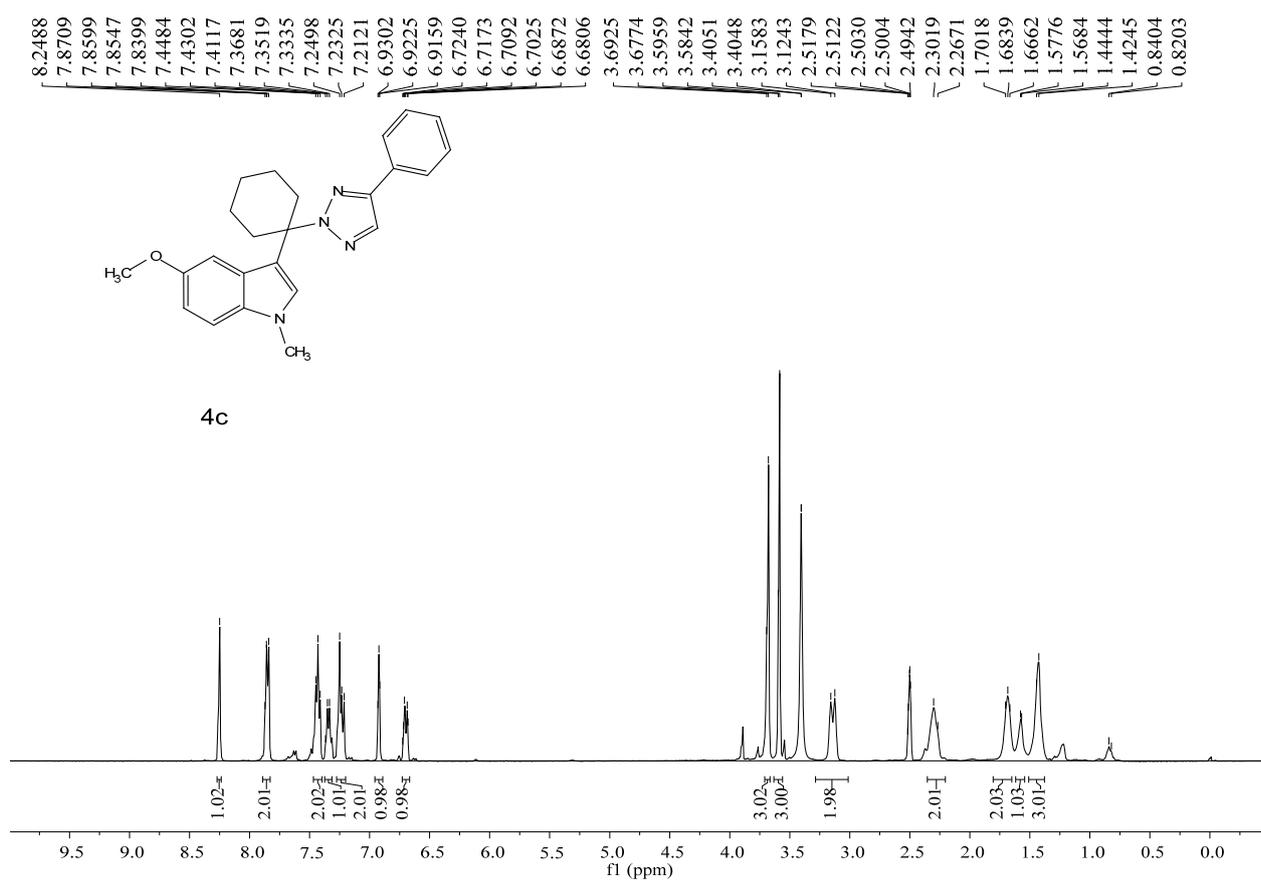
4. References

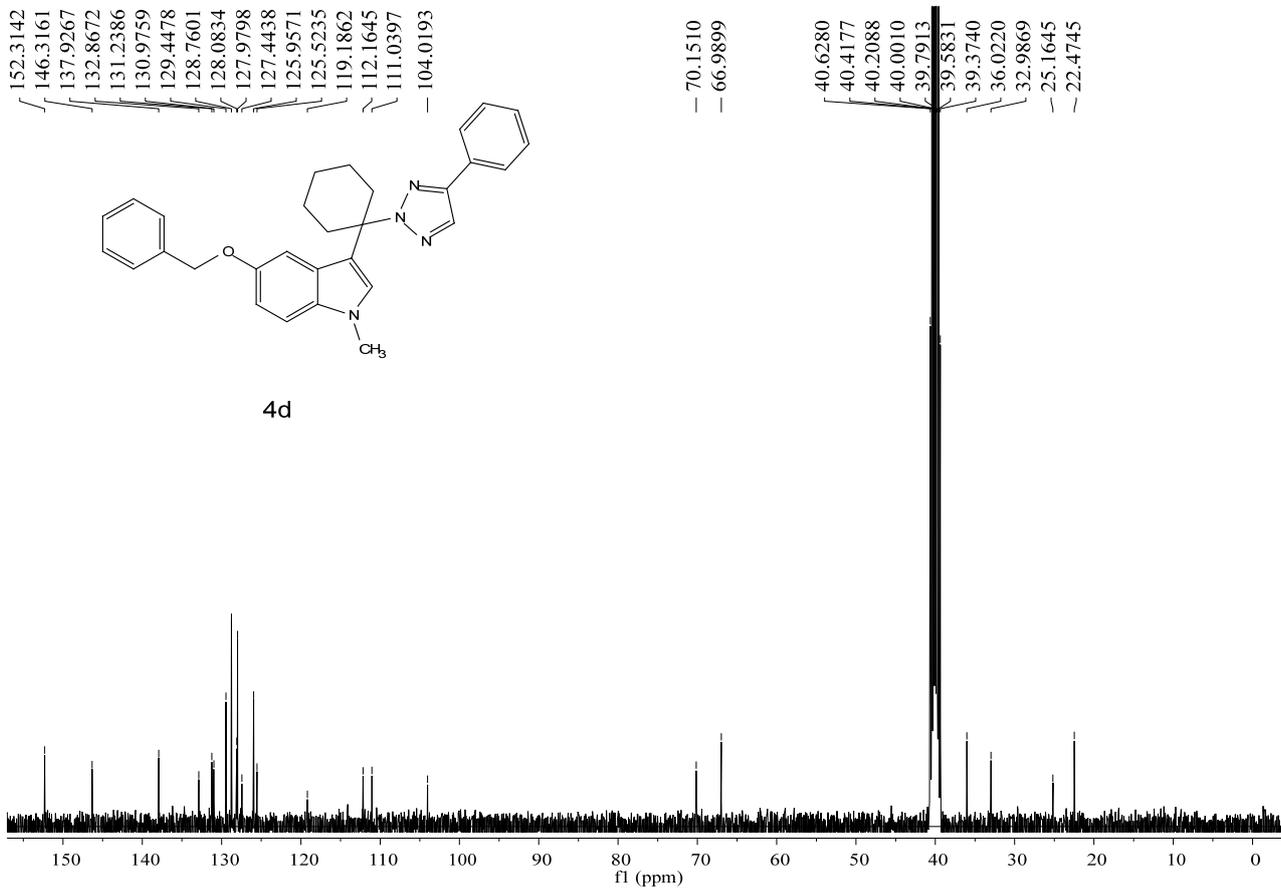
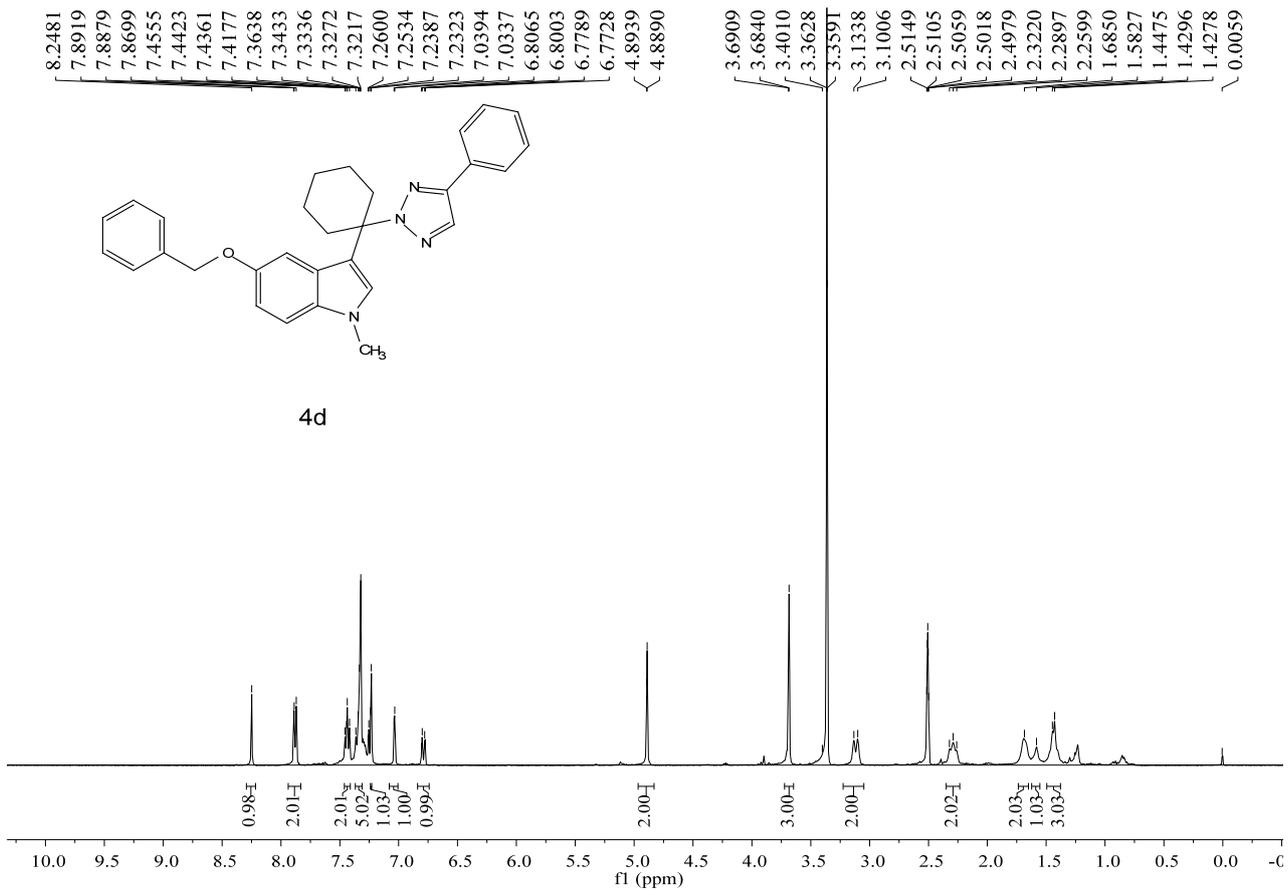
1 Y. T. Liu, X. Y. Wang, J. M. Xu, Q. Zhang, Y. Zhao and Y. F. Hu, *Tetrahedron.*, 2011, **67**, 6294.

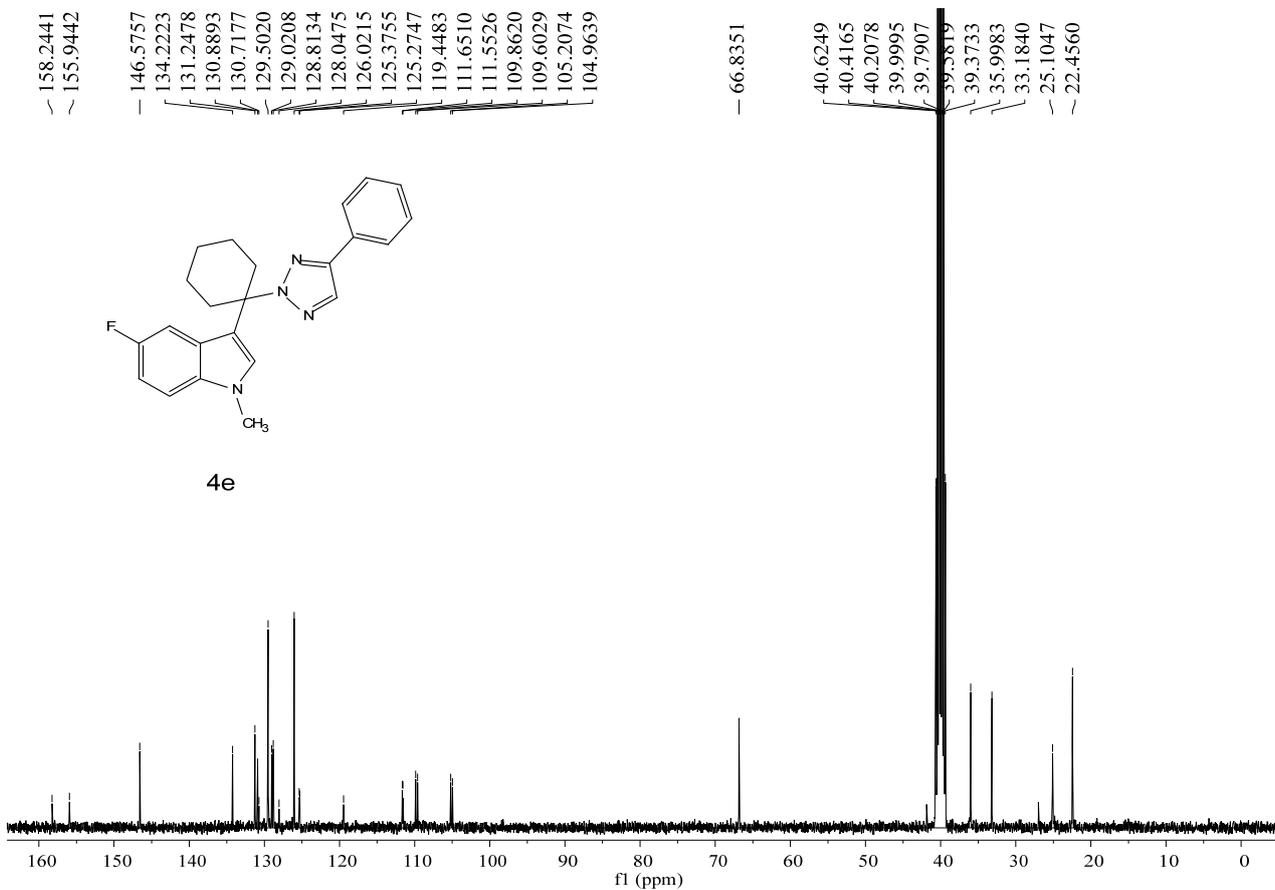
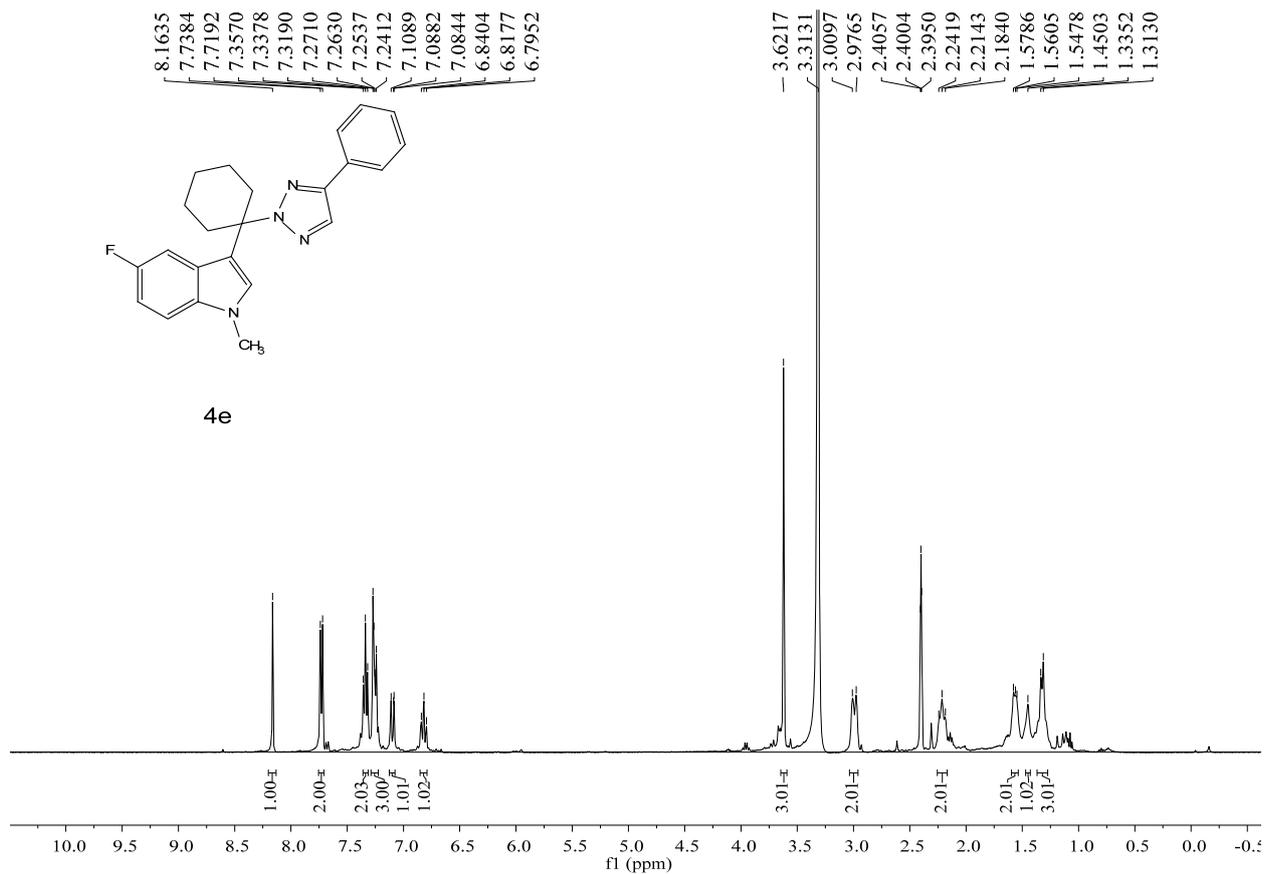
5. NMR spectra for products

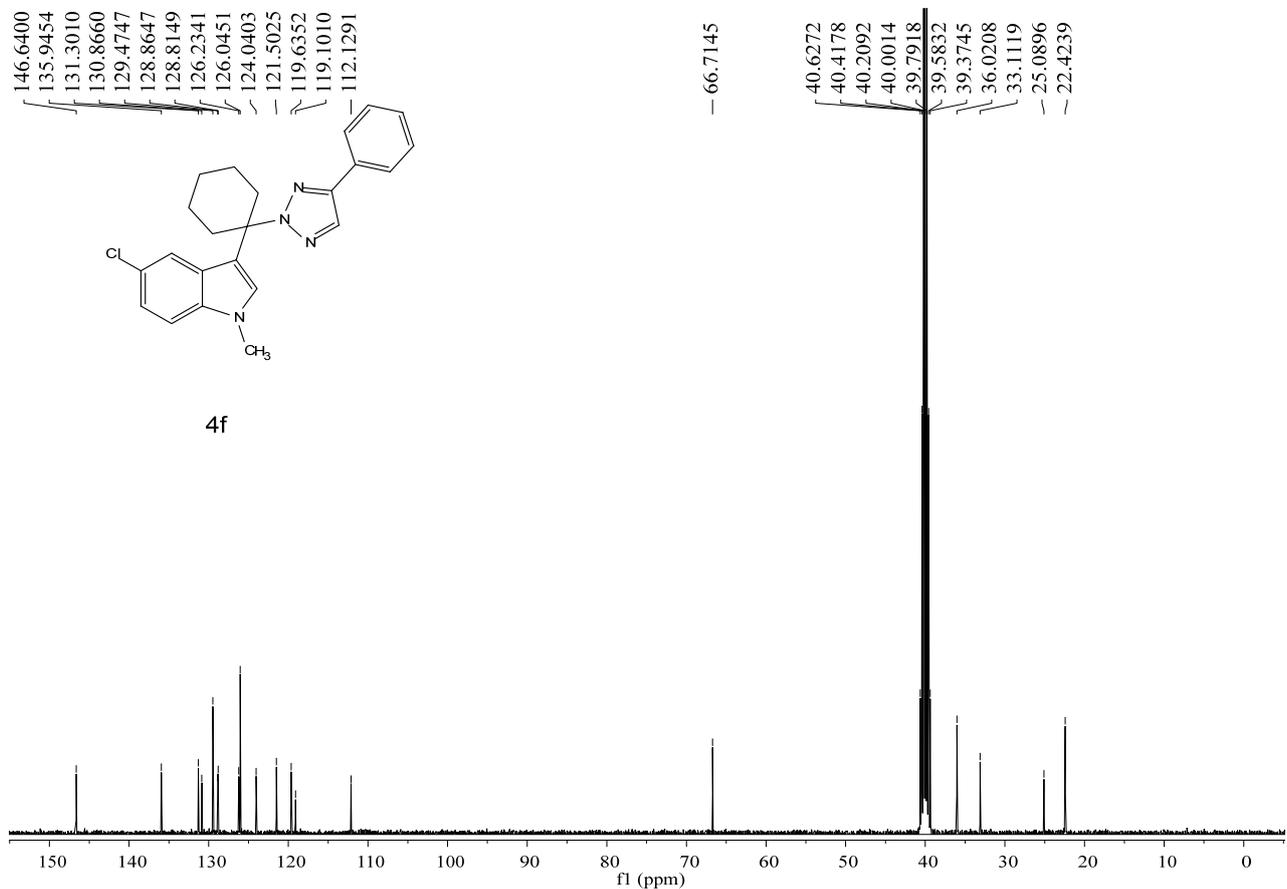
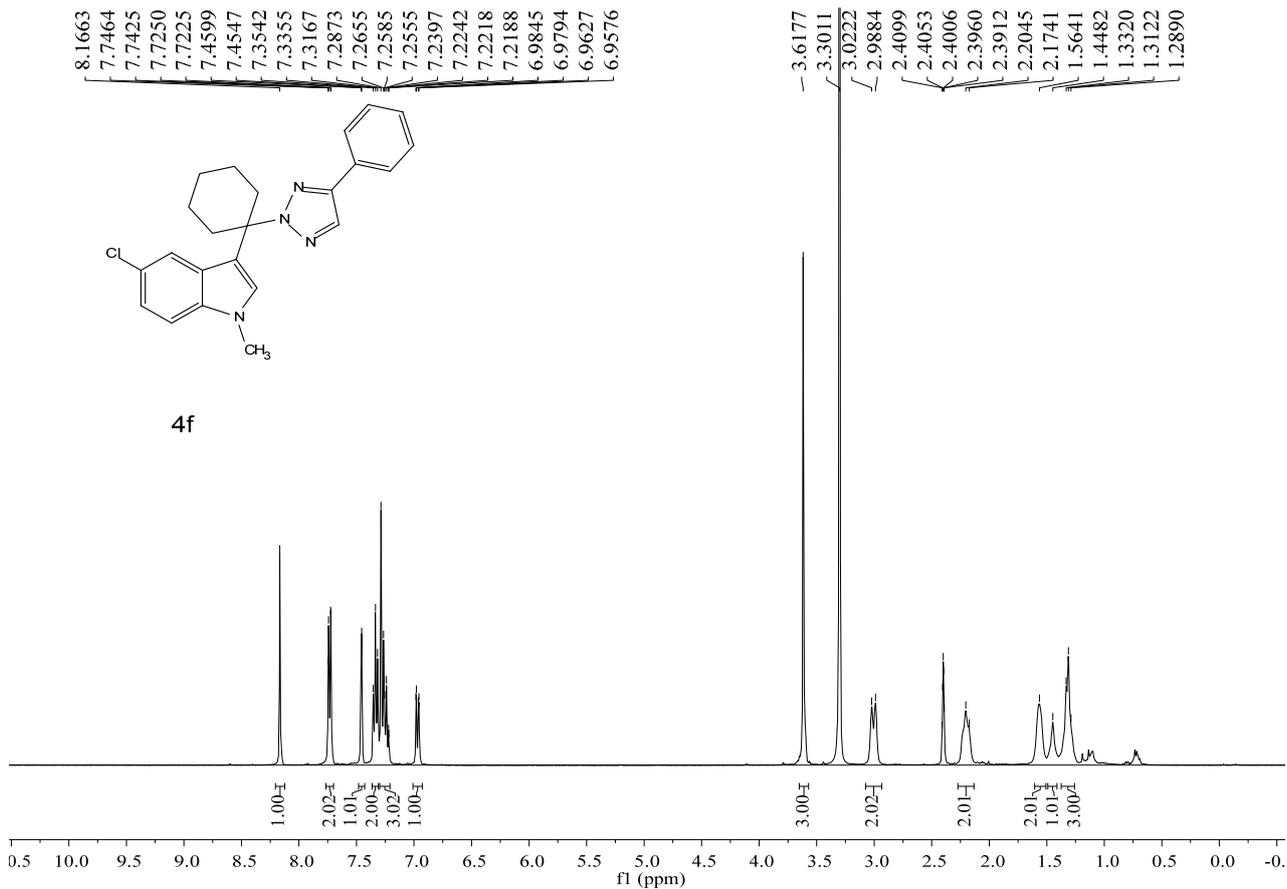


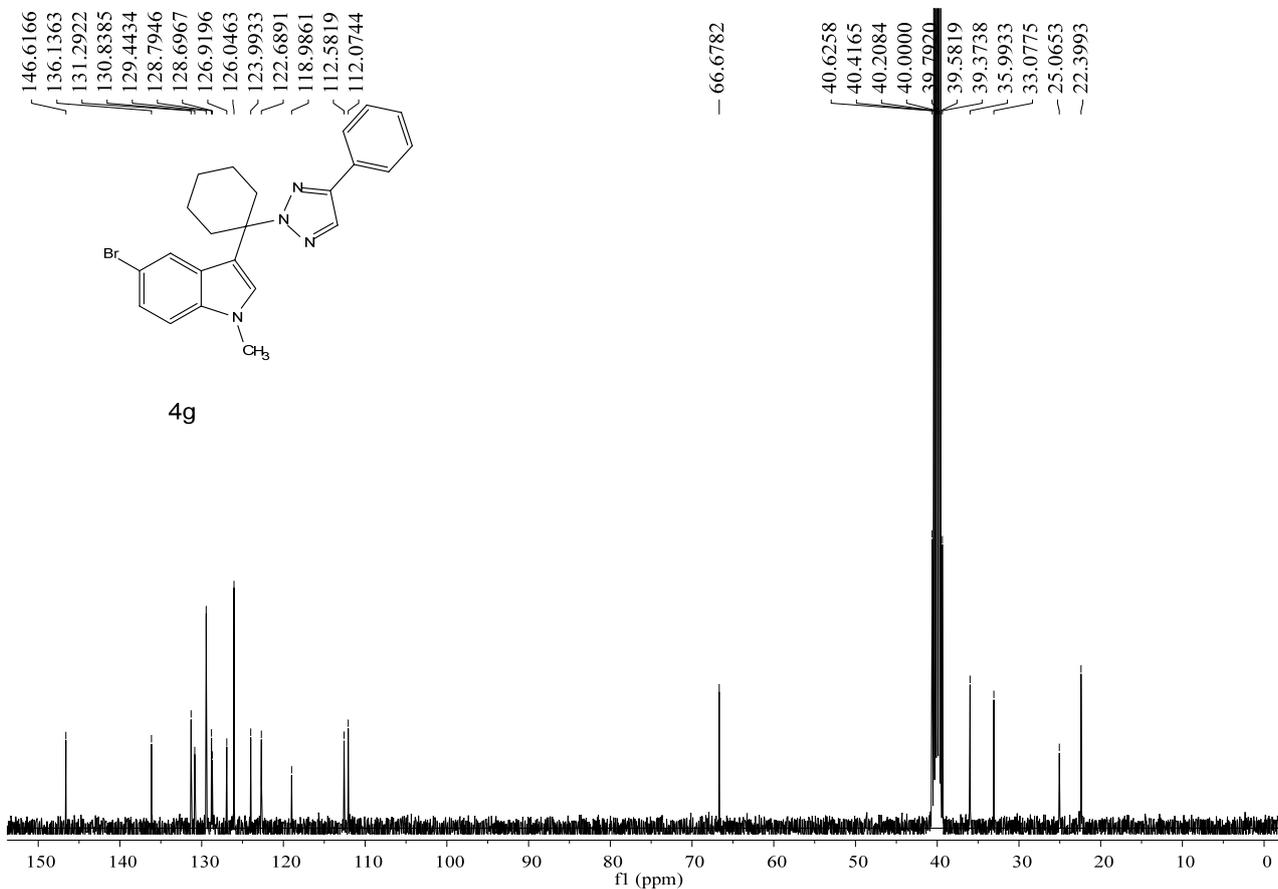
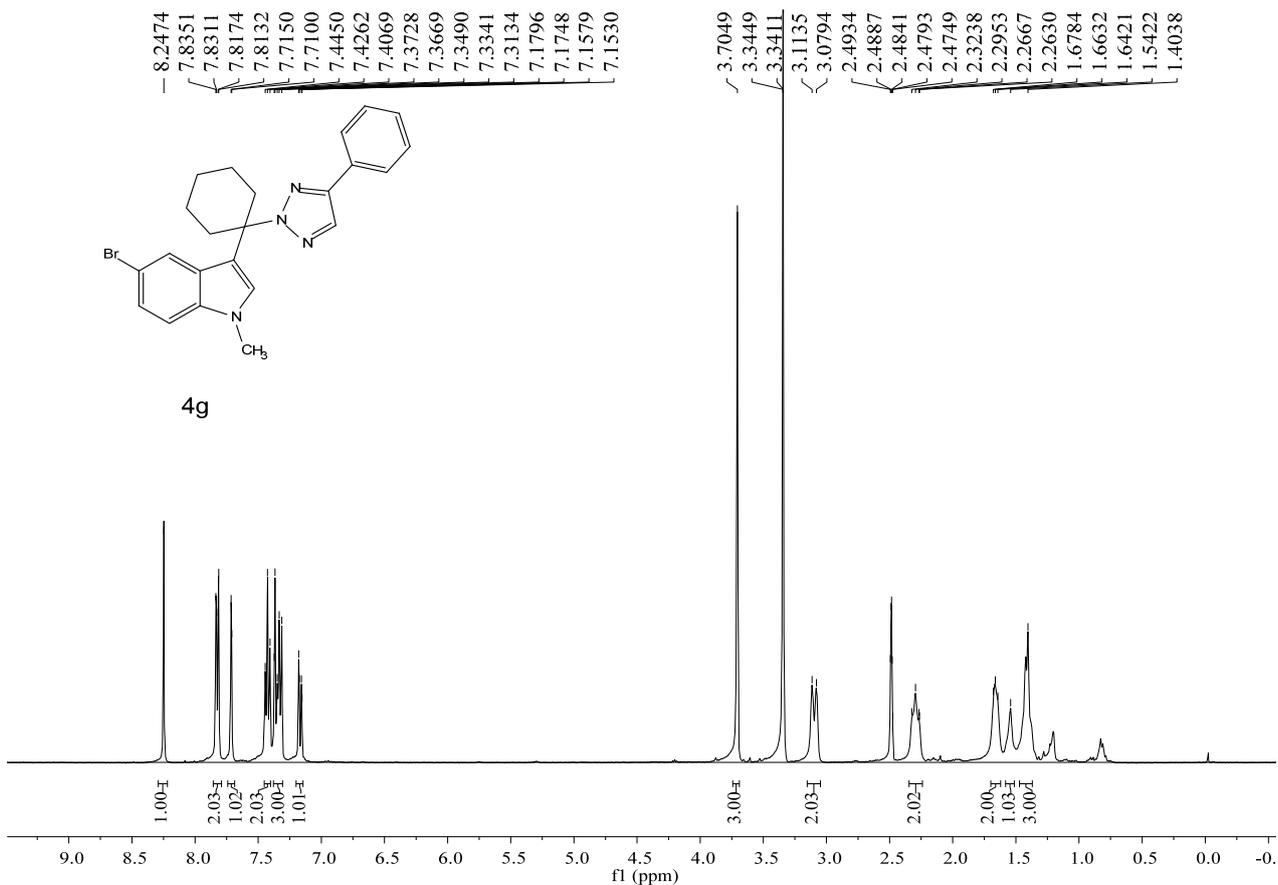


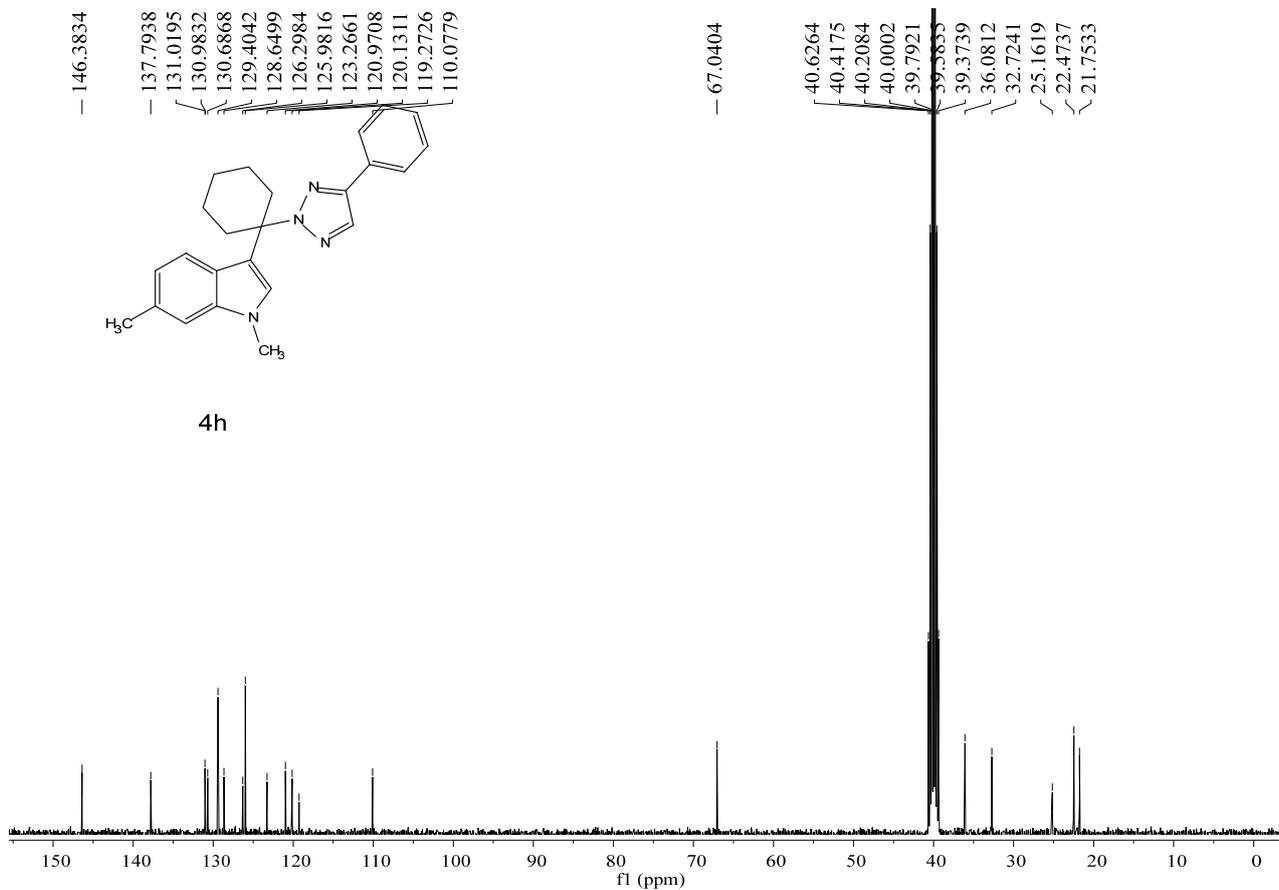
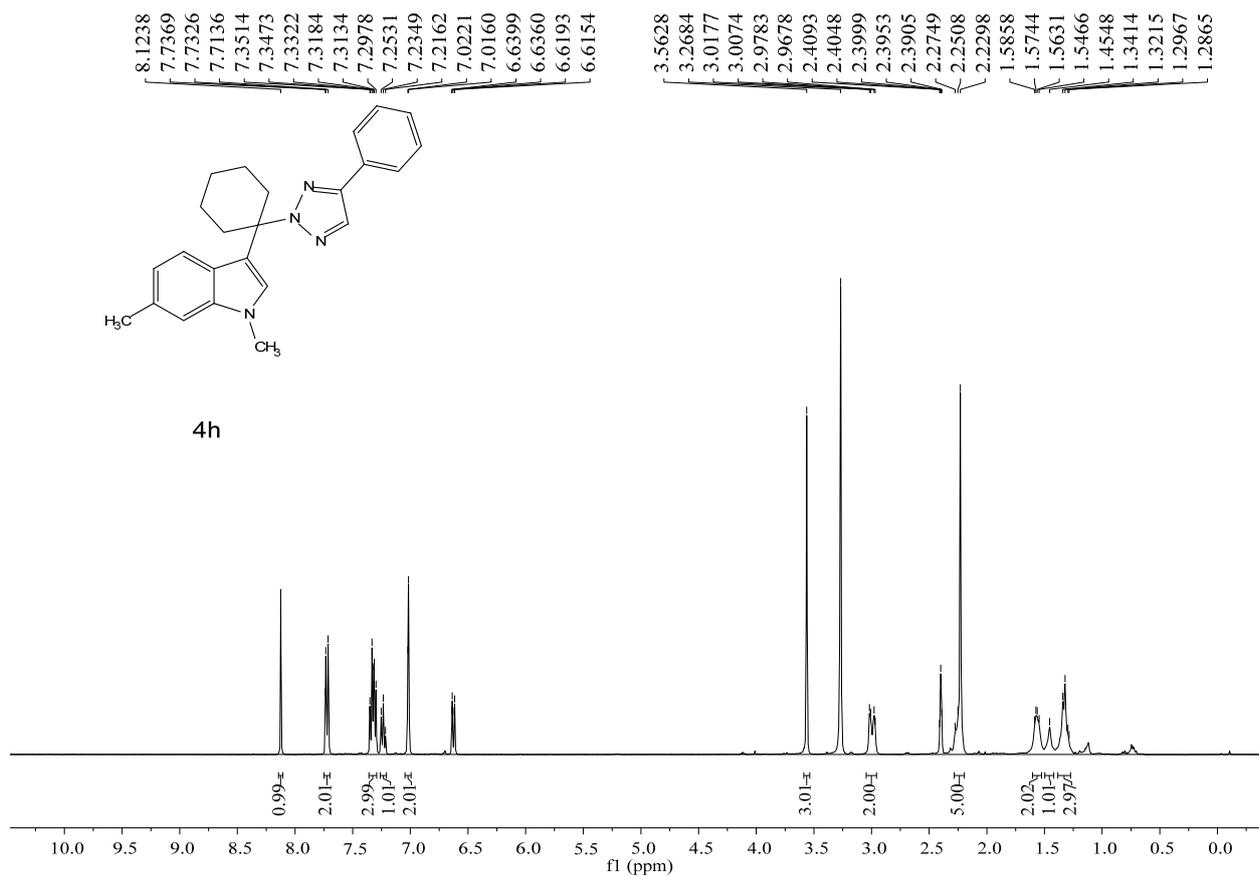


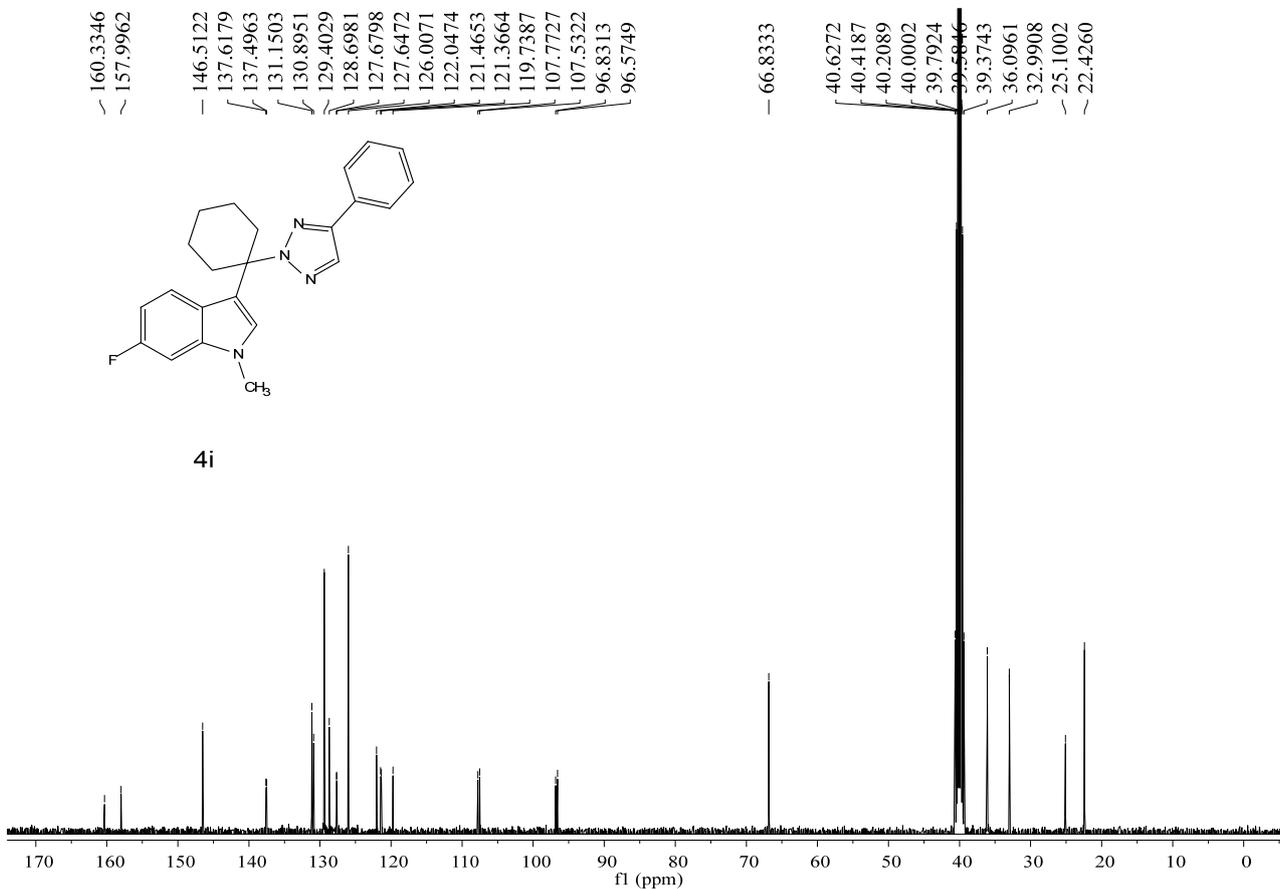
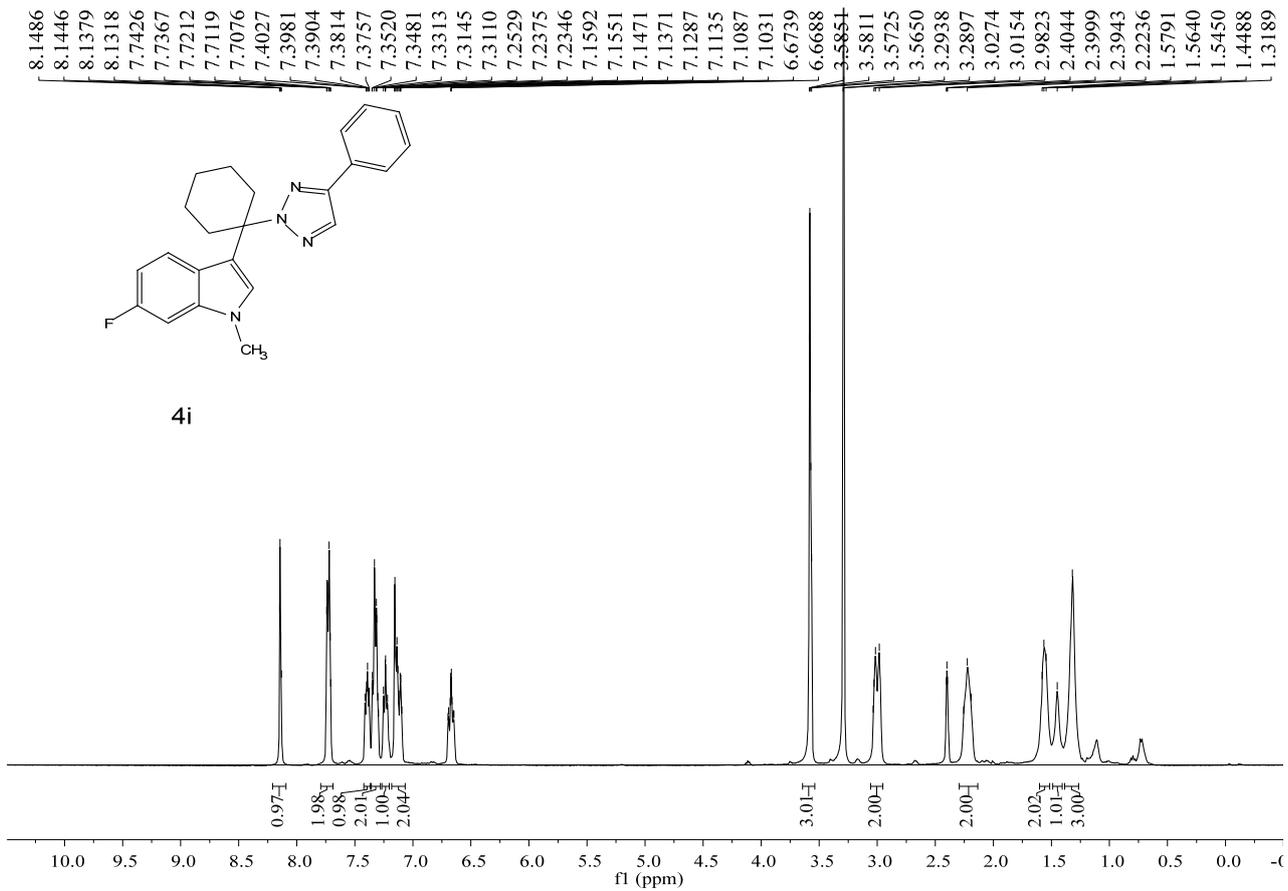


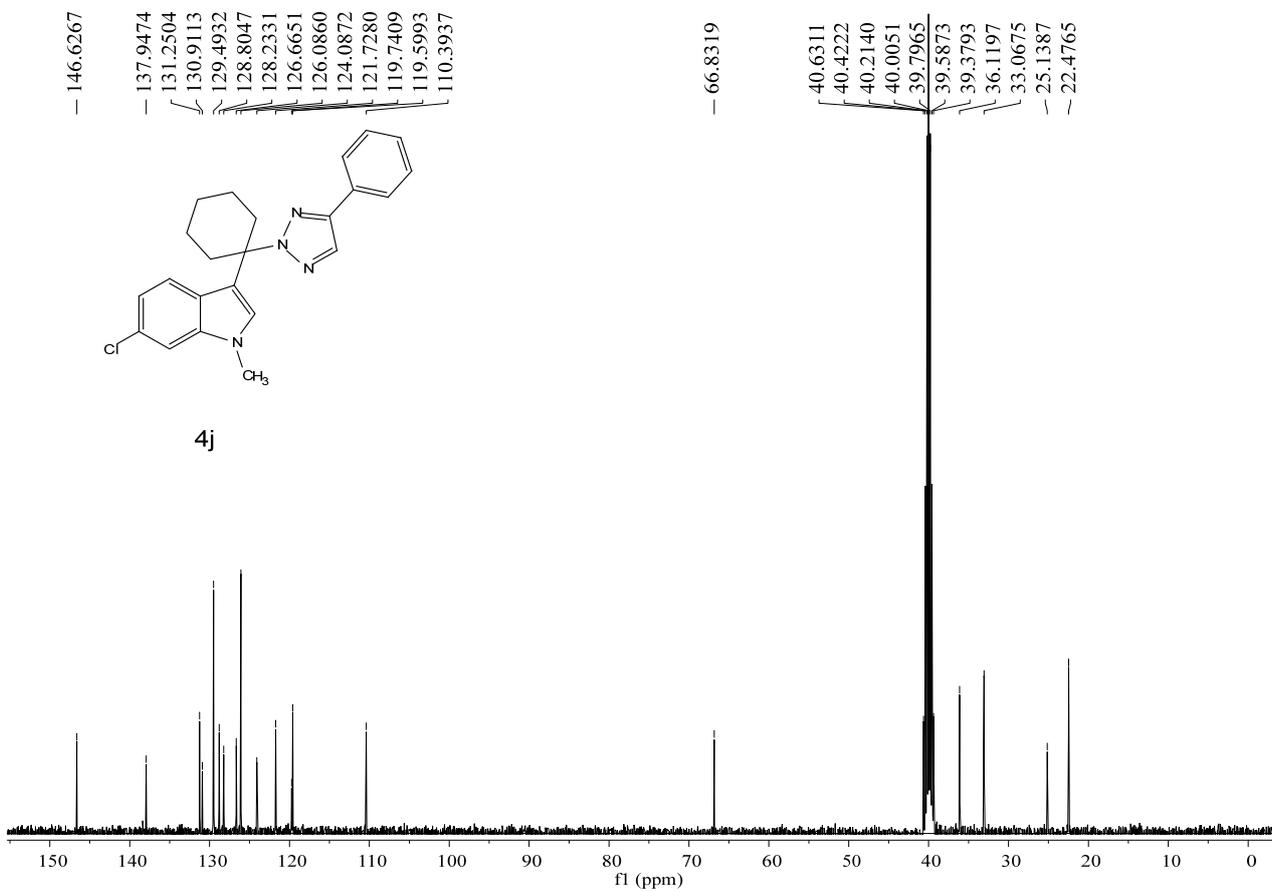
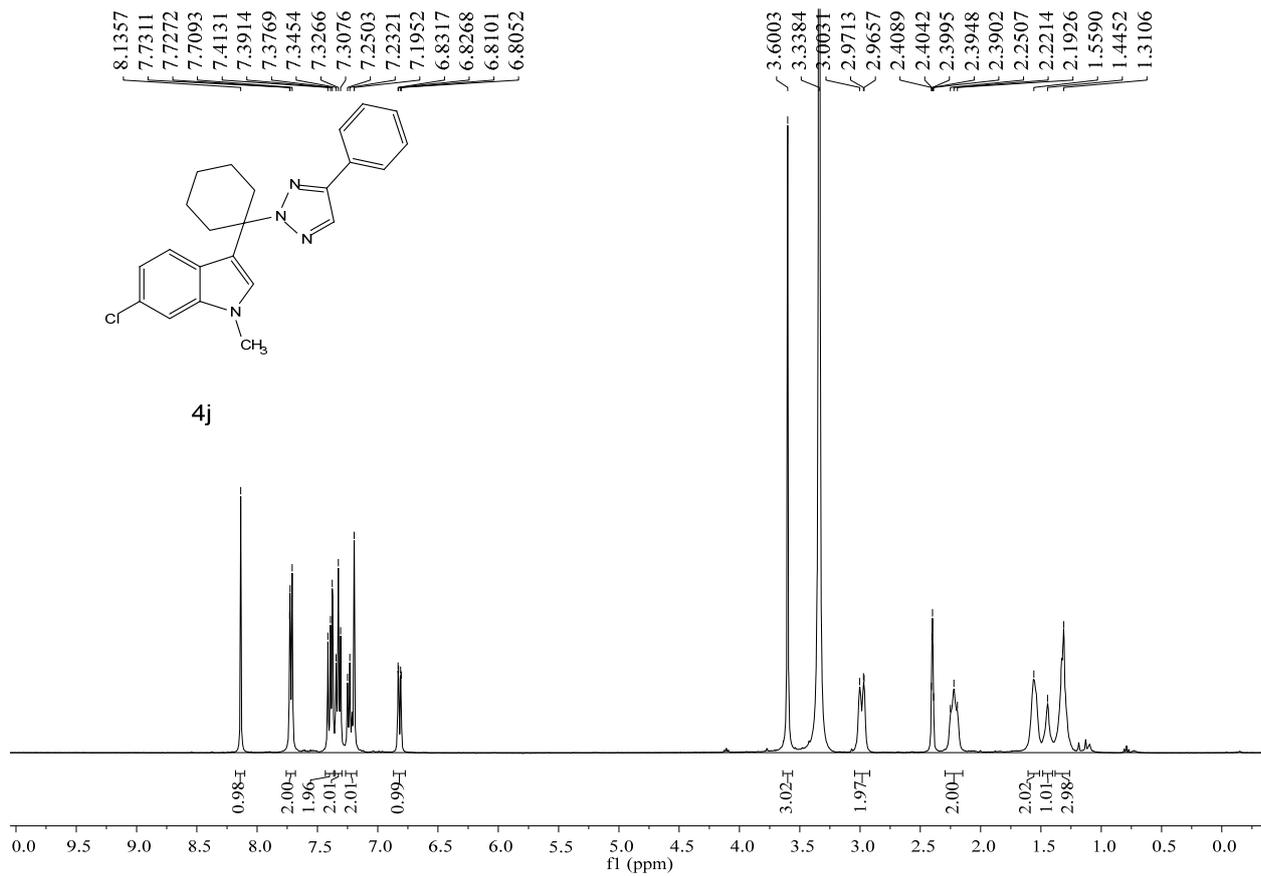


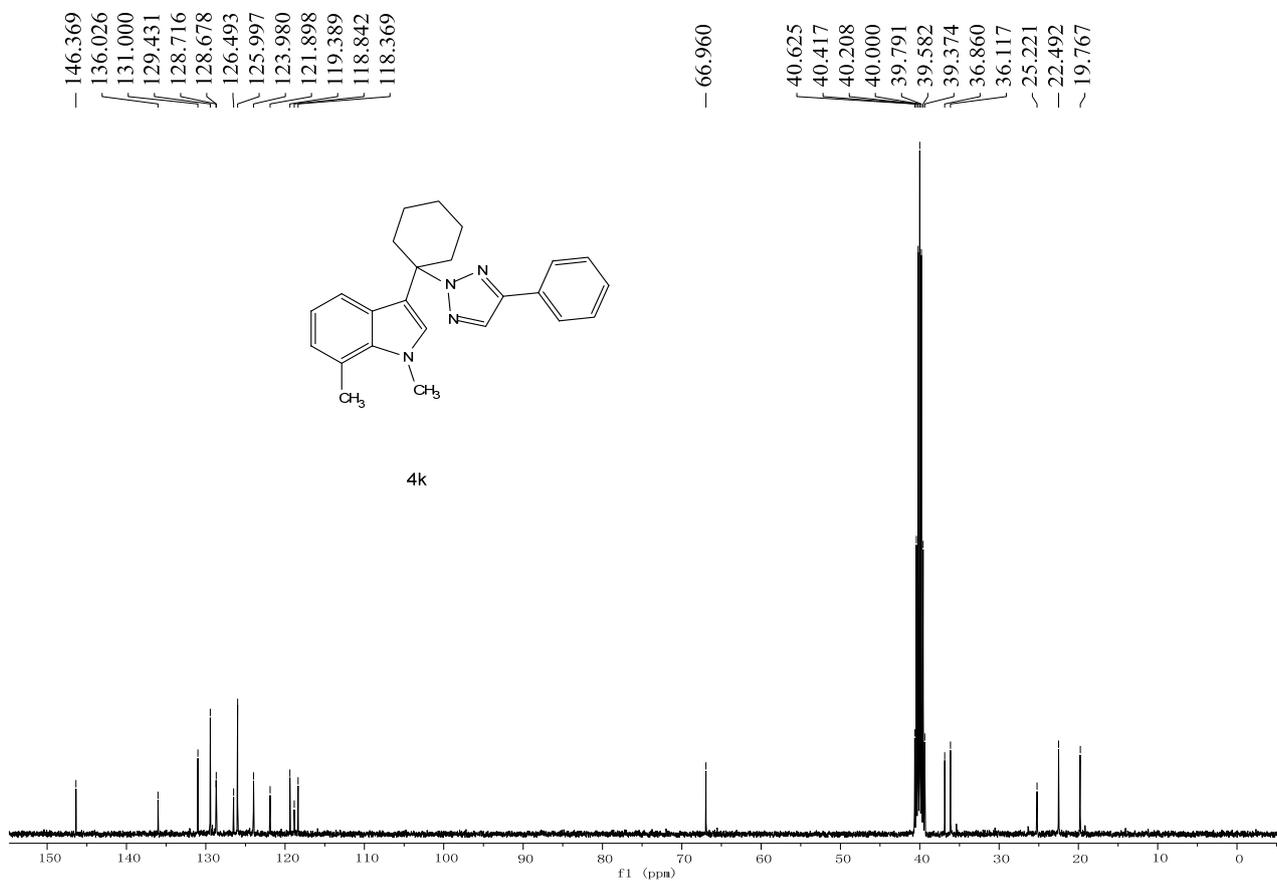
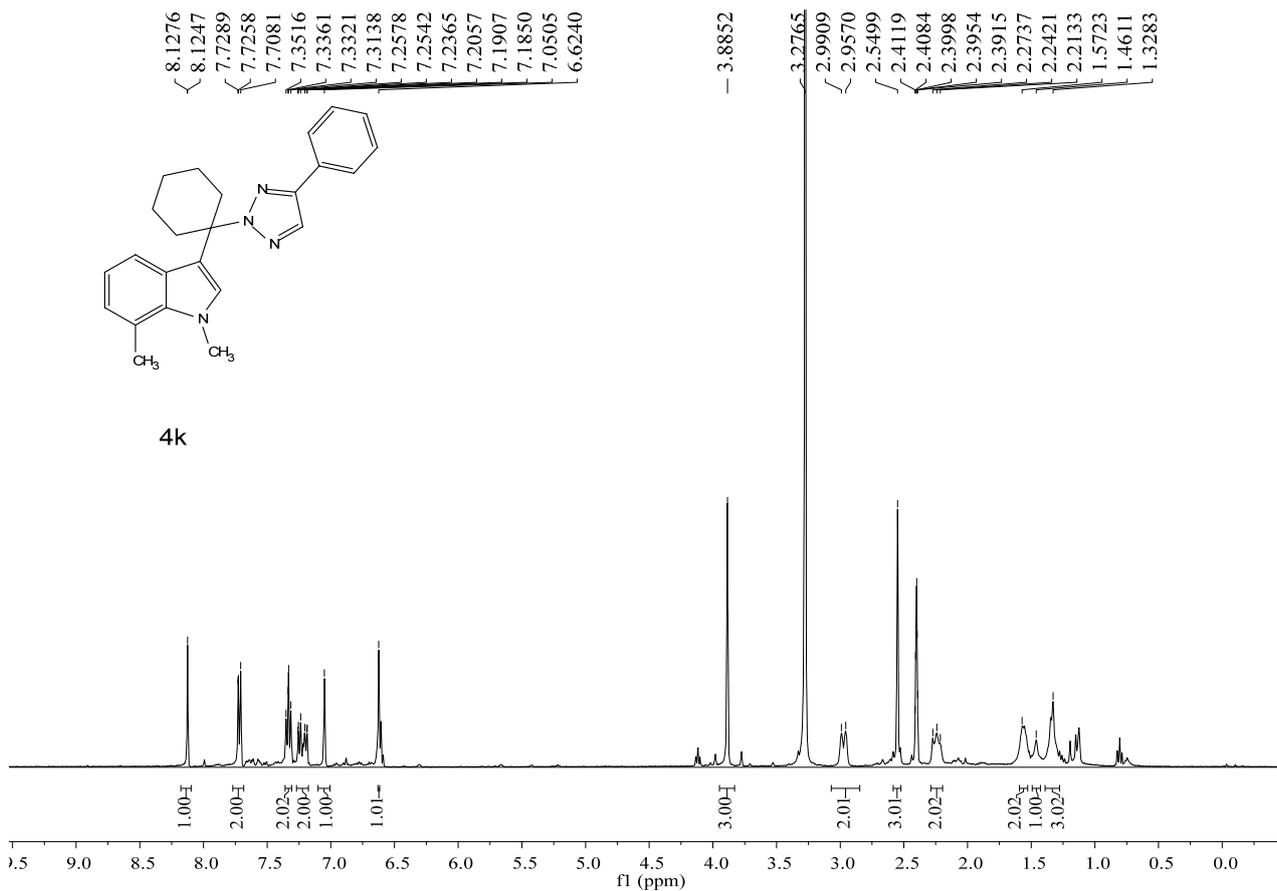


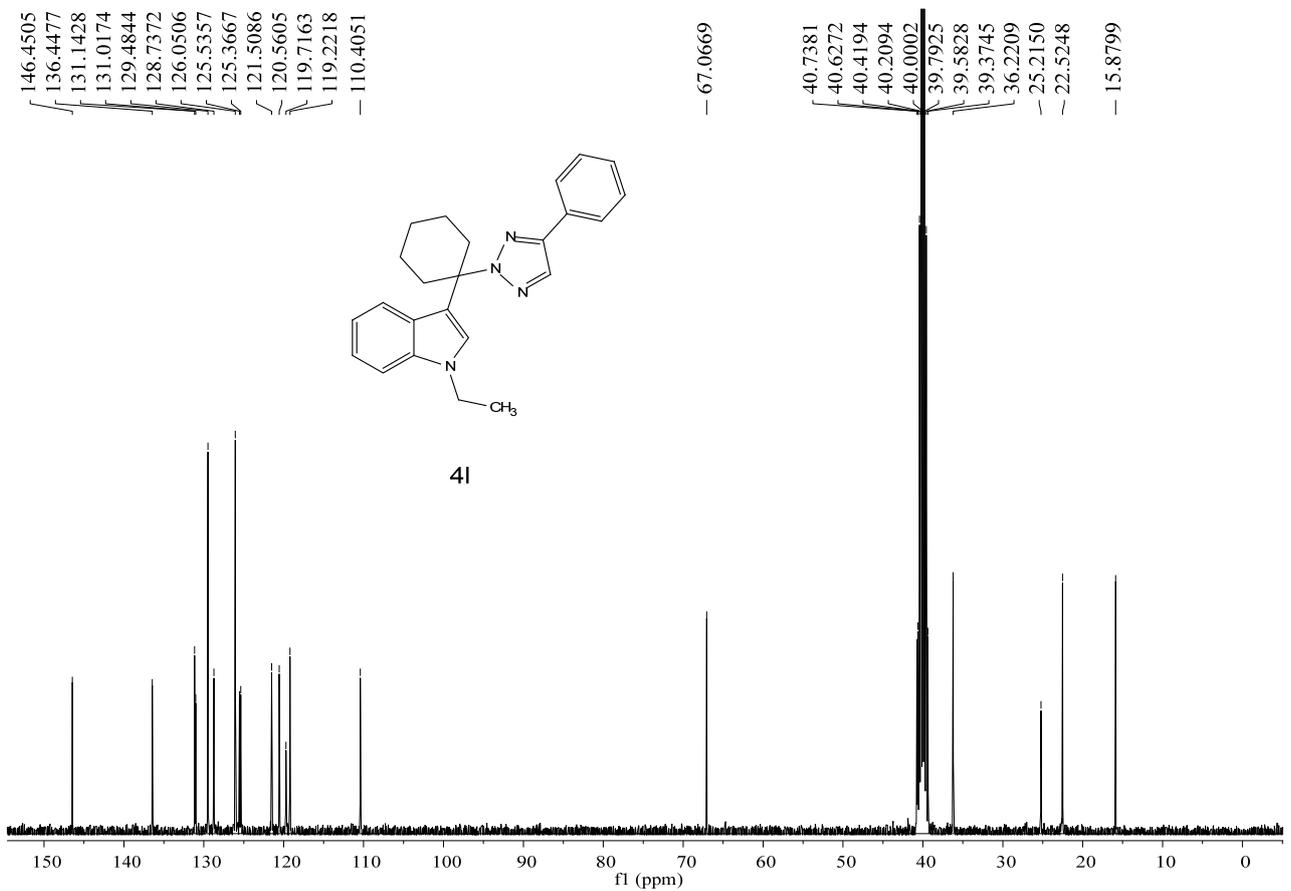
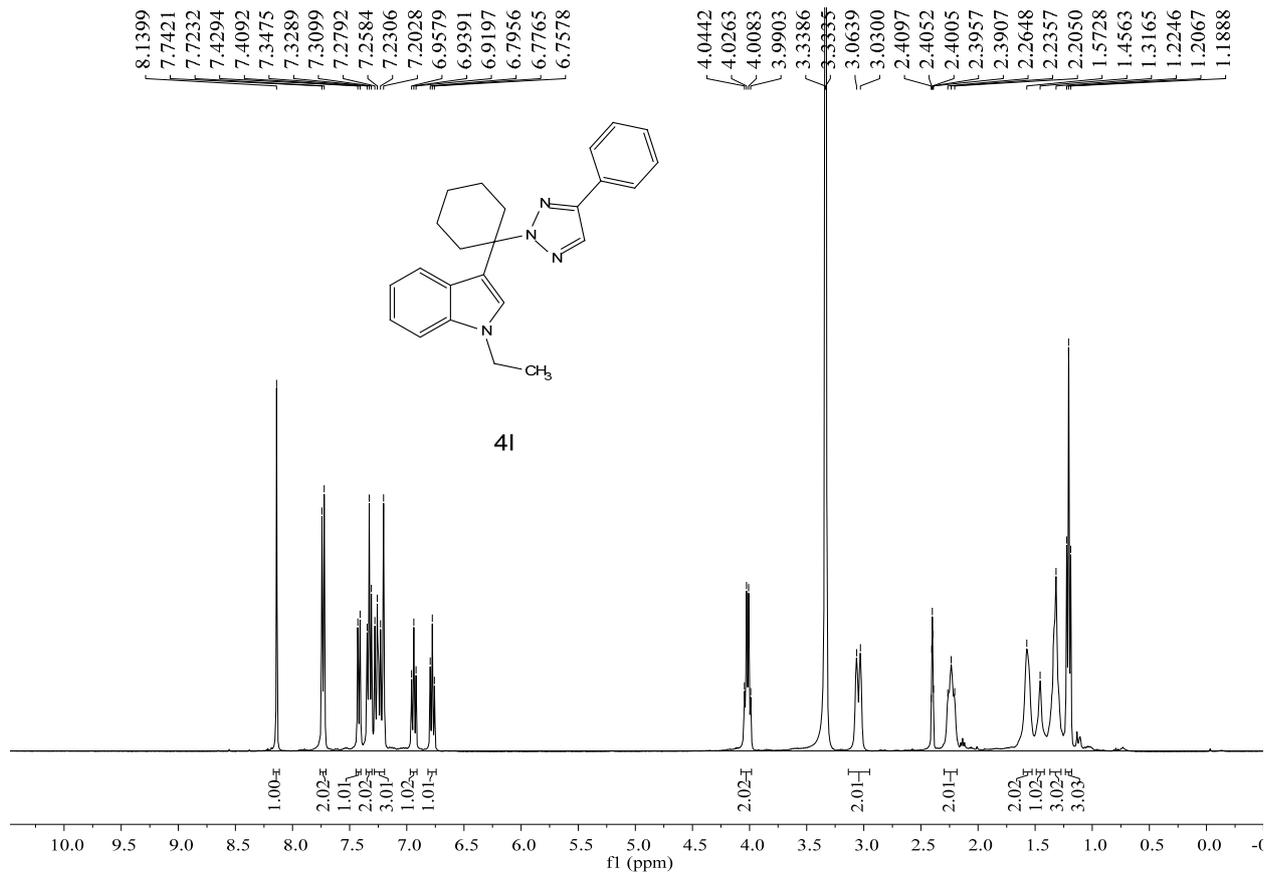


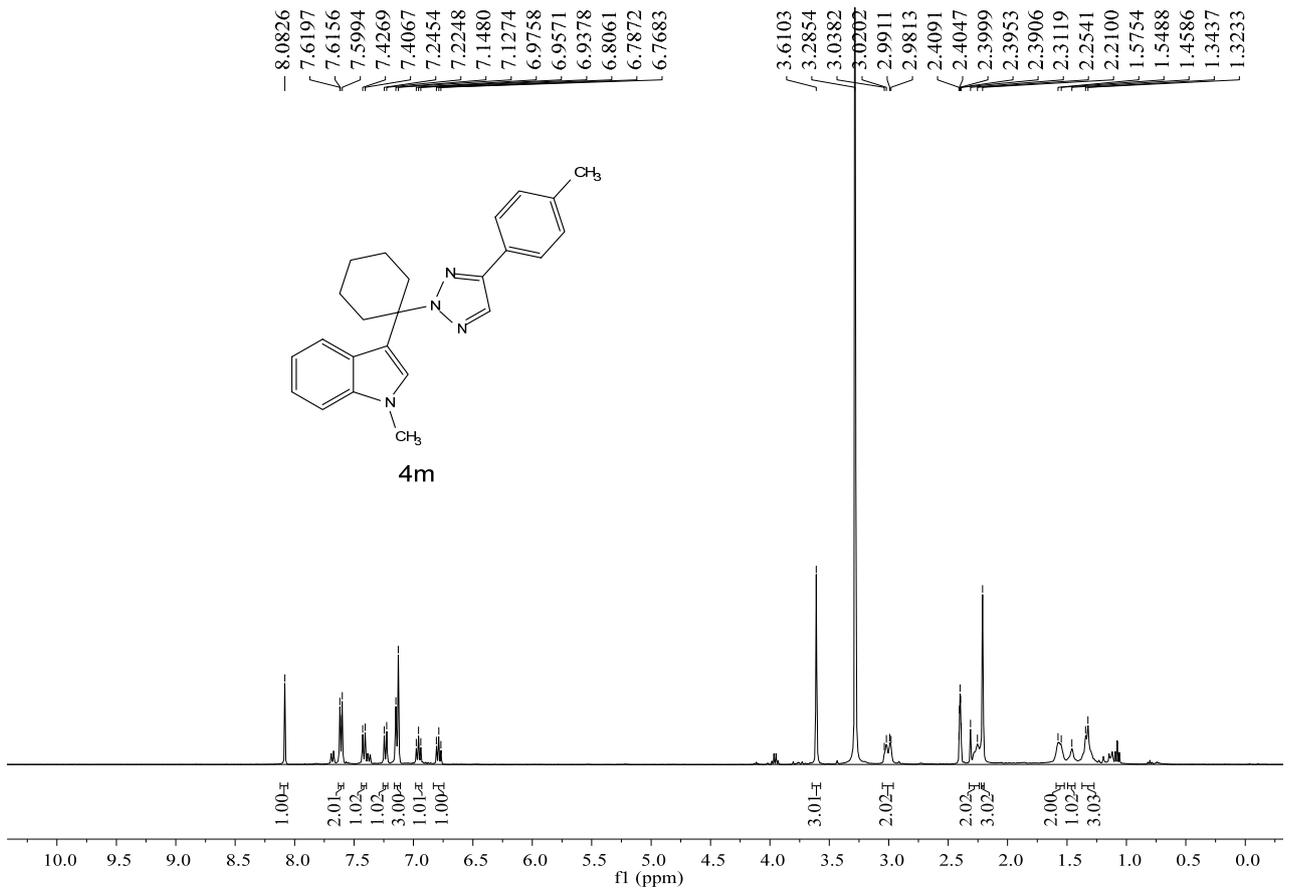












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